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18/18/56581

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क) आवेदन संख्या/ a) Application Number: 201711031859

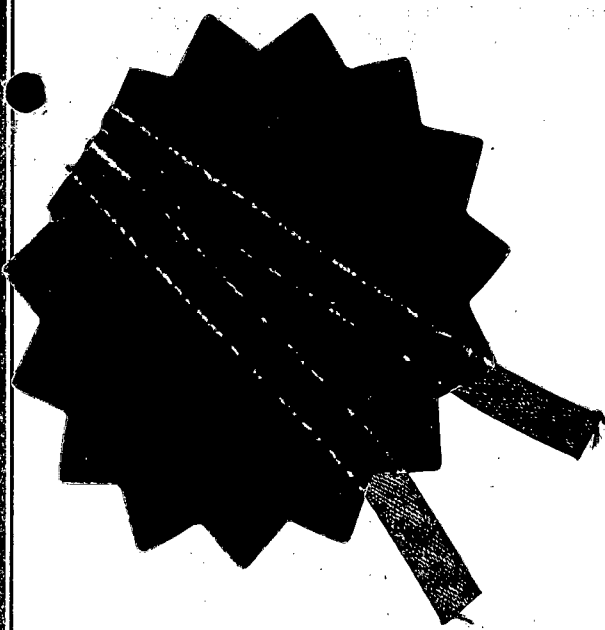
ख) फाइल करने की तारीख/ b) Date of Filing: 08th September, 2017

ग) अनुरोधित दस्तावेज़(जों) का नाम/ c) Name of the document(s) requested:

1) Provisional Specification filed on dated 08th September, 2017 (As per-filing record)

यह प्रमाणपत्र पेटेंट अधिनियम, 1970 की धारा 147(1) के अधीन मुझमें निहित शक्तियों के तहत जारी किया गया है/This certificate is issued under the powers vested in me U/S 147(1) of The Patents Act, 1970.

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(Bimi G.B.)

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FORM-2

**THE PATENT ACT, 1970
(39 OF 1970)
AND
THE PATENT RULES, 2003
(As Amended)**

**PROVISIONAL SPECIFICATION
(See section 10; rule 13)**

"NOVEL FUNGICIDAL HETEROCYCLIC COMPOUNDS"

PI Industries Ltd., a corporation organized and existing under the laws of India, of Udaisagar Road, Udaipur-313001, Rajasthan, India.

The following specification particularly describes the invention and the manner in which it is to be performed:

TITLE:

Novel Fungicidal Heterocyclic Compounds

FIELD OF THE INVENTION:

The present invention relates to novel fungicidal heterocyclic compounds and salts, metal complexes, N-oxides, enantiomers, stereoisomers and polymorphs thereof; compositions and methods of use of the compounds for controlling or preventing phytopathogenic micro-organisms.

BACKGROUND:

The control of damages to crops caused by phytopathogenic micro-organisms is extremely important in achieving high crop efficiency. For instance, plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. Many products are commercially available to control such damages. The need continues for new compounds which are more effective, less costly, less toxic, and environmentally safer and/or have different modes of action.

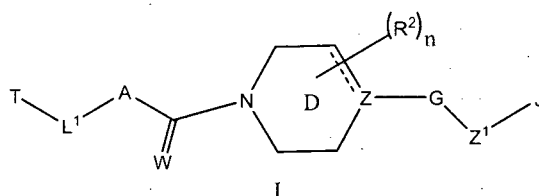
Certain oxazole-thiazole piperidine heterocyclic compounds having fungicidal properties have already been described in the literature, as for example, WO2008013622, WO2008013925, WO2009094407, WO2009094445, WO2010065579, WO2010123791, WO2011076699, WO2011085170, WO2012020060, WO2012025557, WO2012055837, WO2012082580, WO2012104273, WO2013037768, WO2013098229, WO2013127784, WO2013127808, WO2014075873, WO2014075874, WO2014118142, WO2014118143, WO2014154530, WO2014179144, WO2014206896, WO2015028457, WO2015144571, WO2016024350 and WO2016024434.

The effectiveness of the oxazole-thiazole piperidine heterocyclic compounds described in the prior art is satisfactory, but leaves something to be desired in various cases. Therefore, it is always of high interest in agriculture to use novel pesticidal compounds in order to avoid and/or control the development of microorganisms such as fungal or bacterial pathogens or pests being resistant to known active ingredients. It is therefore of high interest to use novel compounds.

Surprisingly, it is now found that the compounds and compositions thereof of the present invention have the potential of overcoming drawbacks and are suitable for crop protection against phytopathogenic micro-organisms causing plant diseases.

SUMMARY OF THE INVENTION:

The present invention relates to a compound selected from Formula I,



wherein the substituents are as defined in the foregoing description.

The present invention will now be described in detail in the foregoing description.

DETAILED DESCRIPTION OF THE INVENTION:

DEFINITIONS:

The foregoing definitions provided herein for the terminologies used in the present invention are for illustrative purpose only and in no manner limit the scope of the present invention.

Compounds of the present invention may be present either in pure form or as mixtures of different possible isomeric forms such as stereoisomers or constitutional isomers. The various stereoisomers include enantiomers, diastereomers, chiral isomers, atropisomers, conformers, rotamers, tautomers, optical isomers, polymorphs, and geometric isomers. Any desired mixtures of these isomers fall within the scope of the claims of the present invention. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other isomer(s) or when separated from the other isomer(s). Additionally, the person skilled in the art knows processes or methods or technology to separate, enrich, and/or to selectively prepare said isomers.

The term "alkyl", used either alone or in compound words such as alkylthio or haloalkyl or -N(alkyl) or alkylcarbonylalkyl or alkylsulphonylamino includes straight-chain or branched C₁ to C₂₄ alkyl, preferably C₁ to C₁₅ alkyl, more preferably C₁ to C₁₀ alkyl, most preferably C₁ to C₆ alkyl. Non-limiting examples of alkyl include methyl; ethyl; propyl; 1-methylethyl; butyl; 1-methylpropyl; 2-methylpropyl; 1,1-dimethylethyl; pentyl; 1-methylbutyl; 2-methylbutyl; 3-methylbutyl; 2,2-dimethylpropyl; 1-ethylpropyl; hexyl; 1,1-dimethylpropyl; 1,2-dimethylpropyl; 1-methylpentyl; 2-methylpentyl; 3-methylpentyl; 4-methylpentyl; 1,1-dimethylbutyl; 1,2-dimethylbutyl; 1,3-dimethylbutyl; 2,2-dimethylbutyl; 2,3-dimethylbutyl; 3,3-dimethylbutyl; 1-ethylbutyl; 2-ethylbutyl; 1,1,2-trimethylpropyl; 1,2,2-

trimethylpropyl; 1-ethyl-1-methylpropyl; 1-ethyl-2-methylpropyl and the like or different isomers thereof. If the "alkyl" is at the end of a composite substituent, as for example, in alkylcycloalkyl, the part of the composite substituent at the start "cycloalkyl", may be substituted by at least one "alkyl" group. The same also applies to composite substituents in which other radicals, for example alkenyl, alkynyl, hydroxyl, halogen, carbonyl, carbonyloxy and the like, are at the end.

The term "alkenyl", used either alone or in compound words includes straight-chain or branched C₂ to C₂₄ alkenes, preferably C₂ to C₁₅ alkenes, more preferably C₂ to C₁₀ alkenes, most preferably C₂ to C₆ alkenes. Non-limiting examples of alkenes include ethenyl; 1-propenyl; 2-propenyl; 1-methylethenyl; 1-butenyl; 2-butenyl; 3-butenyl; 1-methyl-1-propenyl; 2-methyl-1-propenyl; 1-methyl-2-propenyl; 2-methyl-2-propenyl; 1-pentenyl; 2-pentenyl; 3-pentenyl; 4-pentenyl; 1-methyl-1-butenyl; 2-methyl-1-butenyl; 3-methyl-1-butenyl; 1-methyl-2-butenyl; 2-methyl-2-butenyl; 3-methyl-2-butenyl; 1-methyl-3-butenyl; 2-methyl-3-butenyl; 3-methyl-3-butenyl; 1,1-dimethyl-2-propenyl; 1,2-dimethyl-1-propenyl; 1,2-dimethyl-2-propenyl; 1-ethyl-1-propenyl; 1-ethyl-2-propenyl; 1-hexenyl; 2-hexenyl; 3-hexenyl; 4-hexenyl; 5-hexenyl; 1-methyl-1-pentenyl; 2-methyl-1-pentenyl; 3-methyl-1-pentenyl; 4-methyl-1-pentenyl; 1-methyl-2-pentenyl; 2-methyl-2-pentenyl; 3-methyl-2-pentenyl; 4-methyl-2-pentenyl; 1-methyl-3-pentenyl; 2-methyl-3-pentenyl; 3-methyl-3-pentenyl; 4-methyl-3-pentenyl; 1-methyl-4-pentenyl; 2-methyl-4-pentenyl; 3-methyl-4-pentenyl; 4-methyl-4-pentenyl; 1,1-dimethyl-2-butenyl; 1,1-dimethyl-3-butenyl; 1,2-dimethyl-1-butenyl; 1,2-dimethyl-2-butenyl; 1,2-dimethyl-3-butenyl; 1,3-dimethyl-1-butenyl; 1,3-dimethyl-2-butenyl; 1,3-dimethyl-3-butenyl; 2,2-dimethyl-3-butenyl; 2,3-dimethyl-1-butenyl; 2,3-dimethyl-2-butenyl; 2,3-dimethyl-3-butenyl; 3,3-dimethyl-1-butenyl; 3,3-dimethyl-2-butenyl; 1-ethyl-1-butenyl; 1-ethyl-2-butenyl; 1-ethyl-3-butenyl; 2-ethyl-1-butenyl; 2-ethyl-2-butenyl; 2-ethyl-3-butenyl; 1,1,2-trimethyl-2-propenyl; 1-ethyl-1-methyl-2-propenyl; 1-ethyl-2-methyl-1-propenyl; 1-ethyl-2-methyl-2-propenyl and the like or different isomers. The term "alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. This definition also applies to alkenyl as a part of a composite substituent, for example haloalkenyl and the like, unless defined specifically elsewhere.

The term "alkynyl", used either alone or in compound words includes straight-chain or branched C₂ to C₂₄ alkenes, preferably C₂ to C₁₅ alkenes, more preferably C₂ to C₁₀ alkenes, most preferably C₂ to C₆ alkenes. Non-limiting examples of alkynes include ethynyl; 1-propynyl; 2-propynyl; 1-butyne; 2-butyne; 3-butyne; 1-methyl-2-propynyl; 1-pentynyl; 2-pentynyl; 3-pentynyl; 4-pentynyl; 1-methyl-2-butyne; 1-methyl-3-butyne; 2-methyl-3-butyne; 3-methyl-1-butyne; 1,1-dimethyl-2-propynyl; 1-ethyl-2-propynyl; 1-hexynyl; 2-hexynyl; 3-hexynyl; 4-hexynyl; 5-hexynyl; 1-methyl-2-pentynyl; 1-methyl-3-pentynyl; 1-methyl-4-pentynyl; 2-methyl-3-pentynyl; 2-methyl-4-pentynyl; 3-methyl-1-pentynyl; 3-methyl-4-pentynyl; 4-methyl-1-pentynyl; 4-methyl-2-pentynyl; 1,1-dimethyl-2-butyne; 1,1-dimethyl-3-

butynyl; 1,2-dimethyl-3-butynyl; 2,2-dimethyl-3-butynyl; 3,3-dimethyl-1-butynyl; 1-ethyl-2-butynyl; 1-ethyl-3-butynyl; 2-ethyl-3-butynyl; 1-ethyl-1-methyl-2-propynyl and the like or different isomers. This definition also applies to alkynyl as a part of a composite substituent, for example haloalkynyl etc., unless specifically defined elsewhere. The term "alkynyl" can also include moieties comprised of multiple triple bonds such as 2, 5-hexadiynyl.

The term "cycloalkyl" means alkyl closed to form a ring. Non-limiting examples include cyclopropyl, cyclopentyl and cyclohexyl. This definition also applies to cycloalkyl as a part of a composite substituent, for example cycloalkylalkyl etc., unless specifically defined elsewhere.

The term "cycloalkenyl" means alkenyl closed to form a ring including monocyclic, partially unsaturated hydrocarbyl groups. Non-limiting examples include cyclopropenyl, cyclopentenyl, cyclohexenyl and the like. This definition also applies to cycloalkenyl as a part of a composite substituent, for example cycloalkenylalkyl etc., unless specifically defined elsewhere.

The term "cycloalkynyl" means alkynyl closed to form a ring including monocyclic, partially unsaturated groups. Non-limiting examples include cyclopropynyl, cyclopentynyl, cyclohexynyl and the like. This definition also applies to cycloalkynyl as a part of a composite substituent, for example cycloalkynylalkyl etc., unless specifically defined elsewhere.

The terms "cycloalkoxy", "cycloalkenyloxy" and the like are defined analogously. Non limiting examples of cycloalkoxy include cyclopropyloxy, cyclopentyloxy, cyclohexyloxy and the like. This definition also applies to cycloalkoxy as a part of a composite substituent, for example cycloalkoxy alkyl etc., unless specifically defined elsewhere.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Non-limiting examples of "haloalkyl" include chloromethyl; bromomethyl; dichloromethyl; trichloromethyl; fluoromethyl; difluoromethyl; trifluoromethyl; chlorofluoromethyl; dichlorofluoromethyl; chlorodifluoromethyl; 1-chloroethyl; 1-bromoethyl; 1-fluoroethyl; 2-fluoroethyl; 2,2-difluoroethyl; 2,2,2-trifluoroethyl; 2-chloro-2-fluoroethyl; 2-chloro-2,2-difluoroethyl; 2,2-dichloro-2-fluoroethyl; 2,2,2-trichloroethyl; pentafluoroethyl; 1,1-dichloro-2,2,2-trifluoroethyl; 1,1,1-trifluoroprop-2-yl and the like or different isomers. This definition also applies to haloalkyl as a part of a composite substituent, for example haloalkylaminoalkyl etc., unless specifically defined elsewhere.

The terms "haloalkenyl", "haloalkynyl" are defined analogously except that, instead of alkyl groups, alkenyl and alkynyl groups are present as a part of the substituent respectively.

The term "haloalkoxy" means straight-chain or branched alkoxy groups where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms as specified above. Non-limiting examples of haloalkoxy include chloromethoxy; bromomethoxy; dichloromethoxy; trichloromethoxy; fluoromethoxy; difluoromethoxy; trifluoromethoxy; chlorofluoromethoxy; dichlorofluoromethoxy; chlorodifluoromethoxy; 1-chloroethoxy; 1-bromoethoxy; 1-fluoroethoxy; 2-fluoroethoxy; 2,2-difluoroethoxy; 2,2,2-trifluoroethoxy; 2-chloro-2-fluoroethoxy; 2-chloro-2,2-difluoroethoxy; 2,2-dichloro-2-fluoroethoxy; 2,2,2-trichloroethoxy; pentafluoroethoxy; 1,1,1-trifluoroprop-2-oxy and the like or different isomers. This definition also applies to haloalkoxy as a part of a composite substituent, for example haloalkoxyalkyl etc., unless specifically defined elsewhere.

The term "haloalkylthio" means straight-chain or branched alkylthio groups where some or all of the hydrogen atoms in these groups may be replaced by halogen atoms as specified above. Non-limiting examples of haloalkylthio include chloromethylthio; bromomethylthio; dichloromethylthio; trichloromethylthio; fluoromethylthio; difluoromethylthio; trifluoromethylthio; chlorofluoromethylthio; dichlorofluoromethylthio; chlorodifluoromethylthio; 1-chloroethylthio; 1-bromoethylthio; 1-fluoroethylthio; 2-fluoroethylthio; 2,2-difluoroethylthio; 2,2,2-trifluoroethylthio; 2-chloro-2-fluoroethylthio; 2-chloro-2,2-difluoroethylthio; 2,2-dichloro-2-fluoroethylthio; 2,2,2-trichloroethylthio; pentafluoroethylthio; 1,1,1-trifluoroprop-2-ylthio and the like or different isomers. This definition also applies to haloalkylthio as a part of a composite substituent, for example haloalkylthioalkyl etc., unless specifically defined elsewhere.

The term "haloalkylsulfinyl" means haloalkyl substituent on sulfinyl group. Non-limiting examples of "haloalkylsulfinyl" include $\text{CF}_3\text{S}(\text{O})$; $\text{CCl}_3\text{S}(\text{O})$; $\text{CF}_3\text{CH}_2\text{S}(\text{O})$; $\text{CF}_3\text{CF}_2\text{S}(\text{O})$. The term "haloalkylsulfonyl" means haloalkyl substituent on sulfonyl group. Non-limiting examples of "haloalkylsulfonyl" include $\text{CF}_3\text{S}(\text{O})_2$; $\text{CCl}_3\text{S}(\text{O})_2$; $\text{CF}_3\text{CH}_2\text{S}(\text{O})_2$; $\text{CF}_3\text{CF}_2\text{S}(\text{O})_2$ and the like or different isomers.

The term "hydroxyl" means $-\text{OH}$, the term "amino" means $-\text{NRR}$, wherein R can be H or any possible substituent such as alkyl. The term "carbonyl" means $-\text{C}(\text{O})-$, the term "carbonyloxy" means $-\text{OC}(\text{O})-$, the term "sulfinyl" means $\text{S}(\text{O})$, and the term "sulfonyl" means $\text{S}(\text{O})_2$.

The term "alkoxy" used either alone or in compound words includes C_1 to C_{24} alkoxy, preferably C_1 to C_{15} alkoxy, more preferably C_1 to C_{10} alkoxy, most preferably C_1 to C_6 alkoxy. Non-limiting examples of alkoxy include methoxy; ethoxy; propoxy; 1-methylethoxy; butoxy; 1-methylpropoxy; 2-methylpropoxy;

1,1-dimethylethoxy; pentoxy; 1-methylbutoxy; 2-methylbutoxy; 3-methylbutoxy; 2,2-dimethylpropoxy; 1-ethylpropoxy; hexoxy; 1,1-dimethylpropoxy; 1,2-dimethylpropoxy; 1-methylpentoxy; 2-methylpentoxy; 3-methylpentoxy; 4-methylpentoxy; 1,1-dimethylbutoxy; 1,2-dimethylbutoxy; 1,3-dimethylbutoxy; 2,2-dimethylbutoxy; 2,3-dimethylbutoxy; 3,3-dimethylbutoxy; 1-ethylbutoxy; 2-ethylbutoxy; 1,1,2-trimethylpropoxy; 1,2,2-trimethylpropoxy; 1-ethyl-1-methylpropoxy; 1-ethyl-2-methylpropoxy and the like or different isomers. This definition also applies to alkoxy as a part of a composite substituent, for example haloalkoxy, alkynylalkoxy, etc., unless specifically defined elsewhere.

The term "alkoxyalkyl" means alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 ; $\text{CH}_3\text{OCH}_2\text{CH}_2$; $\text{CH}_3\text{CH}_2\text{OCH}_2$; $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$.

10 The term "alkoxyalkoxy" means alkoxy substitution on alkoxy.

The term "alkylthio" includes branched or straight-chain alkylthio moieties. Non-limiting examples of "alkylthio" include methylthio; ethylthio; propylthio; 1-methylethylthio; butylthio; 1-methylpropylthio; 2-methylpropylthio; 1,1-dimethylethylthio; pentylthio; 1-methylbutylthio; 2-methylbutylthio; 3-methylbutylthio; 2,2-dimethylpropylthio; 1-ethylpropylthio; hexylthio; 1,1-dimethylpropylthio; 1,2-dimethylpropylthio; 1-methylpentylthio; 2-methylpentylthio; 3-methylpentylthio; 4-methylpentylthio; 1,1-dimethylbutylthio; 1,2-dimethylbutylthio; 1,3-dimethylbutylthio; 2,2-dimethylbutylthio; 2,3-dimethylbutylthio; 3,3-dimethylbutylthio; 1-ethylbutylthio; 2-ethylbutylthio; 1,1,2-trimethylpropylthio; 1,2,2-trimethylpropylthio; 1-ethyl-1-methylpropylthio; 1-ethyl-2-methylpropylthio and the like or different isomers.

20 The terms halocylcoalkyl, halocylcoalkenyl, alkylcycloalkyl, cycloalkylalkyl, cycloalkoxyalkyl, alkylsulfanylalkyl, alkylsulfonylalkyl, haloalkylcarbonyl, cycloalkylcarbonyl, haloalkoxyalkyl, and the like, are defined analogously to the above examples.

The term "alkylthioalkyl" means alkylthio substitution on alkyl. Non-limiting examples of "alkylthioalkyl" include $-\text{CH}_2\text{SCH}_2$; $-\text{CH}_2\text{SCH}_2\text{CH}_2$; $\text{CH}_3\text{CH}_2\text{SCH}_2$; $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{SCH}_2$; $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2$ and the like or different isomers. The term "alkylthioalkoxy" denotes alkylthio substitution on alkoxy. The term "cycloalkylalkylamino" denotes cycloalkyl substitution on alkyl amino.

The terms alkoxyalkoxyalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkylaminoalkyl, cycloalkylaminocarbonyl and the like, are defined analogously to "alkylthioalkyl" or cycloalkylalkylamino.

The term "alkoxycarbonyl" is an alkoxy group bonded to a skeleton via a carbonyl group (-CO-). This definition also applies to alkoxycarbonyl as a part of a composite substituent, for example cycloalkylalkoxycarbonyl and the like, unless specifically defined elsewhere.

The term "alkoxycarbonylalkylamino" means alkoxy carbonyl substitution on alkyl amino. The term
5 "alkylcarbonylalkylamino" means alkyl carbonyl substitution on alkyl amino. The terms alkylthioalkoxycarbonyl, cycloalkylalkylaminoalkyl and the like are defined analogously.

The term "alkylsulfinyl" means alkyl substitution on sulfinyl group. Non-limiting examples of "alkylsulfinyl" include methylsulphinyl; ethylsulphinyl; propylsulphinyl; 1-methylethylsulphinyl; butylsulphinyl; 1-methylpropylsulphinyl; 2-methylpropylsulphinyl; 1,1-dimethylethylsulphinyl;
10 pentylsulphinyl; 1-methylbutylsulphinyl; 2-methylbutylsulphinyl; 3-methylbutylsulphinyl; 2,2-dimethylpropylsulphinyl; 1-ethylpropylsulphinyl; hexylsulphinyl; 1,1-dimethylpropylsulphinyl; 1,2-dimethylpropylsulphinyl; 1-methylpentylsulphinyl; 2-methylpentylsulphinyl; 3-methylpentylsulphinyl; 4-methylpentylsulphinyl; 1,1-dimethylbutylsulphinyl; 1,2-dimethylbutylsulphinyl; 1,3-dimethylbutylsulphinyl; 2,2-dimethylbutylsulphinyl; 2,3-dimethylbutylsulphinyl; 3,3-
15 dimethylbutylsulphinyl; 1-ethylbutylsulphinyl; 2-ethylbutylsulphinyl; 1,1,2-trimethylpropylsulphinyl; 1,2,2-trimethylpropylsulphinyl; 1-ethyl-1-methylpropylsulphinyl; 1-ethyl-2-methylpropylsulphinyl and the like or different isomers. The term "arylsulfinyl" includes Ar-S(O), wherein Ar can be any carbocycle or heterocycle. This definition also applies to alkylsulfinyl as a part of a composite substituent, for example haloalkylsulfinyl etc., unless specifically defined elsewhere.

The term "alkyl sulfonyl" means alkyl substitution on sulfonyl group. Non-limiting examples of "alkylsulfonyl" include methylsulphonyl; ethylsulphonyl; propylsulphonyl; 1-methylethylsulphonyl; butylsulphonyl; 1-methylpropylsulphonyl; 2-methylpropylsulphonyl; 1,1-dimethylethylsulphonyl; pentylsulphonyl; 1-methylbutylsulphonyl; 2-methylbutylsulphonyl; 3-methylbutylsulphonyl; 2,2-
20 dimethylpropylsulphonyl; 1-ethylpropylsulphonyl; hexylsulphonyl; 1,1-dimethylpropylsulphonyl; 1,2-dimethylpropylsulphonyl; 1-methylpentylsulphonyl; 2-methylpentylsulphonyl; 3-methylpentylsulphonyl; 4-methylpentylsulphonyl; 1,1-dimethylbutylsulphonyl; 1,2-dimethylbutylsulphonyl; 1,3-dimethylbutylsulphonyl; 2,2-dimethylbutylsulphonyl; 2,3-dimethylbutylsulphonyl; 3,3-dimethylbutylsulphonyl; 1-ethylbutylsulphonyl; 2-ethylbutylsulphonyl; 1,1,2-trimethylpropylsulphonyl; 1,2,2-trimethylpropylsulphonyl; 1-ethyl-1-methylpropylsulphonyl; 1-ethyl-2-methylpropylsulphonyl and
25 the like or different isomers. The term "arylsulfonyl" includes Ar-S(O)₂, wherein Ar can be any carbocycle or heterocycle. This definition also applies to alkylsulfonyl as a part of a composite substituent, for example alkylsulfonylalkyl etc., unless defined elsewhere.
30

The terms "alkylamino", "dialkylamino", and the like, are defined analogously to the above examples.

The term "carbocycle" includes "monocyclic ring system" or "polycyclic" (spiro, fused, bridged, nonfused) ring compounds in which ring may be aromatic or non-aromatic (where aromatic indicates that the Huckel's rule is satisfied and non-aromatic indicates that the Huckel's rule is not satisfied).

- 5 The term "hetero" in connection with rings refers to a ring in which at least one ring atom is not carbon and which can contain heteroatoms independently selected from the group comprising of nitrogen, oxygen, sulfur, phosphorous, boron etc.

The term "heterocycle" means a cyclic ring system in which at least one ring atom is not carbon and which can contain heteroatoms independently selected from the group comprising of nitrogen, oxygen,
10 sulfur, phosphorous, boron etc.

The term "heterocycle" may be further classified as "non-aromatic heterocycle" and "aromatic heterocycle or heteroaryl".

The term "non-aromatic heterocycle" includes fused or unfused three- to fifteen-membered, preferably three- to twelve-membered, saturated or fully or partially unsaturated heterocycle, monocyclic or
15 polycyclic (spiro, fused, bridged, nonfused) heterocycle wherein heteroatom is selected from the group of oxygen, nitrogen and sulphur; and if the ring contains more than one oxygen atom, they are not directly adjacent; Non-limiting examples of non-aromatic heterocycle include oxetanyl, oxiranyl; aziridinyl; thiiranyl, azetidiny, thiethanyl, dithiethanyl, diazetidinyl, 2-tetrahydrofuranyl; 3-tetrahydrofuranyl; 2-tetrahydrothienyl; 3-tetrahydrothienyl; 2-pyrrolidinyl; 3-pyrrolidinyl; 3-isoxazolidinyl; 4-isoxazolidinyl;
20 5-isoxazolidinyl; 3-isothiazolidinyl; 4-isothiazolidinyl; 5-isothiazolidinyl; 3-pyrazolidinyl; 4-pyrazolidinyl; 5-pyrazolidinyl; 2-oxazolidinyl; 4-oxazolidinyl; 5-oxazolidinyl; 2-thiazolidinyl; 4-thiazolidinyl; 5-thiazolidinyl; 2-imidazolidinyl; 4-imidazolidinyl; 1,2,4-oxadiazolidin-3-yl; 1,2,4-oxadiazolidin-5-yl; 1,2,4-thiadiazolidin-3-yl; 1,2,4-thiadiazolidin-5-yl; 1,2,4-triazolidin-3-yl; 1,3,4-oxadiazolidin-2-yl; 1,3,4-thiadiazolidin-2-yl; 1,3,4-triazolidin-2-yl; 2,3-dihydrofur-2-yl; 2,3-dihydrofur-3-yl;
25 2,4-dihydrofur-2-yl; 2,4-dihydrofur-3-yl; 2,3-dihydrothien-2-yl; 2,3-dihydrothien-3-yl; 2,4-dihydrothien-2-yl; 2,4-dihydrothien-3-yl; 2-pyrrolin-2-yl; 2-pyrrolin-3-yl; 3-pyrrolin-2-yl; 3-pyrrolin-3-yl; 2-isoxazolin-3-yl; 3-isoxazolin-3-yl; 4-isoxazolin-3-yl; 2-isoxazolin-4-yl; 3-isoxazolin-4-yl; 4-isoxazolin-4-yl; 2-isoxazolin-5-yl; 3-isoxazolin-5-yl; 4-isoxazolin-5-yl; 2-isothiazolin-3-yl; 3-isothiazolin-3-yl; 4-isothiazolin-3-yl; 2-isothiazolin-4-yl; 3-isothiazolin-4-yl; 4-isothiazolin-4-yl; 2-isothiazolin-5-yl; 3-isothiazolin-5-yl; 4-isothiazolin-5-yl; 2,3-dihydropyrazol-1-yl; 2,3-dihydropyrazol-2-yl;
30 2,3-dihydropyrazol-3-yl; 2,3-dihydropyrazol-4-yl; 2,3-dihydropyrazol-5-yl; 3,4-dihydropyrazol-1-yl;

3,4-dihydropyrazol-3-yl; 3,4-dihydropyrazol-4-yl; 3,4-dihydropyrazol-5-yl; 4,5-dihydropyrazol-1-yl; 4,5-dihydropyrazol-3-yl; 4,5-dihydropyrazol-4-yl; 4,5-dihydropyrazol-5-yl; 2,3-dihydrooxazol-2-yl; 2,3-dihydrooxazol-3-yl; 2,3-dihydrooxazol-4-yl; 2,3-dihydrooxazol-5-yl; 3,4-dihydrooxazol-2-yl; 3,4-dihydrooxazol-3-yl; 3,4-dihydrooxazol-4-yl; 3,4-dihydrooxazol-5-yl; 3,4-dihydrooxazol-2-yl; 3,4-dihydrooxazol-3-yl; 3,4-dihydrooxazol-4-yl; 2-piperidinyl; 3-piperidinyl; 4-piperidinyl; 1,3-dioxan-5-yl; 2-tetrahydropyran-2-yl; 4-tetrahydropyran-2-yl; 2-tetrahydrothienyl; 3-hexahydropyridazinyl; 4-hexahydropyridazinyl; 2-hexahydropyrimidinyl; 4-hexahydropyrimidinyl; 5-hexahydropyrimidinyl; 2-piperazinyl; 1,3,5-hexahydrotriazin-2-yl; 1,2,4-hexahydrotriazin-3-yl; 2,3,4,5-tetrahydro[1H]azepin-1- or -2- or -3- or -4- or -5- or -6- or -7- yl; 3,4,5,6-tetrahydro[2H]azepin-2- or -3- or -4- or -5- or -6- or -7-yl; 2,3,4,7-tetrahydro[1H]azepin-1- or -2- or -3- or -4- or -5- or -6- or -7- yl; 2,3,6,7-tetrahydro[1H]azepin-1- or -2- or -3- or -4- or -5- or -6- or -7- yl; hexahydroazepin-1- or -2- or -3- or -4- yl, tetra- and hexahydrooxepinyl such as 2,3,4,5-tetrahydro[1H]oxepin-2- or -3- or -4- or -5- or -6- or -7- yl; 2,3,4,7-tetrahydro[1H]oxepin-2- or -3- or -4- or -5- or -6- or -7- yl; 2,3,6,7-tetrahydro[1H]oxepin-2- or -3- or -4- or -5- or -6- or -7- yl; hexahydroazepin-1- or -2- or -3- or -4- yl; tetra- and hexahydro-1,3-diazepinyl; tetra- and hexahydro-1,4-diazepinyl; tetra- and hexahydro-1,3-oxazepinyl; tetra- and hexahydro-1,4-oxazepinyl, tetra- and hexahydro-1,3-dioxepinyl, tetra- and hexahydro-1,4-dioxepinyl. This definition also applies to heterocyclyl as a part of a composite substituent, for example heterocyclylalkyl etc., unless specifically defined elsewhere.

The term "aromatic heterocycle or heteroaryl" includes fused or unfused three to fifteen membered, preferably three to twelve membered, more preferably 5 or 6 membered; monocyclic or polycyclic unsaturated ring system, containing heteroatoms selected from the group of oxygen, nitrogen, sulphur, phosphorous, boron etc.

Non-limiting examples of 5 membered heteroaryl groups include 2-furyl; 3-furyl; 2-thienyl; 3-thienyl; 2-pyrrolyl; 3-pyrrolyl; 3-isoxazolyl; 4-isoxazolyl; 5-isoxazolyl; 3-isothiazolyl; 4-isothiazolyl; 5-isothiazolyl; 3-pyrazolyl; 4-pyrazolyl; 5-pyrazolyl; 2-oxazolyl; 4-oxazolyl; 5-oxazolyl; 2-thiazolyl; 4-thiazolyl; 5-thiazolyl; 2-imidazolyl; 4-imidazolyl; 1,2,4-oxadiazol-3-yl; 1,2,4-oxadiazol-5-yl; 1,2,4-thiadiazol-3-yl; 1,2,4-thiadiazol-5-yl; 1,2,4-triazol-3-yl; 1,3,4-oxadiazol-2-yl; 1,3,4-thiadiazol-2-yl and 1,3,4-triazol-2-yl; 1-pyrrolyl; 1-pyrazolyl; 1,2,4-triazol-1-yl; 1-imidazolyl; 1,2,3-triazol-1-yl; 1,3,4-triazol-1-yl and the like.

