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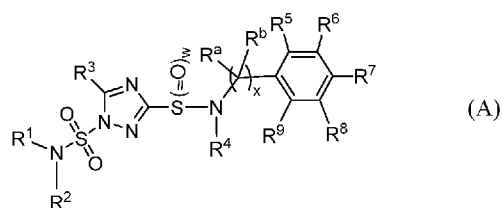
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(54) Title: TRIAZOLE COMPOUND AND USE THEREOF IN AGRICULTURE



(A)

(57) Abstract: The present invention provides a triazole compound and use thereof in agriculture. In particularly, the present invention provides a triazole compound having Formula (A) and a preparation method thereof, a composition and formulation containing these compounds and their use as fungicides; wherein R^1 , R^2 , R^3 , w , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^a , R^b and x are as defined herein.



TRIAZOLE COMPOUND AND USE THEREOF IN AGRICULTURE**CROSS REFERENCE TO RELATED APPLICATIONS**

[0001]. This application claims priority and benefits of Chinese Patent Applications No. 201710216598.1, filed with State Intellectual Property Office on 05 April, 2017, and No. 201710893563.1, filed with State Intellectual Property Office on 28 September, 2017, the entire contents of which are incorporated herein by reference.

FIELD OF THE INVENTION

[0002]. The present invention provides a novel triazole compound and a preparation method thereof, a composition containing the compound and their use in agriculture.

BACKGROUND OF THE INVENTION

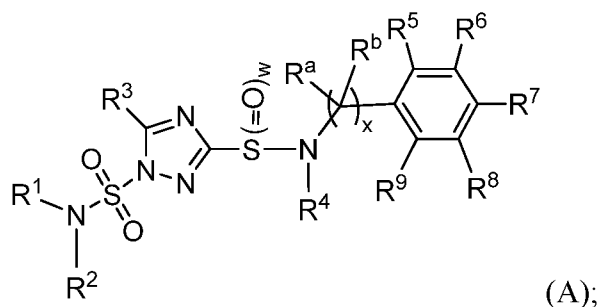
[0003]. The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal and fruit crops can cause significant reduction in productivity and thus lead to increased costs. Many products are commercially available for these purposes, but there is a continuing need for new compounds that are more effective, less costly, less toxic, environmentally safer or have different sites of action.

[0004]. The present invention provides a novel triazole compound having fungicidal activity.

SUMMARY OF THE INVENTION

[0005]. The invention provides a novel fungicidal compound having an excellent controlling effect on plant diseases, a fungicidal composition and formulation containing the fungicidal compound, and use thereof.

[0006]. In one aspect, provided herein is a compound having Formula (A) or a stereoisomer, an *N*-oxide or a salt thereof,



wherein

each of R¹ and R² is independently H, alkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl,

heterocyclyl, aryl, heteroaryl, cycloalkylalkyl, heterocyclylalkyl, arylalkyl, halo substituted arylalkyl or heteroarylalkyl; or R^1 and R^2 , together with the N atom to which they are attached, form a heterocyclyl or heteroaryl group;

wherein the heterocyclyl or heteroaryl group formed from R^1 and R^2 , together with the N atom to which they are attached is optionally substituted with one or more R^{12} ;

R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, aryl or haloaryl;

w is 0, 1 or 2;

R^4 is H, alkyl, $-C(=O)$ -alkyl, $-alkylene-C(=O)$ -alkyl, $-C(=O)$ -O-alkyl, $-alkylene-C(=O)$ -O-alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, cycloalkylalkyl or heterocyclylalkyl; wherein R^4 is optionally substituted with one or more R^{14} ;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl or cycloalkyl;

or R^a and R^b together form oxo ($=O$);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-NR^cR^d$, $-NR^m-C(=O)-R^n$, $-NR^p(OR^q)$, $-C(=O)-R^x$, $-C(=O)-OR^y$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy substituted alkyl, amino substituted alkyl, cyano substituted alkyl, alkoxy, haloalkoxy, hydroxy substituted alkoxy, amino substituted alkoxy, cyano substituted alkoxy, alkylamino, alkylthio or aryloxy;

wherein R^5 and R^6 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{15} ;

wherein R^6 and R^7 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{16} ;

wherein R^7 and R^8 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{17} ;

wherein R^8 and R^9 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally

substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or -C(=O)-OR^{y1};

wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl;

wherein each R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b, R^c, R^d, R^m, Rⁿ, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

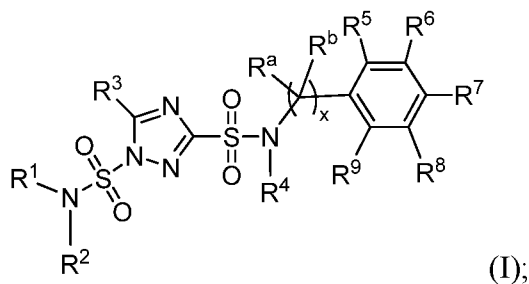
each R¹⁰, R¹² and R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-OR^z, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, hydroxy substituted C₁₋₆ alkyl, amino substituted C₁₋₆ alkyl, cyano substituted C₁₋₆ alkyl, C₁₋₆ alkoxy, halo C₁₋₆ alkoxy, hydroxy substituted C₁₋₆ alkoxy, amino substituted C₁₋₆ alkoxy, cyano substituted C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₃₋₈ cycloalkyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

wherein R^z is C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, 3-12 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, haloalkyl or haloalkoxy;

with the proviso that when R¹ and R² is methyl, R³ is H, w is 2, R⁴ is H and x is 0, R⁷ is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

[0007]. In some embodiments, provided herein is a compound having Formula (I) or a stereoisomer, an *N*-oxide or a salt thereof,



wherein

each of R¹ and R² is independently H, alkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, cycloalkylalkyl, heterocyclylalkyl, arylalkyl, halo substituted arylalkyl or heteroarylalkyl; or R¹ and R², together with the N atom to which they are attached, form a heterocyclyl or heteroaryl group;

wherein the heterocyclyl or heteroaryl group formed from R^1 and R^2 , together with the N atom to which they are attached is optionally substituted with one or more R^{12} ;

R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, aryl or haloaryl;

R^4 is H, alkyl, $-C(=O)$ -alkyl, $-alkylene-C(=O)$ -alkyl, $-C(=O)$ -O-alkyl, $-alkylene-C(=O)$ -O-alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, cycloalkylalkyl or heterocyclylalkyl; wherein R^4 is optionally substituted with one or more R^{14} ;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl or cycloalkyl;

or R^a and R^b together form oxo ($=O$);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-NR^cR^d$, $-NR^m-C(=O)-R^n$, $-NR^p(OR^q)$, $-C(=O)-R^x$, $-C(=O)-OR^y$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy substituted alkyl, amino substituted alkyl, cyano substituted alkyl, alkoxy, haloalkoxy, hydroxy substituted alkoxy, amino substituted alkoxy, cyano substituted alkoxy, alkylamino, alkylthio or aryloxy;

wherein R^5 and R^6 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{15} ;

wherein R^6 and R^7 , together with the carbon atoms to which they are attached, optionally form a benzene ring or naphthalene ring; and wherein the benzene ring or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{16} ;

wherein R^7 and R^8 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{17} ;

wherein R^8 and R^9 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{18} ;

wherein each of R^p and R^q is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or $-C(=O)-OR^{y1}$;

wherein each of R^c , R^d , R^m , R^n , R^{y1} , R^x and R^y is independently H, alkyl, alkenyl, alkynyl,

haloalkynyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl;

wherein each R^1 , R^2 , R^3 , R^5 , R^6 , R^7 , R^8 , R^9 , R^a , R^b , R^c , R^d , R^m , R^n , R^x and R^y is optionally substituted with one, two, three, four, five or six R^{10} ;

each R^{10} , R^{12} and R^{14} is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-OR^z$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo C_{1-6} alkyl, halo C_{2-6} alkenyl, halo C_{2-6} alkynyl, hydroxy substituted C_{1-6} alkyl, amino substituted C_{1-6} alkyl, cyano substituted C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkoxy, hydroxy substituted C_{1-6} alkoxy, amino substituted C_{1-6} alkoxy, cyano substituted C_{1-6} alkoxy, C_{1-6} alkylamino, C_{3-8} cycloalkyl, C_{6-10} aryl or C_{1-9} heteroaryl;

wherein R^z is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo C_{1-6} alkyl, halo C_{2-6} alkenyl, halo C_{2-6} alkynyl, C_{3-8} cycloalkyl, 3-12 membered heterocyclyl, C_{6-10} aryl or C_{1-9} heteroaryl;

each R^{15} , R^{16} , R^{17} and R^{18} is independently H, halogen, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, haloalkyl or haloalkoxy;

with the proviso that when R^1 and R^2 is methyl, R^3 is H, w is 2, R^4 is H and x is 0, R^7 is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

[0008]. In some embodiments, each of R^1 and R^2 is independently H, C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} -alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-8} cycloalkyl, C_{2-10} heterocyclyl, C_{6-10} aryl, C_{1-9} heteroaryl, C_{3-8} cycloalkyl- C_{1-6} -alkyl, C_{2-10} heterocyclyl- C_{1-6} -alkyl, C_{6-10} aryl- C_{1-6} -alkyl, halo substituted C_{6-10} aryl- C_{1-6} -alkyl or C_{1-9} heteroaryl- C_{1-6} -alkyl; or R^1 and R^2 , together with the N atom to which they are attached, form a 3-8 membered heterocyclyl or C_{1-9} heteroaryl group;

wherein the 3-8 membered heterocyclyl or C_{1-9} heteroaryl group formed from R^1 and R^2 , together with the N atom to which they are attached is optionally substituted with one or more R^{12} ;

R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo C_{1-6} alkyl, halo C_{2-6} alkenyl, halo C_{2-6} alkynyl, C_{6-10} aryl or halo C_{6-10} aryl ;

R^4 is H, C_{1-6} alkyl, $-C(=O)-C_{1-6}$ alkyl, $-C_{1-6}$ alkylene- $C(=O)-C_{1-6}$ alkyl, $-C(=O)-O-C_{1-6}$ alkyl, $-C_{1-6}$ alkylene- $C(=O)-O-C_{1-6}$ alkyl, C_{1-6} alkoxy, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-8} cycloalkyl, 3-12 membered heterocyclyl, C_{6-10} aryl, C_{6-10} aryl- C_{1-6} -alkyl, C_{3-8} cycloalkyl- C_{1-6} -alkyl or C_{2-10} heterocyclyl- C_{1-6} -alkyl; wherein R^4 is optionally substituted with one or more R^{14} ;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo C_{1-6} alkyl, halo C_{2-6} alkenyl, halo C_{2-6} alkynyl or C_{3-8}

cycloalkyl;

or R^a and R^b together form oxo (=O);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^cR^d, -NR^m-C(=O)-Rⁿ, -NR^p(OR^q), -C(=O)-R^x, -C(=O)-OR^y, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, hydroxy substituted C₁₋₆ alkyl, amino substituted C₁₋₆ alkyl, cyano substituted C₁₋₆ alkyl, C₁₋₆ alkoxy, halo C₁₋₆ alkoxy, hydroxy substituted C₁₋₆ alkoxy, amino substituted C₁₋₆ alkoxy, cyano substituted C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₁₋₆ alkylthio or C₆₋₁₀ aryloxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁵;

wherein R⁶ and R⁷, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl, C₁₋₆ heteroaryl or -C(=O)-OR^{y1};

wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

wherein each R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b, R^c, R^d, R^m, Rⁿ, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

each R¹⁰, R¹² and R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-OR^z, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄

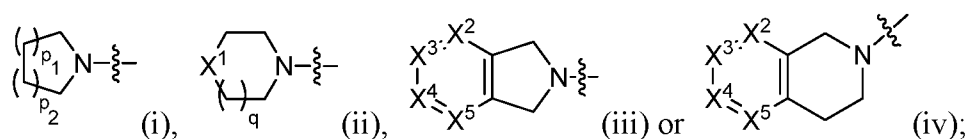
alkynyl, hydroxy substituted C₁₋₄ alkyl, amino substituted C₁₋₄ alkyl, cyano substituted C₁₋₄ alkyl, C₁₋₄ alkoxy, halo C₁₋₄ alkoxy, hydroxy substituted C₁₋₄ alkoxy, amino substituted C₁₋₄ alkoxy, cyano substituted C₁₋₄ alkoxy, C₁₋₄ alkylamino, C₃₋₆ cycloalkyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

wherein R^z is C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₁₋₆ alkylamino, halo C₁₋₆ alkyl or halo C₁₋₆ alkoxy;

with the proviso that when R¹ and R² is methyl, R³ is H, w is 2, R⁴ is H and x is 0, R⁷ is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

[0009]. In other embodiments, each of R¹ and R² is independently H, C₁₋₄ alkyl, C₁₋₃ alkoxy-C₁₋₃-alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, C₂₋₆ heterocyclyl, C₆₋₁₀ aryl, C₁₋₅ heteroaryl, C₃₋₆ cycloalkyl-C₁₋₄-alkyl, C₂₋₆ heterocyclyl-C₁₋₄-alkyl, C₆₋₁₀ aryl-C₁₋₄-alkyl, halo substituted C₆₋₁₀ aryl-C₁₋₄-alkyl or C₁₋₅ heteroaryl-C₁₋₄-alkyl; or R¹ and R², together with the N atom to which they are attached, form the following sub-structures:



each of p₁ and p₂ is independently 0, 1, or 2;

q is 0, 1 or 2;

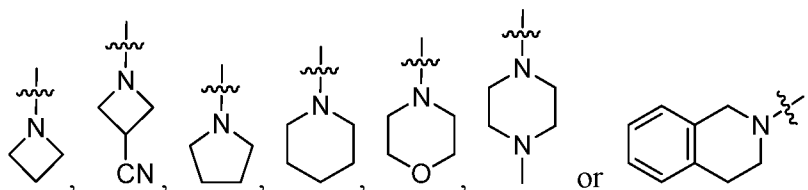
X¹ is -O-, -S-, -S(=O)-, -S(=O)₂- or -NH-;

wherein each X², X³, X⁴ and X⁵ is independently N or CH;

wherein sub-structure (i), (ii), (iii) or (iv) is optionally substituted with one or more R¹².

[0010]. In other embodiments, each of R¹ and R² is independently H, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂CH=CH₂, -CH₂CH₂CH=CH₂, -CH₂CH=CHCH₃, -CH₂-O-CH₃, -CH₂CH₂-O-CH₃, -CH₂-O-CH₂CH₃, -CH₂CH₂-O-CH₂CH₃, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, benzyl, 4-fluorobenzyl or 4-cyanobenzyl;

or R¹ and R², together with the N atom to which they are attached, form the following sub-structures:

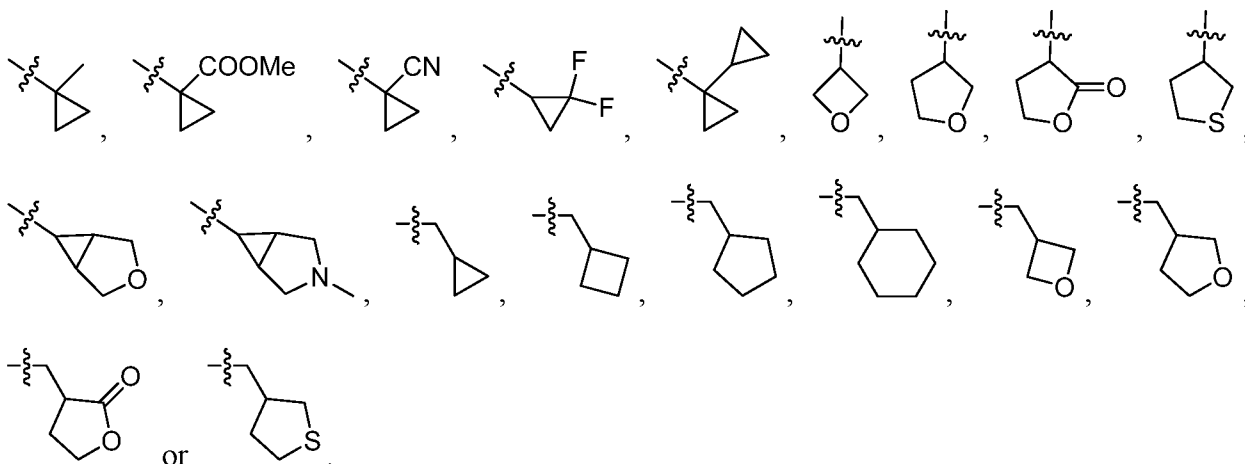


[0011]. In some embodiments, R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl, C_{6-10} aryl or halo C_{6-10} aryl.

[0012]. In other embodiments, R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CHF_2$, $-CH_2F$, $-CH_2CH_3$, $-CH_2CH_2F$, $-CH_2CHF_2$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-C(CH_3)_3$, phenyl, 2-fluorophenyl, 3-fluorophenyl or 4-fluorophenyl.

[0013]. In some embodiments, R^4 is H, C_{1-4} alkyl, $-C(=O)-C_{1-4}$ alkyl, $-C_{1-4}$ alkylene- $C(=O)-C_{1-4}$ alkyl, $-C(=O)-O-C_{1-4}$ alkyl, $-C_{1-4}$ alkylene- $C(=O)-O-C_{1-4}$ alkyl, C_{1-4} alkoxy, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{3-6} cycloalkyl, 3-10 membered heterocyclyl, C_{6-10} aryl, C_{6-10} aryl- C_{1-3} -alkyl, C_{3-6} cycloalkyl- C_{1-3} -alkyl or C_{2-6} heterocyclyl- C_{1-3} -alkyl; R^4 is optionally substituted with one, two, three, four or five R^{14} ; each R^{14} is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-O-C_{1-3}$ alkyl, C_{1-3} alkyl, C_{1-3} alkoxy, C_{3-6} cycloalkyl or phenyl.

[0014]. In other embodiments, R^4 is H, $-CH_3$, $-CHF_2$, $-CH_2(CN)$, $-CH_2CH_3$, $-CH(CN)CH_3$, $-CH_2CHF_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-C(CH_3)_3$, $-CH_2CH=CH_2$, $-CH_2CH_2CH=CH_2$, $-CH_2CH=CHCH_3$, $-C(=O)-CH_3$, $-C(=O)-CH_2CH_3$, $-C(=O)-OCH_3$, $-C(=O)-OCH_2CH_3$, $-CH_2-C(=O)-OCH_3$, $-CH_2-C(=O)-OCH_2CH_3$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl or benzyl; or R^4 has the following sub-structures:



[0015]. In some embodiments, each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-NR^cR^d$, $-NR^m-C(=O)-R^n$, $-NR^p(OR^q)$, $-C(=O)-R^x$,

-C(=O)-OR^y, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, hydroxy substituted C₁₋₄ alkyl, amino substituted C₁₋₄ alkyl, cyano substituted C₁₋₄ alkyl, C₁₋₄ alkoxy, halo C₁₋₄ alkoxy, hydroxy substituted C₁₋₄ alkoxy, amino substituted C₁₋₄ alkoxy, cyano substituted C₁₋₄ alkoxy, C₁₋₄ alkylamino, C₁₋₄ alkylthio or C₆₋₁₀ aryloxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁵;

wherein R⁶ and R⁷, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, C₁₋₃ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₃ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-6 membered heterocyclyl, C₆₋₁₀ aryl, C₁₋₅ heteroaryl or -C(=O)-OR^{y1};

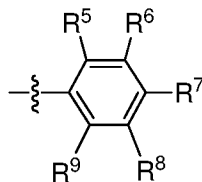
wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-6 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

wherein each R⁵, R⁶, R⁷, R⁸, R⁹, R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰; and wherein R¹⁰ is as defined herein;

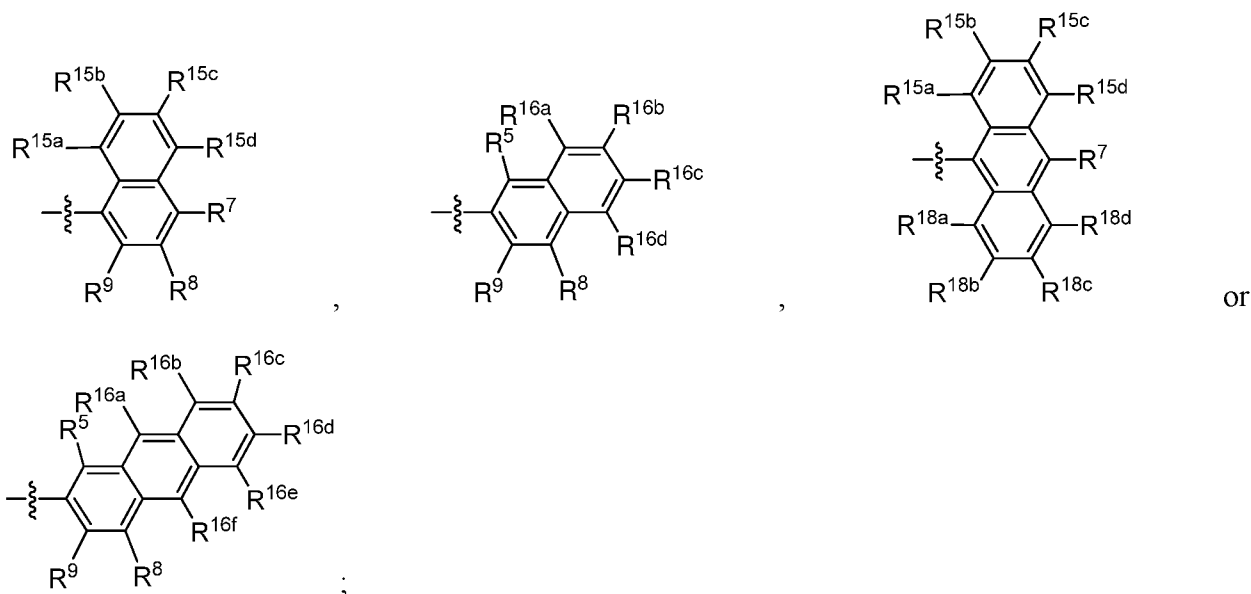
each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₁₋₄ alkoxy, C₁₋₄ alkylamino, halo C₁₋₄ alkyl or halo C₁₋₄ alkoxy.

[0016]. In other embodiments, each R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂F, -CHF₂, -CF₃, -CH₂CH₂F, -CH₂CHF₂, -CH₂CF₃, -CH=CH₂, -CH₂-CH=CH₂, -OCH₃, -OCH₂CH₃, -OCH₂CH₂CH₃, -OCH(CH₃)₂, -OCF₃, -OCH₂CF₃, -NH-C(=O)-CH₃, -NH-C(=O)-CH₂CH₃, -NH-OH, -N(OH)-C(=O)-OCH₃, -N(OC(=O)OCH₃)-C(=O)-OCH₃, -C(=O)-CH₃,

-C(=O)-CH₂CH₃, -C(=O)-OCH₃, -C(=O)-OCH₂CH₃, -SCH₃, -SCH₂CH₃, -SCH₂CH₂CH₃, -SCH(CH₃)₂, phenoxy, halophenoxy, C₁₋₄ alkyl substituted phenoxy, C₁₋₄ alkoxy substituted phenoxy, C₁₋₄ haloalkyl substituted phenoxy or C₁₋₄ haloalkoxy substituted phenoxy.



[0017]. In some embodiments, has the following sub-structures:



wherein

each R⁵, R⁷, R⁸, R⁹, R^{15a}, R^{15b}, R^{15c}, R^{15d}, R^{16a}, R^{16b}, R^{16c}, R^{16d}, R^{16e}, R^{16f}, R^{18a}, R^{18b}, R^{18c} and R^{18d} is independently H, halo, cyano, nitro, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₁₋₆ alkylamino, halo C₁₋₆ alkyl or halo C₁₋₆ alkoxy.

[0018]. In other embodiments, each R⁵, R⁷, R⁸, R⁹, R^{15a}, R^{15b}, R^{15c}, R^{15d}, R^{16a}, R^{16b}, R^{16c}, R^{16d}, R^{16e}, R^{16f}, R^{18a}, R^{18b}, R^{18c} and R^{18d} is independently H, halo, cyano, nitro, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₁₋₄ alkoxy, C₁₋₄ alkylamino, halo C₁₋₄ alkyl or halo C₁₋₄ alkoxy.

[0019]. In other embodiments, each R⁵, R⁷, R⁸, R⁹, R^{15a}, R^{15b}, R^{15c}, R^{15d}, R^{16a}, R^{16b}, R^{16c}, R^{16d}, R^{16e}, R^{16f}, R^{18a}, R^{18b}, R^{18c} and R^{18d} is independently H, F, Cl, Br, I, cyano, nitro, methyl, ethyl, *n*-propyl, isopropyl, *t*-butyl, vinyl, propenyl, allyl, ethynyl, propinyl, propargyl, methoxy, ethoxy, isopropoxy, *t*-butoxy, difluoromethyl, trifluoromethyl, difluoromethoxy, trifluoromethoxy, dimethylamino or diethylamino.

[0020]. In some embodiments, each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl or C₃₋₆ cycloalkyl;

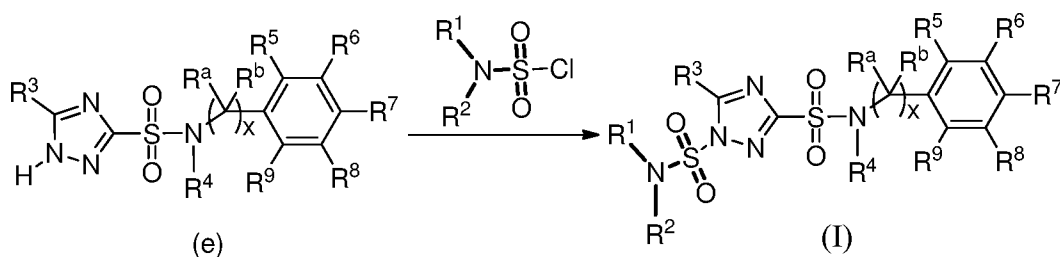
or R^a and R^b together form oxo (=O).

[0021]. In other embodiments, each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂F, -CHF₂, -CF₃, -CH₂CH₂F, -CH₂CHF₂, -CH₂CF₃, -CH=CH₂, -CH₂-CH=CH₂, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl;

or R^a and R^b together form oxo (=O).

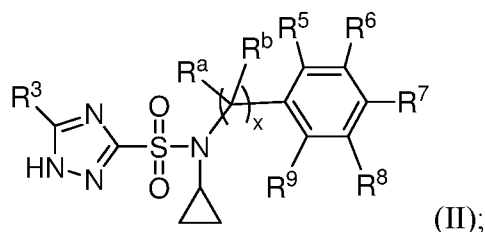
[0022]. In other aspect, provided herein is a method of preparing a compound of Formula (I) comprising

reacting a compound of Formula (e) with a disubstituted amino sulfonyl chloride to obtain the compound of formula (I):



wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b and x are as defined herein.

[0023]. In other aspect, provided herein is a compound having Formula (II) or a stereoisomer, an *N*-oxide or a salt thereof,



wherein R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b and x are as defined herein.

[0024]. In other aspect, provided herein is a composition comprising the compound disclosed herein.

[0025]. In some embodiments, the composition further comprises an agrochemically acceptable surfactant and carrier.

[0026]. In other aspect, provided herein is use of the compound or composition disclosed herein for controlling plant diseases.

[0027]. In some embodiments, the plant disease is caused by plant pathogenic fungi.

[0028]. In other aspect, provided herein is a method of controlling plant diseases by using the

compound or composition disclosed herein.

[0029]. In other aspect, provided herein is the compound or composition disclosed herein for use in controlling plant diseases.

[0030]. In other aspect, provided herein is use of the compound or composition disclosed herein in the manufacture of a fungicide.

[0031]. The compound of Formula (A) or (I) can exist in different stereoisomeric or optical isomeric or tautomeric forms. All such isomers and tautomers and mixtures thereof with various proportions, and isotopic forms such as a compound containing deuterium are included within the scope of the invention.

[0032]. Isotopically enriched compounds have structures depicted by the formulas given herein except that one or more atoms are replaced by an atom having a selected atomic mass or mass number. Examples of isotopes that can be incorporated into compounds of the invention include isotopes of hydrogen, carbon, nitrogen, oxygen, phosphorous, sulfur, fluorine, and chlorine, such as ^2H , ^3H , ^{11}C , ^{13}C , ^{14}C , ^{15}N , ^{17}O , ^{18}O , ^{18}F , ^{31}P , ^{32}P , ^{35}S , ^{36}Cl , ^{125}I , respectively.

[0033]. Any asymmetric atom (*e.g.*, carbon or the like) of the compound(s) disclosed herein can be present in racemic or enantiomerically enriched, for example the (*R*)-, (*S*)- or (*R,S*)-configuration.

[0034]. The foregoing merely summarizes certain aspects disclosed herein and is not intended to be limiting in nature. These aspects and other aspects and embodiments are described more fully below.

DETAILED DESCRIPTION OF THE INVENTION

DEFINITIONS AND GENERAL TERMINOLOGY

[0035]. Reference will now be made in detail to certain embodiments of the invention, examples of which are illustrated in the accompanying structures and formulas. The invention is intended to cover all alternatives, modifications, and equivalents which may be included within the scope of the present invention as defined by the claims. One skilled in the art will recognize many methods and materials similar or equivalent to those described herein, which could be used in the practice of the present invention. The present invention is in no way limited to the methods and materials described herein. In the event that one or more of the incorporated literature, patents, and similar materials differs from or contradicts this application, including but not limited to defined terms, term usage, described techniques, or the like, this application controls.

[0036]. It is further appreciated that certain features of the invention, which are, for clarity, described in the context of separate embodiments, can also be provided in combination in a single embodiment. Conversely, various features of the invention which are, for brevity, described in the context of a single embodiment, can also be provided separately or in any suitable subcombination.

[0037]. Unless defined otherwise, all technical and scientific terms used herein have the same meaning as is commonly understood by one skilled in the art to which this invention belongs. All patents and publications referred to herein are incorporated by reference in their entirety.

[0038]. As used herein, the following definitions shall apply unless otherwise indicated. For purposes of this invention, the chemical elements are identified in accordance with the Periodic Table of the Elements, CAS version, and the Handbook of Chemistry and Physics, 75th Ed. **1994**. Additionally, general principles of organic chemistry are described in “Organic Chemistry”, Thomas Sorrell, University Science Books, Sausalito: **1999**, and Smith *et al.*, “March’s Advanced Organic Chemistry”, John Wiley & Sons, New York: **2007**, the entire contents of which are hereby incorporated by reference.

[0039]. The grammatical articles “a”, “an” and “the”, as used herein, are intended to include “at least one” or “one or more” unless otherwise indicated herein or clearly contradicted by the context. Thus, the articles are used herein to refer to one or more than one (*i.e.* at least one) of the grammatical objects of the article. By way of example, “a component” means one or more components, and thus, possibly, more than one component is contemplated and may be employed or used in an implementation of the described embodiments.

[0040]. The term “comprise” is an open expression, it means comprising the contents disclosed herein, but don’t exclude other contents.

[0041]. “Stereoisomers” refers to compounds which have identical chemical constitution, but differ with regard to the arrangement of the atoms or groups in space. Stereoisomers include enantiomer, diastereomers, conformer (rotamer), geometric (cis/trans) isomer, atropisomer, etc.

[0042]. “Enantiomers” refers to two stereoisomers of a compound which are non-superimposable mirror images of one another.

[0043]. “Diastereomer” refers to a stereoisomer with two or more centers of chirality and whose molecules are not mirror images of one another. Diastereomers have different physical properties, *e.g.* melting points, boiling points, spectral properties or biological activities. Mixture of

diastereomers may separate under high resolution analytical procedures such as electrophoresis and chromatography such as HPLC.

[0044]. Stereochemical definitions and conventions used herein generally follow S. P. Parker, Ed., McGraw-Hill Dictionary of Chemical Terms (**1984**) McGraw-Hill Book Company, New York; and Eliel, E. and Wilen, S., "Stereochemistry of Organic Compounds", John Wiley & Sons, Inc., New York, **1994**.

[0045]. Many organic compounds exist in optically active forms, *i.e.*, they have the ability to rotate the plane of plane-polarized light. In describing an optically active compound, the prefixes *D* and *L*, or *R* and *S*, are used to denote the absolute configuration of the molecule about its chiral center(s). The prefixes *d* and *l* or (+) and (-) are employed to designate the sign of rotation of plane-polarized light by the compound, with (-) or *l* meaning that the compound is levorotatory. A compound prefixed with (+) or *d* is dextrorotatory. A specific stereoisomer may be referred to as an enantiomer, and a mixture of such stereoisomers is called an enantiomeric mixture. A 50:50 mixture of enantiomers is referred to as a racemic mixture or a racemate, which may occur where there has been no stereoselection or stereospecificity in a chemical reaction or process.

[0046]. Any asymmetric atom (*e.g.*, carbon or the like) of the compound(s) disclosed herein can be present in racemic or enantiomerically enriched, for example the (*R*)-, (*S*)- or (*R,S*)-configuration. In certain embodiments, each asymmetric atom has at least 50 % enantiomeric excess, at least 60 % enantiomeric excess, at least 70 % enantiomeric excess, at least 80 % enantiomeric excess, at least 90 % enantiomeric excess, at least 95 % enantiomeric excess, or at least 99 % enantiomeric excess in the (*R*)- or (*S*)- configuration.

[0047]. Depending on the choice of the starting materials and procedures, the compounds can be present in the form of one of the possible stereoisomers or as mixtures thereof, such as racemates and diastereoisomer mixtures, depending on the number of asymmetric carbon atoms. Optically active (*R*)- and (*S*)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques. If the compound contains a double bond, the substituent may be *E* or *Z* configuration. If the compound contains a disubstituted cycloalkyl, the cycloalkyl substituent may have a *cis*- or *trans*-configuration.

[0048]. Any resulting mixtures of stereoisomers can be separated on the basis of the physicochemical differences of the constituents, into the pure or substantially pure geometric isomers, enantiomers, diastereomers, for example, by chromatography and/or fractional

crystallization.

[0049]. Any resulting racemates of final products or intermediates can be resolved into the optical antipodes by methods known to those skilled in the art, *e.g.*, by separation of the diastereomeric salts thereof. Racemic products can also be resolved by chiral chromatography, *e.g.*, high performance liquid chromatography (HPLC) using a chiral adsorbent. In particular, enantiomer may be obtained by asymmetric synthesis.

[0050]. As described herein, compounds disclosed herein may optionally be substituted with one or more substituents, such as are illustrated generally below, or as exemplified by particular classes, subclasses, and species of the invention. It will be appreciated that the phrase “optionally substituted” is used interchangeably with the phrase “substituted or unsubstituted”. In general, the term “substituted” refers to the replacement of one or more hydrogen radicals in a given structure with the radical of a specified substituent. Unless otherwise indicated, an optionally substituted group may have a substituent at each substitutable position of the group. When more than one position in a given structure can be substituted with more than one substituent selected from a specified group, the substituent may be either the same or different at each position. Specifically, one example of “one or more” refers to one, two, three, four, five, six, seven, eight, nine or ten. wherein substituents of compounds disclosed herein include, but are not limited to, deuterium (D or H²), F, Cl, Br, I, cyano, hydroxy, nitro, amino, carboxy, alkyl, alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxyalkylamino, aryloxy, heteroaryloxy, heterocyclyloxy, arylalkoxy, heteroarylalkoxy, heterocyclylalkoxy, cycloalkylalkoxy, alkylamino, alkylaminoalkyl, alkylaminoalkylamino, cycloalkylamino, cycloalkylalkylamino, alkylthio, haloalkyl, haloalkoxy, hydroxy-substituted alkyl, hydroxy-substituted alkylamino, cyano-substituted alkyl, cyano-substituted alkoxy, cyano-substituted alkylamino, amino-substituted alkyl, alkylacyl, heteroalkyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylacyl, aryl, arylalkyl, arylamino, heteroaryl, heteroarylalkyl, heteroarylamino, acylamino, sulfonyl, aminosulfonyl, and the like.

[0051]. Furthermore, what need to be explained is that the phrase “each...is independently” and “each of...and...is independently”, unless otherwise stated, should be broadly understood. The specific options expressed by the same symbol are independent of each other in different groups; or the specific options expressed by the same symbol are independent of each other in same groups.

[0052]. At various places in the present specification, substituents of compounds disclosed herein are disclosed in groups or in ranges. It is specifically intended that the invention include each and every individual subcombination of the members of such groups and ranges. For example, the term “C₁-C₆ alkyl” or “C₁₋₆ alkyl” is specifically intended to individually disclose methyl, ethyl, C₃ alkyl, C₄ alkyl, C₅ alkyl, and C₆ alkyl.

[0053]. The term “alkyl” or “alkyl group” refers to a saturated linear or branched-chain monovalent hydrocarbon group of 1-20 carbon atoms, wherein the alkyl group is optionally substituted with one or more substituents described herein. Unless otherwise stated, the alkyl group contains 1-20 carbon atoms. In some embodiments, the alkyl group contains 1-12 carbon atoms. In some embodiments, the alkyl group contains 1-8 carbon atoms. In other embodiments, the alkyl group contains 1-6 carbon atoms. In still other embodiments, the alkyl group contains 1-4 carbon atoms. In yet other embodiments, the alkyl group contains 1-3 carbon atoms.

[0054]. Some non-limiting examples of the alkyl group include methyl (Me, -CH₃), ethyl (Et, -CH₂CH₃), *n*-propyl (*n*-Pr, -CH₂CH₂CH₃), isopropyl (*i*-Pr, -CH(CH₃)₂), *n*-butyl (*n*-Bu, -CH₂CH₂CH₂CH₃), *i*-butyl (*i*-Bu, -CH₂CH(CH₃)₂), *s*-butyl (*s*-Bu, -CH(CH₃)CH₂CH₃), *t*-butyl (*t*-Bu, -C(CH₃)₃), *n*-pentyl (-CH₂CH₂CH₂CH₂CH₃), 2-pentyl (-CH(CH₃)CH₂CH₂CH₃), 3-pentyl (-CH(CH₂CH₃)₂), 2-methyl-2-butyl (-C(CH₃)₂CH₂CH₃), 3-methyl-2-butyl (-CH(CH₃)CH(CH₃)₂), 3-methyl-1-butyl (-CH₂CH₂CH(CH₃)₂), 2-methyl-1-butyl (-CH₂CH(CH₃)CH₂CH₃), and so on.

[0055]. The term “alkylene” refers to a saturated divalent hydrocarbon group derived from a straight or branched chain saturated hydrocarbon by the removal of two hydrogen atoms. Unless otherwise stated, the alkylene group contains 1-12 carbon atoms. In some embodiments, the alkylene group contains 1-8 carbon atoms. In other embodiments, the alkylene group contains 1-6 carbon atoms. In still other embodiments, the alkylene group contains 1-4 carbon atoms. In yet other embodiments, the alkylene group contains 1-3 carbon atoms. In yet other embodiments, the alkylene group contains 1-2 carbon atoms. Some non-limiting examples of such group include methylene (-CH₂-), ethylene (-CH₂CH₂-), propylene (-CH₂CH₂CH₂-), -CH(CH₃)CH₂-, -C(CH₃)₂-, -CH₂CH₂CH(CH₃)-, -CH₂CH₂C(CH₃)₂-, and the like.

[0056]. The term “alkenyl” refers to a linear or branched-chain monovalent hydrocarbon radical of 2 to 12 carbon atoms with at least one site of unsaturation, *i.e.*, a carbon-carbon, sp² double bond, wherein the alkenyl radical may be optionally substituted independently with one or more substituents described herein, and includes radicals having “*cis*” and “*trans*” orientations, or

alternatively, “*E*” and “*Z*” orientations. In some embodiments, the alkenyl contains 2 to 8 carbon atoms. In other embodiments, the alkenyl contains 2 to 6 carbon atoms. In still other embodiments, the alkenyl contains 2 to 4 carbon atoms. Some non-limiting examples of the alkenyl group include ethenyl or vinyl ($-\text{CH}=\text{CH}_2$), allyl ($-\text{CH}_2\text{CH}=\text{CH}_2$), propenyl ($\text{CH}_3-\text{CH}=\text{CH}-$), oxo substituted butenyl ($\text{CH}_3-\text{C}(=\text{O})-\text{CH}=\text{CH}-$), and so on.

[0057]. The term “alkynyl” refers to a linear or branched chain monovalent hydrocarbon radical of 2 to 12 carbon atoms with at least one carbon-carbon, sp triple bond.

[0058]. The term “alkoxy” refers to an alkyl group, as previously defined, attached to the parent molecular moiety via an oxygen atom. Some non-limiting examples of the alkoxy group include methoxy (MeO , $-\text{OCH}_3$), ethoxy (EtO , $-\text{OCH}_2\text{CH}_3$), 1-propoxy ($n\text{-PrO}$, n -propoxy, $-\text{OCH}_2\text{CH}_2\text{CH}_3$), 2-propoxy ($i\text{-PrO}$, i -propoxy, $-\text{OCH}(\text{CH}_3)_2$), and so on.

[0059]. The term “alkylthio” refers to an alkyl group, as previously defined, attached to the parent molecular moiety via a sulphur atom. Wherein the alkyl group is as defined herein. Some non-limiting examples of the alkylthio group include $-\text{SCH}_3$, $-\text{SCH}_2\text{CH}_3$, $-\text{SCH}_2\text{CH}_2\text{CH}_3$, $-\text{SCH}(\text{CH}_3)_2$, and so on.

[0060]. The term “cycloalkyl” refers to a monovalent or multivalent saturated ring having 3 to 12 carbon atoms as a monocyclic, bicyclic, or tricyclic ring system. In some embodiments, the cycloalkyl group contains 3 to 10 carbon atoms. In other embodiments, the cycloalkyl group contains 3 to 8 carbon atoms. In still other embodiments, the cycloalkyl group contains 3 to 6 carbon atoms. The cycloalkyl radical is optionally substituted with one or more substituents described herein. Some examples include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, cyclononyl, cyclodecyl, cycloundecyl and dodecyl, *etc.*

[0061]. The term “heterocycle”, “heterocyclyl”, or “heterocyclic ring” as used interchangeably herein refers to a saturated or partially unsaturated monocyclic, bicyclic or tricyclic ring containing 3-15 ring atoms of which at least one ring atom is selected from nitrogen, sulfur and oxygen, and of which may, unless otherwise specified, be carbon or nitrogen linked, and of which a $-\text{CH}_2-$ group can optionally be replaced by a $-\text{C}(=\text{O})-$ group. In heterocyclyl group, the ring sulfur atom can be optionally oxygenized to S-oxide and the ring nitrogen atom can be optionally oxygenized to N-oxide. Examples of heterocyclyl include, but are not limited to, oxiranyl, azetidiny, oxetanyl, thietanyl, pyrrolidiny (*e.g.* 2-pyrrolidiny), 2-pyrroliny,

3-pyrrolinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, tetrahydrofuranyl, dihydrofuranyl, tetrahydrothienyl, dihydrothienyl, 1,3-dioxolanyl, dithiolanyl, tetrahydropyranyl, dihydropyranyl, 2*H*-pyranyl, 4*H*-pyranyl, tetrahydrothiopyranyl, piperidinyl (2-piperidinyl, 3-piperidinyl, 4-piperidinyl), morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl, 1,1-dioxothiomorpholinyl, piperazinyl, dioxanyl, dithianyl, thioxanyl, homopiperazinyl, homopiperidinyl, oxepanyl, thiepanyl, 2-oxa-5-azabicyclo[2.2.1]hept-5-yl, tetrahydropyridyl. Some non-limiting examples of heterocyclyl wherein -CH₂- group is replaced by -C(=O)- moiety include 2-oxopyrrolidinyl, oxo-1,3-thiazolidinyl, 2-piperidinonyl and 3,5-dioxopiperidinyl. Some non-limited examples of heterocyclyl wherein the ring sulfur atom is oxidized is sulfolanyl, 1,1-dioxo-thiomorpholinyl. And wherein the carbocyclyl group is optionally substituted with one or more substituents described herein.

[0062]. The term “3-12 membered heterocyclyl”, “3-10 membered heterocyclyl”, “3-10 membered heterocyclyl” or “3-6 membered heterocyclyl”, wherein “3-12 membered”, “3-10 membered”, “3-8 membered” or “3-6 membered” typically describe the number of ring atoms of a molecule. For example, piperidinyl is an example of a 6 membered heterocyclyl group.

[0063]. The term “unsaturated” refers to a moiety having one or more units of unsaturation.

[0064]. The term “heteroatom” refers to one or more of oxygen, sulfur, nitrogen, phosphorus and silicon, including any oxidized form of nitrogen, sulfur, and phosphorus; the quaternized form of any basic nitrogen; or a substitutable nitrogen of a heterocyclic ring, for example, N (as in 3,4-dihydro-2*H*-pyrrolyl), NH (as in pyrrolidinyl) or NR (as in *N*-substituted pyrrolidinyl).

[0065]. The term “halogen” refers to fluorine (F), chlorine (Cl), bromine (Br) or iodine (I).

[0066]. The term “aryl” refers to monocyclic, bicyclic and tricyclic carbocyclic ring systems having a total of six to fourteen ring members, or six to twelve ring members, or six to ten ring members, wherein at least one ring in the system is aromatic, wherein each ring in the system contains 3 to 7 ring members and that has a single point or multipoint of attachment to the rest of the molecule. The term “aryl” and “aromatic ring” can be used interchangeably herein. Examples of the aryl group would include phenyl, naphthyl, and anthryl. The aryl radical is optionally substituted with one or more substituents described herein.

[0067]. The term “heteroaryl” refers to monocyclic, bicyclic and tricyclic carbocyclic ring systems having a total of five to twelve ring members, or five to ten ring members, or five to six ring members, wherein at least one ring in the system is aromatic, and in which at least one ring

member is selected from heteroatom, and wherein each ring in the system contains 5 to 7 ring members and that has a single point or multipoint of attachment to the rest of the molecule. The term “heteroaryl” and “heteroaromatic ring” or “heteroaromatic compound” can be used interchangeably herein. The heteroaryl group is optionally substituted with one or more substituents disclosed herein. In one embodiment, a 5-10 membered heteroaryl comprises 1, 2, 3 or 4 heteroatoms independently selected from O, S and N.

[0068]. Some non-limiting examples of the heteroaryl ring include 2-furanyl, 3-furanyl, *N*-imidazolyl, 2-imidazolyl, 4-imidazolyl, 5-imidazolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, *N*-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, pyridazinyl (*e.g.*, 3-pyridazinyl), 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, tetrazolyl (*e.g.*, 5-tetrazolyl), triazolyl (*e.g.*, 2-triazolyl and 5-triazolyl), 2-thienyl, 3-thienyl, pyrazolyl, isothiazolyl, 1,2,3-oxadiazolyl, 1,2,5-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,3-triazolyl, 1,2,3-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,5-thiadiazolyl, pyrazinyl, 1,3,5-triazinyl, oxopyrimidinyl, oxopyridinyl; and the following bicycles, but are not limited to: benzimidazolyl, benzofuryl, benzotetrahydrofuryl, benzothienyl, indolyl (*e.g.*, 2-indolyl), benzopiperidyl, and so on.

[0069]. Salts of the compound disclosed herein include those derived from alkali or alkaline earth metals and those derived from ammonia and amines. Preferred cations include sodium, potassium, magnesium, and aminium (ammonium) cations of the formula $N^+(R^{19}R^{20}R^{21}R^{22})$, wherein each R^{19} , R^{20} , R^{21} and R^{22} is independently selected from H, C_1 - C_6 alkyl and C_1 - C_6 hydroxyalkyl. Salts of the compound having Formula (I) can be prepared by treatment of the compound having Formula (I) with a metal hydroxide, such as sodium hydroxide, or with an amine, such as ammonia, trimethylamine, diethanolamine, 2-methylthiopropylamine, bisallylamine, 2-butoxyethylamine, morpholine, cyclododecylamine, or benzylamine.

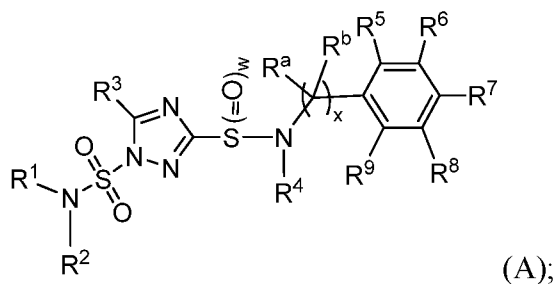
[0070]. When a compound of this invention contains a basic moiety, acceptable salts can be formed from organic and inorganic acids, for example, acetic, propionic, lactic, citric, tartaric, succinic, fumaric, maleic, malonic, mandelic, malic, phthalic, hydrochloric, hydrobromic, phosphoric, nitric, sulfuric, methanesulfonic, naphthalenesulfonic, benzenesulfonic, toluenesulfonic, camphorsulfonic, and similarly known acceptable acids.

DESCRIPTION OF COMPOUNDS OF THE INVENTION

[0071]. The invention provides a novel fungicidal compound having an excellent controlling

effect on plant diseases, a fungicidal composition and formulation containing the fungicidal compound, and use thereof.

