

COMPOUNDS FOR TREATING HUNTINGTON'S DISEASE

An aspect of the present description relates to compounds, forms, and pharmaceutical compositions thereof and methods of using such compounds, forms, or compositions thereof useful for treating or ameliorating Huntington's disease. In particular, another aspect of the present description relates to substituted monocyclic heteroaryl compounds, forms and pharmaceutical compositions thereof and methods of using such compounds, forms, or compositions thereof for treating or ameliorating Huntington's disease.

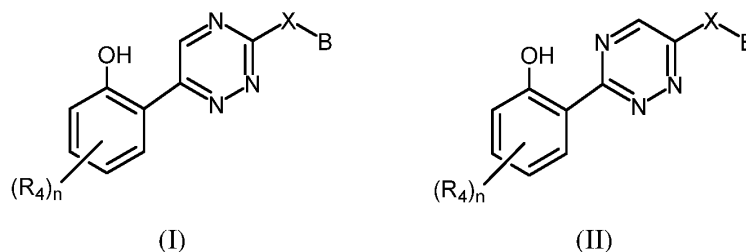
BACKGROUND

Huntington's disease (HD) is a progressive, autosomal dominant neurodegenerative disorder of the brain, having symptoms characterized by involuntary movements, cognitive impairment, and mental deterioration. Death, typically caused by pneumonia or coronary artery disease, usually occurs 13 to 15 years after the onset of symptoms. The prevalence of HD is between three and seven individuals per 100,000 in populations of western European descent. In North America, an estimated 30,000 people have HD, while an additional 200,000 people are at risk of inheriting the disease from an affected parent. The disease is caused by an expansion of uninterrupted trinucleotide CAG repeats in the "mutant" huntingtin (Htt) gene, leading to production of HTT (Htt protein) with an expanded poly-glutamine (polyQ) stretch, also known as a "CAG repeat" sequence. There are no current small molecule therapies targeting the underlying cause of the disease, leaving a high unmet need for medications that can be used for treating or ameliorating HD. Consequently, there remains a need to identify and provide small molecule compounds for treating or ameliorating HD.

All other documents referred to herein are incorporated by reference into the present application as though fully set forth herein.

SUMMARY

An aspect of the present description includes compounds comprising, a compound of Formula (I) or Formula (II):



or a form thereof, wherein X, B, R₄, and n are as defined herein.

5 An aspect of the present description includes a method for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula (I) or Formula (II) or a form thereof.

 An aspect of the present description includes a method for use of a compound of Formula (I) or Formula (II) or a form or composition thereof for treating or ameliorating HD in a subject in
 10 need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form or composition thereof.

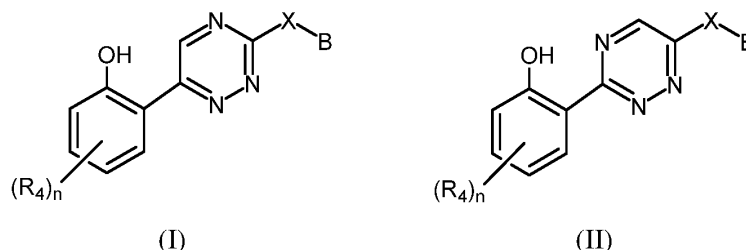
 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof for treating or ameliorating HD in a subject in need thereof
 comprising, administering to the subject an effective amount of the compound of Formula (I) or
 15 Formula (II) or a form thereof.

 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in the manufacture of a medicament for treating or ameliorating
 HD in a subject in need thereof comprising, administering to the subject an effective amount of
 the medicament.

20 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in a combination product with one or more therapeutic agents for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof in combination with an effective amount of the one or more agents.

DETAILED DESCRIPTION

An aspect of the present description relates to compounds comprising, a compound of Formula (I) or Formula (II):



or a form thereof, wherein:

5 X is CHR_{1a}, C=O, O, NR_{1b}, or a bond;

R_{1a} is hydrogen, halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino or hydroxyl-C₁₋₄alkyl;

R_{1b} is hydrogen, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl or hydroxyl-C₁₋₄alkyl;

B is heterocyclyl,

10 wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic, 6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3 heteroatom ring members independently selected from N, O, or S, each optionally substituted with 1, 2, 3, 4, or 5 substituents each selected from R₂;

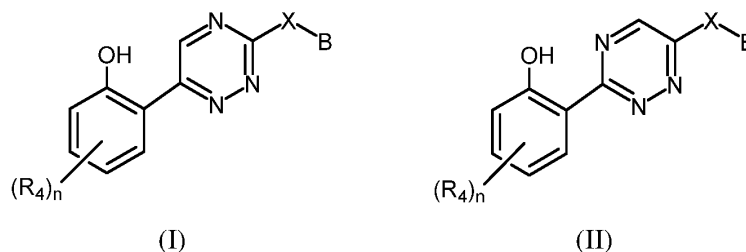
R₂ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₆alkyl)₂-amino, halo-C₁₋₄alkyl-amino, (halo-C₁₋₆alkyl)₂-amino, hydroxy-C₁₋₄alkyl-amino, C₁₋₄alkoxy-C₁₋₄alkyl-amino, amino-C₁₋₄alkyl, C₁₋₄alkyl-amino-C₁₋₄alkyl, (C₁₋₄alkyl-amino)₂-C₁₋₄alkyl, C₁₋₄alkoxy, halo-C₁₋₄alkoxy, hydroxyl-C₁₋₄alkoxy, C₁₋₄alkyl-C₁₋₄alkoxy, C₃₋₁₀cycloalkyl, C₃₋₁₀cycloalkyl-amino, C₃₋₁₀cycloalkyl-amino-C₁₋₄alkyl, heteroaryl-C₁₋₄alkyl, heteroaryl-amino, heteroaryl-C₁₋₄alkyl-amino, heterocyclyl, heterocyclyl-C₁₋₄alkyl, heterocyclyl-amino, heterocyclyl-amino-C₁₋₄alkyl, heterocyclyl-C₁₋₄alkoxy, heterocyclyl-amino-C₃₋₁₀cycloalkyl, phenyl, and phenyl-C₁₋₄alkoxy,

wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S,

wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic,
6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3
heteroatom ring members independently selected from N, O, or S,
wherein C₃₋₁₀cycloalkyl is a saturated or partially unsaturated 3-7 membered monocyclic ring
5 system, and
wherein each instance of phenyl, heteroaryl, heterocyclyl, or C₃₋₁₀cycloalkyl is optionally
substituted with 1 or 2 substituents each selected from R₃;
R₃ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-
C₁₋₄alkyl, amino, C₁₋₄alkoxy, and halo-C₁₋₄alkoxy;
10 n is 0, 1, or 2;
R₄ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-
C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₄alkyl)₂-amino, C₁₋₄alkoxy, halo-C₁₋₄alkoxy,
heteroaryl, heterocyclyl, and phenyl,
wherein heteroaryl is a saturated 3-6 membered monocyclic or 9-10 membered bicyclic ring
15 system having 1, 2, 3, or 4 heteroatom ring members selected from N, O, and S,
wherein heterocyclyl is a saturated or partially unsaturated 3-6 membered monocyclic or
9-10 membered bicyclic ring system having 1, 2, or 3 heteroatom ring members selected
from N, O, and S, and
wherein each instance of phenyl, heteroaryl or heterocyclyl is optionally substituted with 1, or 2
20 substituents each selected from R₅;
R₅ is independently selected from halogen, hydroxyl, cyano, nitro, C₁₋₄alkyl, deuterio-C₁₋₄alkyl,
halo-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₄alkyl)₂-amino, amino-C₁₋₄alkyl,
hydroxyl-C₁₋₄alkyl, C₁₋₄alkyl-carbonyl, C₁₋₄alkoxy, C₁₋₄alkylthio, halo-C₁₋₄alkoxy, and
C₃₋₁₀cycloalkyl;
25 wherein a form of the compound is selected from the group consisting of a salt, hydrate, solvate,
racemate, enantiomer, diastereomer, stereoisomer, and tautomer form thereof.

ASPECTS OF THE DESCRIPTION

Another aspect of the present description includes a compound of Formula (I) or Formula (II):



or a form thereof, wherein:

5 X is CHR_{1a} , C=O , O, NR_{1b} , or a bond;

R_{1a} is hydrogen, halogen, hydroxyl, cyano, C_{1-4} alkyl, deuterio- C_{1-4} alkyl, halo- C_{1-4} alkyl, amino or hydroxyl- C_{1-4} alkyl;

R_{1b} is hydrogen, C_{1-4} alkyl, deuterio- C_{1-4} alkyl, halo- C_{1-4} alkyl or hydroxyl- C_{1-4} alkyl;

B is heterocyclyl,

10 wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic, 6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3 heteroatom ring members independently selected from N, O, or S, each optionally substituted with 1, 2, 3, 4, or 5 substituents each selected from R_2 ;

R_2 is independently selected from halogen, hydroxyl, cyano, C_{1-4} alkyl, deuterio- C_{1-4} alkyl, halo-
 15 C_{1-4} alkyl, amino, C_{1-4} alkyl-amino, $(\text{C}_{1-6}\text{alkyl})_2$ -amino, halo- C_{1-4} alkyl-amino, (halo- $\text{C}_{1-6}\text{alkyl})_2$ -amino, hydroxy- C_{1-4} alkyl-amino, C_{1-4} alkoxy- C_{1-4} alkyl-amino, amino- C_{1-4} alkyl, C_{1-4} alkyl-amino- C_{1-4} alkyl, $(\text{C}_{1-4}\text{alkyl-amino})_2$ - C_{1-4} alkyl, C_{1-4} alkoxy, halo- C_{1-4} alkoxy, hydroxyl- C_{1-4} alkoxy, C_{1-4} alkyl- C_{1-4} alkoxy, $\text{C}_{3-10}\text{cycloalkyl}$, $\text{C}_{3-10}\text{cycloalkyl-amino}$, $\text{C}_{3-10}\text{cycloalkyl-amino-}\text{C}_{1-4}$ alkyl, heteroaryl- C_{1-4} alkyl, heteroaryl-amino,
 20 heteroaryl- C_{1-4} alkyl-amino, heterocyclyl, heterocyclyl- C_{1-4} alkyl, heterocyclyl-amino, heterocyclyl-amino- C_{1-4} alkyl, heterocyclyl- C_{1-4} alkoxy, heterocyclyl-amino- $\text{C}_{3-10}\text{cycloalkyl}$, phenyl, and phenyl- C_{1-4} alkoxy,

wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S,

- wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic, 6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3 heteroatom ring members independently selected from N, O, or S,
- wherein C₃₋₁₀cycloalkyl is a saturated or partially unsaturated 3-7 membered monocyclic ring system, and
- wherein each instance of phenyl, heteroaryl, heterocyclyl, or C₃₋₁₀cycloalkyl is optionally substituted with 1 or 2 substituents each selected from R₃;
- R₃ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkoxy, and halo-C₁₋₄alkoxy;
- n is 0, 1, or 2;
- R₄ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₄alkyl)₂-amino, C₁₋₄alkoxy, halo-C₁₋₄alkoxy, heteroaryl, heterocyclyl, and phenyl,
- wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S,
- wherein heterocyclyl is a saturated or partially unsaturated 3-6 membered monocyclic or 9-10 membered bicyclic ring system having 1, 2, or 3 heteroatom ring members selected from N, O, and S, and
- wherein each instance of phenyl, heteroaryl or heterocyclyl is optionally substituted with 1, or 2 substituents each selected from R₅;
- R₅ is independently selected from halogen, hydroxyl, cyano, nitro, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₆alkyl-amino, (C₁₋₆alkyl)₂-amino, amino-C₁₋₄alkyl, hydroxyl-C₁₋₄alkyl, C₁₋₄alkyl-carbonyl, C₁₋₄alkoxy, C₁₋₄alkylthio, halo-C₁₋₄alkoxy, and C₃₋₁₀cycloalkyl.
- One aspect includes a compound of Formula (I) or Formula (II), wherein X is selected from CHR_{1a}, C=O, O, NR_{1b}, and a bond.
- Another aspect includes a compound of Formula (I) wherein X is CHR_{1a}.
- Another aspect includes a compound of Formula (I) or Formula (II) wherein X is C=O.
- Another aspect includes a compound of Formula (I) or Formula (II) wherein X is O.
- Another aspect includes a compound of Formula (I) or Formula (II) wherein X is NR_{1b}.
- Another aspect includes a compound of Formula (I) or Formula (II) wherein X is a bond.

One aspect includes a compound of Formula (I) or Formula (II), wherein R_{1a} is selected from hydrogen, halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, and hydroxyl-C₁₋₄alkyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1a} is selected from hydrogen, cyano, C₁₋₄alkyl, and amino.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1a} is hydrogen.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1a} is cyano.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1a} is C₁₋₄alkyl selected from methyl, ethyl, propyl, isopropyl, butyl, and tert-butyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1a} methyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1a} is amino.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1b} is selected from hydrogen and C₁₋₄alkyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1b} is hydrogen.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1b} is C₁₋₄alkyl selected from methyl, ethyl, propyl, isopropyl, butyl, and tert-butyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_{1b} is methyl.

One aspect includes a compound of Formula (I) or Formula (II), wherein B is heterocyclyl, wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic,

6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3 heteroatom ring members independently selected from N, O, or S, each optionally substituted with 1, 2, 3, 4, or 5 substituents each selected from R₂.

Another aspect includes a compound of Formula (I) or Formula (II), wherein B is heterocyclyl selected from azetidiny, tetrahydrofuranyl, pyrrolidinyl, piperidinyl, piperazinyl,

1,4-diazepanyl, 1,2-dihydropyridinyl, 1,2,5,6-tetrahydropyridinyl, 1,2,3,6-tetrahydropyridinyl, hexahydrocyclopentapyrrol-(1*H*)-yl, hexahydropyrrolo[3,2-*b*]pyrrol-(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-(2*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, (3*aR*,6*aR*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl, (3*aR*,6*aS*)-hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl,

octahydro-2*H*-pyrrolo[3,4-*c*]pyridinyl, octahydro-5*H*-pyrrolo[3,2-*c*]pyridinyl,
 octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl, (4*aR*,7*aR*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl,
 (4*aS*,7*aS*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl,
 hexahydropyrrolo[1,2-*a*]pyrazin-(2*H*)-one, hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 5 (7*R*,8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 (8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 (8*aR*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, hexahydro-1*H*-cyclobuta[1.2-*c*:1,4-*c'*]
 dipyrrol-(3*H*)-yl, (8*aS*)-octahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 (8*aR*)-octahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, octahydro-2*H*-pyrido[1,2-*a*]pyrazinyl,
 10 hexahydropyrrolo[3,4-*b*][1,4]oxazin-(2*H*)-yl, 5-azaspiro[2.4]heptanyl, 2-oxa-6-
 azaspiro[3.4]octanyl, 3-azabicyclo[3.1.0]hexanyl, 8-azabicyclo[3.2.1]octanyl,
 (1*R*,5*S*)-8-azabicyclo[3.2.1]octanyl, 8-azabicyclo[3.2.1]oct-2-en-yl,
 (1*R*,5*S*)-8-azabicyclo[3.2.1]oct-2-en-yl, 9-azabicyclo[3.3.1]nonanyl,
 (1*R*,5*S*)-9-azabicyclo[3.3.1]nonanyl, 2,5-diazabicyclo[2.2.1]heptanyl,
 15 (1*S*,4*S*)-2,5-diazabicyclo[2.2.1]heptanyl, 1,4-diazabicyclo[3.1.1]heptanyl,
 3,6-diazabicyclo[3.2.0]heptanyl, 2,5-diazabicyclo[2.2.2]octanyl,
 1,4-diazabicyclo[3.2.1]octanyl, 3,8-diazabicyclo[3.2.1]octanyl,
 (1*R*,5*S*)-3,8-diazabicyclo[3.2.1]octanyl, 1,4-diazabicyclo[3.2.2]nonanyl,
 azaspiro[3.3]heptanyl, 4,7-diazaspiro[2.5]octanyl, 2,6-diazaspiro[3.3]heptanyl,
 20 2,6-diazaspiro[3.4]octanyl, 1,7,-diazaspiro[4.4]nonanyl, 1,7-diazaspiro[3.5]nonanyl,
 2,6-diazaspiro[3.5]nonanyl, 2,7-diazaspiro[3.5]nonanyl, 5,8-diazaspiro[3.5]nonanyl,
 2,7-diazaspiro[4.4]nonanyl, 2,7-diazaspiro[4.5]decanyl, 2,8-diazaspiro[4.5]decanyl,
 6,9-diazaspiro[4.5]decyl, 6-oxa-2,9-diazaspiro[4.5]decanyl, 2,9-diazaspiro[5.5]undecanyl,
 and 7-azadispiro[5.1.5⁸.3⁶]hexadecanyl, each optionally substituted with 1, 2, 3, 4, or 5
 25 substituents each selected from R₂.

Another aspect includes a compound of Formula (I) or Formula (II), wherein B is heterocyclyl
 selected from pyrrolidinyl, piperidinyl, piperazinyl, hexahydrocyclopentapyrrol-(1*H*)-yl,
 hexahydropyrrolo[3,2-*b*]pyrrol-(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl,
 (3*aR*,6*aR*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl,
 30 hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl, octahydro-2*H*-pyrrolo[3,4-*c*]pyridinyl,
 octahydro-5*H*-pyrrolo[3,2-*c*]pyridinyl, octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl,

hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, hexahydropyrrolo[3,4-*b*][1,4]oxazin-(2*H*)-yl, 5-azaspiro[2.4]heptanyl, 2-oxa-6-azaspiro[3.4]octanyl, 3-azabicyclo[3.1.0]hexanyl, 8-azabicyclo[3.2.1]octanyl, 9-azabicyclo[3.3.1]nonanyl, 2,6-diazaspiro[3.3]heptanyl, 2,6-diazaspiro[3.4]octanyl, 1,7,-diazaspiro[4.4]nonanyl, 1,7-diazaspiro[3.5]nonanyl, 2,6-diazaspiro[3.5]nonanyl, 2,7-diazaspiro[3.5]nonanyl, 2,7-diazaspiro[4.4]nonanyl, 2,7-diazaspiro[4.5]decanyl, 2,8-diazaspiro[4.5]decanyl, 6-oxa-2,9-diazaspiro[4.5]decanyl, and 2,9-diazaspiro[5.5]undecanyl, each optionally substituted with 1, 2, 3, 4, or 5 substituents each selected from R₂.

Another aspect includes a compound of Formula (I) or Formula (II), wherein B is heterocyclyl selected from pyrrolidinyl, piperidinyl, and 2,7-diazaspiro[3.5]nonanyl, each optionally substituted with 1, 2, 3, 4, or 5 substituents each selected from R₂.

Another aspect includes a compound of Formula (I) or Formula (II), wherein B is heterocyclyl selected from azetidin-1-yl, tetrahydrofuran-3-yl, pyrrolidin-1-yl, pyrrolidin-3-yl, piperidin-1-yl, piperidin-3-yl, piperidin-4-yl, piperazin-1-yl, 1,4-diazepan-1-yl, 1,2-dihydropyridin-2-yl, 1,2-dihydropyridin-3-yl, 1,2-dihydropyridin-4-yl, 1,2-dihydropyridin-5-yl, 1,2-dihydropyridin-6-yl, 1,2,5,6-tetrahydropyridin-5-yl, 1,2,3,6-tetrahydropyridin-4-yl, hexahydrocyclopentapyrrol-2(1*H*)-yl, hexahydropyrrolo[3,2-*b*]pyrrol-1(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-1(2*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-1(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-5(1*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-5(1*H*)-yl, (3*aR*,6*aR*)-hexahydropyrrolo[3,4-*b*]pyrrol-5(1*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-1(1*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-5(1*H*)-yl, (3*aR*,6*aS*)-hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl, octahydro-2*H*-pyrrolo[3,4-*c*]pyridin-2-yl, octahydro-5*H*-pyrrolo[3,2-*c*]pyridin-5-yl, octahydro-6*H*-pyrrolo[3,4-*b*]pyridin-6-yl, (4*aR*,7*aR*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridin-6-yl, (4*aS*,7*aS*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridin-6-yl, hexahydropyrrolo[1,2-*a*]pyrazin-6(2*H*)-one, hexahydropyrrolo[1,2-*a*]pyrazin-2(1*H*)-yl, (7*R*,8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-2(1*H*)-yl, (8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-2(1*H*)-yl, (8*aR*)-hexahydropyrrolo[1,2-*a*]pyrazin-2(1*H*)-yl, hexahydro-1*H*-cyclobuta[1.2-*c*:1,4-

c']dipyrrol-2(3*H*)-yl, (8*aS*)-octahydropyrrolo[1,2-*a*]pyrazin-2(1*H*)-yl, (8*aR*)-octahydropyrrolo[1,2-*a*]pyrazin-2(1*H*)-yl, octahydro-2*H*-pyrido[1,2-*a*]pyrazin-2-yl, hexahydropyrrolo[3,4-*b*][1,4]oxazin-6(2*H*)-yl, 5-azaspiro[2.4]heptan-5-yl, 2-oxa-6-azaspiro[3.4]octan-6-yl, 3-azabicyclo[3.1.0]hexan-3-yl, 8-azabicyclo[3.2.1]octan-3-yl, 5 (1*R*,5*S*)-8-azabicyclo[3.2.1]octan-3-yl, 8-azabicyclo[3.2.1]oct-2-en-3-yl, (1*R*,5*S*)-8-azabicyclo[3.2.1]oct-2-en-3-yl, 9-azabicyclo[3.3.1]nonan-3-yl, (1*R*,5*S*)-9-azabicyclo[3.3.1]nonan-3-yl, 2,5-diazabicyclo[2.2.1]heptan-2-yl, (1*S*,4*S*)-2,5-diazabicyclo[2.2.1]heptan-2-yl, 1,4-diazabicyclo[3.1.1]heptan-4-yl, 3,6-diazabicyclo[3.2.0]heptan-3-yl, 3,6-diazabicyclo[3.2.0]heptan-6-yl, 10 2,5-diazabicyclo[2.2.2]octan-2-yl, 1,4-diazabicyclo[3.2.1]octan-4-yl, 3,8-diazabicyclo[3.2.1]octan-3-yl, (1*R*,5*S*)-3,8-diazabicyclo[3.2.1]octan-3-yl, 1,4-diazabicyclo[3.2.2]nonan-4-yl, azaspiro[3.3]heptan-2-yl, 4,7-diazaspiro[2.5]octan-4-yl, 4,7-diazaspiro[2.5]octan-7-yl, 2,6-diazaspiro[3.3]heptan-2-yl, 2,6-diazaspiro[3.4]octan-2-yl, 2,6-diazaspiro[3.4]octan-6-yl, 1,7-diazaspiro[4.4]nonan-15 1-yl, 1,7-diazaspiro[4.4]nonan-7-yl, 1,7-diazaspiro[3.5]nonan-7-yl, 2,6-diazaspiro[3.5]nonan-2-yl, 2,6-diazaspiro[3.5]nonan-6-yl, 1,7-diazaspiro[3.5]nonan-7-yl, 2,7-diazaspiro[3.5]nonan-2-yl, 2,7-diazaspiro[3.5]nonan-7-yl, 5,8-diazaspiro[3.5]nonan-8-yl, 2,7-diazaspiro[4.4]nonan-2-yl, 2,7-diazaspiro[4.5]decan-2-yl, 2,7-diazaspiro[4.5]decan-7-yl, 2,8-diazaspiro[4.5]decan-8-yl, 20 6,9-diazaspiro[4.5]dec-9-yl, 6-oxa-2,9-diazaspiro[4.5]decan-2-yl, 2,9-diazaspiro[5.5]undecan-9-yl, and 7-azadispiro[5.1.5⁸.3⁶]hexadecan-15-yl, each optionally substituted with 1, 2, 3, 4, or 5 substituents each selected from R₂.

Another aspect includes a compound of Formula (I) or Formula (II), wherein B is heterocyclyl selected from pyrrolidin-1-yl, pyrrolidin-3-yl, piperidin-4-yl, piperazin-1-yl, 25 hexahydrocyclopentapyrrol-2(1*H*)-yl, hexahydropyrrolo[3,2-*b*]pyrrol-1(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-5(1*H*)-yl, (3*aR*,6*aR*)-hexahydropyrrolo[3,4-*b*]pyrrol-5(1*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl, octahydro-2*H*-pyrrolo[3,4-*c*]pyridin-2-yl, octahydro-5*H*-pyrrolo[3,2-*c*]pyridin-5-yl, octahydro-6*H*-pyrrolo[3,4-*b*]pyridin-6-yl, 30 hexahydropyrrolo[1,2-*a*]pyrazin-2(1*H*)-yl, hexahydropyrrolo[3,4-*b*][1,4]oxazin-6(2*H*)-yl, 5-azaspiro[2.4]heptan-5-yl, 2-oxa-6-azaspiro[3.4]octan-6-yl,

3-azabicyclo[3.1.0]hexan-3-yl, 8-azabicyclo[3.2.1]octan-3-yl,
 9-azabicyclo[3.3.1]nonan-3-yl, 2,6-diazaspiro[3.3]heptan-2-yl, 2,6-diazaspiro[3.4]octan-
 6-yl, 1,7,-diazaspiro[4.4]nonan-7-yl, 1,7-diazaspiro[3.5]nonan-7-yl,
 2,6-diazaspiro[3.5]nonan-2-yl, 1,7-diazaspiro[3.5]nonan-7-yl, 2,7-diazaspiro[3.5]nonan-
 5 2-yl, 2,7-diazaspiro[3.5]nonan-7-yl, 2,7-diazaspiro[4.4]nonan-2-yl,
 2,7-diazaspiro[4.5]decan-2-yl, 2,8-diazaspiro[4.5]decan-8-yl, 6-oxa-2,9-
 diazaspiro[4.5]decan-2-yl, and 2,9-diazaspiro[5.5]undecan-9-yl, each optionally
 substituted with 1, 2, 3, 4, or 5 substituents each selected from R₂.

Another aspect includes a compound of Formula (I) or Formula (II), wherein B is heterocyclyl
 10 selected from pyrrolidin-1-yl, pyrrolidin-3-yl, piperidin-4-yl, 2,7-diazaspiro[3.5]nonan-
 2-yl, and 2,7-diazaspiro[3.5]nonan-7-yl, each optionally substituted with 1, 2, 3, 4, or 5
 substituents each selected from R₂.

One aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is selected from
 halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino,
 15 C₁₋₄alkyl-amino, (C₁₋₆alkyl)₂-amino, halo-C₁₋₄alkyl-amino, (halo-C₁₋₆alkyl)₂-amino,
 hydroxy-C₁₋₄alkyl-amino, C₁₋₄alkoxy-C₁₋₄alkyl-amino, amino-C₁₋₄alkyl,
 C₁₋₄alkyl-amino-C₁₋₄alkyl, (C₁₋₄alkyl-amino)₂-C₁₋₄alkyl, C₁₋₄alkoxy, halo-C₁₋₄alkoxy,
 hydroxyl-C₁₋₄alkoxy, C₁₋₄alkyl-C₁₋₄alkoxy, C₃₋₁₀cycloalkyl, C₃₋₁₀cycloalkyl-amino,
 C₃₋₁₀cycloalkyl-amino-C₁₋₄alkyl, heteroaryl-C₁₋₄alkyl, heteroaryl-amino,
 20 heteroaryl-C₁₋₄alkyl-amino, heterocyclyl, heterocyclyl-C₁₋₄alkyl, heterocyclyl-amino,
 heterocyclyl-amino-C₁₋₄alkyl, heterocyclyl-C₁₋₄alkoxy, heterocyclyl-amino-
 C₃₋₁₀cycloalkyl, phenyl, and phenyl-C₁₋₄alkoxy,

wherein heteroaryl is a saturated 3-7 membered monocyclic, 6-10 membered bicyclic or 13-
 16 membered polycyclic ring system having 1, 2, 3, or 4 heteroatom ring members
 25 independently selected from N, O, or S,

wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic,
 6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3
 heteroatom ring members independently selected from N, O, or S,

wherein C₃₋₁₀cycloalkyl is a saturated or partially unsaturated 3-7 membered monocyclic ring
 30 system, and

wherein each instance of phenyl, heteroaryl, heterocyclyl, or C₃₋₁₀cycloalkyl is optionally substituted with 1 or 2 substituents each selected from R₃.

One aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is selected from halogen, hydroxyl, C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₆alkyl)₂-amino, halo-

5 C₁₋₄alkyl-amino, hydroxy-C₁₋₄alkyl-amino, C₁₋₄alkoxy-C₁₋₄alkyl-amino, C₁₋₄alkyl-amino-C₁₋₄alkyl, (C₁₋₄alkyl-amino)₂-C₁₋₄alkyl, C₁₋₄alkoxy, C₃₋₁₀cycloalkyl-amino, C₃₋₁₀cycloalkyl-amino-C₁₋₄alkyl, heteroaryl-C₁₋₄alkyl-amino, heterocyclyl-amino, heterocyclyl-amino-C₁₋₄alkyl, and heterocyclyl-amino-C₃₋₁₀cycloalkyl,

10 wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S,

wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic, 6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3 heteroatom ring members independently selected from N, O, or S,

15 wherein C₃₋₁₀cycloalkyl is a saturated or partially unsaturated 3-7 membered monocyclic ring system, and

wherein each instance of heteroaryl, heterocyclyl, or C₃₋₁₀cycloalkyl is optionally substituted with 1 or 2 substituents each selected from R₃.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is halogen selected from bromo, chloro, fluoro, and iodo.

20 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is fluoro.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is hydroxyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₄alkyl selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.

25 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₄alkyl selected from methyl or ethyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is methyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is ethyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is amino.

- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₆alkyl-amino, wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, 2-methylbutyl, and 3-methylpentyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₆alkyl-amino, wherein C₁₋₄alkyl is selected from methyl, ethyl, isopropyl, tert-butyl, 2-methylbutyl, and 3-methylpentyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is methylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is ethylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is isopropylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is tert-butylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is 2-methylbutyl-2-amino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is 3-methylpentyl-3-amino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is (C₁₋₆alkyl)₂-amino, wherein C₁₋₄alkyl is each independently selected from selected from methyl, ethyl, isopropyl, tert-butyl, 2-methylbutyl, and 3-methylpentyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is (C₁₋₆alkyl)₂-amino, wherein C₁₋₄alkyl is methyl or ethyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is dimethylamino or diethylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is dimethylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is diethylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is halo-C₁₋₄alkyl-amino, wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl, partially or completely substituted with one or more halogen atoms where allowed by available valences.

- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is halo-C₁₋₄alkyl-amino, wherein C₁₋₄alkyl is selected from isopropyl and tert-butyl, partially or completely substituted with one or more halogen atoms where allowed by available valences.
- 5 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is 1-fluoro-2-methylpropan-2-amino or 1-fluoropropan-2-amino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is hydroxy-C₁₋₄alkyl-amino, wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl, partially or completely substituted with one or
- 10 more hydroxy groups where allowed by available valences.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is hydroxy-C₁₋₄alkyl-amino, wherein C₁₋₄alkyl is selected from ethyl and propyl, partially or completely substituted with one or more hydroxy groups where allowed by available valences.
- 15 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is 2-hydroxyethylamino or 3-hydroxypropylamino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₄alkoxy-C₁₋₄alkyl-amino, wherein C₁₋₄alkoxy is selected from methoxy, ethoxy, propoxy, isopropoxy, butoxy and tert-butoxy, and C₁₋₄alkyl is selected from methyl, ethyl, propyl,
- 20 isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₄alkoxy-C₁₋₄alkyl-amino, wherein C₁₋₄alkoxy is methoxy and C₁₋₄alkyl is selected propyl, isopropyl, and tert-butyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is
- 25 1-methoxypropan-2-amino or 1-methoxy-2-methylpropan-2-amino.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₄alkyl-amino-C₁₋₄alkyl, wherein each C₁₋₄alkyl is independently selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is
- 30 C₁₋₄alkyl-amino-C₁₋₄alkyl, wherein each C₁₋₄alkyl is independently selected from methyl, ethyl, isopropyl, and tert-butyl.

- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is methylaminomethyl, propan-2-yl-aminomethyl, propan-2-yl-aminoethyl, or tert-butylaminomethyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is
5 (C₁₋₄alkyl-amino)₂-C₁₋₄alkyl, wherein each C₁₋₄alkyl is independently selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is (C₁₋₄alkyl-amino)₂-C₁₋₄alkyl, wherein each C₁₋₄alkyl is methyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is
10 dimethylaminomethyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₁₋₄alkoxy selected from methoxy, ethoxy, propoxy, isopropoxy, butoxy and tert-butoxy.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ methoxy.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is
15 C₃₋₁₀cycloalkyl-amino, wherein C₃₋₁₀cycloalkyl is selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, bicyclo[2.2.1]hexanyl, and adamantyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₃₋₁₀cycloalkyl-amino, wherein C₃₋₁₀cycloalkyl is selected from cyclopropyl, cyclobutyl, cyclopentyl, bicyclo[2.2.1]hexanyl, and adamantyl.
- 20 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is C₃₋₁₀cycloalkyl-amino-C₁₋₄alkyl, wherein C₃₋₁₀cycloalkyl is selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, bicyclo[2.2.1]hexanyl, and adamantyl and C₁₋₄alkyl is selected from methyl, ethyl, propyl, and butyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is
25 C₃₋₁₀cycloalkyl-amino-C₁₋₄alkyl, wherein C₃₋₁₀cycloalkyl is selected from cyclopropyl, cyclobutyl, and cyclopentyl, and C₁₋₄alkyl is methyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is cyclopropylaminomethyl, cyclobutylaminomethyl, or cyclopentylaminomethyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is
30 heteroaryl-C₁₋₄alkyl-amino, wherein heteroaryl is selected from thienyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, 1,3-thiazolyl, oxazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl,

1,2,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridinyl, pyrimidinyl, pyridazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1*H*-indolyl, 1*H*-indazolyl, 2*H*-indazolyl, indolizinyl, benzofuranyl, benzothienyl, 1*H*-benzimidazolyl, 1,3-benzoxazolyl, 1,3-benzothiazolyl, 1,3-benzodioxolyl, 1,2,3-benzotriazolyl, 9*H*-purinyl, quinolinyl, isoquinolinyl, and
5 quinoxalinyl, and C₁₋₄alkyl is selected from methyl, ethyl, propyl, and butyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is

heteroaryl-C₁₋₄alkyl-amino, wherein heteroaryl is pyridinyl, and C₁₋₄alkyl is methyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is pyridin-2-yl-methylamino.

10 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is heterocyclyl-amino, wherein heterocyclyl is selected from azetidiny, oxetanyl, pyrrolidinyl, tetrahydrofuranyl, piperidinyl, tetrahydropyranyl, 8-azabicyclo[3.2.1]octanyl, and 8-oxabicyclo[3.2.1]octanyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is heterocyclyl-amino, wherein heterocyclyl is selected from oxetanyl and tetrahydropyranyl.
15

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is oxetanylamino or tetrahydropyranylamino.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is heterocyclyl-amino-C₁₋₄alkyl, wherein heterocyclyl is selected from azetidiny, oxetanyl, pyrrolidinyl, tetrahydrofuranyl, piperidinyl, oxanyl, 8-azabicyclo[3.2.1]octanyl, and
20 8-oxabicyclo[3.2.1]octanyl, and C₁₋₄alkyl is selected from methyl, ethyl, propyl, and butyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is heterocyclyl-amino-C₁₋₄alkyl, wherein heterocyclyl is selected from tetrahydrofuranyl, oxanyl, and 8-oxabicyclo[3.2.1]octanyl, and C₁₋₄alkyl is methyl.

25 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is oxanylaminomethyl, tetrahydrofuranylaminomethyl, and 8-oxabicyclo[3.2.1]octanylamino.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is heterocyclyl-amino-C₃₋₁₀cycloalkyl, wherein heterocyclyl is selected from azetidiny, oxetanyl, pyrrolidinyl, tetrahydrofuranyl, piperidinyl, oxanyl, 8-azabicyclo[3.2.1]octanyl, and
30

8-oxabicyclo[3.2.1]octanyl, and C₃₋₁₀cycloalkyl is selected from cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is heterocyclyl-amino-C₃₋₁₀cycloalkyl, wherein heterocyclyl is oxanyl, and C₃₋₁₀cycloalkyl is cyclopropyl.

5 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₂ is oxanylamino-cyclopropyl.

One aspect includes a compound of Formula (I) or Formula (II), wherein R₃ is halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkoxy, and halo-C₁₋₄alkoxy.

10 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₃ is halogen and C₁₋₄alkyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₃ is halogen selected from bromo, chloro, fluoro, and iodo.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₃ is fluoro.

15 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₃ is C₁₋₄alkyl selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₃ is methyl.

One aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino,

20 C₁₋₄alkyl-amino, (C₁₋₄alkyl)₂-amino, C₁₋₄alkoxy, halo-C₁₋₄alkoxy, heteroaryl, heterocyclyl, and phenyl,

wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S, wherein heterocyclyl is a saturated or partially unsaturated 3-6 membered monocyclic or

25 9-10 membered bicyclic ring system having 1, 2, or 3 heteroatom ring members selected from N, O, and S, and

wherein each instance of phenyl, heteroaryl or heterocyclyl is optionally substituted with 1 or 2 substituents each selected from R₅.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is selected from

30 halogen, C₁₋₄alkoxy, heteroaryl, and phenyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is halogen selected from bromo, chloro, fluoro, and iodo.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is fluoro.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is C₁₋₄alkoxy

5 selected from methoxy, ethoxy, propoxy, isopropoxy, butoxy, and tert-butoxy.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ methoxy.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is heteroaryl, wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S, optionally substituted with 1 or 2 substituents each selected from R₅.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is heteroaryl

selected from thienyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, 1,3-thiazolyl, oxazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridinyl, pyridin-2(1*H*)-on-yl, pyrimidinyl, pyrimidin-4(3*H*)-on-yl, pyridazinyl, pyridazin-3(2*H*)-on-yl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1*H*-indolyl, 1*H*-indazolyl, 2*H*-indazolyl, indolizynyl, benzofuranyl, benzothienyl, 1*H*-benzimidazolyl, 1,3-benzoxazolyl, 1,3-benzothiazolyl, 1,3-benzodioxolyl, 1,2,3-benzotriazolyl, 9*H*-purinyl, furo[3,2-*b*]pyridinyl, furo[3,2-*c*]pyridinyl, furo[2,3-*c*]pyridinyl, 1,3-oxazolo[5,4-*b*]pyridinyl, thieno[3,2-*c*]pyridinyl, thieno[2,3-*d*]pyrimidinyl, 1*H*-pyrrolo[2,3-*b*]pyridinyl, 1*H*-pyrrolo[2,3-*c*]pyridinyl, pyrrolo[1,2-*a*]pyrimidinyl, pyrrolo[1,2-*a*]pyrazinyl, pyrrolo[1,2-*b*]pyridazinyl, pyrazolo[1,5-*a*]pyridin-yl, pyrazolo[1,5-*a*]pyridinyl, 1*H*-pyrazolo[3,4-*b*]pyrazinyl, 1*H*-pyrazolo[3,4-*b*]pyridinyl, 1*H*-pyrazolo[3,4-*b*]pyridinyl, 1*H*-pyrazolo[3,4-*c*]pyridinyl, 1*H*-pyrazolo[3,4-*c*]pyridinyl, 1*H*-pyrazolo[4,3-*b*]pyridinyl, 1*H*-pyrazolo[4,3-*b*]pyridinyl, 1*H*-pyrazolo[4,3-*d*]pyrimidinyl, 2*H*-pyrazolo[4,3-*b*]pyridinyl, 2*H*-pyrazolo[4,3-*c*]pyridin-yl, 5*H*-pyrrolo[2,3-*b*]pyrazinyl, pyrazolo[1,5-*a*]pyrazinyl, imidazo[1,2-*a*]pyridinyl, imidazo[1,2-*a*]pyridinyl, imidazo[1,2-*a*]pyrimidinyl, imidazo[1,2-*a*]pyrimidinyl, imidazo[1,2-*c*]pyrimidinyl, imidazo[1,2-*b*]pyridazinyl, imidazo[1,2-*b*]pyridazinyl, imidazo[1,2-*a*]pyrazinyl, imidazo[1,2-*a*]pyrazinyl, 1*H*-imidazo[4,5-*b*]pyridinyl, 3*H*-imidazo[4,5-*b*]pyridinyl, imidazo[2,1-*b*][1,3]thiazolyl, imidazo[2,1-*b*][1,3,4]thiadiazolyl,

[1,3]oxazolo[4,5-*b*]pyridinyl, [1,2,3]triazolo[1,5-*a*]pyridinyl, [1,2,3]triazolo[1,5-*a*]pyridinyl, 1*H*-[1,2,3]triazolo[4,5-*b*]pyridinyl, 3*H*-[1,2,3]triazolo[4,5-*b*]pyridinyl, tetrazolo[1,5-*a*]pyridinyl, tetrazolo[1,5-*b*]pyridazinyl, quinolinyl, isoquinolinyl, and quinoxalinyl, optionally substituted with 1 or 2 substituents each selected from R₅.

- 5 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is heteroaryl selected from thienyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, 1,3-thiazolyl, oxazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 2*H*-tetrazolyl, pyridinyl, pyrimidinyl, pyrimidin-4(3*H*)-on-yl, pyridazinyl, pyridazin-3(2*H*)-on-yl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1*H*-indazolyl, 1*H*-benzimidazolyl, 10 1,3-benzoxazolyl, 1,3-benzodioxolyl, 1,2,3-benzotriazolyl, 1,3-oxazolo[5,4-*b*]pyridinyl, 1*H*-pyrazolo[3,4-*b*]pyrazinyl, 1*H*-pyrazolo[3,4-*b*]pyridinyl, 1*H*-pyrazolo[3,4-*c*]pyridinyl, 1*H*-pyrazolo[4,3-*b*]pyridinyl, 1*H*-pyrazolo[4,3-*d*]pyrimidinyl, 5*H*-pyrrolo[2,3-*b*]pyrazinyl, imidazo[1,2-*a*]pyridinyl, imidazo[1,2-*b*]pyridazinyl, imidazo[1,2-*a*]pyrazinyl, 1*H*-imidazo[4,5-*b*]pyridinyl, 3*H*-imidazo[4,5-*b*]pyridinyl, [1,2,3]triazolo[1,5-*a*]pyridinyl, 15 1*H*-[1,2,3]triazolo[4,5-*b*]pyridinyl, 3*H*-[1,2,3]triazolo[4,5-*b*]pyridinyl, tetrazolo[1,5-*a*]pyridinyl, tetrazolo[1,5-*b*]pyridazinyl, and quinolinyl, optionally substituted with 1 or 2 substituents each selected from R₅.

- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is heteroaryl selected from thien-2-yl, thien-3-yl, 1*H*-pyrazol-1-yl, 1*H*-pyrazol-3-yl, 1*H*-pyrazol-4-yl, 20 1*H*-pyrazol-5-yl, 1*H*-imidazol-1-yl, 1*H*-imidazol-4-yl, 1,3-thiazol-2-yl, 1,3-thiazol-5-yl, 1,3-oxazol-2-yl, 1,3-oxazol-4-yl, 1,3-oxazol-5-yl, 1,2,4-oxadiazol-3-yl, 1,3,4-oxadiazol-2-yl, 1,2,4-thiadiazol-5-yl, 1*H*-1,2,3-triazol-1-yl, 1*H*-1,2,3-triazol-4-yl, 1*H*-1,2,3-triazol-5-yl, 2*H*-1,2,3-triazol-2-yl, 2*H*-1,2,3-triazol-4-yl, 1*H*-1,2,4-triazol-1-yl, 1*H*-1,2,4-triazol-3-yl, 1*H*-1,2,4-triazol-5-yl, 1*H*-tetrazol-1-yl, 1*H*-tetrazol-5-yl, 2*H*-tetrazol-2-yl, 2*H*-tetrazol-5-yl, 25 5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyridin-2(1*H*)-on-4-yl, pyridin-2(1*H*)-on-5-yl, pyridin-2(1*H*)-on-6-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrimidin-4(3*H*)-on-6-yl, pyridazin-3-yl, pyridazin-4-yl, pyridazin-3(2*H*)-on-5-yl, 1,2,4-triazin-3-yl, 1,3,5-triazin-2-yl, 1*H*-indol-3-yl, 1*H*-indol-4-yl, 1*H*-indol-5-yl, 1*H*-indol-6-yl, 1*H*-indazol-5-yl, 2*H*-indazol-5-yl, indolizin-2-yl, benzofuran-2-yl, benzofuran-5-yl, 30 benzothien-2-yl, benzothien-3-yl, 1*H*-benzimidazol-2-yl, 1*H*-benzimidazol-5-yl, 1*H*-benzimidazol-6-yl, 1,3-benzoxazol-2-yl, 1,3-benzoxazol-5-yl, 1,3-benzoxazol-6-yl,

1,3-benzothiazol-2-yl, 1,3-benzothiazol-5-yl, 1,3-benzothiazol-6-yl, 1,3-benzodioxol-5-yl, 1,2,3-benzotriazol-5-yl, 9H-purin-8-yl, furo[3,2-*b*]pyridin-2-yl, furo[3,2-*c*]pyridin-2-yl, furo[2,3-*c*]pyridin-2-yl, 1,3-oxazolo[5,4-*b*]pyridine-5-yl, thieno[3,2-*c*]pyridin-2-yl, thieno[2,3-*d*]pyrimidin-6-yl, 1H-pyrrolo[2,3-*b*]pyridin-5-yl, 1H-pyrrolo[2,3-*c*]pyridin-4-yl, pyrrolo[1,2-*a*]pyrimidin-7-yl, pyrrolo[1,2-*a*]pyrazin-7-yl, pyrrolo[1,2-*b*]pyridazin-2-yl, pyrazolo[1,5-*a*]pyridin-2-yl, pyrazolo[1,5-*a*]pyridin-5-yl, 1H-pyrazolo[3,4-*b*]pyrazin-5-yl, 1H-pyrazolo[3,4-*b*]pyridin-5-yl, 1H-pyrazolo[3,4-*b*]pyridin-6-yl, 1H-pyrazolo[3,4-*c*]pyridin-1-yl, 1H-pyrazolo[3,4-*c*]pyridin-5-yl, 1H-pyrazolo[4,3-*b*]pyridin-5-yl, 1H-pyrazolo[4,3-*b*]pyridin-6-yl, 1H-pyrazolo[4,3-*d*]pyrimidin-5-yl, 2H-pyrazolo[4,3-*b*]pyridin-5-yl, 2H-pyrazolo[4,3-*c*]pyridin-5-yl, 5H-pyrrolo[2,3-*b*]pyrazin-2-yl, pyrazolo[1,5-*a*]pyrazin-2-yl, imidazo[1,2-*a*]pyridin-2-yl, imidazo[1,2-*a*]pyridin-6-yl, imidazo[1,2-*a*]pyrimidin-2-yl, imidazo[1,2-*a*]pyrimidin-6-yl, imidazo[1,2-*c*]pyrimidin-2-yl, imidazo[1,2-*b*]pyridazin-2-yl, imidazo[1,2-*b*]pyridazin-6-yl, imidazo[1,2-*a*]pyrazin-2-yl, imidazo[1,2-*a*]pyrazin-6-yl, 1H-imidazo[4,5-*b*]pyridin-5-yl, 3H-imidazo[4,5-*b*]pyridin-5-yl, imidazo[2,1-*b*][1,3]thiazol-6-yl, imidazo[2,1-*b*][1,3,4]thiadiazol-6-yl, [1,3]oxazolo[4,5-*b*]pyridin-2-yl, [1,2,3]triazolo[1,5-*a*]pyridin-5-yl, [1,2,3]triazolo[1,5-*a*]pyridin-6-yl, 1H-[1,2,3]triazolo[4,5-*b*]pyridin-5-yl, 3H-[1,2,3]triazolo[4,5-*b*]pyridin-5-yl, tetrazolo[1,5-*a*]pyridin-7-yl, tetrazolo[1,5-*b*]pyridazin-7-yl, quinolin-6-yl, isoquinolin-6-yl, and quinoxalin-2-yl, optionally substituted with 1 or 2 substituents each selected from R₅.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is heteroaryl selected from thien-2-yl, 1H-pyrazol-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, 1H-imidazol-1-yl, 1H-imidazol-4-yl, 1,3-thiazol-2-yl, 1,3-thiazol-5-yl, 1,3-oxazol-2-yl, 1,3-oxazol-5-yl, 1,3,4-oxadiazol-2-yl, 1,2,4-thiadiazol-5-yl, 1H-1,2,3-triazol-4-yl, 2H-1,2,3-triazol-2-yl, 2H-1,2,3-triazol-4-yl, 1H-1,2,4-triazol-1-yl, 2H-tetrazol-2-yl, 2H-tetrazol-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyrimidin-2-yl, pyrimidin-4-yl, pyrimidin-5-yl, pyrimidin-4(3H)-on-6-yl, pyridazin-3-yl, pyridazin-4-yl, pyridazin-3(2H)-on-5-yl, 1,2,4-triazin-3-yl, 1,3,5-triazin-2-yl, 1H-indazol-5-yl, 1H-benzimidazol-5-yl, 1,3-benzoxazol-6-yl, 1,3-benzodioxol-5-yl, 1,2,3-benzotriazol-5-yl, 1,3-oxazolo[5,4-*b*]pyridine-5-yl, 1H-pyrazolo[3,4-*b*]pyrazin-5-yl, 1H-pyrazolo[3,4-*b*]pyridin-5-yl,

- 1*H*-pyrazolo[3,4-*b*]pyridin-6-yl, 1*H*-pyrazolo[3,4-*c*]pyridin-1-yl, 1*H*-pyrazolo[3,4-*c*]pyridin-5-yl, 1*H*-pyrazolo[4,3-*b*]pyridin-5-yl, 1*H*-pyrazolo[4,3-*b*]pyridin-6-yl, 1*H*-pyrazolo[4,3-*d*]pyrimidin-5-yl, 5*H*-pyrrolo[2,3-*b*]pyrazin-2-yl, imidazo[1,2-*a*]pyridin-2-yl, imidazo[1,2-*b*]pyridazin-6-yl, imidazo[1,2-*a*]pyrazin-2-yl, imidazo[1,2-*a*]pyrazin-6-yl, 1*H*-imidazo[4,5-*b*]pyridin-5-yl, 3*H*-imidazo[4,5-*b*]pyridin-5-yl, [1,2,3]triazolo[1,5-*a*]pyridin-5-yl, [1,2,3]triazolo[1,5-*a*]pyridin-6-yl, 1*H*-[1,2,3]triazolo[4,5-*b*]pyridin-5-yl, 3*H*-[1,2,3]triazolo[4,5-*b*]pyridin-5-yl, tetrazolo[1,5-*a*]pyridin-7-yl, tetrazolo[1,5-*b*]pyridazin-7-yl, quinolin-6-yl, and isoquinolin-6-yl, optionally substituted with 1 or 2 substituents each selected from R₅.
- 10 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₄ is phenyl, 1 or 2 substituents each selected from R₅.
- One aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is selected from halogen, hydroxyl, cyano, nitro, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₄alkyl)₂-amino, amino-C₁₋₄alkyl, hydroxyl-C₁₋₄alkyl, C₁₋₄alkyl-carbonyl, C₁₋₄alkoxy, C₁₋₄alkylthio, halo-C₁₋₄alkoxy, and C₃₋₁₀cycloalkyl.
- 15 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is selected from halogen, hydroxyl, cyano, nitro, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, amino-C₁₋₄alkyl, hydroxyl-C₁₋₄alkyl, C₁₋₄alkyl-carbonyl, C₁₋₄alkoxy, C₁₋₄alkylthio, and C₃₋₁₀cycloalkyl.
- 20 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is halogen selected from bromo, chloro, fluoro, and iodo.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is halogen selected from bromo, chloro and fluoro.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is chloro.
- 25 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is fluoro.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is hydroxy.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is cyano.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is nitro.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is C₁₋₄alkyl
- 30 selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.
- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is methyl.

- Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is deuterio-C₁₋₄alkyl wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl partially or completely substituted with one or more deuterium atoms where allowed by available valences.
- 5 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is (²H₃)methyl.
Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is amino.
Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is C₁₋₆alkyl-amino wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, 2-methylbutyl, and 3-methylpentyl.
- 10 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is C₁₋₄alkyl-amino wherein C₁₋₄alkyl is methyl.
Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is methylamino.
Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is amino-C₁₋₄alkyl wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.
- 15 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is amino-C₁₋₄alkyl wherein C₁₋₄alkyl is methyl.
Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is aminomethyl.
Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is hydroxyl-C₁₋₄alkyl, wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl partially or completely substituted with one or more hydroxyl groups where allowed by available valences.
- 20 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is hydroxyl-C₁₋₄alkyl, wherein C₁₋₄alkyl is methyl substituted with one hydroxyl group.
- 25 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is hydroxymethyl.
Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is C₁₋₄alkyl-carbonyl, wherein C₁₋₄alkyl is selected from methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, and tert-butyl.
- 30 Another aspect includes a compound of Formula (I) or Formula (II), wherein R₅ is C₁₋₄alkyl-carbonyl, wherein C₁₋₄alkyl is methyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_5 is $\text{CH}_3\text{C}(\text{O})-$.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_5 is C_{1-4} alkoxy selected from methoxy, ethoxy, propoxy, isopropoxy, butoxy, and tert-butoxy.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_5 methoxy.

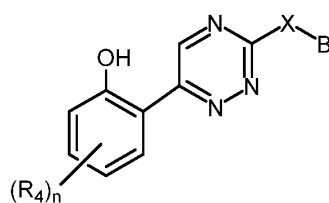
- 5 Another aspect includes a compound of Formula (I) or Formula (II), wherein R_5 is C_{1-4} alkylthio selected from methylthio, ethylthio, propylthio, isopropylthio, butylthio, and tert-butylthio.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_5 methylthio.

- 10 Another aspect includes a compound of Formula (I) or Formula (II), wherein R_5 is C_{3-10} cycloalkyl selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, bicyclo[2.2.1]hexanyl, and adamantyl.

Another aspect includes a compound of Formula (I) or Formula (II), wherein R_5 is cyclopropyl.

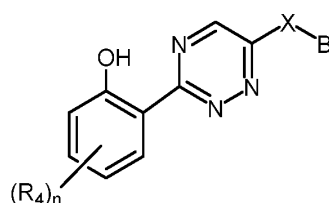
Another aspect of the compound of Formula (I) or Formula (II) includes the compound of Formula (I):



(I)

- 15 or a form thereof.

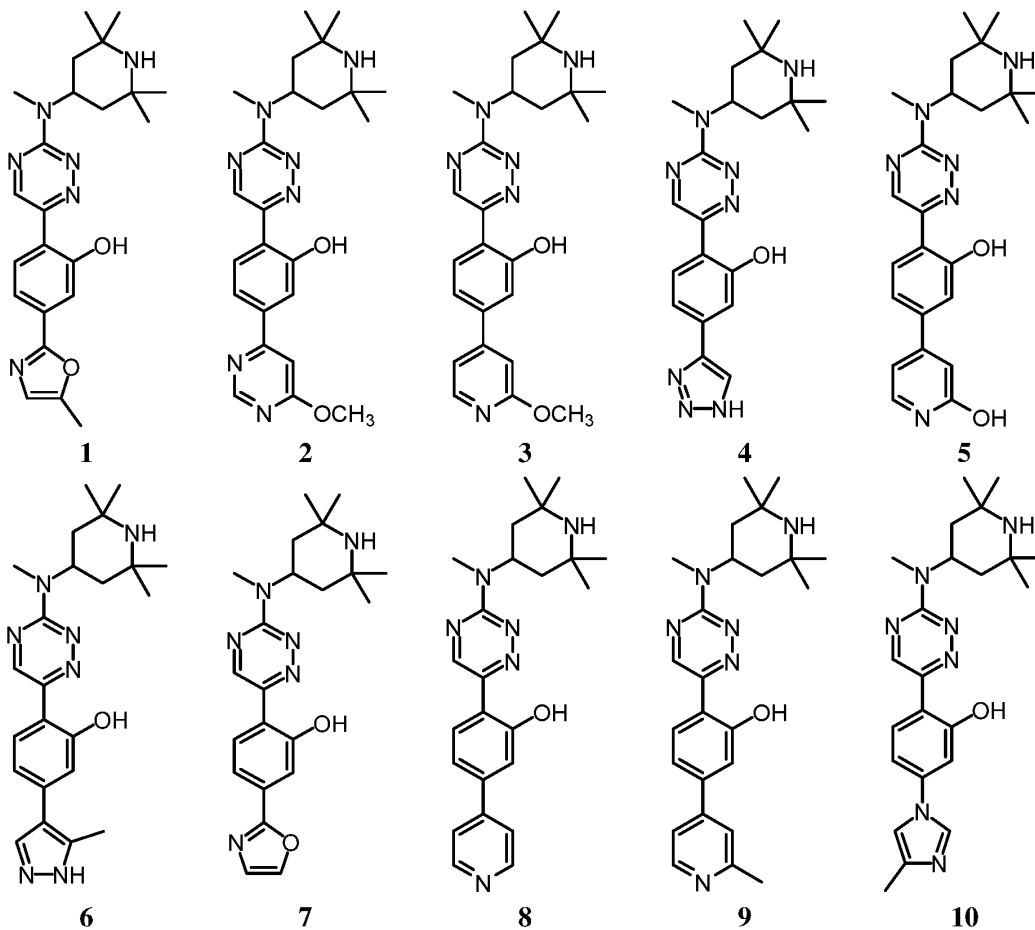
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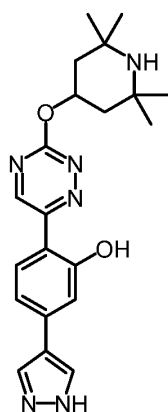


(II)

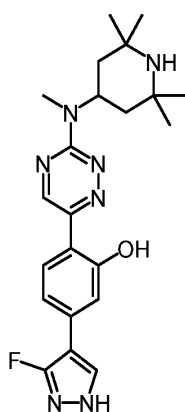
or a form thereof.