Non-limiting examples of 6 membered heteroaryl groups include 2-pyridinyl; 3-pyridinyl; 4-pyridinyl; 3-pyridazinyl; 4-pyridazinyl; 2-pyrimidinyl; 4-pyrimidinyl; 5-pyrimidinyl; 2-pyrazinyl; 1,3,5-triazin-2-yl; 1,2,4-triazin-3-yl; 1,2,4,5-tetrazin-3-yl and the like.

Non-limiting examples of benzofused 5-membered heteroaryl include indol-1-yl; indol-2-yl; indol-3-yl; indol-4-yl; indol-5-yl; indol-6-yl; indol-7-yl; benzimidazol-1-yl; benzimidazol-2-yl; benzimidazol-4-yl; benzimidazol-5-yl; indazol-1-yl; indazol-3-yl; indazol-4-yl; indazol-5-yl; indazol-6-yl; indazol-7-yl; indazol-2-yl; 1-benzofuran-2-yl; 1-benzofuran-3-yl; 1-benzofuran-4-yl; 1-benzofuran-5-yl; 1-benzofuran-6-yl; 1-benzofuran-7-yl; 1-benzothiophen-2-yl; 1-benzothiophen-3-yl; 1-benzothiophen-4-yl; 1-benzothiophen-5-yl; 1-benzothiophen-6-yl; 1-benzothiophen-7-yl; 1,3-benzothiazol-2-yl; 1,3-benzothiazol-4-yl; 1,3-benzothiazol-5-yl; 1,3-benzothiazol-6-yl; 1,3-benzothiazol-7-yl; 1,3-benzoxazol-2-yl; 1,3-benzoxazol-4-yl; 1,3-benzoxazol-5-yl; 1,3-benzoxazol-6-yl; 1,3-benzoxazol-7-yl and the like.

Non-limiting examples of benzofused 6-membered heteroaryl include quinolin-2-yl; quinolin-3-yl; quinolin-4-yl; quinolin-5-yl; quinolin-6-yl; quinolin-7-yl; quinolin-8-yl; isoquinolin-1-yl; isoquinolin-3-yl; isoquinolin-4-yl; isoquinolin-5-yl; isoquinolin-6-yl; isoquinolin-7-yl; isoquinolin-8-yl and the like.

This definition also applies to heteroaryl as a part of a composite substituent, for example heteroarylalkyl etc., unless specifically defined elsewhere.

The term "aromatic heterocycle/heteroaryl" indicates that the Huckel's rule is satisfied and the term "non-aromatic heterocycle" indicates that the Huckel's rule is not satisfied.

The term "Huckel's rule" has the same meaning as defined and elaborated in Organic Chemistry by Jonathan Clayden, Nick Greeves, Stuart Warren.

The term "alkylsilyl" means branched and/or straight-chain alkyl radicals attached to a silicon atom. Non-limiting examples of alkylsilyl include trimethylsilyl, triethylsilyl, t-butyl-dimethylsilyl and the like or different isomers.

The term "haloalkylsilyl" means at least one alkyl radical of alkylsilyl is partially or fully substituted with halogen atoms which may be the same or different.

The term "alkoxyalkylsilyl" denotes at least one alkyl radical of alkylsilyl is substituted with one or more alkoxy radicals which may be the same or different. The term "alkylsilyloxy" denotes a alkylsilyl moiety attached through oxygen.

The term "alkylcarbonyl" means alkyl group substituted on the carbonyl group. Non-limiting examples of "alkylcarbonyl" include $C(O)CH_3$; $C(O)CH_2CH_2CH_3$; $C(O)CH(CH_3)_2$ and the like or different isomers.

The term "alkoxycarbonyl" means alkoxy group substituted on the carbonyl group. Non-limiting examples of "alkoxycarbonyl" include $\text{CH}_3\text{OC}(\text{O})$; $\text{CH}_3\text{CH}_2\text{OC}(\text{O})$; $\text{CH}_3\text{CH}_2\text{CH}_2\text{OC}(\text{O})$; $(\text{CH}_3)_2\text{CHOC}(\text{O})$ or different isomers and the different butoxy -or pentoxycarbonyl isomers.

5 The term "alkylaminocarbonyl" means alkylamino substituted on the carbonyl group. Non-limiting examples of "alkylaminocarbonyl" include $\text{CH}_3\text{NHC}(\text{O})$; $\text{CH}_3\text{CH}_2\text{NHC}(\text{O})$; $\text{CH}_3\text{CH}_2\text{CH}_2\text{NHC}(\text{O})$; $(\text{CH}_3)_2\text{CHNHC}(\text{O})$ and the different butylamino -or pentylaminocarbonyl isomers.

The term "dialkylaminocarbonyl" means dialkylamino substituted on the carbonyl group. Non-limiting examples of "dialkylaminocarbonyl" include $(\text{CH}_3)_2\text{NC}(\text{O})$; $(\text{CH}_3\text{CH}_2)_2\text{NC}(\text{O})$; $\text{CH}_3\text{CH}_2(\text{CH}_3)\text{NC}(\text{O})$; $\text{CH}_3\text{CH}_2\text{CH}_2(\text{CH}_3)\text{NC}(\text{O})$; $(\text{CH}_3)_2\text{CHN}(\text{CH}_3)\text{C}(\text{O})$; and the like or different isomers.

10 Non-limiting examples of "alkoxyalkylcarbonyl" include $\text{CH}_3\text{OCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{OCH}_2\text{CH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{OCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2\text{C}(\text{O})$ and the like or different isomers. Examples of "alkylthioalkylcarbonyl" include $\text{CH}_3\text{SCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{SCH}_2\text{CH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{SCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{SCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2\text{C}(\text{O})$ and the like or different isomers. The term haloalkylsulfonylaminocarbonyl, alkylsulfonylaminocarbonyl, alkylthioalkoxycarbonyl, 15 alkoxycarbonylalkyl amino and the like are defined analogously

Non-limiting examples of "alkylaminoalkylcarbonyl" include $\text{CH}_3\text{NHCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{NHCH}_2\text{CH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{NHCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{NHCH}_2\text{C}(\text{O})$; $\text{CH}_3\text{CH}_2\text{NHCH}_2\text{CH}_2\text{C}(\text{O})$ and the like or different isomers.

20 The term "Amide" means $\text{A-R}'\text{C}(=\text{O})\text{NR}''\text{-B}$, wherein R' and R'' indicates substituents and A and B indicate any group.

The term "thioamide" means $\text{A-R}'\text{C}(=\text{S})\text{NR}''\text{-B}$, wherein R' and R'' indicates substituents and A and B indicate any group.

The total number of carbon atoms in a substituent group is indicated by the " C_i to C_j " prefix wherein i and j are numbers from 1 to 21.

25 The groups defined herein above may further be substituted with any of the possible substituent described herein above.

In any of the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, the substituents may be attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

In any of the above recitations, the substituents may be optionally further substituted.

When a compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can exceed 1, said substituents (when they exceed 1) are independently selected from the group of defined substituents. Further, when the subscript "m" in $(R)_m$ indicates an integer ranging from
5 for example 0 to 4 then the number of substituents may be selected from the integers between 0 and 4 inclusive.

When a group contains a substituent which can be hydrogen, for example R_1 or R_2 , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being un-substituted.

The embodiments herein and the various features and advantageous details thereof are explained with
10 reference to the non-limiting embodiments in the description. Descriptions of well-known components and processing techniques are omitted so as to not unnecessarily obscure the embodiments herein. The examples used herein are intended merely to facilitate an understanding of ways in which the embodiments herein may be practiced and to further enable those of skilled in the art to practice the embodiments herein. Accordingly, the examples should not be construed as limiting the scope of the
15 embodiments herein.

The foregoing description of the specific embodiments will so fully reveal the general nature of the embodiments herein that others can, by applying current knowledge, readily modify and/or adapt for various applications such specific embodiments without departing from the generic concept, and, therefore, such adaptations and modifications should and are intended to be comprehended within the
20 meaning and range of equivalents of the disclosed embodiments. It is to be understood that the phraseology or terminology employed herein is for the purpose of description and not of limitation. Therefore, while the embodiments herein have been described in terms of preferred embodiments, those skilled in the art will recognize that the embodiments herein can be practiced with modification within the spirit and scope of the embodiments as described herein.

Any discussion of documents, acts, materials, devices, articles and the like that has been included in this
25 specification is solely for the purpose of providing a context for the invention. It is not to be taken as an admission that any or all of these matters form a part of the prior art base or were common general knowledge in the field relevant to the invention as it existed anywhere before the priority date of this application.

The numerical values mentioned in the description and the foregoing claims though might form a critical part of the present invention, any deviation from such numerical values shall still fall within the scope of the present invention if that deviation follows the same scientific principle as that of the present invention.

5 The term "pest" for the purpose of the present invention includes but is not limited to fungi, stramenopiles (oomycetes), bacteria, nematodes, mites, ticks, insects and rodents.

The term "plant" is understood here to mean all plants and plant populations, such as desired and undesired wild plants or crop plants (including naturally occurring crop plants). Crop plants may be plants which can be obtained by conventional breeding and optimization methods or by biotechnological and genetic engineering methods or combinations of these methods, including the transgenic plants and
10 including the plant cultivars which are protectable and non-protectable by plant breeders' rights.

For the purpose of the present invention the term "plant" includes a living organism of the kind exemplified by trees, shrubs, herbs, grasses, ferns, and mosses, typically growing in a site, absorbing water and required substances through its roots, and synthesizing nutrients in its leaves by photosynthesis.

Examples of "plant" for the purpose of the present invention include but are not limited to agricultural
15 crops such as wheat, rye, barley, triticale, oats or rice; beet, e.g. sugar beet or fodder beet; fruits, such as pomes, stone fruits or soft fruits, e.g. apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries, blackberries or gooseberries; leguminous plants, such as lentils, peas, alfalfa or soybeans; oil plants, such as rape, mustard, olives, sunflowers, coconut, cocoa beans, castor oil plants, oil palms, ground nuts or soybeans; cucurbits, such as squashes, cucumber or melons; fiber plants, such as cotton,
20 flax, hemp or jute; citrus fruit, such as oranges, lemons, grapefruits or mandarins; vegetables, such as spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, cucurbits or paprika; lauraceous plants, such as avocados, cinnamon or camphor; energy and raw material plants, such as corn, soybean, rape, sugar cane or oil palm; corn; tobacco; nuts; coffee; tea; bananas; vines (table grapes and grape juice grape vines); hop; turf; sweet leaf (also called Stevia); natural rubber plants or ornamental and forestry
25 plants, such as flowers, shrubs, broad-leaved trees or evergreens, e.g. conifers; and on the plant propagation material, such as seeds, and the crop material of these plants. Preferably, the plant for the purpose of the present invention include but is not limited to cereals, corn, rice, soybean and other leguminous plants, fruits and fruit trees, grapes, nuts and nut trees, citrus and citrus trees, any horticultural plants, cucurbitaceae, oleaginous plants, tobacco, coffee, tea, cacao, sugar beet, sugar cane, cotton, potato,
30 tomato, onions, peppers and vegetables, ornamentals, any floricultural plants and other plants for use of human and animals.

The term "plant parts" is understood to mean all parts and organs of plants above and below the ground. For the purpose of the present invention the term plant parts includes but is not limited to cuttings, leaves, twigs, tubers, flowers, seeds, branches, roots including taproots, lateral roots, root hairs, root apex, root cap, rhizomes, slips, shoots, fruits, fruit bodies, bark, stem, buds, auxillary buds, meristems, nodes and internodes.

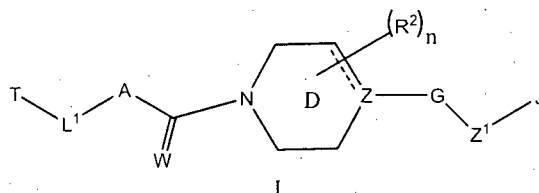
The term "locus thereof" includes soil, surroundings of plant or plant parts and equipment or tools used before, during or after sowing/planting a plant or a plant part.

Application of a compound or compounds of the present invention or the compound of the present invention in a composition optionally comprising at-least one other active compatible compound to a plant or a plant material or locus thereof include application by a technique known to a person skilled in the art which include but is not limited to spraying, coating, dipping, fumigating, impregnating, injecting and dusting.

The term "applied" means adhered to a plant or plant part either physically or chemically.

The invention disclosed in the present invention shall now be elaborated with the help of non-limiting schemes and examples.

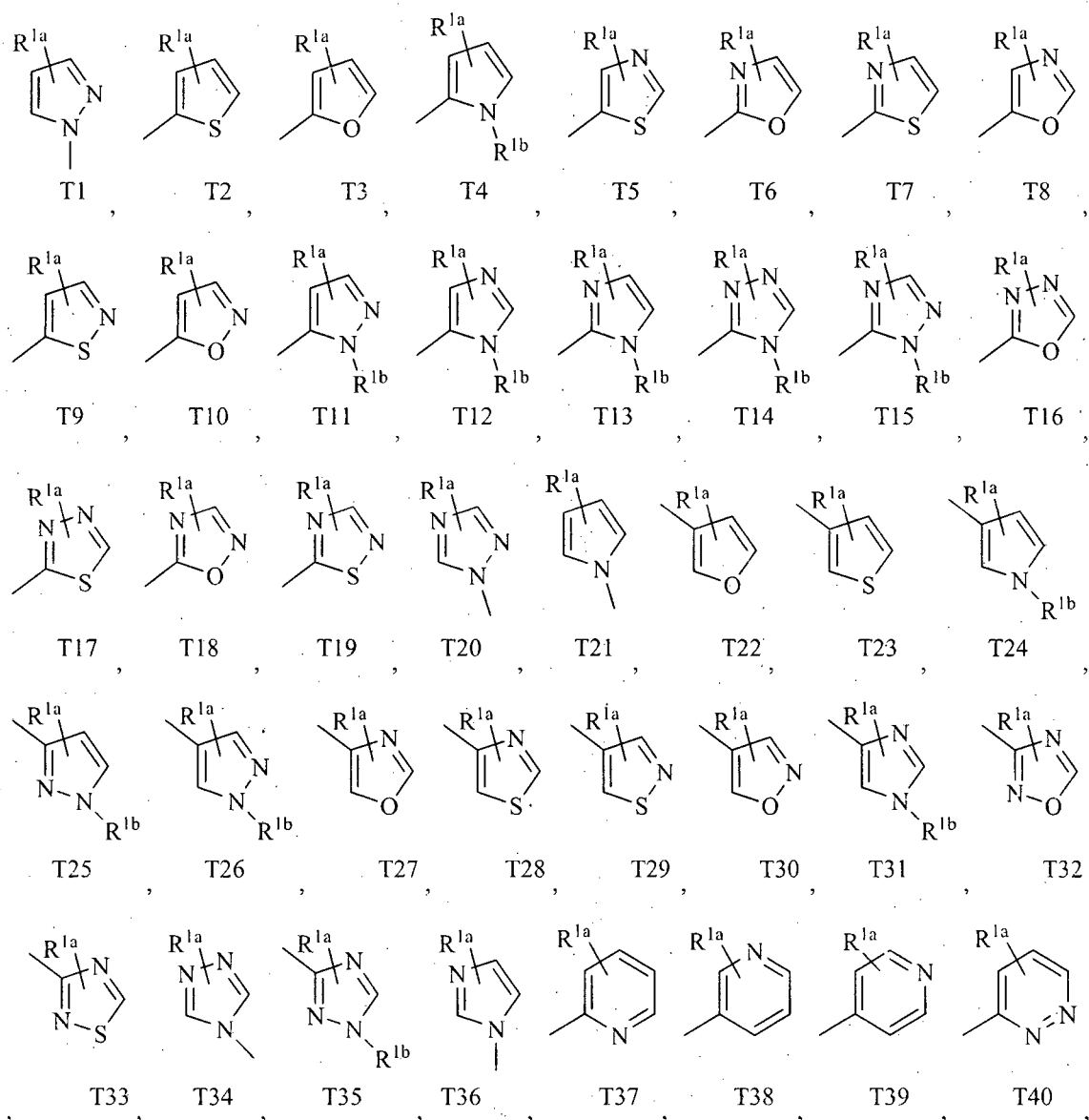
The present invention relates to a compound selected from Formula I,

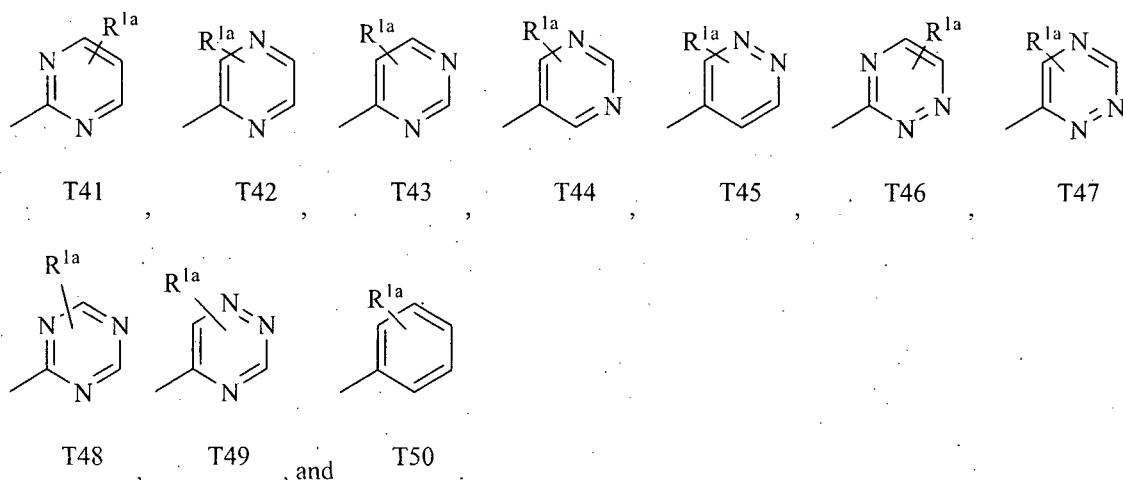


The present invention is inclusive of salts, metal complexes, N-oxides, isomers, and polymorphs of compound of Formula I.

T is selected from 5- or 6- membered aryl ring or 5- or 6-membered saturated or partially saturated cyclic ring or 5- or 6- membered heteroaryl ring or 5- or 6-membered saturated or partially saturated heterocyclic ring, wherein each ring member is selected from C, N, O, S(O)_n, C=O, C=S, S=NR⁶ and S(O)=NR⁶, and T is optionally substituted by R^{1a} on carbon ring members and R^{1b} on nitrogen ring members.

Non-limiting representative examples of T are depicted herein below.





In one embodiment A is $C(R^{15})_2$ or $C(R^{15})_2-C(R^{15})_2$.

In another embodiment A is $C(R^{15})_2$.

- 5 In one embodiment the substituent R^{15} is independently selected from hydrogen, halogen, cyano, hydroxy, -CHO, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_2 - C_4 alkoxyalkyl, C_2 - C_4 alkylthioalkyl, C_2 - C_4 alkylsulfinylalkyl, C_2 - C_4 alkylsulfonylalkyl, C_2 - C_4 alkylcarbonyl, C_2 - C_4 haloalkylcarbonyl, C_2 - C_5 alkoxycarbonyl, C_3 - C_5 alkoxycarbonylalkyl, C_2 - C_5 alkylaminocarbonyl, C_3 - C_5 dialkylaminocarbonyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 haloalkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 alkylsulfonyl and C_1 - C_4 haloalkylsulfonyl.
- 10

In one embodiment Z is C or N. In one of the preferred embodiments Z is C.

- In one embodiment the substituent R^2 and R^6 are independently selected from hydrogen, halogen, cyano, hydroxy, amino, -CHO, -COOH, -CONH₂, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 cycloalkyl, C_3 - C_6 halocycloalkyl, C_4 - C_6 alkylcycloalkyl, C_4 - C_6 cycloalkylalkyl, C_4 - C_6 halocycloalkylalkyl, C_3 - C_6 cycloalkenyl, C_3 - C_6 halocycloalkenyl, C_2 - C_6 alkoxyalkyl, C_2 - C_6 alkylthioalkyl, C_2 - C_6 alkylsulfinylalkyl, C_2 - C_6 alkylsulfonylalkyl, C_2 - C_6 alkylaminoalkyl, C_3 - C_6 dialkylaminoalkyl, C_2 - C_6 haloalkylaminoalkyl, C_2 - C_6 alkylcarbonyl, C_2 - C_6 haloalkylcarbonyl, C_4 - C_6 cycloalkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_4 - C_6 cycloalkoxycarbonyl, C_5 - C_6 cycloalkylalkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_6 dialkylaminocarbonyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_3 - C_6 cycloalkoxy, C_3 - C_6 halocycloalkoxy, C_2 - C_6 alkenyloxy, C_2 - C_6 haloalkenyloxy, C_2 - C_6 alkynyloxy, C_3 - C_6 haloalkynyloxy, C_2 - C_6 alkoxyalkoxy, C_2 - C_6 alkylcarbonyloxy, C_2 - C_6 haloalkylcarbonyloxy, C_1 - C_6 alkylthio, C_1 - C_6 haloalkylthio, C_3 - C_6 cycloalkylthio, C_1 - C_6 alkylamino, C_2 -
- 15
- 20

C₆ dialkylamino, C₁-C₆ haloalkylamino, C₂-C₆ halodialkylamino, C₃-C₆ cycloalkylamino, C₂-C₆ alkylcarbonylamino, C₂-C₆ haloalkylcarbonylamino, C₁-C₆ alkylsulfonylamino and C₁-C₆ haloalkylsulfonylamino.

In another embodiment, two R² are taken together as C₁-C₄ alkylene or C₂-C₄ alkenylene to form a
5 bridged bicyclic or fused bicyclic ring system.

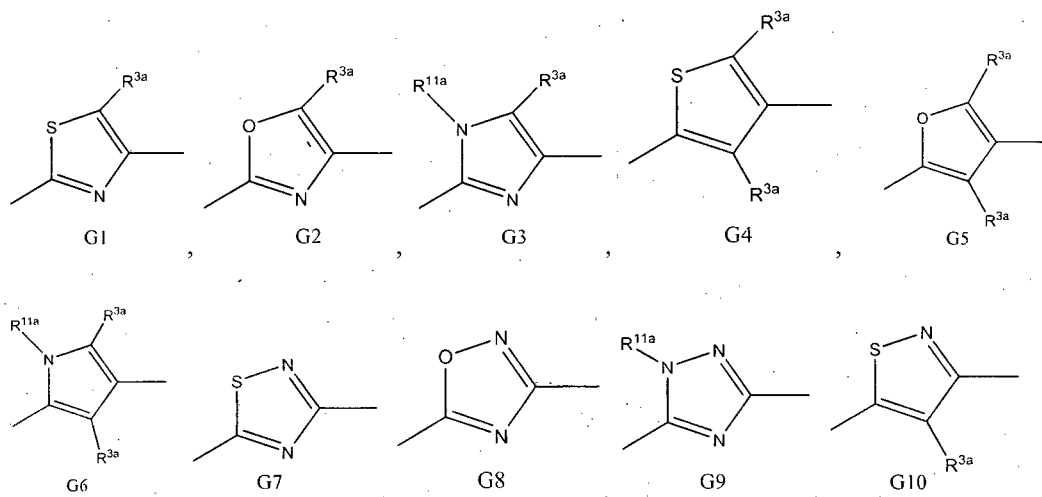
In yet another embodiment, two R² attached to adjacent ring carbon atoms are taken together as -CH=CH-CH=CH- optionally substituted with a substituent selected from C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, halogen, hydroxy, amino, cyano and nitro.

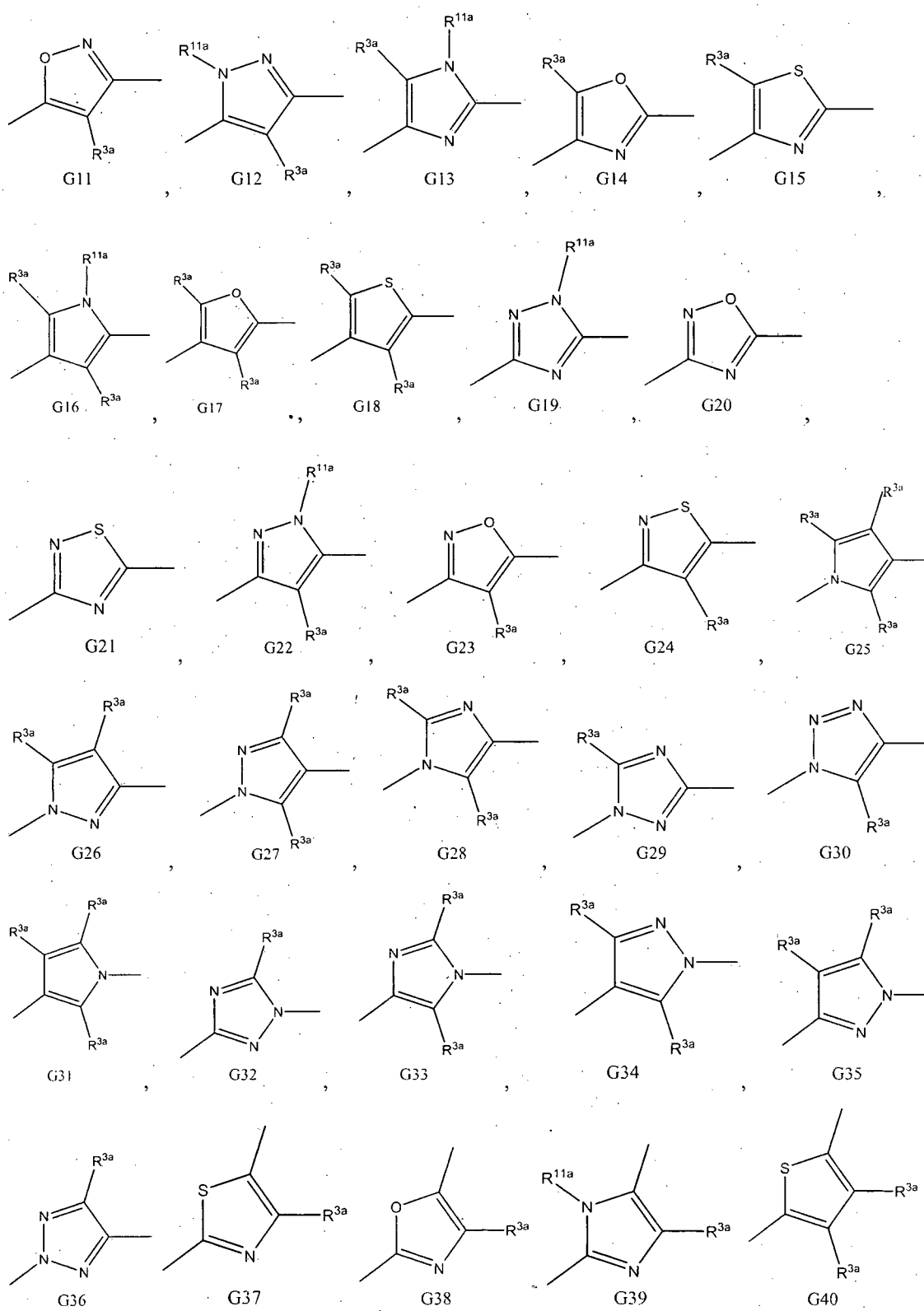
In one of the preferred embodiments R² is selected from hydrogen, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄
10 alkynyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, halogen, cyano and hydroxy.

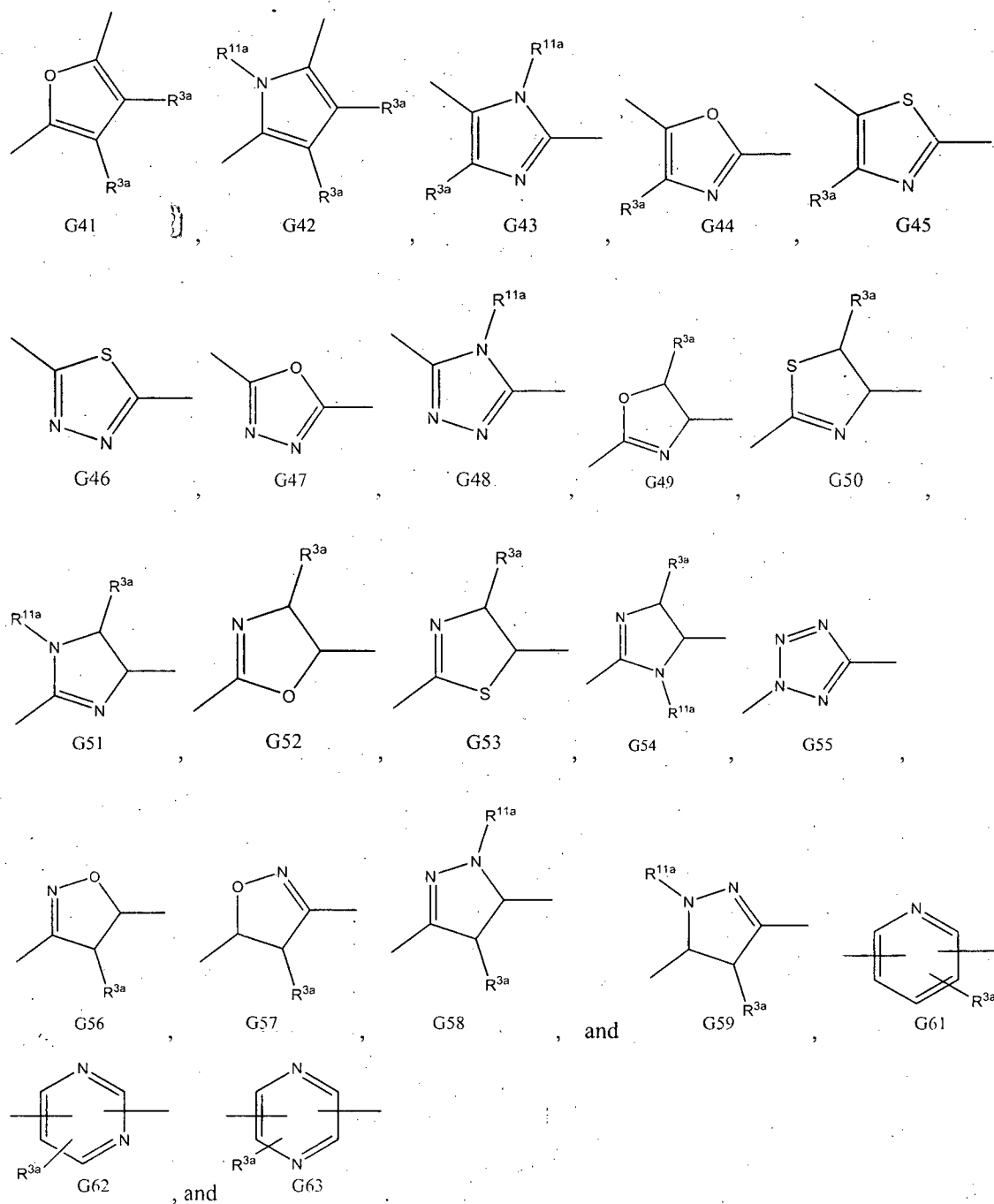
G is an optionally substituted 5- or 6- membered heteroaromatic ring or 5- or 6-membered saturated or partially saturated heterocyclic ring, each ring member is selected from C, N, O, S(O)_a, C=O, C=S, S=NR⁶ and S(O)=NR⁶.

In one of the preferred embodiments G is selected from optionally substituted G1 to G63, each substituent
15 selected from R^{3a} on carbon ring members and R^{11a} on nitrogen ring members.

G1 to G63 are as depicted herein below:







wherein the bond to the left is attached to ring D and the bond to the right is attached to Z¹.

R^{3a} and R^{11a} may be attached to one or more possible position/s.

The substituent R^{3a} is hydrogen or R^{3b} . The substituent R^{3b} is a phenyl or 5- or 6-membered heteroaromatic ring optionally substituted with 1 to 2 substituents independently selected from R^{4a} on carbon ring members and R^{4b} on nitrogen ring members. Alternatively, R^{3b} is independently C_1 - C_3 alkyl, C_1 - C_3 haloalkyl or halogen.