[0072]. In one aspect, provided herein is a compound having Formula (A) or a stereoisomer, an *N*-oxide or a salt thereof,



wherein

each of R^1 and R^2 is independently H, alkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, cycloalkylalkyl, heterocyclalkyl, arylalkyl, halo substituted arylalkyl or heteroarylalkyl; or R^1 and R^2 , together with the N atom to which they are attached, form a heterocyclyl or heteroaryl group;

wherein the heterocyclyl or heteroaryl group formed from R^1 and R^2 , together with the N atom to which they are attached is optionally substituted with one or more R^{12} ;

R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, aryl or haloaryl;

w is 0, 1 or 2;

R^4 is H, alkyl, $-C(=O)$ -alkyl, $-alkylene-C(=O)$ -alkyl, $-C(=O)$ -O-alkyl, $-alkylene-C(=O)$ -O-alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, cycloalkylalkyl or heterocyclalkyl; wherein R^4 is optionally substituted with one or more R^{14} ;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl or cycloalkyl;

or R^a and R^b together form oxo ($=O$);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-NR^cR^d$, $-NR^m-C(=O)-R^n$, $-NR^p(OR^q)$, $-C(=O)-R^x$, $-C(=O)-OR^y$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy substituted alkyl, amino substituted alkyl, cyano substituted alkyl, alkoxy, haloalkoxy, hydroxy substituted alkoxy, amino substituted alkoxy, cyano substituted alkoxy, alkylamino, alkylthio or aryloxy;

wherein R^5 and R^6 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{15} ;

wherein R^6 and R^7 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{16} ;

wherein R^7 and R^8 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{17} ;

wherein R^8 and R^9 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{18} ;

wherein each of R^p and R^q is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or $-C(=O)-OR^{y1}$;

wherein each of R^c , R^d , R^m , R^n , R^{y1} , R^x and R^y is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl;

wherein each R^1 , R^2 , R^3 , R^5 , R^6 , R^7 , R^8 , R^9 , R^a , R^b , R^c , R^d , R^m , R^n , R^x and R^y is optionally substituted with one, two, three, four, five or six R^{10} ;

each R^{10} , R^{12} and R^{14} is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-OR^z$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo C_{1-6} alkyl, halo C_{2-6} alkenyl, halo C_{2-6} alkynyl, hydroxy substituted C_{1-6} alkyl, amino substituted C_{1-6} alkyl, cyano substituted C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkoxy, hydroxy substituted C_{1-6} alkoxy, amino substituted C_{1-6} alkoxy, cyano substituted C_{1-6} alkoxy, C_{1-6} alkylamino, C_{3-8} cycloalkyl, C_{6-10} aryl or C_{1-9} heteroaryl;

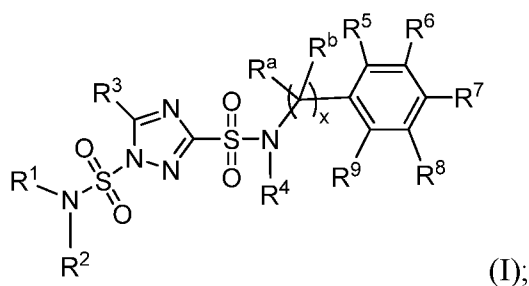
wherein R^z is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo C_{1-6} alkyl, halo C_{2-6} alkenyl, halo C_{2-6} alkynyl, C_{3-8} cycloalkyl, 3-12 membered heterocyclyl, C_{6-10} aryl or C_{1-9} heteroaryl;

each R^{15} , R^{16} , R^{17} and R^{18} is independently H, halogen, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, haloalkyl or haloalkoxy;

with the proviso that when R^1 and R^2 is methyl, R^3 is H, w is 2, R^4 is H and x is 0, R^7 is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

[0073]. In some embodiments, provided herein is a compound having Formula (I) or a

stereoisomer, an *N*-oxide or a salt thereof,



wherein

each of R^1 and R^2 is independently H, alkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, cycloalkylalkyl, heterocyclalkyl, arylalkyl, halo substituted arylalkyl or heteroarylalkyl; or R^1 and R^2 , together with the N atom to which they are attached, form a heterocycl or heteroaryl group;

wherein the heterocycl or heteroaryl group formed from R^1 and R^2 , together with the N atom to which they are attached is optionally substituted with one or more R^{12} ;

R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, aryl or haloaryl;

R^4 is H, alkyl, $-C(=O)$ -alkyl, $-alkylene-C(=O)$ -alkyl, $-C(=O)$ -O-alkyl, $-alkylene-C(=O)$ -O-alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, cycloalkylalkyl or heterocyclalkyl; wherein R^4 is optionally substituted with one or more R^{14} ;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl or cycloalkyl;

or R^a and R^b together form oxo ($=O$);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-NR^cR^d$, $-NR^m-C(=O)-R^n$, $-NR^p(OR^q)$, $-C(=O)-R^x$, $-C(=O)-OR^y$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy substituted alkyl, amino substituted alkyl, cyano substituted alkyl, alkoxy, haloalkoxy, hydroxy substituted alkoxy, amino substituted alkoxy, cyano substituted alkoxy, alkylamino, alkylthio or aryloxy;

wherein R^5 and R^6 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{15} ;

wherein R^6 and R^7 , together with the carbon atoms to which they are attached, optionally

form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or -C(=O)-OR^{y1};

wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl;

wherein each R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b, R^c, R^d, R^m, Rⁿ, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

each R¹⁰, R¹² and R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-OR^z, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, hydroxy substituted C₁₋₆ alkyl, amino substituted C₁₋₆ alkyl, cyano substituted C₁₋₆ alkyl, C₁₋₆ alkoxy, halo C₁₋₆ alkoxy, hydroxy substituted C₁₋₆ alkoxy, amino substituted C₁₋₆ alkoxy, cyano substituted C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₃₋₈ cycloalkyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

wherein R^z is C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, 3-12 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, haloalkyl or haloalkoxy;

with the proviso that when R¹ and R² is methyl, R³ is H, w is 2, R⁴ is H and x is 0, R⁷ is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

[0074]. In some embodiments, each of R¹ and R² is independently H, C₁₋₆ alkyl, C₁₋₆ alkoxy-C₁₋₆-alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₂₋₁₀ heterocyclyl, C₆₋₁₀ aryl, C₁₋₉ heteroaryl, C₃₋₈ cycloalkyl-C₁₋₆-alkyl, C₂₋₁₀ heterocyclyl-C₁₋₆-alkyl, C₆₋₁₀ aryl-C₁₋₆-alkyl, halo substituted C₆₋₁₀ aryl-C₁₋₆-alkyl or C₁₋₉ heteroaryl-C₁₋₆-alkyl; or R¹ and R², together with the N atom to which they are attached, form 3-8 membered heterocyclyl or C₁₋₉ heteroaryl;

wherein the 3-8 membered heterocyclyl or C₁₋₉ heteroaryl formed from R¹ and R², together with the N atom to which they are attached is optionally substituted with one or more R¹²;

wherein R¹² is as defined herein.

[0075]. In some embodiments, R³ is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₆₋₁₀ aryl or halo C₆₋₁₀ aryl.

[0076]. In some embodiments, R⁴ is H, C₁₋₆ alkyl, -C(=O)-C₁₋₆ alkyl, -C₁₋₆ alkylene-C(=O)-C₁₋₆ alkyl, -C(=O)-O-C₁₋₆ alkyl, -C₁₋₆ alkylene-C(=O)-O-C₁₋₆ alkyl, C₁₋₆ alkoxy, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, 3-12 membered heterocyclyl, C₆₋₁₀ aryl, C₆₋₁₀ aryl-C₁₋₆-alkyl, C₃₋₈ cycloalkyl-C₁₋₆-alkyl or C₂₋₁₀ heterocyclyl-C₁₋₆-alkyl; wherein R⁴ is optionally substituted with one or more R¹⁴;

wherein R¹⁴ is as defined herein.

[0077]. In some embodiments, each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl or C₃₋₈ cycloalkyl;

or R^a and R^b together form oxo (=O).

[0078]. In some embodiments, x is 0, 1, 2, 3, 4, 5 or 6.

[0079]. In some embodiments, each of R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^cR^d, -NR^m-C(=O)-Rⁿ, -NR^p(OR^q), -C(=O)-R^x, -C(=O)-OR^y, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, hydroxy substituted C₁₋₆ alkyl, amino substituted C₁₋₆ alkyl, cyano substituted C₁₋₆ alkyl, C₁₋₆ alkoxy, halo C₁₋₆ alkoxy, hydroxy substituted C₁₋₆ alkoxy, amino substituted C₁₋₆ alkoxy, cyano substituted C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₁₋₆ alkylthio or C₆₋₁₀ aryloxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁵;

wherein R⁶ and R⁷, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally

substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein R^c, R^d, R^m, Rⁿ, R^p, R^q, R¹⁵, R¹⁶, R¹⁷, R¹⁸, R^x and R^y are as defined herein.

[0080]. In some embodiments, wherein each of R^p and R^q is independently H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl, C₁₋₆ heteroaryl or -C(=O)-OR^{y1};

wherein R^{y1} is as defined herein.

[0081]. In some embodiments, wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl.

[0082]. In some embodiments, wherein each R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b, R^c, R^d, R^m, Rⁿ, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

wherein R¹⁰ is as defined herein.

[0083]. In some embodiments, each R¹⁰, R¹² and R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-OR^z, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, hydroxy substituted C₁₋₄ alkyl, amino substituted C₁₋₄ alkyl, cyano substituted C₁₋₄ alkyl, C₁₋₄ alkoxy, halo C₁₋₄ alkoxy, hydroxy substituted C₁₋₄ alkoxy, amino substituted C₁₋₄ alkoxy, cyano substituted C₁₋₄ alkoxy, C₁₋₄ alkylamino, C₃₋₆ cycloalkyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

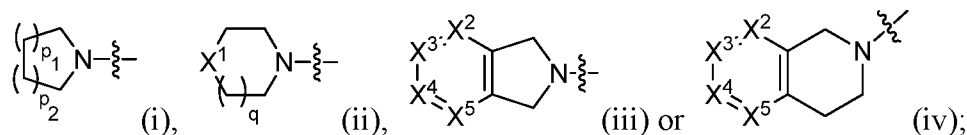
wherein R^z is as defined herein.

[0084]. In some embodiments, wherein R^z is C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl.

[0085]. In some embodiments, each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₁₋₆ alkylamino, halo C₁₋₆ alkyl or halo C₁₋₆ alkoxy.

[0086]. In some embodiments, each of R¹ and R² is independently H, C₁₋₄ alkyl, C₁₋₃ alkoxy-C₁₋₃-alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, C₂₋₆ heterocyclyl, C₆₋₁₀ aryl, C₁₋₅ heteroaryl, C₃₋₆ cycloalkyl-C₁₋₄-alkyl, C₂₋₆ heterocyclyl-C₁₋₄-alkyl, C₆₋₁₀ aryl-C₁₋₄-alkyl, halo

substituted C₆₋₁₀ aryl-C₁₋₄-alkyl or C₁₋₅ heteroaryl-C₁₋₄-alkyl; or R¹ and R², together with the N atom to which they are attached, form the following sub-structures:



wherein each of p₁ and p₂ is independently 0, 1 or 2;

q is 0, 1 or 2;

X¹ is -O-, -S-, -S(=O)-, -S(=O)₂- or -NH-;

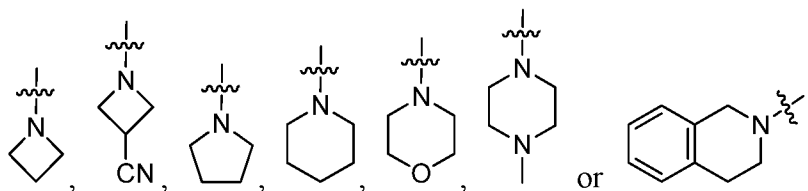
each X², X³, X⁴ and X⁵ is independently N or CH;

wherein sub-structure (i), (ii), (iii) or (iv) is optionally substituted with one or more R¹²;

wherein R¹² is as defined herein.

[0087]. In other embodiments, each of R¹ and R² is independently H, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂CH=CH₂, -CH₂CH₂CH=CH₂, -CH₂CH=CHCH₃, -CH₂-O-CH₃, -CH₂CH₂-O-CH₃, -CH₂-O-CH₂CH₃, -CH₂CH₂-O-CH₂CH₃, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, benzyl, 4-fluorobenzyl or 4-cyanobenzyl;

or R¹ and R², together with the N atom to which they are attached, form the following sub-structures:



[0088]. In some embodiments, R³ is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₆₋₁₀ aryl or halo C₆₋₁₀ aryl.

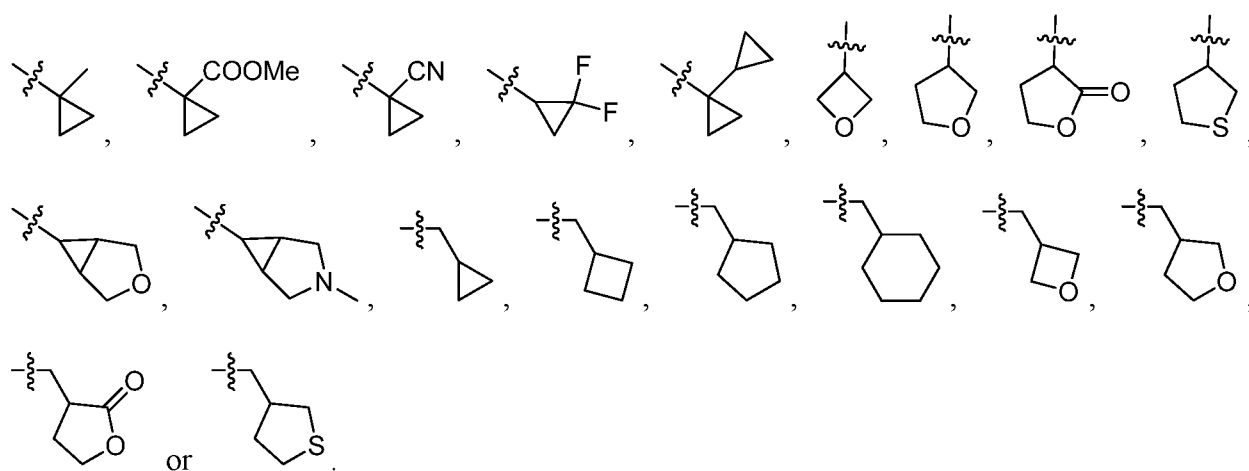
[0089]. In other embodiments, R³ is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -CH₃, -CHF₂, -CH₂F, -CH₂CH₃, -CH₂CH₂F, -CH₂CHF₂, -CH₂CH₂CH₃, -CH(CH₃)₂, -C(CH₃)₃, phenyl, 2-fluorophenyl, 3-fluorophenyl or 4-fluorophenyl.

[0090]. In some embodiments, R⁴ is H, C₁₋₄ alkyl, -C(=O)-C₁₋₄ alkyl, -C₁₋₄ alkylene-C(=O)-C₁₋₄ alkyl, -C(=O)-O-C₁₋₄ alkyl, -C₁₋₄ alkylene-C(=O)-O-C₁₋₄ alkyl, C₁₋₄ alkoxy, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-10 membered heterocyclyl, C₆₋₁₀ aryl, C₆₋₁₀ aryl-C₁₋₃-alkyl, C₃₋₆ cycloalkyl-C₁₋₃-alkyl or C₂₋₆ heterocyclyl-C₁₋₃-alkyl; wherein R⁴ is optionally substituted with one, two, three, four or five R¹⁴;

wherein R^{14} is as defined herein.

[0091]. In some embodiments, each R^{14} is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-O-C_{1-3}$ alkyl, C_{1-3} alkyl, C_{1-3} alkoxy, C_{3-6} cycloalkyl or phenyl.

[0092]. In some embodiments, R^4 is H, $-CH_3$, $-CHF_2$, $-CH_2(CN)$, $-CH_2CH_3$, $-CH(CN)CH_3$, $-CH_2CHF_2$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-C(CH_3)_3$, $-CH_2CH=CH_2$, $-CH_2CH_2CH=CH_2$, $-CH_2CH=CHCH_3$, $-C(=O)-CH_3$, $-C(=O)-CH_2CH_3$, $-C(=O)-OCH_3$, $-C(=O)-OCH_2CH_3$, $-CH_2-C(=O)-OCH_3$, $-CH_2-C(=O)-OCH_2CH_3$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl or benzyl; or R^4 has the following sub-structures:



[0093]. In some embodiments, each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl or C_{3-6} cycloalkyl.

[0094]. In some embodiments, each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH=CH_2$, $-CH_2-CH=CH_2$, $-CH_2F$, $-CHF_2$ or $-CF_3$.

[0095]. In some embodiments, each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-NR^cR^d$, $-NR^m-C(=O)-R^n$, $-NR^p(OR^q)$, $-C(=O)-R^x$, $-C(=O)-OR^y$, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl, hydroxy substituted C_{1-4} alkyl, amino substituted C_{1-4} alkyl, cyano substituted C_{1-4} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkoxy, hydroxy substituted C_{1-4} alkoxy, amino substituted C_{1-4} alkoxy, cyano substituted C_{1-4} alkoxy, C_{1-4} alkylamino, C_{1-4} alkylthio or C_{6-10} aryloxy;

wherein R^c , R^d , R^m , R^n , R^p , R^q , R^x and R^y are as defined herein.

[0096]. In some embodiments, wherein each of R^p and R^q is independently H, C_{1-3} alkyl, C_{2-4}

alkenyl, C₂₋₄ alkynyl, halo C₁₋₃ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-6 membered heterocyclyl, C₆₋₁₀ aryl, C₁₋₅ heteroaryl or -C(=O)-OR^{y1};

wherein R^{y1} is as defined herein.

[0097]. In some embodiments, each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-6 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl.

[0098]. In some embodiments, wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁵;

wherein R¹⁵ is as defined herein.

[0099]. In some embodiments, wherein R⁶ and R⁷, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R¹⁶ is as defined herein.

[00100]. In some embodiments, wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R¹⁷ is as defined herein.

[00101]. In some embodiments, wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein R¹⁸ is as defined herein.

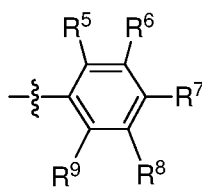
[00102]. In some embodiments, wherein each R⁵, R⁶, R⁷, R⁸, R⁹, R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

wherein R¹⁰ is as defined herein.

[00103]. In some embodiments, each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₁₋₄ alkoxy, C₁₋₄ alkylamino, halo C₁₋₄ alkyl or halo

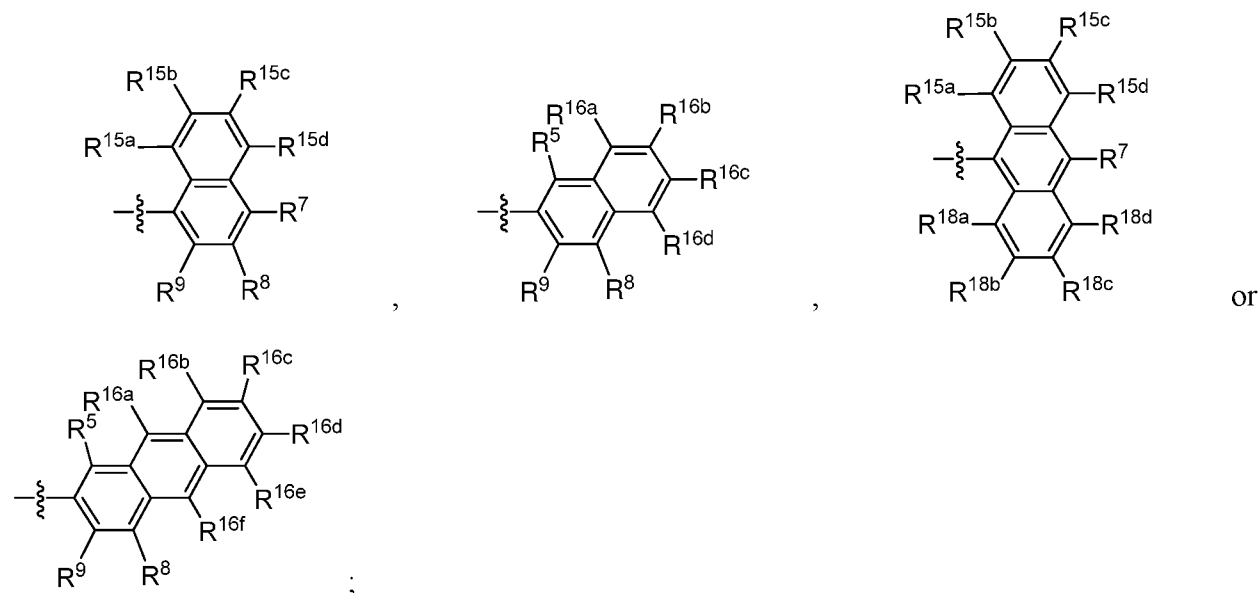
C₁₋₄ alkoxy.

[00104]. In other embodiments, each R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂F, -CHF₂, -CF₃, -CH₂CH₂F, -CH₂CHF₂, -CH₂CF₃, -CH=CH₂, -CH₂-CH=CH₂, -OCH₃, -OCH₂CH₃, -OCH₂CH₂CH₃, -OCH(CH₃)₂, -OCF₃, -OCH₂CF₃, -NH-C(=O)-CH₃, -NH-C(=O)-CH₂CH₃, -NH-OH, -N(OH)-C(=O)-OCH₃, -N(OC(=O)OCH₃)-C(=O)-OCH₃, -C(=O)-CH₃, -C(=O)-CH₂CH₃, -C(=O)-OCH₃, -C(=O)-OCH₂CH₃, -SCH₃, -SCH₂CH₃, -SCH₂CH₂CH₃, -SCH(CH₃)₂, phenoxy, halophenoxy, C₁₋₄ alkyl substituted phenoxy, C₁₋₄ alkoxy substituted phenoxy, C₁₋₄ haloalkyl substituted phenoxy or C₁₋₄ haloalkoxy substituted phenoxy.



[00105]. In some embodiments,

has the following sub-structures:



wherein

each R⁵, R⁷, R⁸, R⁹, R^{15a}, R^{15b}, R^{15c}, R^{15d}, R^{16a}, R^{16b}, R^{16c}, R^{16d}, R^{16e}, R^{16f}, R^{18a}, R^{18b}, R^{18c} and R^{18d} is independently H, halo, cyano, nitro, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₁₋₆ alkylamino, halo C₁₋₆ alkyl or halo C₁₋₆ alkoxy.

[00106]. In other embodiments, each R⁵, R⁷, R⁸, R⁹, R^{15a}, R^{15b}, R^{15c}, R^{15d}, R^{16a}, R^{16b}, R^{16c}, R^{16d}, R^{16e}, R^{16f}, R^{18a}, R^{18b}, R^{18c} and R^{18d} is independently H, halo, cyano, nitro, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₁₋₄ alkoxy, C₁₋₄ alkylamino, halo C₁₋₄ alkyl or halo C₁₋₄ alkoxy.

[00107]. In other embodiments, each R⁵, R⁷, R⁸, R⁹, R^{15a}, R^{15b}, R^{15c}, R^{15d}, R^{16a}, R^{16b}, R^{16c}, R^{16d}, R^{16e}, R^{16f}, R^{18a}, R^{18b}, R^{18c} and R^{18d} is independently H, F, Cl, Br, I, cyano, nitro, methyl, ethyl,

n-propyl, isopropyl, *t*-butyl, vinyl, propenyl, allyl, ethynyl, propinyl, propargyl, methoxy, ethoxy, isopropoxy, *t*-butoxy, difluoromethyl, trifluoromethyl, difluoromethoxy, trifluoromethoxy, dimethylamino or diethylamino.

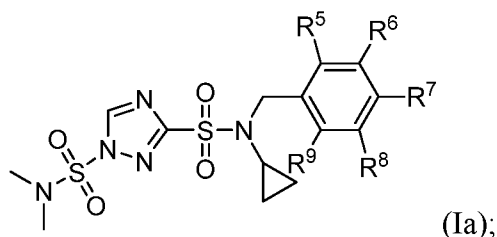
[00108]. In some embodiments, each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl or C₃₋₆ cycloalkyl;

or R^a and R^b together form oxo (=O).

[00109]. In other embodiments, each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂F, -CHF₂, -CF₃, -CH₂CH₂F, -CH₂CHF₂, -CH₂CF₃, -CH=CH₂, -CH₂-CH=CH₂, -OCH₃, -OCH₂CH₃, -OCH₂CH₂CH₃, -OCH(CH₃)₂, -OCF₃, -OCH₂CF₃, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl;

or R^a and R^b together form oxo (=O).

[00110]. In some embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof,



wherein

each R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, haloalkyl, alkenyl, alkoxy or haloalkoxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene ring; and wherein the benzene ring is optionally substituted with one, two, three or four substituents selected from F, Cl, Br, I, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, haloalkyl or haloalkoxy.

[00111]. In other embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof, wherein each R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₆ alkyl, halo C₁₋₆ alkyl, C₂₋₆ alkenyl, C₁₋₆ alkoxy or halo C₁₋₆ alkoxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally

form a benzene ring; and wherein the benzene ring is optionally substituted with one, two, three or four substituents selected from F, Cl, Br, I, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylamino, halo C₁₋₆ alkyl or halo C₁₋₆ alkoxy.

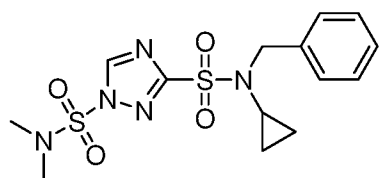
[00112]. In other embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof, wherein each R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₄ alkyl, halo C₁₋₄ alkyl, C₂₋₄ alkenyl, C₁₋₄ alkoxy or halo C₁₋₄ alkoxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene ring; and wherein the benzene ring is optionally substituted with one, two, three or four substituents selected from F, Cl, Br, I, cyano, nitro, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylamino, halo C₁₋₄ alkyl or halo C₁₋₄ alkoxy.

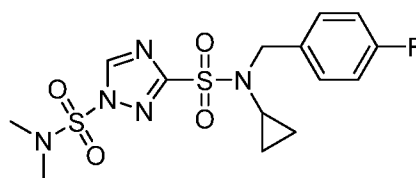
[00113]. In other embodiments, provided herein is a compound having Formula (Ia) or a stereoisomer, an *N*-oxide or a salt thereof, wherein each R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂F, -CHF₂, -CF₃, -CH₂CH₂F, -CH₂CHF₂, -CH₂CF₃, -CH=CH₂, -OCH₃, -OCH₂CH₃, -OCH₂CH₂CH₃, -OCH(CH₃)₂, -OCF₃ or -OCH₂CF₃;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene ring; and wherein the benzene ring is optionally substituted with one, two, three or four substituents selected from F, Cl, Br, I, cyano, nitro, -CH₃, -CF₃, -OCH₃ or -OCF₃.

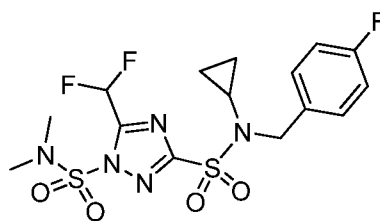
[00114]. In some embodiments, provided herein is a compound having one of the following structures or a stereoisomer, an *N*-oxide or a salt thereof,



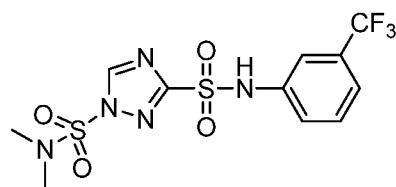
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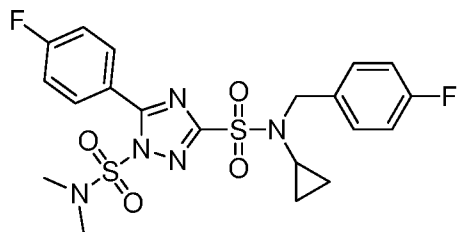
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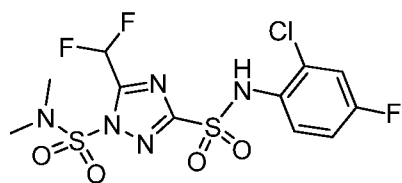
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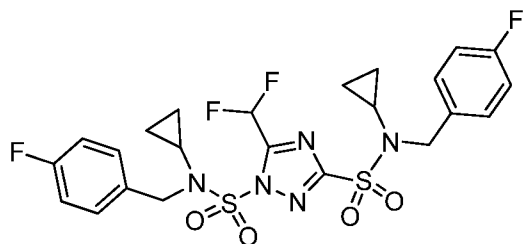
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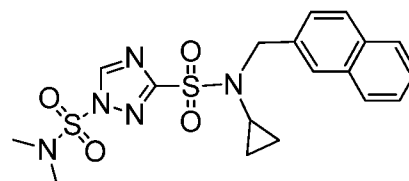
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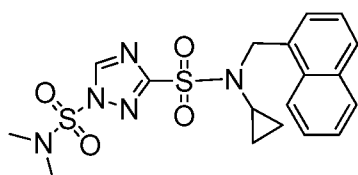
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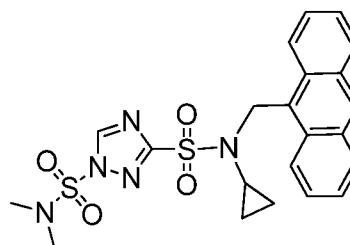
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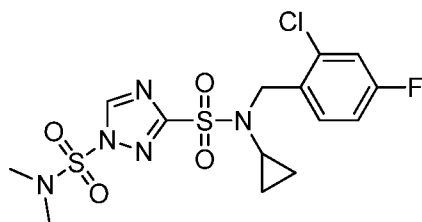
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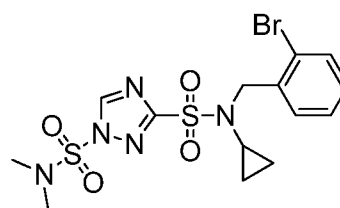
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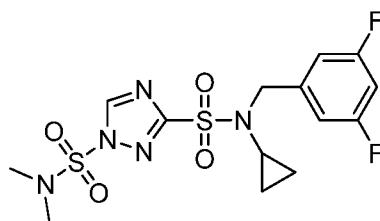
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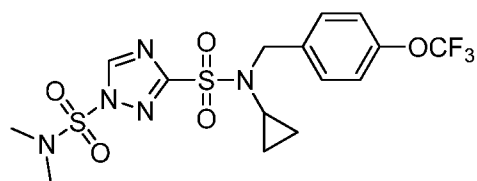
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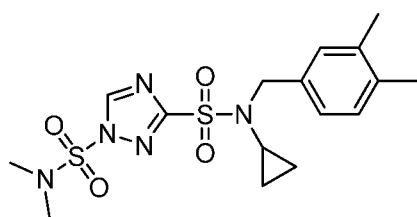
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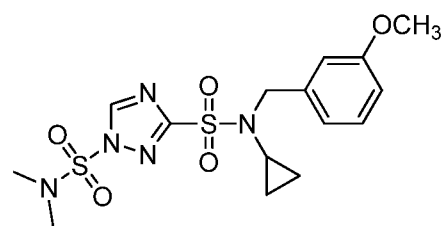
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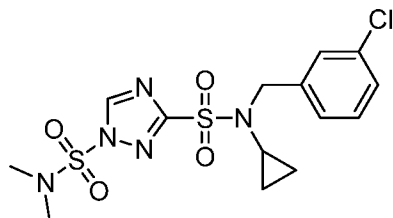
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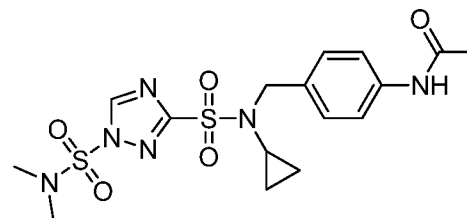
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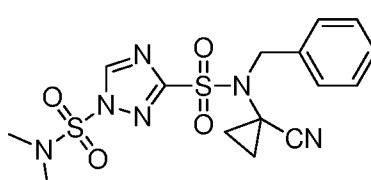
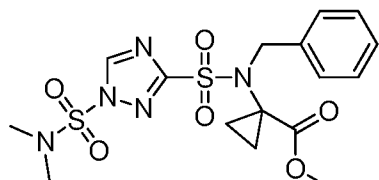
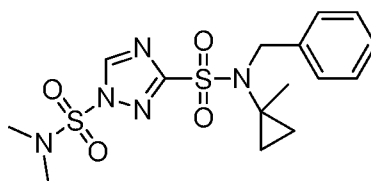
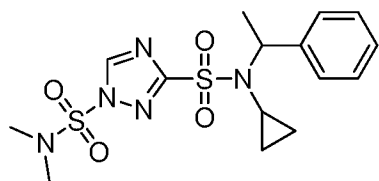
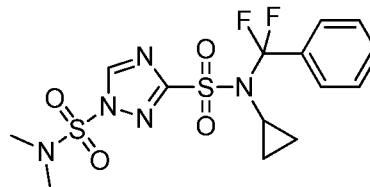
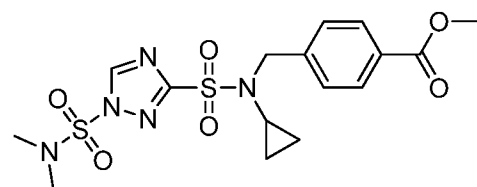
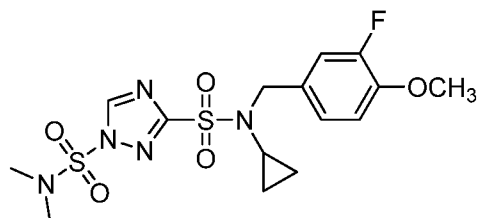
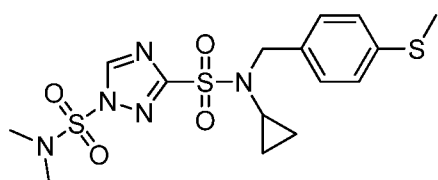
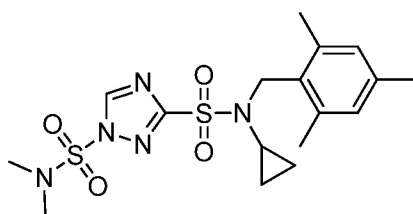
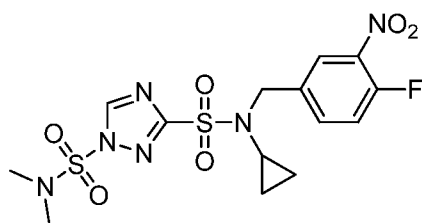
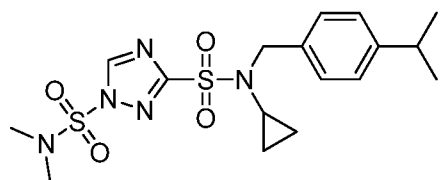
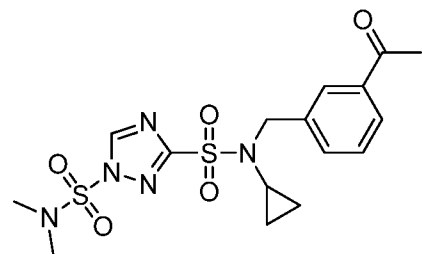
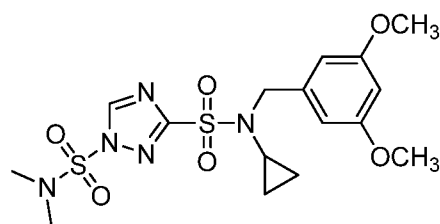
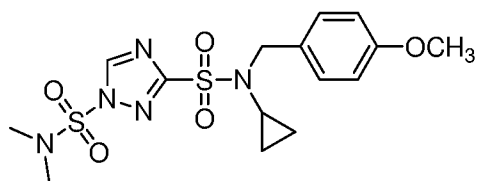
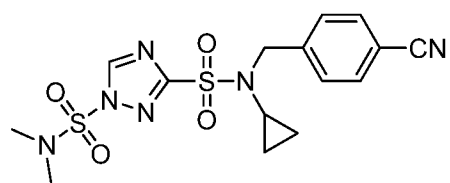
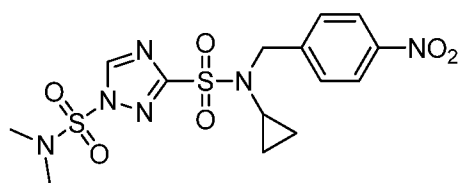
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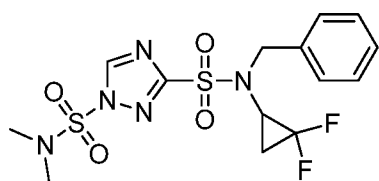


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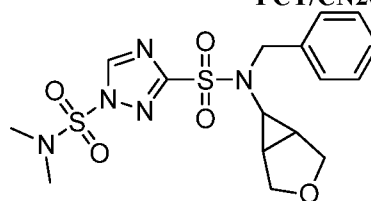


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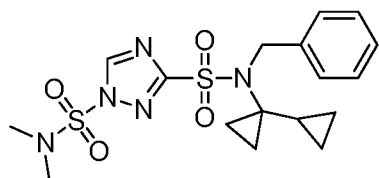