An aspect of the compound of Formula (I) or Formula (II) or a form thereof includes a compound selected from the group consisting of:

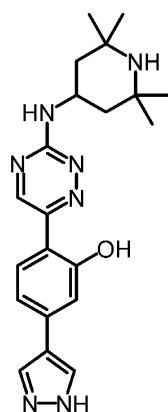




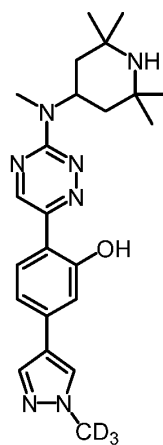
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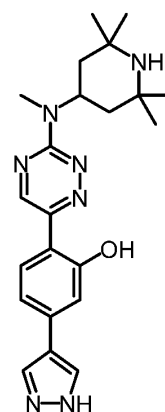
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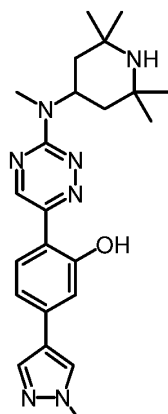
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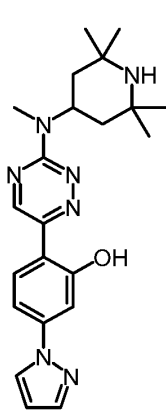
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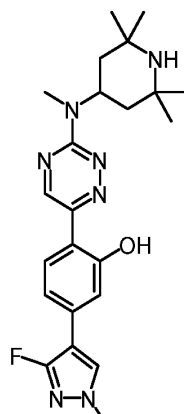
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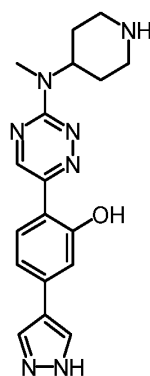
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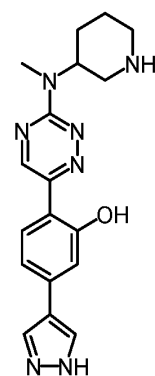
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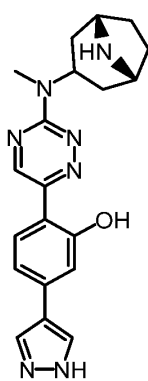
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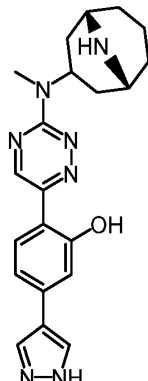
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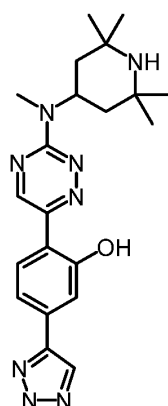
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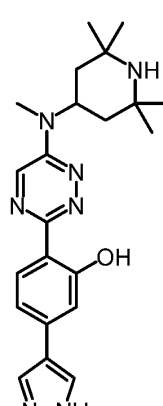
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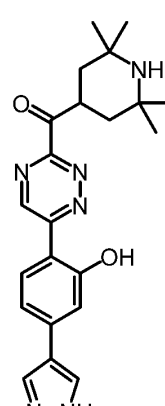
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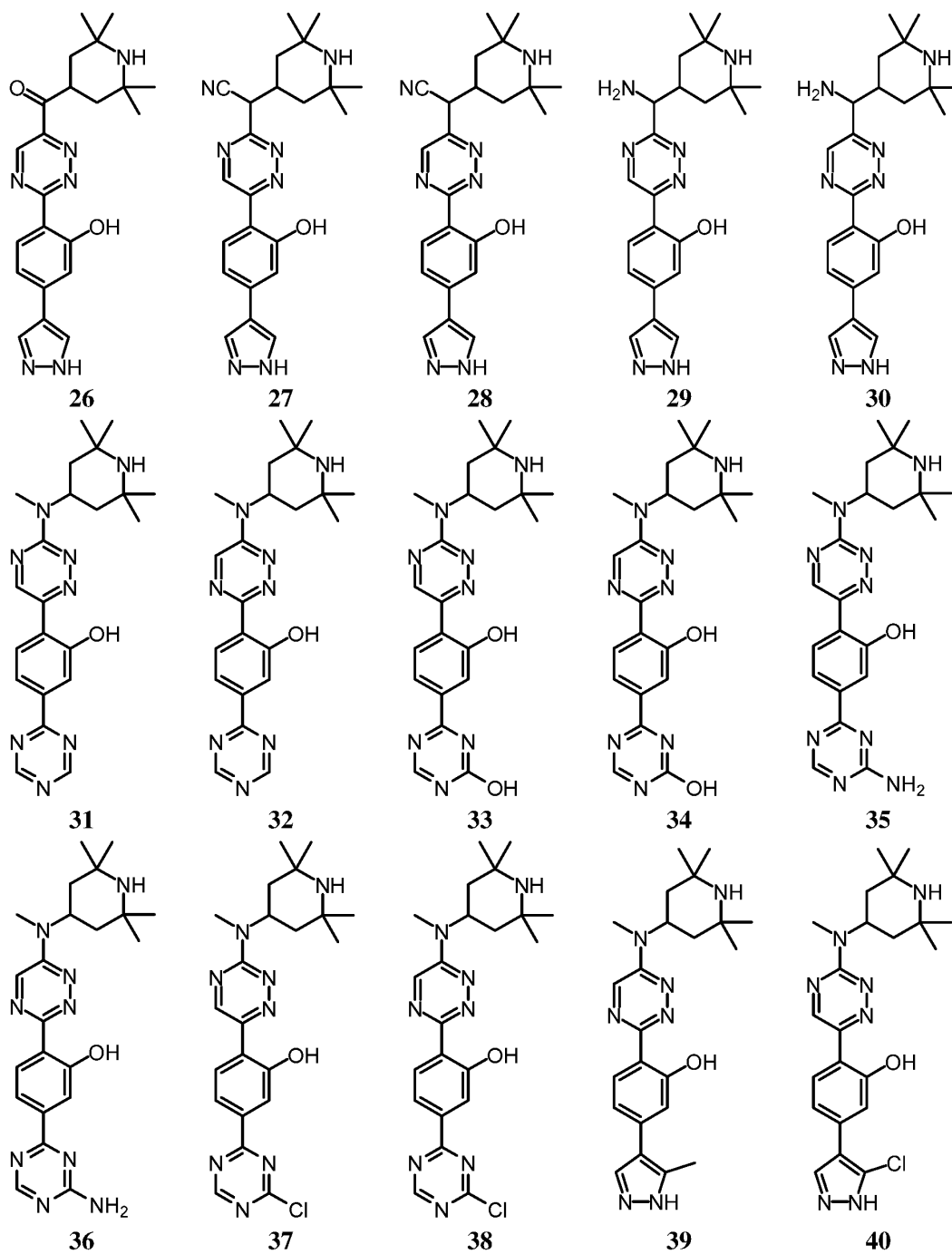
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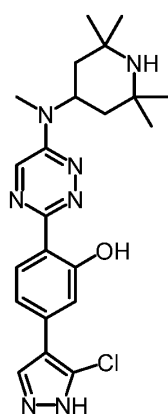


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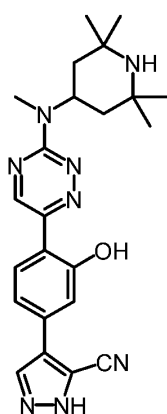


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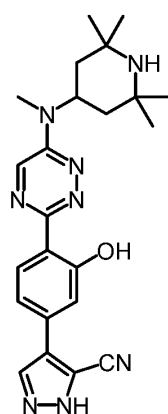




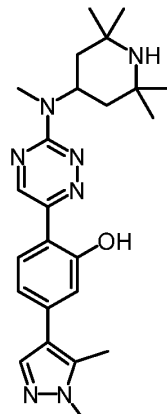
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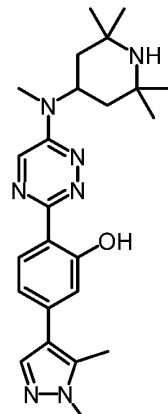
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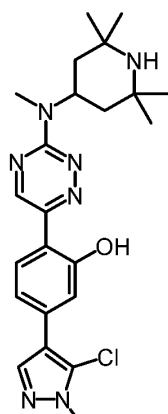
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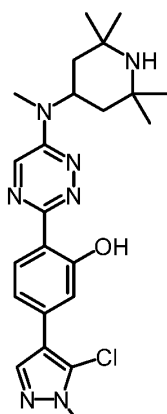
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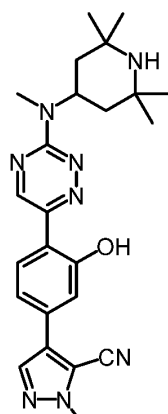
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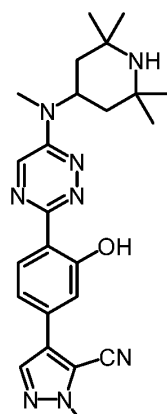
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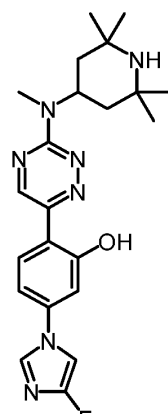
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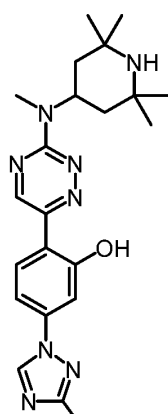
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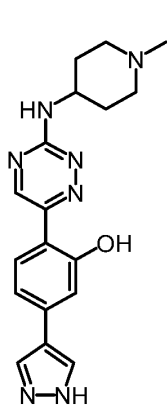
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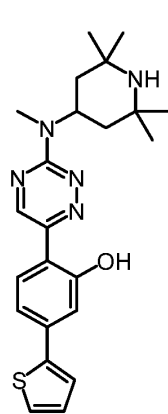
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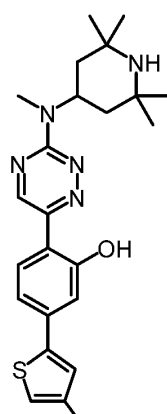
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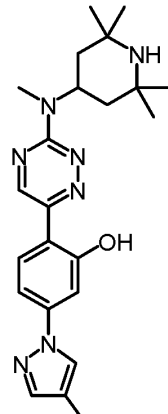
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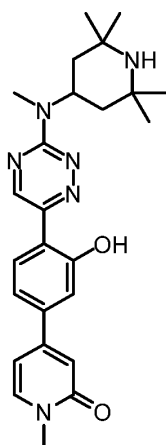
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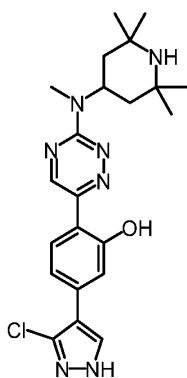
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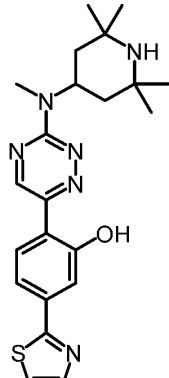
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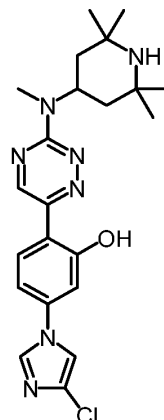
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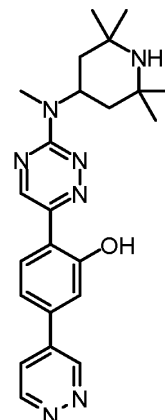
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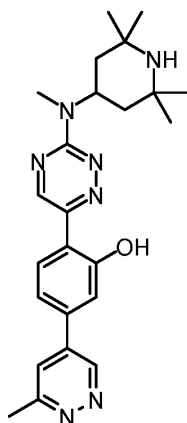
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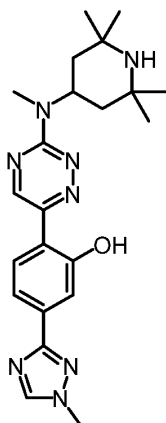
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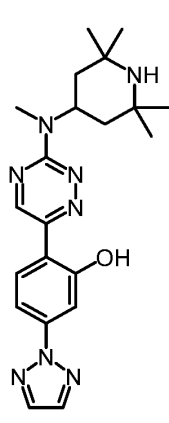
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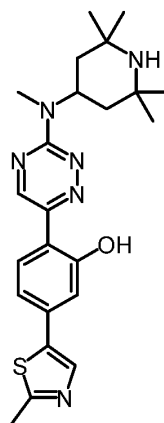
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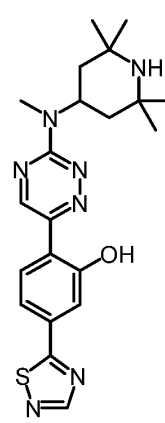
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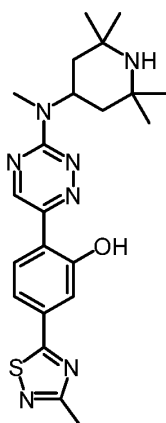
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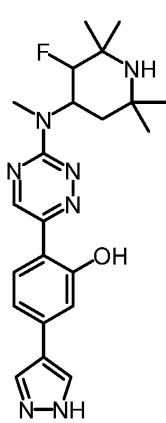
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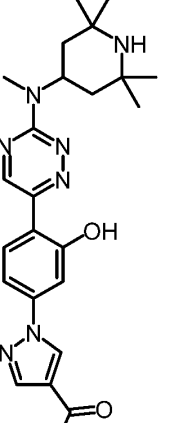
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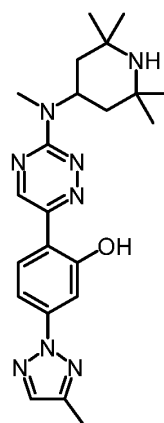
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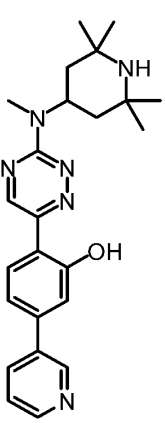
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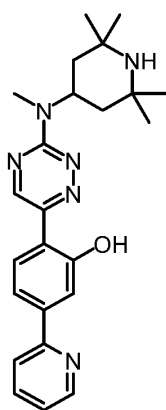
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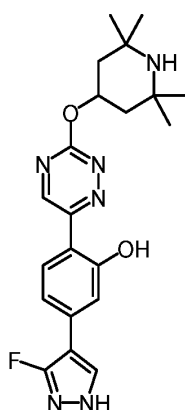
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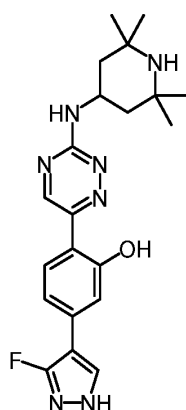
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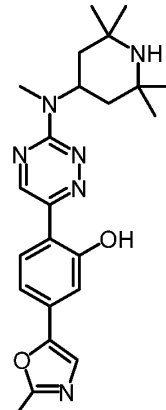
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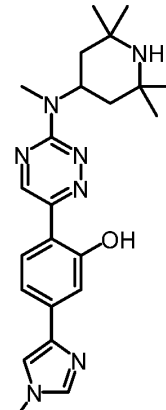
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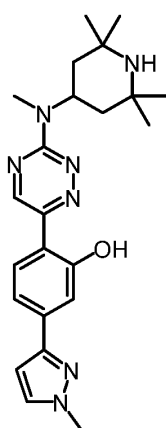
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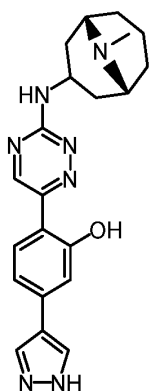
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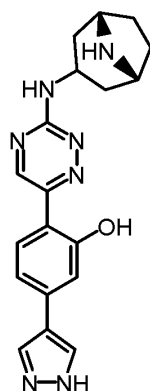
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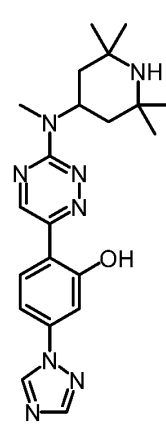
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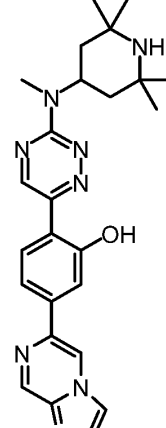
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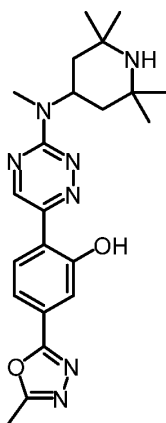
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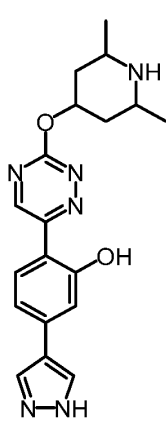
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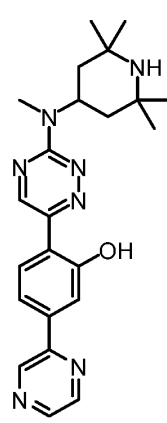
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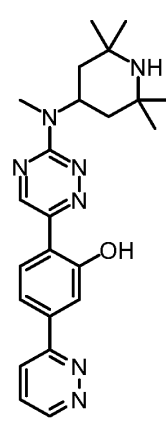
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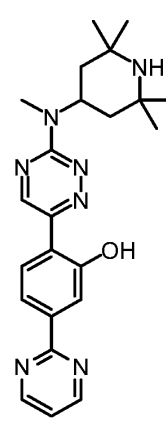
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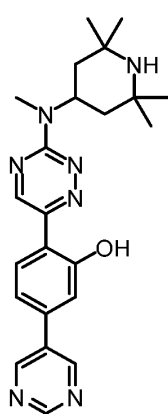
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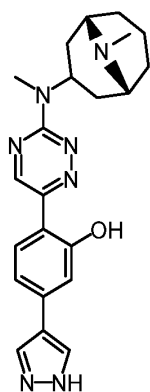
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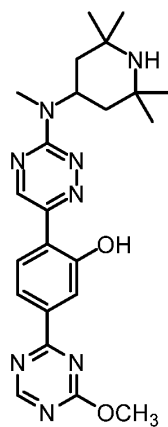
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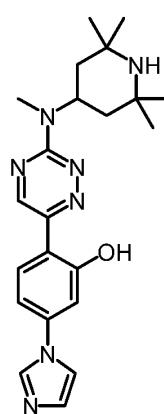
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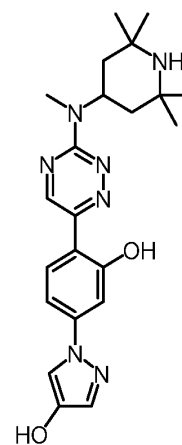
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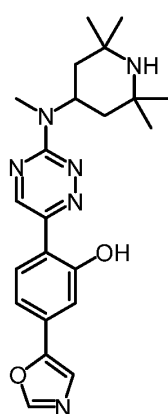
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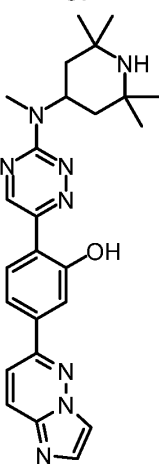
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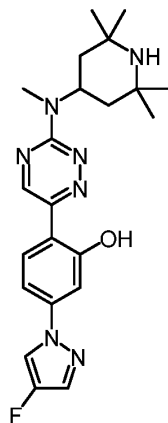
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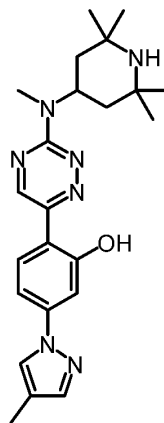
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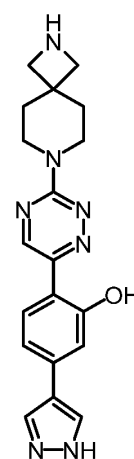
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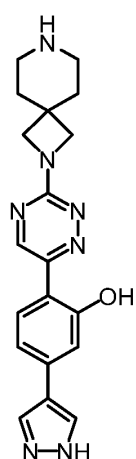
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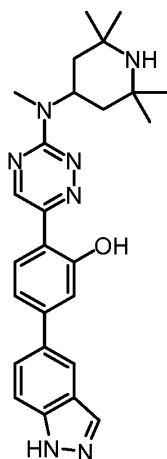
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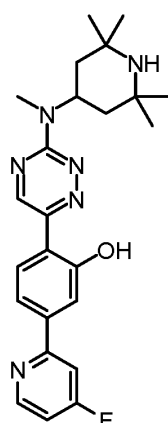
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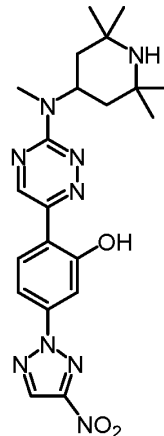
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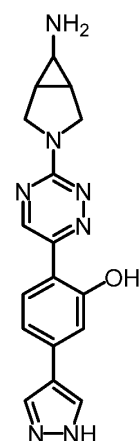
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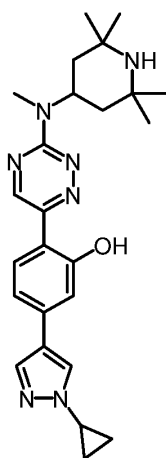
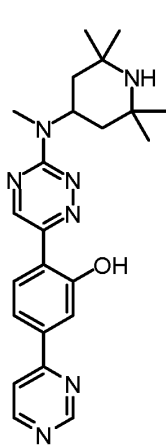
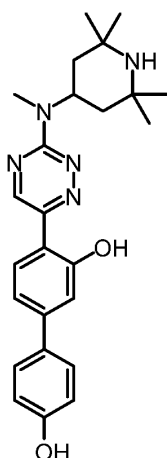
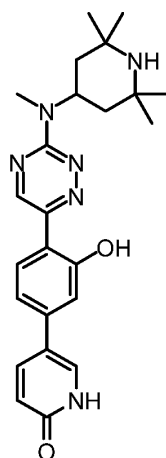
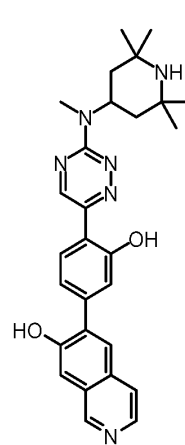
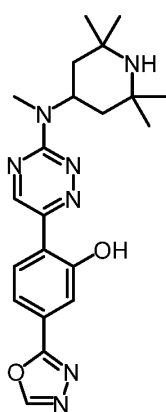
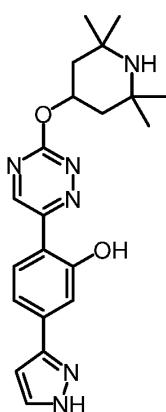
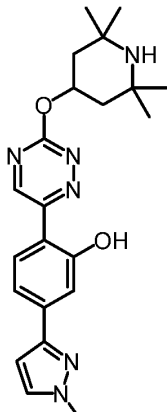
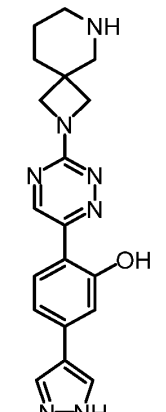
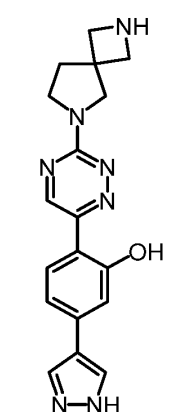
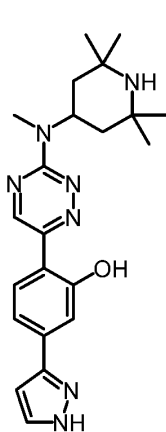
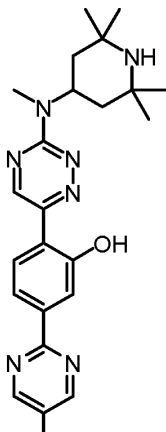
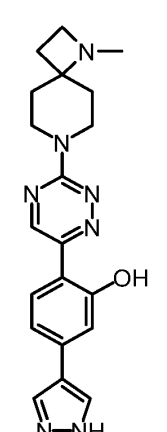
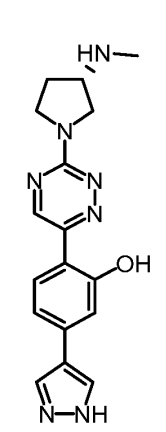
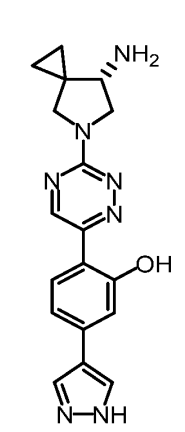
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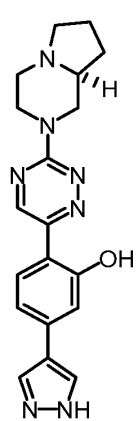


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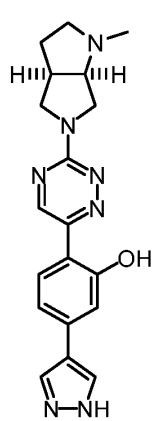


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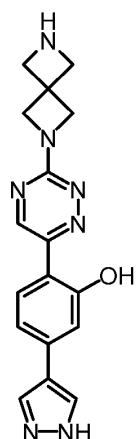
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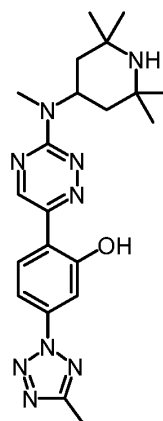
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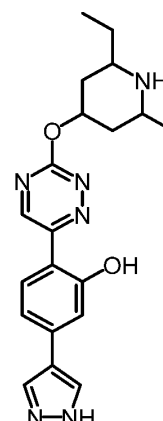
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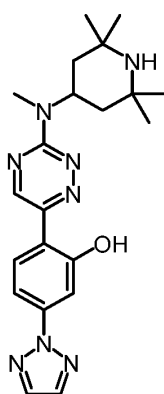
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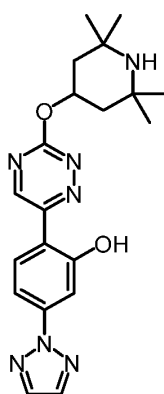
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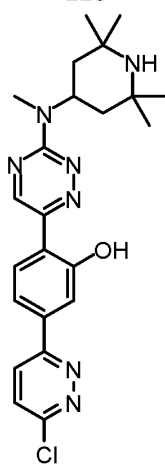
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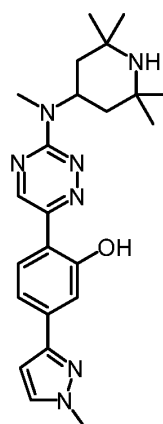
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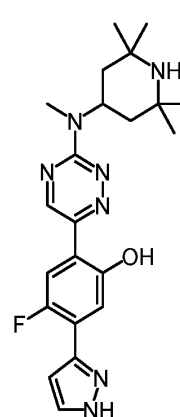
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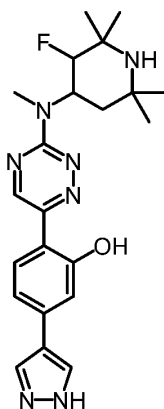
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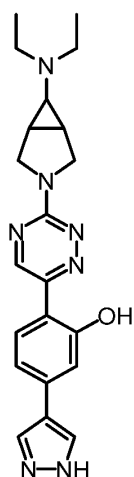
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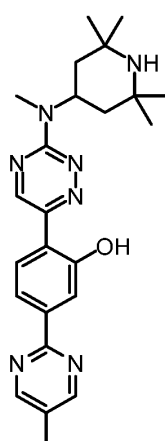
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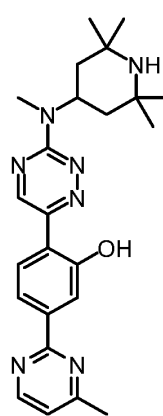
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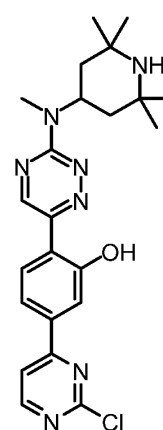
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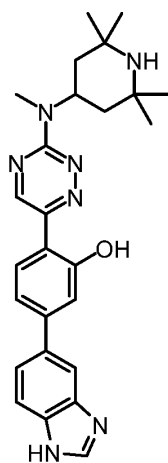
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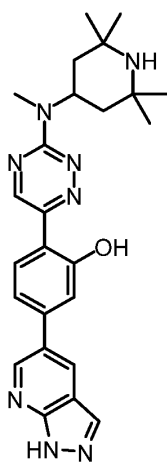
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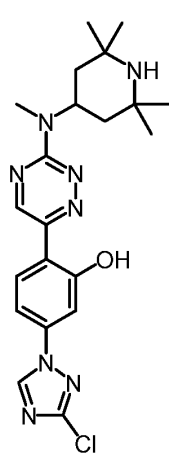
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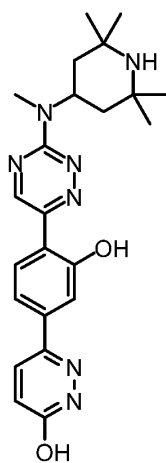
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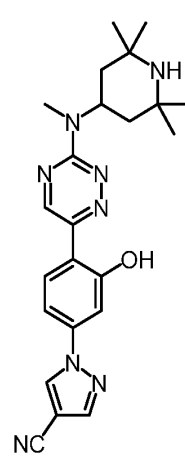
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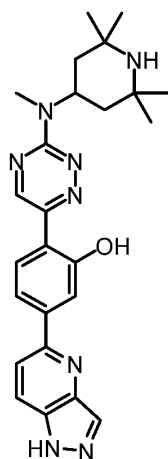
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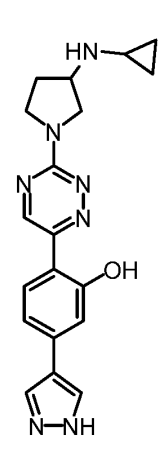
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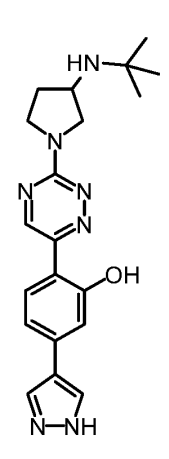
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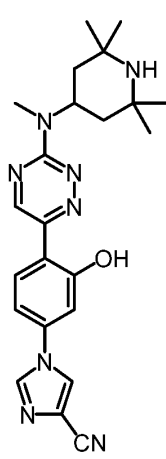
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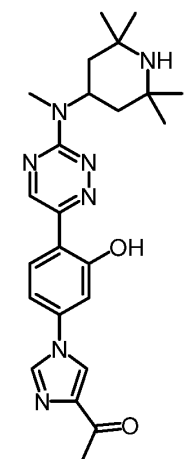
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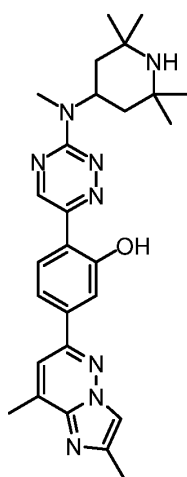
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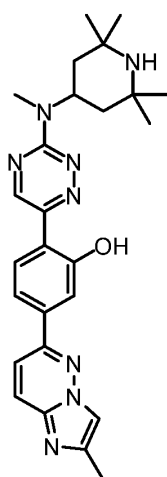
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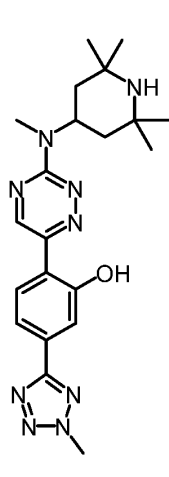
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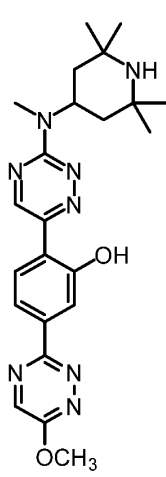
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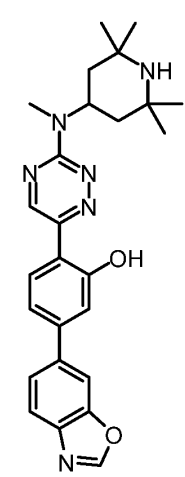
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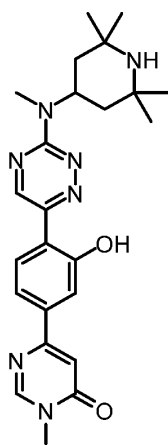
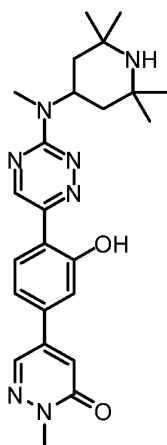
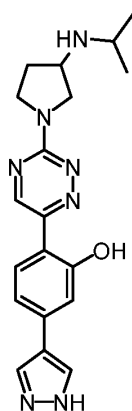
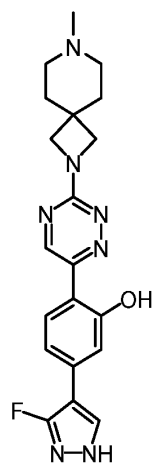
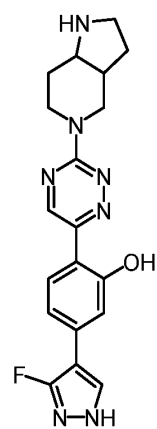
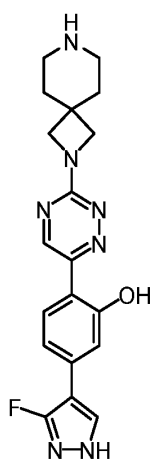
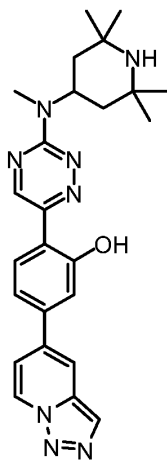
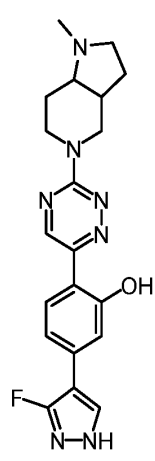
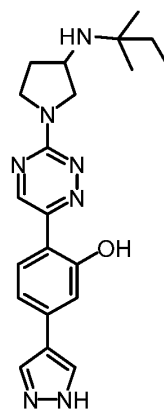
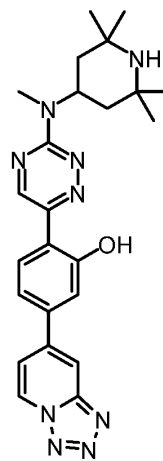
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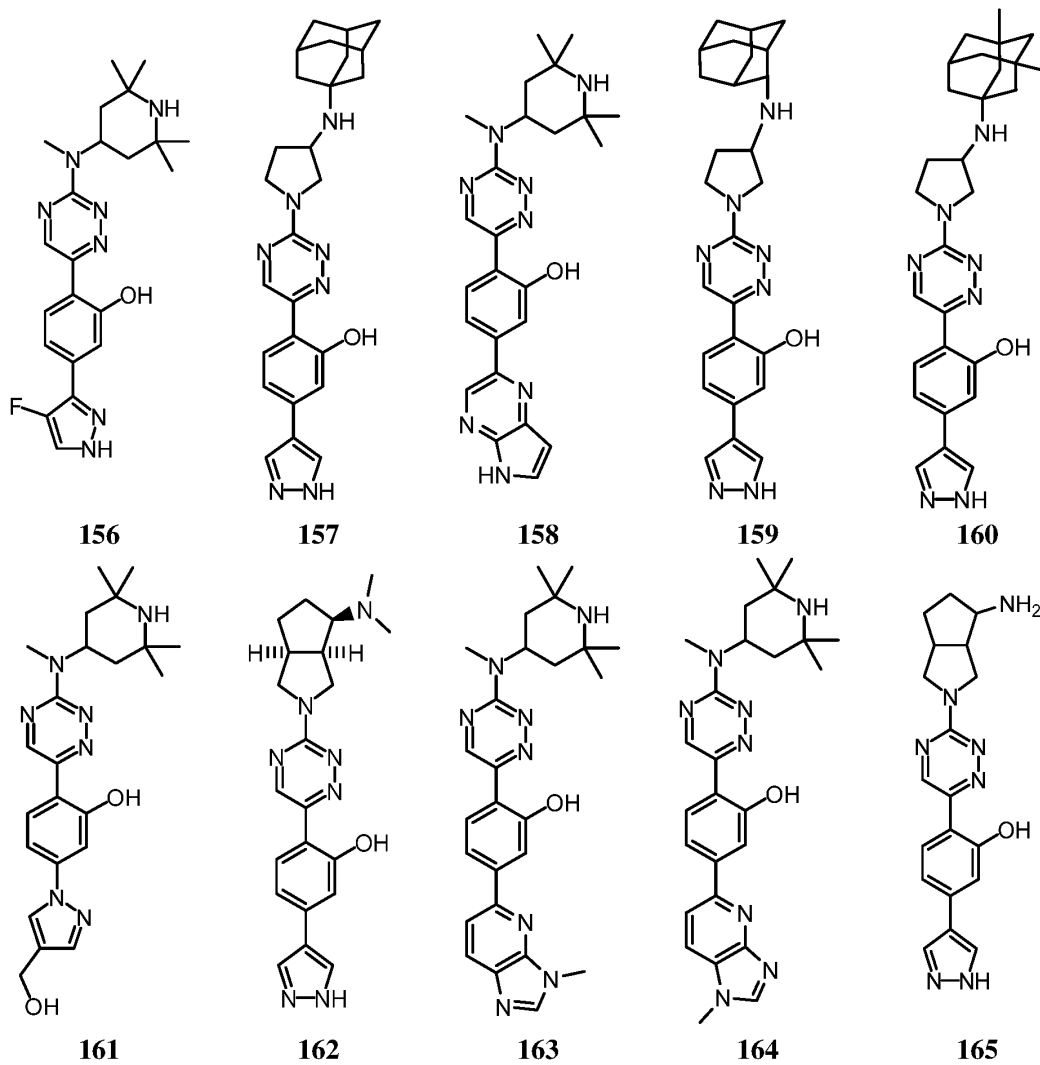