- 5 The substituent R^{4a} is independently selected from C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_4 - C_{10} cycloalkylalkyl, C_4 - C_{10} alkylcycloalkyl, C_5 - C_{10} alkylcycloalkylalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylthio, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 haloalkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, C_2 - C_4 alkoxyalkyl, C_1 - C_4 hydroxyalkyl, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxy carbonyl, C_2 - C_6 alkylcarbonyloxy, C_2 - C_6 alkylcarbonylthio, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl and C_3 - C_6 trialkylsilyl.
- 10

- The substituent R^{4b} is independently selected from C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_6 haloalkyl, C_3 - C_6 haloalkenyl, C_3 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl and C_2 - C_4 alkoxyalkyl.
- 15

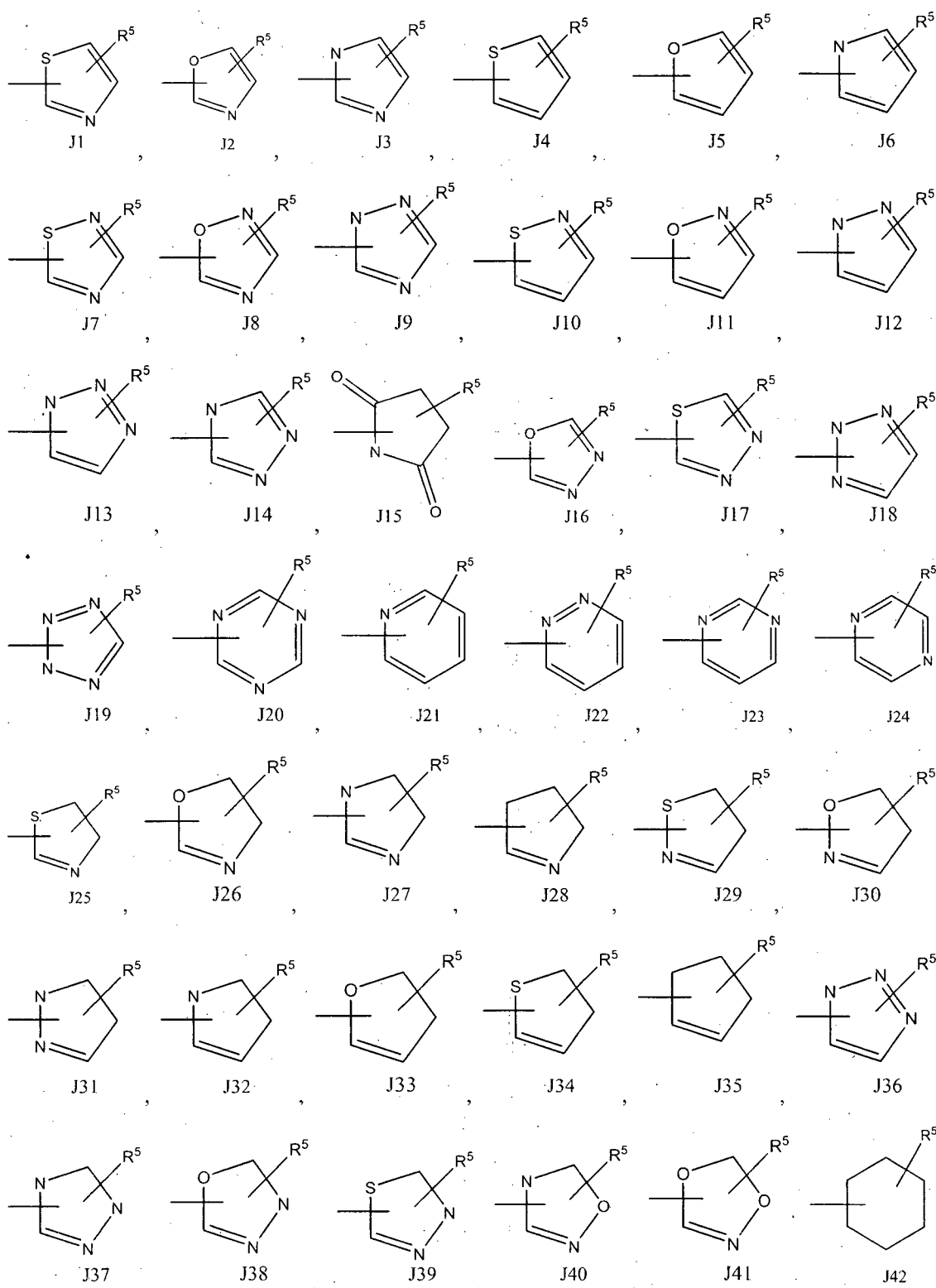
The substituent R^{11a} is hydrogen or R^{11b} and the substituent R^{11b} is independently C_1 - C_3 alkyl.

- J is a 5-, 6- or 7-membered ring, a 8- to 11-membered bicyclic ring system or a 7- to 11-membered spirocyclic ring system, each ring member of ring or ring system is selected from C, N, O, $S(O)_n$, $C=O$, $C=S$, and each ring or ring system is optionally substituted with 1 to 5 substituents independently selected from R^5 .
- 20

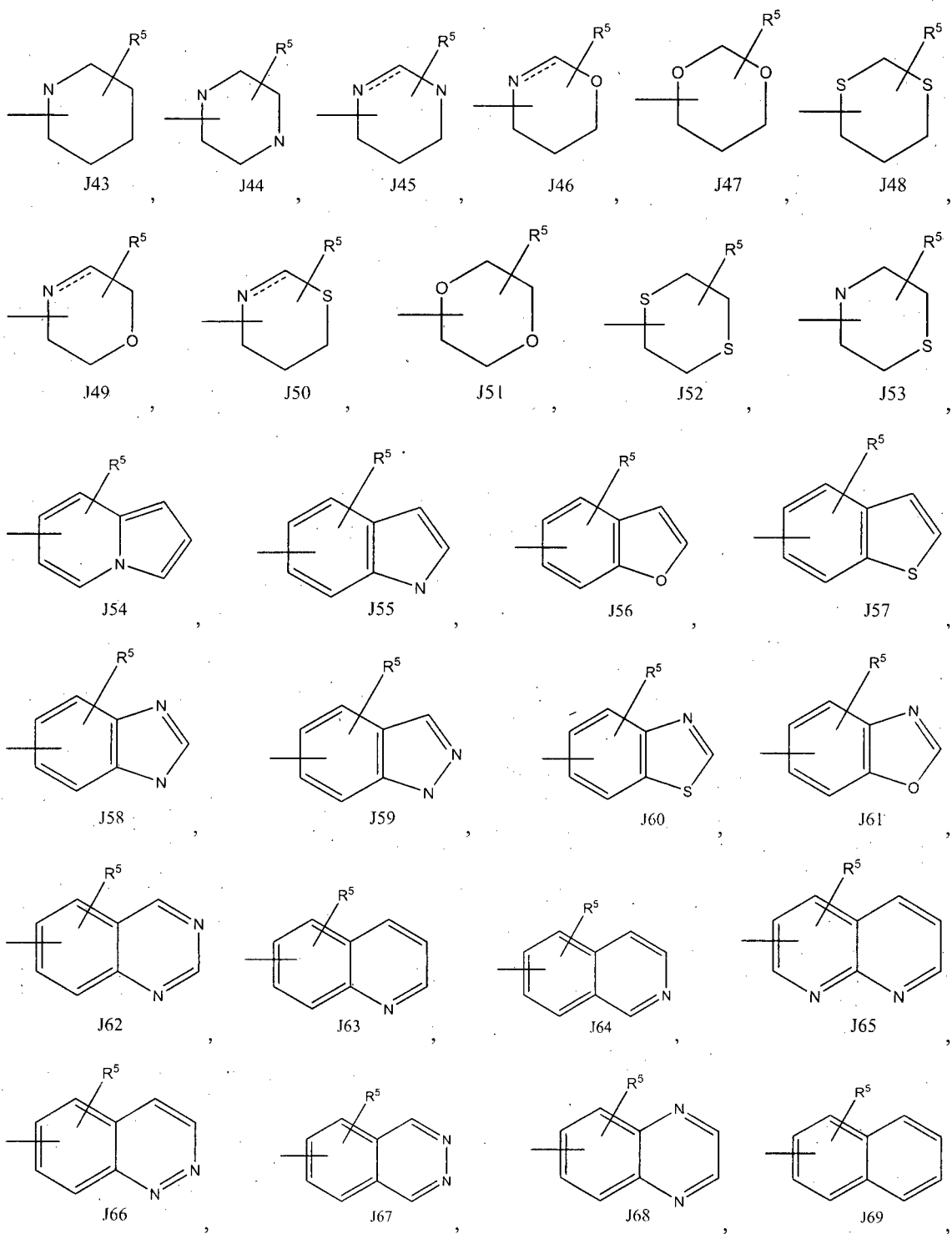
Particularly, J is a 5- or 6- membered ring, wherein ring members are selected from C, N and O.

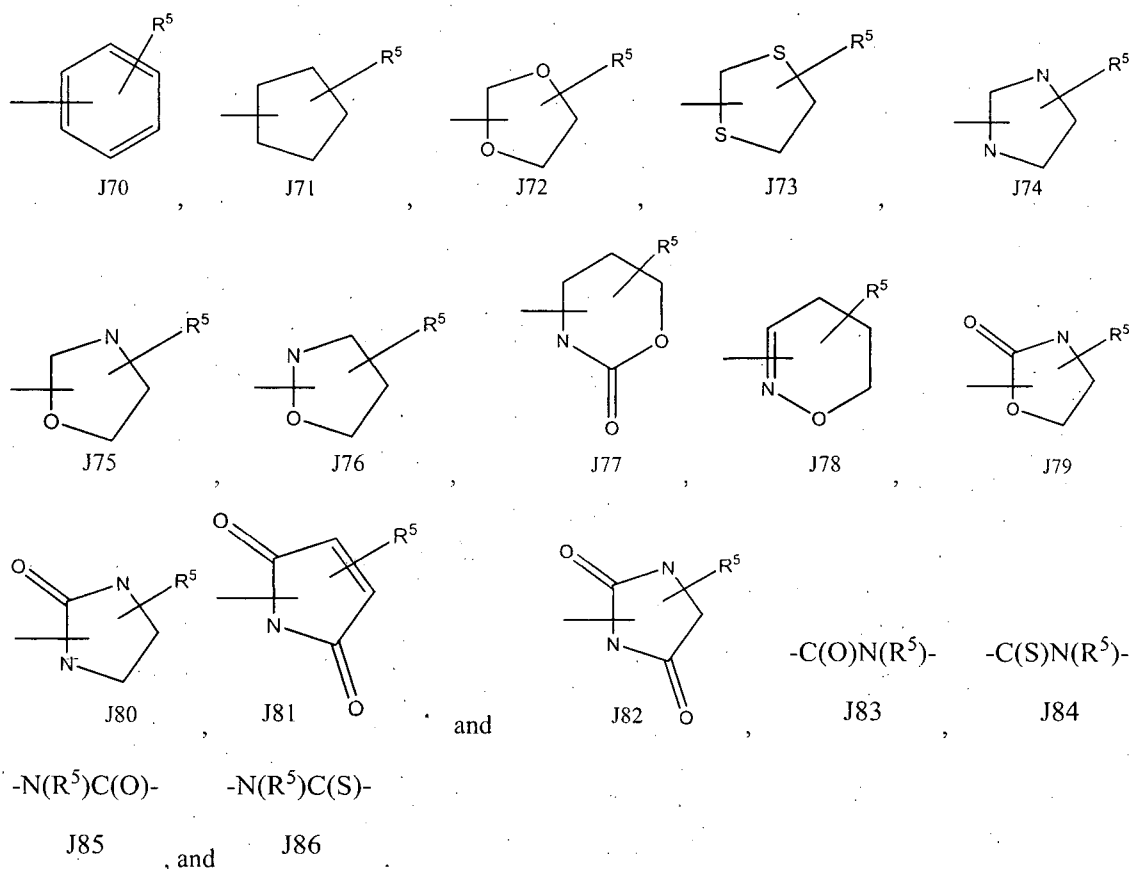
Alternatively, J is selected from $-C(O)N(R^5)-$, $-C(S)N(R^5)-$, $-N(R^5)C(O)-$ and $-N(R^5)C(S)-$.

In one of the embodiments J is selected from J1 to J86 as depicted herein below:



5





- 5 wherein bond on the left is attached to Z¹; and R⁵ may be substituted at any of the possible position/s of J and ----- is a single or a double bond.

The substituent R⁵ is independently selected from hydrogen, halogen, cyano, hydroxy, nitro, -CHO, -C(=O)OH, -C(=O)NH₂, -NR²⁵R²⁶, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, halocycloalkyl, alkylcycloalkyl, cycloalkylalkyl, cycloalkylcycloalkyl, halocycloalkylalkyl, alkylcycloalkylalkyl, cycloalkenyl, halocycloalkenyl, alkoxyalkyl, cycloalkoxyalkyl, alkoxyalkoxyalkyl, alkylthioalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkylaminoalkyl, dialkylaminoalkyl, haloalkylaminoalkyl, cycloalkylaminoalkyl, alkylcarbonyl, haloalkylcarbonyl, cycloalkylcarbonyl, alkoxy carbonyl, cycloalkoxycarbonyl, cycloalkylalkoxy carbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, haloalkoxyalkyl, hydroxyalkyl, alkoxy, haloalkoxy, cycloalkoxy, halocycloalkoxy, cycloalkylalkoxy, alkenyloxy, haloalkenyloxy, alkynyloxy, haloalkynyloxy, alkoxyalkoxy, alkylcarbonyloxy, haloalkylcarbonyloxy, cycloalkylcarbonyloxy, alkylcarbonylalkoxy, alkylthio, haloalkylthio, cycloalkylthio, alkylsulfinyl, haloalkylsulfinyl,

10

15

alkylsulfonyl, haloalkylsulfonyl, cycloalkylsulfonyl, trialkylsilyl, alkylsulfonylamino, and haloalkylsulfonylamino or $-Z^2Q$.

Particularly, the substituent R^5 is independently selected from hydrogen, halogen, cyano, hydroxy, nitro, -CHO, $-C(=O)OH$, $-C(=O)NH_2$, $-NR^{25}R^{26}$, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_2-C_6 haloalkenyl, C_2-C_6 haloalkynyl, C_3-C_8 cycloalkyl, C_3-C_8 halocycloalkyl, C_4-C_{10} alkylcycloalkyl, C_4-C_{10} cycloalkylalkyl, C_6-C_{14} cycloalkylcycloalkyl, C_4-C_{10} halocycloalkylalkyl, C_5-C_{10} alkylcycloalkylalkyl, C_3-C_8 cycloalkenyl, C_3-C_8 halocycloalkenyl, C_2-C_6 alkoxyalkyl, C_4-C_{10} cycloalkoxyalkyl, C_3-C_8 alkoxyalkoxyalkyl, C_2-C_6 alkylthioalkyl, C_2-C_6 alkylsulfinylalkyl, C_2-C_6 alkylsulfonylalkyl, C_2-C_6 alkylaminoalkyl, C_3-C_8 dialkylaminoalkyl, C_2-C_6 haloalkylaminoalkyl, C_4-C_{10} cycloalkylaminoalkyl, C_2-C_8 alkylcarbonyl, C_2-C_6 haloalkylcarbonyl, C_4-C_8 cycloalkylcarbonyl, C_2-C_8 alkoxy carbonyl, C_4-C_8 cycloalkoxy carbonyl, C_3-C_{10} cycloalkylalkoxy carbonyl, C_2-C_6 alkylaminocarbonyl, C_3-C_8 dialkylaminocarbonyl, C_4-C_8 cycloalkylaminocarbonyl, C_2-C_6 haloalkoxyalkyl, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkoxy, C_3-C_8 cycloalkoxy, C_3-C_8 halocycloalkoxy, C_4-C_{10} cycloalkylalkoxy, C_2-C_6 alkenyloxy, C_2-C_6 haloalkenyloxy, C_2-C_6 alkynyloxy, C_2-C_6 haloalkynyloxy, C_2-C_6 alkoxyalkoxy, C_2-C_6 alkylcarbonyloxy, C_2-C_6 haloalkylcarbonyloxy, C_4-C_8 cycloalkylcarbonyloxy, C_3-C_6 alkylcarbonylalkoxy, C_1-C_6 alkylthio, C_1-C_6 haloalkylthio, C_3-C_8 cycloalkylthio, C_1-C_6 alkylsulfinyl, C_1-C_6 haloalkylsulfinyl, C_1-C_6 alkylsulfonyl, C_1-C_6 haloalkylsulfonyl, C_3-C_8 cycloalkylsulfonyl, C_3-C_{10} trialkylsilyl, C_1-C_6 alkylsulfonylamino and C_1-C_6 haloalkylsulfonylamino. Alternatively, R^5 is $-Z^2Q$.

The substituents R^{25} and R^{26} are independently hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_8 cycloalkyl, C_2-C_6 alkylcarbonyl, C_2-C_6 haloalkylcarbonyl, C_2-C_6 alkoxy carbonyl, C_2-C_6 haloalkoxy carbonyl. Alternatively, R^{25} and R^{26} can be $-Z^4Q$.

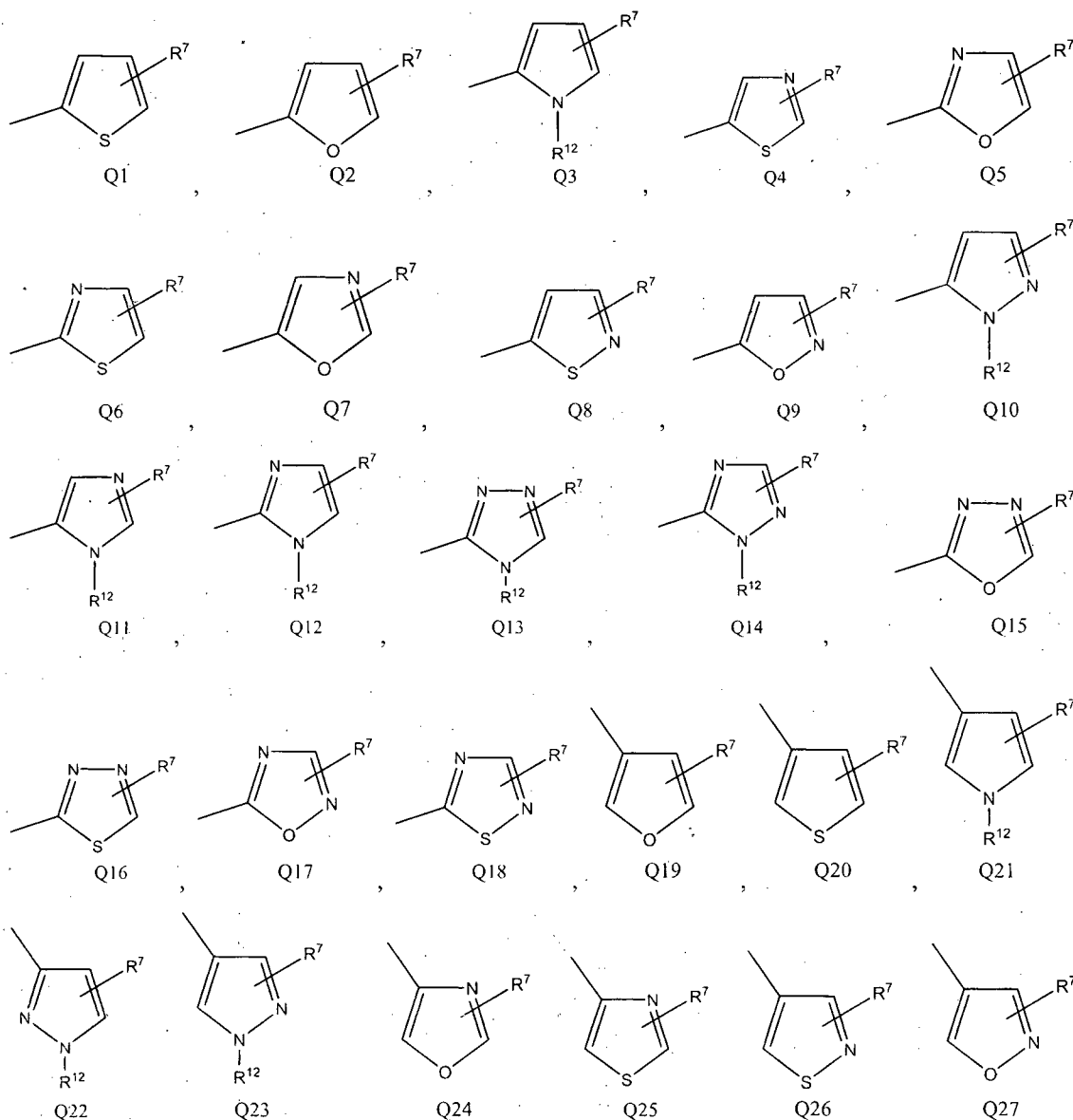
In one embodiment, Q is independently phenyl, benzyl, naphthalenyl, a 5- or 6-membered aromatic ring, an 8- to 11-membered aromatic multi-cyclic ring system, an 8- to 11-membered aromatic fused ring system, a 5- or 6-membered heteroaromatic ring, an 8- to 11-membered heteroaromatic multi-cyclic ring system or an 8- to 11-membered heteroaromatic fused ring system, each ring member of the ring or the ring system is selected from C, N, O, $S(O)_a$, C=O, C=S, $S=NR^6$ and $S(O)=NR^6$ & $SiR^{16}R^{17}$, and each ring or ring system is optionally substituted with R^7 on carbon ring members and R^{12} on nitrogen ring members.

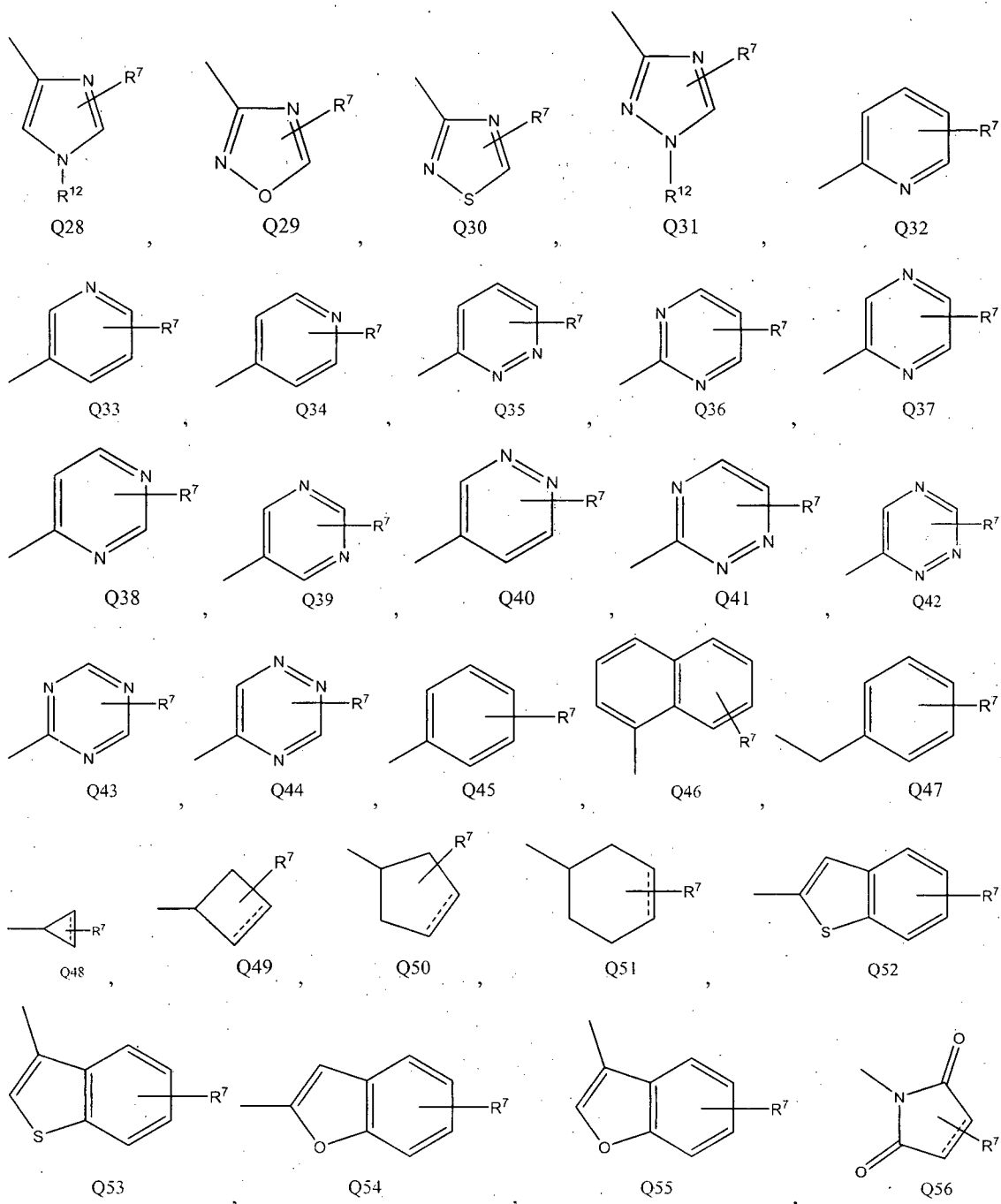
In another embodiment, Q is independently a 3- to 7-membered nonaromatic carbocyclic ring, a 5-, 6- or 7-membered nonaromatic heterocyclic ring, an 8- to 15-membered nonaromatic multi-cyclic ring system or an 8- to 15-membered nonaromatic fused ring system, each ring member of the ring or the ring system

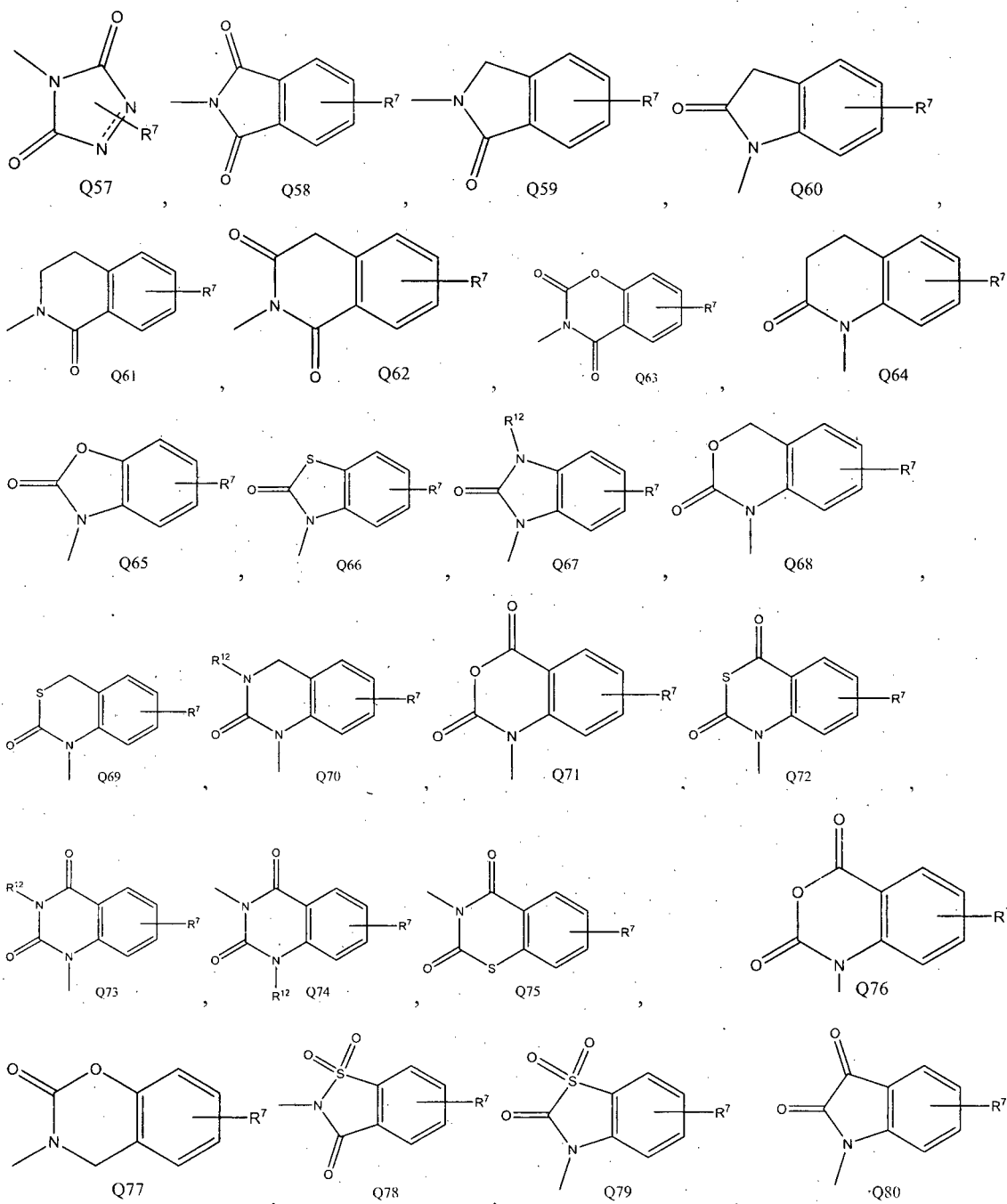
is selected from C, N, O, S(O)_n, C=O, C=S, S=NR⁶ and S(O)=NR⁶ & SiR¹⁶R¹⁷, and each ring or ring system is optionally substituted with R⁷ on carbon ring members and R¹² on nitrogen ring members.

In one of the preferred embodiments, Q is selected from Q1 to Q99 and the presentation " " is a single or a double bond. The substituent R⁷ or R¹² may be attached to one or more position/s.

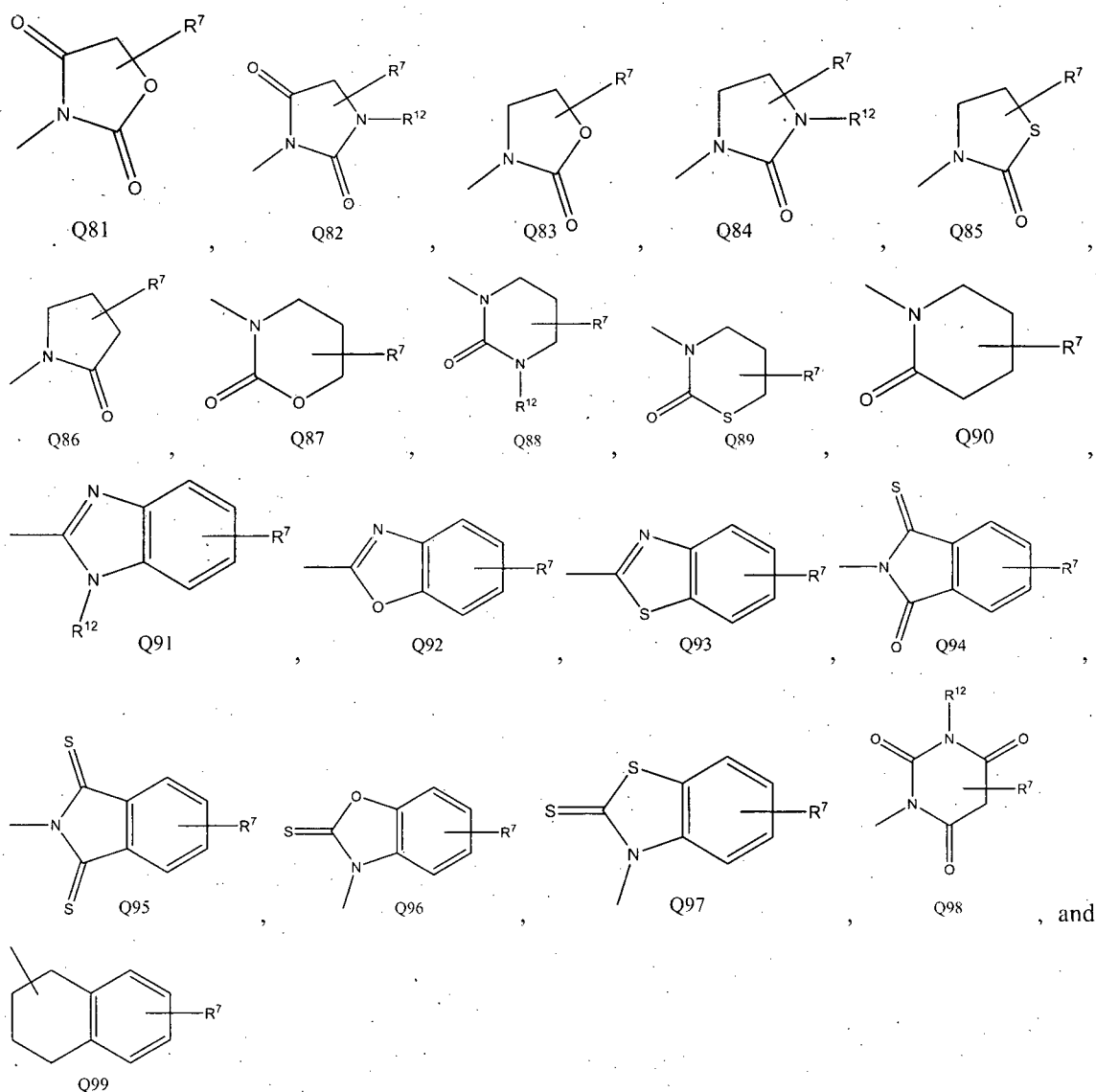
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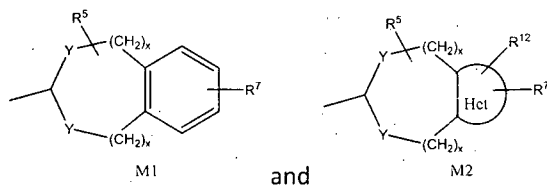
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Alternatively, J & Q together forms aryl or heterocyclic dioxepine ring system.

In one of the preferred embodiments J & Q together forms a fragment selected from M1 and M2. The substituents R^5 , R^7 and R^{12} may be attached at one or more possible position/s. x is an integer ranging from 0 to 2 and Y is selected from O, S & N.