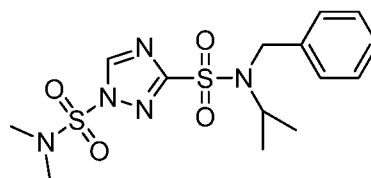
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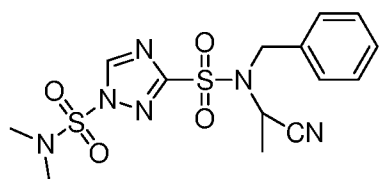
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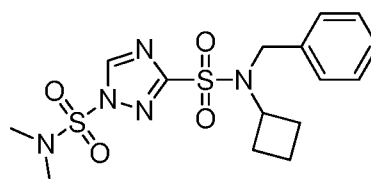
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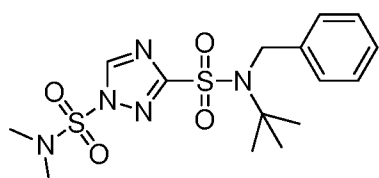
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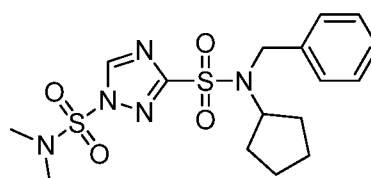
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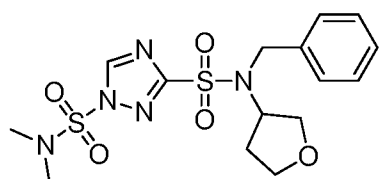
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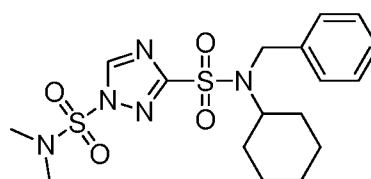
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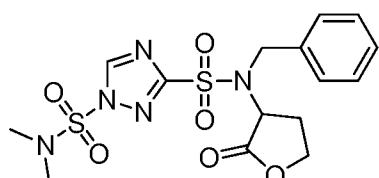
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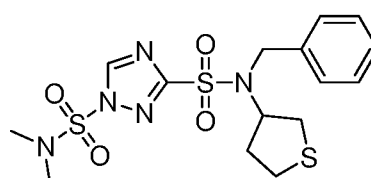
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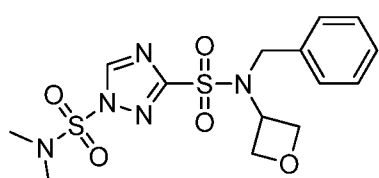
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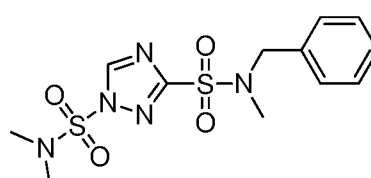
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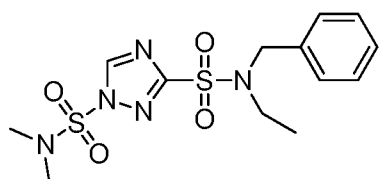
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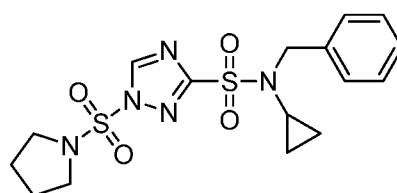
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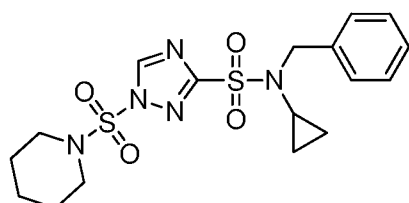
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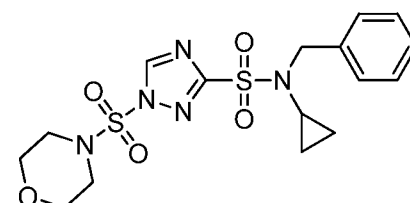
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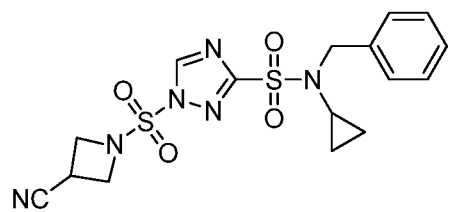
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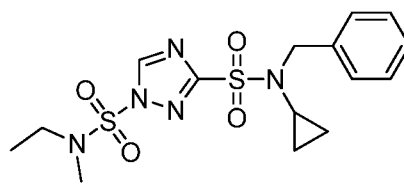
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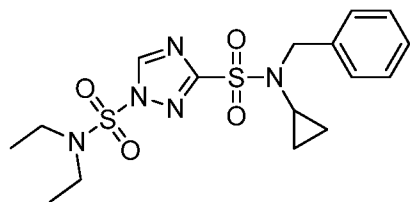
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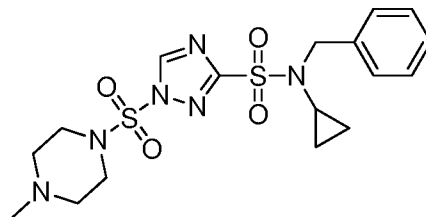
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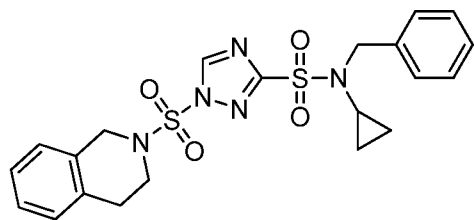
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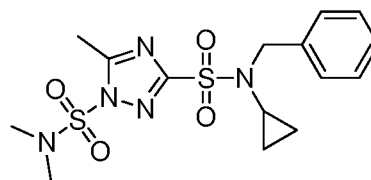
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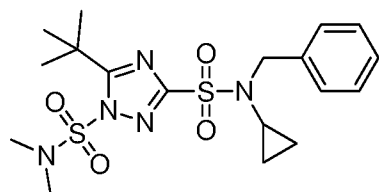
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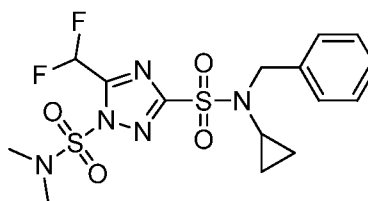
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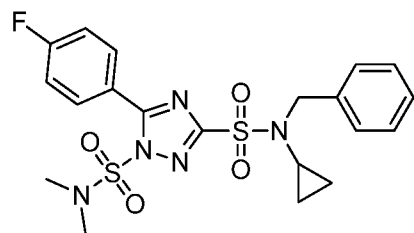
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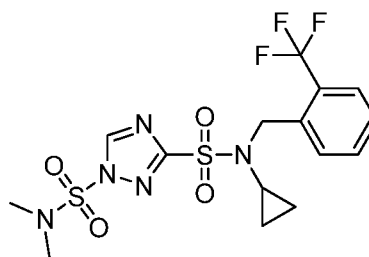
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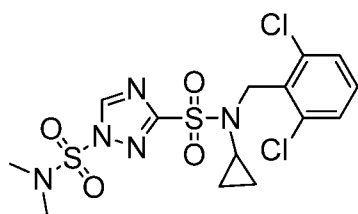
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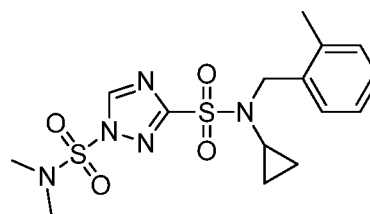
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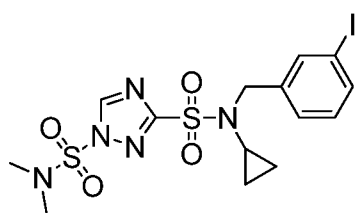
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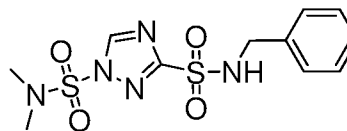
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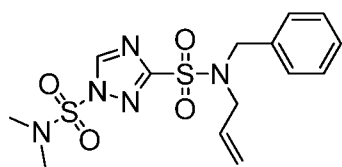
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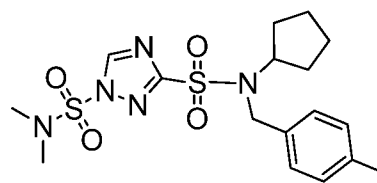
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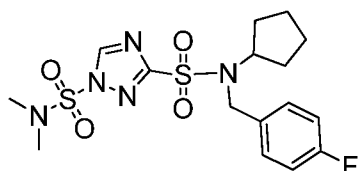
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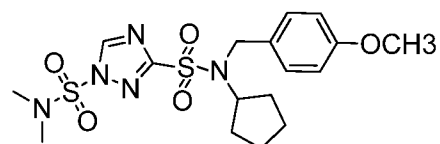
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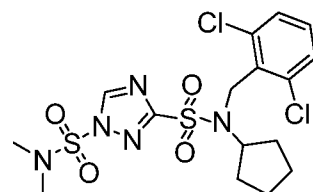
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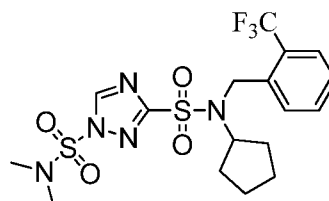
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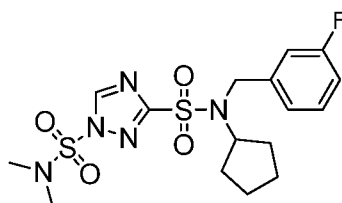
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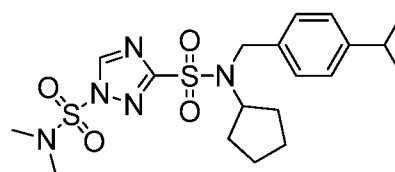
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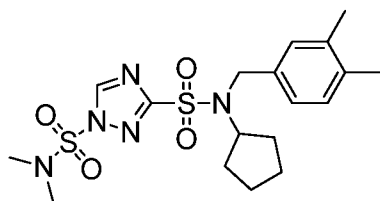
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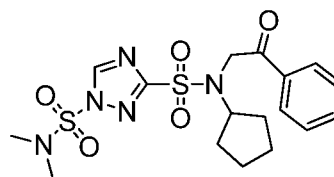
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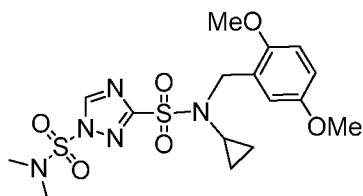
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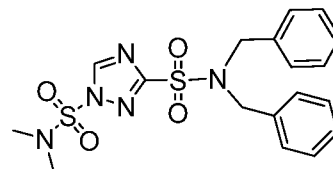
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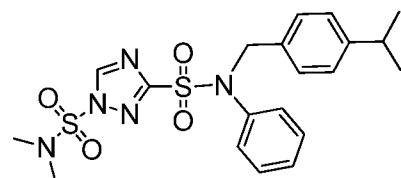
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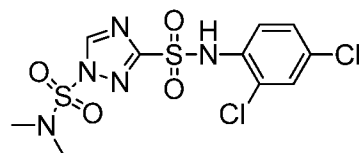
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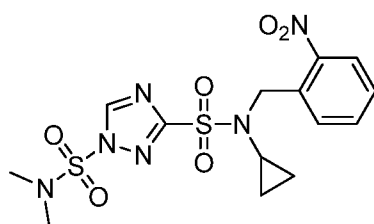
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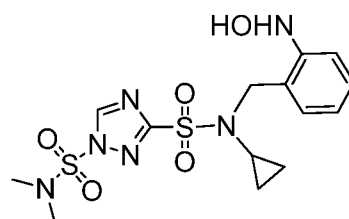
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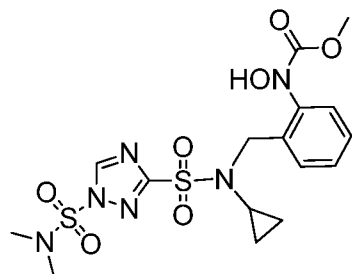
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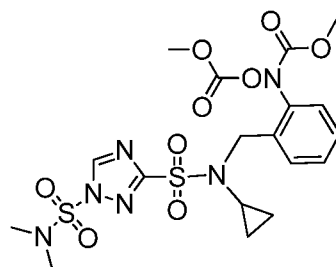
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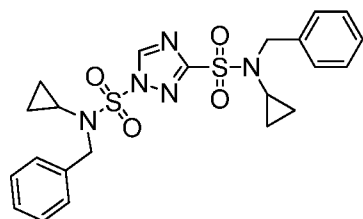
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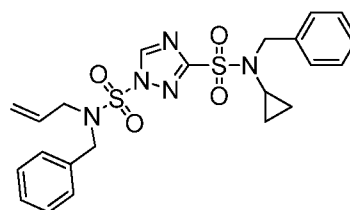
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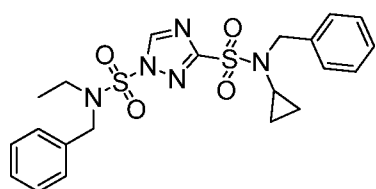
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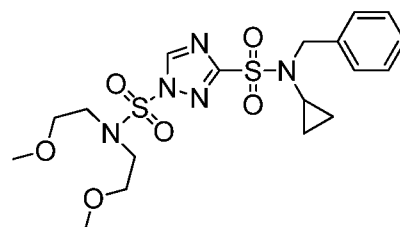
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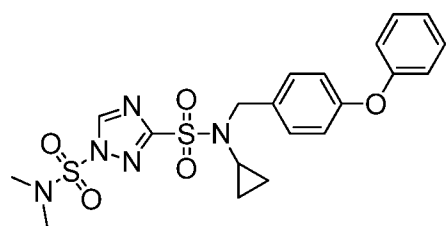
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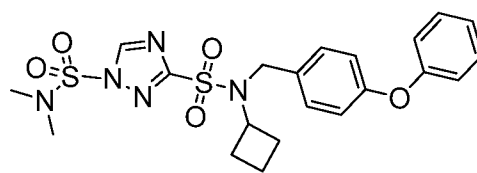
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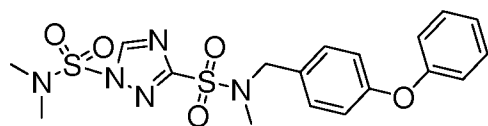
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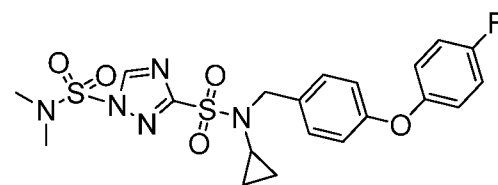
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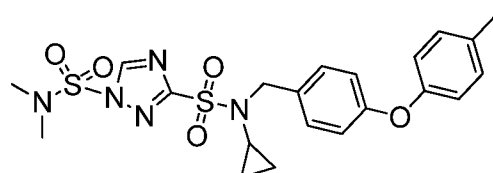
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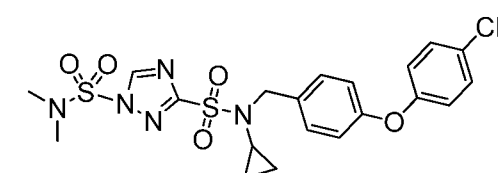
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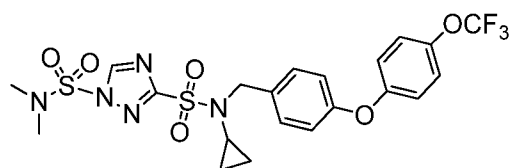
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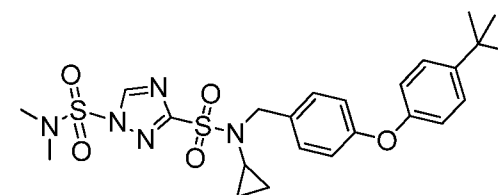
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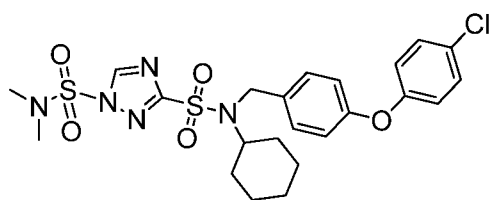
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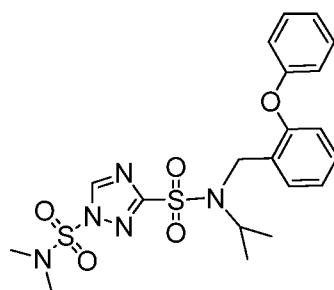
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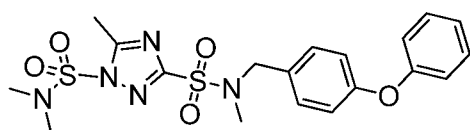
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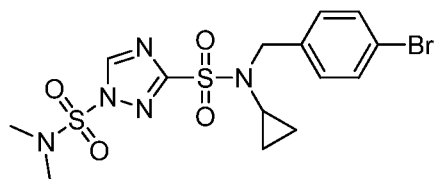
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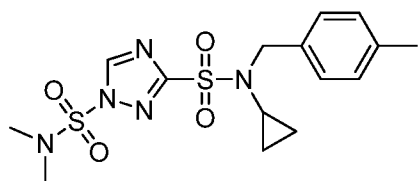
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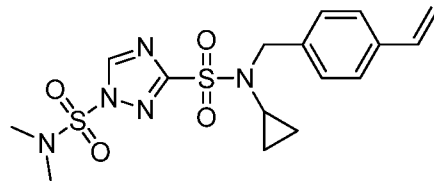
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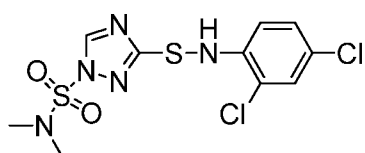
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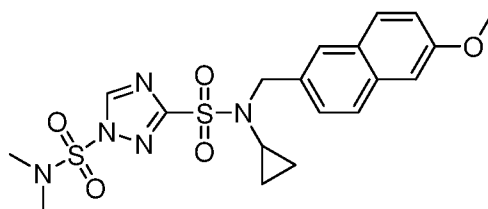
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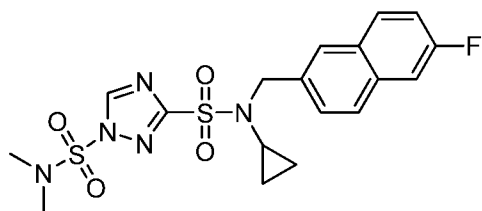
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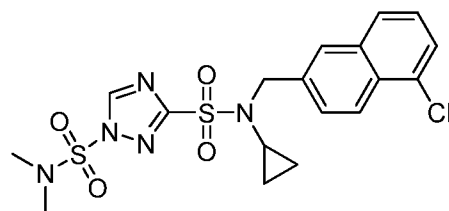
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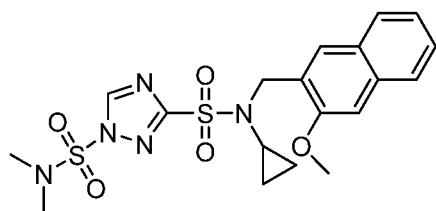
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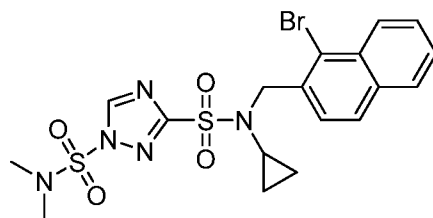
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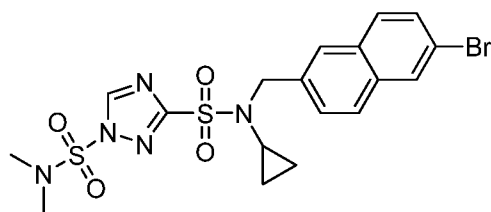
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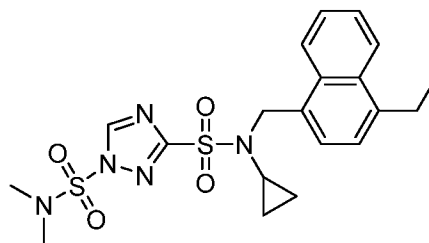
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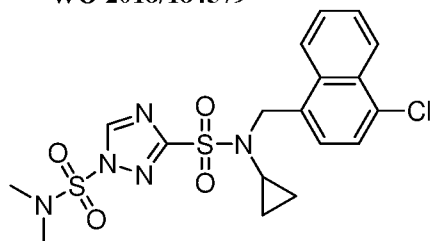
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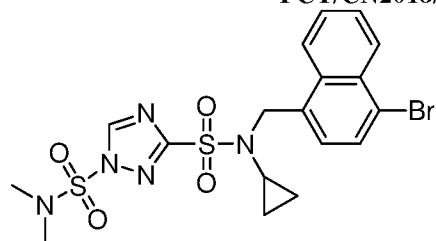
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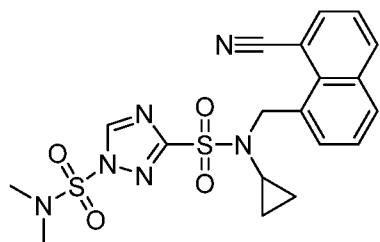
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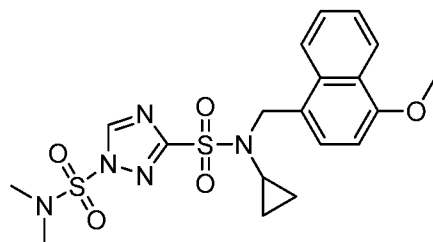
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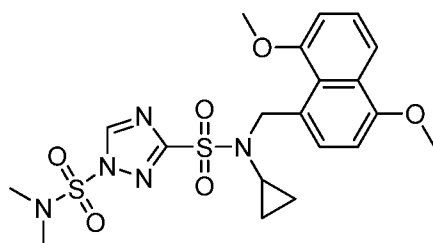
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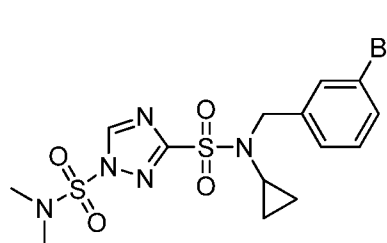
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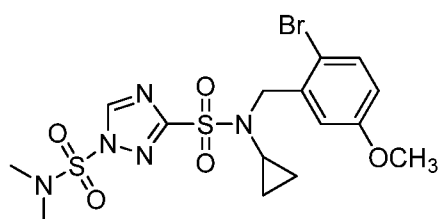
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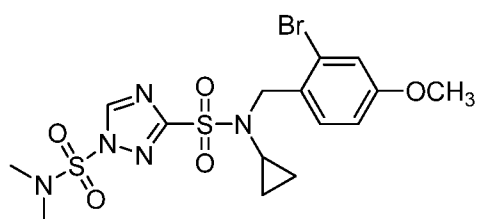
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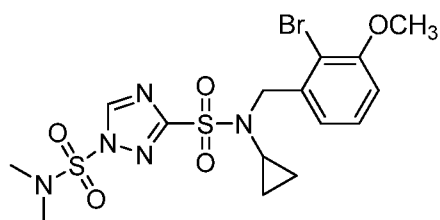
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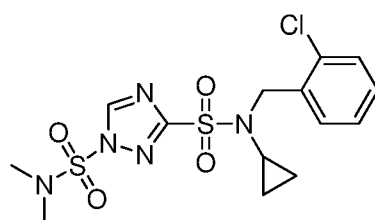
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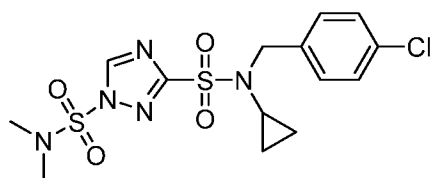
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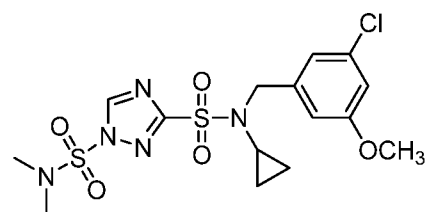
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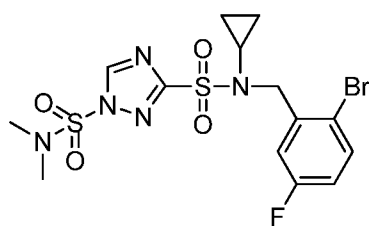
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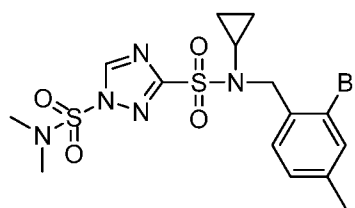
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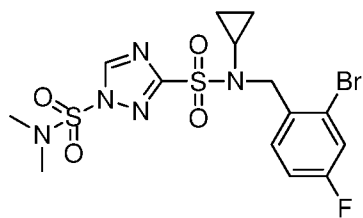
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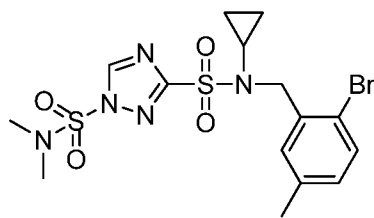
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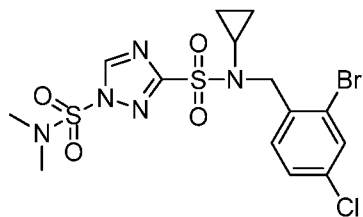
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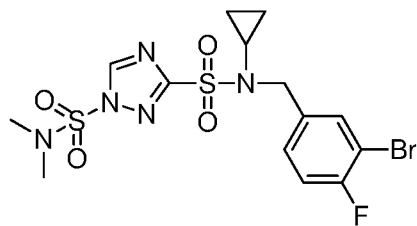
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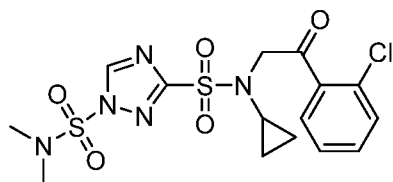
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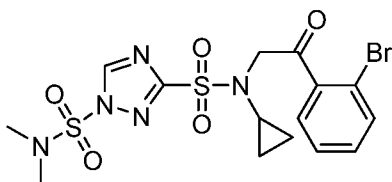
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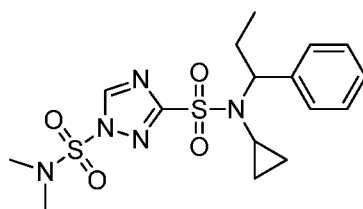
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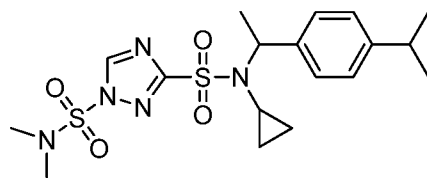
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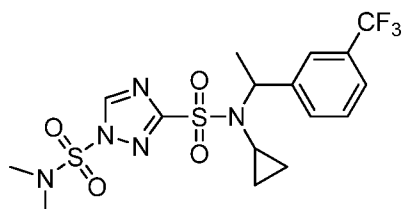
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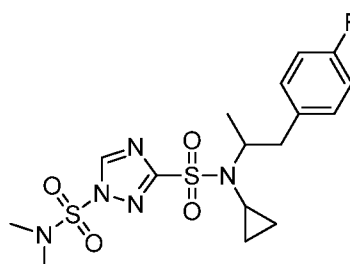
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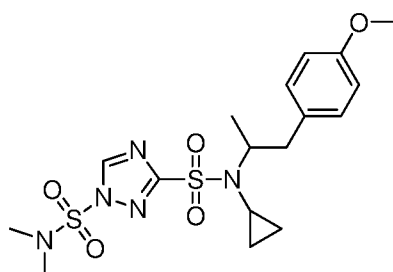
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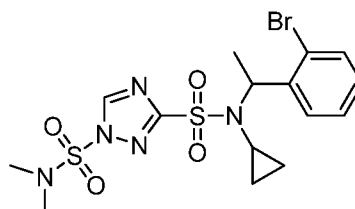
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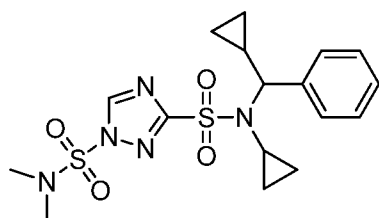
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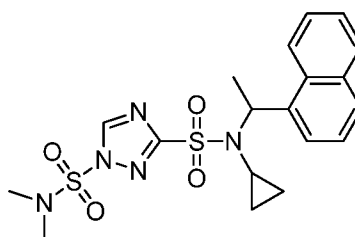
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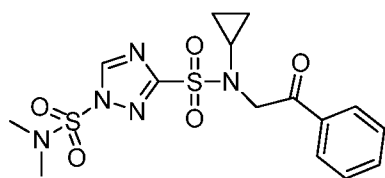
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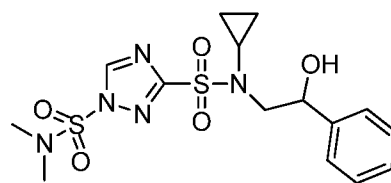
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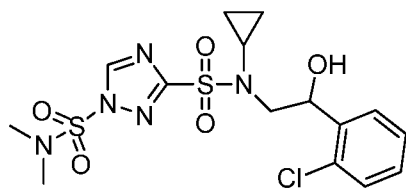
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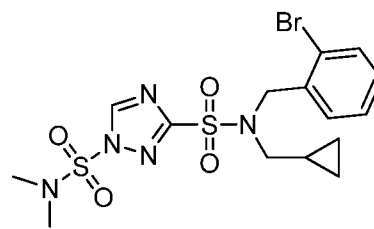
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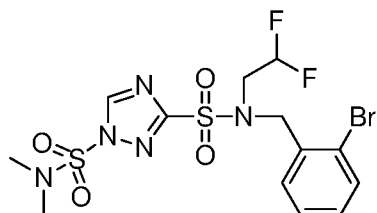
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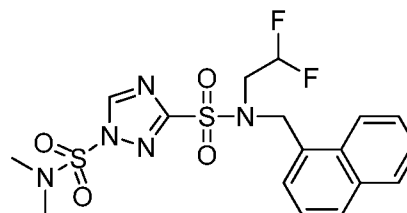
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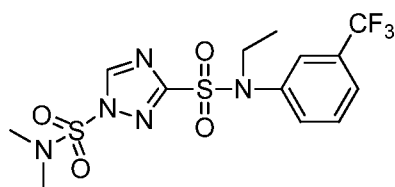
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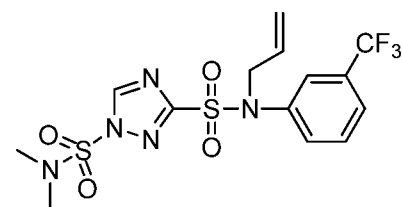
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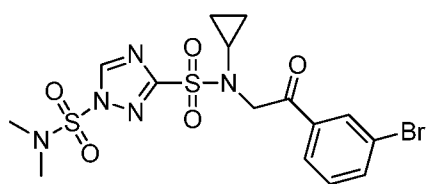
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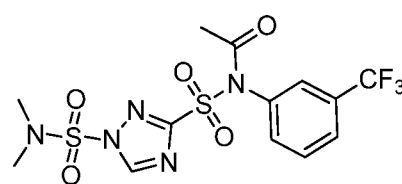
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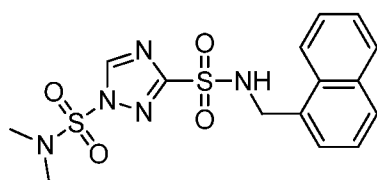
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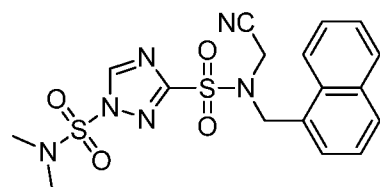
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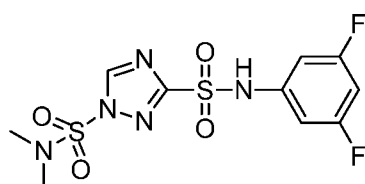
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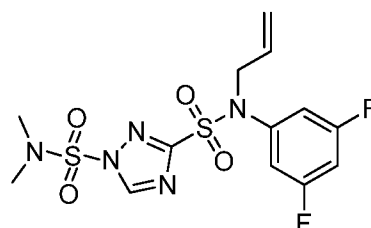
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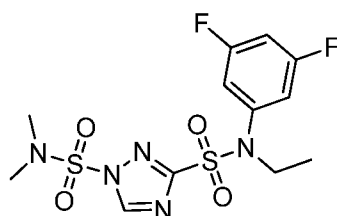
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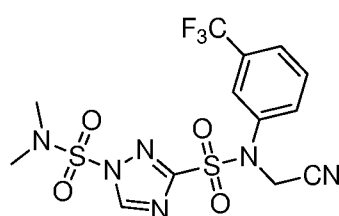
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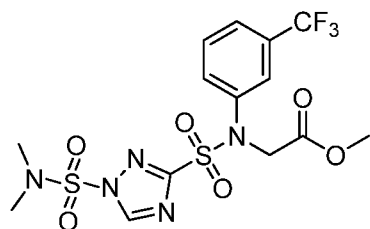
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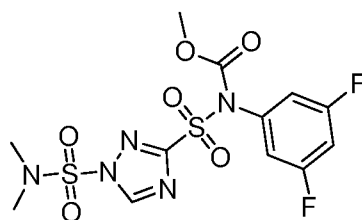
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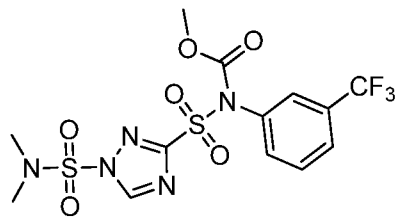
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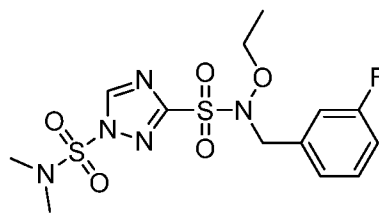
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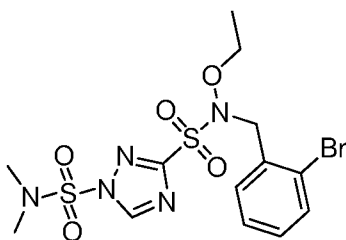
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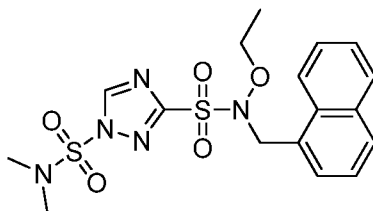
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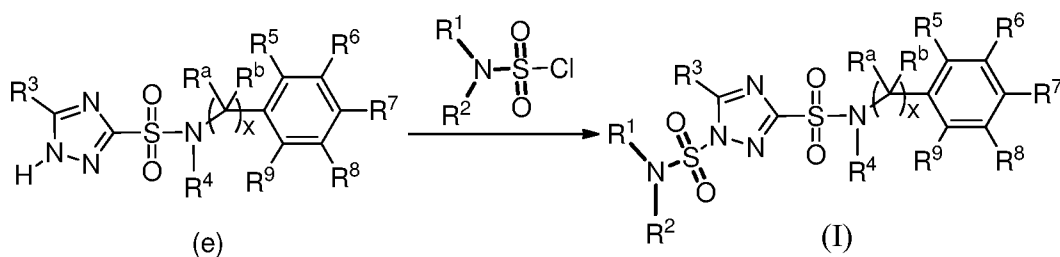
(158) or



(159).

[00115]. In other aspect, provided herein is a method of preparing a compound of Formula (I) comprising

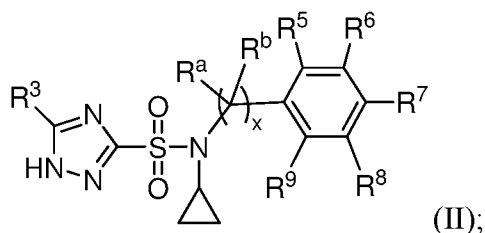
reacting a compound of Formula (e) with a disubstituted amino sulfonyl chloride to obtain the compound of Formula (I):



;

wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^a , R^b and x are as defined herein.

[00116]. In other aspect, provided herein is a compound having Formula (II) or a stereoisomer, an *N*-oxide or a salt thereof,



(II);

wherein R^3 , R^5 , R^6 , R^7 , R^8 , R^9 , R^a , R^b and x are as defined herein.

[00117]. In other aspect, provided herein is a composition comprising the compound disclosed herein. Unless otherwise indicated, all tautomers, racemates, hydrates, solvates, metabolites,

metabolic precursors or prodrugs of the compounds of Formula (A), (I) or (Ia) disclosed herein are within the scope of the present invention.

[00118]. In some embodiments, the composition disclosed herein further comprises an agrochemically acceptable surfactant and carrier.

[00119]. In other aspect, provided herein is use of the compound or the composition disclosed herein for controlling plant diseases; specifically for use as a fungicide. In some embodiments, the plant disease is caused by plant pathogenic fungi.

COMPOSITIONS OF THE COMPOUNDS OF THE INVENTION

[00120]. The compound of the invention can generally be used as an active ingredient of fungicides in a composition, *i.e.* formulation, usually including an agrochemically acceptable surfactant and carrier.

[00121]. The above surfactant may be any common surfactant in the field of pesticide formulation, the optimization disclosed herein is one or more of emulsifier, dispersant and wetting agent.

[00122]. In addition to the above surfactants, the carrier may be any common carrier in the field of pesticide formulation, including any silicate, carbonate, sulfate, oxide, phosphate, plant carrier, synthetic carrier. Specifically, for example, one or more of silica, kaolin, diatomite, clay, talc, organic bentonite, pumice, titanium dioxide, dextrin, cellulose powder, light calcium carbonate, soluble starch, corn starch, sawdust powder, urea, amine fertilizer, a mixture of urea and amine fertilizer, glucose, maltose, sucrose, anhydrous potassium carbonate, anhydrous sodium carbonate, anhydrous potassium bicarbonate, anhydrous sodium bicarbonate, attapulgite, a mixture of anhydrous potassium carbonate and anhydrous potassium bicarbonate, a mixture of anhydrous sodium carbonate and anhydrous sodium bicarbonate.

[00123]. The above emulsifier may be any common emulsifier in the field of pesticide formulation, specifically, the emulsifier may be one or more of calcium dodecylbenzenesulfonate, triphenylethylphenol polyoxyethylene ether phosphate, polyoxyethyl fatty alcohol ether, alkyl phenol polyoxyethylene ether, alkyl phenol polyoxyethylene polyoxypropylene ether, fatty amines, ethylene oxide adduct of fatty amide, polyoxyethylene fatty acid ester, ethylene oxide adduct of rosin acid, polyol fatty acid ester and ethylene oxide adduct thereof, styrenyl phenyl polyoxyethylene ether, alkyl phenol formaldehyde resin polyoxyethylene ether, hydroxy terminated polyoxyethylene polyoxypropylene ether, styrenyl phenol formaldehyde resin

polyoxyethylene polyoxypropylene ether and castor oil polyoxyethylene ether.

[00124]. The above dispersant may be any common emulsifier in the field of pesticide formulation, specifically, the dispersant may be one or more of acrylic acid homopolymer sodium salt, maleic acid disodium salt, naphthalene sulfonated formaldehyde condensates sodium salt, rosin segmented polyoxyethylene ether polyoxypropylene sulfonates, hydroxy terminated polyoxyethylene polyoxypropylene ether segmented copolymer, triphenylethyl phenol polyoxyethylene ether phosphate, fatty alcohol polyoxyethylene ether phosphate and *p*-hydroxyphenyl lignin sulfonic acid sodium salt.

[00125]. The above wetting agent may be any common wetting agent in the field of pesticide formulation, specifically, the dispersant may be one or more of lauryl sodium sulfate, *sec*-alkyl sodium sulfate, sodium dodecyl benzene sulfonate, fatty alcohol polyoxyethylene ether, alkyl naphthalene sulfonate, alkyl phenol resin polyoxyethylene ether sulfate.

[00126]. The fungicide composition disclosed herein may also contain any common auxiliary for formulation in the field of pesticide formulation, specifically, the auxiliary for formulation may be one or more of solvent, cosolvent, thickener, antifreeze, capsule wall material, protective agent, defoamer, disintegrating agent, stabilizer, preservative and binder.

[00127]. The above solvent may be any common solvent in the field of pesticide formulation, specifically, the solvent may be one or more of organic solvent, plant oil, mineral oil, solvent oil and water.

[00128]. Wherein the organic solvent includes one or more of *N*-methyl pyrrolidone, tetrahydrofuran, dimethyl sulfoxide, *N,N*-dimethyl decyl amide, *N,N*-dimethyl formamide, trimethylbenzene, tetramethylbenzene, xylene, toluene, heptane, octane, methanol, isopropanol, butanol, tetrahydrofurfuryl alcohol, tributyl phosphate, 1,4-dioxane and cyclohexanone.

[00129]. The plant oil includes one or more of methylated plant oil, pine oleoresin-based plant oil, turpentine oil, epoxidized soybean oil, soybean oil, peanut oil, rapeseed oil, castor oil, corn oil and pine nut oil.

[00130]. The mineral oil includes one or more of liquid-wax, engine oil, kerosene and lubricant.

[00131]. Also, the above solvent may be used as a cosolvent.

[00132]. The above antifreeze may be any common antifreeze in the field of pesticide formulation, the optimization disclosed herein is one or more of glycol, propanediol, glycerol and urea.

[00133]. The above thickener may be any common thickener in the field of pesticide formulation, specifically, the thickener may be one or more xanthan gum, polyvinyl alcohol, polyallyl alcohol, polyethylene glycol, silica, diatomaceous earth, kaolin, clay, sodium alginate, aluminum silicate magnesium silicate, sodium aluminosilicate, carboxymethyl cellulose, hydroxypropyl cellulose sodium and organobentonite.

[00134]. The above capsule wall material may be any common capsule wall material in the field of pesticide formulation, the optimization disclosed herein is one or more of polyurethane, polyurea and urea formaldehyde resin.

[00135]. The above protective agent may be any common protective agent in the field of pesticide formulation, the optimization disclosed herein is one or more of polyvinyl alcohol and/or polyethylene glycol.

[00136]. The above defoamer may be any common defoamer in the field of pesticide formulation, the optimization disclosed herein is one or more of organo-siloxane, tributyl phosphate and silicone.

[00137]. The above stabilizer is selected from one or more of triphenyl phosphite, epichlorohydrin and acetic anhydride.

[00138]. The above preservative is selected from one or more of benzoic acid, sodium benzoate, 1,2-benzothiazoline-3-one (BIT for short), isothiazolinone and potassium sorbate.

[00139]. The present invention also provides a formulation prepared from the above fungicide composition, the form of the formulation is missible oil, aqueous emulsion, microemulsion, soluble liquid agent, aqueous suspension, suspoemulsion, ultra low volume sprays, oil suspension, microcapsule suspension, water surface spreading oil, wettable powder, water dispersible granules, dry suspension, soluble powder, soluble granules, emulsifiable powder, emulsifiable granules, granules, solid micro capsule, effervescent tablets, effervescent granules, water floating dispersible granules or seed dressing agent. The above formulations all may be prepared by the common methods in this field.

[00140]. A preparation method of the above missible oil may include, for example, mixing every active component, solvent, cosolvent and emulsifier to form a homogeneous transparent oil phase, *i.e.* missible oil may be obtained.

[00141]. A preparation method of the above aqueous emulsion may include, for example, mixing active component(s), emulsifier(s), cosolvent(s) and solvent(s) to form a homogeneous oil phase;

mixing water, thickener(s) and antifreeze(s), etc. to form a homogeneous water phase; adding the water phase into the oil phase (or adding the oil phase into the water phase) under high speed shearing to form a aqueous emulsion with good dispersivity.

[00142]. A preparation method of the above microemulsion may include, for example, mixing active component(s), emulsifier(s) and solvent(s) to form a homogeneous oil phase. Adding water gradually with stirring to form a homogeneous transparent microemulsion.

[00143]. A preparation method of the above aqueous/oil suspension may include, for example, grinding active component(s), surfactant(s), and the like adjuvant(s) in a sand grinding kettle with water or oil as medium to a certain particle size and filtering; adding measured thickener(s) to the grinded mother liquor, shearing to homogeneous dispersion; obtaining oil suspension or aqueous suspension.

[00144]. A preparation method of the above water dispersible granules or soluble granules may include, for example, mixing every active component, dispersant, wetting agent, carrier, etc. homogeneously; shredding to a certain particle size through airflow; adding water and kneading; prilling in a granulator; and then drying to get water dispersible granules or soluble granules.

[00145]. A preparation method of the above wettable powder or soluble powder may include, for example, mixing every active component, adjuvant and other carrier, and the like fillers thoroughly, shredding by using a super micro mill.

[00146]. The fungicide composition disclosed herein may be provided in a form of a finished product, *i.e.* every components in the composition had been mixed; also may be in a form of a separate preparation, and mixing them in a container before using, diluting with water selectively according to the required concentration of the active component.

USE OF THE COMPOUNDS AND COMPOSITIONS

[00147]. The compounds of this invention are useful as plant diseases controlling agents. The present invention therefore further comprises a method for controlling plant diseases caused by plant fungal pathogens, the method comprises applying an effective amount of a compound of the invention or a fungicide composition containing the compound to plants to be protected or parts thereof, or to plant seeds to be protected. The compounds and/or compositions of this invention can control diseases caused by a broad spectrum of plant fungal pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, turf,

vegetable, field, cereal, and fruit crops. These pathogens include: Oomycetes, including Phytophthora diseases such as *Phytophthora infestans*, *Phytophthora megasperma*, *Phytophthora parasitica*, *Phytophthora cinnamomi* and *Phytophthora capsici*, Pythium diseases such as *Pythium aphanidermatum*, and diseases in the Peronosporaceae family such as *Plasmopara viticola*, *Peronospora* spp. (including *Peronospora tabacina* and *Peronospora parasitica*), *Pseudoperonospora* spp. (including *Pseudoperonospora cubensis*) and *Bremia lactucae*; Ascomycetes, including *Alternaria* diseases such as *Alternaria solani* and *Alternaria brassicae*, *Guignardia* diseases such as *Guignardia bidwell*, *Venturia* diseases such as *Venturia inaequalis*, *Septoria* diseases such as *Septoria nodorum* and *Septoria tritici*, powdery mildew diseases such as *Erysiphe* spp. (including *Erysiphe graminis* and *Erysiphe polygoni*), *Uncinula necator*, *Sphaerotheca fuliginea* and *Podosphaera leucotricha*, *Pseudocercospora herpotrichoides*, *Botrytis* diseases such as *Botrytis cinerea*, *Monilinia fructicola*, *Sclerotinia* diseases such as *Sclerotinia sclerotiorum*, *Magnaporthe grisea*, *Phomopsis viticola*, *Helminthosporium* diseases such as *Helminthosporium tritici repentis*, *Pyrenophora teres*, anthracnose diseases such as *Glomerella* or *Colletotrichum* spp. (such as *Colletotrichum graminicola* and *Colletotrichum orbiculare*), and *Gaeumannomyces graminis*; Basidiomycetes, including rust diseases caused by *Puccinia* spp. (such as *Puccinia recondita*, *Puccinia striiformis*, *Puccinia hordei*, *Puccinia graminis* and *Puccinia arachidis*), *Hemileia vastatrix* and *Phakopsora pachyrhizi*; other pathogens including *Rhizoctonia* spp. (such as *Rhizoctonia solani*); *Fusarium* diseases such as *Fusarium roseum*, *Fusarium graminearum* and *Fusarium oxysporum*; *Verticillium dahliae*; *Sclerotium rolfsii*; *Rhynchosporium secalis*; *Cercosporidium personatum*, *Cercospora arachidicola* and *Cercospora beticola*; and other genera and species closely related to these pathogens. In addition to their fungicidal activity, the compositions or combinations also have activity against bacteria such as *Erwinia amylovora*, *Xanthomonas campestris*, *Pseudomonas syringae*, and other related species.

[00148]. The use method of the fungicide composition disclosed herein is simple, which comprises applying the composition to crops or places for crops growth before or after germination of plant diseases by common methods, such as mix soil, spray, jet, pour, etc, the application amount is determined according to climatic conditions or crop states, in general, 10-5000 g per mu is applied, and which is diluted to 10-400 mg/L when applying, preferably, which is diluted to 100-300 mg/L. The diluter is preferably water.

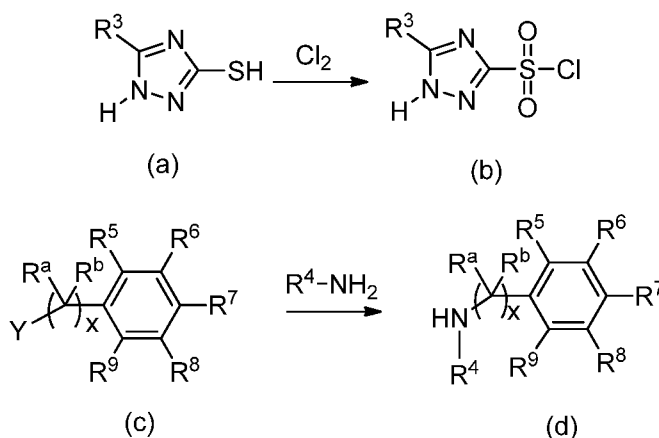
[00149]. Fungicidal effect of the fungicide composition disclosed herein typically relates to extraneous factors such as climate, but the influence of climate can be reduced by using suitable formulation.

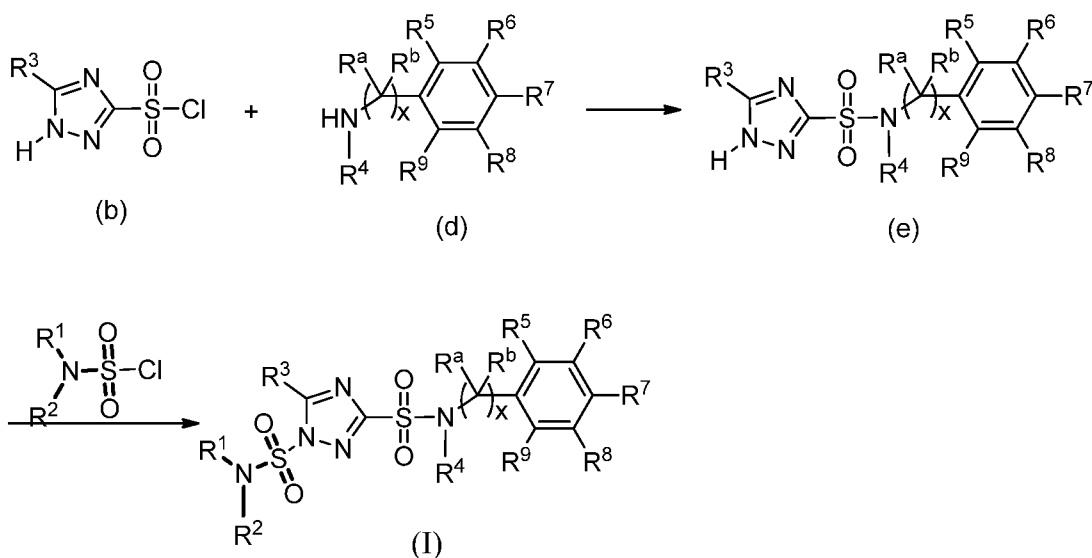
[00150]. The composition disclosed herein can be used mixing with other compounds having fungicidal, insecticidal, or herbicidal properties, also can be used mixing with nematocides, acaricides, protective agents, herbicide safeners, growth regulator, plant nutrients, or soil conditioners.

GENERAL SYNTHETIC PROCEDURES

[00151]. The preparation of the compounds of the present invention is represented by the following scheme. Unless otherwise mentioned, the compounds disclosed herein may be prepared by methods described herein. The raw materials, reagents, *etc.* used in the preparation of the compounds disclosed herein can be commercially purchased, or prepared according to the common methods in the field. In the present invention, if the chemical name of the compound doesn't match the corresponding structure, the compound is characterized by the corresponding structure.

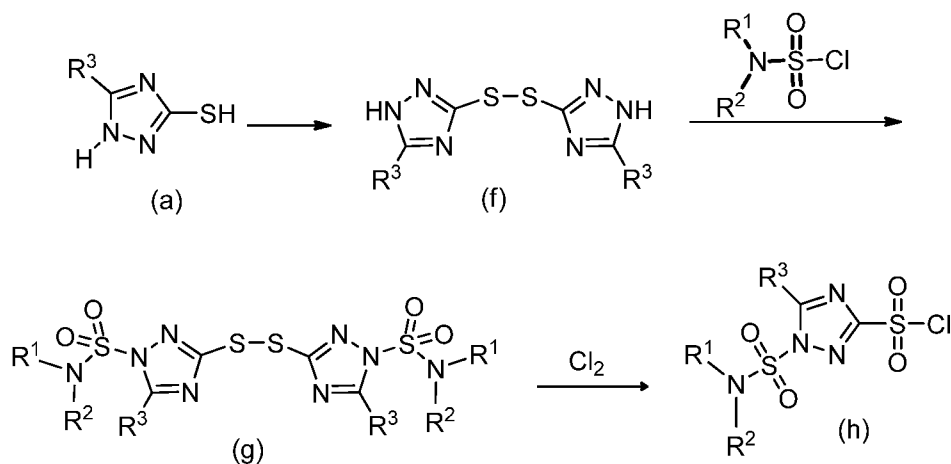
Scheme 1

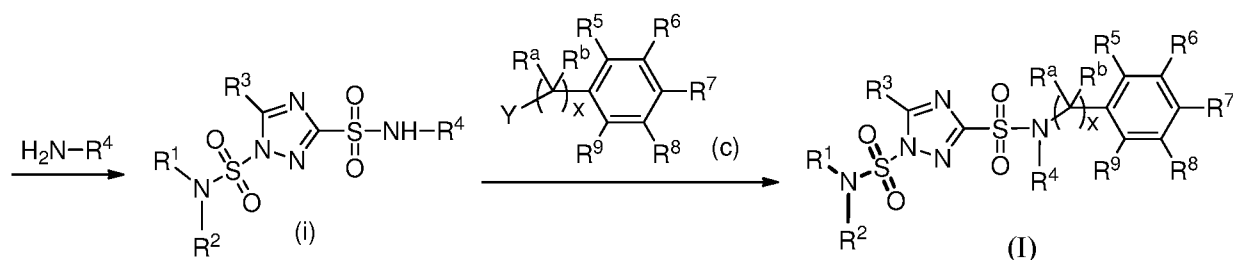




[00152]. Compound of Formula (I) can be prepared by the procedure illustrated in scheme 1, and wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b and x are as defined herein; Y is Cl, Br or I. Oxidizing reaction of compound (a) and chlorine at a certain temperature (*e.g.* -10 °C to 10°C) under a condition of an acid (*e.g.* hydrochloric acid, dilute sulfuric acid, acetic acid, *etc.*) can give compound (b); nucleophilic substitution reaction of compound (c) and R⁴-NH₂ at a certain temperature (*e.g.* -10 °C to 30°C) under a condition of a base (*e.g.* sodium hydroxide, sodium hydride, potassium carbonate, *etc.*) can give compound (d); condensation reaction of compound (b) and compound (d) at a certain temperature (*e.g.* -10 °C to 40 °C) under a condition of a base (*e.g.* pyridine, triethylamine, potassium carbonate, *etc.*) can give compound (e); condensation reaction of compound (e) and R¹R²N-SO₂Cl at a certain temperature (*e.g.* -10 °C to 40 °C) under a condition of a base (*e.g.* pyridine, triethylamine, potassium carbonate, *etc.*) can give compound (I).

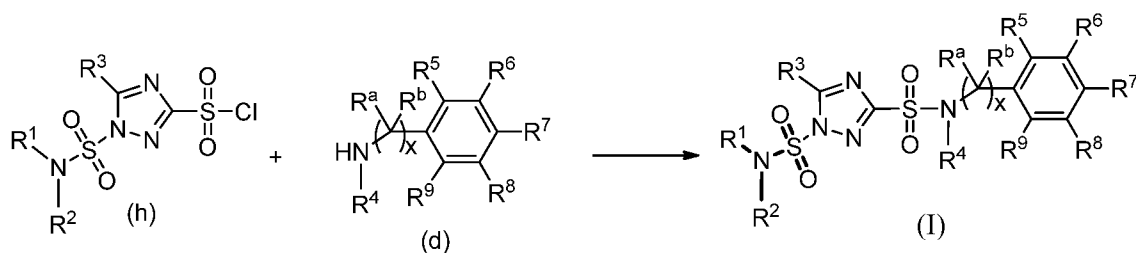
Scheme 2





[00153]. Compound of Formula (I) can be prepared by the procedure illustrated in scheme 2, and wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b and x are as defined herein; Y is Cl, Br or I. Oxidative coupling reaction of compound (a) and acyl chloride (*e.g.* benzene sulfonyl chloride, *p*-toluene sulfonyl chloride) at a certain temperature (*e.g.* -10 °C to 40 °C) under a condition of a base (*e.g.* pyridine, triethylamine, potassium carbonate, *etc.*) can give compound (f); condensation reaction of compound (f) and R¹R²N-SO₂Cl at a certain temperature (*e.g.* -10 °C to 40 °C) under a condition of a base (*e.g.* pyridine, triethylamine, potassium carbonate, *etc.*) can give compound (g); oxidation reaction of compound (g) and chlorine at a certain temperature (*e.g.* -10 °C to 10 °C) under a condition of an acid (*e.g.* hydrochloric acid, dilute sulfuric acid, acetic acid, *etc.*) can give compound (h); condensation reaction of compound (h) and NH₂-R⁴ at a certain temperature (*e.g.* -10 °C to 40 °C) under a condition of a base (*e.g.* pyridine, triethylamine, potassium carbonate, *etc.*) can give compound (i); nucleophilic substitution reaction of compound (i) and compound (c) at a certain temperature (*e.g.* -10 °C to 40 °C) under a condition of a base (*e.g.* sodium hydroxide, sodium hydride, potassium carbonate, *etc.*) can give compound (I).

Scheme 3



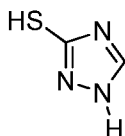
[00154]. Compound of Formula (I) can be prepared by the procedure illustrated in scheme 3, and wherein R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b and x are as defined herein. Condensation reaction of compound (h) and compound (d) at a certain temperature (*e.g.* -10 °C to 40 °C) under a condition of a base (*e.g.* pyridine, triethylamine, potassium carbonate, *etc.*) can give compound (I).

[00155]. The following abbreviations are used throughout the specification:

g gram; mg milligram; mol mole; mmol millimole; h hour/hours; min minute/minutes; L liter/liters; mL, ml milliliter/milliliters; M mol/L; PE petroleum ether; EtOAc ethyl acetate; DMF *N,N*-dimethylformamide; THF tetrahydrofuran

Examples

Example A Synthesis of intermediate 3-mercapto-1,2,4-triazole



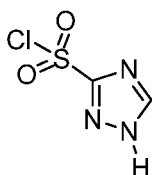
[00156]. To a 100 mL flask were added formic acid (24.2 g, 0.52 mol), water (25 mL) and thiosemicarbazide (20 g, 0.22 mol). The mixture was heated to 105 °C and reacted for half an hour. And then the mixture was cooled to room temperature slowly, and then cooled to -10 °C to crystallize slowly. The resulting mixture was filtered and the solid was dried to give formylthiosemicarbazide (white solid, 22.3 g, yield was 85%).

[00157]. Formylthiosemicarbazide (12 g, 0.1 mol) was added to a 250 mL single neck flask, and then water (130 mL) and sodium carbonate (21.2 g, 0.25 mol) were added. The mixture was heated to 100 °C and reacted for 4 hours, and then cooled to room temperature slowly. The reaction mixture was adjusted with dilute hydrochloric acid to pH 4-5. The resulting mixture was stirred at -10 °C slowly to crystallize, and then filtered. The solid was dried to give a white solid (5.6 g, yield was 55%).

¹H-NMR (400 MHz, DMSO-*d*₆): δ (ppm) 8.24(s, 1H), 13.2(s, 1H), 13.5(s, 1H);

¹³C NMR (100 MHz, DMSO-*d*₆): δ (ppm) 140.7, 166.1.

Example B Synthesis of intermediate 1H-1,2,4-triazole-3-sulfonyl chloride



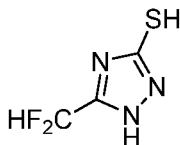
[00158]. 1,2,4-Triazole-3-thiol (3 g, 0.03 mol) was dissolved in a hydrochloric acid solution (2 mol/L, 50 mL). The solution was cooled to 0 °C and mixed homogeneously, and chlorine was then bubbled (30 g, over a period of one hour), a white solid precipitated out gradually. After stopping chlorine bubbling, nitrogen was used to purge superfluous chlorine out at 0 °C. The resulting mixture was filtered, and the solid was dried to give a white solid (3.2 g, yield was

75%).

^1H -NMR (400 MHz, $\text{DMSO}-d_6$): δ (ppm) 9.31(s, 1H), 13.9(s, 1H);

^{13}C NMR (100 MHz, $\text{DMSO}-d_6$): δ (ppm) 143.6, 157.1.

Example C Synthesis of intermediate 5-difluoromethyl-3-mercapto-1,2,4-triazole

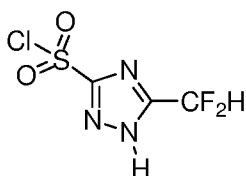


[00159]. A suspension of thiosemicarbazide (4.45 g, 0.049 mol) in xylene (200 mL) was heated to 40 °C and stirred, and then difluoroacetic acid (5.76 g, 0.06 mol) was added dropwise slowly. After the addition, the mixture was reacted at 40 °C for 30 minutes. And then the mixture was heated to 160 °C and refluxed in the flask equipped with a water separator for 4 hours. The resulting mixture was cooled to room temperature and stood for 10 hours. A solid precipitated out and the precipitated solid was filtered and dissolved in ethyl acetate (200 mL). The solution was washed with a dilute hydrochloric acid solution (1 M, 50 mL) and water (50 mL $\times$ 3), and then dried over magnesium sulfate and concentrated to give a yellow solid (5.42 g, yield was 73.3%).

^1H -NMR (400 MHz, $\text{DMSO}-d_6$): δ (ppm) 14.3(s, 1H), 13.9(s, 1H), 7.1(t, 1H);

^{19}F NMR ($\text{DMSO}-d_6$): δ (ppm) -118.6.

Example D Synthesis of intermediate 5-difluoromethyl-1H-1,2,4-triazole-3-sulfonyl chloride



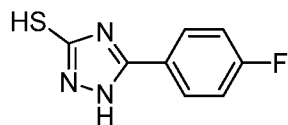
[00160]. 5-Difluoromethyl-1,2,4-triazole-3-thiol (8.9 g, 0.059 mol) was dissolved in a hydrochloric acid solution (2 mol/L, 125 mL). The solution was cooled to 0 °C and mixed homogeneously, and chlorine was then bubbled (60 g, over a period of one hour). After stopping chlorine bubbling, nitrogen was used to purge superfluous chlorine out at 0 °C. The resulting mixture was extracted with dichloromethane (100 mL $\times$ 3), and the combined organic layers were dried over magnesium sulfate and concentrated to give a yellow oil (5.89 g, yield was 46%).

^1H -NMR (400 MHz, CDCl_3): δ (ppm) 6.9 (t, 1H);

^{13}C NMR (100 MHz, CDCl_3): δ (ppm) 162.9, 151.6, 109.1;

^{19}F NMR (CDCl_3): δ (ppm) -118.0.

Example E Synthesis of intermediate 5-*p*-fluorophenyl-3-mercapto-1,2,4-triazole



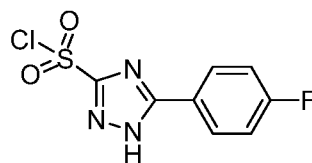
[00161]. A solution of *p*-fluorobenzoyl chloride (3.16 g, 0.02 mol) in THF (60 mL) was cooled to 5 °C and stirred, thiosemicarbazide was then added in portions (3.64 g, 0.04 mol, 6 batches). After the addition, the mixture was warmed to room temperature and reacted for 4 hours. After the reaction was completed, the reaction was quenched with a saturated aqueous sodium bicarbonate solution (20 mL). The resulting mixture was extracted with ethyl acetate (100 mL $\times$ 3). The combined organic layers were washed with saturated aqueous sodium bicarbonate solution (50 mL) and sodium chloride aqueous solution (100 mL), and dried over magnesium sulfate and concentrated to give a white solid (4.0 g, yield was 94%).

[00162]. The above obtained solid (*p*-fluorobenzoylthiosemicarbazide, 4.26 g) was dissolved in a sodium hydroxide (4 g, 0.1 mol) aqueous solution (60 mL). The solution was heated to 85 °C and stirred for 4 hours. And then the solution was cooled to room temperature slowly and adjusted to pH 5. A solid precipitated out, and the solid was filtered and dried to give a white solid (3.1 g, yield was 85%).

^1H -NMR (400 MHz, $\text{DMSO}-d_6$): δ (ppm) 13.7 (s, 1H), 7.9 (t, 2H), 7.4 (t, 2H);

^{13}C NMR (100 MHz, $\text{DMSO}-d_6$): δ (ppm) 167.5, 164.5, 162.8, 149.9, 128.6, 122.5, 116.8, 116.5.

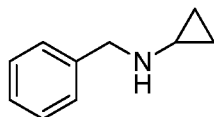
Example F Synthesis of intermediate 5-(4-fluorophenyl)-1*H*-1,2,4-triazole-3-sulfonyl chloride



[00163]. 5-*p*-Fluorophenyl-1,2,4-triazole-3-thiol (3.9 g, 0.02 mol) was dissolved in a mixed hydrochloric acid (2 mol/L, 80 mL) and methanol (10 mL) solution. The solution was cooled to 0 °C and mixed homogeneously, and chlorine was then bubbled (30 g, over a period of one hour). After stopping chlorine bubbling, nitrogen was used to purge superfluous chlorine out at 0 °C. The resulting mixture was extracted with dichloromethane (100 mL $\times$ 3), and the combined

organic layers were dried over magnesium sulfate and concentrated to give a brown oil (2.25 g, yield was 43.1%).

Example G Synthesis of intermediate *N*-benzylcyclopropanamine



[00164]. A mixture of cyclopropane (3.3 g, 0.058 mol), potassium carbonate (20 g, 0.15 mol) and DMF (80 mL) in a 250 mL flask was stirred at room temperature, and then a solution of benzyl chloride (5.11 g, 0.04 mol) in DMF (20 mL) was added dropwise slowly over one hour. After the addition, the mixture was stirred at room temperature for 48 hours and water (80 mL) was added. The resulting mixture was extracted with diethyl ether (100 mL $\times$ 3). The combined organic layers were washed with saturated sodium chloride aqueous solution (100 mL $\times$ 2), dried over magnesium sulfate, and concentrated. The residue was purified by column chromatography eluted with EtOAc/PE ((v/v) = 1/4) to give a yellow liquid (3.06 g, yield was 52%).