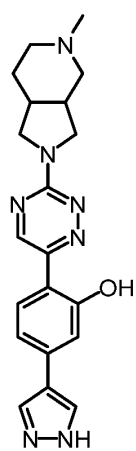
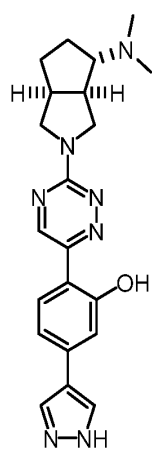
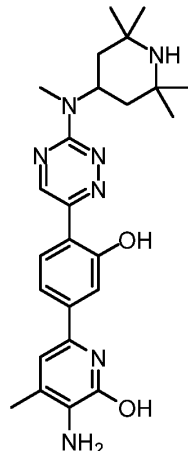
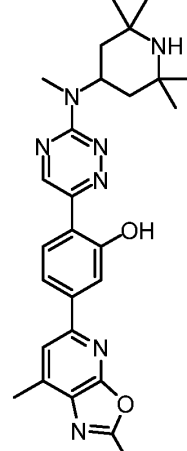
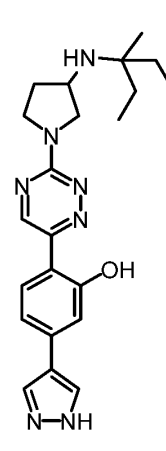
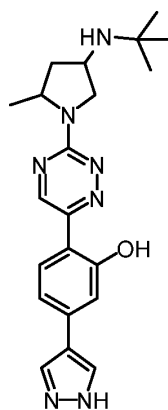
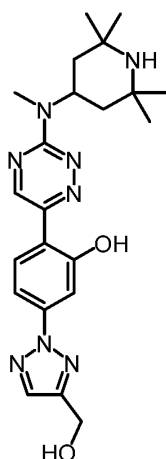
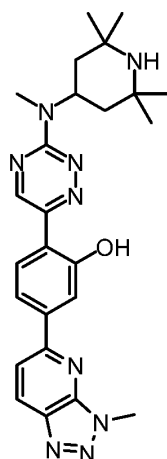
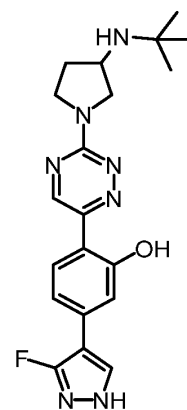
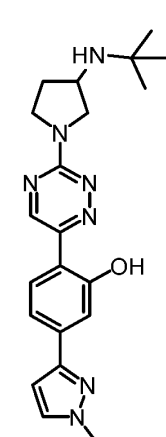
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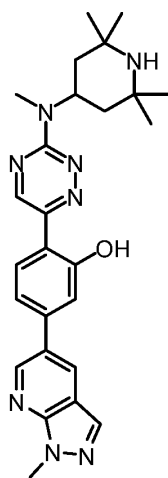


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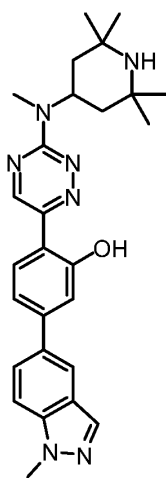
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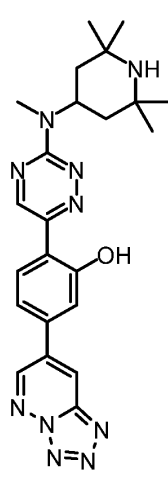
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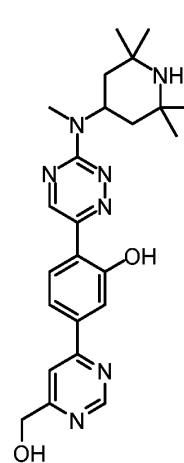
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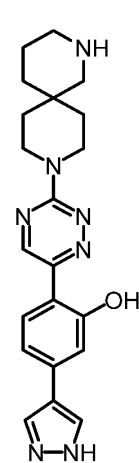
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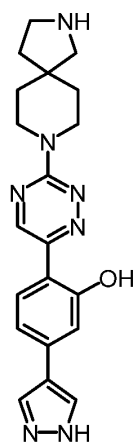
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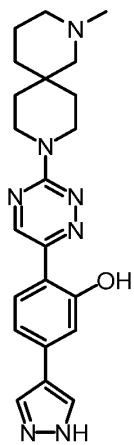
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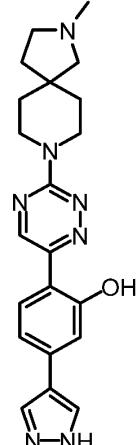
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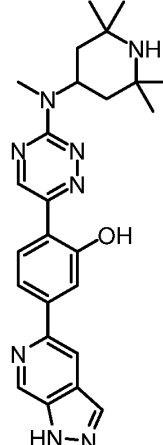
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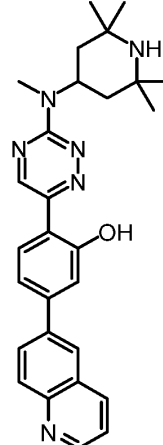
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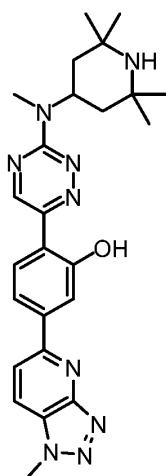
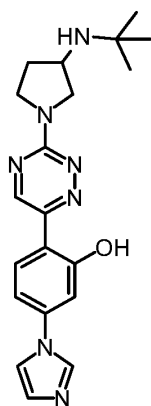
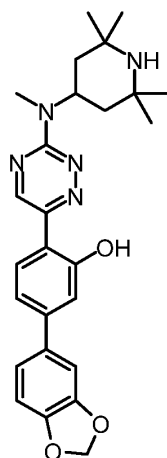
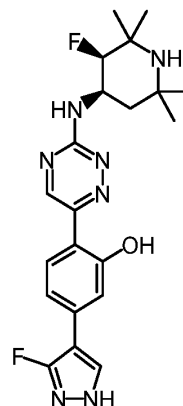
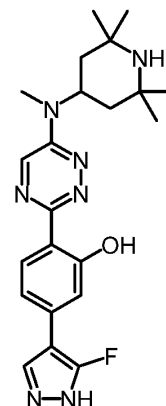
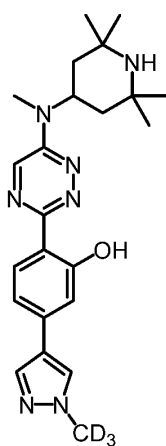
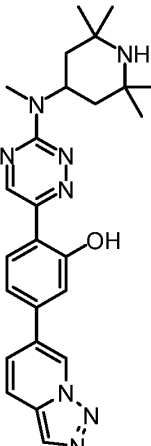
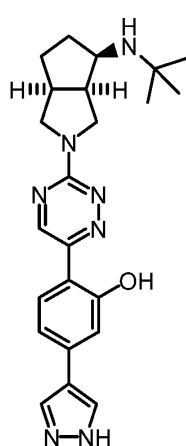
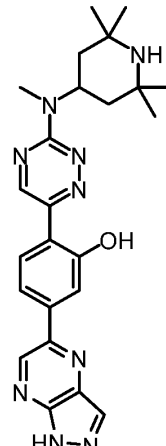
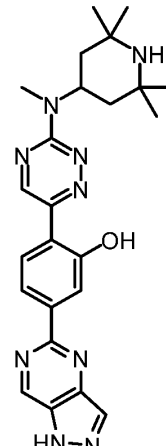
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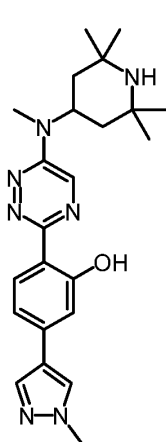


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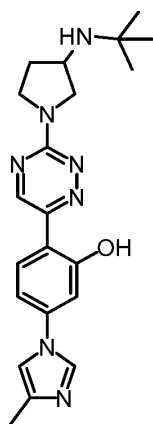


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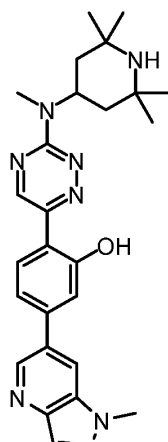
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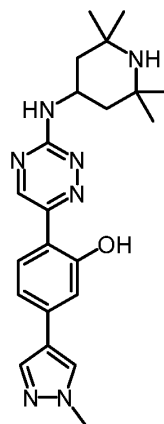
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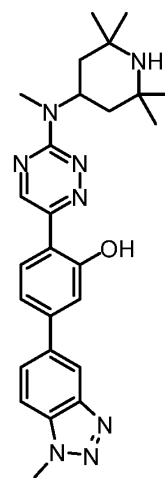
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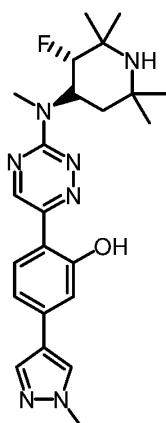
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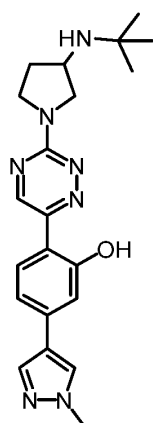
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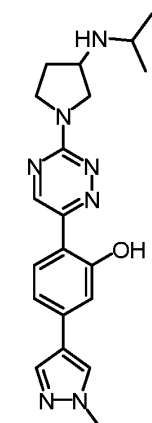
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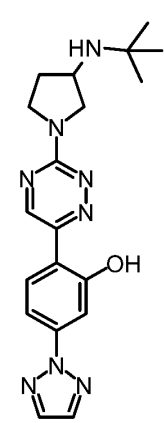
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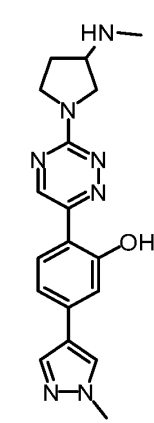
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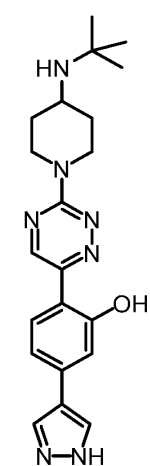
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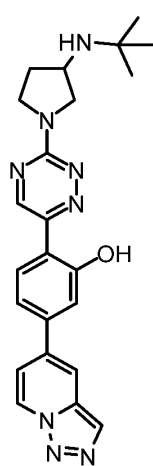
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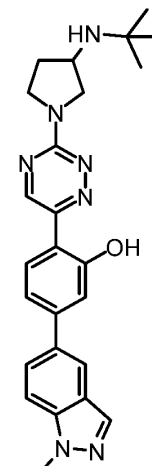
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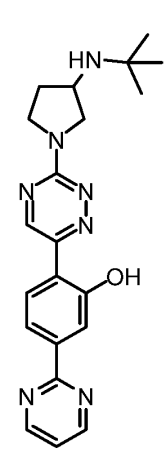
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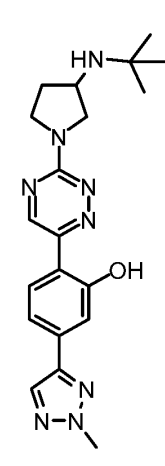
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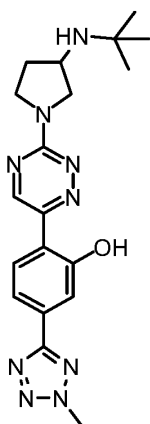
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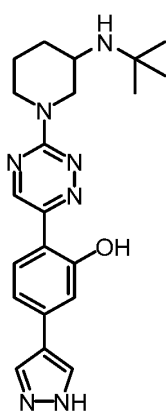
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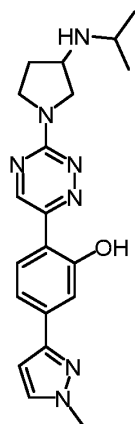
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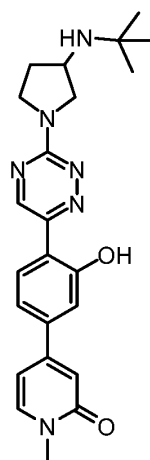
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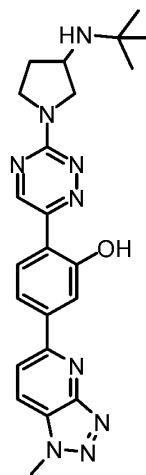
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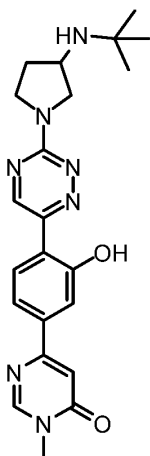
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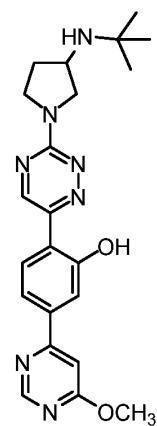
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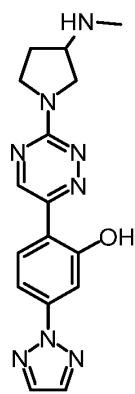
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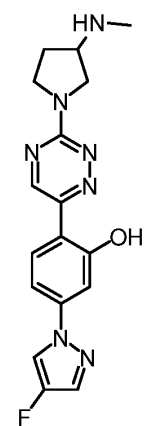
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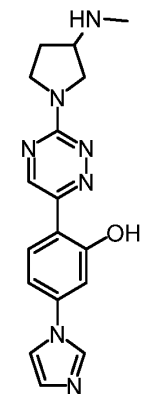
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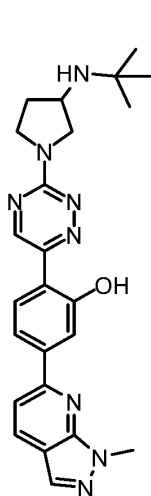
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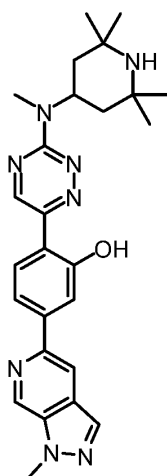
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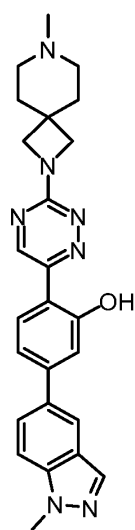
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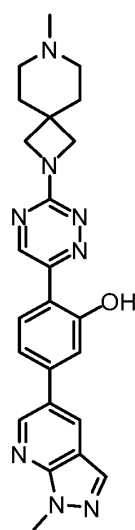
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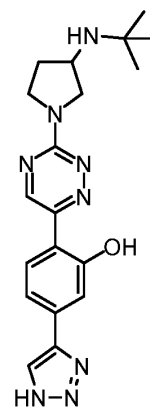
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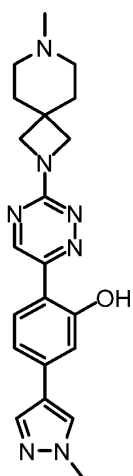
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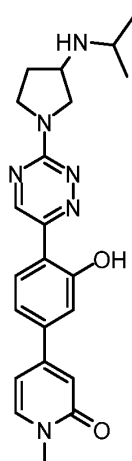
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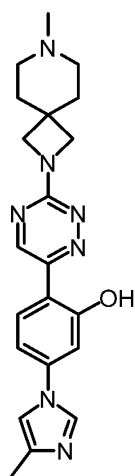
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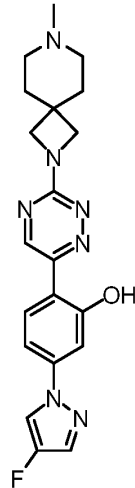
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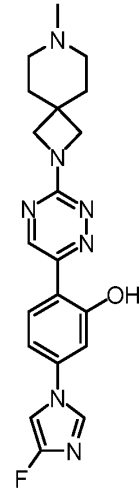
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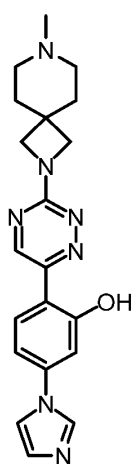
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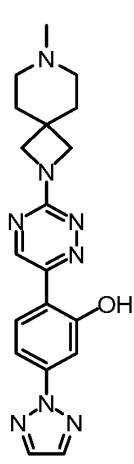
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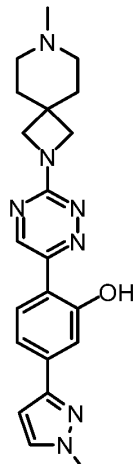
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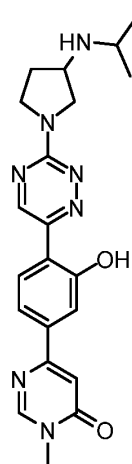
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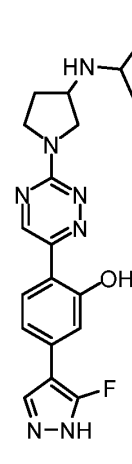
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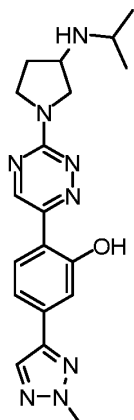
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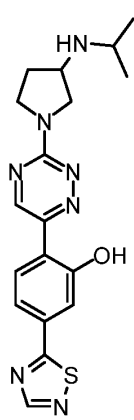
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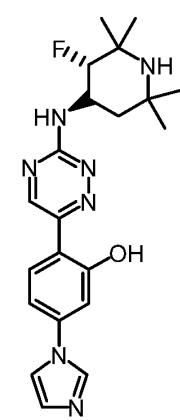
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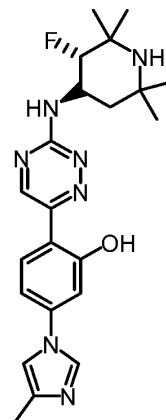
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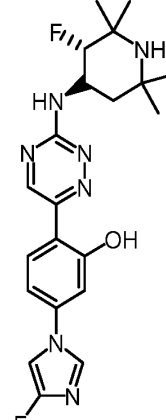
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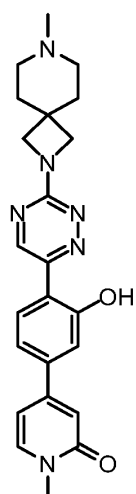
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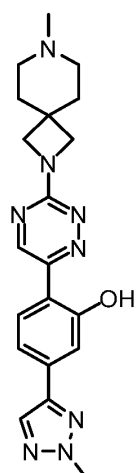
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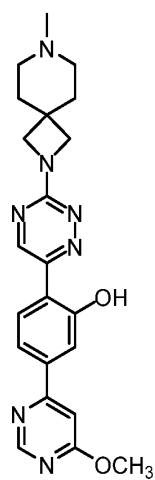
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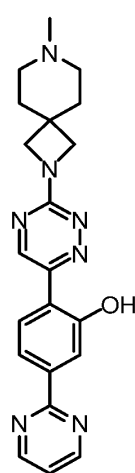
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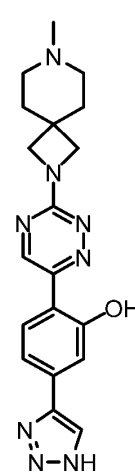
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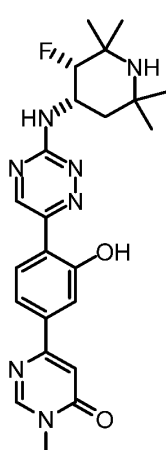
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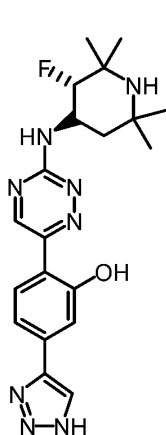
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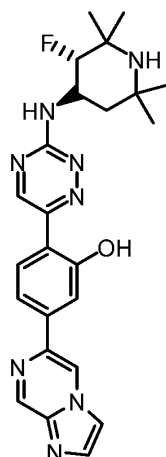
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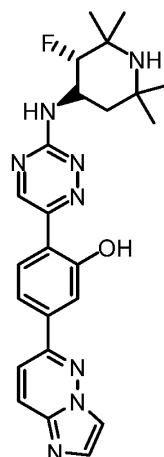
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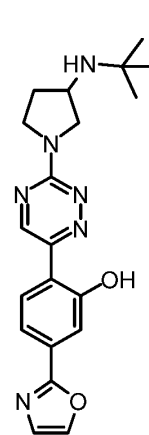
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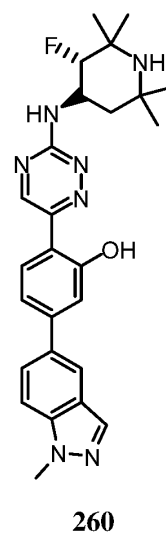
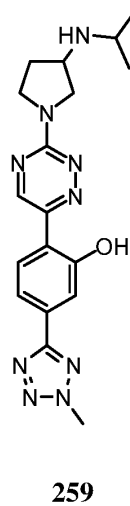
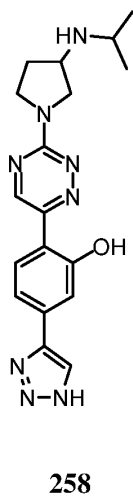
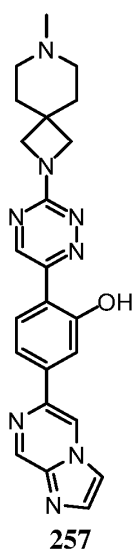
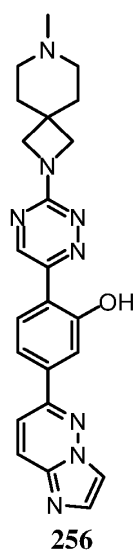
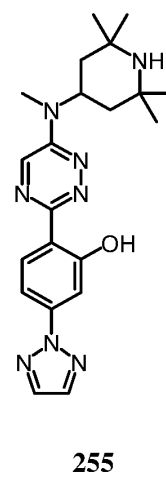
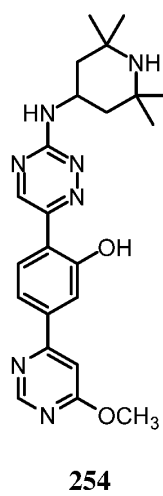
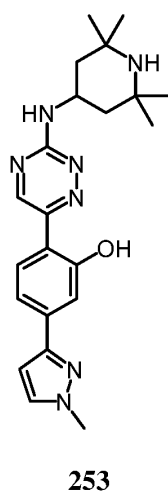
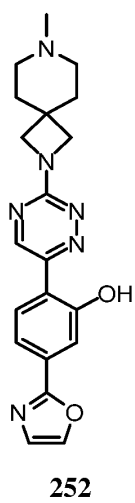
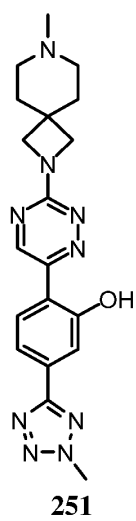
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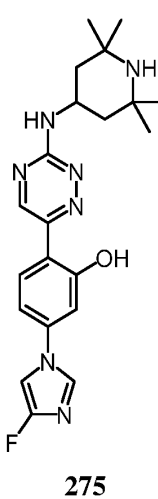
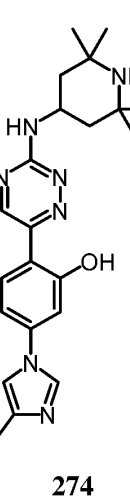
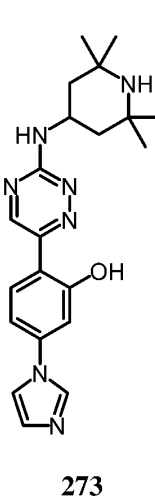
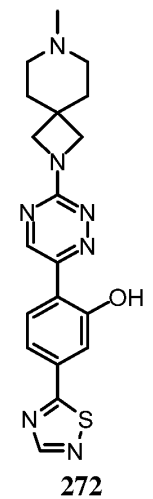
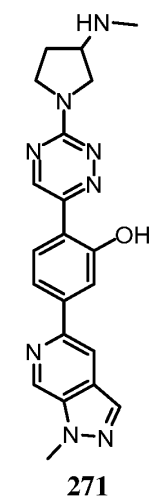
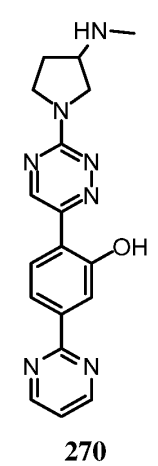
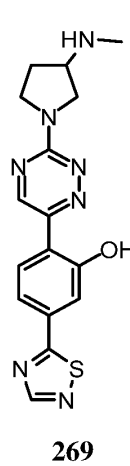
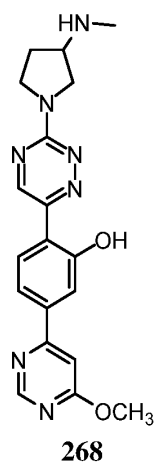
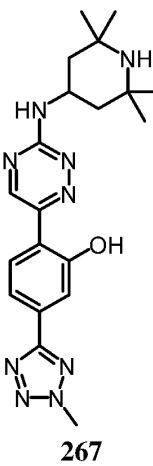
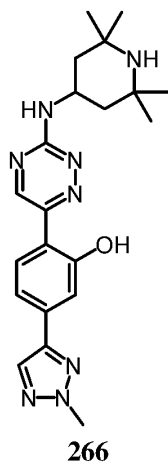
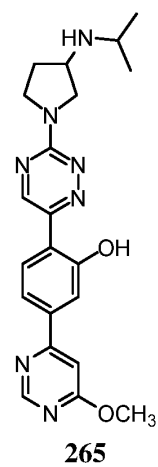
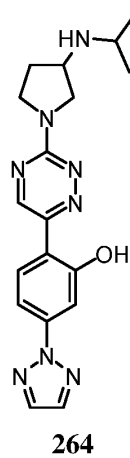
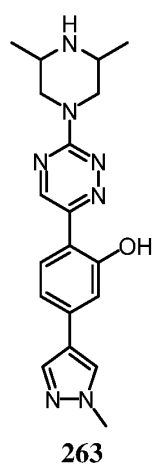
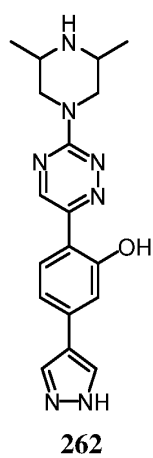
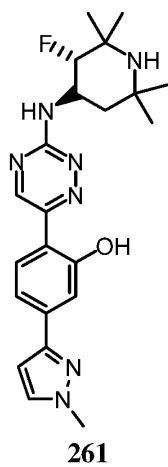