The substituents R^{1a} and R^7 are independently selected from hydrogen, halogen, hydroxy, cyano, $-OR^{25}$, $-NR^{25}R^{26}$, $-NO_2$, $-SH$, $-SF_5$, $-SCN$, $-COR^{25}$, $-C(=O)OR^{25}$, $-C(=O)NR^{25}R^{26}$, $-NR^{25}C(=O)R^{25}$, $-O(C=O)R^{25}$, $-O(C=O)NR^{25}R^{26}$, $-C(=NOR^{25})R^{26}$, $-NR^{25}SO_2R^{26}$, $-CSR^{25}$, $-C(=S)OR^{25}$, $-C(=S)NR^{25}R^{26}$, $-NR^{25}C(=S)R^{26}$, $-O(C=S)R^{25}$, $-O(C=S)NR^{25}R^{26}$, $-O(C=S)SR^{25}$, $-N=C(R^{25})_2$, $-NHCN$, $-SO_2NHCN$, $-C(=O)NHCN$, $-C(=S)NHCN$, $-C(=S(O))NHCN$, $-SO_2NR^{25}R^{26}$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, cycloalkyl, halocycloalkyl, alkylcycloalkyl, cycloalkylalkyl, cycloalkylcycloalkyl, halocycloalkylalkyl, alkylcycloalkylalkyl, cycloalkenyl, halocycloalkenyl, alkoxyalkyl, cycloalkoxyalkyl, alkoxyalkoxyalkyl, alkylthioalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkylamino, dialkylamino, alkylaminoalkyl, dialkylaminoalkyl, haloalkylaminoalkyl, cycloalkylamino, cycloalkylaminoalkyl, alkylcarbonyl, haloalkylcarbonyl, cycloalkylcarbonyl, alkoxy carbonyl, cycloalkoxy carbonyl, cycloalkylalkoxy carbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cycloalkylaminocarbonyl, haloalkoxyalkyl, hydroxyalkyl, hydroxyalkenyl, hydroxyalkynyl, alkoxy, haloalkoxy, cycloalkoxy, halocycloalkoxy, cycloalkylalkoxy, alkenyloxy, haloalkenyloxy, alkynyloxy, haloalkynyloxy, alkoxyalkoxy, alkylcarbonyloxy, haloalkylcarbonyloxy, cycloalkylcarbonyloxy, alkylcarbonylalkoxy, alkylthio, haloalkylthio, cycloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, cycloalkylsulfonyl, cycloalkylsulfinyl, trialkylsilyl, alkylsulfonylamino, haloalkylsulfonylamino, alkylcarbonylthio, alkylsulfonyloxy, alkylsulfinyloxy, arylsulfonyloxy, arylsulfinyloxy, arylsulfonyl, arylsulfinyl, cyanoalkyl, alkenylcarbonyloxy, alkoxyalkylthio, alkylthioalkoxy, haloalkenylcarbonyloxy, alkoxy carbonylalkyl, alkoxyalkynyl, alkynylthio, halocycloalkylcarbonyloxy, alkenylamino, alkynylamino, haloalkylamino, cycloalkylalkylamino, alkoxyamino, haloalkoxyamino, alkylcarbonylamino, haloalkylcarbonylamino, alkoxy carbonylamino, alkylcarbonylalkylamino, haloalkylcarbonylalkylamino, alkoxy carbonylalkylamino, alkenylthio, haloalkoxy carbonyl, alkoxyalkylcarbonyl, haloalkoxy carbonylamino, di(haloalkyl)aminoalkyl, halocycloalkenyloxyalkyl, alkoxy(alkyl)aminocarbonyl, haloalkylsulfonylaminocarbonyl, alkylsulfonylaminocarbonyl, alkoxy carbonylalkoxy, alkylaminothiocarbonylamino, cycloalkylalkylaminoalkyl, alkylthiocarbonyl, cycloalkenyloxyalkyl, alkoxyalkoxy carbonyl, dialkylaminothiocarbonylamino, haloalkoxyhaloalkoxy, alkoxyhaloalkoxy, halocycloalkoxyalkyl, dialkylaminocarbonylamino, alkoxyalkenyl, alkylthiocarbonyloxy, haloalkoxyalkoxy, haloalkylsulfonyloxy, alkoxyhaloalkyl, di(haloalkyl)amino,

dialkoxyalkyl, alkylaminocarbonylamino, haloalkoxyhaloalkyl,
 alkylaminocarbonylalkylamino, trialkylsilylalkynyloxy, trialkylsilyloxy, trialkylsilylalkynyl,
 cyano(alkoxy)alkyl, dialkylthioalkyl, alkoxysulfonyl, halocycloalkoxycarbonyl, alkylcycloalkylcarbonyl,
 halocycloalkylcarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, cyanoalkoxycarbonyl,
 5 alkylthioalkoxycarbonyl, alkynylcarbonyloxy, haloalkynylcarbonyloxy, cyanocarbonyloxy,
 cyanoalkylcarbonyloxy, cycloalkylsulphonyloxy, cycloalkylalkylsulphonyloxy,
 halocycloalkylsulphonyloxy, alkenylsulphonyloxy, alkynylsulphonyloxy, cyanoalkylsulphonyloxy,
 haloalkenylsulphonyloxy, haloalkynylsulphonyloxy, alkynylcycloalkyloxy, cyanoalkenyloxy,
 cyanoalkynyloxy, alkoxycarbonyloxy, alkenyloxycarbonyloxy, alkynyloxycarbonyloxy,
 10 alkoxyalkylcarbonyloxy, sulfilimines, and sulfoximines or Z²Q.

In one of the preferred embodiments the substituents R^{1a} and R⁷ are independently selected from fluorine;
 chlorine; bromine; iodine; cyano, nitro, hydroxyl, amino, -SH, -C(=O)H, methyl, ethyl, propyl, 1-
 methylethyl, n-butyl, 1,1-dimethylethyl, 1,2-dimethylethyl, ethenyl, ethynyl, trifluoromethyl,
 difluoromethyl, trichloromethyl, dichloromethyl, cyclopropyl, methoxy, ethoxy, n-propoxy, 1-methyl-
 15 ethoxy, 1,1-dimethylethoxy, methylcarbonyl, ethylcarbonyl, trifluoromethylcarbonyl, methoxycarbonyl,
 ethoxycarbonyl, n-propoxycarbonyl, 1-methylethoxycarbonyl, 1,1-dimethylethoxycarbonyl, 1-
 ethenyloxy, 2-propenyloxy, 2-propynyloxy, methylcarbonyloxy, trifluoromethylcarbonyloxy,
 chloromethylcarbonyloxy, methylthio, ethylthio and methylsulphonyl.

The substituents R^{1b} and R¹² are independently selected from hydrogen, -OR²⁵, -COR²⁵, -C(=O)OR²⁵, -
 20 C(=O)NR²⁵R²⁶, -C(=NOR²⁵)R²⁶, -CSR²⁵, -C(=S)OR²⁵, -C(=S)NR²⁵R²⁶, -SO₂NHCN, -C(=O)NHCN, -
 C(=S)NHCN, -C(=S(O))NHCN, -SO₂NR²⁵R²⁶, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl,
 haloalkynyl, cycloalkyl, halocycloalkyl, alkylcycloalkyl, cycloalkylalkyl, cycloalkylcycloalkyl,
 halocycloalkylalkyl, alkylcycloalkylalkyl, cycloalkenyl, halocycloalkenyl, alkoxyalkyl,
 cycloalkoxyalkyl, alkoxyalkoxyalkyl, alkylthioalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkylamino,
 25 dialkylamino, alkylaminoalkyl, dialkylaminoalkyl, haloalkylaminoalkyl, cycloalkylamino,
 cycloalkylaminoalkyl, alkylcarbonyl, haloalkylcarbonyl, cycloalkylcarbonyl, alkoxycarbonyl,
 cycloalkoxycarbonyl, cycloalkylalkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl,
 cycloalkylaminocarbonyl, haloalkoxyalkyl, hydroxyalkyl, hydroxyalkenyl, hydroxyalkynyl, alkoxy,
 haloalkoxy, cycloalkoxy, halocycloalkoxy, cycloalkylalkoxy, alkenyloxy, haloalkenyloxy, alkynyloxy,
 30 haloalkynyloxy, alkoxyalkoxy, alkylcarbonyloxy, haloalkylcarbonyloxy, cycloalkylcarbonyloxy,
 alkylcarbonylalkoxy, alkylthio, haloalkylthio, cycloalkylthio, alkylsulfinyl, haloalkylsulfinyl,
 alkylsulfonyl, haloalkylsulfonyl, cycloalkylsulfonyl, cycloalkylsulfinyl, trialkylsilyl, alkylsulfonylamino,
 haloalkylsulfonylamino, alkylcarbonylthio, alkylsulfonyloxy, alkylsulfinyloxy, arylsulfonyloxy,

arylsulfinyloxy, arylsulfonyl, arylsulfinyl, cyanoalkyl, alkenylcarbonyloxy, alkoxyalkylthio, haloalkenylcarbonyloxy, alkoxycarbonylalkyl, alkoxyalkynyl, alkynylthio, halocycloalkylcarbonyloxy, alkenylamino, alkynylamino, haloalkylamino, cycloalkylalkylamino, alkoxyamino, haloalkoxyamino, alkylcarbonylamino, haloalkylcarbonylamino, alkoxycarbonylamino, 5 alkylcarbonylalkylamino, haloalkylcarbonylalkylamino, alkoxycarbonylalkylamino, alkenylthio, haloalkoxycarbonyl, alkoxyalkylcarbonyl, haloalkoxycarbonylamino, di(haloalkyl)aminoalkyl, halocycloalkenylalkyl, alkoxy(alkyl)aminocarbonyl, haloalkylsulfonylaminocarbonyl, alkylsulfonylaminocarbonyl, alkoxycarbonylalkoxy, alkylaminothiocabonylamino, cycloalkylalkylaminoalkyl, alkylthiocarbonyl, cycloalkenylalkyl, alkoxyalkoxycarbonyl, 10 dialkylaminothiocabonylamino, haloalkoxyhaloalkoxy, alkoxyhaloalkoxy, halocycloalkoxyalkyl, dialkylaminocarbonylamino, alkoxyalkenyl, alkylthiocarbonyloxy, haloalkoxyalkoxy, haloalkylsulfonyloxy, alkoxyhaloalkyl, di(haloalkyl)amino, dialkoxyalkyl, alkylaminocarbonylamino, haloalkoxyhaloalkyl, alkylaminocarbonylalkylamino, trialkylsilylalkynyl, trialkylsilyloxy, trialkylsilylalkynyl, cyano(alkoxy)alkyl, dialkylthioalkyl, alkoxysulfonyl, 15 halocycloalkoxycarbonyl, alkylcycloalkylcarbonyl, halocycloalkylcarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, cyanoalkoxycarbonyl, alkylthioalkylcarbonyl, alkylthioalkoxycarbonyl, alkylaminoalkylcarbonyl, alkynylcarbonyloxy, haloalkynylcarbonyloxy, cyanocarbonyloxy, cyanoalkylcarbonyloxy, cycloalkylsulphonyloxy, cycloalkylalkylsulphonyloxy, halocycloalkylsulphonyloxy, alkenylsulphonyloxy, alkynylsulphonyloxy, cyanoalkylsulphonyloxy, 20 haloalkenylsulphonyloxy, haloalkynylsulphonyloxy, alkynylcycloalkyloxy, cyanoalkenyl, cyanoalkynyl, alkoxyalkylcarbonyloxy, sulfilimines, sulfoximines, and $-SF_5$ or $-Z^2Q$.

The substituents R^{16} and R^{17} are independently selected from C_1 - C_5 alkyl, C_2 - C_5 alkenyl, C_2 - C_5 alkynyl, C_3 - C_5 cycloalkyl, C_3 - C_6 halocycloalkyl, C_4 - C_{10} cycloalkylalkyl, C_4 - C_7 alkylcycloalkyl, C_5 -
25 C_7 alkylcycloalkylalkyl, C_1 - C_5 haloalkyl, C_1 - C_5 alkoxy and C_1 - C_5 haloalkoxy.

R^5 and R^7 or R^{12} taken together with the atoms linking R^5 and R^7 or R^{12} to form a saturated, unsaturated or partially unsaturated 4- to 7-membered ring, each ring members selected from C, N, O, S(O), C=O, C=S, S=NR⁶ and S(O)=NR⁶, and said ring optionally substituted on ring members other than the atoms linking R^5 and R^7 or R^{12} with R^8 .

30 The substituent R^8 is independently selected from C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_6 cycloalkyl, C_4 - C_{10} cycloalkylalkyl, C_4 - C_{10} alkylcycloalkyl, C_5 - C_{10} alkylcycloalkylalkyl, C_1 - C_6 haloalkyl, C_2 - C_6 haloalkenyl, C_2 - C_6 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, hydroxy, amino,

cyano, nitro, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, C₂-C₄ alkoxyalkyl, C₁-C₄ hydroxyalkyl, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylcarbonyloxy, C₂-C₆ alkylcarbonylthio, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl and C₃-C₆ trialkylsilyl.

W is O or S. Preferably W is O.

The substituents Z¹ and Z² are independently a direct bond, O, C=O, C=S, S(O)_a, CHR²⁰ or NR²¹.

The substituent Z⁴ is independently O, C=O, C=S, S(O)_a or CHR²⁰.

The substituent R²⁰ is independently hydrogen, C₁-C₄ alkyl or C₁-C₄ haloalkyl. The substituent R²¹ is independently hydrogen, C₁-C₈ alkyl, C₁-C₈ haloalkyl, C₃-C₈ cycloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₈ haloalkylcarbonyl, C₂-C₈ alkoxy carbonyl or C₂-C₈ haloalkoxy carbonyl.

The substituent R²¹ is independently H, C₁-C₈ alkyl, C₁-C₈ haloalkyl, C₃-C₈ cycloalkyl, C₂-C₆ alkylcarbonyl, C₂-C₈ haloalkylcarbonyl, C₂-C₈ alkoxy carbonyl or C₂-C₈ haloalkoxy carbonyl.

In one of the preferred embodiments, Z¹ and Z² are a direct bond or O or S or C=O.

In one of the preferred embodiments, Z⁴ is independently C=O or S(O)₂.

The presentation "-----" in ring D is a single bond when Z is N. Further, the presentation "-----" in ring D is a single or double bond when Z is C. In one of the preferred embodiment, the presentation "-----" is a single bond.

"n" is an integer ranging from 0 to 9 with a proviso that when Z is N, "n" is an integer ranging from 0 to 8; and when the presentation "-----" in ring D is a double bond then "n" is an integer ranging from 0 to 7.

L¹ is O, S, NR²³. In one of the preferred embodiments, L¹ is O.

The substituent R²³ is hydrogen, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₂-C₄ alkoxyalkyl, C₂-C₄ alkylthioalkyl, C₂-C₄ alkylsulfinylalkyl, C₂-C₄ alkylsulfonylalkyl, C₂-C₄ alkylcarbonyl, C₂-C₄ haloalkylcarbonyl, C₂-C₅ alkoxy carbonyl, C₃-C₅ alkoxy carbonylalkyl, C₂-C₅ alkylaminocarbonyl, C₃-C₅ dialkylaminocarbonyl, C₁-C₄ alkylsulfonyl and C₁-C₄ haloalkylsulfonyl.

a is independently 0, 1 or 2.

The compound selected from Formula I excludes the following compounds:

Ethanone, 1-[4-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-thiazolyl]-1-piperidinyl]-2-[[5-(trifluoromethyl)-2-pyridinyl]thio]- (CAS RN- 1023141-80-1);

5 Benzamide, 2-[[2-[4-[4-[3-(3,4-dichlorophenyl)-5-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-oxoethyl]thio]-4-ethoxy- (CAS RN- 1177816-84-0);

Ethanone, 2-[(2-chloro-4-fluorophenyl)thio]-1-[4-[4-[3-(3,4-dichlorophenyl)-5-isoxazolyl]-2-thiazolyl]-1-piperidinyl]- (CAS RN- 1177683-42-9);

Ethanone, 2-(cyclohexyloxy)-1-[4-[4-[5-(2,6-difluorophenyl)-4,5-dihydro-3-isoxazolyl]-2-thiazolyl]-1-piperidinyl]- (CAS RN- 1173972-38-7);

10 1-Propanone, 2-(4-chlorophenoxy)-2-methyl-1-[4-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-thiazolyl]-1-piperidinyl]- (CAS RN- 1136418-28-4);

Ethanone, 2-[(2-chloro-4-fluorophenyl)thio]-1-[4-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-thiazolyl]-1-piperidinyl]- (CAS RN- 1023177-70-9);

15 Benzenesulfonamide, N-methyl-2-[[2-[4-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-thiazolyl]-1-piperidinyl]-2-oxoethyl]thio]- (CAS RN- 1023156-55-9);

Benzenesulfonamide, 2-[[2-[4-[4-[3-(3,4-dichlorophenyl)-5-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-oxoethyl]thio]-N-methyl- (CAS RN- 1022602-51-2);

Ethanone, 1-[4-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-thiazolyl]-1-piperidinyl]-2-(2,3,4,5,6-pentafluorophenoxy)- (CAS RN- 1022567-65-2);

20 Ethanone, 1-[4-[4-[3-(3,4-dichlorophenyl)-5-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-[(4-methylphenyl)sulfonyl]- (CAS RN- 1022566-90-0);

Ethanone, 2-(2,4-dichlorophenoxy)-1-[4-[4-[3-(3,4-dichlorophenyl)-5-isoxazolyl]-2-thiazolyl]-1-piperidinyl]- (CAS RN- 1022328-76-2);

25 Ethanone, 2-(2,4-dichlorophenoxy)-1-[4-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-thiazolyl]-1-piperidinyl]- (CAS RN- 1022068-84-3);

Ethanone, 1-[4-[4-[3-(3,4-dichlorophenyl)-5-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-(2,3,4,5,6-pentafluorophenoxy)- (CAS RN- 1022028-25-6);

Compounds of the present invention may exist in more than one form, and thus include all crystalline and non-crystalline forms of the compounds they represent. Non-crystalline forms include embodiments which are solids such as waxes and gums as well as embodiments which are liquids such as solutions and melts. Crystalline forms include embodiments which represent essentially a single crystal type and
5 embodiments which represent a mixture of polymorphs (i.e. different crystalline types). The term "polymorph" refers to a particular crystalline form of a chemical compound that can crystallize in different crystalline forms, these forms having different arrangements and/or conformations of the molecules in the crystal lattice. Although polymorphs can have the same chemical composition, they can also differ in composition due the presence or absence of co-crystallized water or other molecules, which
10 can be weakly or strongly bound in the lattice. Polymorphs can differ in such chemical, physical and biological properties as crystal shape, density, hardness, color, chemical stability, melting point, hygroscopicity, suspensibility, dissolution rate and biological availability. One skilled in the art will appreciate that a polymorph of a compound of the present invention can exhibit beneficial effects (e.g., suitability for preparation of useful formulations, improved biological performance) relative to another
15 polymorph or a mixture of polymorphs of the same compound of the present invention. Preparation and isolation of a particular polymorph of a compound of the present invention can be achieved by methods known to those skilled in the art including, for example, crystallization using selected solvents and temperatures.

The present invention also relates to the compound of Formula I, in the composition with one or more
20 excipient for controlling or preventing phytopathogenic micro-organisms.

The compound of Formula I of the present invention in the composition can be an agriculturally acceptable salt, metal complex, constitutional isomer, stereo-isomer, diastereoisomer, enantiomer, chiral isomer, atropisomer, conformer, rotamer, tautomer, optical isomer, geometric isomer, polymorph, or N-oxide thereof.

25 The excipient may be an inert carrier or any other essential ingredient such as surfactants, additives, solid diluents and liquid diluents.

The present invention also relates to the compound of Formula I in the composition, the said composition comprises at least one active compatible compound selected from fungicides, insecticides, nematicides, acaricides, biopesticides, herbicides, plant growth regulators, antibiotics, fertilisers and nutrients. The
30 compounds used in the composition and in combination with the compound of Formula I are also termed as active compatible compounds.

The concentration of the compound of Formula I of the present invention in the composition ranges from 1 to 90% by weight with respect to the total weight of the composition, preferably from 5 to 50% by weight with respect to the total weight of the composition.

The known and reported active compounds such as fungicides, insecticides, nematocides, acaricides, biopesticides, herbicides, plant growth regulators, antibiotics and nutrients can be combined with at least one compound of the Formula I of the present invention. For example, fungicides, insecticides, nematocides, acaricides, biopesticides, herbicides, plant growth regulators, antibiotics, fertilizers and nutrients disclosed and reported in WO201776739 (A to O) can be combined with compound of the Formula I of the present invention.

The fungicides, insecticides, nematocides, acaricides, biopesticides, herbicides, plant growth regulators, antibiotics, fertilizers and nutrients reported in WO201776739, are not reproduced herein for the sake of brevity and are incorporated herein by way of reference as non-limiting examples to be combined with at least one compound of the Formula I of the present invention.

The present invention also relates to a use of the compound of Formula I or of the compound of Formula I in the composition optionally comprising at least one active compatible compound for controlling or preventing phytopathogenic micro-organisms such as fungi, stramenopiles, bacteria, insects, nematodes, trematodes, temadoes, and mites in agricultural crops and or horticultural crops.

Particularly, the present invention also relates to a use of the compound of Formula I or of the compound of Formula I in the composition optionally comprising at least one active compatible compound for controlling or preventing phytopathogenic micro-organisms and oomycetes in agricultural crops and or horticulture crops.

The compounds of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound may be used to treat several fungal pathogens. Non-limiting examples of pathogens of fungal diseases which can be treated in accordance with the invention include:

Diseases caused by pathogens from the group of the Stramenopiles, particularly by Oomycetes, for example *Albugo* species, for example *Albugo candida*; *Bremia* species, for example *Bremia lactucae*; *Peronospora* species, for example *Peronospora pisi* or *P. brassicae*; *Phytophthora* species, for example *Phytophthora infestans*; *Plasmopara* species, for example *Plasmopara viticola*; *Pseudoperonospora* species, for example *Pseudoperonospora humuli* or *Pseudoperonospora cubensis*; *Pythium* species, for example *Pythium ultimum*;

Diseases caused by powdery mildew pathogens, for example *Blumeria* species, for example *Blumeria graminis*; *Podosphaera* species, for example *Podosphaera leucotricha*; *Sphaerotheca* species, for example *Sphaerotheca fuliginea*; *Uncinula* species, for example *Uncinula necator*; *Erysiphe* species, for example *Erysiphe cichoracearum*;

5 Diseases caused by rust disease pathogens, for example *Gymnosporangium* species, for example *Gymnosporangium sabinae*; *Hemileia* species, for example *Hemileia vastatrix*; *Phakopsora* species, for example *Phakopsora pachyrhizi* or *Phakopsora meibomia*; *Puccinia* species, for example *Puccinia recondita*, *Puccinia graminis* oder *Puccinia striiformis*; *Uromyces* species, for example *Uromyces appendiculatus*;

10 Leaf blotch diseases and leaf wilt diseases caused, for example, by *Alternaria* species, for example *Alternaria solani*; *Cercospora* species, for example *Cercospora beticola*; *Cladosporium* species, for example *Cladosporium cucumerinum*; *Cochliobolus* species, for example *Cochliobolus sativus* (conidial form: *Drechslera*, syn: *Helminthosporium*) or *Cochliobolus miyabeanus*; *Colletotrichum* species, for example *Colletotrichum lindemuthianum*; *Cycloconium* species, for example *Cycloconium oleaginum*;

15 *Diaporthe* species, for example *Diaporthe citri*; *Elsinoe* species, for example *Elsinoe fawcettii*; *Gloeosporium* species, for example *Gloeosporium laeticolor*; *Glomerella* species, for example *Glomerella cingulata*; *Guignardia* species, for example *Guignardia bidwelli*; *Leptosphaeria* species, for example *Leptosphaeria maculans*; *Magnaporthe* species, for example *Magnaporthe grisea*; *Microdochium* species, for example *Microdochium nivale*; *Mycosphaerella* species, for example
20 *Mycosphaerella graminicola*, *Mycosphaerella arachidicola* or *Mycosphaerella fijiensis*; *Phaeosphaeria* species, for example *Phaeosphaeria nodorum*; *Pyrenophora* species, for example *Pyrenophora teres* or *Pyrenophora tritici repentis*; *Ramularia* species, for example *Ramularia collo-cygni* or *Ramularia areola*; *Rhynchosporium* species, for example *Rhynchosporium secalis*; *Septoria* species, for example *Septoria apii* or *Septoria lycopersici*; *Stagonospora* species, for example *Stagonospora nodorum*; *Typhula* species,
25 for example *Typhula incarnata*; *Venturia* species, for example *Venturia inaequalis*;

Root and stem diseases caused, for example, by *Corticium* species, for example *Corticium graminearum*; *Fusarium* species, for example *Fusarium oxysporum*; *Gaeumannomyces* species, for example *Gaeumannomyces graminis*; *Plasmodiophora* species, for example *Plasmodiophora brassicae*; *Rhizoctonia* species, for example *Rhizoctonia solani*; *Sarocladium* species, for example *Sarocladium oryzae*; *Sclerotium* species, for example *Sclerotium oryzae*; *Tapesia* species, for example *Tapesia acuformis*; *Thielaviopsis* species, for example *Thielaviopsis basicola*; *Ganoderma* species, for example
30 *Ganoderma lucidum*;

5 Ear and panicle diseases (including corn cobs) caused, for example, by *Alternaria* species, for example *Alternaria spp.*; *Aspergillus* species, for example *Aspergillus flavus*; *Cladosporium* species, for example *Cladosporium cladosporioides*; *Claviceps* species, for example *Claviceps purpurea*; *Fusarium* species, for example *Fusarium culmorum*; *Gibberella* species, for example *Gibberella zeae*; *Monographella* species, for example *Monographella nivalis*; *Stagnospora* species, for example *Stagnospora nodorum*;

Diseases caused by smut fungi, for example *Sphacelotheca* species, for example *Sphacelotheca reiliana*; *Tilletia* species, for example *Tilletia caries* or *Tilletia controversa*; *Urocystis* species, for example *Urocystis occulta*; *Ustilago* species, for example *Ustilago nuda*;

10 Fruit rot caused, for example, by *Aspergillus* species, for example *Aspergillus flavus*; *Botrytis* species, for example *Botrytis cinerea*; *Penicillium* species, for example *Penicillium expansum* or *Penicillium purpurogenum*; *Rhizopus* species, for example *Rhizopus stolonifer*; *Sclerotinia* species, for example *Sclerotinia sclerotiorum*; *Verticillium* species, for example *Verticillium alboatrum*;

15 Seed- and soil-borne rot and wilt diseases, and also diseases of seedlings, caused, for example, by *Alternaria* species, for example *Alternaria brassicicola*; *Aphanomyces* species, for example *Aphanomyces euteiches*; *Ascochyta* species, for example *Ascochyta lentis*; *Aspergillus* species, for example *Aspergillus flavus*; *Cladosporium* species, for example *Cladosporium herbarum*; *Cochliobolus* species, for example *Cochliobolus sativus* (conidial form: *Drechslera*, *Bipolaris* Syn: *Helminthosporium*); *Colletotrichum* species, for example *Colletotrichum coccodes*; *Fusarium* species, for example *Fusarium culmorum*; *Gibberella* species, for example *Gibberella zeae*; *Macrophomina* species, for example *Macrophomina phaseolina*; *Microdochium* species, for example *Microdochium nivale*; *Monographella* species, for example *Monographella nivalis*; *Penicillium* species, for example *Penicillium expansum*; *Phoma* species, for example *Phoma lingam*; *Phomopsis* species, for example *Phomopsis sojae*; *Phytophthora* species, for example *Phytophthora cactorum*; *Pyrenophora* species, for example *Pyrenophora graminea*; *Pyricularia* species, for example *Pyricularia oryzae*; *Pythium* species, for example *Pythium ultimum*; *Rhizoctonia* species, for example *Rhizoctonia solani*; *Rhizopus* species, for example *Rhizopus oryzae*; *Sclerotium* species, for example *Sclerotium rolfsii*; *Septoria* species, for example *Septoria nodorum*; *Typhula* species, for example *Typhula incarnata*; *Verticillium* species, for example *Verticillium dahliae*;

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Cancers, galls and witches' broom caused, for example, by *Nectria* species, for example *Nectria galligena*;

30 Wilt diseases caused, for example, by *Monilinia* species, for example *Monilinia laxa*;

Deformations of leaves, flowers and fruits caused, for example, by *Exobasidium* species, for example *Exobasidium vexans*; *Taphrina* species, for example *Taphrina deformans*;

Degenerative diseases in woody plants, caused, for example, by *Esca* species, for example *Phaeomoniella chlamydospora*, *Phaeoacremonium aleophilum* or *Fomitiporia mediterranea*; *Ganoderma* species, for example *Ganoderma boninense*;

Diseases of flowers and seeds caused, for example, by *Botrytis* species, for example *Botrytis cinerea*;

Diseases of plant tubers caused, for example, by *Rhizoctonia* species, for example *Rhizoctonia solani*; *Helminthosporium* species, for example *Helminthosporium solani*;

Diseases caused by bacterial pathogens, for example *Xanthomonas* species, for example *Xanthomonas campestris* pv. *oryzae*; *Pseudomonas* species, for example *Pseudomonas syringae* pv. *lachrymans*; *Erwinia* species, for example *Erwinia amylovora*; *Ralstonia* species, for example *Ralstonia solanacearum*;

Fungal diseases on roots and the stem base caused, for example, by black root rot (*Calonectria crotalariae*), charcoal rot (*Macrophomina phaseolina*), fusarium blight or wilt, root rot, and pod and collar rot (*Fusarium oxysporum*, *Fusarium orthoceras*, *Fusarium semitectum*, *Fusarium equiseti*), mycoleptodiscus root rot (*Mycoleptodiscus terrestris*), neocosmospora (*Neocosmospora vasinfecta*), pod and stem blight (*Diaporthe phaseolorum*), stem canker (*Diaporthe phaseolorum* var. *caulivora*), phytophthora rot (*Phytophthora megasperma*), brown stem rot (*Phialophora gregata*), pythium rot (*Pythium aphanidermatum*, *Pythium irregulare*, *Pythium debaryanum*, *Pythium myriotylum*, *Pythium ultimum*), rhizoctonia root rot, stem decay, and damping-off (*Rhizoctonia solani*), sclerotinia stem decay (*Sclerotinia sclerotiorum*), sclerotinia southern blight (*Sclerotinia rolfii*), thielaviopsis root rot (*Thielaviopsis basicola*).

Plants which can be treated in accordance with the invention include the following: *Rosaceae* sp. (for example pome fruits such as apples, pears, apricots, cherries, almonds and peaches), *Ribesioideae* sp., *Juglandaceae* sp., *Betulaceae* sp., *Anacardiaceae* sp., *Fagaceae* sp., *Moraceae* sp., *Oleaceae* sp., *Actinidaceae* sp., *Lauraceae* sp., *Musaceae* sp. (for example banana trees and plantations), *Rubiaceae* sp. (for example coffee), *Theaceae* sp., *Sterculiaceae* sp., *Rutaceae* sp. (for example lemons, oranges and grapefruit); *Vitaceae* sp. (for example grapes); *Solanaceae* sp. (for example tomatoes, peppers), *Liliaceae* sp., *Asteraceae* sp. (for example lettuce), *Umbelliferae* sp., *Cruciferae* sp., *Chenopodiaceae* sp., *Cucurbitaceae* sp. (for example cucumber), *Alliaceae* sp. (for example leek, onion), *Papilionaceae* sp. (for example peas); major crop plants, such as *Poaceae*/*Gramineae* sp. (for example maize, turf, cereals such as wheat, rye, rice, barley, oats, millet and

triticale), *Asteraceae* sp. (for example sunflower), *Brassicaceae* sp. (for example white cabbage, red cabbage, broccoli, cauliflower, Brussels sprouts, pak choi, kohlrabi, radishes, and oilseed rape, mustard, horseradish and cress), *Fabaceae* sp. (for example bean, peanuts), *Papilionaceae* sp. (for example soya bean), *Solanaceae* sp. (for example potatoes), *Chenopodiaceae* sp. (for example sugar beet, fodder beet, swiss chard, beetroot);
5 Malvaceae (for example cotton); useful plants and ornamental plants for gardens and wooded areas; and genetically modified varieties of each of these plants.

The agricultural or horticulture crops are wheat, rye, barley, triticale, oats or rice; beet, e.g. sugar beet or fodder beet; fruits, such as pomes, stone fruits or soft fruits, e.g. apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries, blackberries or gooseberries; leguminous plants, such as lentils, peas,
10 alfalfa or soybeans; oil plants, such as rape, mustard, olives, sunflowers, coconut, cocoa beans, castor oil plants, oil palms, ground nuts or soybeans; cucurbits, such as squashes, cucumber or melons; fiber plants, such as cotton, flax, hemp or jute; citrus fruit, such as oranges, lemons, grapefruits or mandarins; vegetables, such as spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, cucurbits or paprika; lauraceous plants, such as avocados, cinnamon or camphor; energy and raw material plants, such
15 as corn, soybean, rape, sugar cane or oil palm; corn; tobacco; nuts; coffee; tea; bananas; vines (table grapes and grape juice grape vines); hop; turf; sweet leaf (also called Stevia); natural rubber plants or ornamental and forestry plants, such as flowers, shrubs, broad-leaved trees or evergreens, e.g. conifers; and on the plant propagation material, such as seeds, and the crop material of these plants.