$^1\text{H-NMR}$ (400 MHz, $\text{DMSO-}d_6$): δ (ppm) 7.3~7.2 (m, 5H), 3.7 (s, 2H), 2.0 (m, 1H), 0.3~0.4 (m, 4H);

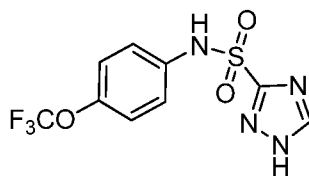
^{13}C NMR (100 MHz, $\text{DMSO-}d_6$): δ (ppm) 141.6, 128.4, 126.8, 53.4, 30.1, 6.6.

[00165]. The intermediate compounds listed in table 1 can be obtained according to the similar methods of example G by replacing benzyl chloride to corresponding raw materials.

Table 1

Raw materials	Structure and name of intermediate compound	Characterization data
fluorobenzyl bromide	 <i>N</i>-(4-fluorobenzyl)cyclopropanamine	$^1\text{H-NMR}$ (400 MHz, $\text{DMSO-}d_6$): δ (ppm) 7.3~7.1 (m, 4H), 3.8 (s, 2H), 2.1 (m, 1H), 0.2~0.4 (m, 4H).
<i>p</i> -(trifluoromethoxy)benzyl bromide	 <i>N</i>-(4-(trifluoromethoxy)benzyl)cyclopropanamine	$^1\text{H-NMR}$ (400 MHz, $\text{DMSO-}d_6$): δ (ppm) 7.3~7.4 (m, 2H), 6.9~6.8 (m, 2H), 3.8 (s, 2H), 2.0 (m, 1H), 0.2~0.4 (m, 4H).

Example H Synthesis of intermediate *N*-(4-(trifluoromethoxy)phenyl)-1*H*-1,2,4-triazole-3-sulfonylamide



[00166]. A mixture of *p*-trifluoromethoxyaniline (3.18 g, 0.018 mol), triethylamine (2.22 g, 0.022 mol) and tetrahydrofuran (30 mL) in a 100 mL single neck flask was stirred at room temperature homogeneously, and then a solution of 1*H*-1,2,4-triazole-3-sulfonyl chloride (3.32 g, 0.02 mol) in THF (15 mL) was added dropwise over one hour. After the reaction mixture was reacted for 12 hours, water (20 mL) was added, and the resulting mixture was adjusted with hydrochloric acid to pH 5. The mixture was extracted with diethyl ether (100 mL × 3). The combined organic layers were dried over magnesium sulfate and concentrated. The residue was washed with *n*-hexane to give a gray white solid (2.5 g, yield was 46%).

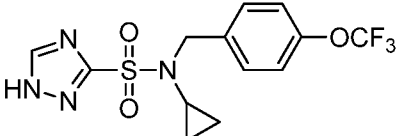
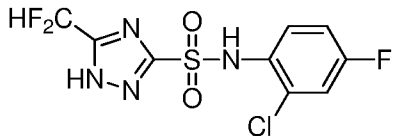
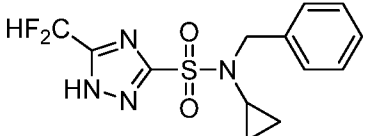
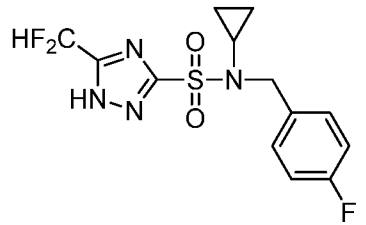
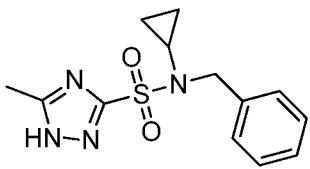
¹H-NMR (400 MHz, DMSO-*d*₆): δ (ppm) 14.8 (s, 1H), 10.9 (s, 1H), 8.7 (s, 1H), 7.3~7.1 (m, 3H);

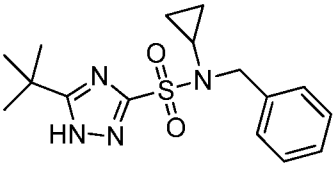
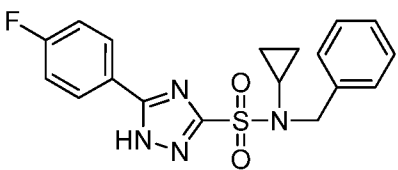
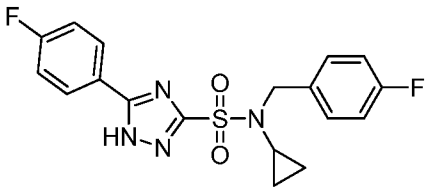
¹³C NMR (100 MHz, DMSO-*d*₆): δ (ppm) 161.4, 146.3, 144.7, 137.0, 122.4, 121.7, 114.6.

[00167]. The intermediate compounds listed in table 2 can be obtained according to the similar methods of example H by using corresponding raw materials under certain conditions.

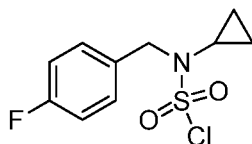
Table 2

Raw materials and conditions	Structure and name of intermediate compound	Characterization data
Reaction of <i>N</i> -benzylcyclopropanamine and 1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1<i>H</i>-1,2,4-triazole-3-sulfonamide	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆): δ (ppm) 14.9 (s, 1H), 8.9 (s, 1H), 7.4~7.3 (m, 5H), 4.5 (s, 2H), 2.4 (m, 1H), 0.5~0.6 (m, 4H); ¹³ C NMR (100 MHz, DMSO- <i>d</i> ₆): δ (ppm) 161.4, 146.3, 137.1, 128.7, 128.4, 127.7, 54.2, 31.1, 7.2. LC-MS: (M+1) <i>m/z</i> = 279.1.
Reaction of <i>N</i> -(4-fluorobenzyl)cyclopropanamine and 1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine		¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆): δ (ppm) 14.9 (s, 1H), 8.9 (s, 1H), 7.3~7.1 (m, 4H), 4.4 (s, 2H), 2.4 (m, 1H), 0.6~0.7

	<i>N</i>-cyclopropyl-<i>N</i>-(4-fluorobenzyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	(m, 4H); ¹³ C NMR (100 MHz, DMSO- <i>d</i> ₆): δ (ppm) 161.1, 146.3, 133.8, 130.3, 115.0, 53.4, 31.1, 6.8. LC-MS: (M+1) <i>m/z</i> = 297.1.
Reaction of <i>N</i> -(4-trifluoromethoxy)benzylcyclopropanamine and 1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-cyclopropyl-<i>N</i>-(4-(trifluoromethoxy)benzyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	¹ H-NMR (400MHz, DMSO- <i>d</i> ₆): δ (ppm) 13.5 (s, 1H), 8.9 (s, 1H), 7.3~7.4 (m, 2H), 6.9~6.8 (m, 2H), 4.2 (s, 2H), 1.8 (m, 1H), 0.6~0.7 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 363.2.
Reaction of 2-chloro-4-fluoroaniline and 5-(difluoromethyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under triethylamine	 <i>N</i>-(2-chloro-4-fluorophenyl)-5-(difluoromethyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆): δ (ppm) 7.5~7.4 (m, 1H), 7.3 (t, 1H), 7.2 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 327.0.
Reaction of <i>N</i> -benzylcyclopropanamine and 5-(difluoromethyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-benzyl-<i>N</i>-cyclopropyl-5-(difluoromethyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	white solid LC-MS: (M+1) <i>m/z</i> = 329.5.
Reaction of <i>N</i> -4-fluorobenzylcyclopropanamine and 5-(difluoromethyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-cyclopropyl-5-(difluoromethyl)-<i>N</i>-(4-fluorobenzyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	white solid ¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆): δ (ppm) 7.4~7.3 (m, 2H), 7.3 (t, 1H), 7.2~7.1 (m, 2H), 4.5 (s, 2H), 2.1 (m, 1H), 0.6~0.7 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 347.4.
Reaction of <i>N</i> -benzylcyclopropanamine and 5-methyl-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-benzyl-<i>N</i>-cyclopropyl-5-methyl-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	white solid LC-MS: (M+1) <i>m/z</i> = 293.1.

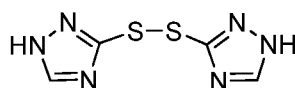
Reaction of <i>N</i> -benzylcyclopropanamine and 5- <i>t</i> -butyl-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-benzyl-5-<i>t</i>-butyl-<i>N</i>-cyclopropyl-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	white solid LC-MS: (M+1) m/z = 335.2.
Reaction of <i>N</i> -4-benzylcyclopropanamine and 5-(4-fluorophenyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-benzyl-<i>N</i>-cyclopropyl-5-(4-fluorophenyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	white solid ¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆): δ (ppm) 13.6 (s, 1H), 7.7~7.6 (m, 2H), 7.3~7.2 (m, 7H), 4.4 (s, 1H), 2.4 (m, 1H), 0.7~0.6 (m, 1H). LC-MS: (M+1) m/z = 373.2.
Reaction of <i>N</i> -(4-fluorobenzyl)cyclopropanamine and 5-(4-fluorophenyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine	 <i>N</i>-cyclopropyl-<i>N</i>-(4-fluorobenzyl)-5-(4-fluorophenyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	white solid LC-MS: (M+1) m/z = 391.3.

Example I Synthesis of intermediate *N*-(4-fluorobenzyl)-*N*-cyclopropylsulfonyl chloride



[00168]. A solution of sulfonyl chloride (13.4 g, 0.1 mol) in dichloromethane (20 mL) was stirred at room temperature homogeneously, and then a solution of triethylamine (2.02 g, 0.02 mol) and *N*-(4-fluorobenzyl)cyclopropanamine (1.65 g, 0.01 mol) in dichloromethane (10 mL) was added dropwise slowly at room temperature. After the addition, the mixture was heated to 70 °C and refluxed for 3 hours. The reaction mixture was evaporated under reduced pressure to distill unreacted sulfonyl chloride and solvents off to give a yellow oil.

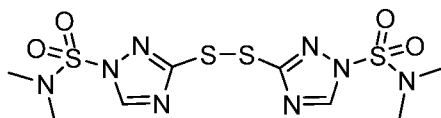
Example J Synthesis of intermediate 1,2-di(1*H*-1,2,4-triazol-3-yl)disulfane



[00169]. To a solution of 3-mercapto-1,2,4-triazole (50.50 g, 0.50 mol) in dichloromethane (300 mL) was added pyridine (39.50 g, 0.50 mol). Benzene sulfonyl chloride (44.20 g, 0.25 mol) was added to the solution with stirring at 0 °C over 2 hours. After the addition, the mixture was stirred for 16 hours. Dichloromethane was removed by evaporating on a rotary evaporator under vacuum, water (300 mL) was added to the residue under mechanical stirring, and the mixture was stirred for 1 hour. The mixture was filtered, the filter cake was washed with water (500 mL) and ethyl acetate (200 mL) respectively, and then air-dried to give a yellow powder (46.54 g, yield was 93.1%).

LC-MS: (M+1) m/z = 201.3.

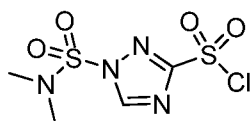
Example K Synthesis of intermediate 3,3'-disulfanediylbis(*N,N*-dimethyl-1*H*-1,2,4-triazole-1-sulfonamide)



[00170]. To a solution of 1,2-di(1*H*-1,2,4-triazol-3-yl)disulfane (40.00 g, 0.20 mol) in *N,N*-dimethylformamide (200 mL) was added potassium carbonate (41.40 g, 0.30 mol) under N₂. The mixture was stirred at 0 °C. And then *N,N*-dimethylamino sulfonyl chloride (64.34 g, 0.45 mol) was added dropwise over 2 hours, the mixture was further reacted for 6 hours. After the reaction was completed, the reaction mixture was poured into water, and the resulting mixture was stirred for 1 hour. The mixture was filtered. The filter cake was air dried to give a white powder (73.94 g, yield was 89.3%).

LC-MS: (M+1) m/z = 415.2.

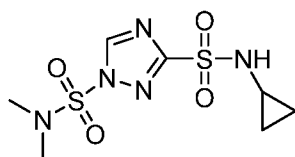
Example L Synthesis of intermediate 1-(*N,N*-dimethylamino sulfonyl)-1*H*-1,2,4-triazole-3-sulfonyl chloride



[00171]. To a solution of 3,3'-disulfanediylbis(*N,N*-dimethyl-1*H*-1,2,4-triazole-1-sulfonamide) (41.40 g, 0.10 mol) in 1,2-dichloroethane (300 mL) was added water (300 mL), the mixture was cooled to 0 °C, and acetic acid (100 mL) was added. The temperature was controlled between 10 °C and 25 °C, chlorine (35.50 g, 0.50 mol) was bubbled over 2 hours. The mixture was further reacted for half an hour. After the reaction was completed, the reaction mixture was transferred to a separatory funnel and allowed to stand until it was separated into layers, the

organic layer was washed with water (30 mL) 3 times and the solvent was removed by evaporating on a rotary evaporator under vacuum. A white powder was obtained (47.23 g, yield was 86.2%).

Example M Synthesis of intermediate *N*³-cyclopropyl-*N*¹,*N*¹-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide



[00172]. A mixture of cyclopropylamine (1.14 g, 0.02 mol), triethylamine (2.22 g, 0.022 mol) and tetrahydrofuran (30 mL) in a 100 mL three neck flask was stirred at 0 °C under N₂. A solution of 1-(*N,N*-dimethylamino sulfonyl)-1*H*-1,2,4-triazole-3-sulfonyl chloride (6.04 g, 0.02 mol) in tetrahydrofuran (20 mL) was added dropwise over 10 min, there was white smoke produced and a white solid precipitated out gradually. After the addition, the reaction was further carried out at room temperature for 2 hours, and the solid was filtered out, the filtrate was concentrated on a rotary evaporator under vacuum to give a light yellow solid. The solid was recrystallized (EtOAc/PE (v/v) = 5/1) to get a white solid (4.42 g, yield was 75.1%)

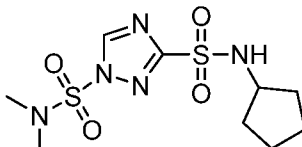
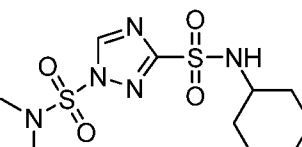
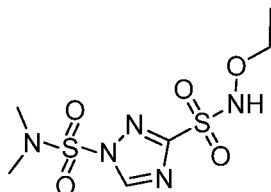
¹H NMR (400 MHz, DMSO-*d*₆): δ (ppm) 9.30 (s, 1H), 7.49 (s, 1H), 2.96 (s, 6H), 2.48 (m, 1H), 0.63~0.56 (m, 2H), 0.50~0.43 (m, 2H).

LC-MS: (M+1) *m/z* = 296.3.

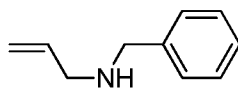
[00173]. The intermediate compounds listed in table 3 can be obtained according to the similar methods of example M by using corresponding raw materials.

Table 3

Raw materials and conditions	Structure and name of intermediate compound	Characterization data
Reaction of cyclobutylamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under triethylamine and tetrahydrofuran	<i>N</i>³-cyclobutyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	white solid ¹H NMR (400 MHz, DMSO-<i>d</i>₆): δ (ppm) 9.30 (s, 1H), 7.61 (s, 1H), 4.45-4.36 (m, 1H), 2.96 (s, 6H), 2.12-1.98 (m, 4H), 1.58-1.49 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 310.2.

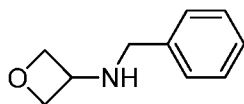
Reaction of cyclopentylamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under triethylamine and tetrahydrofuran	 <i>N</i>³-cyclopentyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	white solid ¹ H NMR (400 MHz, DMSO- <i>d</i> ₆): δ (ppm) 9.30 (s, 1H), 7.66 (s, 1H), 4.38 (dt, 1H), 2.96 (s, 6H), 1.70 (d, 2H), 1.44 (ddd, 4H), 1.29 (s, 2H). LC-MS: (M+1) <i>m/z</i> = 324.1.
Reaction of cyclohexylamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under triethylamine and tetrahydrofuran	 <i>N</i>³-cyclohexyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	white solid ¹ H NMR (400 MHz, DMSO- <i>d</i> ₆): δ (ppm) 9.30 (s, 1H), 7.72 (s, 1H), 3.90-3.85 (m, 1H), 2.96 (s, 6H), 1.78-1.54 (m, 4H), 1.32-1.25 (m, 5H), 0.99-0.92 (m, 1H). LC-MS: (M+1) <i>m/z</i> = 338.3.
Reaction of ethoxy amine hydrochloride and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran	 <i>N</i>³-ethoxy-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	white solid LC-MS: (M+1) <i>m/z</i> = 338.3.

Example N Synthesis of intermediate *N*-benzylprop-2-en-1-amine



[00174]. To a mixture of benzylamine (1.07 g, 10.0 mmol), potassium carbonate (2.8 g, 20.0 mmol) and DMF (30 mL) in a 100 mL three neck flask was added 3-bromoprop-1-ene (1.2 g, 10.0 mmol) dropwise slowly. The mixture was stirred at 25 °C for 8 hours and quenched with water (30 mL). The resulting mixture was extracted with ethyl acetate (20 mL × 3). The combined organic layers was washed with saturated aqueous NaCl and dried over anhydrous magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum. The residue was purified by column chromatography (EtOAc/PE (v/v) = 1/5) to get a colorless liquid (1.10 g, yield was 75%).

LC-MS: (M+1) *m/z* = 148.1.

Example O Synthesis of intermediate *N*-benzyloxetan-3-amine

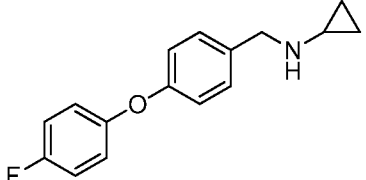
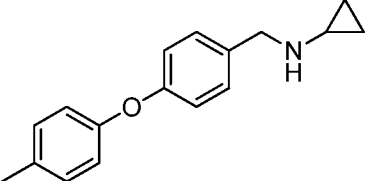
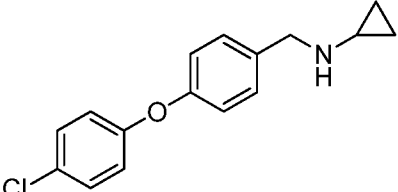
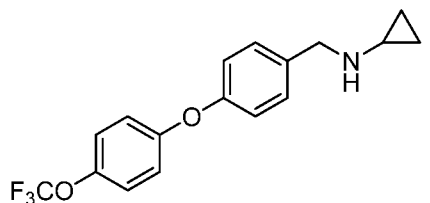
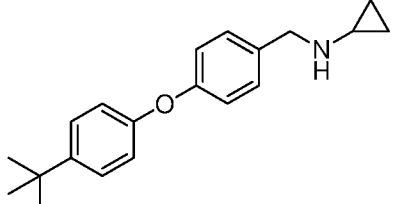
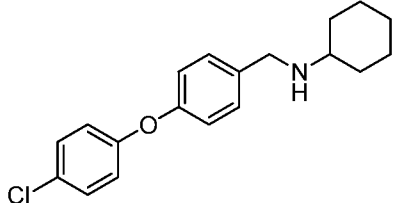
[00175]. A mixture of oxetan-3-amine (2.19 g, 30.0 mmol), benzaldehyde (1.06 g, 10.0 mmol), anhydrous MgSO_4 (3.60 g, 30.0 mmol) and dichloromethane (30 mL) in a 100 mL three neck flask was stirred at 25 °C for 12 hours. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum to get the intermediate imines. The intermediate imines was dissolved in methanol (20 mL), and NaBH_4 (0.38 g, 10.0 mmol) was added into the solution slowly. The resulting mixture was stirred at 25 °C for 5 hours and concentrated on a rotary evaporator. The residue was purified by column chromatography (EtOAc/PE (v/v) = 1/5) to give a colorless liquid (1.45 g, yield was 89%).

LC-MS: (M+1) m/z = 164.1.

[00176]. The intermediate compounds listed in table 4 can be obtained according to the similar methods of example O by using corresponding raw materials and conditions.

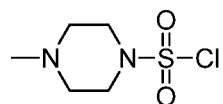
Table 4

Raw materials and conditions	Structure and name of intermediate compound	Characterization data
Reaction of 3-aminotetrahydrofuran and benzaldehyde under anhydrous MgSO_4 and dichloromethane to get the intermediate imine, the intermediate imine was reacted with NaBH_4 in methanol.	 <i>N</i> -benzyltetrahydrofuran-3-amine	colorless liquid LC-MS: (M+1) m/z = 178.1.
Reaction of cyclopropylamine and 4-phenoxybenzaldehyde under anhydrous MgSO_4 and dichloromethane to get the intermediate imine, the intermediate imine was reacted with NaBH_4 in methanol.	 <i>N</i> -(4-phenoxybenzyl)cyclopropylamine	colorless liquid LC-MS: (M+1) m/z = 240.1.
Reaction of cyclobutylamine and 4-phenoxybenzaldehyde under anhydrous MgSO_4 and dichloromethane to get the intermediate imine, the intermediate imine was reacted with NaBH_4 in methanol.	 <i>N</i> -(4-phenoxybenzyl)cyclobutylamine	colorless liquid LC-MS: (M+1) m/z = 254.2.

Reaction of cyclopropylamine and 4-(4-fluorophenoxy)benzaldehyde under anhydrous MgSO ₄ and dichloromethane to get the intermediate imines, the intermediate imines was reacted with NaBH ₄ in methanol.	 <i>N</i>-(4-(4-fluorophenoxy)benzyl)cyclopropanamine	colorless liquid LC-MS: (M+1) m/z = 258.1.
Reaction of cyclopropylamine and 4-(<i>p</i> -methylphenoxy)benzaldehyde under anhydrous MgSO ₄ and dichloromethane to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(4-(<i>p</i>-methylphenoxy)benzyl)cyclopropanamine	colorless liquid LC-MS: (M+1) m/z = 254.1.
Reaction of cyclopropylamine and 4-(4-chlorophenoxy)benzaldehyde under anhydrous MgSO ₄ and dichloromethane to get the intermediate imines, the intermediate imines was reacted with NaBH ₄ in methanol.	 <i>N</i>-(4-(4-chlorophenoxy)benzyl)cyclopropanamine	colorless liquid LC-MS: (M+1) m/z = 274.1.
Reaction of cyclopropylamine and 4-(4-trifluoromethoxy)phenoxy benzaldehyde under anhydrous MgSO ₄ and dichloromethane to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(4-(4-trifluoromethoxy)phenoxy)benzyl)cyclopropanamine	colorless liquid LC-MS: (M+1) m/z = 324.1.
Reaction of cyclopropylamine and 4-(4- <i>t</i> -butylphenoxy)benzaldehyde under anhydrous MgSO ₄ and dichloromethane to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(4-(4-<i>t</i>-butylphenoxy)benzyl)cyclopropanamine	colorless liquid LC-MS: (M+1) m/z = 296.2.
Reaction of cyclohexylamine and 4-(4-chlorophenoxy)benzaldehyde under anhydrous MgSO ₄ and dichloromethane to get the intermediate imines, the		colorless liquid LC-MS: (M+1) m/z = 316.1.

intermediate imines was reacted with NaBH ₄ in methanol.	<i>N</i> -(4-(4-chlorophenoxy)benzyl)cyclohexylamine	
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Example P Synthesis of intermediate 4-methylpiperazine-1-sulfonyl chloride



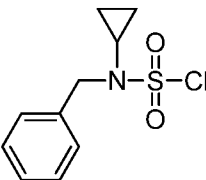
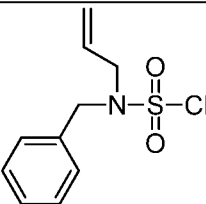
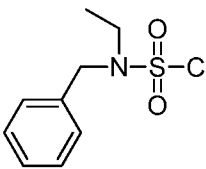
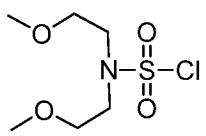
[00177]. To a mixture of 1-methylpiperazine (2.00 g, 0.02 mol) and triethylamine (4.04 g, 0.04 mol) in a 100 mL flask at 0 °C was added a solution of sulfoxide chloride (5.40 g, 0.04 mol) in dichloromethane (30 mL) dropwise. After the addition, the mixture was stirred at 0 °C for 2 hours and quenched with water. The organic phase was separated and dried over anhydrous magnesium sulfate, and then concentrated to get a light yellow oil (3.30 g, yield was 83%).

LC-MS: (M+1) m/z = 199.0.

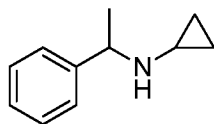
[00178]. The intermediate compounds listed in table 5 can be obtained according to the similar methods of example P by using corresponding raw materials and conditions.

Table 5

Raw materials and conditions	Structure and name of intermediate compound	Characterization data
Reaction of piperidine and sulfoxide chloride under triethylamine and DCM	piperidine-1-sulfonyl chloride	light yellow oil LC-MS: (M+1) m/z = 184.5.
Reaction of pyrrolidine and sulfoxide chloride under triethylamine and DCM	pyrrolidine-1-sulfonyl chloride	light yellow oil LC-MS: (M+1) m/z = 170.0.
Reaction of morpholine and sulfoxide chloride under triethylamine and DCM	morpholine-4-sulfonyl chloride	light yellow oil LC-MS: (M+1) m/z = 186.0.
Reaction of 1,2,3,4-tetrahydroisoquinoline and sulfoxide chloride under triethylamine and DCM	3,4-dihydroisoquinoline-2(1H)-sulfonyl chloride	light yellow oil LC-MS: (M+1) m/z = 232.0.

Reaction of <i>N</i> -benzylcyclopropanamine and sulfoxide chloride under triethylamine and DCM	 benzyl(cyclopropyl)sulfamoyl chloride	light yellow oil LC-MS: (M+1) m/z = 246.7.
Reaction of <i>N</i> -benzylprop-2-en-1-amine and sulfoxide chloride under triethylamine and DCM	 allyl(benzyl)sulfamoyl chloride	light yellow oil LC-MS: (M+1) m/z = 246.7.
Reaction of <i>N</i> -benzylethanamine and sulfoxide chloride under triethylamine and DCM	 benzyl(ethyl)sulfamoyl chloride	light yellow oil LC-MS: (M+1) m/z = 233.0.
Reaction of bis(2-methoxyethyl)amine and sulfoxide chloride under triethylamine and DCM	 bis(2-methoxyethyl)sulfamoyl chloride	light yellow oil LC-MS: (M+1) m/z = 232.0.

Example Q Synthesis of intermediate *N*-(1-phenylethyl)cyclopropanamine



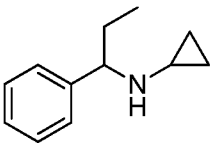
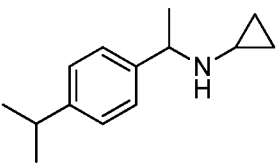
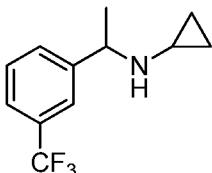
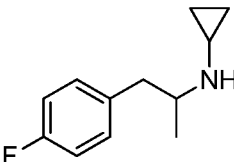
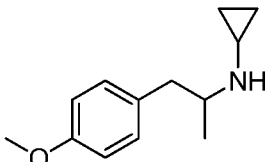
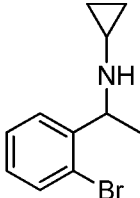
[00179]. A mixture of cyclopropylamine (1.71 g, 30.0 mmol), acetophenone (1.20 g, 10.0 mmol) and toluene (30 mL) in a 100 mL three neck flask was heated to 110 °C and refluxed for 12 hours. The mixture was cooled and concentrated on a rotary evaporator under vacuum to get the intermediate imine. The intermediate imine was dissolved in methanol (20 mL), and NaBH₄ (0.38 g, 10.0 mmol) was added slowly into the solution. The resulting mixture was stirred at 25 °C for 5 hours and concentrated on a rotary evaporator. The residue was purified by column chromatography (EtOAc/PE (v/v) = 1/5) to give a colorless liquid (0.85 g, yield was 53%).

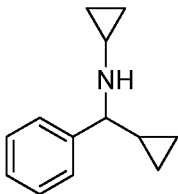
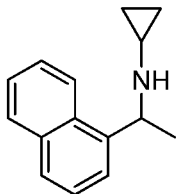
LC-MS: (M+1) m/z = 162.1.

[00180]. The intermediate compounds listed in table 6 can be obtained according to the similar

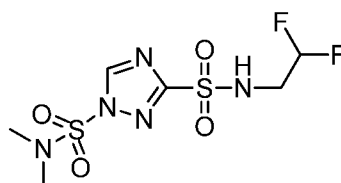
methods of example Q by using corresponding raw materials and conditions.

Table 6

Raw materials and conditions	Structure and name of intermediate compound	Characterization data
Reflux reaction of cyclopropylamine and propiophenone in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(1-phenylpropyl)cyclopropanamine e	colorless liquid LC-MS: (M+1) <i>m/z</i> = 176.1.
Reflux reaction of cyclopropylamine and 1-(4-isopropylphenyl)ethanone in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(1-(4-isopropylphenyl)ethyl)cyclopropanamine	colorless liquid LC-MS: (M+1) <i>m/z</i> = 204.1.
Reflux reaction of cyclopropylamine and 1-(3-(trifluoromethyl)phenyl)ethanone in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(1-(3-(trifluoromethyl)phenyl)ethyl)cyclopropanamine	colorless liquid LC-MS: (M+1) <i>m/z</i> = 230.1.
Reflux reaction of cyclopropylamine and 1-(4-fluorophenyl)propan-2-one in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(1-(4-fluorophenyl)propan-2-yl)cyclopropanamine	colorless liquid LC-MS: (M+1) <i>m/z</i> = 194.1.
Reflux reaction of cyclopropylamine and 1-(4-methoxyphenyl)propan-2-one in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(1-(4-methoxyphenyl)propan-2-yl)cyclopropanamine	colorless liquid LC-MS: (M+1) <i>m/z</i> = 206.1.
Reflux reaction of cyclopropylamine and 1-(2-bromophenyl)ethanone in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(1-(2-bromophenyl)ethyl)cyclopropanamine	colorless liquid LC-MS: (M+1) <i>m/z</i> = 240.1, 242.2.

Reflux reaction of cyclopropylamine and cyclopropyl(phenyl)methanone in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(cyclopropyl(phenyl)methyl)cyclopropanamine	colorless liquid LC-MS: (M+1) <i>m/z</i> = 188.1.
Reflux reaction of cyclopropylamine and 1-(naphthalen-1-yl)ethanone in toluene to get the intermediate imine, the intermediate imine was reacted with NaBH ₄ in methanol.	 <i>N</i>-(1-(naphthalen-1-yl)ethyl)cyclopropanamine	colorless liquid LC-MS: (M+1) <i>m/z</i> = 212.1.

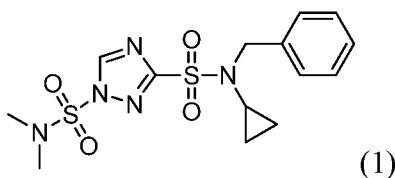
Example R Synthesis of intermediate *N*³-(2,2-difluoroethyl)-*N*¹,*N*¹-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide



[00181]. A mixture of 2,2-difluoroethylamine (0.41 g, 5.0 mmol), triethylamine (1.01 g, 10.0 mol) and tetrahydrofuran (20 mL) in a 100 mL single neck flask was stirred homogeneously at room temperature, and then 1-(*N,N*-dimethylamino sulfonyl)-1*H*-1,2,4-triazole-3-sulfonyl chloride (1.37 g, 5.0 mmol) was added. The mixture was stirred at 25 °C for 12 hours and quenched with water (30 mL). The resulting mixture was extracted with ethyl acetate (20 mL × 3). The combined organic layers were dried over anhydrous magnesium sulfate and filtered. The filtrate was concentrated on a rotary evaporator under vacuum. The residue was purified by column chromatography (EtOAc/PE (v/v) = 1/3) to get a white solid (1.10 g, yield was 69%).

LC-MS: (M+1) *m/z* = 320.0.

Example 1 Synthesis of compound *N*³-benzyl-*N*³-cyclopropyl-*N*¹,*N*¹-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide



[00182]. A solution of *N*-benzyl-*N*-cyclopropyl-1*H*-1,2,4-triazole-3-sulfonamide (0.28 g, 1 mmol)

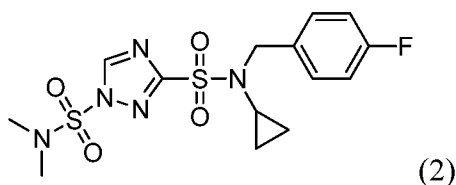
in dry tetrahydrofuran (8 mL) was stirred at 0 °C under N₂, and then sodium hydride (60%, 60 mg, 1.5 mmol, 3 batches) was added in portions. After the addition, the mixture was further stirred at 0 °C for 30 minutes and then warmed to room temperature, *N,N*-dimethylamino sulfonyl chloride (0.286 g, 2 mmol) was added dropwise slowly. The resulting mixture was stirred at room temperature for 8 hours and quenched with water (5 mL), extracted with ethyl acetate (10 mL × 3). The combined organic layers were dried over magnesium sulfate and concentrated. The residue was purified by column chromatography (PE/EtOAc (v/v) = 5/1) to get a white powder (0.27 g, yield was 70.2%).

¹H-NMR (400 MHz, CDCl₃): δ (ppm) 8.6 (s, 1H), 7.4~7.3 (m, 5H), 4.6 (s, 2H), 3.0 (m, 6H), 2.6~2.5 (m, 1H), 0.8~0.6 (m, 4H);

¹³C NMR (100 MHz, CDCl₃): δ (ppm) 163.0, 145.8, 135.9, 128.6, 128.4, 128.3, 127.8, 54.7, 38.8, 30.8, 7.3;

LC-MS: (M+1) *m/z* = 386.4.

Example 2 Synthesis of compound *N*³-cyclopropyl-*N*³-(4-fluorobenzyl)-*N*^I,*N*^I-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide



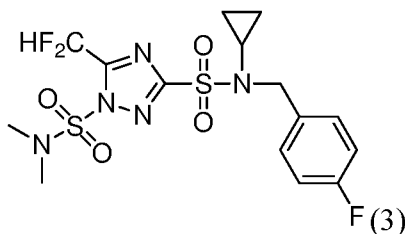
[00183]. To a mixture of *N*-cyclopropyl-*N*-(4-fluorobenzyl)-1*H*-1,2,4-triazole-3-sulfonamide (0.296 g, 1.0 mmol), potassium carbonate (0.28 g, 2.0 mmol) and DMF (10 mL) in a 100 mL three neck flask was added *N,N*-dimethylamino sulfonyl chloride (0.215 g, 1.5 mmol) dropwise slowly. The mixture was stirred at 25 °C for 8 hours and quenched with water (20 mL). The resulting mixture was extracted with ethyl acetate (15 mL × 3). The combined organic layers was washed with saturated aqueous NaCl and dried over anhydrous magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum. The residue was purified by column chromatography (EtOAc/PE (v/v) = 1/3) to get a white solid (0.250 g, yield was 62%).

¹H NMR (400 MHz, CDCl₃): δ (ppm) 8.6 (s, 1H), 7.4 (dd, 2H), 7.0 (t, 2H), 4.5 (s, 2H), 3.0 (m, 6H), 2.5 (m, 1H), 0.8~0.7 (m, 4H);

¹³C NMR (100 MHz, CDCl₃): δ (ppm) 163.4, 146.0, 130.3, 115.5, 115.3, 53.9, 39.0, 30.5, 7.3;

LC-MS: (M+1) m/z = 404.4.

Example 3 Synthesis of compound N^3 -cyclopropyl-5-(difluoromethyl)- N^3 -(4-fluorobenzyl)- N^1,N^1 -dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide

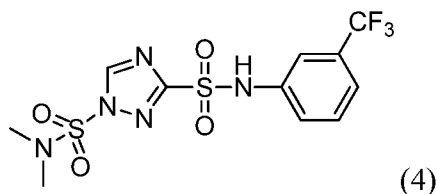


[00184]. To a mixture of N -cyclopropyl-5-(difluoromethyl)- N -(4-fluorobenzyl)-1*H*-1,2,4-triazole-3-sulfonamide (0.346 g, 1.0 mmol), potassium carbonate (0.28 g, 2.0 mmol) and DMF (10 mL) in a 100 mL three neck flask was added N,N -dimethylamino sulfonyl chloride (0.215 g, 1.5 mmol) dropwise slowly. The mixture was stirred at 25 °C for 8 hours and quenched with water (20 mL). The resulting mixture was extracted with ethyl acetate (15 mL $\times$ 3). The combined organic layers was washed with saturated aqueous NaCl and dried over anhydrous magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum. The residue was purified by column chromatography (EtOAc/PE (v/v) = 1/3) to get a white solid (0.222 g, yield was 51%).

$^1\text{H-NMR}$ (400 MHz, DMSO- d_6): δ (ppm) 7.4~7.3 (m, 2H), 7.3~7.1 (m, 3H), 4.4 (s, 2H), 2.9 (m, 6H), 2.1 (m, 1H), 0.6~0.7 (m, 4H);

LC-MS: (M+1) m/z = 454.5.

Example 4 Synthesis of compound N^1,N^1 -dimethyl- N^3 -(3-(trifluoromethyl)phenyl)-1*H*-1,2,4-triazole-1,3-disulfonamide

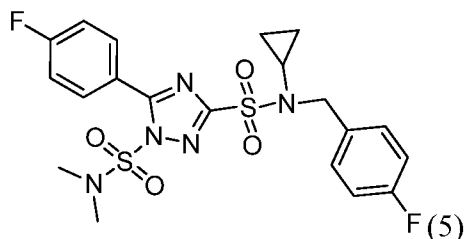


[00185]. A mixture of 3-(trifluoromethyl)aniline (0.81 g, 5.0 mmol), pyridine (0.79 g, 10.0 mol) and tetrahydrofuran (20 mL) in a 100 mL single neck flask was stirred homogeneously at room temperature, and then 1-(N,N -dimethylamino sulfonyl)-1*H*-1,2,4-triazole-3-sulfonyl chloride (1.37 g, 5.0 mmol) was added. The mixture was stirred at 25 °C for 12 hours and quenched with water (30 mL). The resulting mixture was extracted with ethyl acetate (20 mL $\times$ 3). The combined organic layers were dried over anhydrous magnesium sulfate and filtered. The filtrate was concentrated on a rotary evaporator under vacuum. The residue was purified by column

chromatography (EtOAc/PE (v/v) = 1/3) to get a white solid (1.40 g, yield was 70%).

LC-MS: (M+1) m/z = 400.0.

Example 5 Synthesis of compound *N*³-cyclopropyl-*N*³-(4-fluorobenzyl)-5-(4-fluorophenyl)-*N*¹,*N*¹-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide

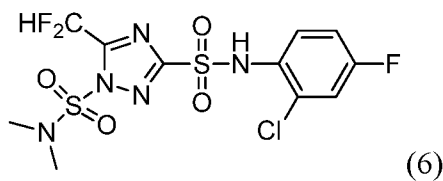


[00186]. To a mixture of *N*-cyclopropyl-*N*-(4-fluorobenzyl)-5-(4-fluorophenyl)-1*H*-1,2,4-triazole-3-sulfonamide (0.390 g, 1.0 mmol), potassium carbonate (0.28 g, 2.0 mmol) and DMF (10 mL) in a 100 mL three neck flask was added *N,N*-dimethylamino sulfonyl chloride (0.215 g, 1.5 mmol) dropwise slowly. The mixture was stirred at 25 °C for 8 hours and quenched with water (20 mL). The resulting mixture was extracted with ethyl acetate (15 mL × 3). The combined organic layers was washed with saturated aqueous NaCl and dried over anhydrous magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum. The residue was purified by column chromatography (EtOAc/PE (v/v) = 1/3) to get a white solid (0.303 g, yield was 61%).

¹H-NMR (400 MHz, DMSO-*d*₆): δ (ppm) 7.8~7.7 (m, 2H), 7.3~7.2 (m, 7H), 4.2 (s, 1H), 3.0 (m, 6H), 2.2 (m, 1H), 0.7~0.6 (m, 4H);

LC-MS: (M+1) m/z = 498.5.

Example 6 Synthesis of compound *N*³-(2-chloro-4-fluorophenyl)-5-(difluoromethyl)-*N*¹,*N*¹-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide



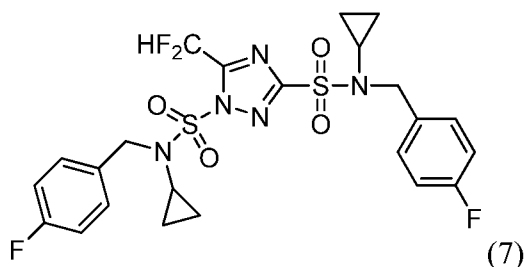
[00187]. A solution of *N*-(2-chloro-4-fluorophenyl)-5-(difluoromethyl)-1*H*-1,2,4-triazole-3-sulfonamide (0.360 g, 1 mmol) in dry pyridine (10 mL) was stirred at room temperature under N₂ for 2 hours, and then *N,N*-dimethylamino sulfonyl chloride (0.286 g, 2 mmol) was added dropwise by syringe slowly. After the addition, the mixture was stirred at room temperature for 24 hours and quenched with water (10 mL), extracted with ethyl acetate (20 mL × 3). The combined organic layers were washed with saturated aqueous sodium bicarbonate solution (10

mL $\times$ 2) and dried over magnesium sulfate and concentrated. The residue was purified by column chromatography (PE/EtOAc (v/v) = 5/1) to get a light yellow powder (0.168 g, yield was 39.0%).

$^1\text{H-NMR}$ (400MHz, $\text{DMSO-}d_6$): δ (ppm) 7.5~7.4 (m, 1H), 7.3 (t, 1H), 7.2 (m, 2H), 3.0 (m, 6H);

LC-MS: (M+1) m/z = 433.9.

Example 7 Synthesis of compound N^1, N^3 -dicyclopropyl-5-(difluoromethyl)- N^1, N^3 -bis(4-fluorobenzyl)-1H-1,2,4-triazole-1,3-disulfonamide

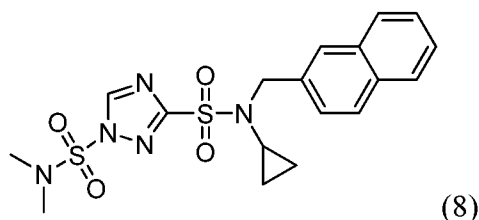


[00188]. A solution of N -cyclopropyl-5-(difluoromethyl)- N -(4-fluorobenzyl)-1H-1,2,4-triazole-3-sulfonamide (0.350 g, 1 mmol) in dry tetrahydrofuran (8 mL) was stirred at 0 °C under N_2 , and then sodium hydride (60%, 60 mg, 1.5 mmol, 3 batches) was added in portions. After the addition, the mixture was further stirred at 0 °C for 30 minutes and then warmed to room temperature, N -(4-fluorobenzyl)- N -cyclopropyl sulfonyl chloride (0.526 g, 2 mmol) was added dropwise slowly. The resulting mixture was stirred at room temperature for 8 hours and quenched with water (5 mL), extracted with ethyl acetate (10 mL $\times$ 3). The combined organic layers were dried over magnesium sulfate and concentrated. The residue was purified by column chromatography (PE/EtOAc (v/v) = 5/1). A light yellow powder was obtained (0.217 g, yield was 38.1%).

$^1\text{H-NMR}$ (400 MHz, $\text{DMSO-}d_6$): δ (ppm) 7.4~7.1 (m, 8H), 6.9 (t, 1H), 4.3 (s, 4H), 2.2 (m, 2H), 0.6~0.4 (m, 8H);

LC-MS: (M+1) m/z = 574.2.

Example 8 Synthesis of compound N^3 -cyclopropyl- N^1, N^1 -dimethyl- N^3 -(naphth-2-ylmethyl)-1H-1,2,4-triazole-1,3-disulfonamide



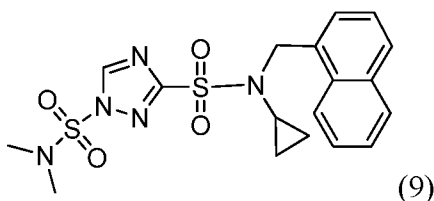
(8)

[00189]. A mixture of *N*³-cyclopropyl-*N*¹,*N*¹-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide (0.400 g, 1.36 mmol), potassium carbonate (0.276 g, 2.0 mmol), 2-chloromethylnaphthalene (0.320 g, 2.0 mmol) and DMF (30 mL) in a 100 mL three neck flask was stirred at room temperature overnight. Next day, the mixture was diluted with water (50 mL). The resulting mixture was extracted with ethyl acetate (50 mL × 3). The combined organic layers was washed with saturated aqueous NaCl and dried over magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum. The residue was purified by column chromatography (EtOAc/PE (v/v) = 5/1) to get a white solid (0.370 g, yield was 63.0%).

¹H NMR (600 MHz, DMSO-*d*₆): δ (ppm) 9.47 (s, 1H), 7.93 (dd, 4H), 7.58-7.51 (m, 3H), 4.72 (s, 2H), 2.99 (s, 6H), 2.63 (m, 1H), 0.78-0.69 (m, 4H).

LC-MS: (M+1) *m/z* = 436.5.

Example 9 Synthesis of compound *N*³-cyclopropyl-*N*¹,*N*¹-dimethyl-*N*³-(naphth-1-yl-methyl)-1*H*-1,2,4-triazole-1,3-disulfonamide



(9)

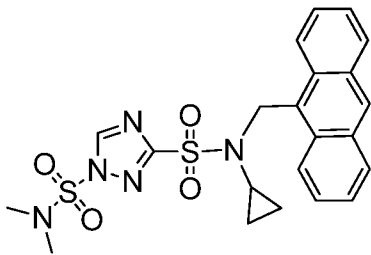
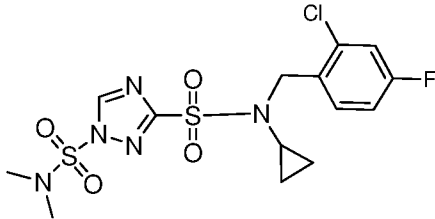
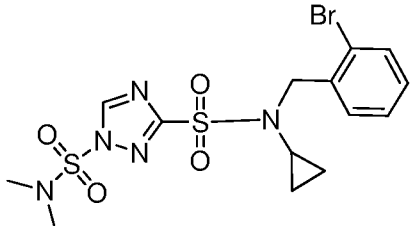
[00190]. A mixture of *N*³-cyclopropyl-*N*¹,*N*¹-dimethyl-1*H*-1,2,4-triazole-1,3-disulfonamide (0.400 g, 1.36 mmol), potassium carbonate (0.276 g, 2.0 mmol), 1-chloromethylnaphthalene (0.320 g, 2.0 mmol) and DMF (30 mL) in a 100 mL three neck flask was stirred at room temperature overnight. Next day, the mixture was diluted with water (50 mL). The resulting mixture was extracted with ethyl acetate (50 mL × 3). The combined organic layers was washed with saturated aqueous NaCl and dried over magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum to get a yellow liquid (0.516 g, yield was 87.2%).

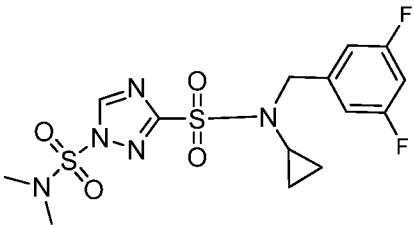
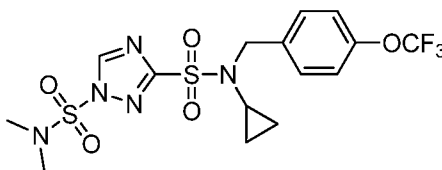
¹H NMR (600 MHz, DMSO-*d*₆): δ (ppm) 9.54 (s, 1H), 8.22 (d, 1H), 7.98 (dd, 2H), 7.65-7.53 (m, 4H), 5.04 (s, 2H), 3.04 (s, 6H), 2.58 (m, 1H), 0.63-0.53 (m, 4H).

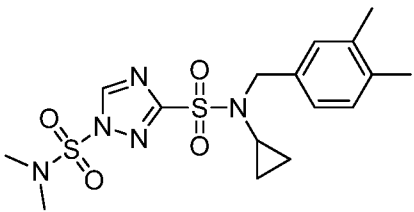
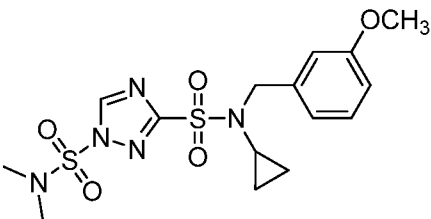
LC-MS: (M+1) *m/z* = 436.3.

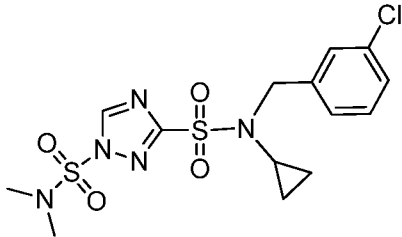
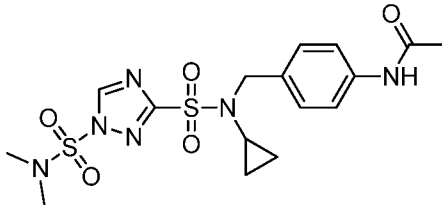
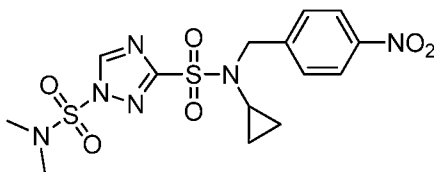
[00191]. The compounds listed in table 7 can be obtained with reference to the schemes or specific examples of the invention by using corresponding raw materials and conditions.