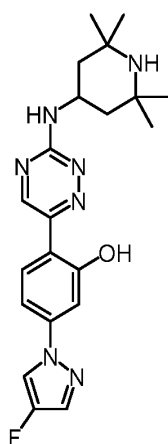
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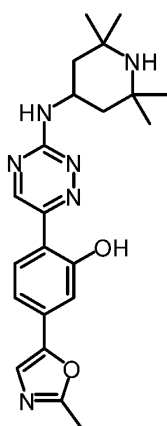
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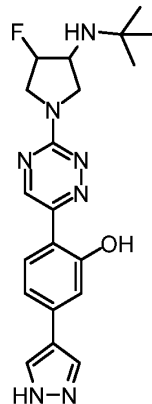




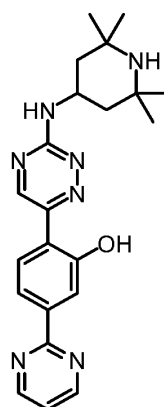
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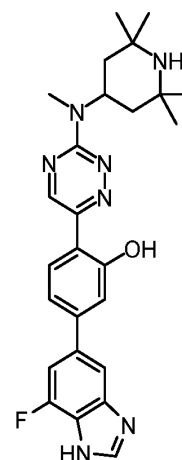
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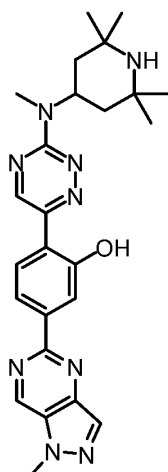
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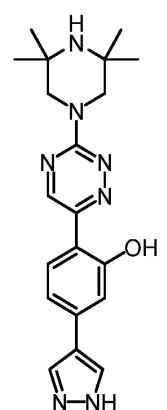
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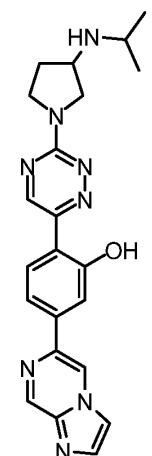
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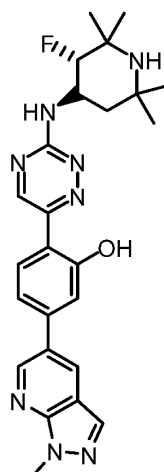
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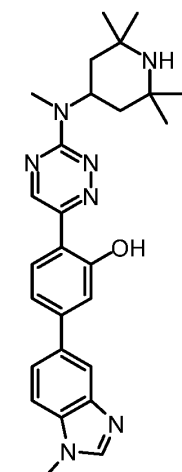
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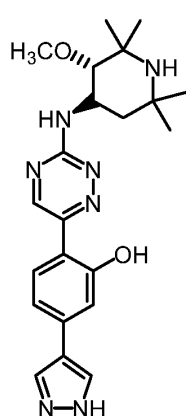
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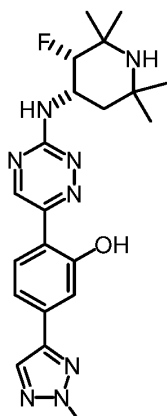
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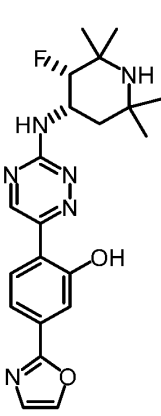
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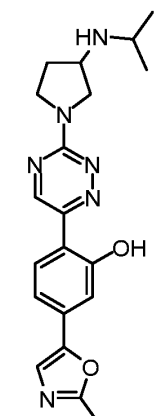
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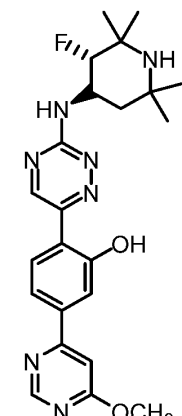
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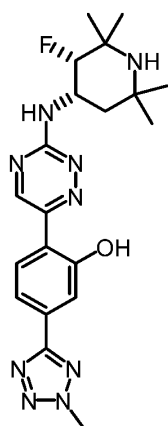
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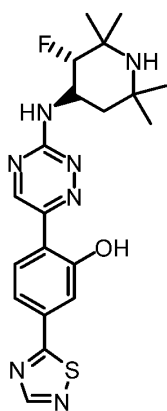
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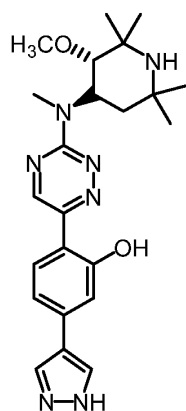
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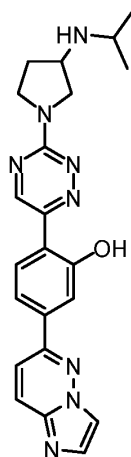
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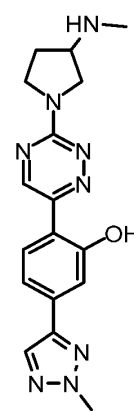
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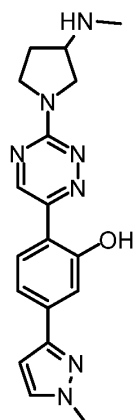
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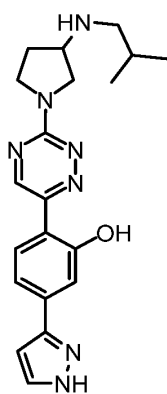
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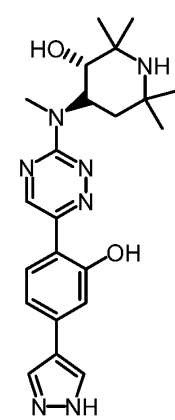
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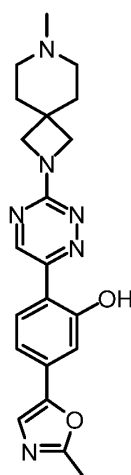
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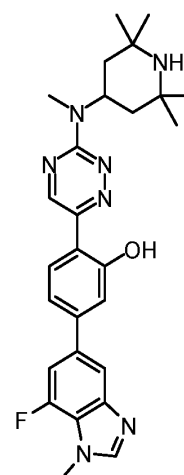
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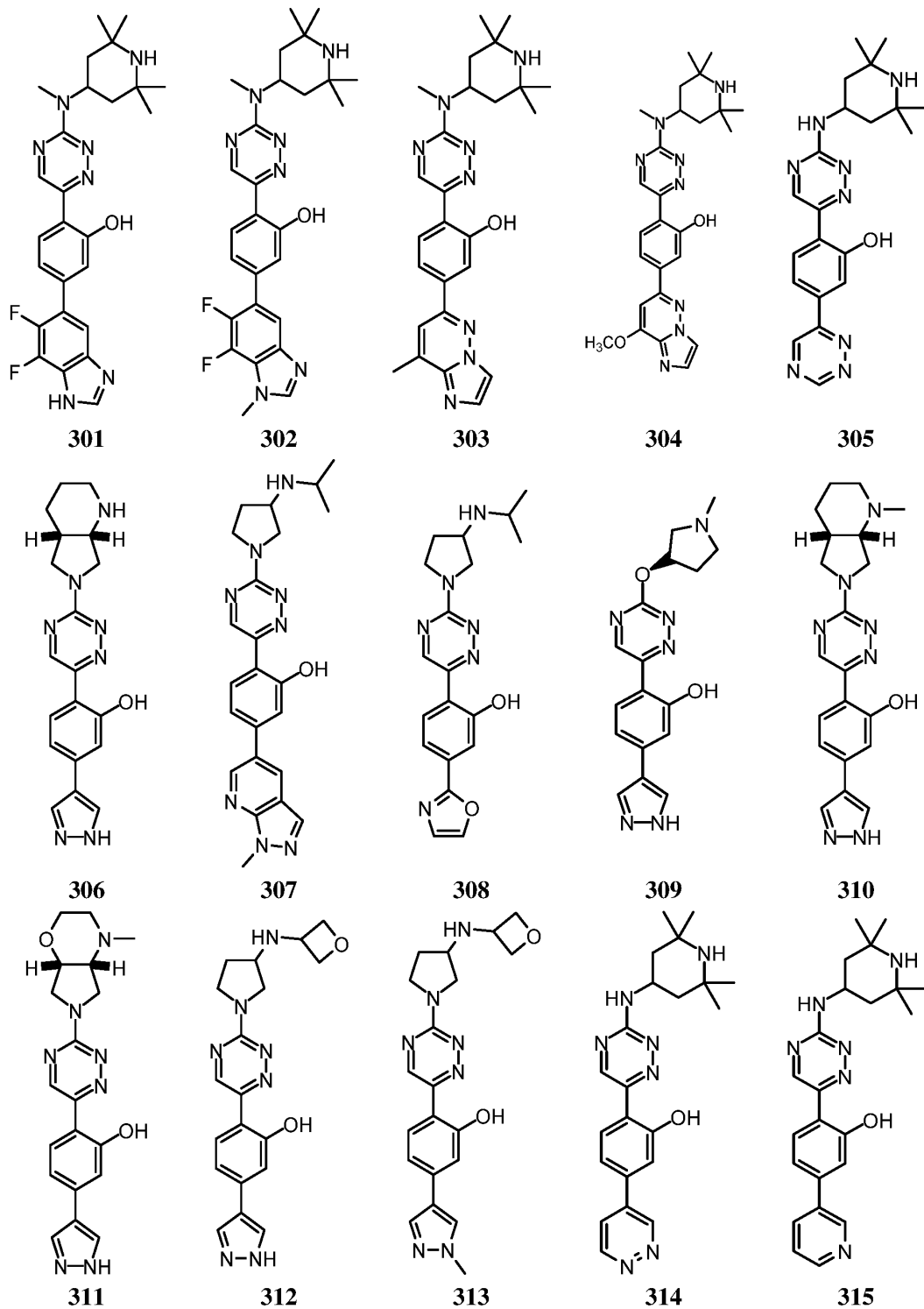
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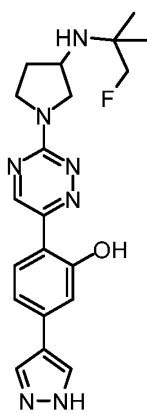


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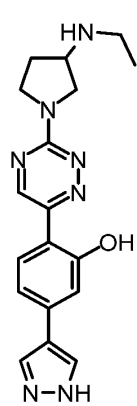


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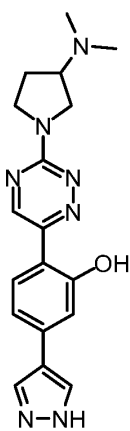




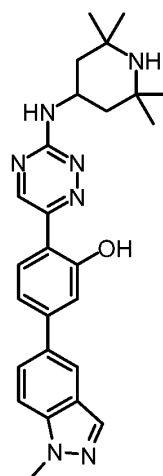
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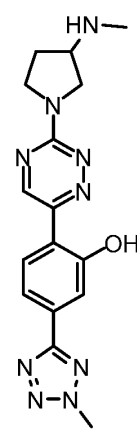
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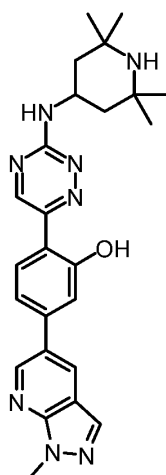
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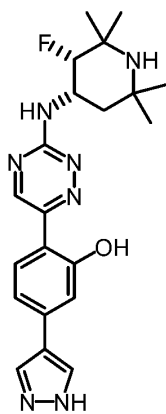
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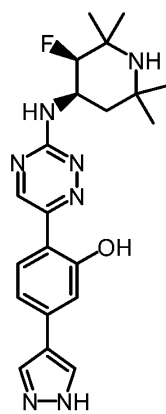
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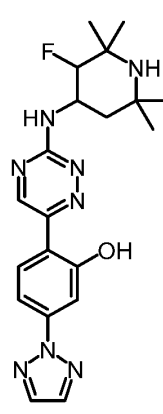
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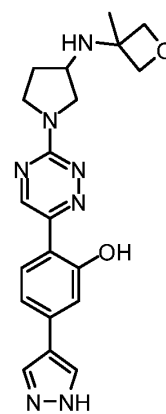
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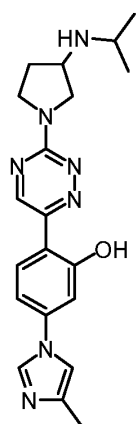
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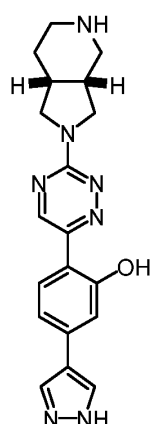
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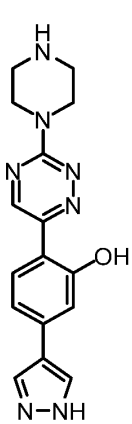
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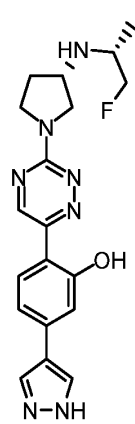
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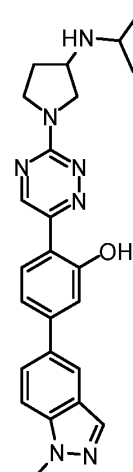
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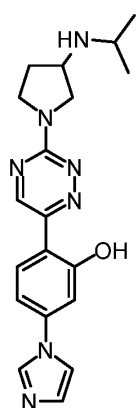
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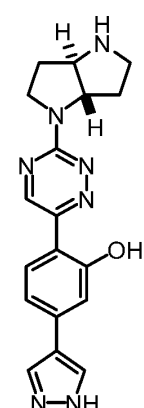
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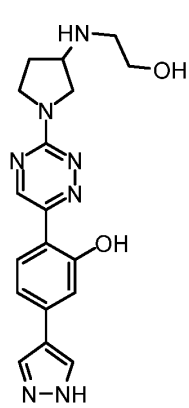
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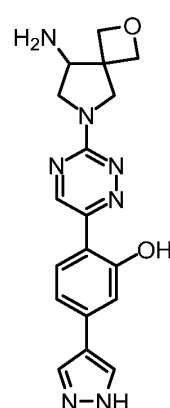
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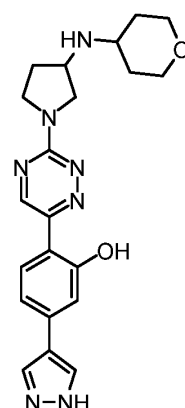
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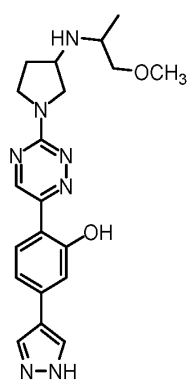
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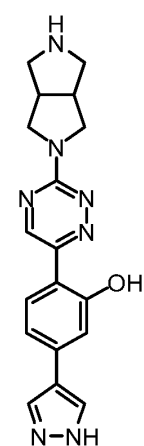
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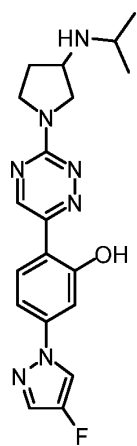
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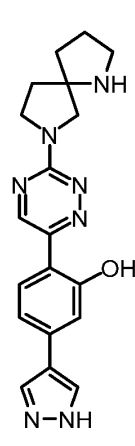
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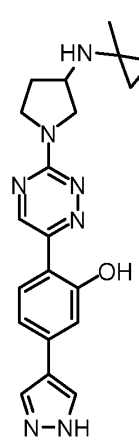
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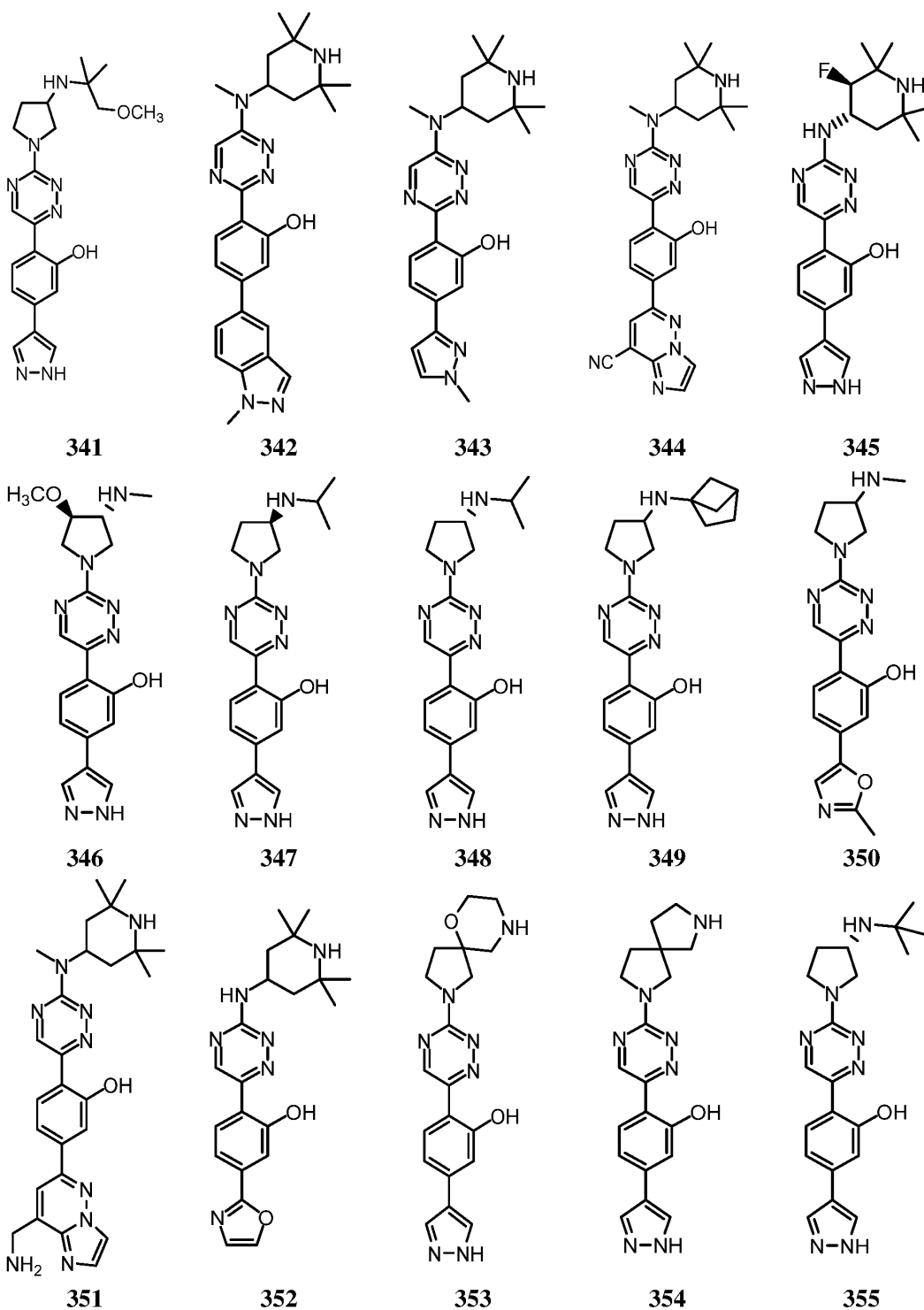
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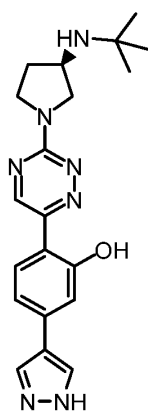


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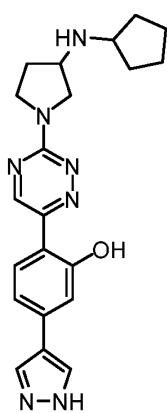


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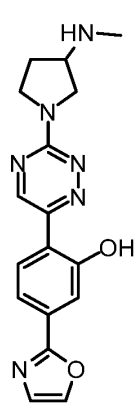




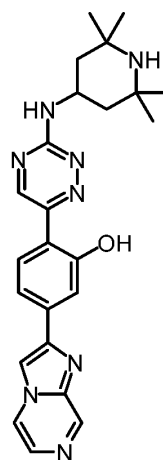
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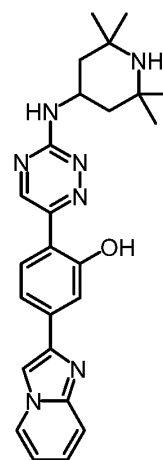
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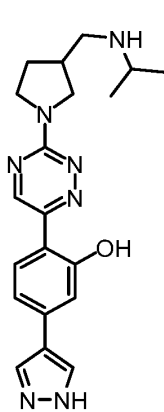
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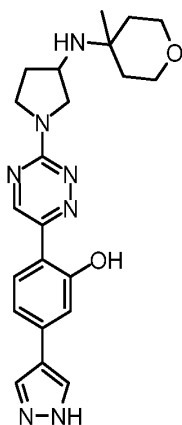
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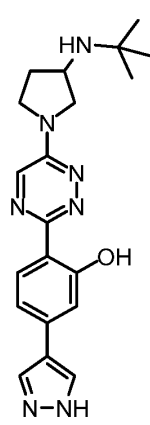
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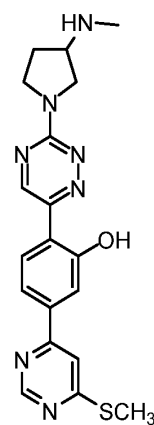
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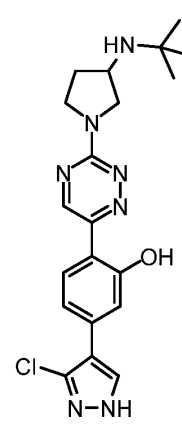
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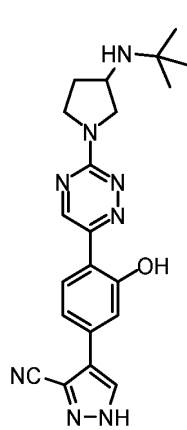
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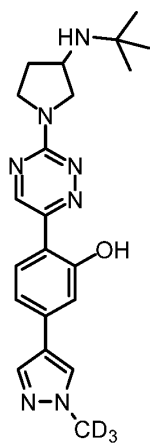
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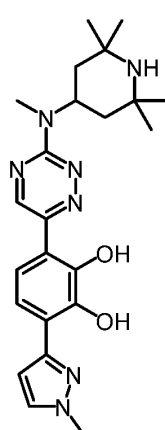
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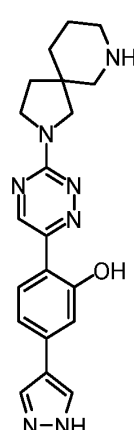
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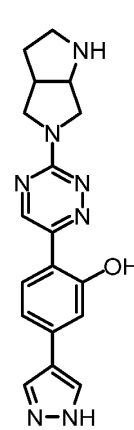
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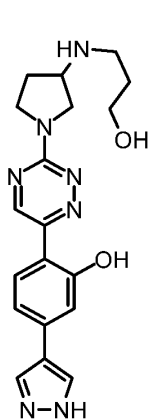
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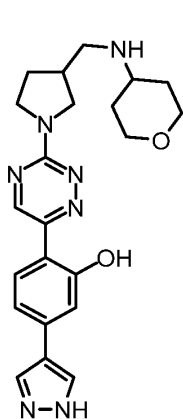
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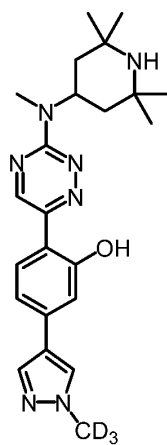
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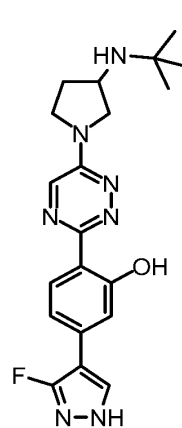
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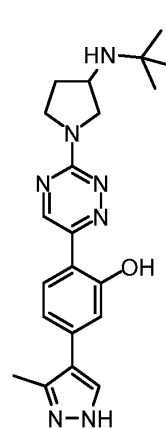
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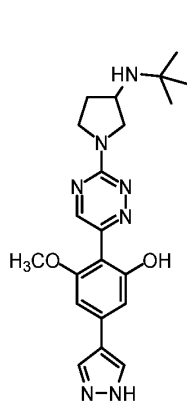
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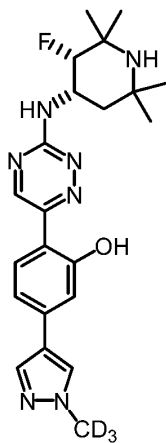
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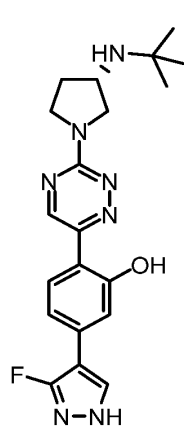
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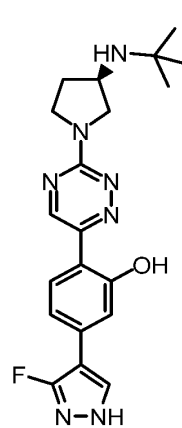
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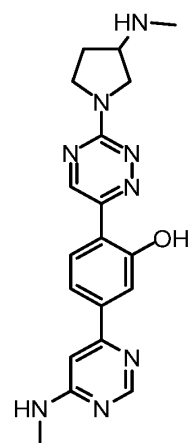
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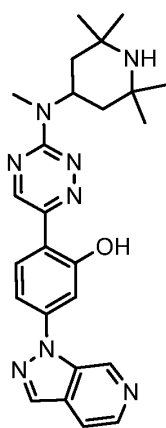
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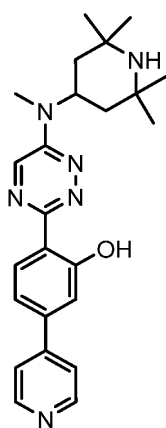
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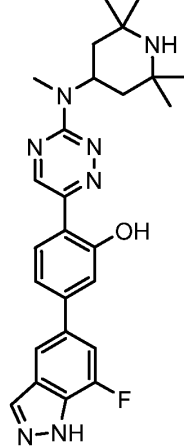
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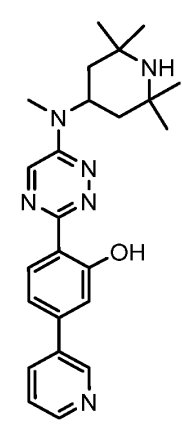
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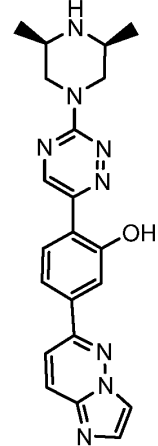
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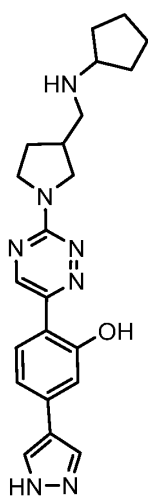
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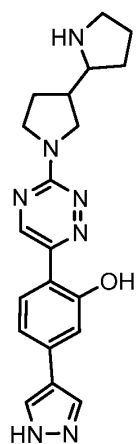
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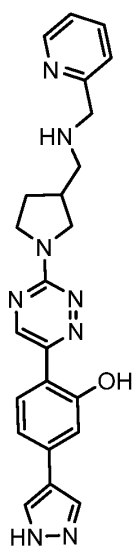
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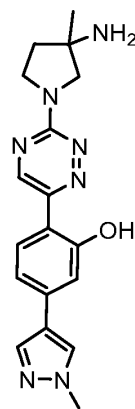
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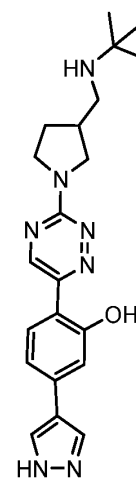
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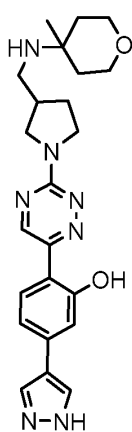
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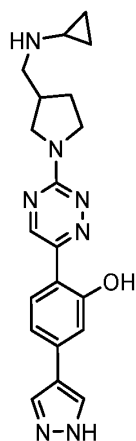
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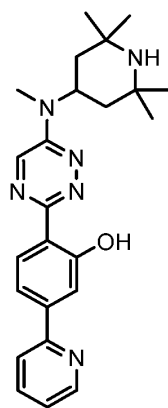
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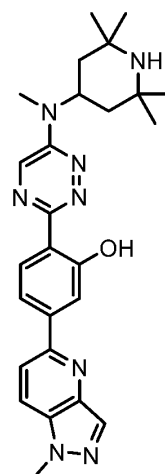
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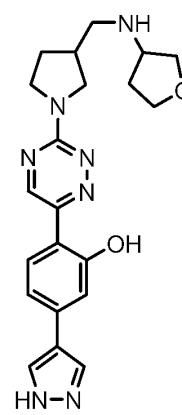
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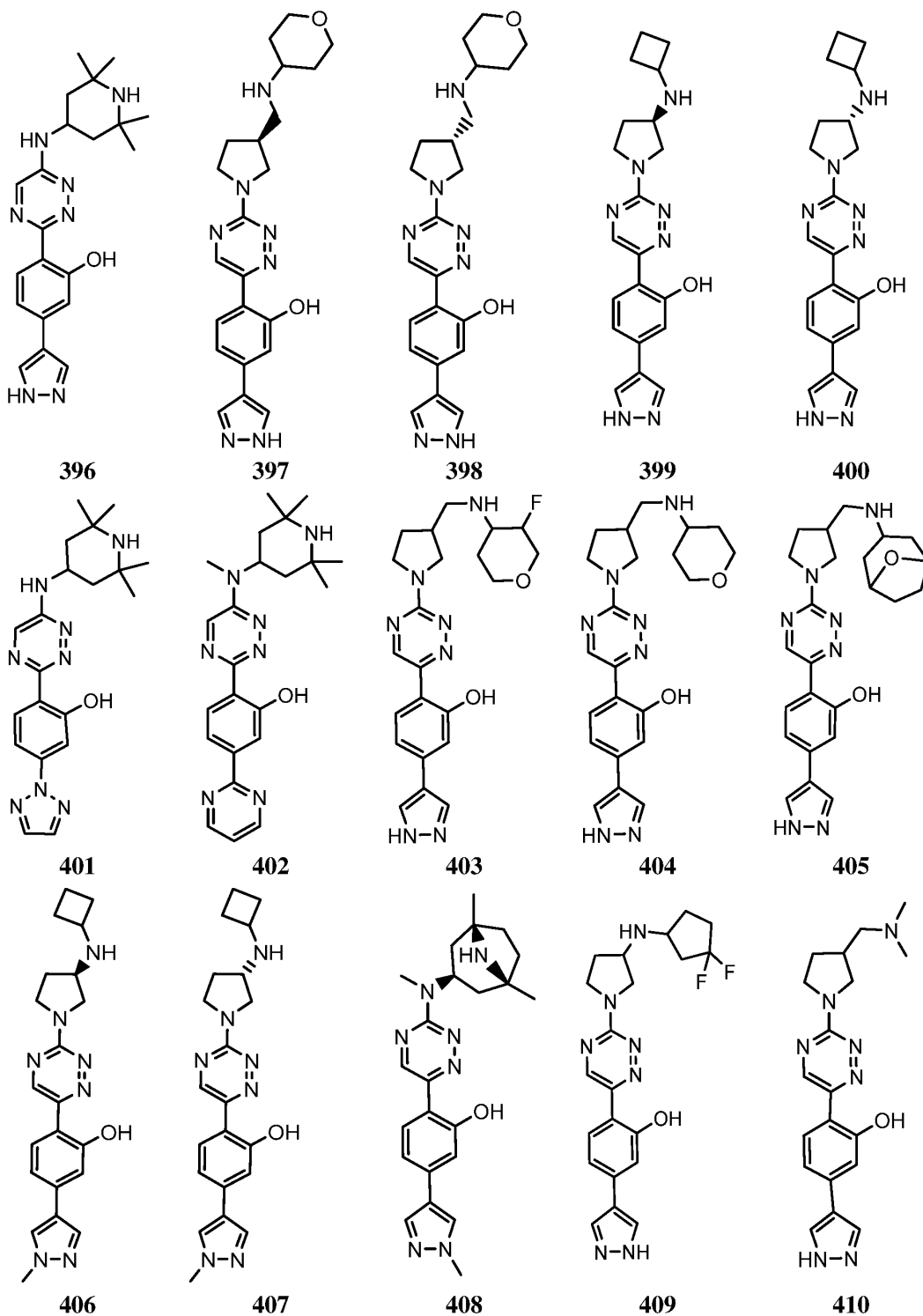
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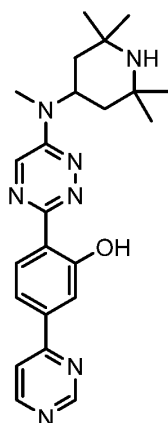