Preferably agriculture or horticulture crops are cereals, corn, rice, soybean and other leguminous plants,
20 fruits and fruit trees, nuts and nut trees, citrus and citrus trees, any horticultural plants, cucurbitaceae, oleaginous plants, tobacco, coffee, tea, cacao, sugar beet, sugar cane, cotton, potato, tomato, onions, peppers and other vegetables, and ornamentals.

The present invention further relates to the use of the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound for treating
25 seeds with the purpose of protecting the seeds, the germinating plants and emerged seedlings against phytopathogenic micro-organisms.

The present invention further relates to seeds which have been treated with the compound of the Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound for protection from phytopathogenic micro-organisms.

30 The present invention also relates to a method of controlling or preventing infestation of useful plants by phytopathogenic micro-organisms in agricultural crops and or horticultural crops wherein the compound

of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound, is applied to the plants, to parts thereof or the locus thereof. The effective amount of compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound ranges preferably from 1 gai to 5000 gai per hectare.

- 5 Also, the present invention relates to the compound of the Formula I or the compound of the Formula I in the composition optionally comprising at least one active compatible compound applied to a plant, plant parts or locus thereof.

The present invention furthermore includes a method for treating seed, particularly seeds (dormant, primed, pregerminated or even with emerged roots and leaves) treated with the compound of the Formula I or the compound of the Formula I in the composition optionally comprising at least one active compatible compound. In these methods, the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound is applied to the seeds of plants for controlling or preventing infestation of useful plants by phytopathogenic micro-organisms in agricultural and or horticultural crops.

- 15 It is also desirable to optimize the amount of the active ingredient used so as to provide the best possible protection for the plants, the plant parts, or the seeds, the germinating plants and emerged seedlings from attack by phytopathogenic micro-organisms, but without damaging the plants themselves by the active ingredient used. In particular, methods for the treatment of seed should also take into consideration the intrinsic phenotypes of transgenic plants in order to achieve optimum protection of the seed and the germinating plant with a minimum of crop protection compositions being employed.

One of the advantages of the present invention is that the treatment of the seeds with the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound not only protects the seed itself, but also the resulting plants after emergence, from animal pests and/or phytopathogenic harmful micro-organisms. In this way, the immediate treatment of the crop at the time of sowing or shortly thereafter protect plants as well as seed treatment in prior to sowing. It is likewise considered to be advantageous that the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can be used especially also for transgenic seed, in which case the plant which grows from this seed is capable of expressing a protein which acts against pests, herbicidal damage or abiotic stress. The treatment of such seeds with the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound, for example an insecticidal protein, can result in

control of certain pests. Surprisingly, a further enhanced effect can be observed in this case, which additionally increases the effectiveness for protection against attack by pests, micro-organisms, weeds or abiotic stress.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound are suitable for protection of seed of any plant variety which is used in agriculture, in the greenhouse, in forests or in horticulture. More particularly, the seed is that of cereals (such as wheat, barley, rye, millet and oats), oilseed rape, maize, cotton, soybean, rice, potatoes, sunflower, beans, coffee, beet (e.g. sugar beet and fodder beet), peanut, vegetables (such as tomato, cucumber, onions and lettuce), lawns and ornamental plants. Of particular significance is the treatment of the seed of wheat, soybean, oilseed rape, maize and rice.

As also described below, the treatment of transgenic seed with the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound, is of particular significance. This refers to the seed of plants containing at least one heterologous gene which allows the expression of a polypeptide or protein, e.g. having insecticidal properties. These heterologous genes in transgenic seeds may originate, for example, from micro-organisms of the species *Bacillus*, *Rhizobium*, *Pseudomonas*, *Serratia*, *Trichoderma*, *Clavibacter*, *Glomus* or *Gliocladium*. These heterologous genes preferably originate from *Bacillus sp.*, in which case the gene product is effective against the European corn borer and/or the Western corn rootworm. Particularly preferably, the heterologous genes originate from *Bacillus thuringiensis*.

In the context of the present invention, the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound is applied to seeds. Preferably, the seed is treated in a state in which it is sufficiently stable for no damage to occur in the course of treatment. In general, seeds can be treated at any time between harvest and some time after sowing. It is customary to use seed which has been separated from the plant and freed from cobs, shells, stalks, coats, hairs or the flesh of the fruits. For example, it is possible to use seed which has been harvested, cleaned and dried down to a moisture content of less than 15% by weight. Alternatively, it is also possible to use seed which, after drying, for example, has been treated with water and then dried again, or seeds just after priming, or seeds stored in primed conditions or pre-germinated seeds, or seeds sown on nursery trays, tapes or paper.

When treating the seeds, it generally has to be ensured that the amount of the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible

compound applied to the seed and/or the amount of further additives is selected such that the germination of the seed is not impaired, or that the resulting plant is not damaged.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can be applied directly, i.e. without containing any other components and without having been diluted. In general, it is preferable to apply the compositions comprising compounds of Formula I to the seed in the form of a suitable formulation. Suitable formulations and methods for seed treatment are known to those skilled in the art. The compound of the Formula I can be converted to the customary formulations relevant to on-seed applications, such as solutions, emulsions, suspensions, powders, foams, slurries or combined with other coating compositions for seed, such as film forming materials, pelleting materials, fine iron or other metal powders, granules, coating material for inactivated seeds, and also ULV Formulations.

In the treatment of seeds to facilitate plantability seeds can be coated with polymer. The polymer coating is comprised of a binder, a wax and a pigment, and one or more stabilizers in an amount effective to stabilize the suspension. The binder can be a polymer selected from the group comprising of vinyl acetate-ethylene copolymer, vinyl acetate homopolymer, vinyl acetate-acrylic copolymer, vinylacrylic, acrylic, ethylene-vinyl chloride, vinyl ether maleic anhydride, or butadiene styrene. Other similar polymers can be used.

These formulations are prepared in a known manner, by mixing the active ingredients or active ingredient combinations with customary additives, for example customary extenders and solvents or diluents, dyes, wetting agents, dispersants, emulsifiers, antifoams, preservatives, secondary thickeners, adhesives, gibberellins, and also water.

Useful dyes which may be present in the seed dressing Formulations usable in accordance with the invention are all dyes which are customary for such purposes. It is possible to use either pigments, which are sparingly soluble in water, or dyes, which are soluble in water. Examples include the dyes known by the names Rhodamine B, C.I. Pigment Red 112 and C.I. Solvent Red 1.

Useful wetting agents which may be present in the seed dressing formulations usable in accordance with the invention are all substances which promote wetting and which are conventionally used for the formulation of active agrochemical ingredients. Usable with preference are alkyl naphthalenesulphonates, such as diisopropyl- or diisobutyl naphthalenesulphonates.

Useful dispersants and/or emulsifiers which may be present in the seed dressing formulations usable in accordance with the invention are all nonionic, anionic and cationic dispersants conventionally used for the formulation of active agrochemical ingredients. Usable with preference are nonionic or anionic dispersants or mixtures of nonionic or anionic dispersants. Useful nonionic dispersants include especially ethylene oxide/propylene oxide block polymers, alkylphenol polyglycol ethers and tristyrylphenol polyglycol ether, and the phosphated or sulphated derivatives thereof. Suitable anionic dispersants are especially lignosulphonates, polyacrylic acid salts and arylsulphonate/formaldehyde condensates.

Antifoams which may be present in the seed dressing formulations usable in accordance with the invention are all foam-inhibiting substances conventionally used for the formulation of active agrochemical ingredients. Silicone antifoams and magnesium stearate can be used with preference.

Preservatives which may be present in the seed dressing formulations usable in accordance with the invention are all substances usable for such purposes in agrochemical compositions. Examples include dichlorophene and benzyl alcohol hemiformal.

Secondary thickeners which may be present in the seed dressing formulations usable in accordance with the invention are all substances usable for such purposes in agrochemical compositions. Preferred examples include cellulose derivatives, acrylic acid derivatives, xanthan, modified clays and finely divided silica.

Adhesives which may be present in the seed dressing formulations usable in accordance with the invention are all customary binders usable in seed dressing products. Preferred examples include polyvinylpyrrolidone, polyvinyl acetate, polyvinyl alcohol and tylose.

The formulations for on-seed applications usable in accordance with the invention can be used to treat a wide variety of different kinds of seed either directly or after prior dilution with water. For instance, the concentrates or the preparations obtainable therefrom by dilution with water can be used to dress the seed of cereals, such as wheat, barley, rye, oats, and triticale, and also seeds of maize, soybean, rice, oilseed rape, peas, beans, cotton, sunflowers, and beets, or else a wide variety of different vegetable seeds. The formulations usable in accordance with the invention, or the dilute preparations thereof, can also be used for seeds of transgenic plants. In this case, enhanced effects may also occur in interaction with the substances formed by expression.

For treatment of seeds with the formulations usable in accordance with the invention, or the preparations prepared therefrom by adding water, all mixing units usable customarily for on-seed applications are

useful. Specifically, the procedure in on-seed applications is to place the seeds into a mixer, to add the particular desired amount of the formulations, either as such or after prior dilution with water, and to mix everything until all applied formulations are distributed homogeneously on the seeds. If appropriate, this is followed by a drying operation.

- 5 The application rate of the formulations usable in accordance with the invention can be varied within a relatively wide range. It is guided by the particular content of the active ingredients in the formulations and by the seeds. The application rates of each single active ingredient are generally between 0.001 and 15 gai per kilogram of seed, preferably between 0.01 and 5 gai per kilogram of seed.

10 When using the compound of Formula I as fungicides, the application rates can be varied within a relatively wide range, depending on the kind of application. The application rate of the compound of Formula I or the compound of Formula I in a composition optionally comprising at least one active compatible compound, is:

in the case of treatment of plant parts, for example leaves: from 0.1 to 10000 gai/ha, preferably from 5 to 1000 gai/ha, more preferably from 5 to 100 gai/ha (in the case of application by watering or dripping, it is even possible to reduce the application rate, especially when inert substrates such as rockwool or perlite are used);

15 in the case of seed treatment: from 0.1 to 200 gai per 100 kg of seed, preferably from 1 to 150 gai per 100 kg of seed, more preferably from 2.5 to 25 gai per 100 kg of seed.

in the case of soil treatment: from 0.1 to 10000 gai/ha, preferably from 1 to 1000 gai/ha.

20 These application rates are merely by way of example and are not limiting for the purposes of the invention.

In some cases, the compound of the Formula I may, at particular concentrations or application rates, also be used as safeners, growth regulators or agents to improve plant properties, or as microbicides, for example as fungicides, antimycotics, bactericides, viricides (including compositions against viroids) or as compositions against phytoplasmas MLO (Mycoplasma-like organisms) and RLO (Rickettsia-like organisms).

25 The compound of the Formula I may intervene in physiological processes of plants and can therefore also be used as plant growth regulators. Plant growth regulators may exert various effects on plants. The effect of the substances depends essentially on the time of application in relation to the developmental stage of the plant, the plant variety and also on the amounts of active ingredient applied to the plants or their

environment and on the type of application. In each case, growth regulators should have a particular desired effect on the crop plants.

Growth regulating effects, comprise earlier germination, better emergence, more developed root system and/or improved root growth, increased ability of tillering, more productive tillers, earlier flowering, increased plant height and/or biomass, shorting of stems, improvements in shoot growth, number of kernels/ear, number of ears/m², number of stolons and/or number of flowers, enhanced harvest index, bigger leaves, less dead basal leaves, improved phyllotaxy, earlier maturation/ earlier fruit finish, homogenous riping, increased duration of grain filling, better fruit finish, bigger fruit/vegetable size, sprouting resistance and reduced lodging.

Increased or improved yield is referring to total biomass per hectare, yield per hectare, kernel/fruit weight, seed size and/or hectolitre weight as well as to improved product quality, comprising:

improved processability relating to size distribution (kernel, fruit, etc.), homogenous riping, grain moisture, better milling, better vinification, better brewing, increased juice yield, harvestability, digestibility, sedimentation value, falling number, pod stability, storage stability, improved fiber length/strength/uniformity, increase of milk and/or meat quality of silage fed animals, adaption to cooking and frying;

further comprising improved marketability relating to improved fruit/grain quality, size distribution (kernel, fruit, etc.), increased storage/shelf-life, firmness /softness, taste (aroma, texture, etc.), grade (size, shape, number of berries, etc.), number of berries/fruits per bunch, crispness, freshness, coverage with wax, frequency of physiological disorders, colour, etc.;

further comprising increased desired ingredients such as e.g. protein content, fatty acids, oil content, oil quality, aminoacid composition, sugar content, acid content (pH), sugar/acid ratio (Brix), polyphenols, starch content, nutritional quality, gluten content/index, energy content, taste, etc.;

and further comprising decreased undesired ingredients such as e.g. less mycotoxines, less aflatoxines, geosmin level, phenolic aromas, lacchase, polyphenol oxidases and peroxidases, nitrate content etc.

Plant growth-regulating compounds can be used, for example, to slow down the vegetative growth of the plants. Such growth depression is of economic interest, for example, in the case of grasses, since it is thus possible to reduce the frequency of grass cutting in ornamental gardens, parks and sport facilities, on roadsides, at airports or in fruit crops. Also of significance is the inhibition of the growth of herbaceous

and woody plants on roadsides and in the vicinity of pipelines or overhead cables, or quite generally in areas where vigorous plant growth is unwanted.

Also important is the use of growth regulators for inhibition of the longitudinal growth of cereal. This reduces or completely eliminates the risk of lodging of the plants prior to harvest. In addition, growth regulators in the case of cereals can strengthen the culm, which also counteracts lodging. The employment of growth regulators for shortening and strengthening culms allows the deployment of higher fertilizer volumes to increase the yield, without any risk of lodging of the cereal crop.

In many crop plants, vegetative growth depression allows denser planting, and it is thus possible to achieve higher yields based on the soil surface. Another advantage of the smaller plants obtained in this way is that the crop is easier to cultivate and harvest.

Reduction of the vegetative plant growth may also lead to increased or improved yields because the nutrients and assimilates are of more benefit to flower and fruit formation than to the vegetative parts of the plants.

Alternatively, growth regulators can also be used to promote vegetative growth. This is of great benefit when harvesting the vegetative plant parts. However, promoting vegetative growth may also promote generative growth in that more assimilates are formed, resulting in more or larger fruits.

Furthermore, beneficial effects on growth or yield can be achieved through improved nutrient use efficiency, especially nitrogen (N)-use efficiency, phosphorus (P)-use efficiency, water use efficiency, improved transpiration, respiration and/or CO₂ assimilation rate, better nodulation, improved Ca-metabolism etc.

Likewise, growth regulators can be used to alter the composition of the plants, which in turn may result in an improvement in quality of the harvested products. Under the influence of growth regulators, parthenocarpic fruits may be formed. In addition, it is possible to influence the sex of the flowers. It is also possible to produce sterile pollen, which is of great importance in the breeding and production of hybrid seed.

Use of growth regulators can control the branching of the plants. On the one hand, by breaking apical dominance, it is possible to promote the development of side shoots, which may be highly desirable particularly in the cultivation of ornamental plants, also in combination with an inhibition of growth. On the other hand, however, it is also possible to inhibit the growth of the side shoots. This effect is of particular interest, for example, in the cultivation of tobacco or in the cultivation of tomatoes.

Under the influence of growth regulators, the amount of leaves on the plants can be controlled such that defoliation of the plants is achieved at a desired time. Such defoliation plays a major role in the mechanical harvesting of cotton, but is also of interest for facilitating harvesting in other crops, for example in viticulture. Defoliation of the plants can also be undertaken to lower the transpiration of the plants before they are transplanted.

Furthermore, growth regulators can modulate plant senescence, which may result in prolonged green leaf area duration, a longer grain filling phase, improved yield quality, etc.

Growth regulators can likewise be used to regulate fruit dehiscence. On the one hand, it is possible to prevent premature fruit dehiscence. On the other hand, it is also possible to promote fruit dehiscence or even flower abortion to achieve a desired mass ("thinning"). In addition, it is possible to use growth regulators at the time of harvest to reduce the forces required to detach the fruits, in order to allow mechanical harvesting or to facilitate manual harvesting.

Growth regulators can also be used to achieve faster or else delayed ripening of the harvested material before or after harvest. This is particularly advantageous as it allows optimal adjustment to the requirements of the market. Moreover, growth regulators in some cases can improve the fruit colour. In addition, growth regulators can also be used to synchronize maturation within a certain period of time. This establishes the prerequisites for complete mechanical or manual harvesting in a single operation, for example in the case of tobacco, tomatoes or coffee.

By using growth regulators, it is additionally possible to influence the resting of seed or buds of the plants, such that plants such as pineapple or ornamental plants in nurseries, for example, germinate, sprout or flower at a time when they are normally not inclined to do so. In areas where there is a risk of frost, it may be desirable to delay budding or germination of seeds with the aid of growth regulators, in order to avoid damage resulting from late frosts.

Finally, growth regulators can induce resistance of the plants to frost, drought or high salinity of the soil. This allows the cultivation of plants in regions which are normally unsuitable for this purpose.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound also exhibit potent strengthening effect in plants. Accordingly, they can be used for mobilizing the defences of the plant against attack by undesirable micro-organisms.

Plant-strengthening (resistance-inducing) substances in the present context are substances capable of stimulating the defence system of plants in such a way that the treated plants, when subsequently

inoculated with undesirable micro-organisms, develop a high degree of resistance to these micro-organisms.

Further, in context with the present invention plant physiology effects comprise the following:

Abiotic stress tolerance, comprising tolerance to high or low temperatures, drought tolerance and recovery after drought stress, water use efficiency (correlating to reduced water consumption), flood tolerance, ozone stress and UV tolerance, tolerance towards chemicals like heavy metals, salts, pesticides etc.

Biotic stress tolerance comprising increased fungal resistance and increased resistance against nematodes, viruses and bacteria. In context with the present invention, biotic stress tolerance preferably comprises increased fungal resistance and increased resistance against nematodes.

Increased plant vigor, comprising plant health / plant quality and seed vigor, reduced stand failure, improved appearance, increased recovery after periods of stress, improved pigmentation (e.g. chlorophyll content, stay-green effects, etc.) and improved photosynthetic efficiency.

In addition, the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can reduce the mycotoxin content in the harvested material and the foods and feeds prepared therefrom. Mycotoxins include particularly, but not exclusively, the following: deoxynivalenol (DON), nivalenol, 15-Ac-DON, 3-Ac-DON, T2- and HT2-toxin, fumonisins, zearalenon, moniliformin, fusarin, diacetoxyscirpenol (DAS), beauvericin, enniatin, fusaroproliferin, fusarenol, ochratoxins, patulin, ergot alkaloids and aflatoxins which can be produced, for example, by the following fungi: *Fusarium* spec., such as *F. acuminatum*, *F. asiaticum*, *F. avenaceum*, *F. crookwellense*, *F. culmorum*, *F. graminearum* (*Gibberella zeae*), *F. equiseti*, *F. fujikoroii*, *F. musarum*, *F. oxysporum*, *F. proliferatum*, *F. poae*, *F. pseudograminearum*, *F. sambucinum*, *F. scirpi*, *F. semitectum*, *F. solani*, *F. sporotrichoides*, *F. langsethiae*, *F. subglutinans*, *F. tricinctum*, *F. verticillioides* etc., and also by *Aspergillus* spec., such as *A. flavus*, *A. parasiticus*, *A. nomius*, *A. ochraceus*, *A. clavatus*, *A. terreus*, *A. versicolor*, *Penicillium* spec., such as *P. verrucosum*, *P. viridicatum*, *P. citrinum*, *P. expansum*, *P. claviforme*, *P. roqueforti*, *Claviceps* spec., such as *C. purpurea*, *C. fusiformis*, *C. paspali*, *C. africana*, *Stachybotrys* spec. and others.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can also be used in the protection of materials, for protection of industrial materials against attack and destruction by phytopathogenic micro-organisms.

In addition, the compound of the Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can be used as antifouling compositions, alone or in combinations with other active ingredients.

Industrial materials in the present context are understood to mean inanimate materials which have been prepared for use in industry. For example, industrial materials which are to be protected by inventive compositions from microbial alteration or destruction may be adhesives, glues, paper, wallpaper and board/cardboard, textiles, carpets, leather, wood, fibers and tissues, paints and plastic articles, cooling lubricants and other materials which can be infected with or destroyed by micro-organisms. Parts of production plants and buildings, for example cooling-water circuits, cooling and heating systems and ventilation and air-conditioning units, which may be impaired by the proliferation of micro-organisms may also be mentioned within the scope of the materials to be protected. Industrial materials within the scope of the present invention preferably include adhesives, sizes, paper and card, leather, wood, paints, cooling lubricants and heat transfer fluids, more preferably wood.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound may prevent adverse effects, such as rotting, decay, discoloration, decoloration or formation of mould.

In the case of treatment of wood the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound may also be used against fungal diseases liable to grow on or inside timber. The term "timber" means all types of species of wood, and all types of working of this wood intended for construction, for example solid wood, high-density wood, laminated wood, and plywood. The method for treating timber according to the invention mainly consists in contacting a composition according to the invention; this includes for example direct application, spraying, dipping, injection or any other suitable means.

In addition, the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can be used to protect objects which come into contact with saltwater or brackish water, especially hulls, screens, nets, buildings, moorings and signalling systems, from fouling.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can also be employed for protecting storage goods. Storage goods are understood to mean natural substances of vegetable or animal origin or processed products thereof which are of natural origin, and for which long-term protection is desired.

Storage goods of vegetable origin, for example plants or plant parts, such as stems, leaves, tubers, seeds, fruits, grains, can be protected freshly harvested or after processing by (pre)drying, moistening, comminuting, grinding, pressing or roasting. Storage goods also include timber, both unprocessed, such as construction timber, electricity poles and barriers, or in the form of finished products, such as furniture. Storage goods of animal origin are, for example, hides, leather, furs and hairs. The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound may prevent adverse effects, such as rotting, decay, discoloration, decoloration or formation of mould.

Micro-organisms capable of degrading or altering the industrial materials include, for example, bacteria, fungi, yeasts, algae and slime organisms. The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound preferably act against fungi, especially moulds, wood-discoloring and wood-destroying fungi (*Ascomycetes*, *Basidiomycetes*, *Deuteromycetes* and *Zygomycetes*), and against slime organisms and algae. Examples include micro-organisms of the following genera: *Alternaria*, such as *Alternaria tenuis*; *Aspergillus*, such as *Aspergillus niger*; *Chaetomium*, such as *Chaetomium globosum*; *Coniophora*, such as *Coniophora puetana*; *Lentinus*, such as *Lentinus tigrinus*; *Penicillium*, such as *Penicillium glaucum*; *Polyporus*, such as *Polyporus versicolor*; *Aureobasidium*, such as *Aureobasidium pullulans*; *Sclerophoma*, such as *Sclerophoma pityophila*; *Trichoderma*, such as *Trichoderma viride*; *Ophiostoma* spp., *Ceratocystis* spp., *Humicola* spp., *Petriella* spp., *Trichurus* spp., *Coriolus* spp., *Gloeophyllum* spp., *Pleurotus* spp., *Poria* spp., *Serpula* spp. and *Tyromyces* spp., *Cladosporium* spp., *Paecilomyces* spp. *Mucor* spp., *Escherichia*, such as *Escherichia coli*; *Pseudomonas*, such as *Pseudomonas aeruginosa*; *Staphylococcus*, such as *Staphylococcus aureus*, *Candida* spp. and *Saccharomyces* spp., such as *Saccharomyces cerevisiae*.

In addition, the compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound also has very good antimycotic effects. They have a very broad antimycotic activity spectrum, especially against dermatophytes and yeasts, moulds and diphasic fungi (for example against *Candida* species, such as *Candida albicans*, *Candida glabrata*), and *Epidermophyton floccosum*, *Aspergillus* species, such as *Aspergillus niger* and *Aspergillus fumigatus*, *Trichophyton* species, such as *Trichophyton mentagrophytes*, *Microsporon* species such as *Microsporon canis* and *audouinii*. The enumeration of these fungi by no means constitutes a restriction of the mycotic spectrum covered, and is merely of illustrative character.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can be used also to control important fungal pathogens in fish and crustacea farming, e.g. *saprolegnia diclina* in trouts, *saprolegnia parasitica* in crayfish.

The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can therefore be used both in medical and in non-medical applications.

5 The compound of Formula I or the compound of Formula I in the composition optionally comprising at least one active compatible compound can be used as such, in the form of their formulations or the use forms prepared therefrom, such as ready-to-use solutions, suspensions, wettable powders, pastes, soluble powders, dusts and granules. Application is accomplished in a customary manner, for example by watering, spraying, atomizing, broadcasting, dusting, foaming, spreading-on and the like. It is also possible to deploy the active ingredients by the ultra-low volume method or to inject the active ingredient
10 preparation/the active ingredient itself into the soil. It is also possible to treat the seed of the plants.

It is possible to treat all plants and their parts in accordance with the invention, preferably with wild plant species and plant cultivars, or those obtained by conventional biological breeding methods, such as crossing or protoplast fusion, and also parts thereof. In a further preferred embodiment, transgenic plants and plant cultivars obtained by genetic engineering methods, if appropriate in combination with conventional methods
15 (Genetically Modified Organisms), and parts thereof are treated. The terms "parts" or "parts of plants" or "plant parts" have been explained above. More preferably, plants of the plant cultivars which are commercially available or are in use are treated in accordance with the invention. Plant cultivars are understood to mean plants which have new properties ("traits") and have been obtained by conventional breeding, by mutagenesis or by recombinant DNA techniques. They can be cultivars, varieties, bio- or genotypes.

20 The method of treatment according to the invention can be used in the treatment of genetically modified organisms (GMOs), e.g. plants or seeds. Genetically modified plants (or transgenic plants) are plants of which a heterologous gene has been stably integrated into genome. The expression "heterologous gene" essentially means a gene which is provided or assembled outside the plant and when introduced in the nuclear, chloroplastic or mitochondrial genome gives the transformed plant new or improved agronomic or other
25 properties by expressing a protein or polypeptide of interest or by downregulating or silencing other gene(s) which are present in the plant (using for example, antisense technology, cosuppression technology, RNA interference – RNAi – technology or microRNA – miRNA - technology). A heterologous gene that is located in the genome is also called a transgene. A transgene that is defined by its particular location in the plant genome is called a transformation or transgenic event.

30 Plants and plant cultivars which are preferably to be treated according to the invention include all plants which have genetic material which impart particularly advantageous, useful traits to these plants (whether obtained by breeding and/or biotechnological means).

Plants and plant cultivars which are also preferably to be treated according to the invention are resistant against one or more biotic stresses, i.e. said plants show a better defense against animal and microbial pests, such as against nematodes, insects, mites, phytopathogenic fungi, bacteria, viruses and/or viroids.

5 Plants and plant cultivars which may also be treated according to the invention are those plants which are resistant to one or more abiotic stresses. Abiotic stress conditions may include, for example, drought, cold temperature exposure, heat exposure, osmotic stress, flooding, increased soil salinity, increased mineral exposure, ozone exposure, high light exposure, limited availability of nitrogen nutrients, limited availability of phosphorus nutrients, shade avoidance.

10 Plants and plant cultivars which may also be treated according to the invention, are those plants characterized by enhanced yield characteristics. Increased yield in said plants can be the result of, for example, improved plant physiology, growth and development, such as water use efficiency, water retention efficiency, improved nitrogen use, enhanced carbon assimilation, improved photosynthesis, increased germination efficiency and accelerated maturation. Yield can furthermore be affected by improved plant architecture (under stress and non-stress conditions), including but not limited to, early flowering, flowering control for hybrid seed
15 production, seedling vigor, plant size, internode number and distance, root growth, seed size, fruit size, pod size, pod or ear number, seed number per pod or ear, seed mass, enhanced seed filling, reduced seed dispersal, reduced pod dehiscence and lodging resistance. Further yield traits include seed composition, such as carbohydrate content and composition for example cotton or starch, protein content, oil content and composition, nutritional value, reduction in anti-nutritional compounds, improved processability and better
20 storage stability.

Plants that may be treated according to the invention are hybrid plants that already express the characteristic of heterosis or hybrid vigor which results in generally higher yield, vigor, health and resistance towards biotic and abiotic stresses).

25 Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may be treated according to the invention are herbicide-tolerant plants, i.e. plants made tolerant to one or more given herbicides. Such plants can be obtained either by genetic transformation, or by selection of plants containing a mutation imparting such herbicide tolerance.

Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are insect-resistant transgenic plants, i.e. plants made
30 resistant to attack by certain target insects. Such plants can be obtained by genetic transformation, or by selection of plants containing a mutation imparting such insect resistance.

Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are tolerant to abiotic stresses. Such plants can be obtained by genetic transformation, or by selection of plants containing a mutation imparting such stress resistance.

5 Plants or plant cultivars (obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention show altered quantity, quality and/or storage-stability of the harvested product and/or altered properties of specific ingredients of the harvested product.

10 Plants or plant cultivars (that can be obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, such as cotton plants, with altered fiber characteristics. Such plants can be obtained by genetic transformation, or by selection of plants contain a mutation imparting such altered fiber characteristics.

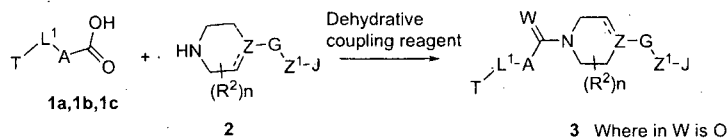
15 Plants or plant cultivars (that can be obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, such as oilseed rape or related Brassica plants, with altered oil profile characteristics. Such plants can be obtained by genetic transformation, or by selection of plants contain a mutation imparting such altered oil profile characteristics.

20 Plants or plant cultivars (that can be obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, such as oilseed rape or related Brassica plants, with altered seed shattering characteristics. Such plants can be obtained by genetic transformation, or by selection of plants contain a mutation imparting such altered seed shattering characteristics and include plants such as oilseed rape plants with delayed or reduced seed shattering.

Plants or plant cultivars (that can be obtained by plant biotechnology methods such as genetic engineering) which may also be treated according to the invention are plants, such as tobacco plants, with altered post-translational protein modification patterns.

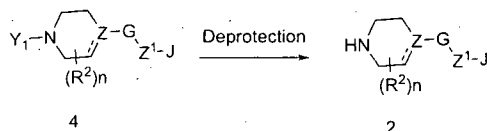
CHEMISTRY EXAMPLES:

25 The compounds of Formula 3 and 3A can be prepared by one or more of the following methods and variations as described in Schemes 1-10. The definitions of T, L¹, A, W, Z, G, Z¹, J and n in the compounds of Formula 1-20 below are as defined above in the Summary of the Invention unless otherwise noted.



As shown in Scheme 1, compounds of Formula 3 wherein W is O involves coupling of an acid of Formula 1a,1b,1c with an amine of Formula 2 (or its acid salt) in the presence of a dehydrative coupling reagent such as dicyclohexylcarbodiimide (DCC), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (EDC) O-benzotriazol-1-yl-tetramethyluronium hexafluoro-phosphate (HBTU), or 1-[Bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium 3-oxid hexafluorophosphate (HATU). Polymer-supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. These reactions are typically run at 0-40 °C in a solvent such as dichloromethane, acetonitrile or dimethylformamide in the presence of a base such as triethylamine or diisopropylethylamine. In a subsequent step, amides of Formula 3 wherein W is O can be converted to thioamides of Formula 3 wherein W is S using a variety of standard thiating reagents such as phosphorus pentasulfide or 2, 4-bis (4-methoxyphenyl)-1, 3-dithia-2, 4-diphosphetane-2, 4-disulfide (Lawesson's reagent).

Scheme 2



The amine compounds of Formula 2 can be prepared from the protected amine compounds of Formula 4 where Y₁ is an amine-protecting group as shown in Scheme 2.

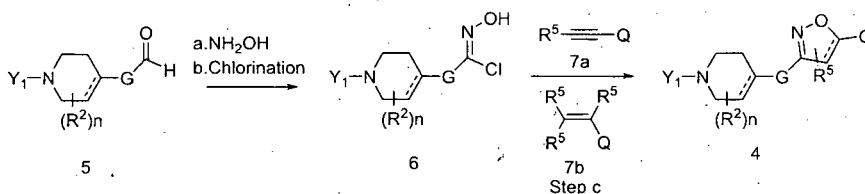
A compound of the formula (4) is converted to a compound of the formula (2) by suitable methods for removing protecting groups described in the literature (Protective Groups in Organic Synthesis"; Theodora W. Greene, Peter G. M. Wuts; Wiley-Interscience; Third Edition; 1999; 494-653).

For example, tert-Butoxycarbonyl and benzyloxycarbonyl protecting groups can be removed in an acidic medium (for example with hydrochloric acid or trifluoroacetic acid). Acetyl protecting groups can be removed under basic conditions (for example with potassium carbonate or cesium carbonate). Benzylic

protecting groups can be removed hydrogenolytically with hydrogen in the presence of a catalyst (for example palladium on activated carbon).