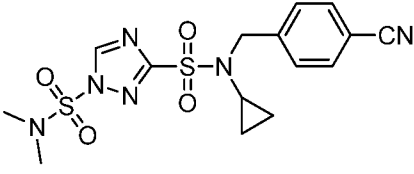
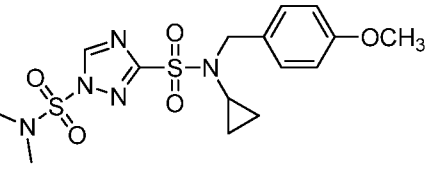
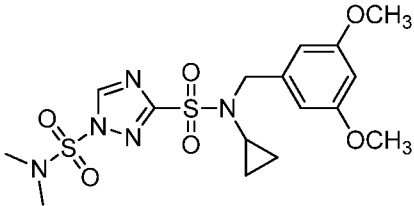
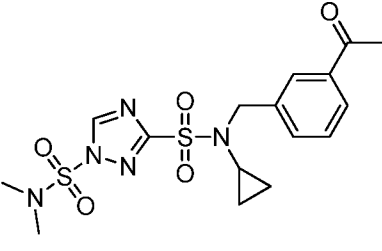
Table 7

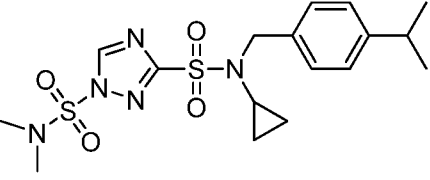
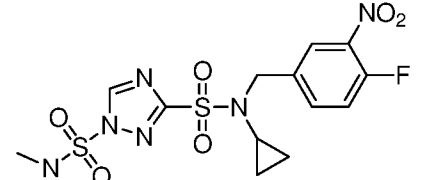
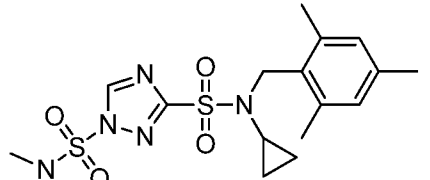
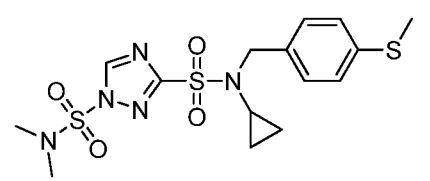
Exa mple	Raw materials and conditions	Structure and name of target compound	Characterization data
10	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 9-chloromethylanthracene under potassium carbonate with reference to the method of example 8.	 (10) <i>N</i>³-(anthr-9-yl-methyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, DMSO- <i>d</i> ₆): δ (ppm) 9.64 (s, 1H), 8.74 (s, 1H), 8.58 (d, 2H), 8.18 (d, 2H), 7.62 (dt, 4H), 5.64 (s, 2H), 3.10 (s, 6H), 2.46-2.38 (m, 1H), 0.04 (m, 2H), -0.11 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 486.5.
11	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-chloro-4-fluorobenzyl chloride under potassium carbonate with reference to the method of example 8.	 (11) <i>N</i>³-(2-chloro-4-fluorobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H-NMR (400 mhz, DMSO- <i>d</i> ₆): δ (ppm) 9.6 (s, 1H), 7.3-7.6 (m, 3H), 4.56 (s, 2H), 2.9 (s, 6H), 2.5-2.53 (m, 1H), 0.4-0.5 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 438.3.
12	A mixture of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide (0.400 g, 1.36 mmol), potassium carbonate (0.276 g, 2.0 mmol), 2-bromobenzyl bromide (0.496 g, 2.0 mmol) and DMF (30 ml) in a 100 ml three neck flask was stirred at room temperature overnight. Next day, the mixture was diluted with water (50 ml). The resulting mixture was extracted with ethyl acetate (50 ml × 3). The combined organic layers was washed	 (12) <i>N</i>³-(2-bromobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow liquid ¹ H-NMR (400 mhz, DMSO- <i>d</i> ₆): δ (ppm) 9.49 (s, 1H), 7.25-7.7 (m, 4H), 4.6 (s, 2H), 3.0 (s, 6H), 2.63-2.68 (m, 1H), 0.6-0.7 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 464.2, 466.3.

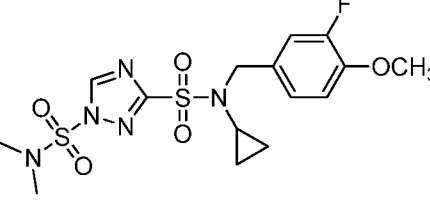
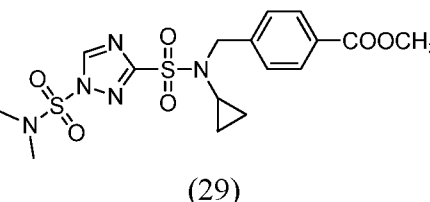
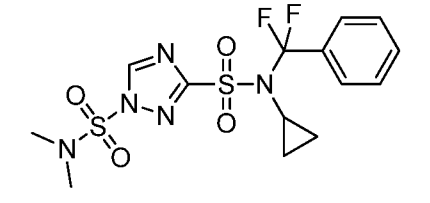
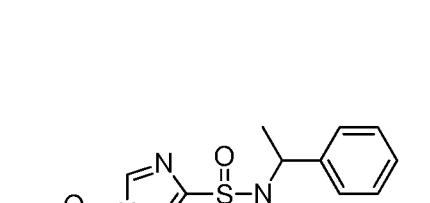
	with saturated aqueous nacl and dried over magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum to get a yellow liquid (0.542 g, yield was 86.1%).		
13	A mixture of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide (0.400 g, 1.36 mmol), potassium carbonate (0.276 g, 2.0 mmol), 3,5-difluorobenzyl chloride (0.324 g, 2.0 mmol) and DMF (30 ml) in a 100 ml three neck flask was stirred at room temperature overnight. Next day, the mixture was diluted with water (50 ml). The resulting mixture was extracted with ethyl acetate (50 ml × 3). The combined organic layers was washed with saturated aqueous nacl and dried over magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum to get a yellow liquid (0.478 g, yield was 83.5%).	 (13) <i>N</i>³-cyclopropyl-<i>N</i>³-(3,5-difluorobenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow liquid ¹H-NMR (400 mhz, DMSO-<i>d</i>₆): δ (ppm) 9.47 (s, 1H), 7.0-7.24 (m, 4H), 4.54 (s, 2H), 2.99 (s, 6H), 2.59-2.66 (m, 1H), 0.68-0.73 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 422.3.
14	A mixture of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide (0.400 g, 1.36 mmol), potassium carbonate (0.276 g, 2.0 mmol), 4-trifluoromethoxybenzyl chloride (0.420 g, 2.0 mmol) and DMF (30 ml) in a 100 ml three neck flask was stirred at room temperature overnight. Next day, the mixture was diluted with water (50 ml).	 (14) <i>N</i>³-cyclopropyl-<i>N</i>³-(4-(trifluoromethoxy)benzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow liquid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.57 (s, 1H), 7.41 (d, 2H), 7.17 (d, 2H), 4.56 (s, 2H), 3.07 (s, 6H), 2.55 (ddd, 1H), 0.89-0.70 (m, 4H). ¹³C NMR (151 mhz, cdcl₃): δ (ppm) 163.23, 148.87, 146.08, 134.72, 130.02, 121.29, 120.97, 77.93, 77.24, 77.02, 76.81, 56.17, 54.04,

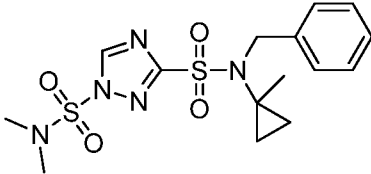
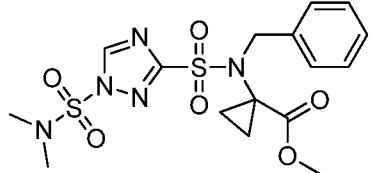
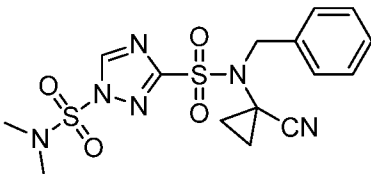
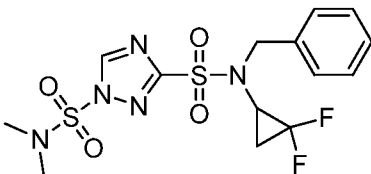
	The resulting mixture was extracted with ethyl acetate (50 ml × 3). The combined organic layers was washed with saturated aqueous nacl and dried over magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum to get a yellow liquid (0.562 g, yield was 88.2%).		39.00, 38.94, 31.05, 30.90, 21.63, 7.50, 0.20, 0.01, -2.14. ¹⁹F NMR (376 mhz, cdcl₃): δ (ppm) -57.86. LC-MS: (M+1) <i>m/z</i> = 470.5.
15	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 3,4-dimethylbenzyl chloride under potassium carbonate with reference to the method of example 8.	 (15) <i>N</i>³-cyclopropyl-<i>N</i>³-(3,4-dimethylbenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H-NMR (400 mhz, DMSO-<i>d</i>₆): δ (ppm) 9.41 (s, 1H), 7.0-7.1 (m, 3H), 4.41 (s, 2H), 2.96 (s, 6H), 2.53-2.54 (m, 1H), 2.19 (s, 6H), 0.64-0.71 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 414.2.
16	A mixture of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide (0.400 g, 1.36 mmol), potassium carbonate (0.276 g, 2.0 mmol), 3-methoxybenzyl chloride (0.312 g, 2.0 mmol) and DMF (30 ml) in a 100 ml three neck flask was stirred at room temperature overnight. Next day, the mixture was diluted with water (50 ml). The resulting mixture was extracted with ethyl acetate (50 ml × 3). The combined organic layers was washed with saturated aqueous nacl and dried over magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum to get a yellow liquid (0.467 g,	 (16) <i>N</i>³-cyclopropyl-<i>N</i>³-(3-methoxybenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow liquid ¹H-NMR (400 mhz, DMSO-<i>d</i>₆): δ (ppm) 9.42 (s, 1H), 7.95 (d, 1H), 7.24-7.28 (t, 1H), 6.8-6.94 (m, 1H), 4.47 (s, 2H), 3.74 (s, 2H), 2.97 (s, 6H), 2.53-2.61 (m, 1H), 0.64~0.72 (d, 4H). LC-MS: (M+1) <i>m/z</i> = 416.4.

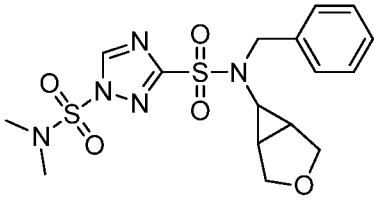
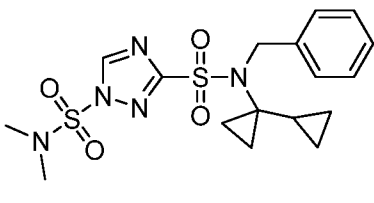
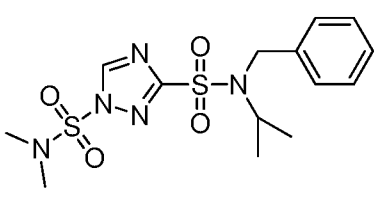
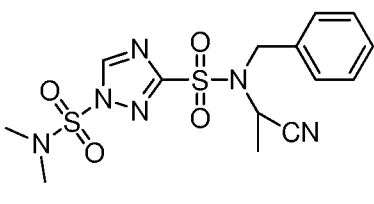
	yield was 82.7%).		
17	A mixture of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide (0.400 g, 1.36 mmol), potassium carbonate (0.276 g, 2.0 mmol), <i>m</i>-chlorobenzyl chloride (0.320 g, 2.0 mmol) and DMF (30 ml) in a 100 ml three neck flask was stirred at room temperature overnight. Next day, the mixture was diluted with water (50 ml). The resulting mixture was extracted with ethyl acetate (50 ml × 3). The combined organic layers was washed with saturated aqueous nacl and dried over magnesium sulfate. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum to get a yellow liquid (0.499 g, yield was 87.6%).	 (17) <i>N</i>³-(3-chlorobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow liquid ¹H NMR (600 mhz, DMSO-<i>d</i>₆): δ (ppm) 9.45 (s, 1H), 7.3-7.4 (m, 4H), 4.52 (s, 2H), 2.98 (s, 6H), 2.53-2.62 (m, 1H), 0.62-0.72 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 420.3.
18	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and <i>N</i>-(4-(bromomethyl)phenyl)acetamide under potassium carbonate with reference to the method of example 8.	 (18) <i>N</i>-(4-((<i>N</i>-cyclopropyl-1-(<i>N</i>,<i>N</i>-dimethylsulfamoyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamido)methyl)phenyl)acetamide	White solid LC-MS: (M+1) <i>m/z</i> = 443.1.
19	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-nitrobenzene under potassium carbonate with reference to the method of example 8.	 (19) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-nitrobenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (600 mhz, cdcl₃): δ (ppm) 8.63 (s, 1H), 8.21 (d, <i>J</i> = 8.6 Hz, 2H), 7.56 (d, <i>J</i> = 8.6 Hz, 2H), 4.66 (s, 2H), 2.56 (m, 1H), 0.86-0.67 (m, 4H). LC-MS: (M+1) <i>m/z</i> =

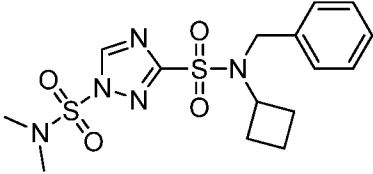
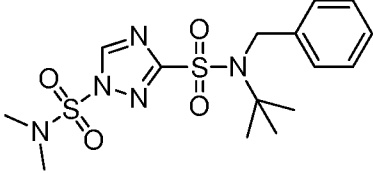
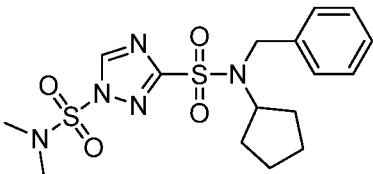
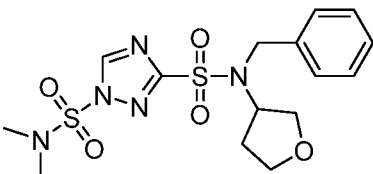
			431.0.
20	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and <i>p</i> -cyanobenzyl chloride under potassium carbonate with reference to the method of example 8.	 (20) <i>N</i>³-(4-cyanobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (600 mhz, cdcl ₃): δ (ppm) 8.62 (s, 1H), 7.64 (d, <i>J</i> = 8.2 Hz, 2H), 7.51 (d, <i>J</i> = 8.2 Hz, 2H), 4.61 (s, 2H), 2.54 (ddd, <i>J</i> = 10.6, 7.0, 3.7 Hz, 1H), 0.84-0.66 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 411.0.
21	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-methoxybenzene under potassium carbonate with reference to the method of example 8.	 (21) <i>N</i>³-cyclopropyl-<i>N</i>³-(4-methoxybenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H-NMR (400 mhz, DMSO- <i>d</i> ₆): δ (ppm) 9.39 (s, 1H), 7.1-7.3 (d, 2H), 6.82-6.98 (d, 2H), 4.42 (s, 2H), 3.73 (s, 3H), 2.96 (s, 6H), 2.7-2.9 (m, 1H), 0.64-0.72 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 416.1.
22	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-3,5-dimethoxybenzene under potassium carbonate with reference to the method of example 8.	 (22) <i>N</i>³-cyclopropyl-<i>N</i>³-(3,5-dimethoxybenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 446.1.
23	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(3-(chloromethyl)phenyl)ethanone under potassium carbonate with reference to the method of example 8.	 (23) <i>N</i>³-(3-acetylbenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 428.1.

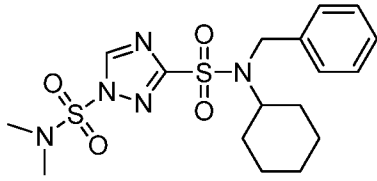
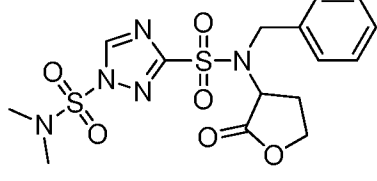
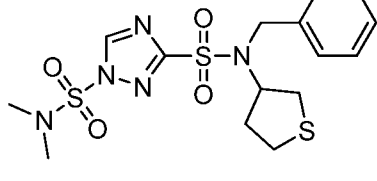
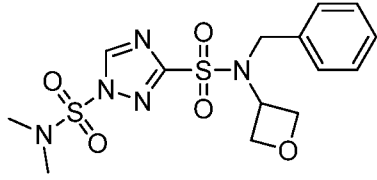
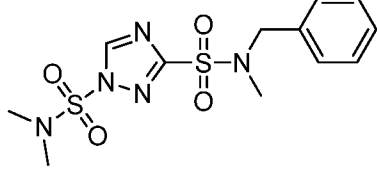
24	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-isopropylbenzene under potassium carbonate with reference to the method of example 8.	 (24) <i>N</i>³-cyclopropyl-<i>N</i>³-(4-isopropylbenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.56 (s, 1H), 7.27 (d, <i>J</i> = 8.0 Hz, 2H), 7.17 (d, <i>J</i> = 8.0 Hz, 2H), 4.54 (s, 2H), 3.07 (s, 6H), 2.90 (q, <i>J</i> = 6.8 Hz, 1H), 2.60-2.57 (m, 1H), 1.25 (q, <i>J</i> = 6.8 Hz, 6H), 0.88-0.84 (m, 2H), 0.77-0.72 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 428.0.
25	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 4-(bromomethyl)-1-fluoro-2-nitrobenzene under potassium carbonate with reference to the method of example 8.	 (25) <i>N</i>³-cyclopropyl-<i>N</i>³-(4-fluoro-3-nitrobenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 449.1.
26	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 2-(chloromethyl)-1,3,5-trimethylbenzene under potassium carbonate with reference to the method of example 8.	 (26) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(2,4,6-trimethylbenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 428.1.
27	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 4-methylthiobenzyl chloride under potassium carbonate with reference to the method of example 8.	 (27) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-(methylthio)benzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, DMSO-<i>d</i>₆): δ (ppm) 9.41 (s, 1H), 7.20-7.30 (m, 4H), 4.46 (s, 2H), 2.97 (s, 6H), 2.53-2.58 (m, 1H), 2.47 (s, 3H), 0.64-0.73 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 432.1.

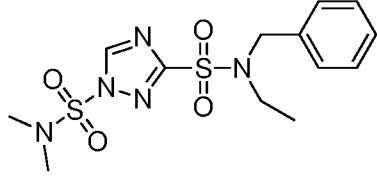
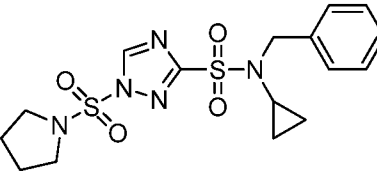
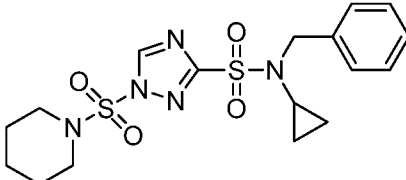
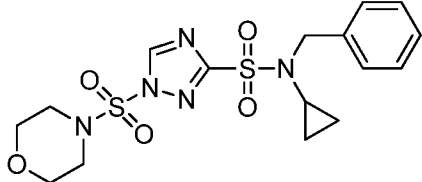
28	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 4-(chloromethyl)-2-fluoro-1-methoxybenzene under potassium carbonate with reference to the method of example 8.	 (28) <i>N</i>³-cyclopropyl-<i>N</i>³-(3-fluoro-4-methoxybenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 434.1.
29	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and methyl (4-chloromethyl)benzoate under potassium carbonate with reference to the method of example 8.	 (29) Methyl 4-((<i>N</i>-cyclopropyl-1-(<i>N,N</i>-dimethylsulfamoyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamido)methyl)benzoate	White solid ¹H NMR (400 mhz, DMSO-<i>d</i>₆): δ (ppm) 9.44 (s, 1H), 7.931-7.99 (d, 2H), 7.47-7.51 (d, 2H), 4.59 (s, 2H), 3.85 (s, 2H), 2.98 (s, 6H), 2.55-2.62 (m, 1H), 0.65-0.70 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 444.1.
30	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and (chlorodifluoromethyl)benzene under potassium carbonate with reference to the method of example 8.	 (30) <i>N</i>³-cyclopropyl-<i>N</i>³-(difluoro(phenyl)methyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 422.1.
31	A mixture of <i>N</i>-(1-phenylethyl)cyclopropylamine (0.32 g, 2.0 mmol), pyridine (0.32 g, 4.0 mmol) and tetrahydrofuran (10 ml) in a 100 ml single neck flask was stirred homogeneously at room temperature, and then 1-(<i>N,N</i>-dimethylamino)sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride (0.55 g, 2.0 mmol) was added. The mixture was stirred at 25 °C for 12 hours and quenched with water (20 ml). The	 (31) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(1-phenylethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow liquid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.64 (s, 1H), 7.41 (d, <i>J</i> = 7.3 Hz, 2H), 7.34-7.29 (m, 3H), 5.45 (q, <i>J</i> = 7.1 Hz, 1H), 3.09 (s, 6H), 2.37-2.32 (m, 1H), 1.67 (d, <i>J</i> = 7.1 Hz, 3H), 1.06-0.99 (m, 1H), 0.80-0.73 (m, 1H), 0.56-0.44 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 400.1.

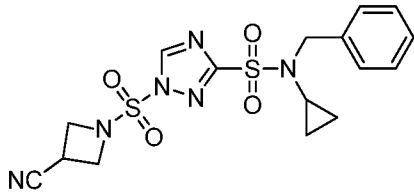
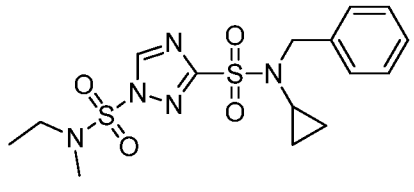
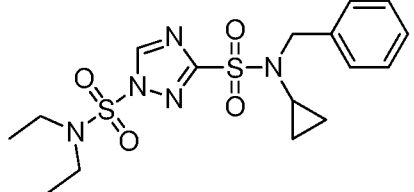
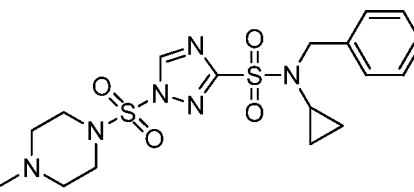
	resulting mixture was extracted with ethyl acetate (15 ml × 3). The combined organic layers were dried over anhydrous magnesium sulfate and filtered. The filtrate was concentrated on a rotary evaporator under vacuum to get a yellow liquid (0.64 g, yield was 80%).		
32	Reaction of 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride and <i>N</i> -benzyl-1-methylcyclopropanamine under pyridine with reference to the method of example 31.	 (32) <i>N</i>³-benzyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(1-methylcyclopropyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 400.1.
33	Reaction of 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride and methyl 1-(benzylamino)cyclopropanecarboxylate under pyridine with reference to the method of example 31.	 (33) Methyl 1-(<i>N</i>-benzyl-1-(<i>N,N</i>-dimethylsulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamido)cyclopropanecarboxylate	White solid LC-MS: (M+1) <i>m/z</i> = 444.1.
34	Reaction of 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride and 1-(benzylamino)cyclopropanecarbonitrile under pyridine with reference to the method of example 31.	 (34) <i>N</i>³-benzyl-<i>N</i>³-(1-cyanocyclopropyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 411.1.
35	Reaction of 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride and <i>N</i> -benzyl-2,2-difluorocyclopropanamine under pyridine with reference to the method	 (35) <i>N</i>³-benzyl-<i>N</i>³-(2,2-difluorocyclopropyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 422.1.

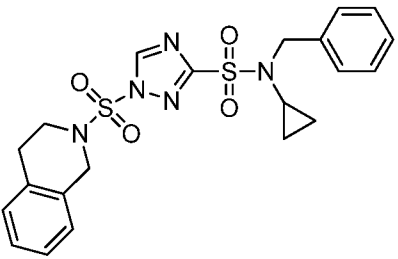
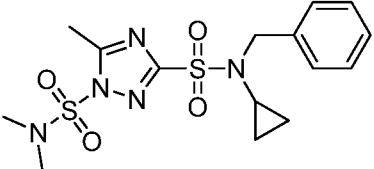
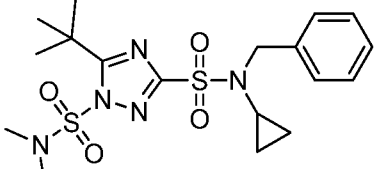
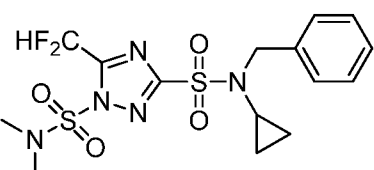
	of example 31.	propyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	
36	Reaction of 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride and <i>N</i> -benzyl-3-oxabicyclo[3.1.0]hexan-6-amine under pyridine with reference to the method of example 31.	 (36) <i>N</i>³-benzyl-<i>N</i>³-(3-oxabicyclo[3.1.0]hex-6-yl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 428.1.
37	Reaction of 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride and <i>N</i> -benzyl-[1,1'-bi(cyclopropan)]-1-amine under pyridine with reference to the method of example 31.	 (37) <i>N</i>³-([1,1'-bi(cyclopropan)]-1-yl)-<i>N</i>³-benzyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 426.1.
38	Reaction of <i>N</i> -benzylpropan-2-amine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (38) <i>N</i>³-benzyl-<i>N</i>³-isopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.62 (s, 1H), 7.42 (m, 2H), 7.33 (m, 3H), 4.56 (s, 2H), 4.29 (d, 1H), 3.06 (s, 6H), 1.07 (d, <i>J</i> = 6.8 Hz, 6H). ¹³ C NMR (151 mhz, cdcl ₃): δ (ppm) 164.14, 146.16, 137.89, 128.49, 127.85, 127.59, 51.51, 47.79, 38.92, 21.33. LC-MS: (M+1) <i>m/z</i> = 388.1.
39	Reaction of 2-(benzylamino)propanenitrile and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (39) <i>N</i>³-benzyl-<i>N</i>³-(1-cyanoethyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 399.1.

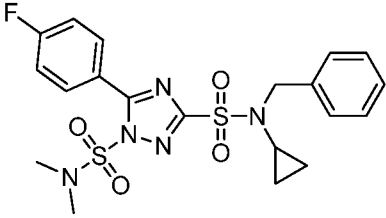
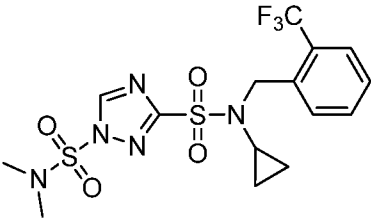
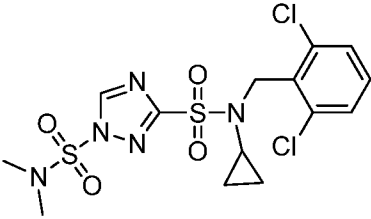
40	Reaction of N^3-cyclobutyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and benzyl chloride under potassium carbonate with reference to the method of example 8.	 (40) N^3-benzyl-N^3-(1-cyanocyclobutyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.63 (s, 1H), 7.40-7.30 (m, 5H), 4.63 (s, 2H), 4.45-4.36 (m, 1H), 3.07 (s, 6H), 2.11-1.98 (m, 4H), 1.59-1.49 (m, 2H). LC-MS: (M+1) m/z = 400.1.
41	Reaction of N-benzyl-t-butyl-amine and 1-(N,N-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (41) N^3-benzyl-N^3-t-butyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 402.1.
42	Reaction of N^3-cyclopentyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and benzyl chloride under potassium carbonate with reference to the method of example 8.	 (42) N^3-benzyl-N^3-cyclopentyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.64 (s, 1H), 7.43-7.29 (m, 5H), 4.58 (s, 2H), 4.42-4.36 (m, 1H), 3.07 (s, 6H), 1.70-1.67 (m, 2H), 1.51-1.49 (m, 2H), 1.47-1.38 (m, 4H). LC-MS: (M+1) m/z = 414.1.
43	Reaction of N-benzyltetrahydrofuran-3-amine and 1-(N,N-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloridoee under pyridine and tetrahydrofuran with reference to the method of example 31.	 (43) N^3-benzyl-N^1,N^1-dimethyl-N^3-(tetrahydrofuran-3-yl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.66 (s, 1H), 7.45 (d, J = 7.3 Hz, 2H), 7.38-7.34 (m, 2H), 7.30 (d, J = 7.2 Hz, 1H), 4.86-4.80 (m, 1H), 4.60 (s, 2H), 3.89-3.84 (m, 1H), 3.74-3.71 (m, 1H), 3.66-3.61 (m, 1H), 3.58-3.52 (m, 1H), 3.09 (s, 6H), 2.16-2.07 (m, 1H), 1.86-1.77 (m, 1H). LC-MS: (M+1) m/z = 416.8.

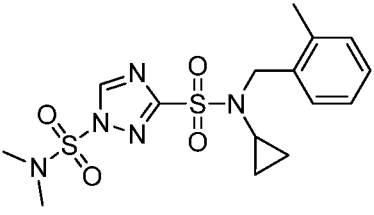
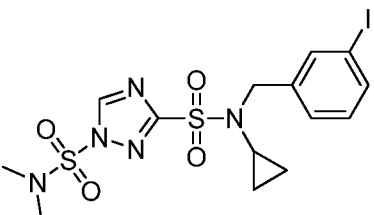
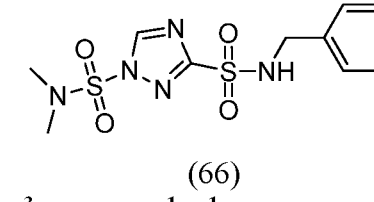
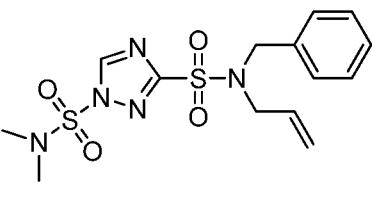
44	Reaction of <i>N</i> ³ -cyclohexyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and benzyl chloride under potassium carbonate with reference to the method of example 8.	 (44) <i>N</i>³-benzyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.63 (s, 1H), 7.44 (d, <i>J</i> = 7.2 Hz, 2H), 7.36-7.30 (m, 3H), 4.59 (s, 2H), 3.91-3.85 (m, 1H), 3.07 (s, 6H), 1.77-1.54 (m, 4H), 1.33-1.23 (m, 5H), 0.99-0.93 (m, 1H). LC-MS: (M+1) <i>m/z</i> = 428.7.
45	Reaction of 3-(benzylamino)tetrahydrofuran-2(3 <i>H</i>)-one and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (45) <i>N</i>³-benzyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(2-oxotetrahydrofuran-3-yl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 430.1.
46	Reaction of 3-(benzylamino)tetrahydrothiophene and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (46) <i>N</i>³-benzyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(tetrahydrothiophen-3-yl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Light yellow solid LC-MS: (M+1) <i>m/z</i> = 432.1.
47	Reaction of <i>N</i> -benzyloxetan-3-amine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (47) <i>N</i>³-benzyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(oxetan-3-yl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.63 (s, 1H), 7.40-7.31 (m, 5H), 5.23-5.15 (m, 1H), 4.72-4.68 (m, 4H), 4.64 (d, <i>J</i> = 7.6 Hz, 2H), 3.07 (s, 6H). LC-MS: (M+1) <i>m/z</i> = 402.0.
48	Reaction of <i>N</i> -methyl-1-phenylmethanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under	 (48)	White solid LC-MS: (M+1) <i>m/z</i> = 360.1.

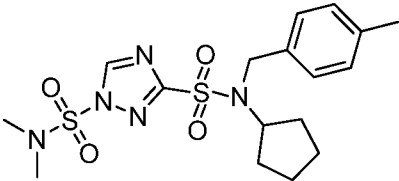
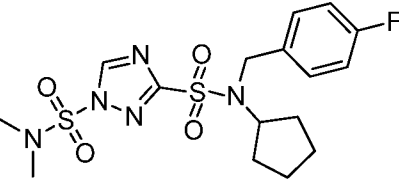
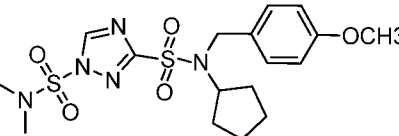
	pyridine and tetrahydrofuran with reference to the method of example 31.	<i>N</i>³-benzyl-<i>N</i>¹,<i>N</i>¹,<i>N</i>³-trimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	
49	Reaction of <i>N</i> -benzylethanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (49) <i>N</i>³-benzyl-<i>N</i>³-ethyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 374.1.
50	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and pyrrolidine-1-sulfonyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (50) <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1-(pyrrolidin-1-ylsulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.61 (s, 1H), 7.37-7.28 (m, 5H), 4.57 (s, 2H), 3.57 (t, <i>J</i> = 6.5 Hz, 4H), 2.58-2.53 (m, 1H), 2.00-1.96 (m, 4H), 0.85-0.83 (m, 2H), 0.75-0.70 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 412.7.
51	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and piperidine-1-sulfonyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (51) <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1-(piperidin-1-ylsulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.58 (s, 1H), 7.38-7.37 (m, 2H), 7.34-7.29 (m, 3H), 4.57 (s, 2H), 3.43 (s, 4H), 2.57-2.53 (m, 1H), 1.73-1.70 (m, 4H), 1.63-1.57 (m, 2H), 0.85-0.83 (m, 2H), 0.74-0.71 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 426.8.
52	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and morpholine-4-sulfonyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (52) <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1-(morpholin-4-ylsulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.59 (s, 1H), 7.38-7.29 (m, 5H), 4.58 (s, 2H), 3.81 (t, <i>J</i> = 4.6 Hz, 4H), 3.45 (t, <i>J</i> = 4.6 Hz, 4H), 2.59-2.54 (m, 1H), 0.87-0.83 (m, 2H), 0.77-0.72 (m, 2H). LC-MS: (M+1) <i>m/z</i> =

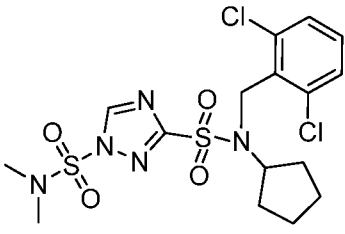
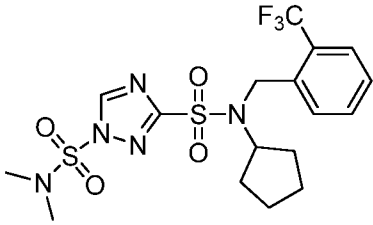
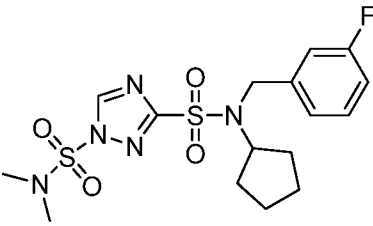
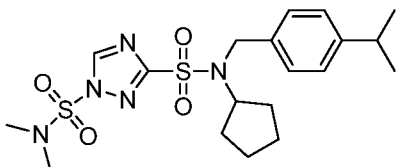
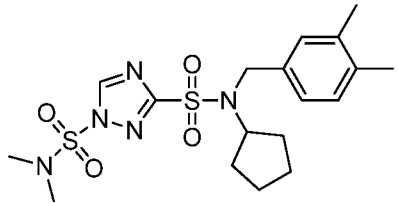
			428.7.
53	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and 3-cyanoazetidine-1-sulfonyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (53) <i>N</i>-benzyl-1-((3-cyanoazetidin-1-yl)sulfonyl)-<i>N</i>-cyclopropyl-1<i>H</i>-1,2,4-triazole-3-sulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 423.1.
54	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and ethyl(methyl)sulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (54) <i>N</i>³-benzyl-<i>N</i>³-cyclopropyl-<i>N</i>¹-ethyl-<i>N</i>¹-methyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 400.1.
55	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and diethylsulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (55) <i>N</i>³-benzyl-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-diethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 414.1.
56	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and 4-methylpiperazine-1-sulfonyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (56) <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1-((4-methylpiperazin-1-yl)sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl amide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.59 (s, 1H), 7.35-7.29 (m, 5H), 4.56 (s, 2H), 3.40 (t, <i>J</i> = 4.8 Hz, 4H), 2.60-2.54 (m, 1H), 2.47 (t, <i>J</i> = 4.8 Hz, 4H), 2.36 (s, 3H), 0.89-0.85 (m, 2H), 0.79-0.74 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 441.7.

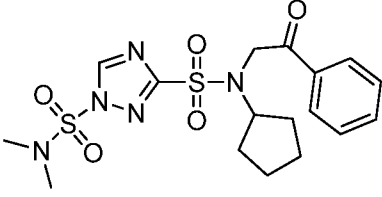
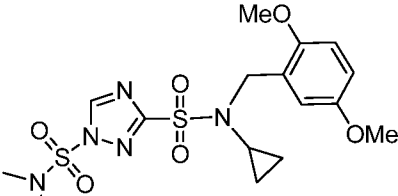
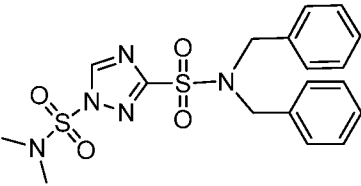
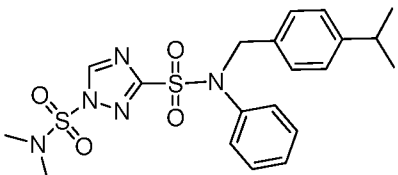
57	Reaction of <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1<i>H</i>-1,2,4-triazole-3-sulfonamide and 3,4-dihydroisoquinoline-2(1<i>H</i>)-sulfonyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (57) <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1-((3,4-dihydroisoquinolin-2(1<i>H</i>)-yl)sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.63 (s, 1H), 7.35-7.26 (m, 5H), 7.24-7.22 (m, 2H), 7.17-7.16 (m, 1H), 7.10-7.09 (m, 1H), 4.65 (s, 2H), 4.48 (s, 2H), 3.79 (t, <i>J</i> = 5.4 Hz, 2H), 3.00 (t, <i>J</i> = 5.7 Hz, 2H), 2.47-2.44 (m, 1H), 0.82-0.78 (m, 2H), 0.69-0.65 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 474.3.
58	Reaction of <i>N</i>-benzyl-<i>N</i>-cyclopropyl-5-methyl-1<i>H</i>-1,2,4-triazole-3-sulfonamide and <i>N,N</i>-dimethylsulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 3.	 (58) <i>N</i>³-benzyl-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹,5-trimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 400.1.
59	Reaction of <i>N</i>-benzyl-5-<i>t</i>-butyl-<i>N</i>-cyclopropyl-1<i>H</i>-1,2,4-triazole-3-sulfonamide and <i>N,N</i>-dimethylsulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 3.	 (59) <i>N</i>³-benzyl-5-<i>t</i>-butyl-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 442.2.
60	Reaction of <i>N</i>-benzyl-<i>N</i>-cyclopropyl-5-(difluoromethyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamide and <i>N,N</i>-dimethylsulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 3.	 (60) <i>N</i>³-benzyl-<i>N</i>³-cyclopropyl-5-difluoromethyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 7.36-7.28 (m, 5H), 7.05 (t, <i>J</i> = 52.3 Hz, 1H), 4.58 (s, 2H), 3.13 (s, 6H), 2.66-2.61 (m, 1H), 0.91-0.86 (m, 2H), 0.80-0.75 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 436.9.

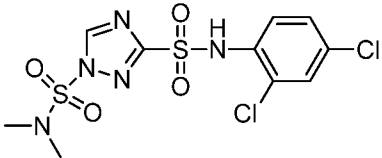
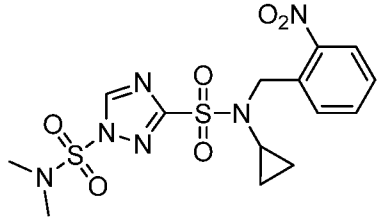
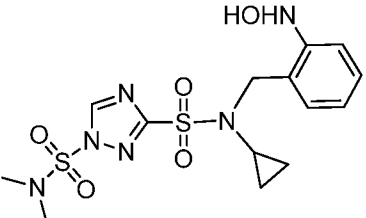
61	Reaction of <i>N</i>-benzyl-<i>N</i>-cyclopropyl-5-(4-fluorophenyl)-1<i>H</i>-1,2,4-triazole-3-sulfonamide and <i>N,N</i>-dimethylsulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 3.	 (61) <i>N</i>³-benzyl-<i>N</i>³-cyclopropyl-5-(4-fluorophenyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 480.1.
62	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-2-(trifluoromethyl)benzene under potassium carbonate with reference to the method of example 8.	 (62) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(2-(trifluoromethyl)benzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.71 (s, 1H), 7.80 (d, <i>J</i> = 7.8 Hz, 1H), 7.67 (d, <i>J</i> = 7.8 Hz, 1H), 7.61 (t, <i>J</i> = 7.6 Hz, 1H), 7.42 (t, <i>J</i> = 7.6 Hz, 1H), 4.81 (s, 2H), 3.11 (s, 6H), 2.67-2.59 (m, 1H), 1.64 (s, 1H), 0.78-0.62 (m, 4H). ¹⁹F NMR (376 mhz, cdcl₃): δ (ppm) -59.41 (s). ¹³C NMR (151 mhz, cdcl₃): δ (ppm) 163.90 (s), 162.66 (s), 146.66 (s), 146.40 (s), 136.00 (s), 132.30 (s), 129.19 (s), 127.54 (s), 125.76 (q, <i>J</i> = 5.8 Hz), 51.21 (s), 38.93 (s), 31.92 (s), 6.87 (s). LC-MS: (M+1) <i>m/z</i> = 454.1.
63	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1,3-dichloro-2-(chloromethyl)benzene under potassium carbonate with reference to the method of example 8.	 (63) <i>N</i>³-cyclopropyl-<i>N</i>³-(2,6-dichlorobenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, DMSO-<i>d</i>₆): δ (ppm) 9.52 (s, 1H), 7.47 (dt, <i>J</i> = 8.8, 7.7 Hz, 3H), 4.90 (s, 2H), 3.02 (s, 6H), 2.33-2.21 (m, 1H), 0.40 (m, 4H). LC-MS: (M+1) <i>m/z</i> =

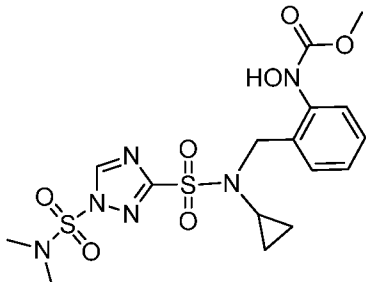
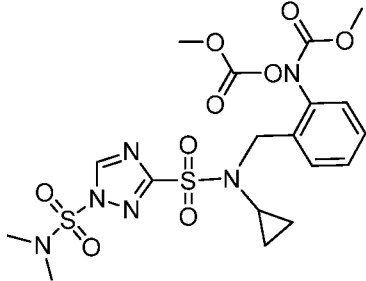
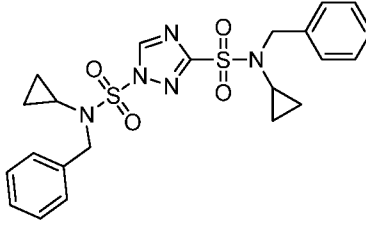
			454.1, 456.0.
64	Reaction of N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-2-methylbenzene under potassium carbonate with reference to the method of example 8.	 (64) N^3-cyclopropyl-N^1,N^1-dimethyl-N^3-(2-methylbenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.64 (s, 1H), 7.40-7.32 (m, 1H), 7.21-7.12 (m, 2H), 4.60 (s, 2H), 2.52-2.43 (m, 1H), 2.37 (s, 3H), 0.75-0.55 (m, 4H). ^{13}C NMR (151 mhz, cdcl_3): δ (ppm) 162.95, 146.14, 136.39, 134.08, 130.39, 129.22, 127.82, 126.03, 53.12, 52.95, 43.25, 38.96, 31.69, 31.10, 31.02, 28.20, 19.33, 19.29, 8.59, 7.17, 4.91. LC-MS: (M+1) m/z = 400.1.
65	Reaction of N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-3-iodobenzene under potassium carbonate with reference to the method of example 8.	 (65) N^3-cyclopropyl-N^1,N^1-dimethyl-N^3-(3-iodobenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H-NMR (400 mhz, $\text{DMSO}-d_6$): δ (ppm) 9.45 (s, 1H), 7.15-7.7 (m, 4H), 4.48 (s, 2H), 2.98 (s, 6H), 2.54-2.59 (m, 1H), 0.65-0.70 (d, 4H). LC-MS: (M+1) m/z = 512.0.
66	Reaction of benzylamine and 1-(N,N -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (66) N^3-benzyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 346.1.
67	Reaction of N -benzylprop-2-en-1-amine and 1-(N,N -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (67) N^3-allyl-N^3-benzyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.63 (s, 1H), 7.35-7.29 (m, 5H), 5.72-5.65 (m, 1H), 5.17 (d, J = 6.7 Hz, 1H), 5.13 (d, J = 11.4 Hz, 1H), 4.55 (s, 2H), 3.94 (d, J = 4.3 Hz, 2H),

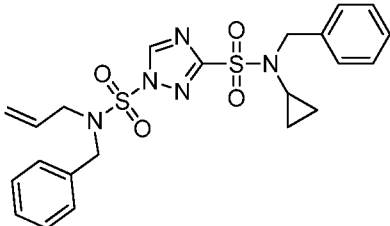
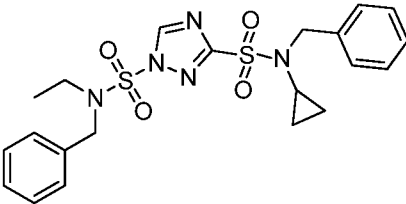
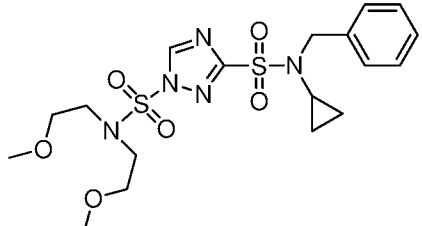
			3.07 (s, 6H). LC-MS: (M+1) m/z = 386.0.
68	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-methylbenzene under potassium carbonate with reference to the method of example 8.	 (68) N^3-cyclopentyl-N^1,N^1-dimethyl-N^3-(4-methylbenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.63 (s, 1H), 7.37 (d, J = 8.6 Hz, 2H), 6.95 (d, J = 8.7 Hz, 2H), 4.53 (s, 2H), 4.36 (m, 1H), 2.38 (s, 3H), 3.08 (s, 6H), 1.71-1.28 (m, 8H). LC-MS: (M+1) m/z = 428.1.
69	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-fluorobenzene under potassium carbonate with reference to the method of example 8.	 (69) N^3-cyclopentyl-N^3-(4-fluorobenzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.64 (s, 1H), 7.41 (dd, J = 8.5, 5.4 Hz, 2H), 7.05 (t, J = 8.6 Hz, 2H), 4.55 (s, 2H), 4.38 (dt, J = 16.9, 8.4 Hz, 1H), 3.09 (s, 6H), 1.70 (d, J = 4.9 Hz, 2H), 1.44 (ddd, J = 31.3, 14.7, 9.2 Hz, 4H), 1.29 (s, 2H). ^{13}C NMR (151 mhz, cdcl_3): δ (ppm) 163.66, 146.16, 133.86, 133.81, 128.81, 128.76, 115.46, 115.31, 60.31, 47.75, 38.93, 29.21, 23.11. ^{19}F NMR (376 mhz, cdcl_3): δ (ppm) -115.32. LC-MS: (M+1) m/z = 432.1.
70	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-methoxybenzene under potassium carbonate with reference to the method of example 8.	 (70) N^3-cyclopentyl-N^3-(4-methoxybenzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.61 (s, 1H), 7.32 (d, J = 8.6 Hz, 2H), 6.86 (d, J = 8.7 Hz, 2H), 4.51 (s, 2H), 4.39-4.28 (m, 1H), 3.80 (s, 3H), 3.06 (s, 6H), 1.69-1.27 (m, 8H). LC-MS: (M+1) m/z =

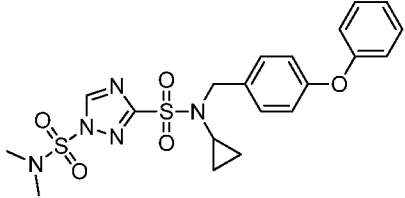
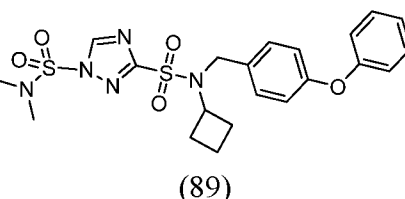
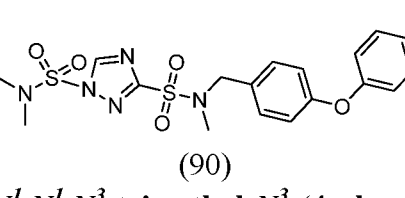
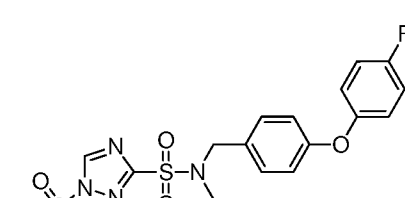
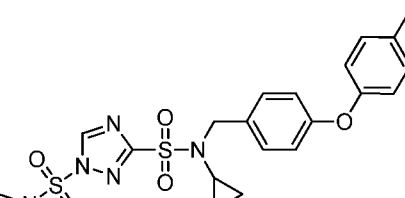
			444.1.
71	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1,3-dichloro-2-(chloromethyl)benzene under potassium carbonate with reference to the method of example 8.	 (71) N^3-cyclopentyl-N^3-(2,6-dichlorobenzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.65 (s, 1H), 7.34 (d, J = 8.0 Hz, 2H), 7.26-7.19 (m, 1H), 5.01 (s, 2H), 3.93 (dt, J = 17.8, 9.1 Hz, 1H), 3.09 (s, 6H), 1.81-1.24 (m, 8H). LC-MS: (M+1) m/z = 482.0, 484.0.
72	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-2-(trifluoromethyl)benzene under potassium carbonate with reference to the method of example 8.	 (72) N^3-cyclopentyl-N^3-(2-(trifluoromethyl)benzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 482.1.
73	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-3-fluorobenzene under potassium carbonate with reference to the method of example 8.	 (73) N^3-cyclopentyl-N^3-(3-fluorobenzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 432.1.
74	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-isopropylbenzene under potassium carbonate with reference to the method of example 8.	 (74) N^3-cyclopentyl-N^3-(4-isopropylbenzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 9.45 (s, 1H), 7.28-7.32 (d, 2H), 7.20-7.25 (d, 2H), 4.49 (s, 2H), 2.95-3.01 (d, 7H), 1.32-1.60 (m, 8H), 1.17-1.23 (d, 6H). LC-MS: (M+1) m/z = 456.2.
75	Reaction of N^3 -cyclopentyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 4-(chloromethyl)-1,2-dimethylbenzene under potassium carbonate with reference to the method of example 8.	 (75) N^3-cyclopentyl-N^3-(4-(chloromethyl)-1,2-dimethylbenzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (600 mhz, $\text{DMSO}-d_6$): δ (ppm) 9.44 (s, 1H), 7.0-7.14 (d, 3H), 4.45 (s, 2H),

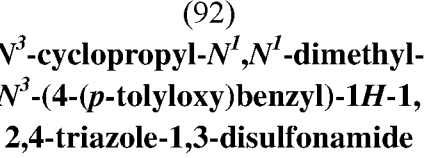
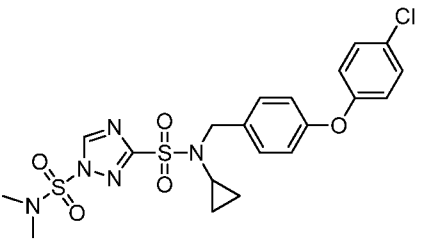
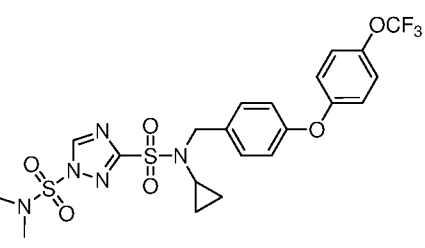
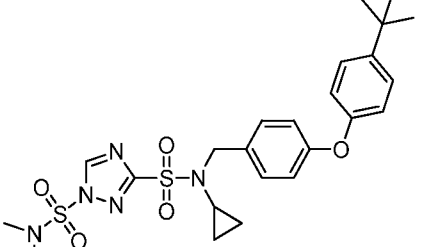
	ylbenzene under potassium carbonate with reference to the method of example 8.	(75) <i>N</i>³-cyclopentyl-<i>N</i>³-(3,4-dimethylbenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	2.98 (s, 6H), 2.17-2.23 (d, 6H), 1.3-1.60 (m, 8H). LC-MS: (M+1) <i>m/z</i> = 442.2.
76	Reaction of <i>N</i> ³ -cyclopentyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-bromoacetophenone under potassium carbonate with reference to the method of example 8.	 (76) <i>N</i>³-cyclopentyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(2-oxo-2-phenylethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 9.44 (s, 1H), 7.96-8.01 (d, 2H), 7.66-7.72 (t, 1H), 7.52-7.58 (t, 3H), 4.93 (s, 2H), 2.97 (s, 6H), 1.2-1.7 (m, 8H). LC-MS: (M+1) <i>m/z</i> = 442.1.
77	Reaction of <i>N</i> ³ -cyclopentyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-(chloromethyl)-1,4-(dimethoxy)benzene under potassium carbonate with reference to the method of example 8.	 (77) <i>N</i>³-cyclopropyl-<i>N</i>³-(2,5-dimethoxybenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.63 (s, 1H), 7.01 (d, <i>J</i> = 1.6 Hz, 1H), 6.80-6.76 (m, 2H), 4.60 (s, 2H), 3.79 (s, 3H), 3.77 (s, 3H), 3.07 (s, 6H), 2.68-2.65 (m, 1H), 0.88-0.85 (m, 2H), 0.71-0.68 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 446.7.
78	Reaction of dibenzylamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (78) <i>N</i>³,<i>N</i>³-dibenzyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.54 (s, 1H), 7.30 (m, 3H), 7.28 (m, 7H), 7.22 (s, 4H), 4.52 (s, 4H), 3.06 (s, 6H). LC-MS: (M+1) <i>m/z</i> = 436.1.
79	Reaction of <i>N</i> -(4-isopropylbenzyl)aniline and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (79) <i>N</i>³-(4-isopropylbenzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-phenyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.47 (s, 1H), 7.33 (d, <i>J</i> = 8.1 Hz, 2H), 7.16 (d, <i>J</i> = 8.0 Hz, 2H), 4.36 (s, 2H), 2.92 (s, 6H), 2.87 (m, 1H), 1.23 (d, <i>J</i> = 6.9 Hz, 6H). LC-MS: (M+1) <i>m/z</i> =

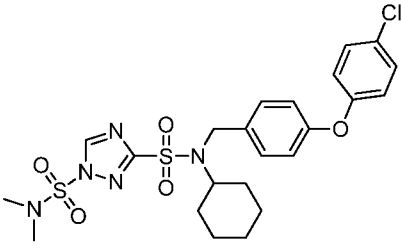
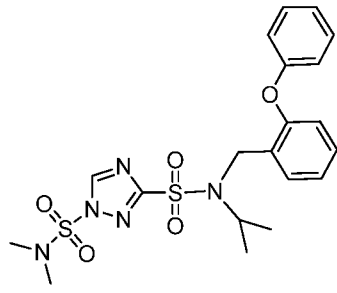
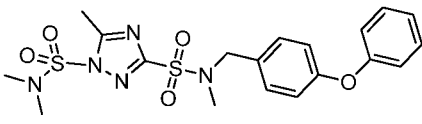
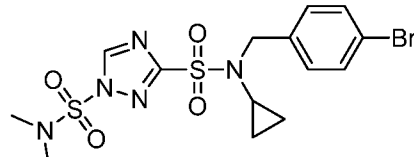
			464.2.
80	Reaction of 2,4-dichloroaniline and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 4.	 (80) <i>N</i>³-(2,4-dichlorophenyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 399.9.
81a	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-2-nitrobenzene under potassium carbonate with reference to the method of example 8.	 (81a) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(2-nitrobenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (600 mhz, cdcl ₃): δ (ppm) 8.65 (s, 1H), 8.08 (d, <i>J</i> = 9.0 Hz, 1H), 7.89 (d, <i>J</i> = 7.8 Hz, 1H), 7.80 (t, <i>J</i> = 7.2 Hz, 1H), 7.62 (t, <i>J</i> = 7.8 Hz, 1H), 4.60 (s, 2H), 2.52 (m, 1H), 0.88-0.65 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 431.1.
81	To a 100 ml single neck flask were added compound (81a) (0.86 g, 2.0 mmol) and ethanol (10 ml), the mixture was warmed to 30-35 °C, and then a NH ₄ Cl (0.13 g, 2.43 mmol) aqueous solution (1.0 ml) was added. The mixture was reacted for 2 hours. The mixture was filtered, the filtrate was concentrated on a rotary evaporator under vacuum and then diluted with water (30 ml). The resulting mixture was extracted with ethyl acetate (20 ml × 3). The combined organic layers were dried over anhydrous sodium sulfate. The mixture was concentrated on a rotary evaporator under vacuum. The residue was purified by column chromatography (PE/etoac (v/v) = 3/1) to get a product (0.81 g, yield was	 (81) <i>N</i>³-cyclopropyl-<i>N</i>³-(2-(hydroxyamino)benzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Light yellow solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.67 (s, 1H), 8.05 (d, <i>J</i> = 9.0 Hz, 1H), 7.81 (d, <i>J</i> = 7.8 Hz, 1H), 7.68 (t, <i>J</i> = 7.2 Hz, 1H), 7.47 (t, <i>J</i> = 7.8 Hz, 1H), 5.00 (s, 2H), 3.11 (s, 6H), 2.68 (tt, <i>J</i> = 7.0, 3.7 Hz, 1H), 0.83 (m, 2H), 0.73 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 417.1.