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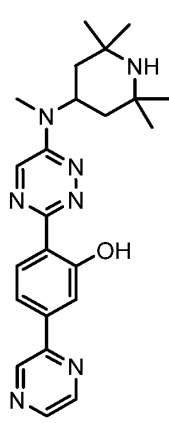


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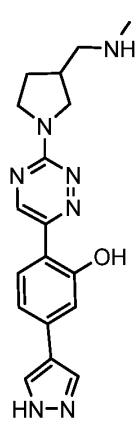




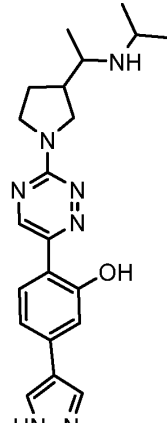
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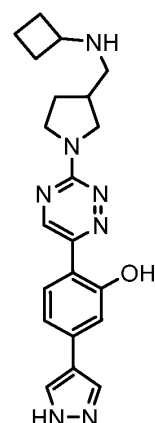
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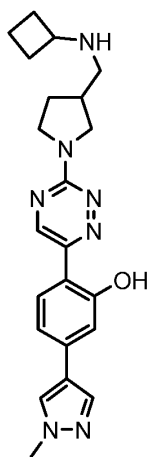
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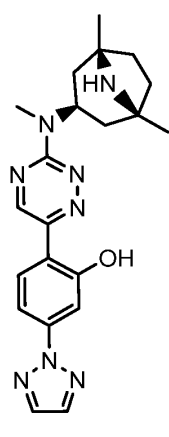
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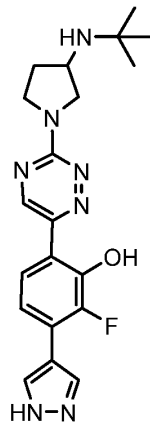
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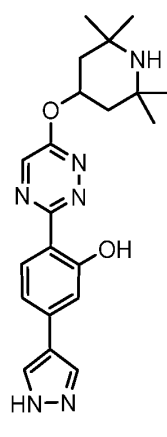
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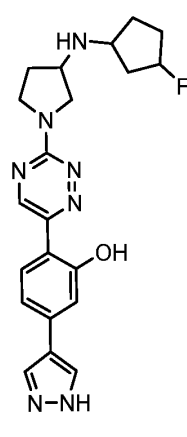
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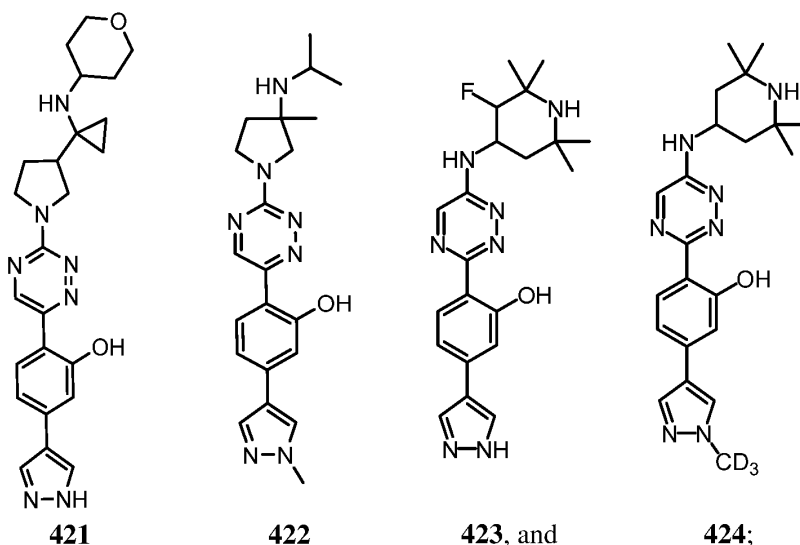
418



419



420



wherein a form of the compound is selected from the group consisting of a salt, hydrate, solvate, racemate, enantiomer, diastereomer, stereoisomer, and tautomer form thereof.

An aspect the compound of Formula (I) or Formula (II) or a form thereof (wherein compound number (#¹) indicates that the salt form was isolated) includes a compound selected from the group consisting of:

5

Cpd	Name
1¹	5-(5-methyl-1,3-oxazol-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
2¹	5-(2-methoxypyridin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
3¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-1,2,3-triazol-4-yl)phenol
4	4-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridin-2-ol
5	5-(3-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
6¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol
7	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-4-yl)phenol
8	5-(2-methylpyridin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
9	5-(4-methyl-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol

Cpd	Name
10¹	5-(1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol
11¹	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
12¹	5-(1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
13¹	5-[1-(² H ₃)methyl-1H-pyrazol-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
14¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
15¹	5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
16¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-1-yl)phenol
17¹	5-(3-fluoro-1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
18¹	2-{3-[methyl(piperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
19¹	2-{3-[methyl(piperidin-3-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
20¹	2-(3-{[(1R,3S,5S)-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
21	2-(3-{[(1R,3S,5S)-9-azabicyclo[3.3.1]nonan-3-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
22	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol
23¹	2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol
24¹	(6-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-3-yl)(2,2,6,6-tetramethylpiperidin-4-yl)methanone
25	(3-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-6-yl)(2,2,6,6-tetramethylpiperidin-4-yl)methanone
26	2-(6-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-3-yl)-2-(2,2,6,6-tetramethylpiperidin-4-yl)acetonitrile
27	2-(3-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-6-yl)-2-(2,2,6,6-tetramethylpiperidin-4-yl)acetonitrile
28	2-(3-(amino(2,2,6,6-tetramethylpiperidin-4-yl)methyl)-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
29	2-(6-(amino(2,2,6,6-tetramethylpiperidin-4-yl)methyl)-1,2,4-triazin-3-yl)-5-(1H-pyrazol-4-yl)phenol

Cpd	Name
30	2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(1,3,5-triazin-2-yl)phenol
31	2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)-5-(1,3,5-triazin-2-yl)phenol
32	4-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1,3,5-triazin-2-ol
33 ¹	4-(3-hydroxy-4-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenyl)-1,3,5-triazin-2-ol
34	5-(4-amino-1,3,5-triazin-2-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol
35	5-(4-amino-1,3,5-triazin-2-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol
36	5-(4-chloro-1,3,5-triazin-2-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol
37	5-(4-chloro-1,3,5-triazin-2-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol
38	2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(5-methyl-1H-pyrazol-4-yl)phenol
39	2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)-5-(5-methyl-1H-pyrazol-4-yl)phenol
40	5-(5-chloro-1H-pyrazol-4-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol
41	5-(5-chloro-1H-pyrazol-4-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol
42	4-(3-hydroxy-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl)-1H-pyrazole-5-carbonitrile
43	4-(3-hydroxy-4-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenyl)-1H-pyrazole-5-carbonitrile
44	5-(1,5-dimethyl-1H-pyrazol-4-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol
45	5-(1,5-dimethyl-1H-pyrazol-4-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol
46	5-(5-chloro-1-methyl-1H-pyrazol-4-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol
47	5-(5-chloro-1-methyl-1H-pyrazol-4-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol
48	4-(3-hydroxy-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl)-1-methyl-1H-pyrazole-5-carbonitrile

Cpd	Name
49	4-(3-hydroxy-4-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenyl)-1-methyl-1H-pyrazole-5-carbonitrile
50 ¹	5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
51 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1H-1,2,4-triazol-1-yl)phenol
52 ¹	2-{3-[(1-methylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
53	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(thiophen-2-yl)phenol
54	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-methylthiophen-2-yl)phenol
55 ¹	5-(4-methoxy-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
56	4-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1-methylpyridin-2(1H)-one
57 ¹	5-(3-chloro-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
58 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-thiazol-2-yl)phenol
59 ¹	5-(4-chloro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
60 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridazin-4-yl)phenol
61 ¹	5-(6-methylpyridazin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
62 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-1,2,4-triazol-3-yl)phenol
63 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol
64	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-1,3-thiazol-5-yl)phenol
65 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol
66 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1,2,4-thiadiazol-5-yl)phenol
67 ¹	2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(methyl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
68 ¹	1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-pyrazol-4-yl]ethan-1-one
69 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-methyl-2H-1,2,3-triazol-2-yl)phenol
70	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-3-yl)phenol
71 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-2-yl)phenol

Cpd	Name
72 ¹	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol
73 ¹	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
74 ¹	5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
75 ¹	5-(1-methyl-1H-imidazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
76 ¹	5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
77 ¹	2-(3-[[1R,3s,5S]-9-methyl-9-azabicyclo[3.3.1]nonan-3-yl]amino)-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
78	2-(3-[[1R,3r,5S]-8-azabicyclo[3.2.1]octan-3-yl]amino)-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
79 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-1,2,4-triazol-1-yl)phenol
80 ¹	5-(imidazo[1,2-a]pyrazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
81 ¹	5-(5-methyl-1,3,4-oxadiazol-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
82 ¹	2-{3-[(2,6-dimethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
83	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrazin-2-yl)phenol
84 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridazin-3-yl)phenol
85	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol
86 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-5-yl)phenol
87	2-(3-{methyl[(1R,3s,5S)-9-methyl-9-azabicyclo[3.3.1]nonan-3-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
88 ¹	5-(4-methoxy-1,3,5-triazin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
89 ¹	5-(1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
90 ¹	1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-pyrazol-4-ol
91 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-5-yl)phenol
92 ¹	5-(imidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
93 ¹	5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
94 ¹	5-(4-methyl-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol

Cpd	Name
95 ¹	2-[3-(2,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
96 ¹	2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
97 ¹	5-(1H-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
98	5-(4-fluoropyridin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
99 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-nitro-2H-1,2,3-triazol-2-yl)phenol
100	2-[3-(6-amino-3-azabicyclo[3.1.0]hexan-3-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
101 ¹	5-(1-cyclopropyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
102 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-4-yl)phenol
103 ¹	4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}[1,1'-biphenyl]-3,4'-diol
104	5-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridin-2(1H)-one
105 ¹	6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}quinolin-7-ol
106	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3,4-oxadiazol-2-yl)phenol
107 ¹	5-(1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol
108 ¹	5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol
109 ¹	2-[3-(2,6-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
110 ¹	2-[3-(2,6-diazaspiro[3.4]octan-6-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
111 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-3-yl)phenol
112 ¹	5-(5-fluoropyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
113 ¹	2-[3-(1-methyl-1,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
114 ¹	2-{3-[(3 <i>S</i>)-3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
115 ¹	2-{3-[(7 <i>S</i>)-7-amino-5-azaspiro[2.4]heptan-5-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
116 ¹	2-{3-[(8 <i>aS</i>)-hexahydropyrrolo[1,2- <i>a</i>]pyrazin-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
117 ¹	2-{3-[(3 <i>aR</i> ,6 <i>aR</i>)-1-methylhexahydropyrrolo[3,4- <i>b</i>]pyrrol-5(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
118 ¹	2-[3-(2,6-diazaspiro[3.3]heptan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
119 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5-methyl-2H-tetrazol-2-yl)phenol

Cpd	Name
120 ¹	2-(3-{{(2 <i>R</i> ,4 <i>s</i> ,6 <i>S</i>)-2,6-diethylpiperidin-4-yl}oxy}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol
121 ¹	2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2 <i>H</i> -1,2,3-triazol-2-yl)phenol
122 ¹	2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}-5-(2 <i>H</i> -1,2,3-triazol-2-yl)phenol
123 ¹	5-(6-chloropyridazin-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
124 ¹	5-(1-methyl-1 <i>H</i> -pyrazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
125	4-fluoro-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-5-yl)phenol
126 ¹	2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
127 ¹	2-{3-[6-(diethylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
128 ¹	5-(5-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
129 ¹	5-(4-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
130 ¹	5-(2-chloropyrimidin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
131	5-(1 <i>H</i> -benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
132 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazolo[3,4- <i>b</i>]pyridin-5-yl)phenol
133 ¹	5-(3-chloro-1 <i>H</i> -1,2,4-triazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
134 ¹	6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridazin-3-ol
135	1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1 <i>H</i> -pyrazole-4-carbonitrile
136	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazolo[4,3- <i>b</i>]pyridin-5-yl)phenol
137	2-{3-[3-(cyclopropylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
138	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
139	1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1 <i>H</i> -imidazole-4-carbonitrile
140 ¹	1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1 <i>H</i> -imidazol-4-yl]ethan-1-one
141 ¹	5-(2,8-dimethylimidazo[1,2- <i>b</i>]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol

Cpd	Name
142 ¹	5-(2-methylimidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
143 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol
144	5-(6-methoxy-1,2,4-triazin-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
145	5-(1,3-benzoxazol-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
146 ¹	6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-3-methylpyrimidin-4(3H)-one
147 ¹	5-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-2-methylpyridazin-3(2H)-one
148 ¹	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
149	5-(3-fluoro-1H-pyrazol-4-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol
150	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(3aS,7aR)-octahydro-5H-pyrrolo[3,2-c]pyridin-5-yl]-1,2,4-triazin-6-yl}phenol
151 ¹	2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(3-fluoro-1H-pyrazol-4-yl)phenol
152 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5-a]pyridin-5-yl)phenol
153 ¹	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(3aS,7aR)-1-methyloctahydro-5H-pyrrolo[3,2-c]pyridin-5-yl]-1,2,4-triazin-6-yl}phenol
154	2-(3-{3-[(2-methylbutan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
155 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-a]pyridin-7-yl)phenol
156 ¹	5-(4-fluoro-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
157 ¹	2-(3-{3-[(adamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
158 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5H-pyrrolo[2,3-b]pyrazin-2-yl)phenol
159 ¹	2-(3-{3-[(adamantan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
160 ¹	2-(3-{3-[(3,5-dimethyladamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
161 ¹	5-[4-(hydroxymethyl)-1H-pyrazol-1-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
162 ¹	2-{3-[(3aR,4R,6aS)-4-(dimethylamino)hexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
163	5-(3-methyl-3H-imidazo[4,5-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol

Cpd	Name
164 ¹	5-(1-methyl-1H-imidazo[4,5-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
165 ¹	2-{3-[(3a <i>R</i> ,4 <i>S</i> ,6a <i>S</i>)-4-aminohexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
166 ¹	2-[3-(5-methyloctahydro-2H-pyrrolo[3,4-c]pyridin-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
167 ¹	2-{3-[(3a <i>R</i> ,4 <i>S</i> ,6a <i>S</i>)-4-(dimethylamino)hexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
168 ¹	3-amino-6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-4-methylpyridin-2-ol
169 ¹	5-(2,7-dimethyl[1,3]oxazolo[5,4-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
170	2-(3-{3-[(3-methylpentan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
171	2-{3-[4-(tert-butylamino)-2-methylpyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
172 ¹	5-[4-(hydroxymethyl)-2H-1,2,3-triazol-2-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
173 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-3H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol
174 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol
175 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol
176 ¹	5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
177 ¹	5-(1-methyl-1H-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
178 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-b]pyridazin-6-yl)phenol
179 ¹	5-[6-(hydroxymethyl)pyrimidin-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
180 ¹	2-[3-(2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
181 ¹	2-[3-(2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
182 ¹	2-[3-(2-methyl-2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
183 ¹	2-[3-(2-methyl-2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
184 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-c]pyridin-5-yl)phenol
185 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(quinolin-6-yl)phenol
186 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol

Cpd	Name
187 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-imidazol-1-yl)phenol
188 ¹	5-(2H-1,3-benzodioxol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
189 ¹	5-(3-fluoro-1H-pyrazol-4-yl)-2-(3-{[(3 <i>R</i> ,4 <i>R</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)phenol
190 ¹	2-(3-{[(2 <i>S</i>)-2-aminopropyl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
191 ¹	5-(5-fluoro-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol
192	5-[1-(² H ₃)methyl-1H-pyrazol-4-yl]-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol
193 ¹	2-{3-[(3 <i>aR</i> ,4 <i>R</i> ,6 <i>aS</i>)-4-(tert-butylamino)hexahydrocyclopenta[<i>c</i>]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
194 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4- <i>b</i>]pyrazin-5-yl)phenol
195 ¹	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[4,3- <i>d</i>]pyrimidin-5-yl)phenol
196 ¹	5-(1-methyl-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol
197 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(4-methyl-1H-imidazol-1-yl)phenol
198 ¹	5-(1-methyl-1H-pyrazolo[4,3- <i>b</i>]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
199 ¹	5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
200 ¹	5-(1-methyl-1H-benzotriazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
201	2-(3-{[(3 <i>S</i> ,4 <i>S</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol
202 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol
203 ¹	5-(1-methyl-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
204 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol
205 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol
206 ¹	2-{3-[4-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
207 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5- <i>a</i>]pyridin-5-yl)phenol
208 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-indazol-5-yl)phenol
209 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol

Cpd	Name
210 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol
211 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol
212 ¹	2-{3-[3-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
213 ¹	5-(1-methyl-1H-pyrazol-3-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
214 ¹	4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1-methylpyridin-2(1H)-one
215 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol
216 ¹	6-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-3-methylpyrimidin-4(3H)-one
217 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(6-methoxypyrimidin-4-yl)phenol
218 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol
219 ¹	5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol
220 ¹	5-(1H-imidazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol
221 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol
222 ¹	5-(1-methyl-1H-pyrazolo[3,4-c]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
223 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-indazol-5-yl)phenol
224 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol
225	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-1,2,3-triazol-4-yl)phenol
226 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-4-yl)phenol
227 ¹	4-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-1-methylpyridin-2(1H)-one
228 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(4-methyl-1H-imidazol-1-yl)phenol
229 ¹	5-(4-fluoro-1H-pyrazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol
230 ¹	5-(4-fluoro-1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol
231 ¹	5-(1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol
232 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2H-1,2,3-triazol-2-yl)phenol

Cpd	Name
233 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-3-yl)phenol
234 ¹	6-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-3-methylpyrimidin-4(3H)-one
235 ¹	5-(5-fluoro-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
236 ¹	5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
237 ¹	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol
238 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-imidazol-1-yl)phenol
239 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(4-methyl-1H-imidazol-1-yl)phenol
240 ¹	5-(4-fluoro-1H-imidazol-1-yl)-2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)phenol
241 ¹	4-{3-hydroxy-4-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenyl}-1-methylpyridin-2(1H)-one
242 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol
243 ¹	5-(6-methoxypyrimidin-4-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol
244 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(pyrimidin-2-yl)phenol
245 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-1,2,3-triazol-4-yl)phenol
246 ¹	6-[4-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-3-hydroxyphenyl]-3-methylpyrimidin-4(3H)-one
247 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-1,2,3-triazol-4-yl)phenol
248	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(imidazo[1,2-a]pyrazin-6-yl)phenol
249 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(imidazo[1,2-b]pyridazin-6-yl)phenol
250 ¹	2-[3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1,3-oxazol-2-yl)phenol
251 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2H-tetrazol-5-yl)phenol
252 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,3-oxazol-2-yl)phenol
253 ¹	5-(1-methyl-1H-pyrazol-3-yl)-2-[3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl]phenol
254 ¹	5-(6-methoxypyrimidin-4-yl)-2-[3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl]phenol
255	2-[6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl]-5-(2H-1,2,3-triazol-2-yl)phenol

Cpd	Name
256 ¹	5-(imidazo[1,2-b]pyridazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol
257 ¹	5-(imidazo[1,2-a]pyrazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol
258 ¹	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-1,2,3-triazol-4-yl)phenol
259 ¹	5-(2-methyl-2H-tetrazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
260 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-indazol-5-yl)phenol
261 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-3-yl)phenol
262 ¹	2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
263 ¹	2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-3-yl)phenol
264 ¹	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(2H-1,2,3-triazol-2-yl)phenol
265 ¹	5-(6-methoxypyrimidin-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
266 ¹	5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
267 ¹	5-(2-methyl-2H-tetrazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
268 ¹	5-(6-methoxypyrimidin-4-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol
269 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol
270 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol
271 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol
272 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,2,4-thiadiazol-5-yl)phenol
273 ¹	5-(1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
274 ¹	5-(4-methyl-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
275 ¹	5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
276 ¹	5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
277 ¹	5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
278 ¹	2-{3-[3-(tert-butylamino)-4-fluoropyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol

Cpd	Name
279 ¹	5-(pyrimidin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
280	5-(7-fluoro-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
281	5-(1-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
282 ¹	5-(1H-pyrazol-4-yl)-2-[3-(3,3,5,5-tetramethylpiperazin-1-yl)-1,2,4-triazin-6-yl]phenol
283 ¹	5-(imidazo[1,2-a]pyrazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
284 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol
285 ¹	5-(1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
286	2-(3-{[(3S,4S)-3-methoxy-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
287 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol
288 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,3-oxazol-2-yl)phenol
289 ¹	5-(2-methyl-1,3-oxazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
290 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(6-methoxypyrimidin-4-yl)phenol
291 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-tetrazol-5-yl)phenol
292 ¹	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol
293 ¹	2-(3-{[(3S,4S)-3-methoxy-2,2,6,6-tetramethylpiperidin-4-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
294 ¹	5-(imidazo[1,2-b]pyridazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
295 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol
296 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol
297 ¹	2-(3-{3-[(2-methylpropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
298 ¹	(3S,4S)-4-({6-[2-hydroxy-4-(1H-pyrazol-4-yl)phenyl]-1,2,4-triazin-3-yl}amino)-2,2,6,6-tetramethylpiperidin-3-ol
299 ¹	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-1,3-oxazol-5-yl)phenol
300 ¹	5-(7-fluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
301 ¹	5-(6,7-difluoro-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol

Cpd	Name
302 ¹	5-(6,7-difluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
303 ¹	5-(8-methylimidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
304 ¹	5-(8-methoxyimidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
305 ¹	2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-triazin-6-yl)phenol
306 ¹	2-{3-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
307 ¹	5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
308 ¹	5-(1,3-oxazol-2-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
309 ¹	2-(3-{3-[(3S)-1-methylpyrrolidin-3-yl]oxy}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
310 ¹	2-{3-[(4aS,7aS)-1-methyloctahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
311 ¹	2-{3-[(4aS,7aR)-4-methylhexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
312	2-(3-{3-[(oxetan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
313	5-(1-methyl-1H-pyrazol-4-yl)-2-(3-{3-[(oxetan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
314 ¹	5-(pyridazin-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
315 ¹	5-[(pyridin-3-yl)amino]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
316 ¹	2-(3-{3-[(1-fluoro-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
317 ¹	2-{3-[3-(ethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
318 ¹	2-{3-[3-(dimethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
319 ¹	5-(1-methyl-1H-indazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
320 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol
321 ¹	5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
322 ¹	2-(3-{3-[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
323 ¹	2-(3-{3-[(3R,4R)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
324 ¹	2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol

Cpd	Name
325	2-(3-{3-[(3-methyloxetan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
326 ¹	5-(4-methyl-1H-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
327 ¹	2-{3-[(3a <i>R</i> ,7a <i>R</i>)-octahydro-2H-pyrrolo[3,4- <i>c</i>]pyridin-2-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
328 ¹	2-[3-(piperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
329 ¹	2-{3-[(3 <i>S</i>)-3-[(2 <i>R</i>)-1-fluoropropan-2-yl]amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
330 ¹	5-(1-methyl-1H-indazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
331 ¹	5-(1H-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
332 ¹	2-{3-[(3a <i>R</i> ,6a <i>S</i>)-hexahydropyrrolo[3,2- <i>b</i>]pyrrol-1(2H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
333 ¹	2-(3-{3-[(2-hydroxyethyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
334 ¹	2-[3-(8-amino-2-oxa-6-azaspiro[3.4]octan-6-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
335 ¹	2-(3-{3-[(oxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
336 ¹	2-(3-{3-[(1-methoxypropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
337 ¹	2-[3-(hexahydropyrrolo[3,4- <i>c</i>]pyrrol-2(1H)-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
338 ¹	5-(4-fluoro-1H-pyrazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol
339 ¹	2-[3-(1,7-diazaspiro[4.4]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
340 ¹	2-(3-{3-[(1-methylcyclopropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
341 ¹	2-(3-{3-[(1-methoxy-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
342	5-(1-methyl-1H-indazol-5-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol
343	5-(1-methyl-1H-pyrazol-3-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol
344 ¹	6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)imidazo[1,2- <i>b</i>]pyridazine-8-carbonitrile
345 ¹	2-(3-{[(3 <i>R</i> ,4 <i>S</i>)-3-fluoropiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
346 ¹	2-{3-[(3 <i>R</i> ,4 <i>R</i>)-3-methoxy-4-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
347 ¹	2-(3-{(3 <i>S</i>)-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol

Cpd	Name
348 ¹	2-(3-((3 <i>R</i>)-3-[(propan-2-yl)amino]pyrrolidin-1-yl)-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol
349 ¹	2-(3-{3-[(bicyclo[1.1.1]pentan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol
350 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-1,3-oxazol-5-yl)phenol
351 ¹	5-[8-(aminomethyl)imidazo[1,2- <i>b</i>]pyridazin-6-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
352 ¹	5-(1,3-oxazol-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
353 ¹	2-[3-(6-oxa-2,9-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol
354 ¹	2-[3-(2,7-diazaspiro[4.4]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol
355 ¹	2-{3-[(3 <i>S</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
356 ¹	2-{3-[(3 <i>R</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
357 ¹	2-{3-[3-(cyclopentylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
358 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol
359 ¹	5-(imidazo[1,2- <i>a</i>]pyrazin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
360 ¹	5-(imidazo[1,2- <i>a</i>]pyridin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
361 ¹	2-[3-(3-[(propan-2-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol
362 ¹	2-(3-{3-[(4-methyloxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol
363 ¹	2-{6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol
364 ¹	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[6-(methylsulfanyl)pyrimidin-4-yl]phenol
365 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-chloro-1 <i>H</i> -pyrazol-4-yl)phenol
366 ¹	4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1 <i>H</i> -pyrazole-3-carbonitrile
367 ¹	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[1-(² H ₃)methyl-1 <i>H</i> -pyrazol-4-yl]phenol
368 ¹	3-(1-methyl-1 <i>H</i> -pyrazol-3-yl)-6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}benzene-1,2-diol
369 ¹	2-[3-(2,7-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol
370 ¹	2-[3-(hexahydropyrrolo[3,4- <i>b</i>]pyrrol-5(1 <i>H</i>)-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol
371 ¹	2-(3-{3-[(3-hydroxypropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol

Cpd	Name
372 ¹	2-[3-(3-[(oxan-4-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
373 ¹	5-[1-(² H ₃)methyl-1H-pyrazol-4-yl]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
374 ¹	2-[6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl]-5-(3-fluoro-1H-pyrazol-4-yl)phenol
375 ¹	2-[3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(3-methyl-1H-pyrazol-4-yl)phenol
376 ¹	2-[3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-3-methoxy-5-(1H-pyrazol-4-yl)phenol
377 ¹	2-(3-[(3 <i>S</i> ,4 <i>S</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino)-1,2,4-triazin-6-yl)-5-[1-(² H ₃)methyl-1H-pyrazol-4-yl]phenol
378 ¹	2-[3-[(3 <i>S</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(3-fluoro-1H-pyrazol-4-yl)phenol
379 ¹	2-[3-[(3 <i>R</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(3-fluoro-1H-pyrazol-4-yl)phenol
380	5-[6-(methylamino)pyrimidin-4-yl]-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol
381 ¹	2-[3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl]-5-(1H-pyrazolo[3,4- <i>c</i>]pyridin-1-yl)phenol
382	2-[6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl]-5-(pyridin-4-yl)phenol
383	5-(7-fluoro-1H-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol
384	2-[6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl]-5-(pyridin-3-yl)phenol
385 ¹	2-[3-[(3 <i>R</i> ,5 <i>S</i>)-3,5-dimethylpiperazin-1-yl]-1,2,4-triazin-6-yl]-5-(imidazo[1,2- <i>b</i>]pyridazin-6-yl)phenol
386 ¹	2-(3-[3-[(cyclopentylamino)methyl]pyrrolidin-1-yl]-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
387 ¹	2-[3-[(2,3'-bipyrrolidin)-1'-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
388 ¹	5-(1H-pyrazol-4-yl)-2-{3-[3-[(pyridin-2-yl)methyl]amino)methyl]pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol
389	2-[3-(3-amino-3-methylpyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-4-yl)phenol
390 ¹	2-(3-[3-[(tert-butylamino)methyl]pyrrolidin-1-yl]-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
391 ¹	2-[3-(3-[(4-methyloxan-4-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
392 ¹	2-(3-[3-[(cyclopropylamino)methyl]pyrrolidin-1-yl]-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
393	2-[6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl]-5-(pyridin-2-yl)phenol
394	5-(1-methyl-1H-pyrazolo[4,3- <i>b</i>]pyridin-5-yl)-2-[6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl]phenol

Cpd	Name
395 ¹	2-[3-(3-[(oxolan-3-yl)amino]methyl)pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
396 ¹	5-(1H-pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol
397	2-{3-[(3 <i>S</i>)-3-[(oxan-4-yl)amino]methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
398	2-{3-[(3 <i>R</i>)-3-[(oxan-4-yl)amino]methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
399 ¹	2-{3-[(3 <i>R</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
400 ¹	2-{3-[(3 <i>S</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol
401 ¹	2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(2H-1,2,3-triazol-2-yl)phenol
402	2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyrimidin-2-yl)phenol
403 ¹	2-[3-(3-[(3-fluorooxan-4-yl)amino]methyl)pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
404 ¹	2-[3-(3-[(oxan-3-yl)amino]methyl)pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
405 ¹	2-[3-(3-[(8-oxabicyclo[3.2.1]octan-3-yl)amino]methyl)pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
406 ¹	2-{3-[(3 <i>R</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol
407 ¹	2-{3-[(3 <i>S</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol
408 ¹	2-(3-[(1 <i>R</i> ,3 <i>s</i> ,5 <i>S</i>)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino)-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol
409 ¹	2-(3-{3-[(3,3-difluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
410 ¹	2-(3-{3-[(dimethylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
411	2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyrimidin-4-yl)phenol
412	2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyrazin-2-yl)phenol
413 ¹	2-(3-{3-[(methylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
414 ¹	2-[3-(3-{1-[(propan-2-yl)amino]ethyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol
415 ¹	2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol
416 ¹	2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol

Cpd	Name
417¹	2-(3-{{(1 <i>R</i> ,3 <i>s</i> ,5 <i>S</i>)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl}}(methyl)amino}-1,2,4-triazin-6-yl)-5-(2 <i>H</i> -1,2,3-triazol-2-yl)phenol
418¹	6-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-2-fluoro-3-(1 <i>H</i> -pyrazol-4-yl)phenol
419¹	5-(1 <i>H</i> -pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-3-yl}phenol
420¹	2-(3-{3-[(3-fluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol
421¹	2-[3-(3-{1-[(oxan-4-yl)amino]cyclopropyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol
422¹	2-(3-{3-methyl-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1 <i>H</i> -pyrazol-4-yl)phenol
423¹	2-{6-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol, and
424¹	5-[1-(² H ₃)methyl-1 <i>H</i> -pyrazol-4-yl]-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

wherein a form of the compound is selected from the group consisting of a salt, hydrate, solvate, racemate, enantiomer, diastereomer, stereoisomer, and tautomer form thereof.

Another aspect of the compound of Formula (I) or Formula (II) or a form thereof is a compound salt selected from the group consisting of:

Cpd	Name
1	5-(5-methyl-1,3-oxazol-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrobromide
2	5-(6-methoxypyrimidin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
3	5-(2-methoxypyridin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
6	5-(3-methyl-1 <i>H</i> -pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
10	5-(4-methyl-1 <i>H</i> -imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
11	5-(1 <i>H</i> -pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol dihydrochloride
12	5-(3-fluoro-1 <i>H</i> -pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol ditrifluoroacetate
13	5-(1 <i>H</i> -pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
14	5-[1-(² H ₃)methyl-1 <i>H</i> -pyrazol-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride

Cpd	Name
15	6-[2,3-difluoro-4-(1H-pyrazol-4-yl)phenyl]-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine hydrochloride
16	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol hydrochloride
18	5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
19	5-(3-fluoro-1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
20	2-{3-[methyl(piperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
23	2-{3-[methyl(piperidin-3-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
24	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol hydrochloride
33	2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol hydrobromide
50	5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
51	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1H-1,2,4-triazol-1-yl)phenol hydrochloride
52	2-{3-[(1-methylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride
55	5-(4-methoxy-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
57	5-(3-chloro-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
58	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-thiazol-2-yl)phenol hydrochloride
59	5-(4-chloro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
60	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridazin-4-yl)phenol dihydrochloride
61	5-(6-methylpyridazin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
62	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-1,2,4-triazol-3-yl)phenol dihydrochloride
63	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol dihydrochloride
65	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol hydrochloride
66	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1,2,4-thiadiazol-5-yl)phenol hydrochloride

Cpd	Name
67	2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(methyl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
68	1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-pyrazol-4-yl]ethan-1-one dihydrochloride
69	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-methyl-2H-1,2,3-triazol-2-yl)phenol dihydrochloride
71	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-2-yl)phenol formate
72	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol dihydrochloride
73	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trihydrochloride
74	5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
75	5-(1-methyl-1H-imidazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
76	5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
77	2-(3-{[(1R,3s,5S)-9-methyl-9-azabicyclo[3.3.1]nonan-3-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
79	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-1,2,4-triazol-1-yl)phenol dihydrochloride
80	5-(imidazo[1,2-a]pyrazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
81	5-(5-methyl-1,3,4-oxadiazol-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
82	2-{3-[(2,6-dimethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
84	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridazin-3-yl)phenol formate
86	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-5-yl)phenol formate
88	5-(4-methoxy-1,3,5-triazin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
89	5-(1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
90	1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-pyrazol-4-ol dihydrochloride
91	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-5-yl)phenol hydrochloride
92	5-(imidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
93	5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride

Cpd	Name
94	5-(4-methyl-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
95	2-[3-(2,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
96	2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
97	5-(1H-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
99	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-nitro-2H-1,2,3-triazol-2-yl)phenol dihydrochloride
101	5-(1-cyclopropyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
102	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-4-yl)phenol formate
103	4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}[1,1'-biphenyl]-3,4'-diol formate
105	6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}quinolin-7-ol hydrobromide
107	5-(1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol ditrifluoroacetate
108	5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol trifluoroacetate
109	2-[3-(2,6-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
110	2-[3-(2,6-diazaspiro[3.4]octan-6-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
111	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-3-yl)phenol trifluoroacetate
112	5-(5-fluoropyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
113	2-[3-(1-methyl-1,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
114	2-{3-[(3 <i>S</i>)-3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
115	2-{3-[(7 <i>S</i>)-7-amino-5-azaspiro[2.4]heptan-5-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
116	2-{3-[(8 <i>aS</i>)-hexahydropyrrolo[1,2- <i>a</i>]pyrazin-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
117	2-{3-[(3 <i>aR</i> ,6 <i>aR</i>)-1-methylhexahydropyrrolo[3,4- <i>b</i>]pyrrol-5(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
118	2-[3-(2,6-diazaspiro[3.3]heptan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
119	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5-methyl-2H-tetrazol-2-yl)phenol dihydrochloride

Cpd	Name
120	2-(3-{{(2 <i>R</i> ,4 <i>s</i> ,6 <i>S</i>)-2,6-diethylpiperidin-4-yl}oxy}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol trifluoroacetate
121	2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2 <i>H</i> -1,2,3-triazol-2-yl)phenol dihydrochloride
122	2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}-5-(2 <i>H</i> -1,2,3-triazol-2-yl)phenol hydrochloride
123	5-(6-chloropyridazin-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
124	5-(1-methyl-1 <i>H</i> -pyrazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
126	2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
127	2-{3-[6-(diethylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol hydrochloride
128	5-(5-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
129	5-(4-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
130	5-(2-chloropyrimidin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
132	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazolo[3,4- <i>b</i>]pyridin-5-yl)phenol formate
133	5-(3-chloro-1 <i>H</i> -1,2,4-triazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
134	6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridazin-3-ol hydrochloride
140	1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1 <i>H</i> -imidazol-4-yl]ethan-1-one dihydrochloride
141	5-(2,8-dimethylimidazo[1,2- <i>b</i>]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
142	5-(2-methylimidazo[1,2- <i>b</i>]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
143	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2 <i>H</i> -tetrazol-5-yl)phenol dihydrochloride
146	6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-3-methylpyrimidin-4(3 <i>H</i>)-one dihydrochloride
147	5-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-2-methylpyridazin-3(2 <i>H</i>)-one dihydrochloride
148	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol hydrochloride
151	2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(3-fluoro-1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
152	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5- <i>a</i>]pyridin-5-yl)phenol dihydrochloride

Cpd	Name
153	5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(3aS,7aR)-1-methyloctahydro-5H-pyrrolo[3,2-c]pyridin-5-yl]-1,2,4-triazin-6-yl}phenol dihydrochloride
155	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-a]pyridin-7-yl)phenol dihydrochloride
156	5-(4-fluoro-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trifluoroacetate
157	2-(3-{3-[(adamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol ditrifluoroacetate
158	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5H-pyrrolo[2,3-b]pyrazin-2-yl)phenol formate
159	2-(3-{3-[(adamantan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol ditrifluoroacetate
160	2-(3-{3-[(3,5-dimethyladamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol ditrifluoroacetate
161	5-[4-(hydroxymethyl)-1H-pyrazol-1-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
162	2-{3-[(3aR,4R,6aS)-4-(dimethylamino)hexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
164	5-(1-methyl-1H-imidazo[4,5-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
165	2-{3-[(3aR,4S,6aS)-4-aminohexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
166	2-[3-(5-methyloctahydro-2H-pyrrolo[3,4-c]pyridin-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
167	2-{3-[(3aR,4S,6aS)-4-(dimethylamino)hexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
168	3-amino-6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-4-methylpyridin-2-ol dihydrochloride
169	5-(2,7-dimethyl[1,3]oxazolo[5,4-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol diformate
172	5-[4-(hydroxymethyl)-2H-1,2,3-triazol-2-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
173	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-3H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol hydrochloride
174	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol hydrochloride
175	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol trifluoroacetate
176	5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
177	5-(1-methyl-1H-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
178	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-b]pyridazin-6-yl)phenol dihydrochloride

Cpd	Name
179	5-[6-(hydroxymethyl)pyrimidin-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
180	2-[3-(2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
181	2-[3-(2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
182	2-[3-(2-methyl-2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
183	2-[3-(2-methyl-2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
184	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-c]pyridin-5-yl)phenol formate
185	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(quinolin-6-yl)phenol trifluoroacetate
186	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol hydrochloride
187	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-imidazol-1-yl)phenol ditrifluoroacetate
188	5-(2H-1,3-benzodioxol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
189	5-(3-fluoro-1H-pyrazol-4-yl)-2-(3-{[(3 <i>R</i> ,4 <i>R</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)phenol dihydrochloride
190	2-(3-{[(2 <i>S</i>)-2-aminopropyl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
191	5-(5-fluoro-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride
193	2-{3-[(3 <i>aR</i> ,4 <i>R</i> ,6 <i>aS</i>)-4-(tert-butylamino)hexahydrocyclopenta[<i>c</i>]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
194	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4- <i>b</i>]pyrazin-5-yl)phenol formate
195	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[4,3- <i>d</i>]pyrimidin-5-yl)phenol formate
196	5-(1-methyl-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride
197	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(4-methyl-1H-imidazol-1-yl)phenol ditrifluoroacetate
198	5-(1-methyl-1H-pyrazolo[4,3- <i>b</i>]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
199	5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
200	5-(1-methyl-1H-benzotriazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
202	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride

Cpd	Name
203	5-(1-methyl-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
204	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride
205	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride
206	2-{3-[4-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trifluoroacetate
207	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5-a]pyridin-5-yl)phenol dihydrochloride
208	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-indazol-5-yl)phenol dihydrochloride
209	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol dihydrochloride
210	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol dihydrochloride
211	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol dihydrochloride
212	2-{3-[3-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trifluoroacetate
213	5-(1-methyl-1H-pyrazol-3-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
214	4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1-methylpyridin-2(1H)-one hydrochloride
215	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol hydrochloride
216	6-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-3-methylpyrimidin-4(3H)-one hydrochloride
217	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(6-methoxypyrimidin-4-yl)phenol ditrifluoroacetate
218	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol trihydrochloride
219	5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol trihydrochloride
220	5-(1H-imidazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol trihydrochloride
221	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol dihydrochloride
222	5-(1-methyl-1H-pyrazolo[3,4-c]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
223	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-indazol-5-yl)phenol trifluoroacetate
224	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol trifluoroacetate

Cpd	Name
226	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-4-yl)phenol trifluoroacetate
227	4-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-1-methylpyridin-2(1H)-one hydrochloride
228	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(4-methyl-1H-imidazol-1-yl)phenol hydrochloride
229	5-(4-fluoro-1H-pyrazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride
230	5-(4-fluoro-1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride
231	5-(1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride
232	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride
233	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-3-yl)phenol hydrochloride
234	6-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-3-methylpyrimidin-4(3H)-one hydrochloride
235	5-(5-fluoro-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
236	5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
237	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol hydrochloride
238	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-imidazol-1-yl)phenol dihydrochloride
239	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(4-methyl-1H-imidazol-1-yl)phenol dihydrochloride
240	5-(4-fluoro-1H-imidazol-1-yl)-2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)phenol dihydrochloride
241	4-[3-hydroxy-4-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenyl]-1-methylpyridin-2(1H)-one hydrochloride
242	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol trifluoroacetate
243	5-(6-methoxypyrimidin-4-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol ditrifluoroacetate
244	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(pyrimidin-2-yl)phenol trifluoroacetate
245	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-1,2,3-triazol-4-yl)phenol trifluoroacetate
246	6-[4-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-3-hydroxyphenyl]-3-methylpyrimidin-4(3H)-one dihydrochloride
247	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-1,2,3-triazol-4-yl)phenol dihydrochloride

Cpd	Name
249	2-(3-{[(3 <i>S</i> ,4 <i>S</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(imidazo[1,2- <i>b</i>]pyridazin-6-yl)phenol dihydrochloride
250	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol hydrochloride
251	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2H-tetrazol-5-yl)phenol trifluoroacetate
252	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,3-oxazol-2-yl)phenol trifluoroacetate
253	5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
254	5-(6-methoxypyrimidin-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
256	5-(imidazo[1,2- <i>b</i>]pyridazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol trifluoroacetate
257	5-(imidazo[1,2- <i>a</i>]pyrazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride
258	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-1,2,3-triazol-4-yl)phenol ditrifluoroacetate
259	5-(2-methyl-2H-tetrazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol trifluoroacetate
260	2-(3-{[(3 <i>S</i> ,4 <i>S</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-indazol-5-yl)phenol dihydrochloride
261	2-(3-{[(3 <i>S</i> ,4 <i>S</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-3-yl)phenol dihydrochloride
262	2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
263	2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-3-yl)phenol hydrochloride
264	2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride
265	5-(6-methoxypyrimidin-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
266	5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
267	5-(2-methyl-2H-tetrazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride
268	5-(6-methoxypyrimidin-4-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol ditrifluoroacetate
269	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol dihydrochloride
270	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol dihydrochloride
271	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4- <i>b</i>]pyridin-5-yl)phenol dihydrochloride

Cpd	Name
272	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,2,4-thiadiazol-5-yl)phenol trifluoroacetate
273	5-(1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
274	5-(4-methyl-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
275	5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
276	5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
277	5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
278	2-{3-[3-(tert-butylamino)-4-fluoropyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol hydrochloride
279	5-(pyrimidin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
282	5-(1H-pyrazol-4-yl)-2-[3-(3,3,5,5-tetramethylpiperazin-1-yl)-1,2,4-triazin-6-yl]phenol dihydrochloride
283	5-(imidazo[1,2-a]pyrazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
284	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol dihydrochloride
285	5-(1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
287	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol trifluoroacetate
288	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,3-oxazol-2-yl)phenol trifluoroacetate
289	5-(2-methyl-1,3-oxazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
290	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(6-methoxypyrimidin-4-yl)phenol trifluoroacetate
291	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-tetrazol-5-yl)phenol trifluoroacetate
292	2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol trifluoroacetate
293	2-(3-{[(3S,4S)-3-methoxy-2,2,6,6-tetramethylpiperidin-4-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
294	5-(imidazo[1,2-b]pyridazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
295	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol dihydrochloride
296	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol dihydrochloride

Cpd	Name
297	2-(3-{3-[(2-methylpropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
298	(3 <i>S</i> ,4 <i>S</i>)-4-({6-[2-hydroxy-4-(1H-pyrazol-4-yl)phenyl]-1,2,4-triazin-3-yl}amino)-2,2,6,6-tetramethylpiperidin-3-ol dihydrochloride
299	2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-1,3-oxazol-5-yl)phenol trifluoroacetate
300	5-(7-fluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
301	5-(6,7-difluoro-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
302	5-(6,7-difluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
303	5-(8-methylimidazo[1,2- <i>b</i>]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
304	5-(8-methoxyimidazo[1,2- <i>b</i>]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
305	2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-triazin-6-yl)phenol trifluoroacetate
306	2-{3-[(4 <i>aS</i> ,7 <i>aS</i>)-octahydro-6H-pyrrolo[3,4- <i>b</i>]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
307	5-(1-methyl-1H-pyrazolo[3,4- <i>b</i>]pyridin-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
308	5-(1,3-oxazol-2-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
309	2-(3-{[(3 <i>S</i>)-1-methylpyrrolidin-3-yl]oxy}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol hydrochloride
310	2-{3-[(4 <i>aS</i> ,7 <i>aS</i>)-1-methyloctahydro-6H-pyrrolo[3,4- <i>b</i>]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride
311	2-{3-[(4 <i>aS</i> ,7 <i>aR</i>)-4-methylhexahydropyrrolo[3,4- <i>b</i>][1,4]oxazin-6(2H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride
314	5-(pyridazin-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
315	5-[(pyridin-3-yl)amino]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
316	2-(3-{3-[(1-fluoro-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol hydrochloride
317	2-{3-[3-(ethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
318	2-{3-[3-(dimethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydroiodide
319	5-(1-methyl-1H-indazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trihydrochloride
320	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol trihydrochloride

Cpd	Name
321	5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trihydrochloride
322	2-(3-{[(3 <i>S</i> ,4 <i>S</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
323	2-(3-{[(3 <i>R</i> ,4 <i>R</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
324	2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol dihydrochloride
326	5-(4-methyl-1H-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
327	2-{3-[(3 <i>aR</i> ,7 <i>aR</i>)-octahydro-2H-pyrrolo[3,4-c]pyridin-2-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride
328	2-[3-(piperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
329	2-{3-[(3 <i>S</i>)-3-{[(2 <i>R</i>)-1-fluoropropan-2-yl]amino}pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
330	5-(1-methyl-1H-indazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
331	5-(1H-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
332	2-{3-[(3 <i>aR</i> ,6 <i>aS</i>)-hexahydropyrrolo[3,2-b]pyrrol-1(2H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
333	2-(3-{3-[(2-hydroxyethyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
335	2-(3-{3-[(oxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
336	2-(3-{3-[(1-methoxypropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
337	2-[3-(hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
338	5-(4-fluoro-1H-pyrazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride
339	2-[3-(1,7-diazaspiro[4.4]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
340	2-(3-{3-[(1-methylcyclopropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
341	2-(3-{3-[(1-methoxy-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
344	6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)imidazo[1,2-b]pyridazine-8-carbonitrile formate
345	2-(3-{[(3 <i>R</i> ,4 <i>S</i>)-3-fluoropiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
346	2-{3-[(3 <i>R</i> ,4 <i>R</i>)-3-methoxy-4-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
347	2-(3-{(3 <i>S</i>)-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol trifluoroacetate

Cpd	Name
348	2-(3-((3 <i>R</i>)-3-[(propan-2-yl)amino]pyrrolidin-1-yl)-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol trifluoroacetate
349	2-(3-{3-[(bicyclo[1.1.1]pentan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol trifluoroacetate
350	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-1,3-oxazol-5-yl)phenol dihydrochloride
351	5-[8-(aminomethyl)imidazo[1,2- <i>b</i>]pyridazin-6-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
352	5-(1,3-oxazol-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate
353	2-[3-(6-oxa-2,9-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
354	2-[3-(2,7-diazaspiro[4.4]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
355	2-{3-[(3 <i>S</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
356	2-{3-[(3 <i>R</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
357	2-{3-[3-(cyclopentylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
358	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol dihydrochloride
359	5-(imidazo[1,2- <i>a</i>]pyrazin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
360	5-(imidazo[1,2- <i>a</i>]pyridin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
361	2-[3-(3-[(propan-2-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
362	2-(3-{3-[(4-methyloxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1 <i>H</i> -pyrazol-4-yl)phenol ditrifluoroacetate
363	2-[6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
364	2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[6-(methylsulfanyl)pyrimidin-4-yl]phenol trihydrochloride
365	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-chloro-1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride
366	4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1 <i>H</i> -pyrazole-3-carbonitrile dihydrochloride
367	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[1-(² H ₃)methyl-1 <i>H</i> -pyrazol-4-yl]phenol hydrochloride
368	3-(1-methyl-1 <i>H</i> -pyrazol-3-yl)-6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}benzene-1,2-diol trifluoroacetate
369	2-[3-(2,7-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1 <i>H</i> -pyrazol-4-yl)phenol dihydrochloride

Cpd	Name
370	2-[3-(hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
371	2-(3-{3-[(3-hydroxypropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
372	2-[3-(3-{[(oxan-4-yl)amino]methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol ditrifluoroacetate
373	5-[1-(² H ₃)methyl-1H-pyrazol-4-yl]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride
374	2-{6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol dihydrochloride
375	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-methyl-1H-pyrazol-4-yl)phenol dihydrochloride
376	2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-methoxy-5-(1H-pyrazol-4-yl)phenol trifluoroacetate
377	2-(3-{[(3 <i>S</i> ,4 <i>S</i>)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-[1-(² H ₃)methyl-1H-pyrazol-4-yl]phenol hydrochloride
378	2-{3-[(3 <i>S</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol dihydrochloride
379	2-{3-[(3 <i>R</i>)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol dihydrochloride
381	2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4- <i>c</i>]pyridin-1-yl)phenol formate
385	2-{3-[(3 <i>R</i> ,5 <i>S</i>)-3,5-dimethylpiperazin-1-yl]-1,2,4-triazin-6-yl}-5-(imidazo[1,2- <i>b</i>]pyridazin-6-yl)phenol trifluoroacetate
386	2-(3-{3-[(cyclopentylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
387	2-[3-([2,3'-bipyrrolidin]-1'-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
388	5-(1H-pyrazol-4-yl)-2-{3-[3-({[(pyridin-2-yl)methyl]amino}methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol ditrifluoroacetate
390	2-(3-{3-[(tert-butylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
391	2-[3-(3-{[(4-methyloxan-4-yl)amino]methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol trifluoroacetate
392	2-(3-{3-[(cyclopropylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol formate
395	2-[3-(3-{[(oxolan-3-yl)amino]methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride
396	5-(1H-pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride
399	2-{3-[(3 <i>R</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride
400	2-{3-[(3 <i>S</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride

Cpd	Name
401	2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride
403	2-[3-(3-[(3-fluorooxan-4-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride
404	2-[3-(3-[(oxan-3-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride
405	2-[3-(3-[(8-oxabicyclo[3.2.1]octan-3-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride
406	2-{3-[(3 <i>R</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride
407	2-{3-[(3 <i>S</i>)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride
408	2-(3-[(1 <i>R</i> ,3 <i>s</i> ,5 <i>S</i>)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino)-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol trifluoroacetate
409	2-(3-{3-[(3,3-difluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
410	2-(3-{3-[(dimethylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
413	2-(3-{3-[(methylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
414	2-[3-(3-{1-[(propan-2-yl)amino]ethyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
415	2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
416	2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride
417	2-(3-[(1 <i>R</i> ,3 <i>s</i> ,5 <i>S</i>)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino)-1,2,4-triazin-6-yl)-5-(2H-1,2,3-triazol-2-yl)phenol trifluoroacetate
418	6-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-2-fluoro-3-(1H-pyrazol-4-yl)phenol dihydrochloride
419	5-(1H-pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-3-yl}phenol hydrochloride
420	2-(3-{3-[(3-fluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride
421	2-[3-(3-{1-[(oxan-4-yl)amino]cyclopropyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride
422	2-(3-{3-methyl-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol trifluoroacetate
423	2-{6-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol hydrochloride, and
424	5-[1-(² H ₃)methyl-1H-pyrazol-4-yl]-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride;

wherein a form of the compound is selected from the group consisting of a salt, hydrate, solvate, racemate, enantiomer, diastereomer, stereoisomer, and tautomer form thereof.

An aspect of the present description includes a method for preventing, treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula (I) or Formula (II) or a form thereof.

5 An aspect of the present description includes a method for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula (I) or Formula (II) or a form thereof.

Another aspect of the present description includes a method for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound salt of Formula (I) or Formula (II) or a form thereof.

10 An aspect of the present description includes a method for use of a compound of Formula (I) or Formula (II) or a form or composition thereof for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form or composition thereof.

Another aspect of the present description includes a method for use of a compound salt of
15 Formula (I) or Formula (II) or a form or composition thereof for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound salt of Formula (I) or Formula (II) or a form thereof.

An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof for treating or ameliorating HD in a subject in need thereof
20 comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof.

Another aspect of the present description includes a use for a compound salt of Formula (I) or Formula (II) or a form thereof for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound salt of Formula (I)
25 or Formula (II) or a form thereof.