After the reaction has ended, the compounds (2) are separated from the reaction mixture by one of the customary separation techniques. If necessary, the compounds are purified by recrystallization or chromatography, or can, if desired, also be used in the next step without prior purification. It is also possible to isolate the compound of the general formula (2) as a salt, for example as a salt of hydrochloric acid or of trifluoroacetic acid.

Scheme 3



A compound of the general formula (6) is obtained by condensation of an aldehyde of the formula (5) with hydroxylamine and subsequent chlorination (see, for example, WO 05/0040159, WO 08/013622 and Synthesis, 1987, 11, 998-1001).

In the process, aldehyde (5) and hydroxylamine are first reacted (Scheme 3, step (a)). The corresponding oxime is subsequently chlorinated in the presence of a suitable chlorinating agent. Preferred chlorinating reagents are N-chlorosuccinimide, NaOCl, HClO and chlorine. After step (a), the reaction mixture can be worked up by customary methods or converted further directly in step (b).

Scheme 3, process is preferably performed using one or more diluents. In step (a) of process according to the invention, preference is given to using protic solvents, for example ethanol, as the solvent. After the formation of the corresponding oxime from compound (5), the reaction mixture is diluted in step (b) with a further solvent, for example tetrahydrofuran, and then aqueous sodium hypochlorite is added. The chlorination can likewise be effected with the aid of N-chlorosuccinimide in DMF or Ethyl acetate. The workup is effected by customary methods. If necessary, the compounds can be used in the next step without prior purification.

A particular means of preparing compounds of the formula (4) from corresponding compounds (6) by reaction with the compounds (7a) or (7b) is shown in Scheme 3 step c.

The alkenes and alkynes (7a) and (7b) are commercially available or can be prepared from commercially available precursors by methods described in the literature (for example from ketones or aldehydes by a Wittig or Horner-Wadsworth-Emmons olefination: Chem. Rev. 1989, 89, 863-927 and Julia olefination: Tetrahedron Lett., 1973, 14, 4833-4836; Peterson olefination: J. Org. Chem. 1968, 33, 780; with the Bestmann-Ohira reagent: Synthesis 2004, 1, 59-62).

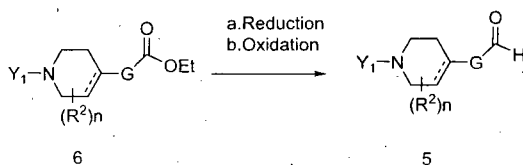
A compound of the general formula (4) is obtained from an alkene of the general formula (7a) or from an alkyne of the formula (7b) and compound (6) by a cycloaddition reaction (see, for example, WO 08/013622 and Synthesis, 1987, 11, 998-1001).

Process is performed in the presence of a suitable base. Preferred bases are tertiary amines (e.g. triethylamine), and alkali metal or alkaline earth metal carbonates (for example potassium or sodium carbonate), hydrogencarbonates and phosphates.

Process is preferably performed using one or more diluents. In the performance of process, inert organic solvents are a preferred option (for example toluene and Ethyl acetate). Water is likewise a possible solvent. Alternatively, process can be performed in an excess of the alkene (7a) or of the alkyne (7b).

The workup is effected by customary methods. If necessary, the compounds are purified by recrystallization or chromatography.

Scheme 4

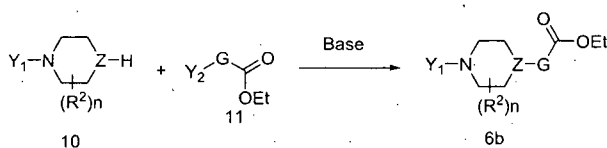


As shown in Scheme 4, compounds of Formula 5 involves (step a) simple one-pot aromatic ethyl, ester reduction into the corresponding alcohol using $NaBH_4$ -MeOH system. The aromatic alcohols were obtained by the method explained in the *ARKIVOC* 2006, 128-133, involving the reduction of aromatic

Reduction of the endocyclic double bond was carried out using catalytic hydrogenation to give compound of formula 6a. Pd/C was the preferred catalyst For leading references see for example Sarah Sulzer-Mosse et al *Bioorganic & Medicinal Chemistry* **2015** 23 2129–2138

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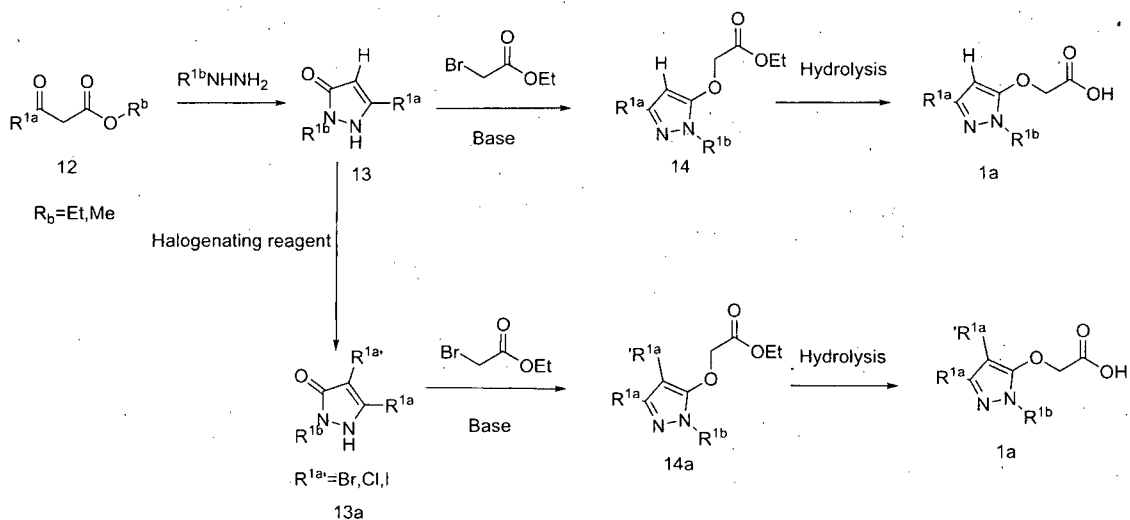
Scheme 7



Certain compounds of Formula 6b where in G is linked to the ring containing Z via a nitrogen atom, can be prepared by displacement of an appropriate leaving group Y₂ on the compound of formula 11 with a nitrogen-containing heterocycle of Formula 10 in the presence of a base as depicted in Scheme 7. Suitable bases include sodium hydride or potassium carbonate, and the reaction is carried out in a solvent such as N,N-dimethylformamide or acetonitrile at 0 to 80 °C. Suitable leaving groups in the compounds of Formula 11 include bromide, iodide, mesylate (OS(O)₂CH₃), triflate (OS(O)₂CF₃) and the like, and compounds of Formula 11 can be prepared from the corresponding compounds wherein Y₂ is OH, using general methods known in the art.

15

Scheme 8



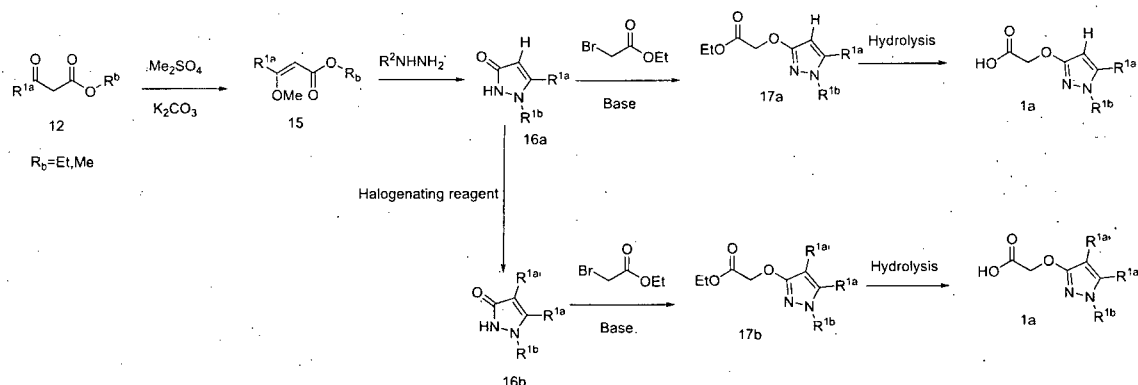
The starting β -ketoesters of Formula 12 and hydrazines of formula $R^{1b}NHNH_2$ are commercially available and can be prepared methods well-known in the art. β -ketoesters of Formula 12 are reacted with hydrazines of formula $R^{1b}NHNH_2$ to form intermediates of Formula 13. For relevant references see Katrizky et al., *J. Chem. Soc. Perkin Trans. II* **1987**, 969-975; Muller et al., *Monatshefte fuer Chemie* **1958**, 89, 23-35; PCT Patent Application Publication WO 2006/116713 and U.S. Patent Application Publication US 2007/0049574.

Compounds of Formula 13a wherein $R^{1a'}$ is halogen can be prepared from compounds of Formula 13 (R^{1a} is H) by treatment with a halogenating reagent as shown in Scheme 8. A variety of halogenating reagents known in the art are suitable for this method including, for example, N-halosuccinimides (e.g., NBS, NCS, NIS), elemental halogen (e.g., Cl_2 , Br_2 , I_2), phosphorus oxyhalides, phosphorus trihalides, phosphorus pentahalides, thionyl chloride, sulfuryl chloride, bis(pyridine)iodonium(I) tetrafluoroborate, tetramethylammonium iodide. Particularly useful as halogenating reagents are N-halosuccinimides. Typically the reaction is carried out in a suitable solvent such as N, N-dimethylformamide, carbon tetrachloride, acetonitrile, dichloromethane, acetic acid, chloroform, benzene, xylenes, chlorobenzene, tetrahydrofuran, 1, 4 dioxane or the like. Optionally, an organic base such as triethylamine, pyridine, N, N-dimethylaniline, or the like can be added. Addition of a catalyst such as N, N dimethylformamide or 2, 2'-azobis (2-methylpropionitrile) (AIBN) is also an option. Typical reaction temperatures range from about room temperature (e.g., 20 °C) to 150 °C. For representative procedures see U.S. Patent Application Publication US 2007/0049574; PCT Patent Application Publication WO 2006/071730; Campos et al., *Tetrahedron Letters* **1997**, and Gibert et al., *Pharmaceutical Chemistry Journal* **2007**, 41(3), 154-156

Compounds of Formula 14 and 14a can be prepared by treating compounds of formula 13 and 13a with ethyl bromo acetate preferably with bases as shown in the scheme 8. Typically the reaction is carried out in a suitable solvent such as N, N-dimethylformamide, carbon tetrachloride, acetonitrile, dichloromethane, tetrahydrofuran, acetone 1, 4 dioxane, or the like. Optionally, an organic base such as triethylamine, pyridine, or inorganic bases such as K_2CO_3 , Cs_2CO_3 , Ag_2CO_3 , Na_2CO_3 or the like can be added.

Compounds of Formula 14 and 14a can be further hydrolyzed by treating it with sodium hydroxide or lithium hydroxide to get compounds of formula 1a as shown in the scheme 8. Preferred solvents for the hydrolysis conditions are water, ethanol, or tetrahydrofuran.

Scheme 9



The starting β -ketoesters of Formula 12 and hydrazines of formula R^2NHNH_2 are commercially available and can be prepared methods well-known in the art. Preparation of compound formula 15 can be done using dimethyl sulfate and using bases like K_2CO_3 , Cs_2CO_3 , Ag_2CO_3 , Na_2CO_3 to get compounds of the formula 16 as explained in *Journal of Heterocyclic Chemistry*, **1993**,30, 1, , 49–54.

Compound of the formula 15 can be reacted with hydrazines of formula R^2NHNH_2 in protic solvents like Ethanol or methanol to give compounds of the formula 16a.

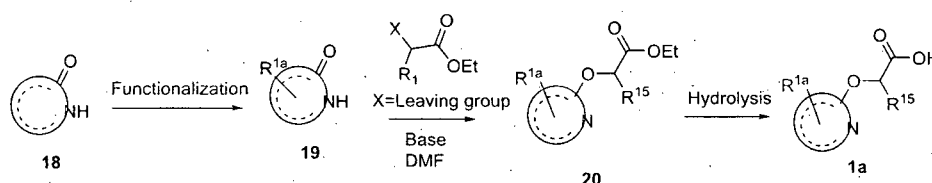
Compounds of Formula 16b wherein R^{1a} is halogen can be prepared from compounds of Formula 16a (R^{1a} is H) by treatment with a halogenating reagent as shown in Scheme 9. A variety of halogenating reagents known in the art are suitable for this method including, for example, N-halosuccinimides (e.g., NBS, NCS, NIS), elemental halogen (e.g., Cl_2 , Br_2 , I_2), phosphorus oxyhalides, phosphorus trihalides, phosphorus pentahalides, thionyl chloride, sulfuryl chloride, bis(pyridine)iodonium(I) tetrafluoroborate, tetramethylammonium iodide. Particularly useful as halogenating reagents are N-halosuccinimides. Typically the reaction is carried out in a suitable solvent such as N, N-dimethylformamide, carbon tetrachloride, acetonitrile, dichloromethane, acetic acid, chloroform, benzene, xylenes, chlorobenzene, tetrahydrofuran, 1, 4 dioxane, or the like. Optionally, an organic base such as triethylamine, pyridine, N, N-dimethylaniline, or the like can be added. Addition of a catalyst such as N, N dimethylformamide or 2, 2'-azobis (2-methylpropionitrile) (AIBN) is also an option. Typical reaction temperatures range from about room temperature (e.g., 20 °C) to 150 °C. For representative procedures see U.S. Patent Application Publication US 2007/0049574; PCT Patent Application Publication WO 2006/071730; Campos et al., *Tetrahedron Letters* **1997**, JS(48), 8397-8400 and Gibert et al., *Pharmaceutical Chemistry Journal* **2007**, 41(3), 154-156

Compounds of Formula 17a and 17b can be prepared by treating compounds of formula 16a and 16b with ethyl bromo acetate preferably with bases as shown in the scheme 9. Typically the reaction is carried out

in a suitable solvent such as N, N-dimethylformamide, carbon tetrachloride, acetonitrile, dichloromethane, tetrahydrofuran, acetone, 1, 4 dioxane, or the like. Optionally, an organic base such as triethylamine, pyridine, or inorganic bases such as K_2CO_3 , Cs_2CO_3 , Ag_2CO_3 , Na_2CO_3 or the like can be added.

- 5 Compounds of Formula 17a and 17b can be further hydrolyzed by treating it with sodium hydroxide or lithium hydroxide to get compounds of formula 1a as shown in the scheme 9. Preferred solvents for the hydrolysis conditions are water, ethanol, or tetrahydrofuran.

Scheme 10



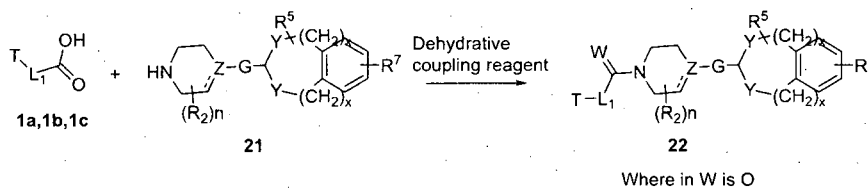
- 10 Outline for preparation of acid compounds of the formula 1 is described in Scheme 10. Suitably substituted compounds of the formula 18 was purchased commercially or can be prepared from the corresponding chloro derivatives using known methods in the literature. Best reagents for these conversions will be Sulfuric acid, Hydrochloric acid, sodium hydroxide. Please refer WO2007/39563, WO2014/71044 Lavecchia; Berteina-Raboin; Guillaumet, *Tetrahedron Letters*, **2004**, vol.45, 35 .6633 – 6636.

- 15 Substituted compounds of the formula 18 can be further functionalized using known methods in the literature like chlorination, Bromination, Trifluoromethylation to get appropriately substituted heterocyclic ring like Pyridone (Formula 19). References for the said transformations are Zhang, Pei-Zhi et al *Tetrahedron*, **2016**, 72(23), 3250-3255; Canibano; Rodriguez; Santos; Sanz-Tejedor; Carreno; Gonzalez; Garcia-Ruano *Synthesis*, **2001**, 14, 2175 – 2179, WO2004/50637.

- 20 A substituted functionalized heterocyclic ring containing a pyridone-like moiety can be alkylated by reaction with an alkyl ester containing a suitable leaving group such as halogen, mesylate or tosylate, in the presence of a base such as Ag_2CO_3 or Cs_2CO_3 , in a polar solvent such as DMF or NMP, or non polar solvent such as toluene, xylene with or without heating to get the compound of the formula 20. Typically mixtures of O- and N-alkylated products are obtained, and the two regio-isomeric products can be separated by means of SiO_2 gel or reverse phase chromatography. The addition of lithium salts, for example LiCl, to the reaction mixture can be employed to favor N- vs. O- alkylation. The obtained alkyl

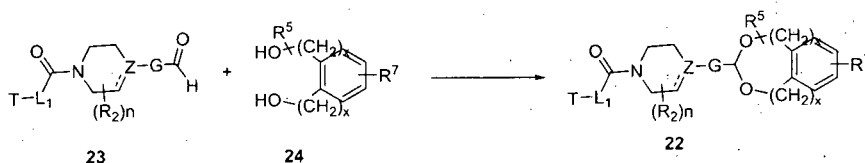
ester can be further hydrolyzed to the corresponding acids by heating or stirring at room temperature in the presence of Lithium hydroxide or sodium hydroxide in solvents like ethanol, water to get the novel compounds with formula 1.

Scheme 11



As shown in Scheme 11, compounds of Formula 22 wherein W is O involves coupling of an acid of Formula 1a, 1b, 1c with an amine of Formula 21 (or its acid salt) in the presence of a dehydrative coupling reagent such as dicyclohexylcarbodiimide (DCC), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (EDC) O-benzotriazol-1-yl-tetramethyluronium hexafluoro-phosphate (HBTU), or 1-[Bis(dimethylamino)methylene]-1H-1,2,3-triazolo[4,5-b]pyridinium 3-oxid hexafluorophosphate (HATU). Polymer-supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. These reactions are typically run at 0-40 °C in a solvent such as dichloromethane, acetonitrile or N,N-dimethylformamide in the presence of a base such as triethylamine or diisopropylethylamine. In a subsequent step, amides of Formula 22 wherein W is O can be converted to thioamides of Formula 3 wherein W is S using a variety of standard thiating reagents such as phosphorus pentasulfide or 2, 4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent).

Scheme 12



As shown in the scheme 12, compounds of the formula 22 can be prepared by treating the compound of formula 23 with compound of the formula 24 in the presence of an acid or a Lewis acid, preferably in the presence of an acid.

Examples of the acid which can be used in this step include inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid and the like, organic acids such as acetic acid, trifluoroacetic acid, p-

toluenesulfonic acid, trifluoromethanesulfonic acid and the like. Examples of the Lewis acid which can be used in this step include zinc chloride, aluminum chloride, tin chloride, boron trichloride, boron trifluoride, trimethylsilyltrifluoromethane sulfonate and the like.

The solvent which can be used in this step may be any solvent which does not inhibit the progress of this reaction and examples thereof include nitriles such as acetonitrile; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran, dioxane, monoglyme, diglyme, etc.; dichloromethane, dichloroethane, Halogenated hydrocarbons such as chloroform, carbon tetrachloride and tetrachloroethane; aromatic hydrocarbons such as benzene, chlorobenzene, nitrobenzene and toluene; amides, such as N,N-dimethylformamide and N, N-dimethylacetamide; imidazolinones such as 1,3-dimethyl-2-imidazolinone, sulfur compounds such as dimethylsulfoxide and the like can be used, and mixed solvents thereof can also be used.

The reaction temperature may be selected from the range of -20°C to the boiling point of the inert solvent to be used, preferably in the range of 0°C to 150°C .

The reaction time varies depending on the reaction temperature, the reaction substrate, the reaction amount and the like, but is usually from 10 minutes to 48 hours.

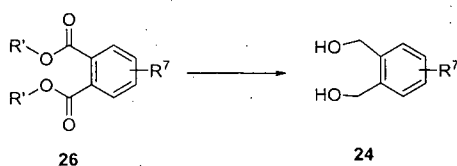
Scheme 13



The compound of the formula 24 can be prepared by reducing the compound of the formula 25 with a reducing agent in a solvent as shown in the scheme 13. Reducing agent suitable in this step, are lithium aluminum hydride, diisobutylaluminum hydride, borane and the like. Preferred solvent that can be used in this step is tetrahydrofuran, dioxane or the like.

The reaction temperature may be selected from the range of from -20°C to the boiling point range of the inert solvent to be used, preferably in the range of 0°C to 100°C .

Scheme 14



The compound of the formula 24 can also be prepared by reducing the compound of the formula 26 with a reducing agent in a solvent as shown in the scheme 14. Reducing agent suitable in this step, are lithium aluminum hydride, diisobutylaluminum hydride, borane and the like. Preferred solvent that can be used in this step is tetrahydrofuran, dioxane or the like.

The reaction temperature may be selected from the range of from -20 °C. to the boiling point range of the inert solvent to be used, preferably in the range of 0°C to 100 °C.

EXAMPLE 1

Preparation of 1-(4-(4-(5-(2, 6-difluorophenyl)-4, 5-dihydroisoxazol-3-yl) thiazol-2-yl) piperidin-1-yl)-2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl) oxy) ethan-1-one (Compound 3)

Step A: Preparation of ethyl 2-bromo-1,3-thiazole-4-carboxylate

To a solution of ethyl 2-aminothiazole-4-carboxylate (100 g, 581 mmol) and copper (II) bromide (195 g, 871 mmol) in acetonitrile (1000 mL) at 0 °C was added tert-Butylnitrite, tech. (104 mL, 871 mmol) dropwise. Reaction was warmed to room temperature, stirred for 12 h. Reaction mixture was diluted with ethyl acetate (1000 mL) and water (3000 mL) and acidified to pH 2 using 1N Hydrochloric acid. Layers were separated and the aqueous layer was again extracted with ethyl acetate (3x500 mL). The combined organic layers were dried over Sodium sulfate, filtered and concentrated under reduced pressure. The residue was purified by recrystallization from hexane afforded the title compound as a tan solid (115 g, 84 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 8.52 (s, 1H), 4.29 (q, *J* = 7.1 Hz, 2H), 1.29 (t, *J* = 7.1 Hz, 3H) MS: *m/z* = 235.90. [M+1].

Step B: Preparation of ethyl 2-(1-(tert-butoxycarbonyl)-1,2,3,6-tetrahydropyridin-4-yl)thiazole-4-carboxylate

Bis(triphenylphosphine)palladium(II)chloride (9.46 g, 13.48 mmol), tert-butyl 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydropyridine-1(2*H*)-carboxylate (100 g, 323 mmol) and a solution of sodium carbonate (86 g, 809 mmol) in 100 mL of water are consecutively added to a solution of ethyl 2-

bromothiazole-4-carboxylate (63.6 g, 270 mmol) in 200 mL of dioxane. Reaction mixture was heated to 85°C for 12 h. The reaction mixture was cooled to room temperature filtered through celite bed and washed with methanol. The filtrate was concentrated under reduced pressure. The residue was purified by column chromatography using ethyl acetate and hexane as eluents to give ethyl 2-(1-(tert-butoxycarbonyl)-1, 2, 3, 6-tetrahydropyridin-4-yl) thiazole-4-carboxylate (50 g, 55% yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 8.40 (s, 1H), 6.63 (s, 1H), 4.26 (q, *J* = 7.0 Hz, 2H), 4.01 (s, 2H), 3.49 (t, *J* = 5.7 Hz, 2H), 2.54 (d, *J* = 1.7 Hz, 2H), 1.39 (d, *J* = 6.4 Hz, 9H), 1.24-1.28 (m, 3H). MS: *m/z* = 339 [M+1].

Step C: Preparation of ethyl 2-(1-(tert-butoxycarbonyl)piperidin-4-yl)thiazole-4-carboxylate

To a solution of ethyl 2-(1-(tert-butoxycarbonyl)-1,2,3,6-tetrahydropyridin-4-yl)thiazole-4-carboxylate (12.8 g, 37.8 mmol) in 200 mL of ethanol was added a suspension of 10% Palladium on charcoal (16.10 g, 15.13 mmol) in 100mL of ethanol. The reaction mixture was maintained under hydrogen pressure of 70 bar at 65 °C for 12 h. Reaction mixture was cooled to room temperature and filtered. The filtrate was concentrated to afford ethyl 2-(1-(tert-butoxycarbonyl) piperidin-4-yl) thiazole-4-carboxylate (9.3g, 72% yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 8.41 (s, 1H), 4.28 (q, *J* = 7.1 Hz, 2H), 4.00 (d, *J* = 12.5 Hz, 2H), 3.20-3.27 (m, 1H), 2.87 (s, 2H), 2.00-2.03 (m, 2H), 1.53 (ddd, *J* = 24.7, 12.2, 4.1 Hz, 2H), 1.37-1.43 (m, 9H), 1.28 (t, *J* = 7.1 Hz, 3H). MS: *m/z* = 341.10 [M+1].

Step D: Preparation of tert-butyl 4-(4-(hydroxymethyl)thiazol-2-yl)piperidine-1-carboxylate

To a stirred solution of ethyl 2-(1-(tert-butoxycarbonyl) piperidin-4-yl) thiazole-4-carboxylate (30 g, 88 mmol) in tetrahydrofuran (500 mL), NaBH₄ (16.67 g, 441 mmol) was added and heated to 60 °C. Methanol (40 ml) was added slowly into the reaction mixture until it becomes clear solution. Reaction mixture was quenched with NH₄Cl solution (200 mL) and extracted with dichloromethane (200 mL x 2). The combined organic layers were dried over Sodium sulfate and concentrated under reduced pressure to furnish 4-(4-(hydroxymethyl) thiazol-2-yl) piperidine-1-carboxylate (21g, 80% yield).

MS: *m/z* = 299.401 [M+1].

Step E: Preparation of tert-butyl 4-(4-formylthiazol-2-yl)piperidine-1-carboxylate

To a stirred solution of tert-butyl 4-(4-(hydroxymethyl)thiazol-2-yl)piperidine-1-carboxylate (8.4 g, 28.2 mmol) in dichloromethane (350 mL) was added Dess-Martin periodinane (23.88 g, 56.3 mmol). Reaction mixture was stirred for 12 h at room temperature. The reaction mixture was quenched with aq. NaHCO₃ solution and aqueous layer was extracted with dichloromethane (200 mL x 2). Organic layer was dried over sodium sulfate and concentrated under reduced pressure. The resulting residue was purified by column chromatography using ethyl acetate and hexane (30:70) to obtain tert-butyl 4-(4-formylthiazol-2-yl)piperidine-1-carboxylate (5.3 g, 52% yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 9.87 (s, 1H), 8.63 (s, 1H), 4.00 (d, *J* = 13.0 Hz, 2H), 3.24-3.29 (m, 1H), 2.89 (s, 2H), 2.04 (dd, *J* = 12.7, 1.8 Hz, 2H), 1.56 (ddd, *J* = 24.6, 12.1, 4.1 Hz, 2H), 1.38-1.43 (m, 9H). MS: *m/z* = 297.385 [M+1].

Step F: Preparation of tert-butyl (E/Z) -4-(4-((hydroxyimino)methyl)thiazol-2-yl)piperidine-1-carboxylate

To a stirred solution of hydroxylamine hydrochloride (0.563 g, 8.10 mmol) in ethanol (15 mL) was added pyridine (1.31 mL, 16.2 mmol). After 10 min, tert-butyl 4-(4-formylthiazol-2-yl)piperidine-1-carboxylate (2g, 6.75 mmol) was added and stirred for 1h at room temperature. The reaction mixture was concentrated under reduced pressure; residue was taken in aqueous NH₄Cl solution (20 mL) and extracted with ethyl acetate (50 mL x 2). Organic layer was dried over sodium sulfate, concentrated under reduced pressure to get tert-butyl (E/Z)-4-(4-((hydroxyimino) methyl) thiazol-2-yl) piperidine-1-carboxylate (2g, 95% yield)

¹H-NMR (400 MHz, DMSO-*d*₆) δ 12.05-11.09 (1H), 8.49-7.96 (1H), 7.87-7.54 (1H), 3.99 (d, *J* = 14.1 Hz, 2H), 3.25-3.13 (m, 1H), 2.88 (s, 2H), 2.01 (dd, *J* = 12.8, 2.1 Hz, 2H), 1.61-1.45 (m, 2H), 1.39 (s, 9H). MS: *m/z* = 312.400 [M+1].

Step G: Preparation of tert-butyl 4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidine-1-carboxylate

To a stirred solution of tert-butyl (E/Z)-4-(4-((hydroxyimino)methyl)thiazol-2-yl)piperidine-1-carboxylate (0.525 g, 1.686 mmol) in dry tetrahydrofuran (30 mL) at 0 °C, was added 2,6-difluorostyrene (0.418 mL, 3.37 mmol) followed by 4% aqueous sodium hypochlorite (6.24 mL, 5.06 mmol) and stirred for 1h.

Reaction mass was quenched with water and the aqueous layer was extracted with ethyl acetate (50 mL x 2). The combined organic layers were dried over sodium sulfate, concentrated under reduced pressure and the residue was purified by column chromatography using ethyl acetate and hexane to get the tert-butyl 4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidine-1-carboxylate (250 mg, 0.556 mmol, 33.0 % yield)

Step G1: Alternate preparation of tert-butyl 4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidine-1-carboxylate

To a stirred solution of tert-butyl (E/Z)-4-(4-((hydroxyimino) methyl) thiazol-2-yl) piperidine-1-carboxylate (4 g, 12.85 mmol) in ethyl acetate (100 mL) was added NCS (3.43 g, 25.7 mmol) followed by sodium bicarbonate (7.55 g, 90 mmol). To the reaction mixture 1, 3-difluoro-2-vinylbenzene (3.60 g, 25.7 mmol) and 10 mL of water were added. Reaction mass was heated to 65 °C for 3hrs. The reaction mass was cooled to 15 °C and quenched with water, the aqueous layer was extracted with ethyl acetate (50 mL x 2). Organic layer was dried over sodium sulfate, concentrated under reduced pressure and the residue was purified by column chromatography to get the tert-butyl 4-(4-(5-(2, 6-difluorophenyl)-4, 5-dihydroisoxazol-3-yl) thiazol-2-yl) piperidine-1-carboxylate (3g, 6.67 mmol, 52.0 % yield)

¹H-NMR (400 MHz, DMSO-*d*₆) δ 8.00 (s, 1H), 7.46-7.51 (m, 1H), 7.15 (t, *J* = 8.5 Hz, 2H), 5.99 (dd, *J* = 12.1, 8.6 Hz, 1H), 4.00 (d, *J* = 12.5 Hz, 2H), 3.88 (dd, *J* = 17.3, 12.1 Hz, 1H), 3.47-3.55 (m, 1H), 3.24-3.28 (m, 1H), 3.05-2.74 (m, 2H), 2.03 (dd, *J* = 12.8, 2.1 Hz, 2H), 1.55 (dd, *J* = 12.2, 4.1 Hz, 2H), 1.40 (s, 9H) MS: *m/z* = 450.20 [M+1].

Step H: Preparation of 5-(2,6-difluorophenyl)-3-(2-(piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazole

To a solution of tert-butyl 4-(4-(5-(2, 6-difluorophenyl)-4, 5-dihydroisoxazol-3-yl) thiazol-2-yl) piperidine-1-carboxylate (0.211g, 0.469 mmol) in dichloromethane (20 mL) was added TFA (1.447 mL, 18.78 mmol). Reaction mixture was stirred at room temperature for 1 h to get a clear solution. The reaction mixture was concentrated under reduced pressure and partitioned between ethyl acetate and saturated aqueous sodium bicarbonate solution and the organic layer was dried over sodium sulfate and concentrated to give the 160mg of the free amine as clear oil, which solidified on standing.

Step I: Preparation of 1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)ethan-1-one

A mixture of the resulting free amine 5-(2,6-difluorophenyl)-3-(2-(piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazole (0.120g, 0.343 mmol) and the 2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)acetic acid (i.e. the product of Example 4, IN-5) (0.115 g, 0.515 mmol), HATU (0.196 g, 0.515 mmol), DIEA (0.300 ml, 1.717 mmol) in Dimethylformamide (5 mL) was stirred at room temperature for 16 h. The reaction mixture was diluted with dichloromethane (10 mL), and washed with 1N aqueous hydrochloric acid and saturated aqueous sodium bicarbonate solution. The organic layer was dried over sodium sulfate and concentrated under reduced pressure to give corresponding 1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)ethan-1-one (0.130 g, 0.234 mmol, 68 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 8.01 (s, 1H), 7.45-7.50 (m, 1H), 7.12-7.18 (m, 2H), 6.19 (s, 1H), 5.99 (dd, *J* = 12.1, 8.6 Hz, 1H), 5.06 (dd, *J* = 26.7, 15.0 Hz, 2H), 4.36 (d, *J* = 13.1 Hz, 1H), 3.88 (dd, *J* = 17.2, 12.2 Hz, 1H), 3.76 (d, *J* = 13.9 Hz, 1H), 3.67 (s, 3H), 3.51 (q, *J* = 8.7 Hz, 1H), 3.33-3.39 (m, 1H), 3.18 (t, *J* = 11.8 Hz, 1H), 2.77-2.82 (m, 1H), 2.08 (d, *J* = 12.5 Hz, 2H), 1.77 (d, *J* = 12.2 Hz, 1H), 1.54 (d, *J* = 11.2 Hz, 1H) MS: *m/z* = 556.25 [M+1].