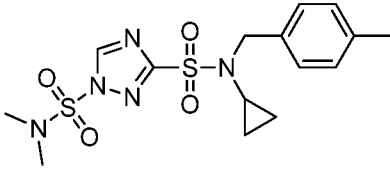
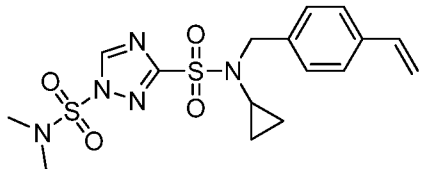
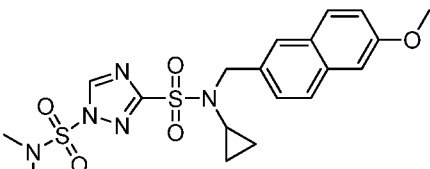
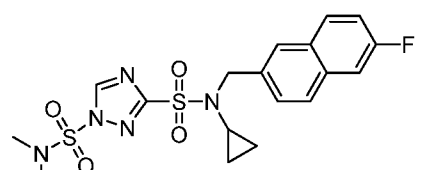
	85%).		
82	To a 100 ml single neck flask were added compound (81) (0.6 g, 1.5 mmol), sodium bicarbonate (0.19 g, 2.3 mmol) and dichloromethane (5 ml), and then to the mixture was added a solution of methylchloroformate (0.15 g, 1.6 mmol) in dichloromethane (5 ml) at 0°C. The mixture was reacted for 2 hours. The mixture was washed with water (5 ml) twice. The organic phase was dried over anhydrous sodium sulfate. The mixture was concentrated on a rotary evaporator under vacuum to get yellow liquid. The residue was purified by column chromatography (PE/etoac (v/v) = 1/1) to get yellow liquid (0.334 g, yield was 47%).	 (82) Methyl (2-((N-cyclopropyl-1-(N,N-dimethylsulfamoyl)-1H-1,2,4-triazole-3-sulfonamido)methyl)phenyl)(hydroxy)carbamate	Yellow liquid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.66 (s, 1H), 7.39 (m, 4H), 4.64 (s, 2H), 3.80 (s, 3H), 3.08 (s, 6H), 2.55 (tt, 1H), 0.71 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 475.1.
83	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>³-(2-(hydroxyamino)benzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 3 eq of methylchloroformate under sodium bicarbonate with reference to the method of example 82.	 (83) Methyl (2-((N-cyclopropyl-1-(N,N-dimethylsulfamoyl)-1H-1,2,4-triazole-3-sulfonamido)methyl)phenyl)((methoxycarbonyl)oxy)carbamate	Yellow liquid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.69 (s, 1H), 7.69 (d, <i>J</i> = 7.6 Hz, 1H), 7.45 (d, <i>J</i> = 5.1 Hz, 2H), 7.37 (d, <i>J</i> = 7.5 Hz, 1H), 4.76 (s, 2H), 3.88 (s, 3H), 3.82 (s, 3H), 3.10 (s, 6H), 2.64 (m, 1H), 0.76 (m, 2H), 0.63 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 533.1.
84	Reaction of <i>N</i>-benzyl-<i>N</i>-cyclopropyl-1<i>H</i>-1,2,4-triazole-3-sulfonamide and benzyl(cyclopropyl)sulfamoyl chloride under potassium carbonate and DMF with	 (84) <i>N</i>¹,<i>N</i>³-dibenzyl-<i>N</i>¹,<i>N</i>³-dicyclopropyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.54 (s, 1H), 7.39-7.32 (m, 10H), 4.66 (s, 2H), 4.55 (s, 2H), 2.64-2.59 (m, 1H), 2.56-2.51 (m, 1H),

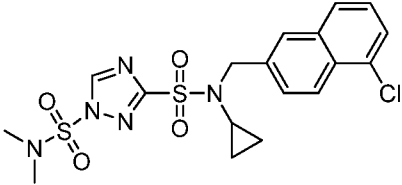
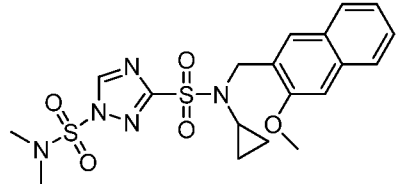
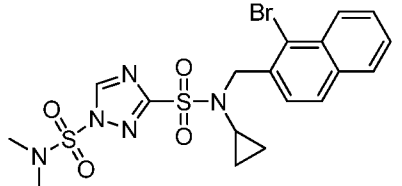
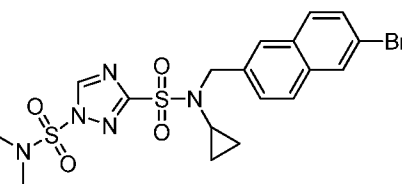
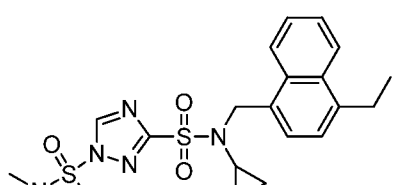
	reference to the method of example 1.	opyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	0.87-0.82 (m, 6H), 0.75-0.70 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 488.8.
85	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and allyl(benzyl)sulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (85) <i>N</i>¹-allyl-<i>N</i>¹,<i>N</i>³-dibenzyl-<i>N</i>³-cyclopropyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.47 (s, 1H), 7.38-7.32 (m, 8H), 7.27-7.25 (m, 2H), 4.76-4.66 (m, 2H), 4.55 (s, 2H), 4.38-4.32 (m, 1H), 4.06 (dd, <i>J</i> = 4.8 Hz, <i>J</i> = 15.5 Hz, 1H), 3.91-3.75 (m, 2H), 3.72-3.67 (m, 1H), 2.57-2.51 (m, 1H), 0.86-0.82 (m, 2H), 0.75-0.70 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 488.2.
86	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and benzyl(ethyl)sulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (86) <i>N</i>¹,<i>N</i>³-dibenzyl-<i>N</i>³-cyclopropyl-<i>N</i>¹-ethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.55 (s, 1H), 7.39-7.35 (m, 5H), 7.33-7.28 (m, 5H), 4.61 (s, 2H), 4.56 (s, 2H), 3.48 (q, <i>J</i> = 7.2 Hz, 2H), 2.57-2.51 (m, 1H), 1.07 (t, <i>J</i> = 7.2 Hz, 3H), 0.86-0.82 (m, 6H), 0.74-0.69 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 476.8.
87	Reaction of <i>N</i> -benzyl- <i>N</i> -cyclopropyl-1 <i>H</i> -1,2,4-triazole-3-sulfonamide and bis(2-methoxyethyl)sulfamoyl chloride under potassium carbonate and DMF with reference to the method of example 1.	 (87) <i>N</i>³-benzyl-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-bis(methoxyethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.54 (s, 1H), 7.38-7.36 (m, 2H), 7.34-7.28 (m, 3H), 4.55 (s, 2H), 3.75 (t, <i>J</i> = 4.8 Hz, 4H), 3.52 (t, <i>J</i> = 4.9 Hz, 4H), 3.21 (s, 6H), 2.56-2.51 (m, 1H), 0.83-0.79 (m, 2H), 0.72-0.67 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 474.8.

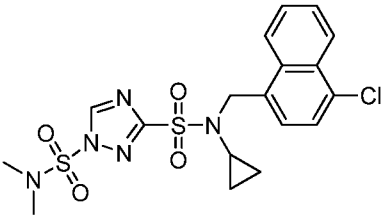
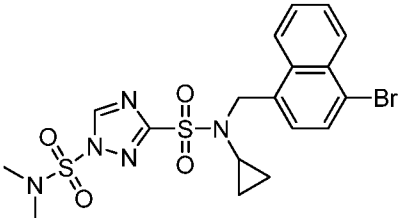
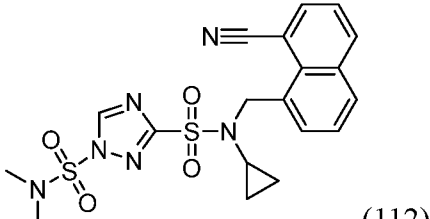
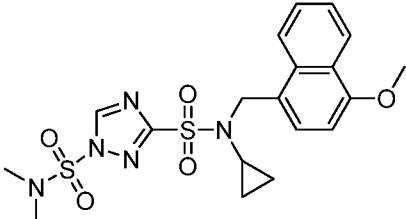
88	Reaction of <i>N</i>-(4-phenoxybenzyl)cyclopropanamine and 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (88) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-phenoxybenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 478.1.
89	Reaction of <i>N</i>-(4-phenoxybenzyl)cyclobutanamine and 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (89) <i>N</i>³-cyclobutyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-phenoxybenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 492.1.
90	Reaction of <i>N</i>-methyl-1-(4-phenoxyphenyl)methanamine and 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (90) <i>N</i>¹,<i>N</i>¹,<i>N</i>³-trimethyl-<i>N</i>³-(4-phenoxybenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 452.1.
91	Reaction of <i>N</i>-(4-(4-fluorophenoxy)benzyl)cyclopropanamine and 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (91) <i>N</i>³-cyclopropyl-<i>N</i>³-(4-(4-fluorophenoxy)benzyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.61 (s, 1H), 7.32 (d, <i>J</i> = 8.5 Hz, 2H), 7.04-7.02 (m, 2H), 6.99-6.98 (m, 2H), 6.90 (d, <i>J</i> = 8.5 Hz, 2H), 4.52 (s, 2H), 3.07 (s, 6H), 2.56-2.53 (m, 1H), 0.86-0.82 (m, 2H), 0.76-0.71 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 496.2.
92	Reaction of <i>N</i>-(4-(<i>p</i>-tolylloxy)benzyl)cyclopropanamine and 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under		White solid ¹H NMR (400 mhz, d₆-DMSO): δ ppm 8.61-7.59 (m, 2H), 7.32 (d, <i>J</i> = 8.4 Hz, 1H), 7.17 (dd, <i>J</i>₁ = 8.2 Hz, <i>J</i>₂ =

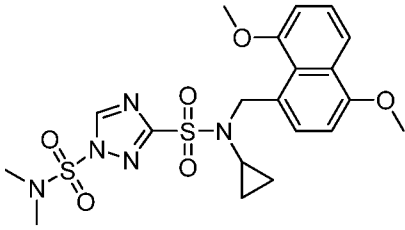
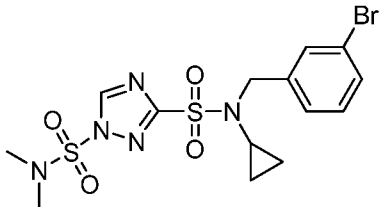
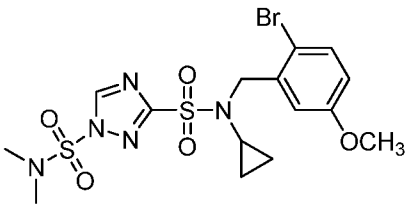
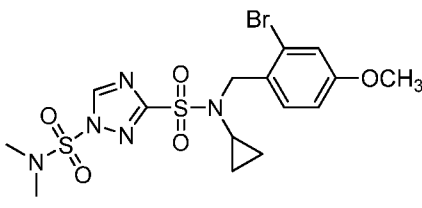
	pyridine and tetrahydrofuran with reference to the method of example 31.	(92) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-(<i>p</i>-tolylloxy)benzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide 	4.4 Hz, 1H), 6.92 (t, <i>J</i> = 8.2 Hz, 2H), 4.54-6.89 (m, 1H), 4.93 (s, 2H), 3.08 (br.s, 1H), 2.58 (m, 4H), 3.05-3.09 (m, 1H), 2.36 (s, 3H), 0.88-0.84 (m, 2H), 0.78-0.73 (m, 2H); MS-ESI: <i>m/z</i> 413.2 [M+H]⁺. LC-MS: (M+1) <i>m/z</i> = 492.3.
93	Reaction of <i>N</i> -(4-(4-chlorophenoxy)benzyl)cyclopropanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (93) <i>N</i>³-(4-(4-chlorophenoxy)benzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.61 (s, 1H), 7.36 (d, <i>J</i> = 8.4 Hz, 2H), 7.31 (d, <i>J</i> = 8.8 Hz, 2H), 6.96-6.94 (m, 4H), 4.55 (s, 2H), 3.08 (s, 6H), 2.59-2.54 (m, 1H), 0.87-0.84 (m, 2H), 0.78-0.73 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 512.2.
94	Reaction of <i>N</i> -(4-(4-(trifluoromethoxy)phenoxy)benzyl)cyclopropanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (94) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-(4-(trifluoromethoxy)phenoxy)benzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.61 (s, 1H), 7.37 (d, <i>J</i> = 8.5 Hz, 2H), 7.21 (d, <i>J</i> = 8.6 Hz, 2H), 7.02 (d, <i>J</i> = 9.0 Hz, 2H), 6.97 (d, <i>J</i> = 8.5 Hz, 2H), 4.56 (s, 2H), 3.09 (s, 6H), 2.60-2.54 (m, 1H), 0.89-0.85 (m, 2H), 0.79-0.74 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 562.3.
95	Reaction of <i>N</i> -(4-(4- <i>t</i> -butylphenoxy)benzyl)cyclopropanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (95) <i>N</i>³-(4-(4-(<i>t</i>-butylphenoxy)benzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.61 (s, 1H), 7.37 (d, <i>J</i> = 8.6 Hz, 2H), 7.33 (d, <i>J</i> = 8.5 Hz, 2H), 7.02 (d, <i>J</i> = 8.6 Hz, 4H), 4.54 (s, 2H), 3.08 (s, 6H), 2.59-2.53 (m, 1H), 1.35

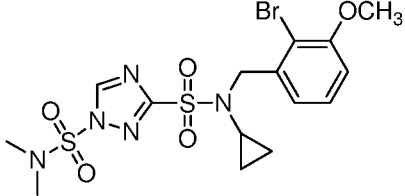
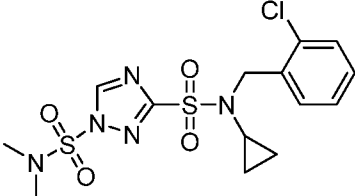
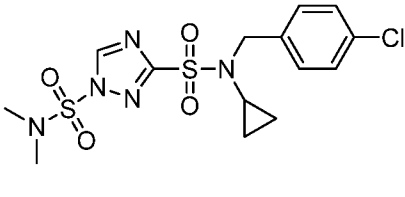
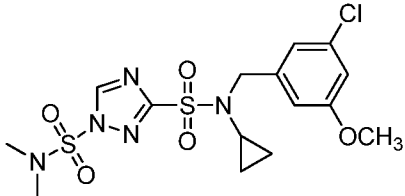
		yl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	(s, 9H), 0.88-0.84 (m, 2H), 0.78-0.73 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 534.4.
96	Reaction of <i>N</i> -(4-(4-chlorophenoxy)benzyl)cyclohexanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (96) <i>N</i>³-(4-(4-chlorophenoxy)benzyl)-<i>N</i>³-cyclohexyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.64 (s, 1H), 7.35 (d, <i>J</i> = 8.4 Hz, 2H), 7.31 (d, <i>J</i> = 8.4 Hz, 2H), 6.97-6.94 (m, 4H), 4.57 (s, 2H), 3.91-3.85 (m, 1H), 3.07 (s, 6H), 1.77-1.54 (m, 4H), 1.32-1.23 (m, 5H), 0.98-0.93 (m, 1H). LC-MS: (M+1) <i>m/z</i> = 554.1.
97	Reaction of <i>N</i> -(2-phenoxybenzyl)propan-2-amine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (97) <i>N</i>³-isopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(2-phenoxybenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.60 (s, 1H), 7.35 (m, 3H), 7.25-6.88 (m, 6H), 4.55 (s, 2H), 4.31 (s, 2H), 3.08 (s, 6H), 1.10 (d, <i>J</i> = 6.8 Hz, 6H). LC-MS: (M+1) <i>m/z</i> = 480.2.
98	Reaction of <i>N</i> -methyl-1-(4-phenoxyphenyl)methanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-5-methyl-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (98) <i>N</i>¹,<i>N</i>¹,<i>N</i>³,5-tetramethyl-<i>N</i>³-(4-phenoxybenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 466.1.
99	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 4-bromobenzyl bromide under potassium carbonate with reference to the method of example 8.	 (99) <i>N</i>³-(4-bromobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 464.0, 466.1.

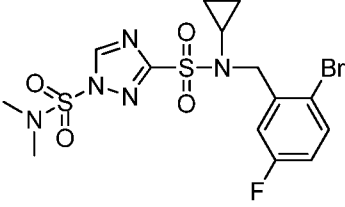
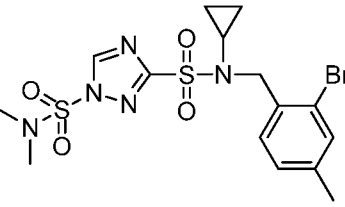
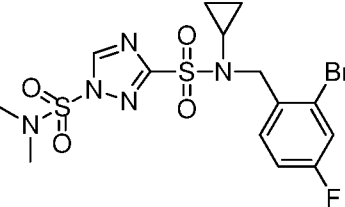
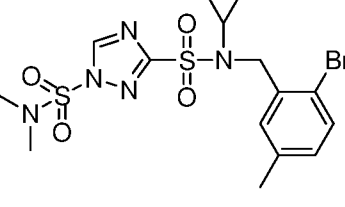
		riazole-1,3-disulfonamide	
100	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-methylbenzene under potassium carbonate with reference to the method of example 8.	 (100) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-methylbenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, DMSO- <i>d</i> ₆): δ (ppm) 9.40 (s, 1H), 7.18 (dd, <i>J</i> = 25.6, 7.9 Hz, 4H), 4.45 (s, 2H), 2.97 (s, 6H), 2.29 (s, 3H), 0.68 (m, 4H). LC-MS: (M+1) <i>m/z</i> = 400.1.
101	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-vinylbenzene under potassium carbonate with reference to the method of example 8.	 (101) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(4-vinylbenzyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H-NMR (400 mhz, DMSO- <i>d</i> ₆): δ (ppm) 9.41 (s, 1H), 7.42-7.46 (d, 2H), 7.28-7.33 (d, 2H), 6.68-6.77 (m, 1H), 5.79-5.85 (d, 1H), 5.24-5.29 (d, 1H), 4.49 (s, 2H), 2.97 (s, 6H), 2.53-2.59 (m, 1H), 0.64-0.71 (d, 4H). LC-MS: (M+1) <i>m/z</i> = 412.0.
103	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-(chloromethyl)-6-methoxynaphthalene under potassium carbonate with reference to the method of example 8.	 (103) <i>N</i>³-cyclopropyl-<i>N</i>³-((6-methoxynaphth-2-yl)methyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 466.1.
104	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-(chloromethyl)-6-fluoronaphthalene under potassium carbonate with reference to the method of example 8.	 (104) <i>N</i>³-cyclopropyl-<i>N</i>³-((6-fluoronaphth-2-yl)methyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 454.1.

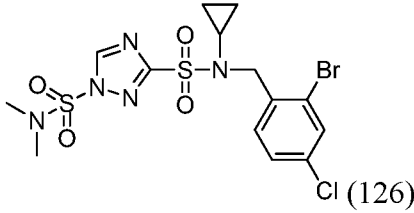
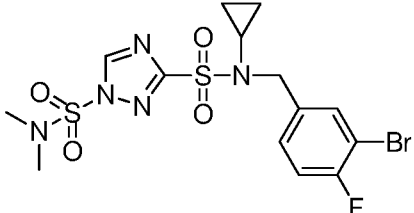
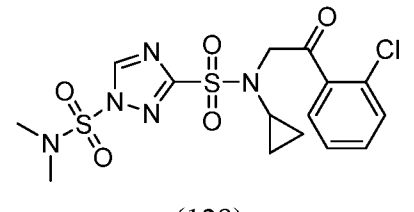
105	Reaction of N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-chloro-6-(chloromethyl)naphthalene under potassium carbonate with reference to the method of example 8.	 (105) N^3-((5-chloronaphth-2-yl)methyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 470.1.
106	Reaction of N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 2-(chloromethyl)-3-methoxynaphthalene under potassium carbonate with reference to the method of example 8.	 (106) N^3-cyclopropyl-N^3-((3-methoxynaphth-2-yl)methyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 466.1.
107	Reaction of N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-bromo-2-(bromomethyl)naphthalene under potassium carbonate with reference to the method of example 8.	 (107) N^3-((1-bromonaphth-2-yl)methyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 514.0, 516.1.
108	Reaction of N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 2-bromo-6-(bromomethyl)naphthalene under potassium carbonate with reference to the method of example 8.	 (108) N^3-((6-bromonaphth-2-yl)methyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 514.0, 516.2.
109	Reaction of N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-ethylnaphthalene under potassium		White solid LC-MS: (M+1) m/z = 464.1.

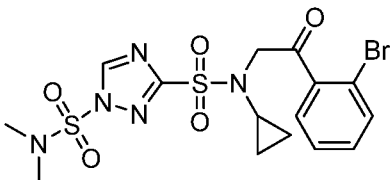
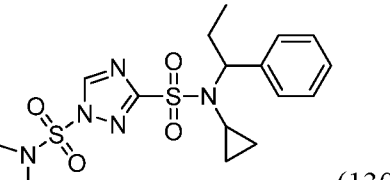
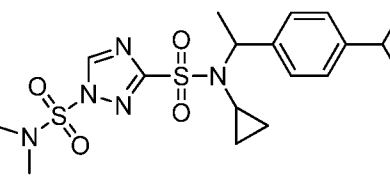
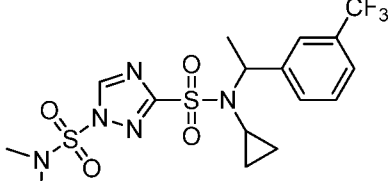
	carbonate with reference to the method of example 8.	(109) <i>N</i>³-cyclopropyl-<i>N</i>³-((4-ethylnaphth-1-yl)methyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	
110	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-chloro-4-(chloromethyl)naphthalene under potassium carbonate with reference to the method of example 8.	 (110) <i>N</i>³-((4-chloronaphth-1-yl)methyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 470.1.
111	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-bromo-4-(bromomethyl)naphthalene under potassium carbonate with reference to the method of example 8.	 (111) <i>N</i>³-((4-bromonaphth-1-yl)methyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 514.1, 516.1.
112	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 8-(chloromethyl)-1-naphthoitrile under potassium carbonate with reference to the method of example 8.	 (112) <i>N</i>³-((8-cyanonaphth-1-yl)methyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 461.1.
113	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)-4-methoxynaphthalene under potassium carbonate with reference to the method of example 8.	 (113) <i>N</i>³-cyclopropyl-<i>N</i>³-((4-methoxynaphth-1-yl)methyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 466.1.

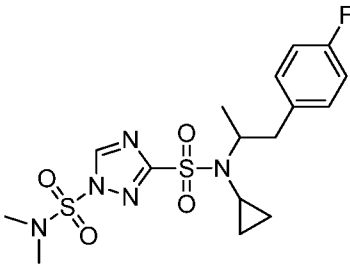
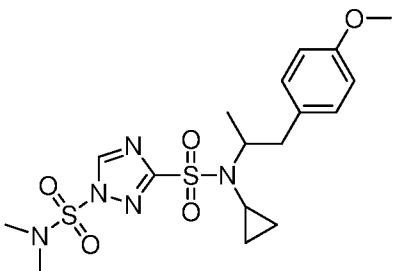
		ethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	
114	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 4-chloromethyl-1,5-dimethoxynaphthalene under potassium carbonate with reference to the method of example 8.	 (114) <i>N</i>³-cyclopropyl-<i>N</i>³-((4,8-dimethoxynaphth-1-yl)methyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 496.1.
115	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 3-bromobenzyl bromide under potassium carbonate with reference to the method of example 8.	 (115) <i>N</i>³-(3-bromobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 464.0, 466.1.
116	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-bromo-2-(chloromethyl)-4-methoxybenzene under potassium carbonate with reference to the method of example 8.	 (116) <i>N</i>³-(2-bromo-5-methoxybenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.69 (s, 1H), 7.42 (d, <i>J</i> = 8.6 Hz, 1H), 7.13 (s, 1H), 6.74 (d, <i>J</i> = 7.2 Hz, 1H), 4.67 (s, 2H), 3.82 (s, 3H), 3.10 (s, 6H), 2.70-2.61 (m, 1H), 0.87-0.86 (m, 2H), 0.72-0.66 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 494.0, 496.2.
117	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-bromo-2-(chloromethyl)-5-methoxybenzene under potassium carbonate with reference to the method of example 8.	 (117) <i>N</i>³-(2-bromo-4-methoxybenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.67 (s, 1H), 7.47 (d, <i>J</i> = 8.6 Hz, 1H), 7.09 (d, <i>J</i> = 2.5 Hz, 1H), 6.89 (dd, <i>J</i> = 2.5 Hz, <i>J</i> = 8.6 Hz, 1H), 4.67 (s, 2H), 3.81 (s, 3H), 3.10 (s, 6H), 2.61-2.55 (m, 1H),

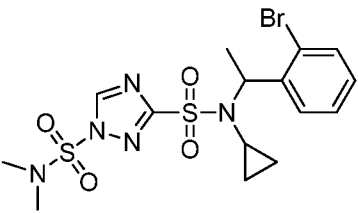
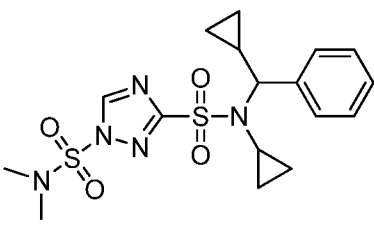
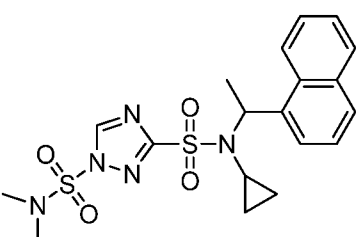
			0.81-0.76 (m, 2H), 0.73-0.67 (m, 2H). LC-MS: (M+1) m/z = 494.1, 496.2.
118	Reaction of N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-bromo-1-(chloromethyl)-3-methoxybenzene under potassium carbonate with reference to the method of example 8.	 (118) N^3-(2-bromo-3-methoxybenzyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 494.0, 496.1.
119	Reaction of N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-chloro-2-(chloromethyl)benzene under potassium carbonate with reference to the method of example 8.	 (119) N^3-(2-chlorobenzyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 420.1.
120	Reaction of N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-chloro-4-(chloromethyl)benzene under potassium carbonate with reference to the method of example 8.	 (120) N^3-(4-chlorobenzyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 420.1.
121	Reaction of N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-chloro-3-(chloromethyl)-5-methoxybenzene under potassium carbonate with reference to the method of example 8.	 (121) N^3-(3-chloro-5-methoxybenzyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 450.1.

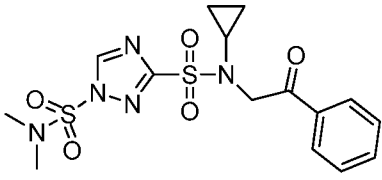
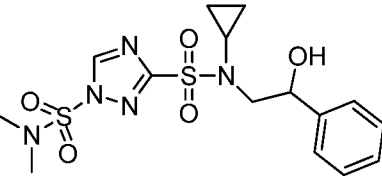
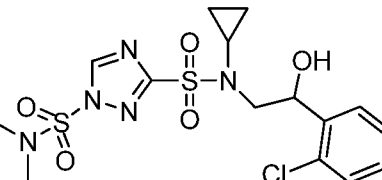
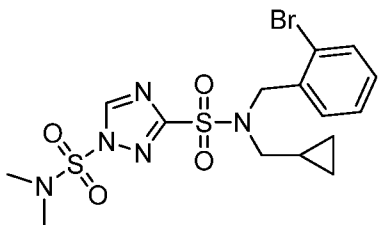
122	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-bromo-2-(chloromethyl)-4-fluorobenzene under potassium carbonate with reference to the method of example 8.	 (122) <i>N</i>³-(2-bromo-5-fluorobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.70 (s, 1H), 7.51 (dd, <i>J</i> = 5.2 Hz, <i>J</i> = 8.7 Hz, 1H), 7.33 (d, <i>J</i> = 2.9 Hz, <i>J</i> = 9.4 Hz, 1H), 6.95-6.90 (m, 1H), 4.69 (s, 2H), 3.12 (s, 6H), 2.70-2.65 (m, 1H), 0.86-0.81 (m, 2H), 0.78-0.72 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 481.9, 484.0.
123	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 2-bromo-1-(chloromethyl)-4-methylbenzene under potassium carbonate with reference to the method of example 1.	 (123) <i>N</i>³-(2-bromo-4-methylbenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.68 (s, 1H), 7.45 (d, <i>J</i> = 7.7 Hz, 1H), 7.38 (m, 1H), 7.14 (d, <i>J</i> = 7.5 Hz, 1H), 4.69 (s, 2H), 3.11 (s, 6H), 2.61-2.55 (m, 1H), 2.34 (s, 3H), 0.82-0.77 (m, 2H), 0.73-0.66 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 478.0, 480.0.
124	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 2-bromo-1-(chloromethyl)-4-fluorobenzene under potassium carbonate with reference to the method of example 8.	 (124) <i>N</i>³-(2-bromo-4-fluorobenzyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.69 (s, 1H), 7.58 (dd, <i>J</i> = 6.0 Hz, <i>J</i> = 8.6 Hz, 1H), 7.31 (dd, <i>J</i> = 2.5 Hz, <i>J</i> = 8.1 Hz, 1H), 7.09 (dt, <i>J</i> = 2.5 Hz, <i>J</i> = 8.4 Hz, 1H), 4.69 (s, 2H), 3.11 (s, 6H), 2.64-2.58 (m, 1H), 0.82-0.76 (m, 2H), 0.75-0.69 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 482.0, 484.0.
125	Reaction of <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 1-bromo-2-(chloromethyl)-4-methylbenzene under	 (125)	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.69 (s, 1H), 7.42 (d, <i>J</i> = 8.1 Hz, 1H), 7.37 (s, 1H), 6.98 (d, <i>J</i> = 7.8 Hz,

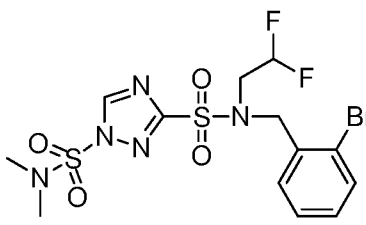
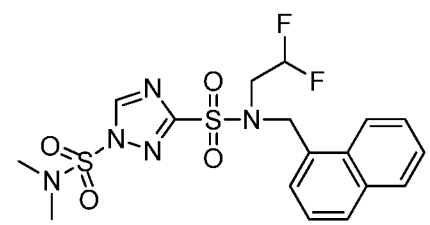
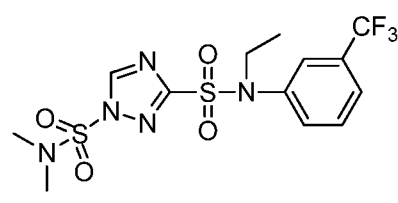
	potassium carbonate with reference to the method of example 8.	<i>N</i> ³ -(2-bromo-5-methylbenzyl)- <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	¹ H), 4.69 (s, 2H), 3.11 (s, 6H), 2.65-2.61 (m, 1H), 2.34 (s, 3H), 0.84-0.78 (m, 2H), 0.73-0.68 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 478.1, 479.8.
126	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-bromo-4-chloro-1-(chloromethyl)benzene under potassium carbonate with reference to the method of example 8.	 <i>N</i> ³ -(2-bromo-4-chlorobenzyl)- <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.69 (s, 1H), 7.58 (d, <i>J</i> = 1.7 Hz, 1H), 7.53 (d, <i>J</i> = 8.4 Hz, 1H), 7.35 (dd, <i>J</i> = 1.6 Hz, <i>J</i> = 8.3 Hz, 1H), 4.68 (s, 2H), 3.11 (s, 6H), 2.65-2.60 (m, 1H), 0.83-0.77 (m, 2H), 0.76-0.70 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 498.1, 499.9.
127	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-bromo-4-(chloromethyl)-1-fluorobenzene under potassium carbonate with reference to the method of example 8.	 <i>N</i> ³ -(3-bromo-4-fluorobenzyl)- <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.63 (s, 1H), 7.58 (dd, <i>J</i> = 1.7 Hz, <i>J</i> = 6.4 Hz, 1H), 7.34-7.30 (m, 1H), 7.09 (t, <i>J</i> = 8.4 Hz, 1H), 4.52 (s, 2H), 3.08 (s, 6H), 2.56-2.51 (m, 1H), 0.86-0.80 (m, 2H), 0.79-0.73 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 482.0, 484.1.
128	Reaction of <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-bromo-1-(2-chlorophenyl)ethanone under potassium carbonate with reference to the method of example 8.	 <i>N</i> ³ -(2-(2-chlorophenyl)-2-oxoethyl)- <i>N</i> ³ -cyclopropyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.65 (s, 1H), 7.51 (d, <i>J</i> = 7.2 Hz, 1H), 7.47-7.41 (m, 2H), 7.40-7.33 (m, 1H), 4.81 (s, 2H), 3.02-2.97 (m, 1H), 1.03-0.81 (m, 5H). LC-MS: (M+1) <i>m/z</i> = 448.0, 450.1.

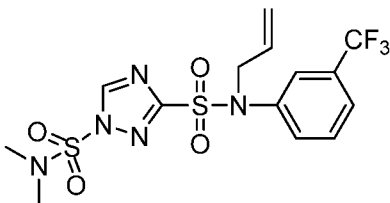
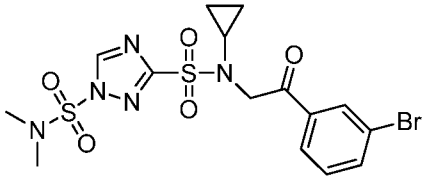
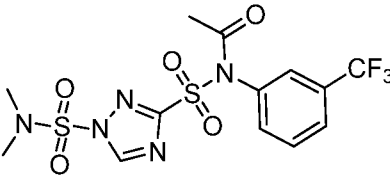
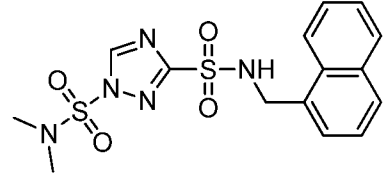
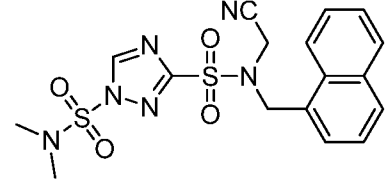
129	Reaction of N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 2-bromo-1-(2-bromophenyl)ethanone under potassium carbonate with reference to the method of example 8.	 (129) N^3-(2-(2-bromophenyl)-2-oxoethyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.64 (s, 1H), 7.60 (d, J = 7.8 Hz, 1H), 7.50-7.30 (m, 3H), 4.77 (s, 2H), 3.02 (s, 6H), 3.05-2.95 (m, 1H), 1.04-0.78 (m, 4H). LC-MS: (M+1) m/z = 491.9, 494.0.
130	Reaction of N-(1-phenylpropyl)cyclopropanamine and 1-(N,N-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (130) N^3-cyclopropyl-N^1,N^1-dimethyl-N^3-(1-phenylpropyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.52 (s, 1H), 7.36-7.34 (m, 2H), 7.30-7.24 (m, 3H), 5.13 (t, J = 7.8 Hz, 1H), 3.05 (s, 6H), 2.27-2.22 (m, 1H), 2.19-2.11 (m, 2H), 1.02-0.87 (m, 5H), 0.80-0.67 (m, 2H). LC-MS: (M+1) m/z = 414.1.
131	Reaction of N-(1-(4-isopropylphenyl)ethyl)cyclopropanamine and 1-(N,N-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (131) N^3-cyclopropyl-N^3-(1-(4-isopropylphenyl)ethyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.61 (s, 1H), 7.31 (d, J = 8.1 Hz, 2H), 7.17 (d, J = 8.2 Hz, 2H), 5.41 (q, J = 7.1 Hz, 1H), 3.08 (s, 6H), 2.94-2.87 (m, 1H), 2.36-2.31 (m, 1H), 1.66 (d, J = 7.2 Hz, 3H), 1.25 (d, J = 6.9 Hz, 6H), 1.05-0.98 (m, 1H), 0.80-0.72 (m, 1H), 0.56-0.51 (m, 2H). LC-MS: (M+23) m/z = 464.1.
132	To a 100 mL single neck flask were added N-(1-(3-(trifluoromethyl)phenyl)ethyl)cyclopropanamine (0.46 g, 2.0 mmol), pyridine (0.32 g, 4.0 mmol) and tetrahydrofuran (10 mL), the mixture was stirred at room	 (132) N^3-cyclopropyl-N^1,N^1-dimethyl-N^3-(1-(3-(trifluoromethyl)phenyl)ethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow liquid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.66 (s, 1H), 7.67-7.64 (m, 2H), 7.56 (d, J = 7.7 Hz, 1H), 7.49-7.45 (m, 1H), 5.48 (q, J = 7.1 Hz, 1H), 3.09 (s, 6H),

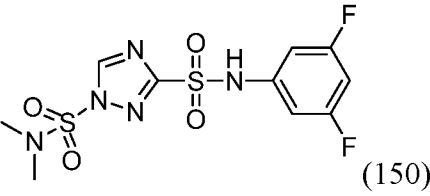
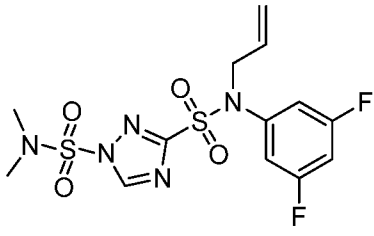
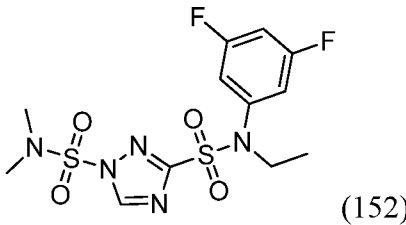
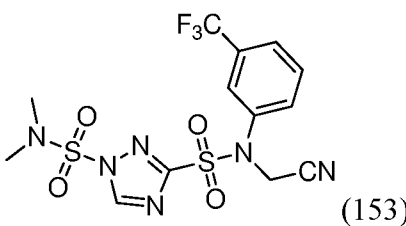
	temperature homogeneously, and then 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride (0.55 g, 2.0 mmol) was added. The resulting mixture was stirred at 25 °C for 12 hours and quenched with water (20 mL). The mixture was extracted with ethyl acetate (15 mL × 3). The combined organic layers were dried over anhydrous magnesium sulfate and filtered. The filtrate was concentrated <i>in vacuo</i> on a rotary evaporator to get a yellow liquid (0.775 g, yield was 83.0%)	1-(diethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	2.37-2.32 (m, 1H), 1.72 (d, <i>J</i> = 7.2 Hz, 3H), 1.07-1.00 (m, 1H), 0.83-0.75 (m, 1H), 0.58-0.51 (m, 1H), 0.42-0.35 (m, 1H). LC-MS: (M+1) <i>m/z</i> = 468.1.
133	Reaction of <i>N</i>-(1-(4-fluorophenyl)prop-2-yl)cyclopropanamine and 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (133) <i>N</i>³-cyclopropyl-<i>N</i>³-(1-(4-fluorophenyl)prop-2-yl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.61 (s, 1H), 7.19-7.15 (m, 2H), 6.98 (t, <i>J</i> = 8.6 Hz, 2H), 4.32-4.27 (m, 1H), 3.12-3.05 (m, 7H), 2.80 (dd, <i>J</i> = 9.2 Hz, <i>J</i> = 13.3 Hz, 1H), 2.49-2.43 (m, 1H), 1.25 (d, <i>J</i> = 6.8 Hz, 3H), 1.06-0.95 (m, 2H), 0.87-0.82 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 432.1.
134	Reaction of <i>N</i>-(1-(4-methoxyphenyl)prop-2-yl)cyclopropanamine and 1-(<i>N,N</i>-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (134) <i>N</i>³-cyclopropyl-<i>N</i>³-(1-(4-methoxyphenyl)prop-2-yl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹H NMR (400 mhz, cdcl₃): δ (ppm) 8.58 (s, 1H), 7.08 (d, <i>J</i> = 8.5 Hz, 2H), 6.80 (d, <i>J</i> = 8.5 Hz, 2H), 4.30-4.21 (m, 1H), 3.78 (s, 3H), 3.05-3.00 (m, 7H), 2.75 (dd, <i>J</i> = 9.2 Hz, <i>J</i> = 13.3 Hz, 1H), 2.49-2.43 (m, 1H), 1.22 (d, <i>J</i> = 7.1 Hz, 3H), 1.05-0.95 (m, 2H), 0.86-0.81 (m, 2H).

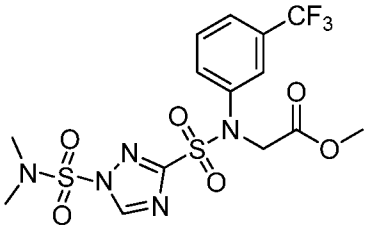
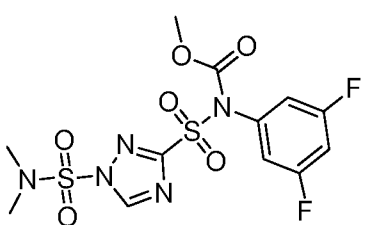
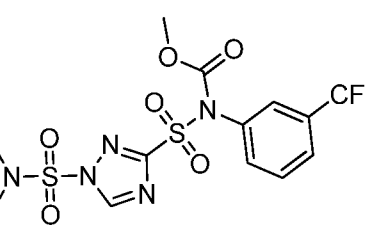
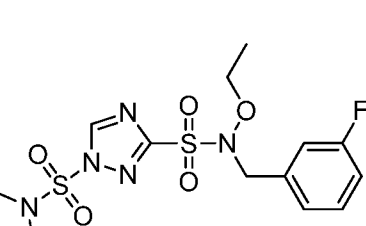
			LC-MS: (M+1) m/z = 444.1.
135	Reaction of <i>N</i> -(1-(2-bromophenyl)ethyl)cyclopropanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (135) <i>N</i>³-(1-(2-bromophenyl)ethyl)-<i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.59 (s, 1H), 7.57-7.53 (m, 2H), 7.34 (t, <i>J</i> = 7.5 Hz, 1H), 7.19-7.15 (m, 1H), 5.60 (q, <i>J</i> = 7.0 Hz, 1H), 3.07 (s, 6H), 2.51-2.46 (m, 1H), 1.75 (d, <i>J</i> = 7.1 Hz, 3H), 1.28-1.20 (m, 1H), 0.79-0.72 (m, 1H), 0.64-0.56 (m, 1H), 0.53-0.46 (m, 1H). LC-MS: (M+1) m/z = 478.0, 480.1.
136	Reaction of <i>N</i> -(cyclopropyl(phenyl)methyl)cyclopropanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (136) <i>N</i>³-cyclopropyl-<i>N</i>³-(cyclopropyl(phenyl)methyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.59 (s, 1H), 7.36-7.30 (m, 4H), 7.25-7.22 (m, 1H), 6.46 (d, <i>J</i> = 15.9 Hz, 1H), 6.18-6.11 (m, 1H), 3.56-3.52 (m, 2H), 3.08 (s, 6H), 2.68-2.58 (m, 3H), 0.99-0.95 (m, 2H), 0.87-0.82 (m, 2H). LC-MS: (M+1) m/z = 426.1.
137	Reaction of <i>N</i> -(1-(naphth-1-yl)ethyl)cyclopropanamine and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under pyridine and tetrahydrofuran with reference to the method of example 31.	 (137) <i>N</i>³-cyclopropyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(1-(naphth-1-yl)ethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.59 (s, 1H), 8.36 (d, <i>J</i> = 8.5 Hz, 1H), 7.86 (t, <i>J</i> = 7.4 Hz, 2H), 7.65 (d, <i>J</i> = 7.2 Hz, 1H), 7.59-7.56 (m, 1H), 7.53-7.46 (m, 2H), 6.29 (q, <i>J</i> = 6.9 Hz, 1H), 3.04 (s, 6H), 2.29-2.24 (m, 1H), 1.84 (d, <i>J</i> = 7.0 Hz, 3H), 0.99-0.95 (m, 1H), 0.61-0.57 (m, 1H), 0.36-0.29 (m, 1H), -0.52--0.12 (m, 1H).