EXAMPLE 2

Preparation of 1-(4-(4-(5-(2, 6-difluorophenyl)-4, 5-dihydroisoxazol-3-yl) thiazol-2-yl) piperidin-1-yl)-2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl) oxy) ethan-1-one (Compound 20)

A mixture of the resulting free amine 5-(2,6-difluorophenyl)-3-(2-(piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazole (the product of Example 1, Step H) (0.150g, 0.429 mmol) and the 2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetic acid (i.e. the product of Example 5, IN-3) (0.144 g, 0.644 mmol), HATU (0.245 g, 0.644 mmol), DIEA (0.375 ml, 2.147 mmol) in Dimethylformamide (5 mL) was stirred at room temperature for 16 h. The reaction mixture was diluted with dichloromethane (10 mL), and washed with 1N aqueous hydrochloric acid and saturated aqueous sodium bicarbonate solution. The organic layer was dried over sodium sulfate and concentrated under reduced pressure to give corresponding 1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)ethan-1-one (0.070 g, 0.126 mmol, 29.4 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 8.01 (s, 1H), 7.42-7.50 (m, 1H), 7.09-7.15 (m, 2H), 6.38 (d, *J* = 15.1 Hz, 1H), 5.96 (dd, *J* = 12.2, 8.6 Hz, 1H), 4.71-5.05 (m, 2H), 4.34 (d, *J* = 12.4 Hz, 1H), 3.77-3.91 (m, 2H), 3.74 (s, 3H), 3.49 (q, *J* = 8.6 Hz, 1H), 3.31-3.38 (m, 1H), 3.14-3.21 (m, 1H), 2.73-2.79 (m, 1H), 1.99-2.06 (m, 2H), 1.70-1.79 (m, 1H), 1.50-1.57 (m, 1H)MS: *m/z* = 556.45 [M+1].

5

EXAMPLE 3

Preparation of 1-(4-(4-(5-(2, 6-difluorophenyl)-4, 5-dihydroisoxazol-3-yl) thiazol-2-yl) piperidin-1-yl)-2-((3-(trifluoromethyl) pyridin-2-yl) oxy) ethan-1-one (Compound 29)

10 A mixture of the resulting free amine 5-(2,6-difluorophenyl)-3-(2-(piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazole (the product of Example 1, Step H) (0.150g, 0.429 mmol), 2-((3-(trifluoromethyl)pyridin-2-yl)oxy)acetic acid (i.e. the product of Example 6, IN-7) (0.095 g, 0.429 mmol), HATU (0.245 g, 0.644 mmol), DIEA (0.375 ml, 2.147 mmol) in Dimethylformamide (5 mL) was stirred at room temperature for 16 h. The reaction mixture was diluted with dichloromethane (10 mL), and washed with 1N aqueous hydrochloric acid and saturated aqueous sodium bicarbonate solution. The organic layer was dried over sodium sulfate and concentrated under reduced pressure to give 1-(4-(4-(5-(2, 6-difluorophenyl)-4, 5-dihydroisoxazol-3-yl) thiazol-2-yl) piperidin-1-yl)-2-((3-(trifluoromethyl) pyridin-2-yl) oxy) ethan-1-one (0.170 g, 0.308 mmol, 72 % yield).

15 ¹H-NMR (400 MHz, DMSO-*d*₆) δ 8.39 (dd, *J* = 4.9, 1.1 Hz, 1H), 8.10 (dd, *J* = 7.6, 1.1 Hz, 1H), 8.02 (s, 1H), 7.45-7.53 (m, 1H), 7.12-7.17 (m, 3H), 6.00 (dd, *J* = 12.0, 8.6 Hz, 1H), 5.26 (s, 2H), 4.32 (d, *J* = 13.1 Hz, 1H), 3.89 (dd, *J* = 17.1, 12.1 Hz, 2H), 3.53 (q, *J* = 8.7 Hz, 1H), 3.39 (qd, *J* = 7.7, 4.1 Hz, 1H), 3.20-3.24 (m, 1H), 2.76-2.82 (m, 1H), 2.04-2.11 (m, 2H), 1.77-1.86 (m, 1H), 1.55 (dd, *J* = 20.9, 10.8 Hz, 1H)MS: *m/z* = 553.50 [M+1].

EXAMPLE 4

Preparation of 2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl) oxy) acetic acid (IN-5)

25 **Step A: Preparation of 2-methyl-5-(trifluoromethyl)-1,2-dihydro-3H-pyrazol-3-one**

To a solution of ethyl 4, 4, 4-trifluoro-3-oxobutanoate (20.0 g, 109 mmol) in ethanol (150 ml), methyl hydrazine (10.01 g, 217 mmol) was added. Reaction mixture was stirred at 85 °C for 16 h. Reaction mass

was evaporated and the residue was diluted with water (200 ml) to get solid. It was filtered to get 2-methyl-5-(trifluoromethyl)-1, 2-dihydro-3H-pyrazol-3-one (11.00 g, 66.2 mmol, 61.0 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 11.67 (s, 1H), 5.70 (s, 1H), 3.57 (s, 3H) MS: m/z = 167.10 (M+1)

Step B: Preparation of ethyl 2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)acetate

To a solution of 2-methyl-5-(trifluoromethyl)-1, 2-dihydro-3H-pyrazol-3-one (1.0g, 6.02 mmol) in acetone (20 ml), sodium carbonate (3.19 g, 30.1 mmol) was added at room temperature., after 10 min ethyl 2-bromoacetate (1.206 g, 7.22 mmol) was added to it. Reaction mixture was stirred at 60 °C for 16 h. Reaction mass was cooled to room temperature, filtered through sintered funnel and concentrated under vacuum to get ethyl 2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl) oxy) acetate (1.0 g, 3.97 mmol, 66 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 6.25 (s, 1H), 4.93 (s, 2H), 4.11-4.19 (m, 2H), 3.68 (s, 3H), 1.18-1.22 (m, 3H) MS: m/z = 253.10 (M+1)

Step C: Preparation of 2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)acetic acid

To a solution of ethyl 2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl) oxy) acetate (1.0 g; 3.97 mmol) in tetrahydrofuran (8.00 ml), ethanol (2.000 ml) and water (1 ml) was added Lithium hydroxide monohydrate (0.832 g, 19.83 mmol). Resulting mixture was stirred at room temperature for 3 h, Reaction was monitored by TLC. The reaction mixture was concentrated under reduced pressure. Residue was diluted with water and acidified with 5N HCl (pH 4), solid obtained was filtered which was dried to get 2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl) oxy) acetic acid (0.6 g; 2.68 mmol, 67.5 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 13.71-12.39 (1H), 6.21 (s, 1H), 4.81 (s, 2H), 3.63-3.71 (m, 3H)MS: m/z = 222.95 (M-1)

EXAMPLE 5

Preparation of 2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl) oxy) acetic acid (IN-3)

Step A: Preparation of 1-methyl-5-(trifluoromethyl)-1,2-dihydro-3H-pyrazol-3-one

To a solution of ethyl (E/Z)-4, 4, 4-trifluoro-3-methoxybut-2-enoate (3.0 g, 15.14 mmol) in EtOH (30 ml), Methyl hydrazine (2.093 g, 45.4 mmol) was added. Reaction mixture was stirred at RT for 16 h. Reaction mass was concentrated and residue was diluted with water (25 ml) and extracted with EtOAc (2X25ml). Organic layer was dried over sodium sulfate and concentrated to get 1-methyl-5-(trifluoromethyl)-1,2-dihydro-3H-pyrazol-3-one (2.1 g, 12.64 mmol, 84 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 9.92 (s, 1H), 6.01 (s, 1H), 3.69 (dd, *J* = 12.8, 1.0 Hz, 3H) MS: *m/z* = 164.95 (M-1)

Step B: Preparation of ethyl 2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetate

To a solution of 1-methyl-5-(trifluoromethyl)-1,2-dihydro-3H-pyrazol-3-one (2.0g, 12.04 mmol) in Acetone (40 ml), Na₂CO₃ (6.38 g, 60.2 mmol) was added at room temperature. Ethyl 2-bromoacetate (2.413 g, 14.45 mmol) was added to it. Reaction mixture was stirred at 60 °C for 16 h. Reaction mass was filtered through sintered funnel, filtrate was concentrated to get ethyl 2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetate (2.2 g, 8.72 mmol, 72.4 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 6.34-6.44 (s, 1H), 6, 4.71-4.76 (s, 2H), 4.01-4.18 (m, 2H), 3.66-3.81 (s, 3H), 1.10-1.26 (m, 3H) MS: *m/z* = 253.00 (M+1)

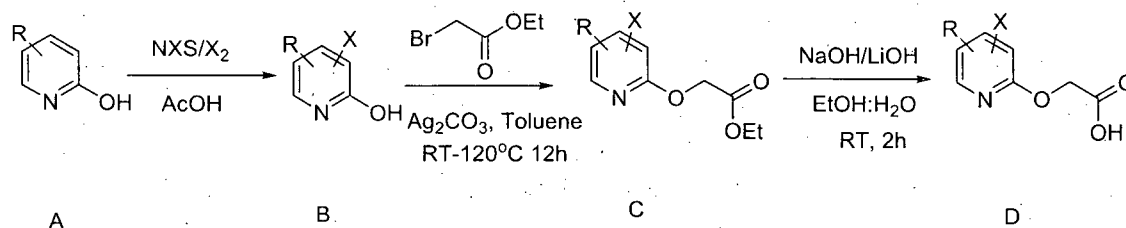
Step C: Preparation of 2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetic acid

To a solution of ethyl 2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetate (1.2 g, 4.76 mmol) in mixture of Tetrahydrofuran (16.00 ml), Ethanol (4.00 ml) and Water (2ml) was added Lithium hydroxide monohydrate (0.998 g, 23.79 mmol). Resulting mixture was stirred at RT for 3 h, Reaction mixture was concentrated under reduced pressure to get residue, and it was diluted with water and acidified with 5N HCl (pH 4) then Extracted with EtOAc (2X30). Organic layer was dried over Na₂SO₄ and concentrated to get 2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetic acid (0.8 g, 3.57 mmol, 75 % yield).

¹H-NMR (400 MHz, DMSO-*d*₆) δ 12.88 (s, 1H), 6.38 (s, 1H), 4.65-4.71 (m, 2H), 3.70-3.80 (m, 3H) MS: *m/z* = 222.90 (M-1)

EXAMPLE 6

General scheme for synthesis pyridone acid



Step 1: Preparation of B (Halogenation)

To a stirred solution of substituted 2-pyridone (1 equiv) in AcOH (10 mL) was added N-halo succinamide (1.5 equiv) and heated to 120 °C for overnight. The reaction mixture was filtered and concentrated, diluted with sat aqueous. NaHCO₃ and extracted with EtOAc twice. The combined organic layers were washed with brine, dried over Sodium sulfate, filtered, concentrated and purified by combiflash to give **B**.

Step 1A: Alternative Preparation of B (Halogenation)

To a solution of substituted 2-pyridone (1 equiv) in dichloromethane (15 mL) was slowly added Bromine (1.2 equiv). After addition, the mixture was stirred at rt for 18 h. The reaction mixture was cooled to 0°C and quenched with saturated aqueous NaHCO₃ (5 mL). The mixture was then extracted with EtOAc twice. The combined organic layers were dried over sodium sulfate, concentrated and the residue was purified by column chromatography to give compound **B**.

Step 1B: Alternative Preparation of B (Trifluoromethylation)

To a solution of substituted 2-pyridone (9.20 mmol, 1 equiv) and sodium trifluoromethanesulfinate (27.6 mmol, 3 equiv) in acetic acid (10 mL), was added manganese triacetate hydrate (27.6 mmol, 3 equiv) in batches. The mixture was stirred at 25 °C in air for 12h. Water (20 mL) was added to the reaction mixture, extracted with EtOAc twice. The combined organic extracts were dried over anhydrous sodium sulfate and concentrated under vacuum to give a residue. The residue was purified by column chromatography to give compound **B**.

Step 2: Preparation of C (Alkylation)

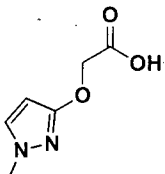
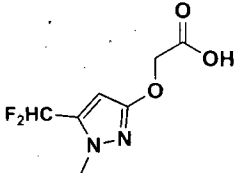
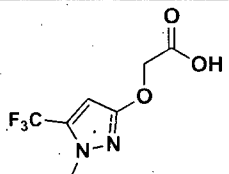
To a solution of substituted 2-pyridone (1.226 mmol, 1 equiv) and silver carbonate (3.68 mmol, 3 equiv) in toluene (5 ml), Ethyl 2-bromoacetate (3.68 mmol, 3 equiv) was added. Reaction mixture was stirred at 100 °C for 16 h. Reaction mass was diluted by water and extracted with EtOAc, Organic layer was concentrated, residue was purified by column chromatography to give compound **C**.

Step 3: Preparation of D (Hydrolysis)

To a solution of compound **C** (9.23 mmol, 1 equiv) in ethanol and water, was added sodium hydroxide (18.46 mmol, 2 equiv) and the resulting mixture was stirred at ambient temperature for 2h. The reaction mixture was concentrated under reduced pressure, diluted with water and acidified with Conc HCl upto pH 4. Precipitated solid product was filtered, washed with water followed by n-hexane and dried to get corresponding pyridonoxo acid **D**.

The following Pyridonoxo/Pyrazoloxo acids were prepared by procedures shown in the example 4,5 and 6.

Table No. 1:

Intermediate No	Structure	¹ H NMR	LCMS (M+1)/(M-1)
IN-1		-----	157
IN-2		¹ H -NMR (400 MHz, DMSO-d ₆) δ 12.89 (s, 1H), 7.19 (t, <i>J</i> = 53.5 Hz, 1H), 6.04 (s, 1H), 4.62 (d, <i>J</i> = 15.3 Hz, 2H), 3.71 (s, 3H)	207.05
IN-3		¹ H -NMR (400 MHz, DMSO-d ₆) δ 12.88 (s, 1H), 6.38 (s, 1H), 4.65-4.71 (m, 2H), 3.70-3.80 (m, 3H)	222.90

IN-4		^1H -NMR (400 MHz, DMSO- d_6) δ 13.08 (s, 1H), 4.76 (s, 2H), 3.83 (d, J = 1.2 Hz, 3H)	302.80
IN-5		^1H -NMR (400 MHz, DMSO- d_6) δ 13.71-12.39 (1H), 6.21 (s, 1H), 4.81 (s, 2H), 3.63-3.71 (m, 3H)	222.95
IN-6		^1H -NMR (400 MHz, DMSO- d_6) δ 13.01 (s, 1H), 4.98 (s, 2H), 3.77 (d, J = 8.9 Hz, 3H)	303
IN-7		^1H -NMR (400 MHz, DMSO- d_6) δ 13.03 (s, 1H), 8.39 (d, J = 4.6 Hz, 1H), 8.12 (d, J = 7.5 Hz, 1H), 7.19 (dd, J = 7.2, 5.3 Hz, 1H), 4.95 (s, 2H)	221.90
IN-8		^1H -NMR (400 MHz, DMSO- d_6) δ 13.07 (s, 1H), 8.50 (d, J = 2.3 Hz, 1H), 8.29 (d, J = 2.4 Hz, 1H), 4.97 (s, 2H)	253.95
IN-9		^1H -NMR (400 MHz, DMSO- d_6) δ 13.07 (s, 1H), 8.57 (d, J = 2.3 Hz, 1H), 8.36 (d, J = 2.3 Hz, 1H), 4.95 (d, J = 12.8 Hz, 2H)	297.85
IN-10		^1H -NMR (400 MHz, DMSO- d_6) δ 12.97 (s, 1H), 8.56 (d, J = 0.9 Hz, 1H), 8.10 (dd, J = 8.7, 2.4 Hz, 1H), 7.11 (d, J = 8.7 Hz, 1H), 4.91 (s, 2H)	222.05
IN-11		^1H -NMR (400 MHz, DMSO- d_6) δ 12.94 (s, 1H), 8.40 (d, J = 5.4 Hz, 1H), 7.36 (dd, J = 5.4, 1.0 Hz, 1H), 7.30-7.31 (m, 1H), 4.90 (s, 2H)	221.13
IN-12		^1H -NMR (400 MHz, DMSO- d_6) δ 13.26 (s, 1H), 7.85 (d, J = 7.6 Hz, 1H), 6.32 (d, J = 7.6 Hz, 1H), 4.77 (s, 2H), 2.36 (s, 3H)	236.10

The compounds listed in Table no 2 are prepared in a manner analogous to the procedure described in the examples 1, 2, & 3.

Compound No	Compound Name	¹ H NMR	LCMS (M+H)
1	1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((1-methyl-1H-pyrazol-3-yl)oxy)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 7.98 (s, 1H), 7.40-7.49 (m, 2H), 7.09-7.15 (m, 2H), 5.96 (dd, <i>J</i> = 12.1, 8.6 Hz, 1H), 5.59 (d, <i>J</i> = 2.3 Hz, 1H), 4.77 (dd, <i>J</i> = 24.4, 13.8 Hz, 2H), 4.35 (d, <i>J</i> = 13.1 Hz, 1H), 3.86 (dd, <i>J</i> = 17.1, 12.1 Hz, 2H), 3.62 (d, <i>J</i> = 14.8 Hz, 3H), 3.49 (q, <i>J</i> = 8.6 Hz, 1H), 3.35 (td, <i>J</i> = 7.7, 3.8 Hz, 1H), 3.13-3.19 (m, 1H), 2.72-2.78 (m, 1H), 2.02-2.06 (m, 2H), 1.68-1.78 (m, 1H), 1.36-1.55 (m, 1H)	488.15
2	1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)ethan-1-one	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.02 (s, 1H), 7.54 (d, <i>J</i> = 7.8 Hz, 2H), 7.43 (dd, <i>J</i> = 8.8, 7.3 Hz, 1H), 6.29-6.34 (m, 1H), 6.20 (s, 1H), 5.06 (dd, <i>J</i> = 26.1, 14.9 Hz, 2H), 4.36 (d, <i>J</i> = 12.8 Hz, 1H), 3.75-3.89 (m, 2H), 3.67 (s, 3H), 3.55 (dd, <i>J</i> = 17.3, 11.0 Hz, 1H), 3.35-3.39 (m, 1H), 3.18 (t, <i>J</i> = 11.8 Hz, 1H), 2.80 (t, <i>J</i> = 11.9 Hz, 1H), 2.09 (d, <i>J</i> = 9.9 Hz, 2H), 1.77 (d, <i>J</i> = 11.6 Hz, 1H), 1.53-1.56 (m, 1H)	590
4	3-chloro-2-(3-(2-(1-(2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.04 (s, 1H), 7.45-7.57 (m, 3H), 6.12-6.20 (m, 2H), 5.06 (dd, <i>J</i> = 26.6, 15.1 Hz, 2H), 4.36 (d, <i>J</i> = 12.4 Hz, 1H), 3.75-3.84 (m, 2H), 3.67 (s, 3H), 3.50-3.57 (m, 4H), 3.34-3.39 (m, 1H), 3.18 (t, <i>J</i> = 11.7 Hz, 1H), 2.77-2.83 (m, 1H), 2.08 (d, <i>J</i> = 11.8 Hz, 2H), 1.77 (d, <i>J</i> = 11.5 Hz, 1H), 1.54 (d, <i>J</i> = 12.1 Hz, 1H)	648.15
5	3-chloro-2-(3-(2-(1-(2-((1-methyl-1H-pyrazol-3-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.03 (s, 1H), 7.44-7.57 (m, 4H), 6.12-6.18 (m, 1H), 5.62 (d, <i>J</i> = 2.3 Hz, 1H), 4.80 (dd, <i>J</i> = 24.1, 13.5 Hz, 2H), 4.38 (d, <i>J</i> = 12.5 Hz, 1H), 3.77-3.88 (m, 2H), 3.62 (d, <i>J</i> = 10.2 Hz, 3H), 3.50-3.57 (m, 4H), 3.33-3.39 (m, 1H), 3.19 (t, <i>J</i> = 12.3 Hz, 1H), 2.78 (t, <i>J</i> = 11.7 Hz, 1H), 2.07 (d, <i>J</i> = 11.9 Hz, 2H), 1.75 (d, <i>J</i> = 11.0 Hz, 1H), 1.53 (d, <i>J</i> = 11.2 Hz, 1H)	580.20

6	1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((5-(difluoromethyl)-1-methyl-1H-pyrazol-3-yl)oxy)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.01 (s, 1H), 7.54 (d, <i>J</i> = 7.9 Hz, 2H), 7.43 (dd, <i>J</i> = 8.8, 7.4 Hz, 1H), 7.19 (t, <i>J</i> = 53.6 Hz, 1H), 6.28-6.34 (m, 1H), 6.05 (s, 1H), 4.82-4.91 (m, 2H), 4.37 (d, <i>J</i> = 12.4 Hz, 1H), 3.86 (dd, <i>J</i> = 17.1, 12.4 Hz, 2H), 3.70 (s, 3H), 3.55 (dd, <i>J</i> = 17.3, 11.0 Hz, 1H), 3.34-3.39 (m, 1H), 3.19 (t, <i>J</i> = 12.3 Hz, 1H), 2.78 (t, <i>J</i> = 11.6 Hz, 1H), 2.07 (d, <i>J</i> = 10.9 Hz, 2H), 1.76 (d, <i>J</i> = 10.1 Hz, 1H), 1.54 (d, <i>J</i> = 9.6 Hz, 1H)	570.10
7	2-((5-(difluoromethyl)-1-methyl-1H-pyrazol-3-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.02 (s, 1H), 7.76 (s, 2H), 7.19 (t, <i>J</i> = 53.5 Hz, 1H), 6.28 (dd, <i>J</i> = 12.2, 11.0 Hz, 1H), 6.05 (s, 1H), 4.81-4.91 (m, 2H), 4.37 (d, <i>J</i> = 13.0 Hz, 1H), 3.86 (dd, <i>J</i> = 17.3, 12.4 Hz, 2H), 3.70 (s, 3H), 3.51-3.58 (m, 1H), 3.37 (qd, <i>J</i> = 7.7, 3.8 Hz, 1H), 3.19 (t, <i>J</i> = 12.2 Hz, 1H), 2.78 (t, <i>J</i> = 11.8 Hz, 1H), 2.07 (d, <i>J</i> = 11.0 Hz, 2H), 1.76 (dd, <i>J</i> = 21.9, 11.3 Hz, 1H), 1.54 (dd, <i>J</i> = 20.7, 11.4 Hz, 1H)	606.45
8	3-chloro-2-(3-(2-(1-(2-((5-(difluoromethyl)-1-methyl-1H-pyrazol-3-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.03 (s, 1H), 7.45-7.57 (m, 3H), 7.18 (t, <i>J</i> = 53.5 Hz, 1H), 6.14 (dd, <i>J</i> = 12.2, 11.1 Hz, 1H), 6.04 (s, 1H), 4.86 (dd, <i>J</i> = 25.9, 14.3 Hz, 2H), 4.36 (d, <i>J</i> = 12.7 Hz, 1H), 3.77-3.86 (m, 2H), 3.70 (s, 3H), 3.50-3.57 (m, 4H), 3.33-3.39 (m, 1H), 3.15-3.22 (m, 1H), 2.78 (t, <i>J</i> = 11.7 Hz, 1H), 2.07 (d, <i>J</i> = 11.3 Hz, 2H), 1.76 (d, <i>J</i> = 11.9 Hz, 1H), 1.53 (d, <i>J</i> = 11.0 Hz, 1H)	630.05
9	2-((5-(difluoromethyl)-1-methyl-1H-pyrazol-3-yl)oxy)-1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.01 (s, 1H), 7.44-7.52 (m, 1H), 7.05-7.32 (m, 3H), 5.96-6.04 (m, 2H), 4.86 (dd, <i>J</i> = 26.3, 14.2 Hz, 2H), 4.37 (d, <i>J</i> = 12.1 Hz, 1H), 3.83-3.92 (m, 2H), 3.70 (s, 3H), 3.52 (q, <i>J</i> = 8.6 Hz, 1H), 3.36 (qd, <i>J</i> = 7.7, 3.8 Hz, 1H), 3.16-3.22 (m, 1H), 2.75-2.81 (m, 1H), 2.07 (d, <i>J</i> = 11.8 Hz, 2H), 1.76 (d, <i>J</i> = 11.2 Hz, 1H), 1.54 (d, <i>J</i> = 9.9 Hz, 1H)	538.05
10	2-((1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.02 (s, 1H), 7.76 (s, 2H), 6.28 (dd, <i>J</i> = 12.2, 11.0 Hz, 1H), 6.19 (s, 1H), 5.06 (dd, <i>J</i> = 26.1, 14.9 Hz, 2H), 4.36 (d, <i>J</i> = 12.8 Hz, 1H), 3.86 (dd, <i>J</i> = 17.3, 12.3 Hz, 1H), 3.75-3.78 (m, 1H), 3.67 (s, 3H), 3.54 (dd, <i>J</i> = 17.3, 10.9 Hz, 1H), 3.33-3.39 (m, 1H), 3.15-3.21 (m, 1H), 2.77-2.83	624.25

		(m, 1H), 2.08 (d, $J = 12.2$ Hz, 2H), 1.77 (d, $J = 11.6$ Hz, 1H), 1.55 (d, $J = 11.3$ Hz, 1H)	
11	2-((4-bromo-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)-1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.01 (s, 1H), 7.53-7.55 (m, 2H), 7.43 (dd, $J = 8.8, 7.3$ Hz, 1H), 6.31 (dd, $J = 12.2, 11.0$ Hz, 1H), 5.06 (d, $J = 14.8$ Hz, 1H), 4.96-5.00 (m, 1H), 4.36 (d, $J = 12.2$ Hz, 1H), 3.82-3.89 (m, 5H), 3.55 (dd, $J = 17.3, 11.0$ Hz, 1H), 3.36-3.43 (m, 1H), 3.17-3.25 (m, 1H), 2.77-2.83 (m, 1H), 2.07 (s, 2H), 1.75-1.83 (m, 1H), 1.50-1.59 (m, 1H)	667.75
12	2-(3-(2-(1-(2-((4-bromo-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)-3-chlorophenyl methanesulfonate	^1H -NMR (400 MHz, DMSO- d_6) δ 8.03 (s, 1H), 7.51-7.61 (m, 2H), 7.47 (dd, $J = 7.7, 1.8$ Hz, 1H), 6.12-6.18 (m, 1H), 5.02 (dd, $J = 31.9, 14.7$ Hz, 2H), 4.36 (d, $J = 12.5$ Hz, 1H), 3.77-3.84 (m, 6H), 3.50-3.57 (m, 4H), 3.34-3.41 (m, 2H), 3.20 (t, $J = 12.8$ Hz, 1H), 2.77-2.83 (m, 1H), 2.07 (s, 2H), 1.78-1.83 (m, 1H), 1.50-1.58 (m, 1H)	727.95
13	2-((4-bromo-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.01 (s, 1H), 7.76 (s, 2H), 6.28 (dd, $J = 12.2, 11.0$ Hz, 1H), 5.06 (d, $J = 14.7$ Hz, 1H), 4.96-5.00 (m, 1H), 4.35 (d, $J = 12.7$ Hz, 1H), 3.82-3.90 (m, 5H), 3.54 (dd, $J = 17.3, 10.9$ Hz, 1H), 3.36-3.41 (m, 1H), 3.20 (t, $J = 12.3$ Hz, 1H), 2.80 (t, $J = 11.9$ Hz, 1H), 2.07 (s, 2H), 1.75-1.83 (m, 1H), 1.50-1.60 (m, 1H)	701.85
14	2-((4-bromo-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)-1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.01 (s, 1H), 7.45-7.52 (m, 1H), 7.12-7.18 (m, 2H), 5.99 (dd, $J = 12.1, 8.6$ Hz, 1H), 5.02 (dd, $J = 31.6, 14.5$ Hz, 2H), 4.36 (d, $J = 13.3$ Hz, 1H), 3.82-3.92 (m, 5H), 3.52 (q, $J = 8.6$ Hz, 1H), 3.34-3.42 (m, 1H), 3.18-3.24 (m, 1H), 2.80 (t, $J = 11.7$ Hz, 1H), 2.07 (s, 2H), 1.78-1.83 (m, 1H), 1.51-1.59 (m, 1H)	635.95

15	1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)ethan-1-one	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.01 (s, 1H), 7.53-7.55 (m, 2H), 7.42 (dd, <i>J</i> = 8.8, 7.3 Hz, 1H), 6.38 (s, 1H), 6.31 (dd, <i>J</i> = 12.3, 11.1 Hz, 1H), 4.90 (dd, <i>J</i> = 27.1, 14.5 Hz, 2H), 4.37 (d, <i>J</i> = 13.1 Hz, 1H), 3.80-3.89 (m, 2H), 3.76 (d, <i>J</i> = 0.6 Hz, 3H), 3.55 (dd, <i>J</i> = 17.3, 11.0 Hz, 1H), 3.33-3.39 (m, 1H), 3.19 (t, <i>J</i> = 12.4 Hz, 1H), 2.76-2.81 (m, 1H), 2.07 (d, <i>J</i> = 9.9 Hz, 2H), 1.77 (d, <i>J</i> = 10.9 Hz, 1H), 1.54 (d, <i>J</i> = 9.5 Hz, 1H)	590
16	2-((4-bromo-1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)-1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.01 (d, <i>J</i> = 2.1 Hz, 1H), 7.53-7.55 (m, 2H), 7.43 (dd, <i>J</i> = 8.7, 7.3 Hz, 1H), 6.31 (dd, <i>J</i> = 12.3, 11.1 Hz, 1H), 5.27 (dd, <i>J</i> = 22.3, 15.1 Hz, 2H), 4.36 (d, <i>J</i> = 13.1 Hz, 1H), 3.78-3.89 (m, 4H), 3.74 (d, <i>J</i> = 15.6 Hz, 1H), 3.55 (dd, <i>J</i> = 17.2, 10.9 Hz, 1H), 3.34-3.40 (m, 1H), 3.15-3.21 (m, 1H), 2.81 (t, <i>J</i> = 11.5 Hz, 1H), 2.08 (d, <i>J</i> = 12.7 Hz, 2H), 1.75 (d, <i>J</i> = 11.9 Hz, 1H), 1.56 (d, <i>J</i> = 11.8 Hz, 1H)	667.95
17	2-(3-(2-(1-(2-((4-bromo-1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)-3-chlorophenyl methanesulfonate	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.03 (s, 1H), 7.56 (dd, <i>J</i> = 8.0, 1.9 Hz, 1H), 7.52 (t, <i>J</i> = 7.9 Hz, 1H), 7.46 (dd, <i>J</i> = 7.7, 1.9 Hz, 1H), 6.12-6.18 (m, 1H), 5.00-5.31 (m, 2H), 4.36 (d, <i>J</i> = 13.1 Hz, 1H), 3.77-3.84 (m, 4H), 3.73 (d, <i>J</i> = 13.4 Hz, 1H), 3.48-3.57 (m, 4H), 3.37 (td, <i>J</i> = 7.6, 3.8 Hz, 1H), 3.18 (t, <i>J</i> = 11.7 Hz, 1H), 2.78-2.83 (m, 1H), 2.08 (d, <i>J</i> = 12.7 Hz, 2H), 1.76 (t, <i>J</i> = 12.5 Hz, 1H), 1.57 (t, <i>J</i> = 11.8 Hz, 1H)	727.95
18	2-((4-bromo-1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)-1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.01 (d, <i>J</i> = 2.9 Hz, 1H), 7.45-7.52 (m, 1H), 7.12-7.17 (m, 2H), 5.99 (dd, <i>J</i> = 12.1, 8.6 Hz, 1H), 5.00-5.32 (m, 2H), 4.36 (d, <i>J</i> = 13.4 Hz, 1H), 3.78-3.92 (m, 4H), 3.74 (d, <i>J</i> = 15.6 Hz, 1H), 3.52 (q, <i>J</i> = 8.7 Hz, 1H), 3.34-3.40 (m, 1H), 3.15-3.21 (m, 1H), 2.78-2.84 (m, 1H), 2.06-2.09 (m, 2H), 1.70-1.80 (m, 1H), 1.58 (t, <i>J</i> = 11.5 Hz, 1H)	635.95
19	3-chloro-2-(3-(2-(1-(2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.03 (s, 1H), 7.56 (dd, <i>J</i> = 7.9, 1.8 Hz, 1H), 7.53 (t, <i>J</i> = 7.9 Hz, 1H), 7.47 (dd, <i>J</i> = 7.8, 1.8 Hz, 1H), 6.39 (s, 1H), 6.15 (dd, <i>J</i> = 12.2, 10.9 Hz, 1H), 4.91 (dd, <i>J</i> = 27.8, 14.5 Hz, 2H), 4.37 (d, <i>J</i> = 12.4 Hz, 1H),	648.05

		3.76-3.85 (m, 5H), 3.50-3.57 (m, 4H), 3.34-3.40 (m, 1H), 3.19 (t, $J = 12.2$ Hz, 1H), 2.79 (t, $J = 11.8$ Hz, 1H), 2.07 (d, $J = 10.2$ Hz, 2H), 1.77 (d, $J = 10.5$ Hz, 1H), 1.52-1.56 (m, 1H)	
21	2-((1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.02 (s, 1H), 7.78 (d, $J = 15.0$ Hz, 2H), 6.39 (s, 1H), 6.28 (dd, $J = 12.3, 10.9$ Hz, 1H), 4.91 (dd, $J = 26.9, 14.7$ Hz, 2H), 4.37 (d, $J = 12.4$ Hz, 1H), 3.80-3.90 (m, 2H), 3.76 (d, $J = 0.6$ Hz, 3H), 3.54 (dd, $J = 17.3, 10.9$ Hz, 1H), 3.37 (qd, $J = 7.6, 3.8$ Hz, 1H), 3.16-3.22 (m, 1H), 2.76-2.82 (m, 1H), 2.07 (d, $J = 11.3$ Hz, 2H), 1.77 (d, $J = 10.9$ Hz, 1H), 1.54 (d, $J = 9.6$ Hz, 1H)	624.20
22	2-((4-bromo-1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.01 (s, 1H), 7.75 (s, 2H), 6.25 (dd, $J = 12.3, 10.9$ Hz, 1H), 5.24 (dd, $J = 22.1, 14.9$ Hz, 2H), 4.33 (d, $J = 13.3$ Hz, 1H), 3.75-3.86 (m, 4H), 3.71 (d, $J = 13.9$ Hz, 1H), 3.51-3.60 (m, 1H), 3.33-3.38 (m, 1H), 3.15 (t, $J = 11.8$ Hz, 1H), 2.78 (t, $J = 11.7$ Hz, 1H), 2.03-2.10 (m, 2H), 1.67-1.76 (m, 1H), 1.49-1.57 (m, 1H)	702.10
23	2-(2,4-dichlorophenoxy)-1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)propan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.02 (d, $J = 5.7$ Hz, 1H), 7.53-7.57 (m, 3H), 7.43 (dd, $J = 8.7, 7.3$ Hz, 1H), 7.35 (d, $J = 8.9$ Hz, 1H), 6.94 (dd, $J = 16.9, 8.9$ Hz, 1H), 6.29-6.35 (m, 1H), 5.39 (dd, $J = 36.4, 6.6$ Hz, 1H), 4.38 (d, $J = 13.0$ Hz, 1H), 4.08 (q, $J = 12.9$ Hz, 1H), 3.81-3.90 (m, 1H), 3.51-3.60 (m, 1H), 3.34-3.39 (m, 1H), 3.20-3.28 (m, 1H), 2.77-2.84 (m, 1H), 2.10 (d, $J = 12.5$ Hz, 2H), 1.74 (d, $J = 11.9$ Hz, 1H), 1.45-1.57 (m, 4H)	599.90
24	3-chloro-2-(3-(2-(1-(2-(2,4-dichlorophenoxy)propanoyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	^1H -NMR (400 MHz, DMSO- d_6) δ 8.04 (d, $J = 5.5$ Hz, 1H), 7.51-7.59 (m, 3H), 7.47 (dd, $J = 7.8, 1.8$ Hz, 1H), 7.32-7.36 (m, 1H), 6.87-7.03 (m, 1H), 6.12-6.18 (m, 1H), 5.31-5.45 (m, 1H), 4.38 (d, $J = 12.4$ Hz, 1H), 4.03-4.14 (m, 1H), 3.76-3.85 (m, 1H), 3.50-3.58 (m, 4H), 3.34-3.39 (m, 1H), 3.14-3.28 (m, 1H), 2.77-2.84 (m, 1H), 2.10 (d, $J = 11.8$ Hz, 2H), 1.74 (d, $J = 12.2$ Hz, 1H), 1.41-1.57 (m, 4H)	659.95

25	2-(2,4-dichlorophenoxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)propan-1-one	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.02 (d, <i>J</i> = 5.5 Hz, 1H), 7.75 (d, <i>J</i> = 10.4 Hz, 2H), 7.57 (d, <i>J</i> = 2.6 Hz, 1H), 7.35 (d, <i>J</i> = 8.9 Hz, 1H), 6.94 (dd, <i>J</i> = 17.1, 9.0 Hz, 1H), 6.25-6.31 (m, 1H), 5.32-5.45 (m, 1H), 4.38 (d, <i>J</i> = 12.4 Hz, 1H), 4.08 (q, <i>J</i> = 13.0 Hz, 1H), 3.81-3.90 (m, 1H), 3.49-3.58 (m, 1H), 3.33-3.39 (m, 1H), 3.19-3.29 (m, 1H), 2.77-2.84 (m, 1H), 2.09 (d, <i>J</i> = 12.4 Hz, 2H), 1.74 (d, <i>J</i> = 12.8 Hz, 1H), 1.45-1.57 (m, 4H)	633.75
26	2-(2,4-dichlorophenoxy)-1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)propan-1-one	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.02 (d, <i>J</i> = 5.7 Hz, 1H), 7.56-7.58 (m, 1H), 7.45-7.53 (m, 1H), 7.35 (t, <i>J</i> = 4.4 Hz, 1H), 7.12-7.17 (m, 2H), 6.95 (dd, <i>J</i> = 16.7, 8.9 Hz, 1H), 5.97-6.02 (m, 1H), 5.32-5.44 (m, 1H), 4.38 (d, <i>J</i> = 13.0 Hz, 1H), 4.03-4.12 (m, 1H), 3.84-3.93 (m, 1H), 3.47-3.56 (m, 1H), 3.37 (dq, <i>J</i> = 15.0, 3.8 Hz, 1H), 3.20-3.28 (m, 1H), 2.77-2.84 (m, 1H), 2.10 (d, <i>J</i> = 12.4 Hz, 2H), 1.74 (d, <i>J</i> = 12.1 Hz, 1H), 1.41-1.57 (m, 4H)	566.05
27	1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((3-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.38-8.39 (m, 1H), 8.10 (dd, <i>J</i> = 7.5, 1.2 Hz, 1H), 8.02 (s, 1H), 7.53-7.55 (m, 2H), 7.43 (dd, <i>J</i> = 8.8, 7.3 Hz, 1H), 7.16 (dd, <i>J</i> = 7.3, 5.1 Hz, 1H), 6.32 (dd, <i>J</i> = 12.3, 11.1 Hz, 1H), 5.26 (s, 2H), 4.32 (d, <i>J</i> = 12.5 Hz, 1H), 3.83-3.92 (m, 2H), 3.56 (dd, <i>J</i> = 17.1, 11.0 Hz, 1H), 3.36-3.41 (m, 1H), 3.24 (t, <i>J</i> = 12.2 Hz, 1H), 2.79 (t, <i>J</i> = 11.8 Hz, 1H), 2.04-2.11 (m, 2H), 1.81 (d, <i>J</i> = 11.6 Hz, 1H), 1.55 (d, <i>J</i> = 10.4 Hz, 1H)	585.95
28	3-chloro-2-(3-(2-(1-(2-((3-(trifluoromethyl)pyridin-2-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	¹ H -NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.39 (d, <i>J</i> = 4.1 Hz, 1H), 8.10 (d, <i>J</i> = 7.5 Hz, 1H), 8.04 (s, 1H), 7.51-7.58 (m, 2H), 7.47 (dd, <i>J</i> = 7.8, 1.8 Hz, 1H), 7.16 (dd, <i>J</i> = 7.3, 5.0 Hz, 1H), 6.13-6.18 (m, 1H), 5.26 (s, 2H), 4.32 (d, <i>J</i> = 13.0 Hz, 1H), 3.90 (d, <i>J</i> = 13.1 Hz, 1H), 3.82 (dd, <i>J</i> = 17.3, 12.3 Hz, 1H), 3.51-3.58 (m, 4H), 3.36-3.41 (m, 1H), 3.23 (t, <i>J</i> = 12.3 Hz, 1H), 2.78 (t, <i>J</i> = 11.9 Hz, 1H), 2.04-2.10 (m, 2H), 1.81 (d, <i>J</i> = 12.1 Hz, 1H), 1.54 (d, <i>J</i> = 10.5 Hz, 1H)	645

30	1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((3-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.39 (dd, <i>J</i> = 4.8, 1.0 Hz, 1H), 8.10 (dd, <i>J</i> = 7.6, 1.1 Hz, 1H), 8.02 (s, 1H), 7.76 (s, 2H), 7.16 (dd, <i>J</i> = 7.2, 5.2 Hz, 1H), 6.28 (dd, <i>J</i> = 12.3, 11.1 Hz, 1H), 5.26 (s, 2H), 4.32 (d, <i>J</i> = 12.8 Hz, 1H), 3.83-3.92 (m, 2H), 3.55 (dd, <i>J</i> = 17.3, 11.0 Hz, 1H), 3.35-3.40 (m, 1H), 3.22 (d, <i>J</i> = 13.6 Hz, 1H), 2.79 (t, <i>J</i> = 11.9 Hz, 1H), 2.04-2.10 (m, 2H), 1.82 (t, <i>J</i> = 11.7 Hz, 1H), 1.53-1.58 (m, 1H)	620.90
31	2-((5-chloro-3-(trifluoromethyl)pyridin-2-yl)oxy)-1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.47 (d, <i>J</i> = 2.7 Hz, 1H), 8.29 (d, <i>J</i> = 2.7 Hz, 1H), 8.04 (s, 1H), 7.55-7.57 (m, 2H), 7.42 (dd, <i>J</i> = 8.8, 7.3 Hz, 1H), 6.32 (dd, <i>J</i> = 12.3, 11.1 Hz, 1H), 5.30 (s, 2H), 4.33 (d, <i>J</i> = 13.4 Hz, 1H), 3.85-3.92 (m, 2H), 3.57-3.62 (m, 1H), 3.37-3.43 (m, 1H), 3.24 (t, <i>J</i> = 11.9 Hz, 1H), 2.80 (t, <i>J</i> = 11.6 Hz, 1H), 2.09 (t, <i>J</i> = 13.8 Hz, 2H), 1.82 (d, <i>J</i> = 11.7 Hz, 1H), 1.56 (d, <i>J</i> = 12.2 Hz, 1H)	619
32	3-chloro-2-(3-(2-(1-(2-((5-chloro-3-(trifluoromethyl)pyridin-2-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.48 (t, <i>J</i> = 2.3 Hz, 1H), 8.29 (t, <i>J</i> = 2.2 Hz, 1H), 8.06 (d, <i>J</i> = 1.2 Hz, 1H), 7.47-7.61 (m, 3H), 6.14-6.20 (m, 1H), 5.30 (s, 2H), 4.32 (d, <i>J</i> = 13.0 Hz, 1H), 3.80-3.90 (m, 2H), 3.53-3.60 (m, 4H), 3.39-3.41 (m, 1H), 3.24 (m, 1H), 2.78 (m, 1H), 2.07 (d, <i>J</i> = 13.9 Hz, 2H), 1.82 (m, 1H), 1.54 (m, 1H)	678.95
33	2-((5-chloro-3-(trifluoromethyl)pyridin-2-yl)oxy)-1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.49 (d, <i>J</i> = 2.0 Hz, 1H), 8.29 (d, <i>J</i> = 2.4 Hz, 1H), 8.04 (s, 1H), 7.47-7.54 (m, 1H), 7.14-7.20 (m, 2H), 6.01 (dd, <i>J</i> = 12.1, 8.7 Hz, 1H), 5.30 (s, 2H), 4.31-4.34 (m, 1H), 3.91 (dd, <i>J</i> = 17.1, 12.2 Hz, 2H), 3.54 (q, <i>J</i> = 8.6 Hz, 1H), 3.37-3.43 (m, 1H), 3.24 (t, <i>J</i> = 12.3 Hz, 1H), 2.68-2.83 (m, 1H), 2.05-2.12 (m, 2H), 1.81-1.87 (m, 1H), 1.54-1.60 (m, 1H)	587.40
34	2-((5-chloro-3-(trifluoromethyl)pyridin-2-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.49 (d, <i>J</i> = 2.4 Hz, 1H), 8.29 (d, <i>J</i> = 2.4 Hz, 1H), 8.04 (s, 1H), 7.78 (s, 2H), 6.30 (dd, <i>J</i> = 12.2, 11.0 Hz, 1H), 5.30 (s, 2H), 4.32 (d, <i>J</i> = 14.2 Hz, 1H), 3.85-3.92 (m, 2H), 3.57 (dd, <i>J</i> = 17.2, 10.9 Hz, 1H), 3.37-3.42 (m, 1H), 3.21-3.27 (m, 1H), 2.80 (t, <i>J</i> = 12.0 Hz, 1H), 2.05-2.11 (m, 2H), 1.82 (d, <i>J</i> = 12.5 Hz, 1H), 1.57 (t, <i>J</i> =	654.85

		12.3 Hz, 1H)	
35	2-((5-bromo-3-(trifluoromethyl)pyridin-2-yl)oxy)-1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.55 (d, <i>J</i> = 2.4 Hz, 1H), 8.36 (d, <i>J</i> = 2.4 Hz, 1H), 8.05 (d, <i>J</i> = 7.6 Hz, 1H), 7.55-7.57 (m, 2H), 7.45 (dd, <i>J</i> = 8.8, 7.3 Hz, 1H), 6.34 (dd, <i>J</i> = 12.2, 11.0 Hz, 1H), 5.30 (s, 2H), 4.32 (d, <i>J</i> = 13.0 Hz, 1H), 3.85-3.92 (m, 2H), 3.58 (dd, <i>J</i> = 17.1, 11.0 Hz, 1H), 3.39 (qd, <i>J</i> = 7.7, 3.8 Hz, 1H), 3.24 (t, <i>J</i> = 12.2 Hz, 1H), 2.80 (t, <i>J</i> = 11.6 Hz, 1H), 2.06-2.12 (m, 2H), 1.77-1.86 (m, 1H), 1.57 (t, <i>J</i> = 11.7 Hz, 1H)	664.85
36	2-(3-(2-(1-(2-((5-bromo-3-(trifluoromethyl)pyridin-2-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)-3-chlorophenyl methanesulfonate	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.55 (d, <i>J</i> = 2.3 Hz, 1H), 8.36 (d, <i>J</i> = 2.2 Hz, 1H), 8.06 (s, <i>J</i> = 1.5 Hz, 1H), 7.47-7.59 (m, 3H), 6.14-6.20 (m, 1H), 5.30 (s, 2H), 4.32 (t, <i>J</i> = 6.2 Hz, 1H), 3.80-3.90 (m, 2H), 3.53-3.57 (m, 4H), 3.38-3.41 (m, 1H), 3.24 (t, <i>J</i> = 11.9 Hz, 1H), 2.77-2.83 (m, 1H), 2.05-2.12 (m, 2H), 1.83 (s, 1H), 1.54 (d, <i>J</i> = 3.7 Hz, 1H)	723.95
37	2-((5-bromo-3-(trifluoromethyl)pyridin-2-yl)oxy)-1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.55 (d, <i>J</i> = 2.4 Hz, 1H), 8.36 (d, <i>J</i> = 2.4 Hz, 1H), 8.05 (d, <i>J</i> = 7.3 Hz, 1H), 7.47-7.57 (m, 1H), 7.14-7.20 (m, 2H), 6.01 (dd, <i>J</i> = 12.1, 8.4 Hz, 1H), 5.30 (s, 2H), 4.31-4.35 (m, 1H), 3.91 (dd, <i>J</i> = 17.2, 12.1 Hz, 2H), 3.54 (q, <i>J</i> = 8.6 Hz, 1H), 3.37-3.42 (m, 1H), 3.24 (t, <i>J</i> = 11.7 Hz, 1H), 2.77-2.83 (m, 1H), 2.09 (dd, <i>J</i> = 14.1, 11.9 Hz, 2H), 1.82 (d, <i>J</i> = 12.0 Hz, 1H), 1.51-1.57 (m, 1H)	633.25
38	2-((5-bromo-3-(trifluoromethyl)pyridin-2-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.55 (d, <i>J</i> = 2.2 Hz, 1H), 8.36 (d, <i>J</i> = 2.2 Hz, 1H), 8.04 (s, <i>J</i> = 1.0 Hz, 1H), 7.78 (d, <i>J</i> = 0.7 Hz, 2H), 6.27-6.33 (m, 1H), 5.30 (s, 2H), 4.32 (t, <i>J</i> = 6.6 Hz, 1H), 3.89 (dd, <i>J</i> = 17.4, 12.5 Hz, 2H), 3.57 (dd, <i>J</i> = 17.2, 10.9 Hz, 1H), 3.38-3.41 (m, 1H), 3.25 (d, <i>J</i> = 12.2 Hz, 1H), 2.80-2.83 (m, 1H), 2.04-2.12 (m, 2H), 1.83 (m, <i>J</i> = 1.2 Hz, 1H), 1.54 (m, 1H)	698.75
39	2-((6-methyl-3-(trifluoromethyl)pyridin-2-yl)oxy)-1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)ethan-1-one	¹ H-NMR (400 MHz, DMSO- <i>d</i> ₆) δ 8.03 (s, 1H), 7.96 (d, <i>J</i> = 7.6 Hz, 1H), 7.77 (s, 2H), 7.00 (d, <i>J</i> = 7.8 Hz, 1H), 6.28 (dd, <i>J</i> = 12.3, 10.9 Hz, 1H), 5.19 (dd, <i>J</i> = 40.7, 14.3 Hz, 2H), 4.34 (d, <i>J</i> = 11.7 Hz, 1H),	635.05

		3.94 (d, $J = 13.0$ Hz, 1H), 3.86 (dd, $J = 17.4, 12.2$ Hz, 1H), 3.55 (dd, $J = 17.2, 10.9$ Hz, 1H), 3.36-3.44 (m, 1H), 3.21-3.28 (m, 1H), 2.76-2.83 (m, 1H), 2.39 (s, 3H), 2.05-2.13 (m, 2H), 1.76-1.86 (m, 1H), 1.49-1.59 (m, 1H)	
40	1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((6-methyl-3-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	$^1\text{H-NMR}$ (400 MHz, DMSO- d_6) δ 8.02 (s, 1H), 7.96 (d, $J = 7.6$ Hz, 1H), 7.55 (d, $J = 0.7$ Hz, 1H), 7.53 (s, 1H), 7.43 (dd, $J = 8.7, 7.2$ Hz, 1H), 7.00 (d, $J = 7.6$ Hz, 1H), 6.29-6.35 (m, 1H), 5.20 (dd, $J = 42.2, 15.0$ Hz, 2H), 4.34 (d, $J = 13.9$ Hz, 1H), 3.94 (d, $J = 15.6$ Hz, 1H), 3.86 (dd, $J = 17.2, 12.3$ Hz, 1H), 3.56 (dd, $J = 17.1, 11.0$ Hz, 1H), 3.36-3.44 (m, 1H), 3.21-3.28 (m, 1H), 2.80 (t, $J = 11.6$ Hz, 1H), 2.39 (s, 3H), 2.05-2.14 (m, 2H), 1.76-1.87 (m, 1H), 1.48-1.60 (m, 1H)	567.05
41	1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((6-methyl-3-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	$^1\text{H-NMR}$ (400 MHz, DMSO- d_6) δ 8.03 (s, 1H), 7.96 (d, $J = 7.8$ Hz, 1H), 7.46-7.53 (m, 1H), 7.16 (t, $J = 8.6$ Hz, 2H), 7.00 (d, $J = 7.8$ Hz, 1H), 6.00 (dd, $J = 12.1, 8.4$ Hz, 1H), 5.20 (dd, $J = 44.7, 14.7$ Hz, 2H), 4.35 (d, $J = 10.5$ Hz, 1H), 4.04-3.80 (2H), 3.53 (dd, $J = 17.7, 8.4$ Hz, 1H), 3.38-3.43 (m, 1H), 3.22-3.28 (m, 1H), 2.76-2.83 (m, 1H), 2.39 (s, 3H), 2.05-2.14 (m, 2H), 1.76-1.87 (m, 1H), 1.47-1.61 (m, 1H)	599.00
42	3-chloro-2-(3-(2-(1-(2-((6-methyl-3-(trifluoromethyl)pyridin-2-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	$^1\text{H-NMR}$ (400 MHz, DMSO- d_6) δ 8.06 (s, 1H), 7.97 (d, $J = 7.8$ Hz, 1H), 7.58 (dd, $J = 7.9, 1.8$ Hz, 1H), 7.54 (t, $J = 7.9$ Hz, 1H), 7.48 (dd, $J = 7.8, 1.7$ Hz, 1H), 7.01 (d, $J = 7.8$ Hz, 1H), 6.17 (dd, $J = 12.2, 11.0$ Hz, 1H), 5.21 (dd, $J = 46.0, 14.4$ Hz, 2H), 4.36 (d, $J = 12.7$ Hz, 1H), 3.95 (d, $J = 13.2$ Hz, 1H), 3.83 (dd, $J = 17.2, 12.3$ Hz, 1H), 3.56 (dd, $J = 17.2, 10.9$ Hz, 1H), 3.54 (s, 3H), 3.42 (tt, $J = 11.5, 3.9$ Hz, 1H), 3.26 (t, $J = 12.5$ Hz, 1H), 2.81 (t, $J = 12.2$ Hz, 1H), 2.40 (s, 3H), 2.10 (t, $J = 17.0$ Hz, 2H), 1.79-1.88 (m, 1H), 1.50-1.59 (m, 1H)	659.15
43	1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((4-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	$^1\text{H-NMR}$ (400 MHz, DMSO- d_6) δ 8.38 (d, $J = 5.1$ Hz, 1H), 8.02 (s, 1H), 7.45-7.53 (m, 1H), 7.30 (d, $J = 5.4$ Hz, 1H), 7.27 (s, 1H), 7.12-7.18 (m, 2H), 6.00 (dd, $J = 12.1, 8.7$ Hz, 1H), 5.19 (s, 2H), 4.33 (d, $J = 13.0$ Hz, 1H), 3.89 (dd, $J = 17.2, 12.1$ Hz, 2H), 3.53 (dd, $J = 17.2,$	552.5

		8.6 Hz, 1H), 3.38 (tt, $J = 11.4, 3.7$ Hz, 1H), 3.21-3.27 (m, 1H), 2.79 (t, $J = 11.6$ Hz, 1H), 2.08 (t, $J = 15.8$ Hz, 2H), 1.81 (dd, $J = 24.1, 12.3$ Hz, 1H), 1.49-1.59 (m, 1H)	
44	1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((4-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.38 (d, $J = 5.4$ Hz, 1H), 8.02 (s, 1H), 7.76 (s, 2H), 7.30 (dd, $J = 5.4, 1.5$ Hz, 1H), 7.26-7.28 (m, 1H), 6.28 (dd, $J = 12.2, 11.0$ Hz, 1H), 5.19 (s, 2H), 4.33 (d, $J = 13.2$ Hz, 1H), 3.97-3.80 (2H), 3.55 (dd, $J = 17.2, 10.9$ Hz, 1H), 3.38 (tt, $J = 11.6, 3.8$ Hz, 1H), 3.24 (t, $J = 11.6$ Hz, 1H), 2.79 (t, $J = 14.2$ Hz, 1H), 2.04-2.12 (m, 2H), 1.76-1.85 (m, 1H), 1.49-1.58 (m, 1H)	619.8
45	1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((4-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.38 (d, $J = 5.1$ Hz, 1H), 8.02 (s, 1H), 7.55 (d, $J = 0.7$ Hz, 1H), 7.53 (s, 1H), 7.43 (dd, $J = 8.6, 7.3$ Hz, 1H), 7.30 (d, $J = 5.4$ Hz, 1H), 7.27 (s, 1H), 6.32 (dd, $J = 12.2, 11.0$ Hz, 1H), 5.19 (s, 2H), 4.33 (d, $J = 13.2$ Hz, 1H), 3.97-3.77 (2H), 3.56 (dd, $J = 17.1, 11.0$ Hz, 1H), 3.38 (tt, $J = 11.5, 3.8$ Hz, 1H), 3.24 (t, $J = 11.9$ Hz, 1H), 2.79 (t, $J = 11.6$ Hz, 1H), 2.04-2.12 (m, 2H), 1.76-1.85 (m, 1H), 1.49-1.58 (m, 1H)	585.4
46	3-chloro-2-(3-(2-(1-(2-((4-(trifluoromethyl)pyridin-2-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	^1H -NMR (400 MHz, DMSO- d_6) δ 8.38 (d, $J = 5.4$ Hz, 1H), 8.04 (s, 1H), 7.56 (dd, $J = 7.9, 1.8$ Hz, 1H), 7.53 (t, $J = 7.8$ Hz, 1H), 7.47 (dd, $J = 7.7, 1.8$ Hz, 1H), 7.30 (dd, $J = 5.3, 1.1$ Hz, 1H), 7.26-7.28 (m, 1H), 6.15 (dd, $J = 12.2, 10.8$ Hz, 1H), 5.19 (s, 2H), 4.33 (d, $J = 12.7$ Hz, 1H), 3.91 (d, $J = 13.7$ Hz, 1H), 3.82 (dd, $J = 17.2, 12.3$ Hz, 1H), 3.55 (dd, $J = 17.2, 10.9$ Hz, 4H), 3.38 (tt, $J = 11.5, 3.7$ Hz, 1H), 3.24 (t, $J = 11.9$ Hz, 1H), 2.76-2.81 (m, 1H), 2.08 (t, $J = 15.4$ Hz, 2H), 1.76-1.85 (m, 1H), 1.48-1.58 (m, 1H)	645
47	1-(4-(4-(5-(2,6-dichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((5-(trifluoromethyl)pyridin-2-yl)oxy)ethan-1-one	^1H -NMR (400 MHz, DMSO- d_6) δ 8.54 (d, $J = 1.0$ Hz, 1H), 8.09 (dd, $J = 8.8, 2.7$ Hz, 1H), 8.04 (s, 1H), 7.55-7.57 (m, 2H), 7.45 (dd, $J = 8.8, 7.3$ Hz, 1H), 7.10 (d, $J = 8.8$ Hz, 1H), 6.34 (dd, $J = 12.3, 11.1$ Hz, 1H), 5.22 (s, 2H), 4.33-4.36 (m, 1H), 3.84-3.93 (m, 2H), 3.58 (dd, $J = 17.2, 11.1$ Hz, 1H), 3.38-3.43 (m, 1H), 3.25 (t,	585

		$J = 11.7$ Hz, 1H), 2.81 (t, $J = 11.6$ Hz, 1H), 2.10 (t, $J = 15.2$ Hz, 2H), 1.83 (t, $J = 12.0$ Hz, 1H), 1.57 (t, $J = 11.5$ Hz, 1H)	
48	3-chloro-2-(3-(2-(1-(2-((5-(trifluoromethyl)pyridin-2-yl)oxy)acetyl)piperidin-4-yl)thiazol-4-yl)-4,5-dihydroisoxazol-5-yl)phenyl methanesulfonate	$^1\text{H-NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 8.54 (s, 1H), 8.08 (t, $J = 8.2$ Hz, 2H), 7.47-7.59 (m, 3H), 7.10 (d, $J = 8.8$ Hz, 1H), 6.17 (t, $J = 11.5$ Hz, 1H), 5.22 (s, 2H), 4.34 (d, $J = 12.2$ Hz, 1H), 3.79-3.93 (m, 2H), 3.54-3.63 (m, 4H), 3.39-3.45 (m, 1H), 3.22-3.28 (m, 1H), 2.81 (t, $J = 11.9$ Hz, 1H), 2.10 (t, $J = 14.9$ Hz, 2H), 1.79-1.82 (m, 1H), 1.55 (d, $J = 11.7$ Hz, 1H)	645.10
49	1-(4-(4-(5-(2,6-difluorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((5-(trifluoromethyl)pyridin-2-yl)oxy)ethanol-one	$^1\text{H-NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 8.54 (q, $J = 0.8$ Hz, 1H), 8.08-8.10 (m, 1H), 8.04 (s, 1H), 7.47-7.54 (m, 1H), 7.09-7.20 (m, 3H), 6.01 (dd, $J = 12.1$, 8.7 Hz, 1H), 5.22 (s, 2H), 4.35 (d, $J = 13.2$ Hz, 1H), 3.87-3.95 (m, 2H), 3.54 (q, $J = 8.6$ Hz, 1H), 3.38-3.43 (m, 1H), 3.25 (t, $J = 12.0$ Hz, 1H), 2.68-2.83 (m, 1H), 2.10 (t, $J = 15.3$ Hz, 2H), 1.82 (q, $J = 12.0$ Hz, 1H), 1.51-1.60 (m, 1H)	553.15
50	1-(4-(4-(5-(2,4,6-trichlorophenyl)-4,5-dihydroisoxazol-3-yl)thiazol-2-yl)piperidin-1-yl)-2-((5-(trifluoromethyl)pyridin-2-yl)oxy)ethanol-one	$^1\text{H-NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 8.54 (q, $J = 0.8$ Hz, 1H), 8.08-8.11 (m, 1H), 8.04 (s, 1H), 7.78 (d, $J = 0.0$ Hz, 2H), 7.10 (t, $J = 4.4$ Hz, 1H), 6.30 (dd, $J = 12.2$, 11.0 Hz, 1H), 5.22 (s, 2H), 4.34 (d, $J = 13.0$ Hz, 1H), 3.88 (dd, $J = 17.4$, 12.2 Hz, 2H), 3.57 (dd, $J = 17.2$, 10.9 Hz, 1H), 3.37-3.43 (m, 1H), 3.25 (dd, $J = 12.1$, 11.6 Hz, 1H), 2.68-2.83 (m, 1H), 2.10 (t, $J = 15.2$ Hz, 2H), 1.83 (t, $J = 12.2$ Hz, 1H), 1.57 (t, $J = 11.5$ Hz, 1H)	620.95

* Compound names generated using Chemdraw Professional 16.0

BIOLOGY EXAMPLES:

Phytophthora infestans (Late blight of potato & tomato):

IN VITRO TEST: Compounds were dissolved in 0.3% DMSO & then added to Rye Agar medium just prior to dispensing it into petri dishes. 5ml medium with compound in the desired concentration was dispensed into 60mm sterile petri-plates. After solidification each plate was seeded with 5mm size mycelial disc taken from periphery of actively growing virulent culture plate. Plates were incubated in growth chambers at 18 °C temperature and 95% relative humidity for seven days and radial growth was measured. Compounds 1, 2, 3, 4, 5, 9, 10, 15, 19, 20, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36, 37, 38, 39, 40 & 41 at 30ppm gave 70% control in these tests when compared to the untreated check which showed

extensive disease development.

GREENHOUSE: Compounds were dissolved in 2% DMSO/Acetone & then mixed with water to calibrated spray volume of 50ml. This 50ml spray solution was poured into the spray bottles for further applications. To test the preventive activity of compounds, healthy young Tomato plants raised in the greenhouse were sprayed with active compound preparation at the stated application rates inside the spray cabinets using hallow-cone nozzles. One day after treatment, the plants were inoculated with sporangial suspension (Cold sterile water) containing 0.24×10^6 *Phytophthora infestans* inoculum. After inoculation the plants were kept in darkness at 15°C during 24 hours, and then they were kept in greenhouse chamber at 18°C temperature and 95-100 % relative humidity for disease expression. A visual assessment of compound's performance was carried by rating the disease severity (0-100% scale) on treated plants on 3, 7, 10 and 15 days after application. Efficacy (% control) of the compounds was calculated by comparing the disease rating in the treatment with untreated control. The sprayed plants were also assessed for compound's phytotoxic effects by recording symptoms like necrosis, chlorosis and stunting. Compounds 3, 4, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36 & 37 at 50ppm gave 90% control in these tests when compared to the untreated check which showed extensive disease development. None of the compounds showed any negative crop response to any of the compounds tested.

Example B: *Plasmopara viticola* test in Grape

Compounds were dissolved in 2% DMSO/Acetone & then mixed with water to calibrated spray volume of 50ml. This 50ml spray solution was poured into the spray bottles for further applications.

To test the preventive activity of compounds, five week old healthy grape seedlings raised in the greenhouse were sprayed with active compound preparation at the stated application rates inside the spray cabinets using hallow-cone nozzles. One day after treatment, the plants were inoculated with inoculum suspension (Cold sterile water) containing 6×10^6 *Plasmopara viticola* inoculum. The inoculated plants were then kept in greenhouse chamber at 18-21°C temperature and 95-100 % relative humidity for disease expression.

A visual assessment of compound's performance was carried by rating the disease severity (0-100% scale) on treated plants on 3, 7, 10 and 15 days after application. Efficacy (% control) of the compounds was calculated by comparing the disease rating in the treatment with untreated control. The sprayed plants were also assessed for compound's phytotoxic effects by recording symptoms like necrosis, chlorosis and stunting.

Dated this 8th day of September 2017

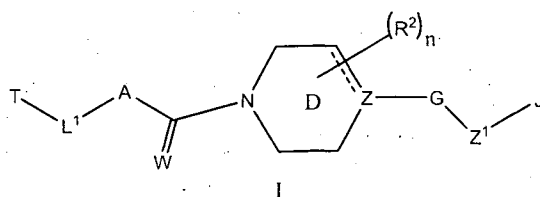
Archana

ABSTRACT

Novel Fungicidal Heterocyclic Compounds

The present invention relates to a compound selected from Formula I, salts, metal complexes, N-oxides, isomers, and polymorphs of compounds of Formula I:

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The substituents T, L¹, A, W, Z, R², n, Z¹ & J have the meaning as defined in the description..