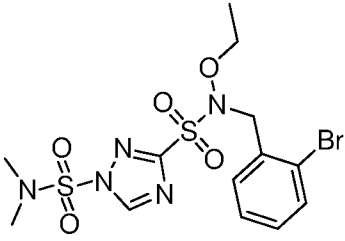
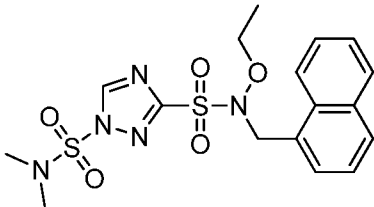
			LC-MS: (M+1) m/z = 472.1.
138	Reaction of N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 2-bromo-1-acetophenone under potassium carbonate with reference to the method of example 8.	 (138) N^3-(2-oxo-2-phenylethyl)-N^1,N^1-dimethyl-N^3-(2-oxo-2-phenylethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) m/z = 414.1.
139	Compound (138) (0.41 g, 1.0 mmol) was dissolved in methanol (10 ml), and then NaBH_4 (0.04 g, 1.0 mmol) was added slowly. The mixture was stirred at 25 °C for 5 hours and concentrated on a rotary evaporator. The residue was purified by column chromatography (etoac/PE (v/v) = 1/5) to give a yellow solid (0.34 g, yield was 82%).	 (139) N^3-(2-hydroxy-2-phenylethyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow solid ^1H NMR (400 mhz, CDCl_3): δ (ppm) 8.66 (s, 1H), 7.42 (d, J = 4.9 Hz, 2H), 7.38 (t, J = 4.9 Hz, 2H), 7.33-7.30 (m, 1H), 5.16-5.14 (m, 1H), 3.65 (dd, J = 2.4 Hz, J = 10.0 Hz, 2H), 3.62 (d, J = 6.1 Hz, 1H), 3.10 (s, 6H), 2.72-2.69 (m, 1H), 1.05-1.01 (m, 1H), 0.87-0.79 (m, 3H). LC-MS: (M+23) m/z = 438.1.
140	Reaction of N^3 -(2-(2-chlorophenyl)-2-oxoethyl)- N^3 -cyclopropyl- N^1,N^1 -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and NBH_4 with reference to the method of example 139.	 (140) N^3-(2-(2-chlorophenyl)-2-hydroxyethyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	Yellow solid ^1H NMR (400 mhz, CDCl_3): δ (ppm) 8.67 (s, 1H), 7.68 (d, J = 7.8 Hz, 1H), 7.34-7.30 (m, 2H), 7.26-7.22 (m, 1H), 5.49 (dd, J = 3.5 Hz, J = 8.4 Hz, 1H), 3.68-3.58 (m, 2H), 3.08 (s, 6H), 2.72-2.67 (m, 1H), 1.04-0.95 (m, 2H), 0.87-0.78 (m, 2H). LC-MS: (M+1) m/z = 450.0.
141	Reaction of N -(2-bromobenzyl)-1-cyclopropylmethanamine and 1-(N,N -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride under		White solid ^1H NMR (400 mhz, CDCl_3): δ (ppm) 8.67 (s, 1H), 7.65 (d, J = 7.6 Hz, 1H), 7.56 (d, J = 7.8 Hz, 1H), 7.37 (t, J =

	pyridine and tetrahydrofuran with reference to the method of example 31.	(141) <i>N</i>³-(2-bromobenzyl)-<i>N</i>³-(cyclopropylmethyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	7.3 Hz, 1H), 7.18 (t, <i>J</i> = 7.0 Hz, 1H), 4.82 (s, 2H), 3.28 (d, <i>J</i> = 7.0 Hz, 2H), 3.10 (s, 6H), 0.92-0.82 (m, 1H), 0.38-0.34 (m, 2H), 0.12-0.08 (m, 2H). LC-MS: (M+1) <i>m/z</i> = 478.0, 480.2.
142	Reaction of <i>N</i> ³ -(2,2-difluoroethyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-bromo-2-(bromomethyl)benzene under potassium carbonate with reference to the method of example 8.	 (142) <i>N</i>³-(2-bromobenzyl)-<i>N</i>³-(2,2-difluoroethyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.66 (s, 1H), 7.57 (d, <i>J</i> = 7.9 Hz, 1H), 7.52 (d, <i>J</i> = 7.2 Hz, 1H), 7.37 (t, <i>J</i> = 7.4 Hz, 1H), 7.22 (t, <i>J</i> = 6.7 Hz, 1H), 6.18-5.88 (m, 1H), 4.80 (s, 2H), 3.74 (dt, <i>J</i> = 4.3 Hz, <i>J</i> = 13.6 Hz, 2H), 3.09 (s, 6H). LC-MS: (M+1) <i>m/z</i> = 488.0, 490.1.
143	Reaction of <i>N</i> ³ -(2,2-difluoroethyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 1-(chloromethyl)naphthalene under potassium carbonate with reference to the method of example 8.	 (143) <i>N</i>³-(2,2-difluoroethyl)-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(naphth-1-yl-methyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.66 (s, 1H), 8.21 (d, <i>J</i> = 8.2 Hz, 1H), 7.92-7.88 (m, 2H), 7.62-7.54 (m, 2H), 7.48-7.45 (m, 2H), 6.02-5.72 (m, 1H), 5.13 (s, 2H), 3.62 (dt, <i>J</i> = 4.3 Hz, <i>J</i> = 13.8 Hz, 2H), 3.07 (s, 6H). LC-MS: (M+1) <i>m/z</i> = 460.1.
144	Reaction of <i>N</i> ¹ , <i>N</i> ¹ -dimethyl- <i>N</i> ³ -(3-(trifluoromethyl)phenyl)-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and iodoethane under potassium carbonate with reference to the method of example 8.	 (144) <i>N</i>³-ethyl-<i>N</i>¹,<i>N</i>¹-dimethyl-<i>N</i>³-(3-(trifluoromethyl)phenyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.68 (s, 1H), 7.63-7.52 (m, 4H), 3.95 (q, <i>J</i> = 7.2 Hz, 2H), 3.04 (s, 6H), 1.21 (t, <i>J</i> = 7.2 Hz, 3H). LC-MS: (M+1) <i>m/z</i> = 428.1.

145	Reaction of N^1,N^1-dimethyl-N^3-(3-(trifluoromethyl)phenyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 3-bromopropene under potassium carbonate with reference to the method of example 8.	 (145) N^3-allyl-N^1,N^1-dimethyl-N^3-(3-(trifluoromethyl)phenyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.68 (s, 1H), 7.58-7.49 (m, 4H), 5.90-5.80 (m, 1H), 5.17 (dd, $J = 1.8$ Hz, $J = 13.3$ Hz, 2H), 4.48 (d, $J = 6.4$ Hz, 2H), 3.04 (s, 6H). LC-MS: (M+1) $m/z = 440.1$.
146	Reaction of N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and 2-bromo-1-(3-bromophenyl)ethanone under potassium carbonate with reference to the method of example 8.	 (146) N^3-(2-(3-bromophenyl)-2-oxoethyl)-N^3-cyclopropyl-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) $m/z = 492.1, 494.0$.
147	Reaction of N^1,N^1-dimethyl-N^3-(3-(trifluoromethyl)phenyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and acetyl chloride under sodium hydride and tetrahydrofuran with reference to the method of example 8.	 (147) N-((1-(N,N-dimethylsulfamoyl)-1<i>H</i>-1,2,4-triazol-3-yl)sulfonyl)-N-(3-(trifluoromethyl)phenyl)acetamide	White solid LC-MS: (M+1) $m/z = 442.1$.
148	Reaction of naphthalen-1-ylmethanamine and 1-(N,N-dimethylamino sulfonyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl chloride with reference to the method of example 4.	 (148) N^1,N^1-dimethyl-N^3-(naphthalen-1-ylmethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) $m/z = 396.2$.
149	Reaction of N^1,N^1-dimethyl-N^3-(naphthalen-1-ylmethyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and bromoacetonitrile under potassium carbonate with	 (149)	White solid ^1H NMR (400 mhz, $\text{DMSO}-d_6$): δ (ppm) 9.62 (s, 1H), 8.22 (d, $J = 8.2$ Hz, 1H), 8.01 (t, $J = 7.5$ Hz, 2H),

	reference to the method of example 8.	<i>N</i> ³ -(cyanomethyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl- <i>N</i> ³ -(naphth-1-yl-methyl)-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	7.67-7.53 (m, 4H), 5.04 (s, 2H), 4.34 (s, 2H), 3.03 (s, 6H). LC-MS: (M+1) <i>m/z</i> = 435.1.
150	Reaction of 3,5-difluoroaniline and 1-(<i>N,N</i> -dimethylamino sulfonyl)-1 <i>H</i> -1,2,4-triazole-3-sulfonyl chloride with reference to the method of example 4.	 (150) <i>N</i> ³ -(3,5-difluorophenyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	White solid LC-MS: (M+1) <i>m/z</i> = 368.0.
151	Reaction of <i>N</i> ³ -(3,5-difluorophenyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and 3-bromoprop-1-ene under sodium hydride and tetrahydrofuran with reference to the method of example 8.	 (151) <i>N</i> ³ -allyl- <i>N</i> ³ -(3,5-difluorophenyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.68 (s, 1H), 6.96-6.91 (m, 2H), 6.92-6.77 (m, 1H), 5.89-5.79 (m, 1H), 5.22 (d, <i>J</i> = 5.6 Hz, 1H), 5.18 (s, 1H), 4.44 (d, <i>J</i> = 6.4 Hz, 2H), 3.06 (s, 6H). LC-MS: (M+1) <i>m/z</i> = 408.0.
152	Reaction of <i>N</i> ³ -(3,5-difluorophenyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and bromoethane under sodium hydride and tetrahydrofuran with reference to the method of example 8.	 (152) <i>N</i> ³ -(3,5-difluorophenyl)- <i>N</i> ³ -ethyl- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.67 (s, 1H), 6.95-6.93 (m, 2H), 6.85-6.80 (m, 1H), 3.90 (q, <i>J</i> = 7.2 Hz, 2H), 3.06 (s, 6H), 1.21 (t, <i>J</i> = 7.0 Hz, 3H). LC-MS: (M+1) <i>m/z</i> = 396.0.
153	Reaction of <i>N</i> ¹ , <i>N</i> ¹ -dimethyl- <i>N</i> ³ -(3-(trifluoromethyl)phenyl)-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide and bromoacetonitrile under sodium hydride and tetrahydrofuran with reference to the method of example 8.	 (153) <i>N</i> ³ -(cyanomethyl)- <i>N</i> ¹ , <i>N</i> ¹ -dimethyl- <i>N</i> ³ -(3-(trifluoromethyl)phenyl)-1 <i>H</i> -1,2,4-triazole-1,3-disulfonamide	White solid ¹ H NMR (400 mhz, cdcl ₃): δ (ppm) 8.74 (s, 1H), 7.72-7.69 (m, 3H), 7.63 (d, <i>J</i> = 8.0 Hz, 1H), 4.77 (s, 2H), 3.07 (s, 6H). LC-MS: (M+1) <i>m/z</i> = 439.2.

154	Reaction of N^1,N^1-dimethyl-N^3-(3-(trifluoromethyl)phenyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and methyl 2-bromoacetate under sodium hydride with reference to the method of example 8.	 (154) Methyl 2-(1-(<i>N,N</i>-dimethylsulfamoyl)-<i>N</i>-(3-(trifluoromethyl)phenyl)-1<i>H</i>-1,2,4-triazole-3-sulfonyl)acetate	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.68 (s, 1H), 7.75-7.73 (m, 2H), 7.62 (d, $J = 7.8$ Hz, 1H), 7.54 (t, $J = 8.0$ Hz, 1H), 4.63 (s, 2H), 3.71 (s, 3H), 3.05 (s, 6H). LC-MS: (M+1) $m/z = 472.1$.
155	Reaction of N^3-(3,5-difluorophenyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and methyl carbonochloridate under sodium hydride and tetrahydrofuran with reference to the method of example 8.	 (155) Methyl (3,5-difluorophenyl)((1-(<i>N,N</i>-dimethylsulfamoyl)-1<i>H</i>-1,2,4-triazol-3-yl)sulfonyl)carbamate	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.72 (s, 1H), 7.21-7.17 (m, 2H), 7.00-6.95 (m, 1H), 3.76 (s, 3H), 3.12 (s, 6H). LC-MS: (M+1) $m/z = 426.0$.
156	Reaction of N^1,N^1-dimethyl-N^3-(3-(trifluoromethyl)phenyl)-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and methyl carbonochloridate under sodium hydride with reference to the method of example 8.	 (156) Methyl (1-(<i>N,N</i>-dimethylsulfamoyl)-1<i>H</i>-1,2,4-triazol-3-yl)sulfonyl(3-(trifluoromethyl)phenyl)carbamate	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.73 (s, 1H), 7.87 (s, 1H), 7.78-7.75 (m, 2H), 7.64 (t, $J = 7.9$ Hz, 1H), 3.75 (s, 3H), 3.12 (s, 6H). LC-MS: (M+1) $m/z = 458.0$.
157	Reaction of N^3-ethoxy-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide and <i>m</i>-fluorobenzyl chloride under potassium carbonate with reference to the method of example 8.	 (157) N^3-ethoxy-N^3-(3-fluorobenzyl)-N^1,N^1-dimethyl-1<i>H</i>-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.74 (s, 1H), 7.39-7.33 (m, 1H), 7.21 (d, $J = 7.6$ Hz, 1H), 7.16-7.14 (m, 1H), 7.09-7.05 (m, 1H), 4.53 (s, 2H), 3.83 (q, $J = 7.0$ Hz, 2H), 3.12 (s, 6H), 0.91 (t, $J = 7.1$ Hz, 3H). LC-MS: (M+1) $m/z =$

			408.1.
158	Reaction of N^3 -ethoxy- N^1,N^1 -dimethyl-1H-1,2,4-triazole-1,3-disulfonamide and <i>o</i> -bromobenzyl chloride under potassium carbonate with reference to the method of example 8.	 (158) N^3-(2-bromobenzyl)-N^3-ethoxy-N^1,N^1-dimethyl-1H-1,2,4-triazole-1,3-disulfonamide	White solid ^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.76 (s, 1H), 7.63 (d, $J = 7.4$ Hz, 1H), 7.48 (dd, $J = 1.3$ Hz, $J = 7.6$ Hz, 1H), 7.34 (t, $J = 6.7$ Hz, 1H), 7.26-7.22 (m, 1H), 4.73 (s, 2H), 3.78 (q, $J = 7.0$ Hz, 2H), 3.13 (s, 6H), 0.87 (t, $J = 7.1$ Hz, 3H). LC-MS: (M+1) $m/z = 468.0$.
159	Reaction of N^3 -ethoxy- N^1,N^1 -dimethyl-1H-1,2,4-triazole-1,3-disulfonamide and 1-chloromethylnaphthalene under potassium carbonate with reference to the method of example 8.	 (159) N^3-ethoxy-N^1,N^1-dimethyl-N^3-(naphth-1-yl-methyl)-1H-1,2,4-triazole-1,3-disulfonamide	^1H NMR (400 mhz, cdcl_3): δ (ppm) 8.80 (s, 1H), 8.36 (d, $J = 8.4$ Hz, 1H), 7.92-7.89 (m, 2H), 7.64 (t, $J = 7.0$ Hz, 1H), 7.57 (t, $J = 7.3$ Hz, 1H), 7.45-7.42 (m, 2H), 5.01 (s, 2H), 3.52 (s, 2H), 3.14 (s, 6H), 0.68 (t, $J = 7.1$ Hz, 3H). LC-MS: (M+1) $m/z = 440.1$.

The Biological Examples of the invention

[00192]. The test targets: *Rhizoctonia Solani*, *Botrytis cinerea*, *Pseudoperonospora cubensis*, *Sphaerotheca Fuliginea*.

[00193]. Treating process: the compound was dissolved in DMF to make 1% EC. The fungicidal activities of the compounds of the invention were evaluated at a dose of 200 ppm, 100 ppm, 50 ppm, 25 ppm, 12.5 ppm and 6.25 ppm against the four test targets by adopting a pot culture method.

[00194]. Test method

[00195]. (1) *Pseudoperonospora cubensis*

[00196]. Plotted cucumber seedlings in one leaf period (removing the growing point) with consistent growth were selected. After spray treatment with the formulation, the selected Plotted cucumber seedlings were air-dried naturally, and after 24 h, *Pseudoperonospora cubensis* were

inoculated to the treated cucumber seedlings by spraying a sporangia suspension (2×10^5 to 3×10^5 cells/ml), the sporangia suspension was prepared from sporangium obtained by washing down from the back of fresh cucumber leaves with cucumber downy mildew using a dipping distilled water brush. The inoculation was carried out by spraying uniformly on the cucumber seedlings with a sprayer (pressure is 0.1 MPa). The inoculated test materials were moved to a climatic chamber, the relative humidity was maintained at 100%, the temperature was at about 21 °C. After 24 h, moisture inducement was performed under the temperature of about 21 °C and the relative humidity of about 95%. After 5 days, an investigation on gradation was carried out on a morbidity case of the blank control group, the control effect was calculated according to the disease index.

[00197]. (2) *Sphaerotheca fuliginea*

[00198]. Cucumber seedlings in one leaf period (removing the growing point) with consistent growth were selected. After spray treatment with the formulation, which were dried in the shade for 24 h. Conidia of fresh powdery mildew fungus on cucumber leaves were washed down, and filtered through double-layer gauze and then prepared to a suspension at a concentration of 1×10^5 cells/mL, the inoculation was carried out by spraying. The inoculated test materials were moved to a climatic chamber, the relative humidity was maintained between 60% and 70%, and the temperature was maintained between 21 °C and 23 °C. After about 10 days, an investigation on gradation was carried out on a morbidity case of the blank control group, the control effect was calculated according to the disease index.

[00199]. (3) *Botrytis cinerea*

[00200]. A leaf inoculation method was adopted. Cucumber seedlings in two leaf period with consistent growth were selected. After spraying the selected cucumber seedlings with the formulation and air drying, mycelial piece obtained from the cultures of fungus was inoculated to the leaves of the cucumber seedlings. The inoculated cucumber seedlings was cultivated at a certain moisture and at 24-26 °C in dark for 24 hours and in natural light for about 3 days. After complete morbidity of the control group, the scab diameter of every inoculation point was metered by using a caliper, and the control effect was calculated.

[00201]. (4) *Rhizoctonia solani*

[00202]. Corn seedlings in two leaf and one bud period with consistent growth were selected. After 24 h of spray treatment with the formulation and air drying, mycelial piece obtained from

the cultures of fungus was inoculated to the leaves of the selected corn seedlings. The inoculated corn seedlings was cultivated at a certain moisture and at 25-26°C in dark for 24 hours and in natural light for about 3 days. After complete morbidity of the control group, the scab diameter of every inoculation point was metered by using a caliper, and the control effect was calculated.

[00203]. Experiment results were shown in tables A to E.

Table A the control effects of the compounds of the invention at 200 ppm on cucumber downy mildew

Example	Control effect (%)
1	100
8	100
9	100
10	100
11	100
12	100
13	100
14	100
15	100
16	100
17	100
21	100
24	100
27	100
38	100
40	100
42	100
43	100
44	100
57	98
60	100
62	100
64	100
65	100
67	100
68	100
69	100
71	100
74	100
75	100
76	100
77	100
81	100
91	98

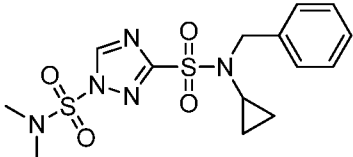
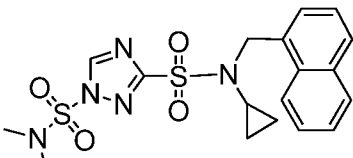
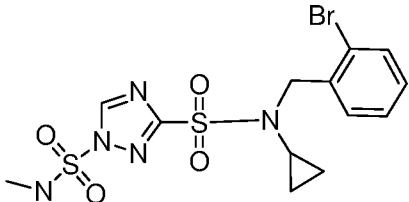
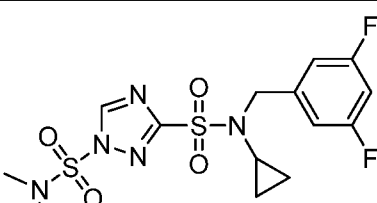
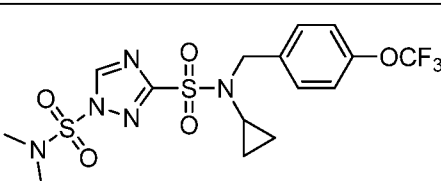
92	100
93	100
95	100
101	100
116	100
117	100
122	100
123	100
124	100
125	100
126	100
127	100

Table B the control effects of the compounds of the invention at 100 ppm on cucumber downy mildew

Example	Control effect (%)
8	100
9	100
12	100
13	100
14	100
15	100
16	100
17	100
24	100
27	100
31	100
38	100
42	100
43	100
44	100
60	100
62	100
65	100
67	100
68	100
69	98
71	100
74	100
76	100
77	100
81	100
95	100

116	100
117	100
122	100
123	100
124	100
125	100
132	100

Table C comparison between the control effects of the compounds of the invention at various concentrations, cyazofamid and the compounds of WO 2017118193 on cucumber downy mildew

Example	Structure and number of compound	Control effect (%)	
		50 ppm	25 ppm
1	 (1)	98	96
9	 (9)	100	100
12	 (12)	100	100
13	 (13)	100	100
14	 (14)	100	100

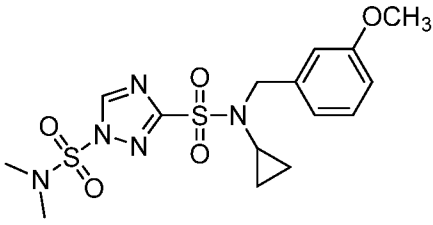
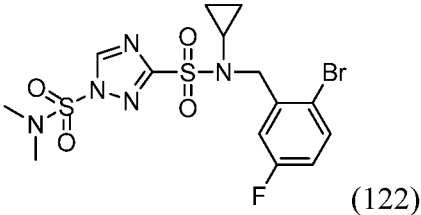
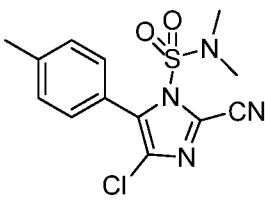
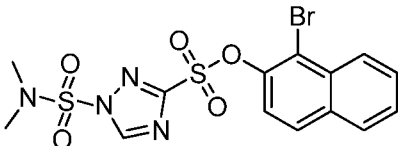
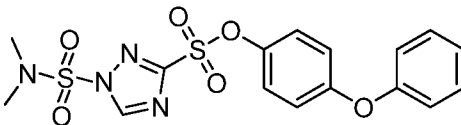
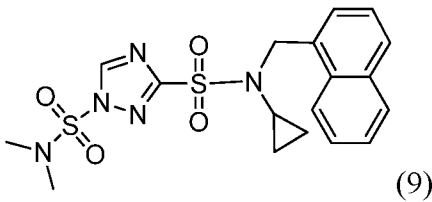
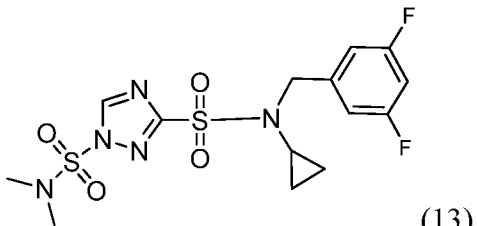
16	 (16)	100	100
122	 (122)	100	95
cyazofamid		70	48
WO 2017118193 Y14078		80	52
WO 2017118193 Y14079		82	66

Table D comparison between control effects of the compounds of the invention at various concentrations and amisulbrom on cucumber downy mildew

Example	Structure and number of compound	Control effect (%)	
		25 ppm	12.5 ppm
9	 (9)	100	85
13	 (13)	100	80

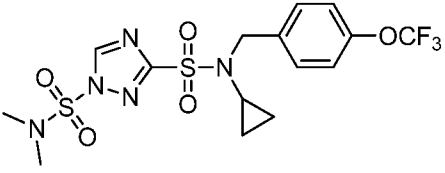
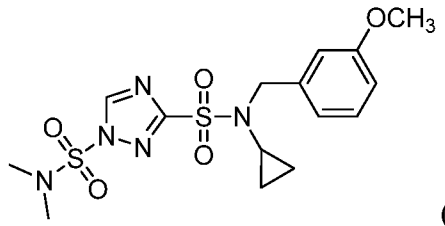
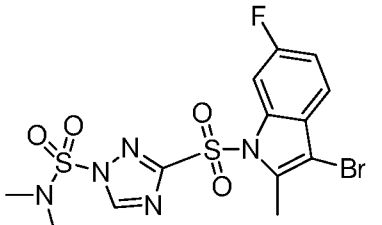
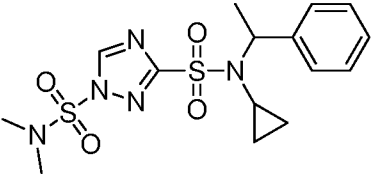
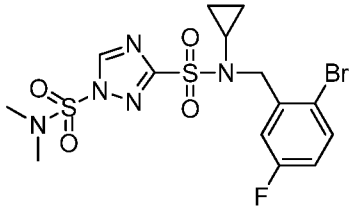
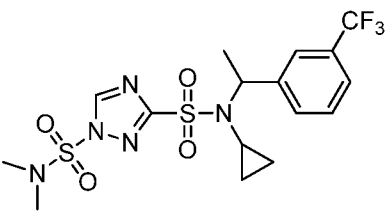
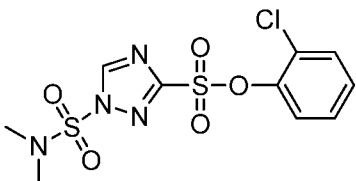
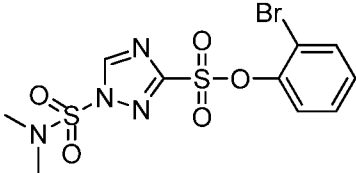
14	 (14)	100	100
16	 (16)	100	90
amisulbrom		90	65

Table E comparison of control effects of the compounds of the invention at various concentrations and the compounds of WO 9401419 on cucumber downy mildew

Example	Structure and number of compound	Control effect (%)	
		100 ppm	25 ppm
31	 (31)	100	100
122	 (122)	100	95
132	 (132)	100	100
WO 9401419 Compound 41		90	30

WO 9401419 Compound 43		85	20
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[00204]. The results listed in tables A and B indicated that the compounds of the invention have an obvious control effect at various concentrations on cucumber downy mildew, especially at 6.25 ppm, the compounds of the invention, for example, example 9, example 12, example 13, example 14, example 17, example 31 and example 132, have a control effect on cucumber downy mildew more than 40%. The results listed in tables C and E indicated that the compounds of the invention have a better control effect on cucumber downy mildew than that of prior art. In addition, the compounds of the invention have an obvious control effect on *Rhizoctonia solani*, *Botrytis cinerea* and *Sphaerotheca fuliginea* at 200 ppm, the control effect is more than 30%.

Test of inhibition rates of the invention

[00205]. The process of test of inhibition rates: to the first well in the first line of a 96 well plate was added a given amount of the test medicinal liquid, and then it was serially diluted in required multiple to the 8th well, the density of the pathogens of cucumber brown spot in the logarithmic growth were measured by a microscopic count method, the pathogens were diluted to a concentration of 10^7 to 10^8 CFU/mL with a culture solution; the pathogen solution was added into the 96 well plate, and 100 μ L was added per well, the 9th and 10th wells were used as controls. Each gradient was repeated 5 to 8 times, after the culture plate was cultivated at 37 °C for 2.5 hours, 10 μ L of tetrazolium bromide solution was added per well, and then which was further cultivated for 1.5 hours and centrifuged at 3000 r/min for 10 min. The supernatant medium was discarded. At last, to the each well of the 96 well plate was added 200 μ L SDS solution (*i.e.* Sodium dodecyl sulfate), the plate was shook for several minutes, after complete dissolution of the blue crystal, and read on an ELSIA detector.

[00206]. The control effects on rice blast, potato late blight, wheat root rot, wheat scab, corn curvularia leaf spot, soybean root rot, rape sclerotinia stem rot, cotton fusarium wilt, apple ring rot, apple leaf spot, citrus scab, anthracnose of grape, peach brown rot, peanut spot disease, watermelon wilt, mango rot were test by the same method.

[00207]. Calculating Formula of the inhibition rate is: inhibition rate (%) = (1-sample OD value / control OD value) x 100%. The results were listed in table F.

Table F the control effects of the compounds of the invention on various pathogens

Example	Strain name	Control effect (%)	
		10 mg/L	1 mg/L
1	potato late blight	100.00	100.00
	wheat root rot	100.00	100.00
	soybean root rot	100.00	100.00

[00208].The broaden research of the compounds of the invention found that these compound have prominent control effects on potato late blight, wheat root rot and soybean root rot. In addition, the compound of the invention have certain control effects on cucumber brown spot, wheat scab, corn curvularia leaf spot, rape sclerotinia stem rot, cotton fusarium wilt, apple ring rot, apple leaf spot, citrus scab, anthracnose of grape, peach brown rot, peanut spot disease, watermelon wilt, mango rot were test by the same method.

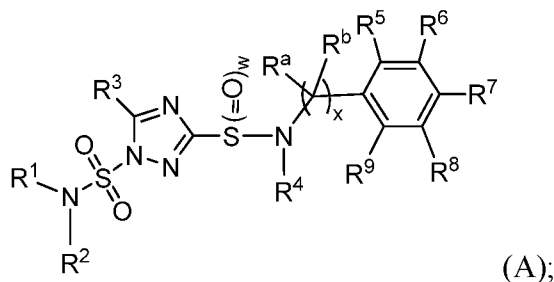
[00209].Meanwhile, the compounds of the invention are high safety to crops and fruit trees such as rice, cucumber, wheat, potato, corn, soybean, rape, cotton, apple, citrus, grape, peach, peanut, watermelon, mango and so on, there is no evident injury at the concentration in the range from 1 ppm to 200 ppm.

[00210].Some preferred embodiments of the invention have been described above. However, the invention is not limited to the details described above, the present invention may be modified in various simple manners within the scope of the concept of the present invention, those variations thus also belong to the scope of the invention.

CLAIMS

What is claimed is:

1. A compound having Formula (A) or a stereoisomer, an *N*-oxide or a salt thereof,



wherein

each of R^1 and R^2 is independently H, alkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, cycloalkylalkyl, heterocyclalkyl, arylalkyl, halo substituted arylalkyl or heteroarylalkyl; or R^1 and R^2 , together with the N atom to which they are attached, form a heterocyclyl or heteroaryl group;

wherein the heterocyclyl or heteroaryl group formed from R^1 and R^2 , together with the N atom to which they are attached is optionally substituted with one or more R^{12} ;

R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, aryl or haloaryl;

w is 0, 1 or 2;

R^4 is H, alkyl, -C(=O)-alkyl, -alkylene-C(=O)-alkyl, -C(=O)-O-alkyl, -alkylene-C(=O)-O-alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, cycloalkylalkyl or heterocyclalkyl; wherein R^4 is optionally substituted with one or more R^{14} ;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl or cycloalkyl;

or R^a and R^b together form oxo (=O);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^cR^d, -NR^m-C(=O)-Rⁿ, -NR^p(OR^q), -C(=O)-R^x, -C(=O)-OR^y, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy substituted alkyl, amino substituted alkyl, cyano substituted alkyl, alkoxy, haloalkoxy, hydroxy substituted alkoxy, amino substituted alkoxy, cyano substituted alkoxy, alkylamino, alkylthio or aryloxy;

wherein R^5 and R^6 , together with the carbon atoms to which they are attached, optionally

form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁵;

wherein R⁶ and R⁷, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or -C(=O)-OR^{y1};

wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl;

wherein each R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b, R^c, R^d, R^m, Rⁿ, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

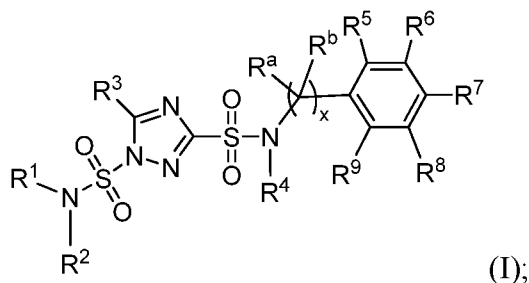
each R¹⁰, R¹² and R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-OR^z, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, hydroxy substituted C₁₋₆ alkyl, amino substituted C₁₋₆ alkyl, cyano substituted C₁₋₆ alkyl, C₁₋₆ alkoxy, halo C₁₋₆ alkoxy, hydroxy substituted C₁₋₆ alkoxy, amino substituted C₁₋₆ alkoxy, cyano substituted C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₃₋₈ cycloalkyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

wherein R^z is C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, 3-12 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, haloalkyl or haloalkoxy;

with the proviso that when R¹ and R² is methyl, R³ is H, w is 2, R⁴ is H and x is 0, R⁷ is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

2. The compound of claim 1 having Formula (I) or a stereoisomer, an *N*-oxide or a salt thereof,



wherein

each of R^1 and R^2 is independently H, alkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, cycloalkylalkyl, heterocyclalkyl, arylalkyl, halo substituted arylalkyl or heteroarylalkyl; or R^1 and R^2 , together with the N atom to which they are attached, form a heterocycl or heteroaryl group;

wherein the heterocycl or heteroaryl group formed from R^1 and R^2 , together with the N atom to which they are attached is optionally substituted with one or more R^{12} ;

R^3 is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, aryl or haloaryl;

R^4 is H, alkyl, $-C(=O)$ -alkyl, $-alkylene-C(=O)$ -alkyl, $-C(=O)$ -O-alkyl, $-alkylene-C(=O)$ -O-alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, cycloalkylalkyl or heterocyclalkyl; wherein R^4 is optionally substituted with one or more R^{14} ;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl or cycloalkyl;

or R^a and R^b together form oxo ($=O$);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-C(=O)-NR^cR^d$, $-NR^m-C(=O)-R^n$, $-NR^p(OR^q)$, $-C(=O)-R^x$, $-C(=O)-OR^y$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy substituted alkyl, amino substituted alkyl, cyano substituted alkyl, alkoxy, haloalkoxy, hydroxy substituted alkoxy, amino substituted alkoxy, cyano substituted alkoxy, alkylamino, alkylthio or aryloxy;

wherein R^5 and R^6 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R^{15} ;

wherein R^6 and R^7 , together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally

substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or -C(=O)-OR^{y1};

wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl;

wherein each R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b, R^c, R^d, R^m, Rⁿ, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

each R¹⁰, R¹² and R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-OR^z, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, hydroxy substituted C₁₋₆ alkyl, amino substituted C₁₋₆ alkyl, cyano substituted C₁₋₆ alkyl, C₁₋₆ alkoxy, halo C₁₋₆ alkoxy, hydroxy substituted C₁₋₆ alkoxy, amino substituted C₁₋₆ alkoxy, cyano substituted C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₃₋₈ cycloalkyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

wherein R^z is C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, 3-12 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₉ heteroaryl;

each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, alkylamino, haloalkyl or haloalkoxy;

with the proviso that when R¹ and R² is methyl, R³ is H, w is 2, R⁴ is H and x is 0, R⁷ is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

3. The compound of claim 1 or 2, wherein

each of R¹ and R² is independently H, C₁₋₆ alkyl, C₁₋₆ alkoxy-C₁₋₆-alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₂₋₁₀ heterocyclyl, C₆₋₁₀ aryl, C₁₋₉ heteroaryl, C₃₋₈ cycloalkyl-C₁₋₆-alkyl, C₂₋₁₀ heterocyclyl-C₁₋₆-alkyl, C₆₋₁₀ aryl-C₁₋₆-alkyl, halo substituted C₆₋₁₀ aryl-C₁₋₆-alkyl or C₁₋₉ heteroaryl-C₁₋₆-alkyl; or R¹ and R², together with the N atom to which they are attached, form a 3-8 membered heterocyclyl or C₁₋₉ heteroaryl group;

wherein the 3-8 membered heterocyclyl or C₁₋₉ heteroaryl group formed from R¹ and R², together with the N atom to which they are attached is optionally substituted with one or more R¹²;

R³ is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₆₋₁₀ aryl or halo C₆₋₁₀ aryl;

R⁴ is H, C₁₋₆ alkyl, -C(=O)-C₁₋₆ alkyl, -C₁₋₆ alkylene-C(=O)-C₁₋₆ alkyl, -C(=O)-O-C₁₋₆ alkyl, -C₁₋₆ alkylene-C(=O)-O-C₁₋₆ alkyl, C₁₋₆ alkoxy, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, 3-12 membered heterocyclyl, C₆₋₁₀ aryl, C₆₋₁₀ aryl-C₁₋₆-alkyl, C₃₋₈ cycloalkyl-C₁₋₆-alkyl or C₂₋₁₀ heterocyclyl-C₁₋₆-alkyl; wherein R⁴ is optionally substituted with one or more R¹⁴;

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl or C₃₋₈ cycloalkyl;

or R^a and R^b together form oxo (=O);

x is 0, 1, 2, 3, 4, 5 or 6;

each of R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^cR^d, -NR^m-C(=O)-Rⁿ, -NR^p(OR^q), -C(=O)-R^x, -C(=O)-OR^y, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, hydroxy substituted C₁₋₆ alkyl, amino substituted C₁₋₆ alkyl, cyano substituted C₁₋₆ alkyl, C₁₋₆ alkoxy, halo C₁₋₆ alkoxy, hydroxy substituted C₁₋₆ alkoxy, amino substituted C₁₋₆ alkoxy, cyano substituted C₁₋₆ alkoxy, C₁₋₆ alkylamino, C₁₋₆ alkylthio or C₆₋₁₀ aryloxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁵;

wherein R⁶ and R⁷, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally

substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl, C₁₋₆ heteroaryl or -C(=O)-OR^{y1};

wherein each of R^c, R^d, R^m, Rⁿ, R^{y1}, R^x and R^y is independently H, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo C₁₋₆ alkyl, halo C₂₋₆ alkenyl, halo C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

wherein each R¹, R², R³, R⁵, R⁶, R⁷, R⁸, R⁹, R^a, R^b, R^c, R^d, R^m, Rⁿ, R^x and R^y is optionally substituted with one, two, three, four, five or six R¹⁰;

each R¹⁰, R¹² and R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-OR^z, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, hydroxy substituted C₁₋₄ alkyl, amino substituted C₁₋₄ alkyl, cyano substituted C₁₋₄ alkyl, C₁₋₄ alkoxy, halo C₁₋₄ alkoxy, hydroxy substituted C₁₋₄ alkoxy, amino substituted C₁₋₄ alkoxy, cyano substituted C₁₋₄ alkoxy, C₁₋₄ alkylamino, C₃₋₆ cycloalkyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

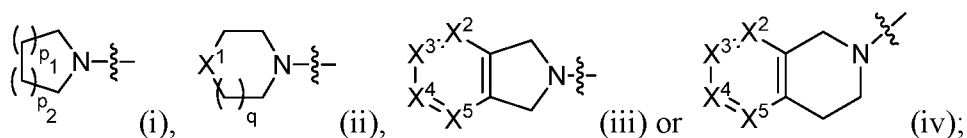
wherein R^z is C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-8 membered heterocyclyl, C₆₋₁₀ aryl or C₁₋₅ heteroaryl;

each R¹⁵, R¹⁶, R¹⁷ and R¹⁸ is independently H, halogen, cyano, nitro, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ alkoxy, C₁₋₆ alkylamino, halo C₁₋₆ alkyl or halo C₁₋₆ alkoxy;

with the proviso that when R¹ and R² is methyl, R³ is H, w is 2, R⁴ is H and x is 0, R⁷ is not unsubstituted or substituted phenoxy, unsubstituted or substituted pyridyloxy or unsubstituted or substituted naphthyloxy.

4. The compound of any one of claims 1 to 3, wherein

each of R¹ and R² is independently H, C₁₋₄ alkyl, C₁₋₃ alkoxy-C₁₋₃-alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, C₂₋₆ heterocyclyl, C₆₋₁₀ aryl, C₁₋₅ heteroaryl, C₃₋₆ cycloalkyl-C₁₋₄-alkyl, C₂₋₆ heterocyclyl-C₁₋₄-alkyl, C₆₋₁₀ aryl-C₁₋₄-alkyl, halo substituted C₆₋₁₀ aryl-C₁₋₄-alkyl or C₁₋₅ heteroaryl-C₁₋₄-alkyl; or R¹ and R², together with the N atom to which they are attached, form the following sub-structures:



each of p₁ and p₂ is independently 0, 1, or 2;

q is 0, 1 or 2;

X¹ is -O-, -S-, -S(=O)-, -S(=O)₂- or -NH-;

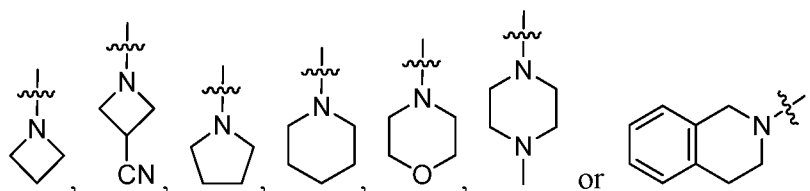
each X², X³, X⁴ and X⁵ is independently N or CH;

wherein sub-structure (i), (ii), (iii) or (iv) is optionally substituted with one or more R¹².

5. The compound of any one of claims 1 to 4, wherein

each of R¹ and R² is independently H, -CH₃, -CH₂CH₃, -CH₂CH₂CH₃, -CH(CH₃)₂, -CH₂CH=CH₂, -CH₂CH₂CH=CH₂, -CH₂CH=CHCH₃, -CH₂-O-CH₃, -CH₂CH₂-O-CH₃, -CH₂-O-CH₂CH₃, -CH₂CH₂-O-CH₂CH₃, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, benzyl, 4-fluorobenzyl or 4-cyanobenzyl;

or R¹ and R², together with the N atom to which they are attached, form the following sub-structures:



6. The compound of any one of claims 1 to 5, wherein

R³ is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, C₆₋₁₀ aryl or halo C₆₋₁₀ aryl.

7. The compound of any one of claims 1 to 6, wherein

R³ is H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -CH₃, -CHF₂, -CH₂F, -CH₂CH₃, -CH₂CH₂F, -CH₂CHF₂, -CH₂CH₂CH₃, -CH(CH₃)₂, -C(CH₃)₃, phenyl, 2-fluorophenyl, 3-fluorophenyl or 4-fluorophenyl.

8. The compound of any one of claims 1 to 7, wherein

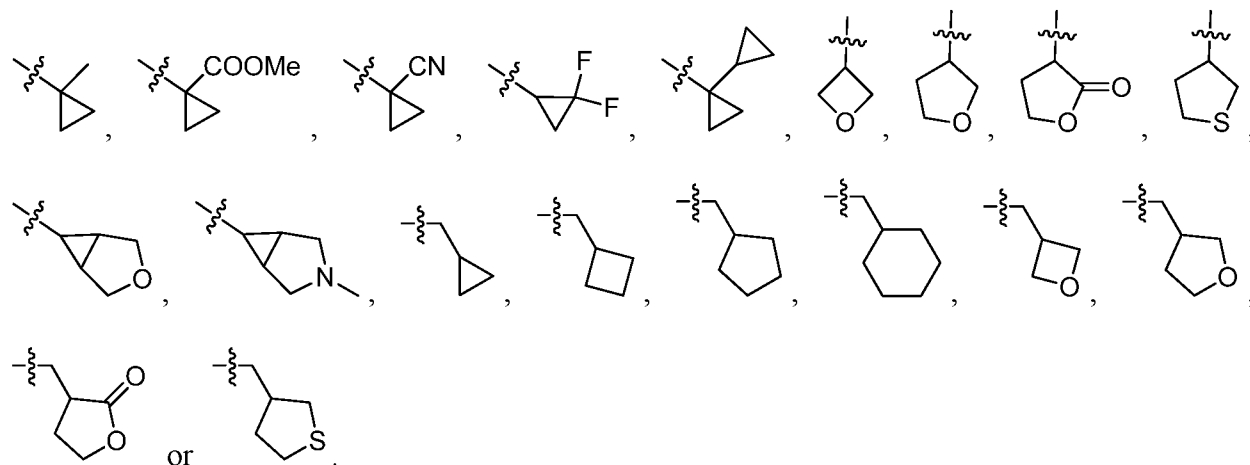
R⁴ is H, C₁₋₄ alkyl, -C(=O)-C₁₋₄ alkyl, -C₁₋₄ alkylene-C(=O)-C₁₋₄ alkyl, -C(=O)-O-C₁₋₄ alkyl, -C₁₋₄ alkylene-C(=O)-O-C₁₋₄ alkyl, C₁₋₄ alkoxy, C₂₋₄ alkenyl, C₂₋₄ alkynyl, C₃₋₆ cycloalkyl, 3-10 membered heterocyclyl, C₆₋₁₀ aryl, C₆₋₁₀ aryl-C₁₋₃-alkyl, C₃₋₆ cycloalkyl-C₁₋₃-alkyl or C₂₋₆ heterocyclyl-C₁₋₃-alkyl; wherein R⁴ is optionally substituted with one, two, three, four or five R¹⁴,

each R¹⁴ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-O-C₁₋₃ alkyl, C₁₋₃ alkyl, C₁₋₃ alkoxy, C₃₋₆ cycloalkyl or phenyl.

9. The compound of any one of claims 1 to 8, wherein

R⁴ is H, -CH₃, -CHF₂, -CH₂(CN), -CH₂CH₃, -CH(CN)CH₃, -CH₂CHF₂, -CH₂CH₂CH₃,

-CH(CH₃)₂, -CH₂CH₂CH₂CH₃, -C(CH₃)₃, -CH₂CH=CH₂, -CH₂CH₂CH=CH₃, -CH₂CH=CHCH₃, -C(=O)-CH₃, -C(=O)-CH₂CH₃, -C(=O)-OCH₃, -C(=O)-OCH₂CH₃, -CH₂-C(=O)-OCH₃, -CH₂-C(=O)-OCH₂CH₃, -OCH₃, -OCH₂CH₃, -OCH₂CH₂CH₃, -OCH(CH₃)₂, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl or benzyl; or R⁴ has the following sub-structure:



10. The compound of any one of claims 1 to 9, wherein

each of R⁵, R⁶, R⁷, R⁸ and R⁹ is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^cR^d, -NR^m-C(=O)-Rⁿ, -NR^p(OR^q), -C(=O)-R^x, -C(=O)-OR^y, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, halo C₁₋₄ alkyl, halo C₂₋₄ alkenyl, halo C₂₋₄ alkynyl, hydroxy substituted C₁₋₄ alkyl, amino substituted C₁₋₄ alkyl, cyano substituted C₁₋₄ alkyl, C₁₋₄ alkoxy, halo C₁₋₄ alkoxy, hydroxy substituted C₁₋₄ alkoxy, amino substituted C₁₋₄ alkoxy, cyano substituted C₁₋₄ alkoxy, C₁₋₄ alkylamino, C₁₋₄ alkylthio or C₆₋₁₀ aryloxy;

wherein R⁵ and R⁶, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁵;

wherein R⁶ and R⁷, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁶;

wherein R⁷ and R⁸, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁷;

wherein R⁸ and R⁹, together with the carbon atoms to which they are attached, optionally form a benzene or naphthalene ring; and wherein the benzene or naphthalene ring is optionally substituted with one, two, three, four, five, six, seven, eight or nine R¹⁸;

wherein each of R^p and R^q is independently H, C_{1-3} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-3} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl, C_{3-6} cycloalkyl, 3-6 membered heterocyclyl, C_{6-10} aryl, C_{1-5} heteroaryl or $-C(=O)-OR^{y1}$;

wherein each of R^c , R^d , R^m , R^n , R^{y1} , R^x and R^y is independently H, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl, C_{3-6} cycloalkyl, 3-6 membered heterocyclyl, C_{6-10} aryl or C_{1-5} heteroaryl;

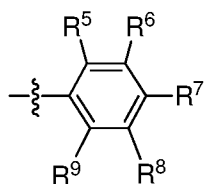
wherein each R^5 , R^6 , R^7 , R^8 , R^9 , R^c , R^d , R^m , R^n , R^{y1} , R^x and R^y is optionally substituted with one, two, three, four, five or six R^{10} ;

each R^{15} , R^{16} , R^{17} and R^{18} is independently H, halogen, cyano, nitro, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} alkylamino, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

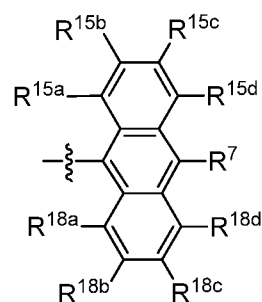
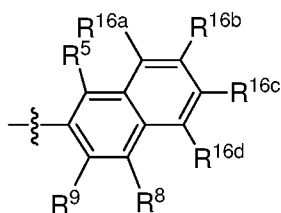
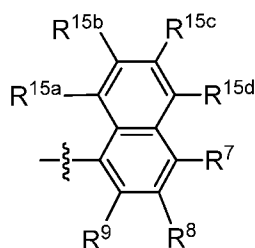
11. The compound of any one of claims 1 to 10, wherein

each R^5 , R^6 , R^7 , R^8 and R^9 is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2F$, $-CHF_2$, $-CF_3$, $-CH_2CH_2F$, $-CH_2CHF_2$, $-CH_2CF_3$, $-CH=CH_2$, $-CH_2-CH=CH_2$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OCF_3$, $-OCH_2CF_3$, $-NH-C(=O)-CH_3$, $-NH-C(=O)-CH_2CH_3$, $-NH-OH$, $-N(OH)-C(=O)-OCH_3$, $-N(OC(=O)OCH_3)-C(=O)-OCH_3$, $-C(=O)-CH_3$, $-C(=O)-CH_2CH_3$, $-C(=O)-OCH_3$, $-C(=O)-OCH_2CH_3$, $-SCH_3$, $-SCH_2CH_3$, $-SCH_2CH_2CH_3$, $-SCH(CH_3)_2$, phenoxy, halophenoxy, C_{1-4} alkyl substituted phenoxy, C_{1-4} alkoxy substituted phenoxy, C_{1-4} haloalkyl substituted phenoxy or C_{1-4} haloalkoxy substituted phenoxy.

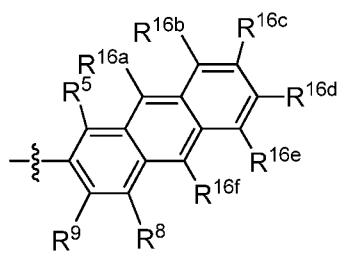
12. The compound of any one of claims 1 to 11, wherein



has the following sub-structure:



or



wherein

each R^5 , R^7 , R^8 , R^9 , R^{15a} , R^{15b} , R^{15c} , R^{15d} , R^{16a} , R^{16b} , R^{16c} , R^{16d} , R^{16e} , R^{16f} , R^{18a} , R^{18b} , R^{18c} and R^{18d} is independently H, halo, cyano, nitro, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{1-6} alkylamino, halo C_{1-6} alkyl or halo C_{1-6} alkoxy.

13. The compound of any one of claims 1 to 12, wherein

each R^5 , R^7 , R^8 , R^9 , R^{15a} , R^{15b} , R^{15c} , R^{15d} , R^{16a} , R^{16b} , R^{16c} , R^{16d} , R^{16e} , R^{16f} , R^{18a} , R^{18b} , R^{18c} and R^{18d} is independently H, halo, cyano, nitro, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} alkylamino, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

14. The compound of any one of claims 1 to 13, wherein

each R^5 , R^7 , R^8 , R^9 , R^{15a} , R^{15b} , R^{15c} , R^{15d} , R^{16a} , R^{16b} , R^{16c} , R^{16d} , R^{16e} , R^{16f} , R^{18a} , R^{18b} , R^{18c} and R^{18d} is independently H, F, Cl, Br, I, cyano, nitro, methyl, ethyl, *n*-propyl, isopropyl, *t*-butyl, vinyl, propenyl, allyl, ethynyl, propinyl, propargyl, methoxy, ethoxy, isopropoxy, *t*-butoxy, difluoromethyl, trifluoromethyl, difluoromethoxy, trifluoromethoxy, dimethylamino or diethylamino.

15. The compound of any one of claims 1 to 14, wherein

each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl or C_{3-6} cycloalkyl;

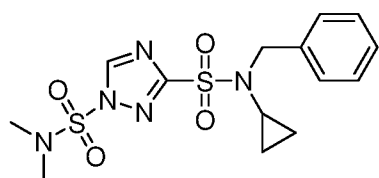
or R^a and R^b together form oxo (=O).

16. The compound of any one of claims 1-15, wherein

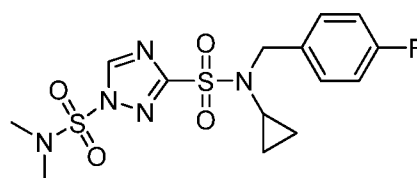
each R^a and R^b is independently H, F, Cl, Br, I, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2F$, $-CHF_2$, $-CF_3$, $-CH_2CH_2F$, $-CH_2CHF_2$, $-CH_2CF_3$, $-CH=CH_2$, $-CH_2-CH=CH_2$, cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl;

or R^a and R^b together form oxo (=O).

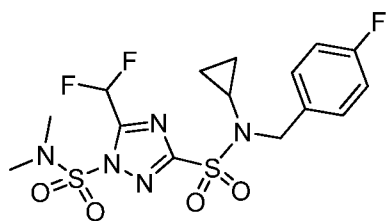
17. The compound of any one of claims 1 to 16 having one of the following structures or a stereoisomer, an *N*-oxide or a salt thereof,



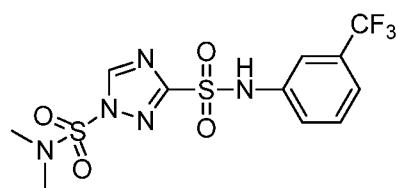
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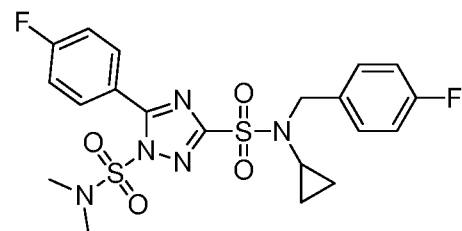
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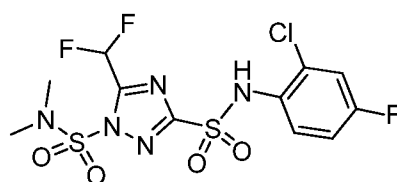
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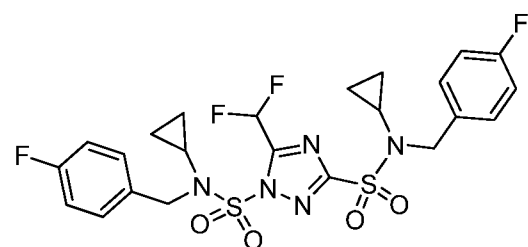
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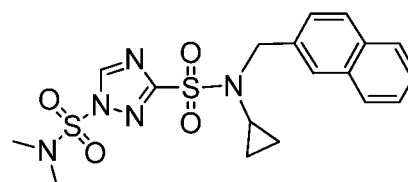
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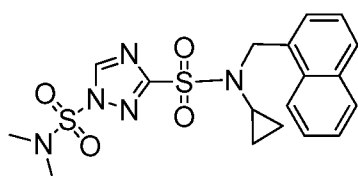
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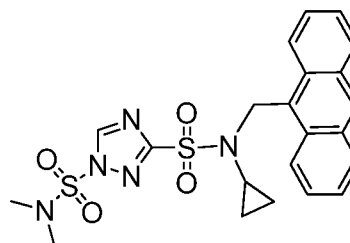
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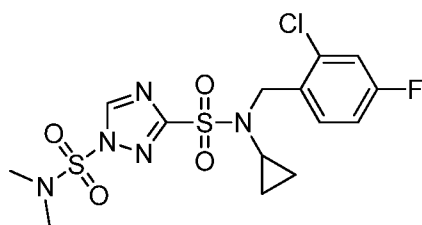
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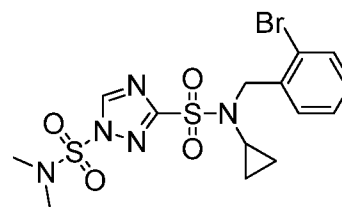
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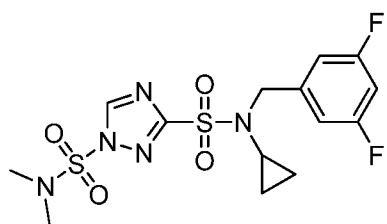
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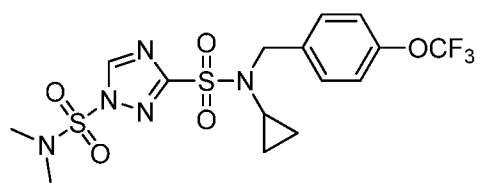
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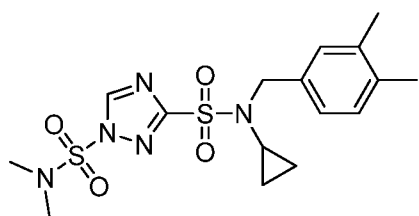
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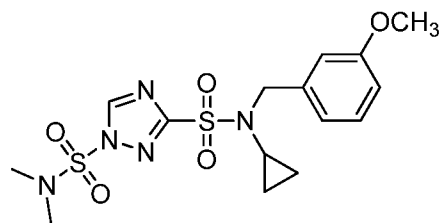
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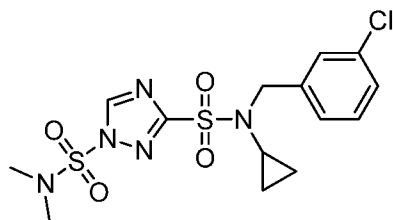
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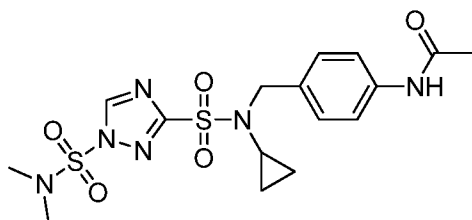
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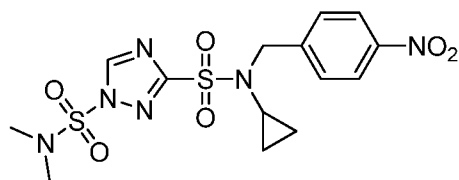
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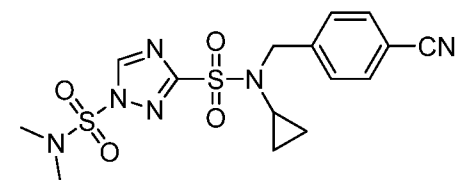
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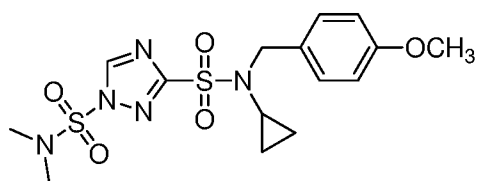
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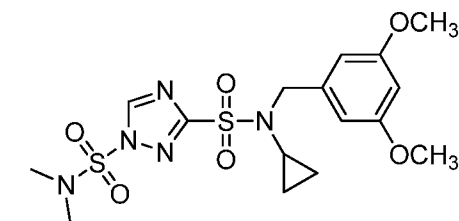
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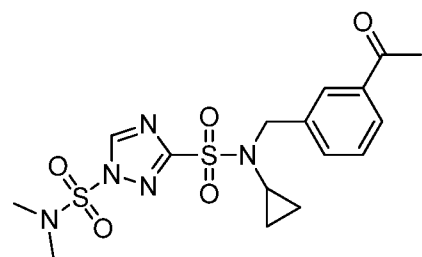
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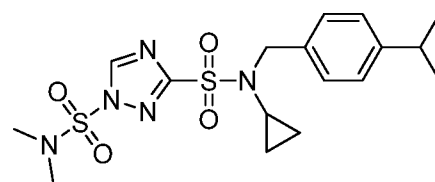
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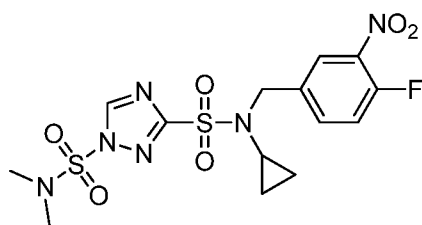
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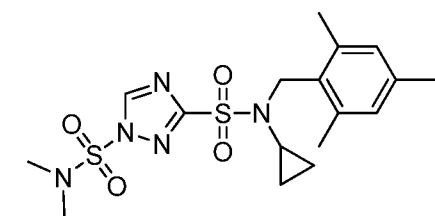
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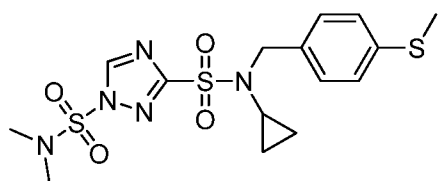
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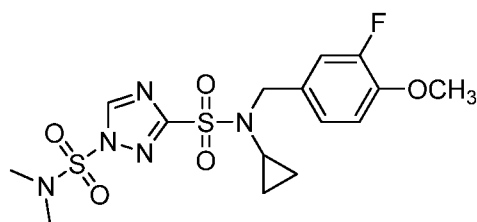
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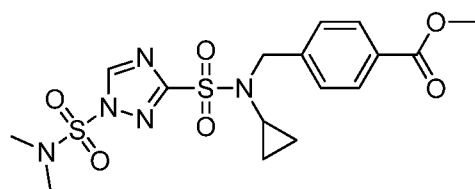
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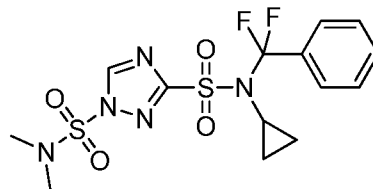
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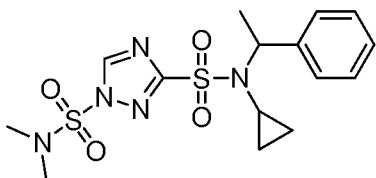
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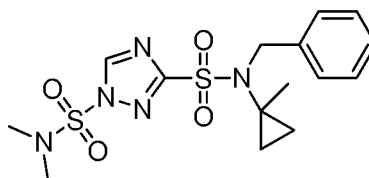
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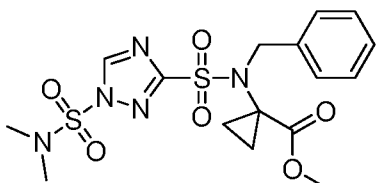
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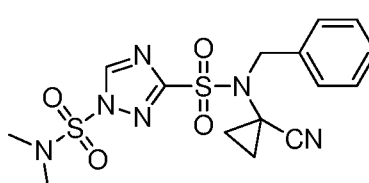
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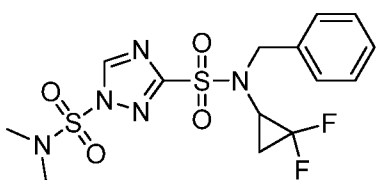
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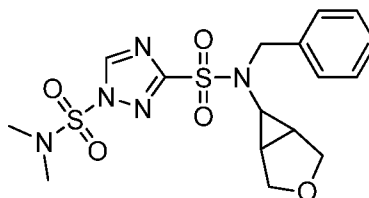
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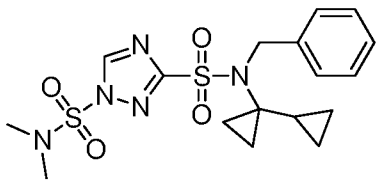
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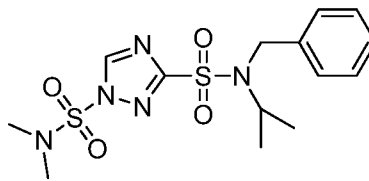
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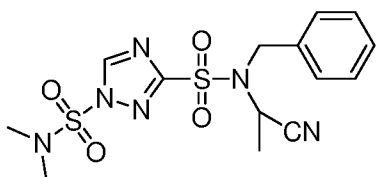
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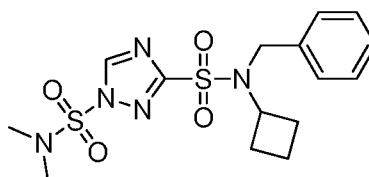
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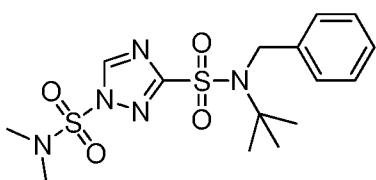
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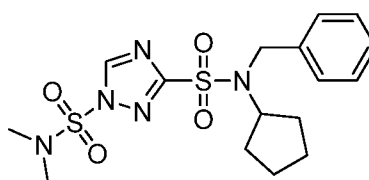
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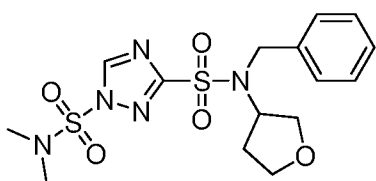
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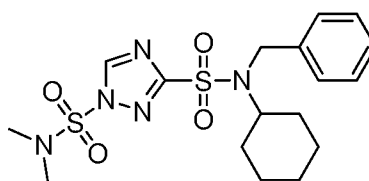
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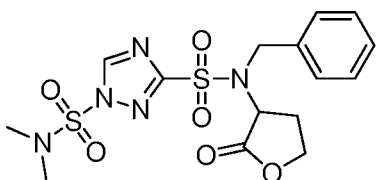
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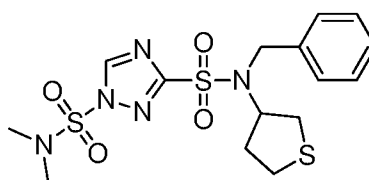
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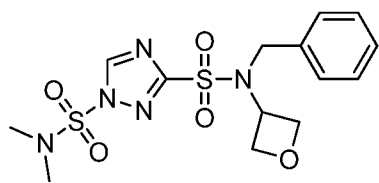
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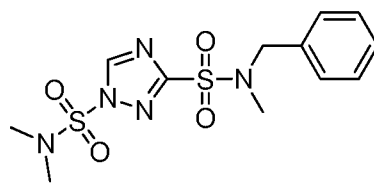
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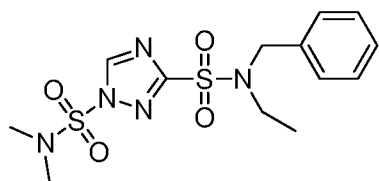
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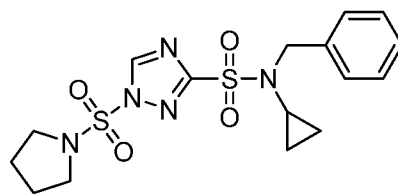
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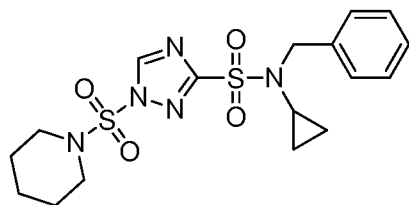
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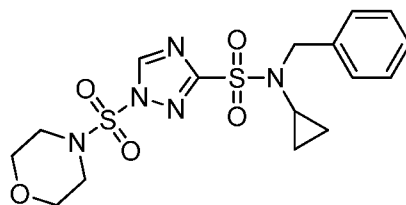
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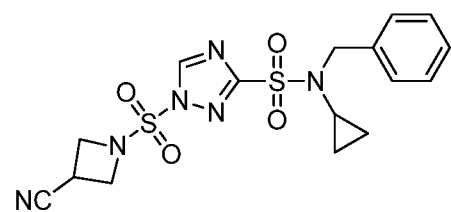
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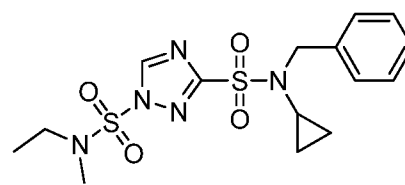
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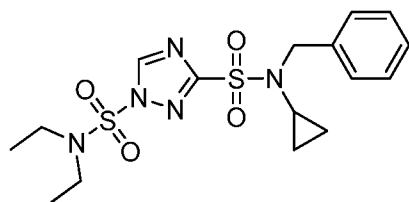
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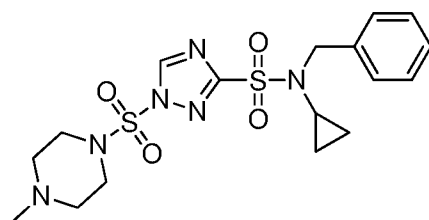
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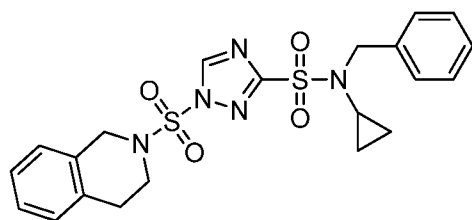
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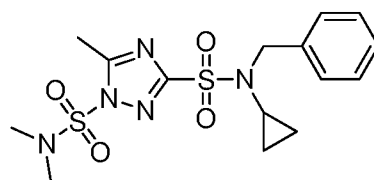
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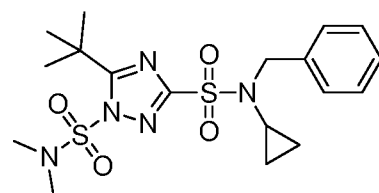
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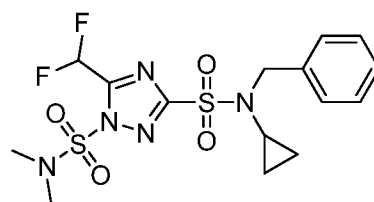
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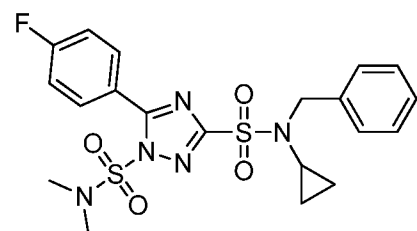
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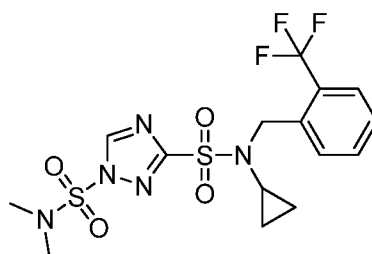
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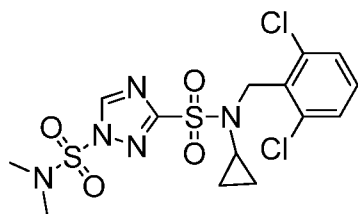
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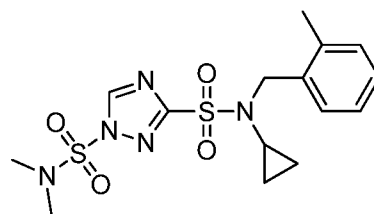
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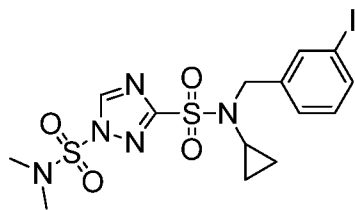
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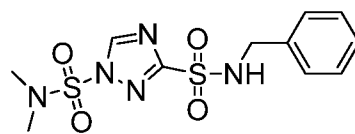
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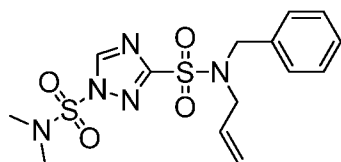
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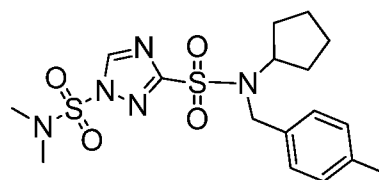
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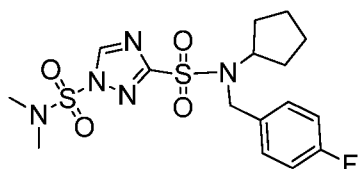
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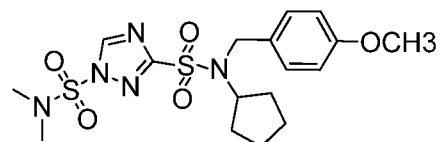
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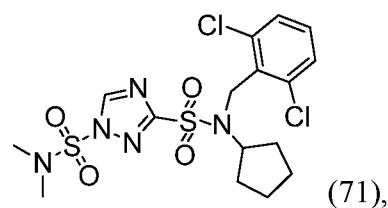
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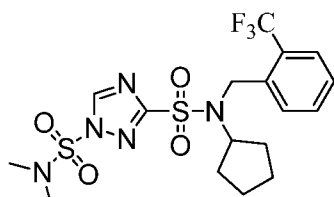
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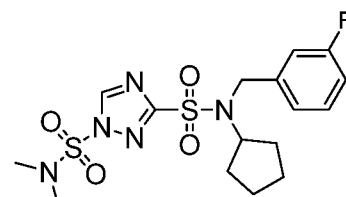
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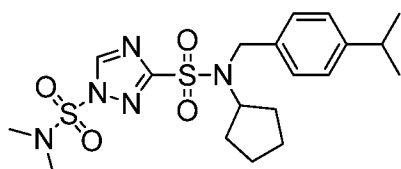
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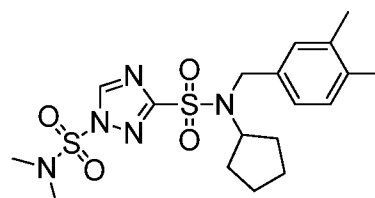
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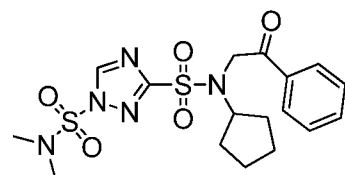
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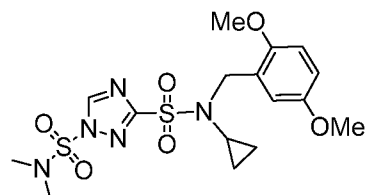
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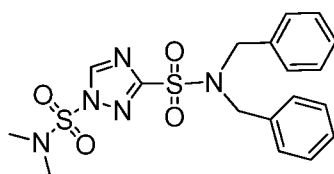
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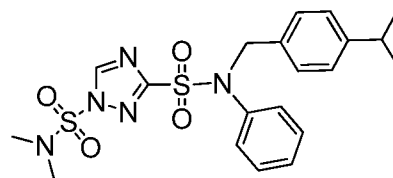
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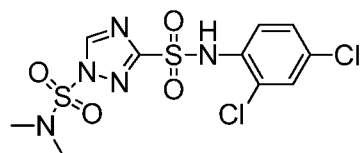
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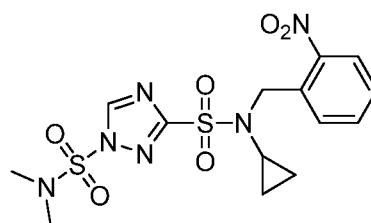
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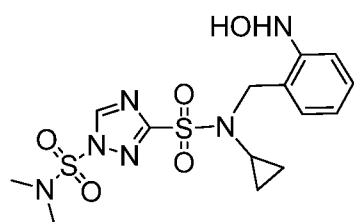
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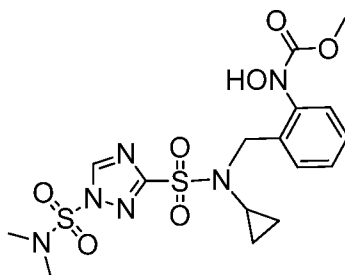
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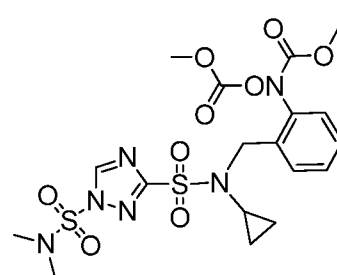
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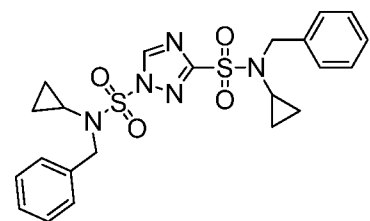
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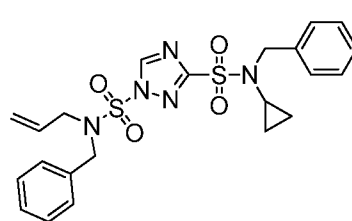
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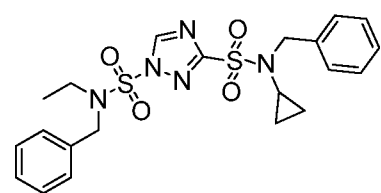
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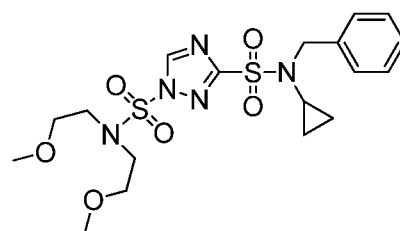
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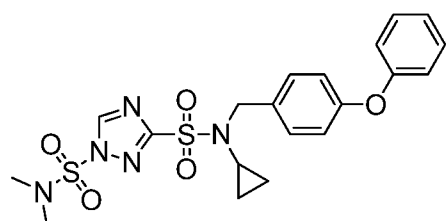
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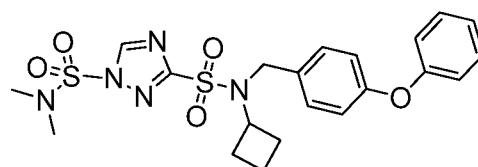
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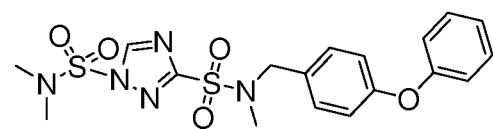
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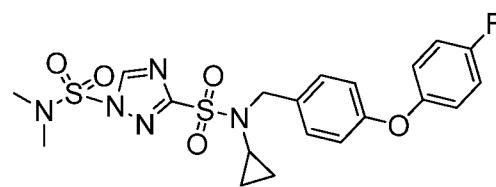
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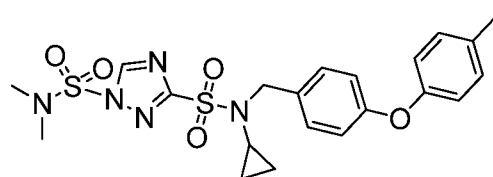
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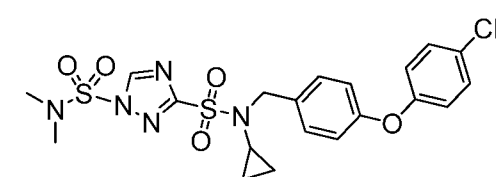
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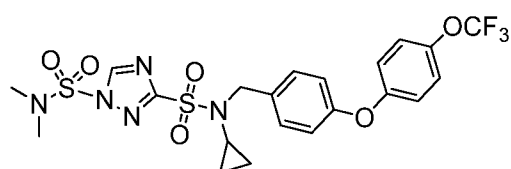
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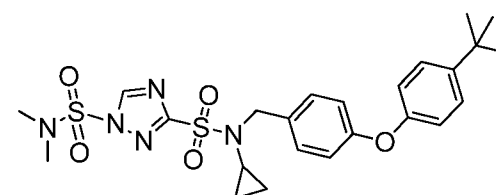
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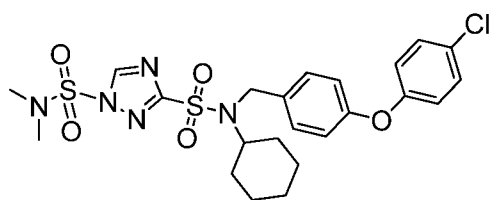
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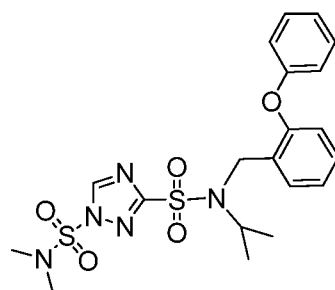
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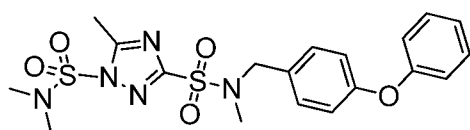
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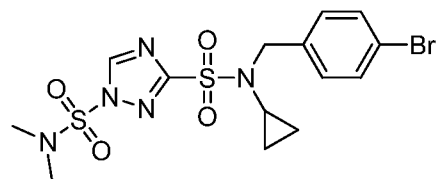
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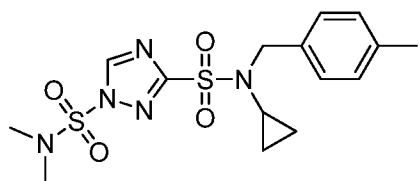
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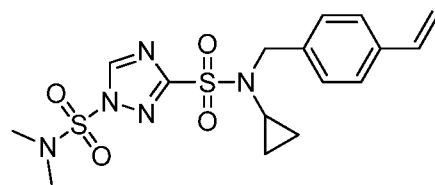
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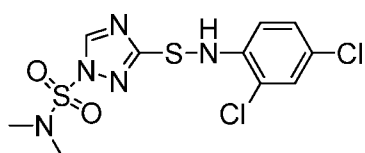
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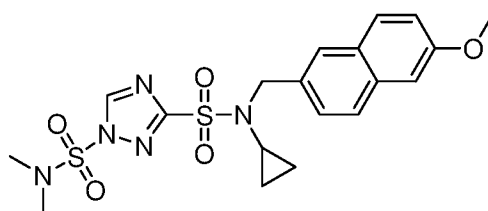
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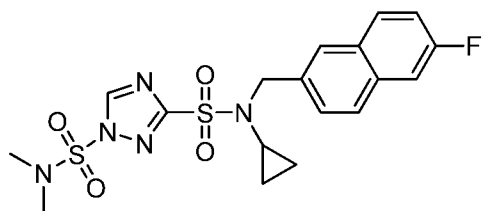
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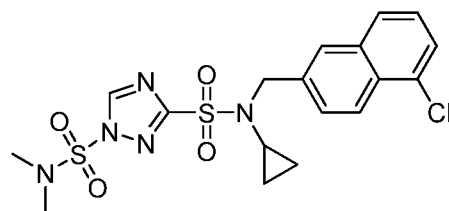
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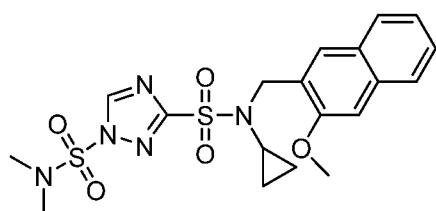
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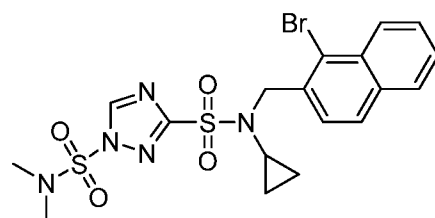
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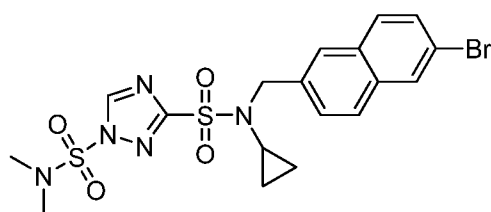
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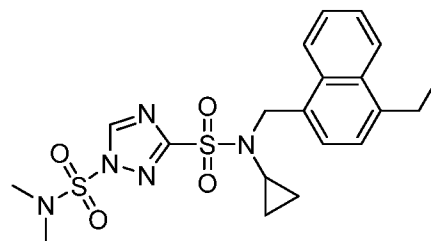
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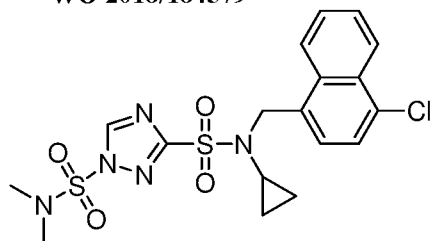
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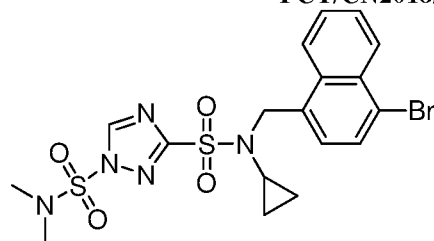
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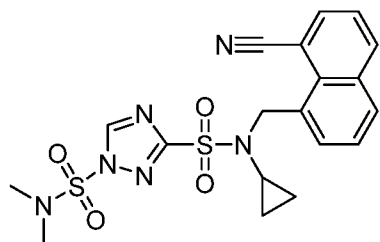
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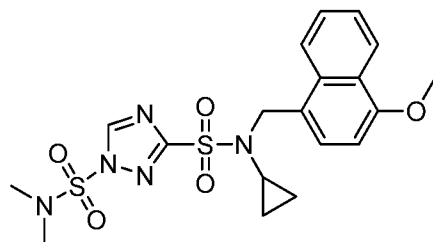
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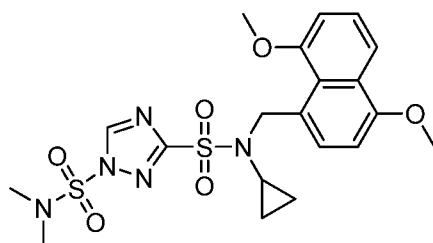
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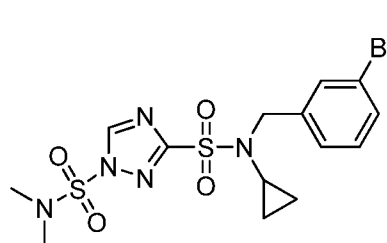
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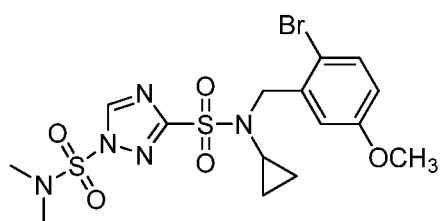
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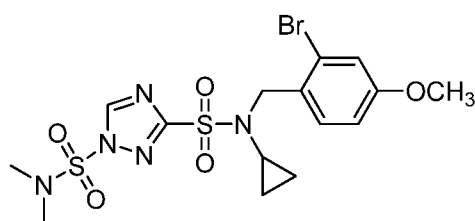
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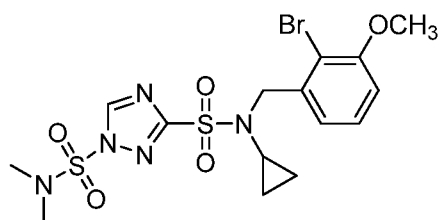
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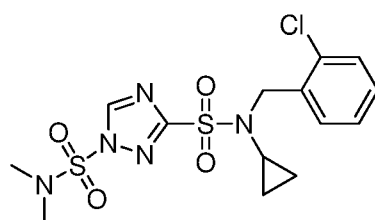
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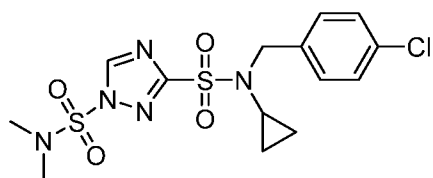
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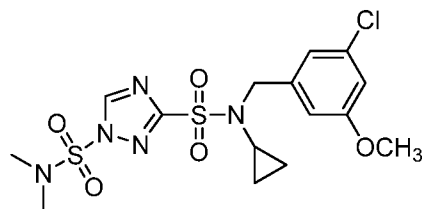
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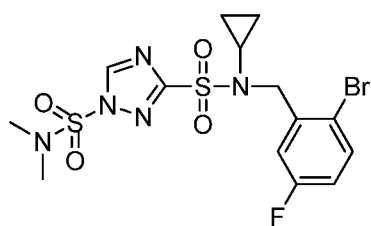
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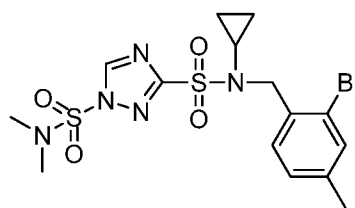
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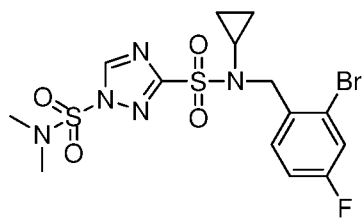
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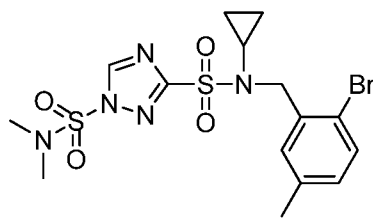
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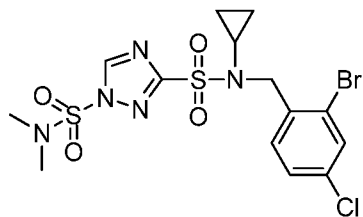
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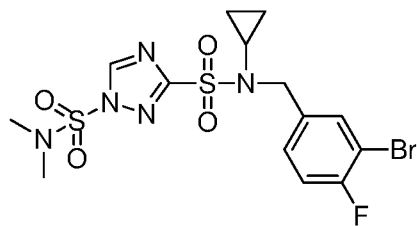
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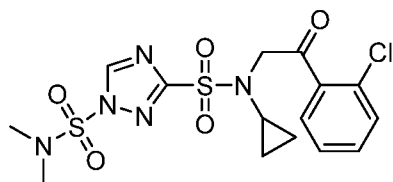
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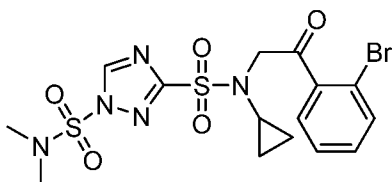
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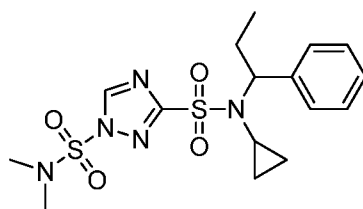
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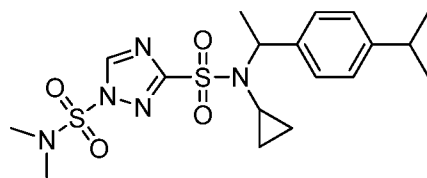
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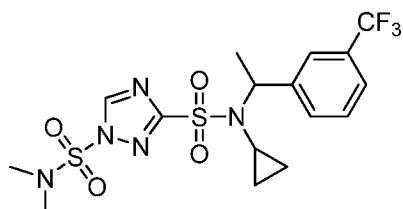
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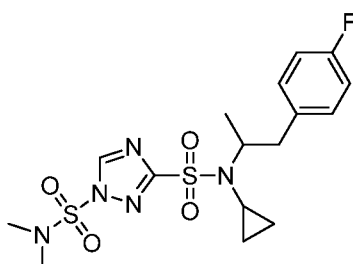
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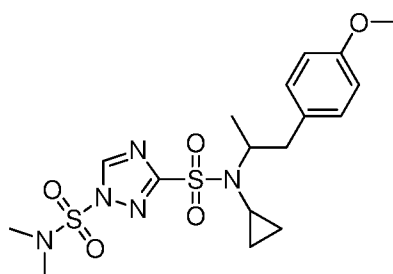
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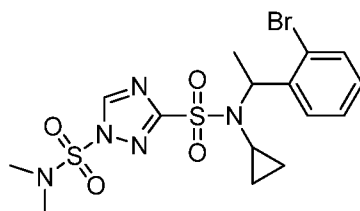
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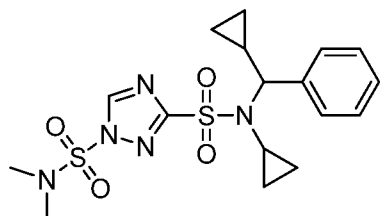
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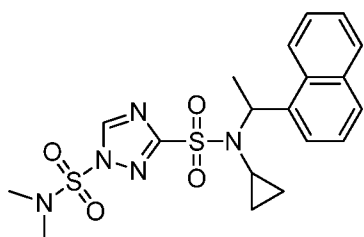
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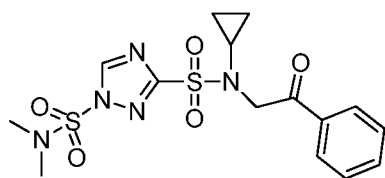
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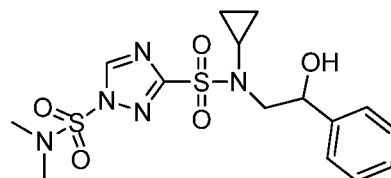
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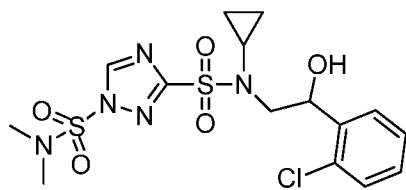
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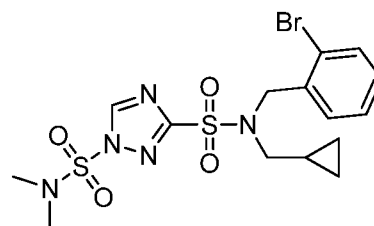
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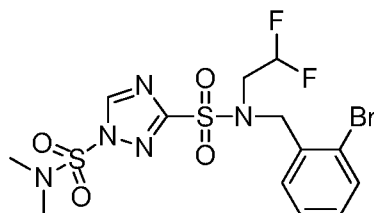
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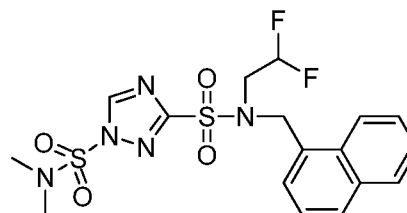
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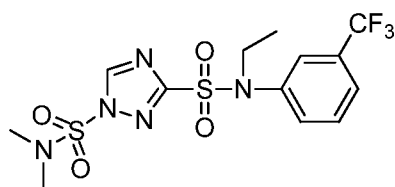
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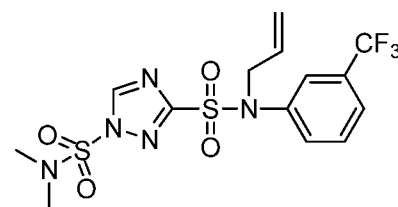
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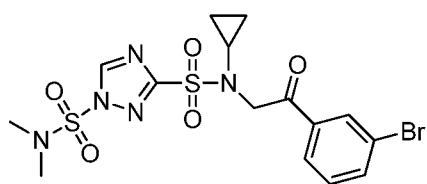
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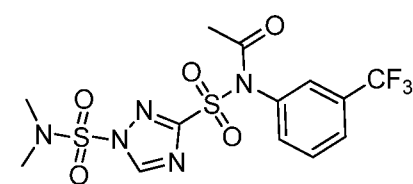
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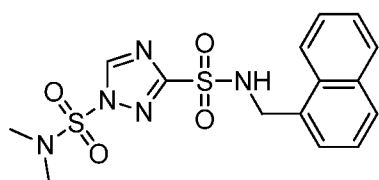
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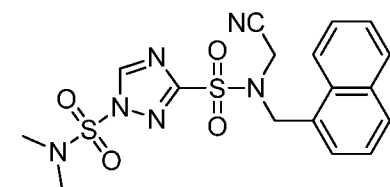
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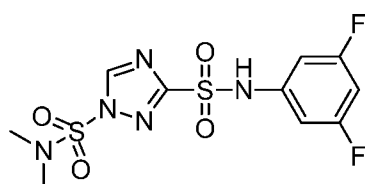
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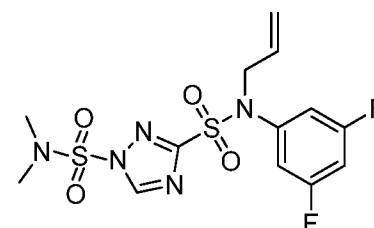
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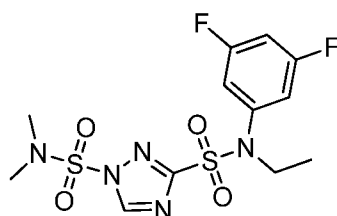
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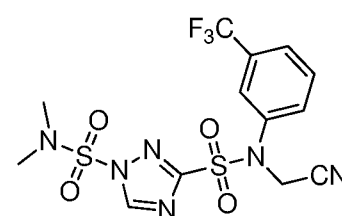
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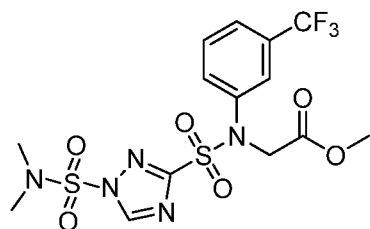
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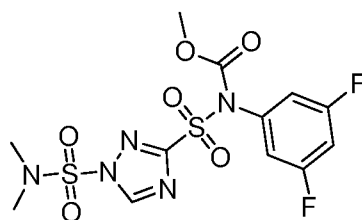
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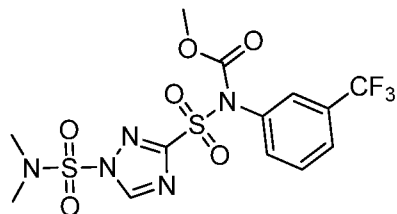
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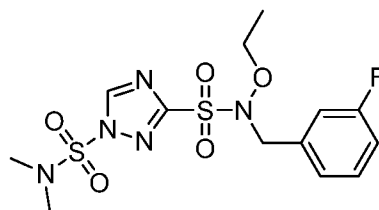
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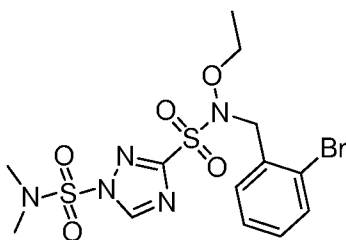
(155),



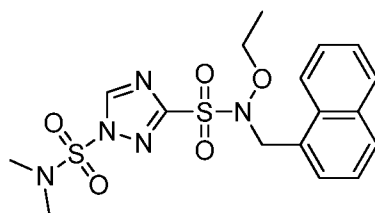
(156),



(157),

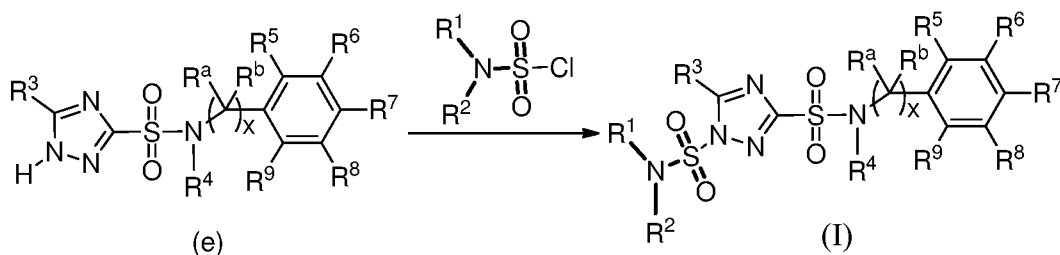


(158) or



(159).

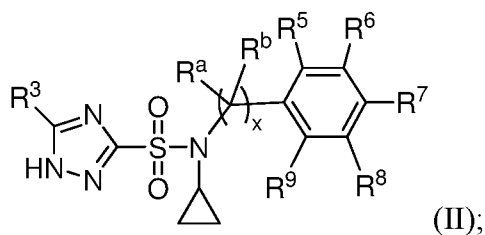
18. A method of preparing a compound of Formula (I) comprising reacting a compound of Formula (e) with a disubstituted amino sulfonyl chloride to obtain the compound of Formula (I):



;

wherein R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , R^a , R^b and x are as defined in any one of claims 2 to 16.

19. A compound having Formula (II) or a stereoisomer, an *N*-oxide or a salt thereof,



(II);

wherein R^3 , R^5 , R^6 , R^7 , R^8 , R^9 , R^a , R^b and x are as defined in any one of claims 1 to 3, 6 to 7 and 10 to 16.

20. A composition comprising a compound of any one of claims 1 to 17.

21. The composition of claim 20 further comprising an agrochemically acceptable surfactant and carrier.

22. Use of a compound of any one of claims 1 to 17 or a composition of claim 20 or 21 for controlling plant diseases.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/CN2018/081979

A. CLASSIFICATION OF SUBJECT MATTER

C07D 249/12(2006.01)i; A01N 43/653(2006.01)i; A01P 1/00(2006.01)i; A01P 3/00(2006.01)i

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D249/-; A01N43/-; A01P1/-; A01P3/-

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

CNKI,DWPI,VEN,CNABS,STN(CAPLUS,REG,CASREACT):triazole,agriculture,fungicide.

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 9741113 A1 (NISSAN CHEMICAL IND LTD ET AL.) 06 November 1997 (1997-11-06) description pages 1-3, 115	18-19
X	CHENG Hua et al. "Discovery of 1, 2, 4-triazole-1, 3-disulfonamides as dual inhibitors of mitochondrial complex II and complex III" <i>New J. Chem.</i> , Vol. 39, 15 July 2015 (2015-07-15), pages 7289-7292	1-17, 20-22
Y	CHENG Hua et al. "Discovery of 1, 2, 4-triazole-1, 3-disulfonamides as dual inhibitors of mitochondrial complex II and complex III" <i>New J. Chem.</i> , Vol. 39, 15 July 2015 (2015-07-15), page 7287	18-19

☐ Further documents are listed in the continuation of Box C.☒ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

25 June 2018

Date of mailing of the international search report

06 July 2018

Name and mailing address of the ISA/CN

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Telephone No. (86-10)62086312

International application No.
PCT/CN2018/081979

Patent document cited in search report			Publication date (day/month/year)	Patent family member(s)			Publication date (day/month/year)
WO	9741113	A1	06 November 1997	AU	2407797	A	19 November 1997
				JP	H11302276	A	02 November 1999