An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in the manufacture of a medicament for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the medicament.

30 Another aspect of the present description includes a use for a compound salt of Formula (I) or Formula (II) or a form thereof in the manufacture of a medicament for treating or

ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the medicament.

5 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in a combination product with one or more therapeutic agents for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof in combination with an effective amount of the one or more agents.

10 Another aspect of the present description includes a use for a compound salt of Formula (I) or Formula (II) or a form thereof in a combination product with one or more therapeutic agents for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound salt of Formula (I) or Formula (II) or a form thereof in combination with an effective amount of the one or more agents.

CHEMICAL DEFINITIONS

15 The chemical terms used above and throughout the description herein, unless specifically defined otherwise, shall be understood by one of ordinary skill in the art to have the following indicated meanings.

As used herein, the term “C₁₋₄₄alkyl” generally refers to saturated hydrocarbon radicals having from one to four carbon atoms in a straight or branched chain configuration, including, but not limited to, methyl, ethyl, n-propyl (also referred to as propyl or propanyl), isopropyl, n-butyl (also referred to as butyl or butanyl), isobutyl, sec-butyl, tert-butyl and the like. A C₁₋₄₄alkyl radical is optionally substituted with substituent species as described herein where allowed by available valences.

25 As used herein, the term “C₂₋₄alkenyl” generally refers to partially unsaturated hydrocarbon radicals having from two to four carbon atoms in a straight or branched chain configuration and one or more carbon-carbon double bonds therein, including, but not limited to, ethenyl (also referred to as vinyl), allyl, propenyl and the like. A C₂₋₄alkenyl radical is optionally substituted with substituent species as described herein where allowed by available valences.

30 As used herein, the term “C₂₋₈alkynyl” generally refers to partially unsaturated hydrocarbon radicals having from two to eight carbon atoms in a straight or branched chain configuration and one or more carbon-carbon triple bonds therein, including, but not limited to,

ethynyl, propynyl, butynyl and the like. In certain aspects, C₂₋₈alkynyl includes, but is not limited to, C₂₋₆alkynyl, C₂₋₄alkynyl and the like. A C₂₋₈alkynyl radical is optionally substituted with substituent species as described herein where allowed by available valences.

As used herein, the term “C₁₋₄alkoxy” generally refers to saturated hydrocarbon radicals
 5 having from one to four carbon atoms in a straight or branched chain configuration of the formula: -O-C₁₋₄alkyl, including, but not limited to, methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy and the like. A C₁₋₄₄alkoxy radical is optionally substituted with substituent species as described herein where allowed by available valences.

As used herein, the term “C₃₋₁₀cycloalkyl” generally refers to a saturated or partially
 10 unsaturated monocyclic, bicyclic or polycyclic hydrocarbon radical, including, but not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclohexenyl, cycloheptyl, and the like. A C₃₋₁₀cycloalkyl radical is optionally substituted with substituent species as described herein where allowed by available valences.

As used herein, the term “aryl” generally refers to a monocyclic, bicyclic or polycyclic
 15 aromatic carbon atom ring structure radical, including, but not limited to, phenyl, naphthyl, anthracenyl, fluorenyl, azulenyl, phenanthrenyl and the like. An aryl radical is optionally substituted with substituent species as described herein where allowed by available valences.

As used herein, the term “heteroaryl” generally refers to a monocyclic, bicyclic or polycyclic aromatic carbon atom ring structure radical in which one or more carbon atom ring
 20 members have been replaced, where allowed by structural stability, with one or more heteroatoms, such as an O, S or N atom, including, but not limited to, furanyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, isothiazolyl, oxazolyl, 1,3-thiazolyl, triazolyl, oxadiazolyl, thiadiazolyl, tetrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, indolyl, indazolyl, indolizynyl, isoindolyl, benzofuranyl, benzothienyl, benzoimidazolyl, 1,3-benzothiazolyl,
 25 1,3-benzoxazolyl, purinyl, quinolynyl, isoquinolynyl, quinazolinyl, quinoxalinyl, 1,3-diazinyl, 1,2-diazinyl, 1,2-diazolyl, 1,4-diazanaphthalenyl, acridinyl, furo[3,2-*b*]pyridinyl, furo[3,2-*c*]pyridinyl, furo[2,3-*c*]pyridinyl, 6*H*-thieno[2,3-*b*]pyrrolyl, thieno[3,2-*c*]pyridinyl, thieno[2,3-*d*]pyrimidinyl, 1*H*-pyrrolo[2,3-*b*]pyridinyl, 1*H*-pyrrolo[2,3-*c*]pyridinyl, 1*H*-pyrrolo[3,2-*b*]pyridinyl, pyrrolo[1,2-*a*]pyrazinyl, pyrrolo[1,2-*b*]pyridazinyl,
 30 pyrazolo[1,5-*a*]pyridinyl, pyrazolo[1,5-*a*]pyrazinyl, imidazo[1,2-*a*]pyridinyl, 3*H*-imidazo[4,5-*b*]pyridinyl, imidazo[1,2-*a*]pyrimidinyl, imidazo[1,2-*c*]pyrimidinyl,

imidazo[1,2-*b*]pyridazinyl, imidazo[1,2-*a*]pyrazinyl, imidazo[2,1-*b*][1,3]thiazolyl, imidazo[2,1-*b*][1,3,4]thiadiazolyl, [1,2,4]triazolo[1,5-*a*]pyridinyl, [1,2,4]triazolo[4,3-*a*]pyridinyl and the like. A heteroaryl radical is optionally substituted on a carbon or nitrogen atom ring member with substituent species as described herein where allowed by available valences.

5 In certain aspects, the nomenclature for a heteroaryl radical may differ, such as in non-limiting examples where furanyl may also be referred to as furyl, thienyl may also be referred to as thiophenyl, pyridinyl may also be referred to as pyridyl, benzothienyl may also be referred to as benzothiophenyl and 1,3-benzoxazolyl may also be referred to as 1,3-benzooxazolyl.

10 In certain other aspects, the term for a heteroaryl radical may also include other regioisomers, such as in non-limiting examples where the term pyrrolyl may also include 2*H*-pyrrolyl, 3*H*-pyrrolyl and the like, the term pyrazolyl may also include 1*H*-pyrazolyl and the like, the term imidazolyl may also include 1*H*-imidazolyl and the like, the term triazolyl may also include 1*H*-1,2,3-triazolyl and the like, the term oxadiazolyl may also include 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl and the like, the term tetrazolyl may also include 1*H*-tetrazolyl, 2*H*-tetrazolyl
15 and the like, the term indolyl may also include 1*H*-indolyl and the like, the term indazolyl may also include 1*H*-indazolyl, 2*H*-indazolyl and the like, the term benzoimidazolyl may also include 1*H*-benzoimidazolyl and the term purinyl may also include 9*H*-purinyl and the like.

As used herein, the term “heterocyclyl” generally refers to a saturated or partially unsaturated monocyclic, bicyclic or polycyclic carbon atom ring structure radical in which one or
20 more carbon atom ring members have been replaced, where allowed by structural stability, with a heteroatom, such as an O, S or N atom, including, but not limited to, oxiranyl, oxetanyl, azetidiny, tetrahydrofuranyl, pyrrolinyl, pyrrolidinyl, pyrazolinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, isoxazolinyl, isoxazolidinyl, isothiazolinyl, isothiazolidinyl, oxazolinyl, oxazolidinyl, thiazolinyl, thiazolidinyl, triazolinyl, triazolidinyl, oxadiazolinyl, oxadiazolidinyl,
25 thiadiazolinyl, thiadiazolidinyl, tetrazolinyl, tetrazolidinyl, pyranyl, dihydro-2*H*-pyranyl, thiopyranyl, 1,3-dioxanyl, 1,2,5,6-tetrahydropyridinyl, 1,2,3,6-tetrahydropyridinyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, 1,4-diazepanyl, 1,3-benzodioxolyl, 1,4-benzodioxanyl, 2,3-dihydro-1,4-benzodioxinyl, hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl,
30 (3*aR*,6*aR*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-(2*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-(2*H*)-yl,

(3a*R*,6a*R*)-hexahydropyrrolo[3,4-*b*]pyrrol-(2*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl,
 (3a*R*,6a*S*)-hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl,
 (3a*R*,6a*R*)-hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl, octahydro-5*H*-pyrrolo[3,2-*c*]pyridinyl,
 octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl, (4a*R*,7a*R*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl,
 5 (4a*S*,7a*S*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl, hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 (7*R*,8a*S*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 (8a*S*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, (8a*R*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 (8a*S*)-octahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, (8a*R*)-octahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl,
 hexahydropyrrolo[1,2-*a*]pyrazin-(2*H*)-one, octahydro-2*H*-pyrido[1,2-*a*]pyrazinyl,
 10 3-azabicyclo[3.1.0]hexyl, (1*R*,5*S*)-3-azabicyclo[3.1.0]hexyl, 8-azabicyclo[3.2.1]octyl,
 (1*R*,5*S*)-8-azabicyclo[3.2.1]octyl, 8-azabicyclo[3.2.1]oct-2-enyl,
 (1*R*,5*S*)-8-azabicyclo[3.2.1]oct-2-enyl, 9-azabicyclo[3.3.1]nonyl,
 (1*R*,5*S*)-9-azabicyclo[3.3.1]nonyl, 2,5-diazabicyclo[2.2.1]heptyl,
 (1*S*,4*S*)-2,5-diazabicyclo[2.2.1]heptyl, 2,5-diazabicyclo[2.2.2]octyl, 3,8-diazabicyclo[3.2.1]octyl,
 15 (1*R*,5*S*)-3,8-diazabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.2]nonyl, azaspiro[3.3]heptyl,
 2,6-diazaspiro[3.3]heptyl, 2,6-diazaspiro[3.4]octyl, 2,7-diazaspiro[3.5]nonyl,
 5,8-diazaspiro[3.5]nonyl, 2,7-diazaspiro[4.4]nonyl, 6,9-diazaspiro[4.5]decyl,
 7-azadispiro[5.1.5⁸.3⁶]hexadecanyl and the like. A heterocyclyl radical is optionally substituted
 on a carbon or nitrogen atom ring member with substituent species as described herein where
 20 allowed by available valences.

In certain aspects, the nomenclature for a heterocyclyl radical may differ, such as in non-limiting examples where 1,3-benzodioxolyl may also be referred to as benzo[*d*][1,3]dioxolyl and 2,3-dihydro-1,4-benzodioxinyl may also be referred to as 2,3-dihydrobenzo[*b*][1,4]dioxinyl.

As used herein, the term “C₁₋₄alkoxy-C₁₋₄alkyl” refers to a radical of the
 25 formula: -C₁₋₄alkyl-O-C₁₋₄alkyl.

As used herein, the term “C₁₋₄alkoxy-C₁₋₄alkyl-amino” refers to a radical of the
 formula: -NH-C₁₋₄alkyl-O-C₁₋₄alkyl.

As used herein, the term “(C₁₋₄alkoxy-C₁₋₄alkyl)₂-amino” refers to a radical of the
 formula: -N(C₁₋₄alkyl-O-C₁₋₄alkyl)₂.

As used herein, the term “C₁₋₄alkoxy-C₁₋₄alkyl-amino-C₁₋₄alkoxy” refers to a radical of the
 30 formula: -O-C₁₋₄alkyl-NH-C₁₋₄alkyl-O-C₁₋₄alkyl.

As used herein, the term “(C₁₋₄alkoxy-C₁₋₄alkyl)₂-amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-N(C₁₋₄alkyl-O-C₁₋₄alkyl)₂.

As used herein, the term “(C₁₋₄₄alkoxy-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄₄alkyl-N(C₁₋₄alkyl)(C₁₋₄₄alkyl-O-C₁₋₄alkyl).

5 As used herein, the term “C₁₋₄alkoxy-C₁₋₄₄alkyl-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH-C₁₋₄₄alkyl-O-C₁₋₄alkyl.

As used herein, the term “(C₁₋₄alkoxy-C₁₋₄alkyl)₂-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl-O-C₁₋₄alkyl)₂.

10 As used herein, the term “(C₁₋₄alkoxy-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl)(C₁₋₄alkyl-O-C₁₋₄alkyl).

As used herein, the term “C₁₋₄alkoxy-carbonyl” refers to a radical of the formula: -C(O)-O-C₁₋₄alkyl.

As used herein, the term “C₁₋₄alkoxy-carbonyl-C₂₋₈alkenyl” refers to a radical of the formula: -C₂₋₈alkenyl-C(O)-O-C₁₋₄alkyl.

15 As used herein, the term “C₁₋₄alkoxy-carbonyl-amino” refers to a radical of the formula: -NH-C(O)-O-C₁₋₄alkyl.

As used herein, the term “C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl.

20 As used herein, the term “(C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl)₂.

As used herein, the term “C₁₋₄alkyl-amino-C₂₋₈alkenyl” refers to a radical of the formula: -C₂₋₈alkenyl-NH-C₁₋₄alkyl.

As used herein, the term “(C₁₋₄alkyl)₂-amino-C₂₋₈alkenyl” refers to a radical of the formula: -C₂₋₈alkenyl-N(C₁₋₄alkyl)₂.

25 As used herein, the term “C₁₋₄alkyl-amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-NH-C₁₋₄alkyl.

As used herein, the term “(C₁₋₄alkyl)₂-amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-N(C₁₋₄alkyl)₂.

30 As used herein, the term “C₁₋₄alkyl-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH-C₁₋₄alkyl.

As used herein, the term “(C₁₋₄alkyl)₂-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl)₂.

As used herein, the term “C₁₋₄alkyl-amino-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-NH-C₁₋₄alkyl.

5 As used herein, the term “(C₁₋₄alkyl)₂-amino-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-N(C₁₋₄alkyl)₂.

As used herein, the term “(C₁₋₄alkyl-amino-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-NH-C₁₋₄alkyl)₂.

10 As used herein, the term “[C₁₋₄alkyl)₂-amino-C₁₋₄alkyl]₂-amino” refers to a radical of the formula: -N[C₁₋₄alkyl-N(C₁₋₄alkyl)₂]₂.

As used herein, the term “(C₁₋₄alkyl-amino-C₁₋₄alkyl)(C₁₋₄alkyl-amino)” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-NH-C₁₋₄alkyl).

As used herein, the term “[C₁₋₄alkyl)₂-amino-C₁₋₄alkyl](C₁₋₄alkyl-amino)” refers to a radical of the formula: -N(C₁₋₄alkyl)[C₁₋₄alkyl-N(C₁₋₄alkyl)₂].

15 As used herein, the term “C₁₋₄alkyl-amino-C₂₋₈alkynyl” refers to a radical of the formula: -C₂₋₈alkynyl-NH-C₁₋₄alkyl.

As used herein, the term “(C₁₋₄alkyl)₂-amino-C₂₋₈alkynyl” refers to a radical of the formula: -C₂₋₈alkynyl-N(C₁₋₄alkyl)₂.

20 As used herein, the term “C₁₋₄alkyl-carbonyl” refers to a radical of the formula: -C(O)-C₁₋₄alkyl.

As used herein, the term “C₁₋₄alkyl-carbonyl-amino” refers to a radical of the formula: -NH-C(O)-C₁₋₄alkyl.

As used herein, the term “C₁₋₄alkyl-thio” refers to a radical of the formula: -S-C₁₋₄alkyl.

25 As used herein, the term “amino-C₂₋₈alkenyl” refers to a radical of the formula: -C₂₋₈alkenyl-NH₂.

As used herein, the term “amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-NH₂.

As used herein, the term “amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH₂.

30 As used herein, the term “amino-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-NH₂.

As used herein, the term “(amino-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-NH₂)₂.

As used herein, the term “(amino-C₁₋₄alkyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-NH₂).

5 As used herein, the term “amino-C₂₋₈alkynyl” refers to a radical of the formula: -C₂₋₈alkynyl-NH₂.

As used herein, the term “aryl-C₁₋₄alkoxy-carbonyl” refers to a radical of the formula: -C(O)-O-C₁₋₄alkyl-aryl.

As used herein, the term “aryl-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-aryl.

10 As used herein, the term “aryl-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-aryl.

As used herein, the term “(aryl-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-aryl)₂.

As used herein, the term “(aryl-C₁₋₄alkyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-aryl).

As used herein, the term “aryl-C₁₋₄alkyl-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH-C₁₋₄alkyl-aryl.

As used herein, the term “(aryl-C₁₋₄alkyl)₂-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl-aryl)₂.

20 As used herein, the term “(aryl-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl)(C₁₋₄alkyl-aryl).

As used herein, the term “aryl-amino” refers to a radical of the formula: -NH-aryl.

As used herein, the term “aryl-amino-carbonyl” refers to a radical of the formula: -C(O)-NH-aryl.

25 As used herein, the term “aryl-sulfonyloxy-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-O-SO₂-aryl.

As used herein, the term “benzoxycarbonyl” refers to a radical of the formula: -C(O)-O-CH₂-phenyl.

As used herein, the term “C₃₋₁₄cycloalkyl-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-C₃₋₁₄cycloalkyl.

As used herein, the term “C₃₋₁₄cycloalkyl-amino” refers to a radical of the formula: -NH-C₃₋₁₄cycloalkyl.

As used herein, the term “C₃₋₁₄cycloalkyl-oxy” refers to a radical of the formula: -O-C₃₋₁₄cycloalkyl.

5 As used herein, the term “deutero-C₁₋₄alkyl,” refers to a radical of the formula: -C₁₋₄alkyl-deutero, wherein C₁₋₄alkyl is partially or completely substituted with one or more deuterium atoms where allowed by available valences.

As used herein, the term “halo” or “halogen” generally refers to a halogen atom radical, including fluoro, chloro, bromo and iodo.

10 As used herein, the term “halo-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-halo, wherein C₁₋₄alkyl is partially or completely substituted with one or more halogen atoms where allowed by available valences.

As used herein, the term “halo-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-halo, wherein C₁₋₄alkyl is partially or completely substituted with one or more
15 halogen atoms where allowed by available valences.

As used herein, the term “halo-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-halo.

As used herein, the term “(halo-C₁₋₄alkyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-halo).

20 As used herein, the term “(halo-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-halo)₂.

As used herein, the term “heteroaryl-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-heteroaryl.

As used herein, the term “heteroaryl-C₁₋₄alkyl” refers to a radical of the
25 formula: -C₁₋₄alkyl-heteroaryl.

As used herein, the term “heteroaryl-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-heteroaryl.

As used herein, the term “(heteroaryl-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-heteroaryl)₂.

30 As used herein, the term “(heteroaryl-C₁₋₄alkyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-heteroaryl).

As used herein, the term “heteroaryl-C₁₋₄alkyl-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH-C₁₋₄alkyl-heteroaryl.

As used herein, the term “(heteroaryl-C₁₋₄alkyl)₂-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl-heteroaryl)₂.

5 As used herein, the term “(heteroaryl-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl)(C₁₋₄alkyl-heteroaryl).

As used herein, the term “heteroaryl-amino” refers to a radical of the formula: -NH-heteroaryl.

10 As used herein, the term “heterocyclyl-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-heterocyclyl.

As used herein, the term “heterocyclyl-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-heterocyclyl.

As used herein, the term “heterocyclyl-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-heterocyclyl.

15 As used herein, the term “(heterocyclyl-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-heterocyclyl)₂.

As used herein, the term “(heterocyclyl-C₁₋₄alkyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-heterocyclyl).

20 As used herein, the term “heterocyclyl-C₁₋₄alkyl-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH-C₁₋₄alkyl-heterocyclyl.

As used herein, the term “(heterocyclyl-C₁₋₄alkyl)₂-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl-heterocyclyl)₂.

As used herein, the term “(heterocyclyl-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl)(C₁₋₄alkyl-heterocyclyl).

25 As used herein, the term “heterocyclyl-amino” refers to a radical of the formula: -NH-heterocyclyl.

As used herein, the term “(heterocyclyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(heterocyclyl).

30 As used herein, the term “heterocyclyl-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH-heterocyclyl.

As used herein, the term “heterocyclyl-carbonyl” refers to a radical of the formula: -C(O)-heterocyclyl.

As used herein, the term “heterocyclyl-carbonyl-oxy” refers to a radical of the formula: -O-C(O)-heterocyclyl.

5 As used herein, the term “heterocyclyl-oxy” refers to a radical of the formula: -O-heterocyclyl.

As used herein, the term “hydroxy” refers to a radical of the formula: -OH.

As used herein, the term “hydroxy-C₁₋₄alkoxy-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-O-C₁₋₄alkyl-OH.

10 As used herein, the term “hydroxy-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-OH, wherein C₁₋₄alkyl is partially or completely substituted with one or more hydroxy radicals where allowed by available valences.

As used herein, the term “hydroxy-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-OH.

15 As used herein, the term “(hydroxy-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-OH)₂.

As used herein, the term “(hydroxy-C₁₋₄alkyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-OH).

20 As used herein, the term “hydroxy-C₁₋₄alkyl-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-NH-C₁₋₄alkyl-OH.

As used herein, the term “(hydroxy-C₁₋₄alkyl)₂-amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl-OH)₂.

As used herein, the term “(hydroxy-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkyl” refers to a radical of the formula: -C₁₋₄alkyl-N(C₁₋₄alkyl)(C₁₋₄alkyl-OH).

25 As used herein, the term “hydroxy-C₁₋₄alkyl-amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-NH-C₁₋₄alkyl-OH.

As used herein, the term “(hydroxy-C₁₋₄alkyl)₂-amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-N(C₁₋₄alkyl-OH)₂.

30 As used herein, the term “(hydroxy-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkoxy” refers to a radical of the formula: -O-C₁₋₄alkyl-N(C₁₋₄alkyl)(C₁₋₄alkyl-OH).

As used herein, the term “hydroxy-C₁₋₄alkyl-amino-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-NH-C₁₋₄alkyl-OH.

As used herein, the term “(hydroxy-C₁₋₄alkyl-amino-C₁₋₄alkyl)₂-amino” refers to a radical of the formula: -N(C₁₋₄alkyl-NH-C₁₋₄alkyl-OH)₂.

5 As used herein, the term “(hydroxy-C₁₋₄alkyl)₂-amino-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-N(C₁₋₄alkyl-OH)₂.

As used herein, the term “(hydroxy-C₁₋₄alkyl-amino-C₁₋₄alkyl)(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)(C₁₋₄alkyl-NH-C₁₋₄alkyl-OH).

10 As used herein, the term “[hydroxy-C₁₋₄alkyl)₂-amino-C₁₋₄alkyl](C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)[C₁₋₄alkyl-N(C₁₋₄alkyl-OH)₂].

As used herein, the term “(hydroxy-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkyl-amino” refers to a radical of the formula: -NH-C₁₋₄alkyl-N(C₁₋₄alkyl, C₁₋₄alkyl-OH).

As used herein, the term “[hydroxy-C₁₋₄alkyl)(C₁₋₄alkyl)amino-C₁₋₄alkyl]-(C₁₋₄alkyl)amino” refers to a radical of the formula: -N(C₁₋₄alkyl)[C₁₋₄alkyl-N(C₁₋₄alkyl)-
15 (C₁₋₄alkyl-OH)].

As used herein, the term “substituent” means positional variables on the atoms of a core molecule that are substituted at a designated atom position, replacing one or more hydrogens on the designated atom, provided that the designated atom’s normal valency is not exceeded, and that the substitution results in a stable compound. Combinations of substituents and/or variables are
20 permissible only if such combinations result in stable compounds. A person of ordinary skill in the art should note that any carbon as well as heteroatom with valences that appear to be unsatisfied as described or shown herein is assumed to have a sufficient number of hydrogen atom(s) to satisfy the valences described or shown. In certain instances one or more substituents having a double bond (e.g., “oxo” or “=O”) as the point of attachment may be described, shown
25 or listed herein within a substituent group, wherein the structure may only show a single bond as the point of attachment to the core structure of Formula (I) or Formula (II). A person of ordinary skill in the art would understand that, while only a single bond is shown, a double bond is intended for those substituents.

As used herein, the term “and the like,” with reference to the definitions of chemical terms
30 provided herein, means that variations in chemical structures that could be expected by one skilled in the art include, without limitation, isomers (including chain, branching or positional

structural isomers), hydration of ring systems (including saturation or partial unsaturation of monocyclic, bicyclic or polycyclic ring structures) and all other variations where allowed by available valences which result in a stable compound.

For the purposes of this description, where one or more substituent variables for a compound of Formula (I) or Formula (II) or a form thereof encompass functionalities incorporated into a compound of Formula (I) or Formula (II), each functionality appearing at any location within the disclosed compound may be independently selected, and as appropriate, independently and/or optionally substituted.

As used herein, the terms “independently selected,” or “each selected” refer to functional variables in a substituent list that may occur more than once on the structure of Formula (I) or Formula (II), the pattern of substitution at each occurrence is independent of the pattern at any other occurrence. Further, the use of a generic substituent variable on any formula or structure for a compound described herein is understood to include the replacement of the generic substituent with species substituents that are included within the particular genus, *e.g.*, aryl may be replaced with phenyl or naphthalenyl and the like, and that the resulting compound is to be included within the scope of the compounds described herein.

As used herein, the terms “each instance of” or “in each instance, when present,” when used preceding a phrase such as “...C₃₋₁₄cycloalkyl, C₃₋₁₄cycloalkyl-C₁₋₄alkyl, aryl, aryl-C₁₋₄alkyl, heteroaryl, heteroaryl-C₁₋₄alkyl, heterocyclyl and heterocyclyl-C₁₋₄alkyl,” are intended to refer to the C₃₋₁₄cycloalkyl, aryl, heteroaryl and heterocyclyl ring systems when each are present either alone or as a substituent.

As used herein, the term “optionally substituted” means optional substitution with the specified substituent variables, groups, radicals or moieties.

COMPOUND FORMS

As used herein, the term “form” means a compound of Formula (I) or Formula (II) having a form selected from the group consisting of a free acid, free base, prodrug, salt, hydrate, solvate, clathrate, isotopologue, racemate, enantiomer, diastereomer, stereoisomer, polymorph and tautomer form thereof.

In certain aspects described herein, the form of the compound of Formula (I) or Formula (II) is a free acid, free base or salt thereof.

In certain aspects described herein, the form of the compound of Formula (I) or Formula (II) is a salt thereof.

In certain aspects described herein, the form of the compound of Formula (I) or Formula (II) is an isotopologue thereof.

5 In certain aspects described herein, the form of the compound of Formula (I) or Formula (II) is a stereoisomer, racemate, enantiomer or diastereomer thereof.

In certain aspects described herein, the form of the compound of Formula (I) or Formula (II) is a tautomer thereof.

10 In certain aspects described herein, the form of the compound of Formula (I) or Formula (II) is a pharmaceutically acceptable form.

In certain aspects described herein, the compound of Formula (I) or Formula (II) or a form thereof is isolated for use.

As used herein, the term “isolated” means the physical state of a compound of Formula (I) or Formula (II) or a form thereof after being isolated and/or purified from a synthetic process (e.g., from a reaction mixture) or natural source or combination thereof according to an isolation or purification process or processes described herein or which are well known to the skilled artisan (e.g., chromatography, recrystallization and the like) in sufficient purity to be characterized by standard analytical techniques described herein or well known to the skilled artisan.

20 As used herein, the term “protected” means that a functional group in a compound of Formula (I) or Formula (II) or a form thereof is in a form modified to preclude undesired side reactions at the protected site when the compound is subjected to a reaction. Suitable protecting groups will be recognized by those with ordinary skill in the art as well as by reference to standard textbooks such as, for example, T.W. Greene *et al*, *Protective Groups in organic*
25 *Synthesis* (1991), Wiley, New York. Such functional groups include hydroxy, phenol, amino and carboxylic acid. Suitable protecting groups for hydroxy or phenol include trialkylsilyl or diarylalkylsilyl (e.g., t-butyltrimethylsilyl, t-butylphenylsilyl or trimethylsilyl), tetrahydropyranyl, benzyl, substituted benzyl, methyl, methoxymethanol, and the like. Suitable protecting groups for amino, amidino and guanidino include t-butoxycarbonyl,
30 benzyloxycarbonyl, and the like. Suitable protecting groups for carboxylic acid include alkyl, aryl or arylalkyl esters. In certain instances, the protecting group may also be a polymer resin, such as

a Wang resin or a 2-chlorotrityl-chloride resin. Protecting groups may be added or removed in accordance with standard techniques, which are well-known to those skilled in the art and as described herein. It will also be appreciated by those skilled in the art, although such protected derivatives of compounds described herein may not possess pharmacological activity as such, they may be administered to a subject and thereafter metabolized in the body to form compounds described herein which are pharmacologically active. Such derivatives may therefore be described as "prodrugs". All prodrugs of compounds described herein are included within the scope of the use described herein.

As used herein, the term "prodrug" means a form of an instant compound (*e.g.*, a drug precursor) that is transformed *in vivo* to yield an active compound of Formula (I) or Formula (II) or a form thereof. The transformation may occur by various mechanisms (*e.g.*, by metabolic and/or non-metabolic chemical processes), such as, for example, by hydrolysis and/or metabolism in blood, liver and/or other organs and tissues. A discussion of the use of prodrugs is provided by T. Higuchi and W. Stella, "Pro-drugs as Novel Delivery Systems," Vol. 14 of the A.C.S. Symposium Series, and in *Bioreversible Carriers in Drug Design*, ed. Edward B. Roche, American Pharmaceutical Association and Pergamon Press, 1987.

In one example, when a compound of Formula (I) or Formula (II) or a form thereof contains a carboxylic acid functional group, a prodrug can comprise an ester formed by the replacement of the hydrogen atom of the acid group with a functional group such as alkyl and the like. In another example, when a compound of Formula (I) or Formula (II) or a form thereof contains a hydroxyl functional group, a prodrug form can be prepared by replacing the hydrogen atom of the hydroxyl with another functional group such as alkyl, alkylcarbonyl or a phosphonate ester and the like. In another example, when a compound of Formula (I) or Formula (II) or a form thereof contains an amine functional group, a prodrug form can be prepared by replacing one or more amine hydrogen atoms with a functional group such as alkyl or substituted carbonyl. Pharmaceutically acceptable prodrugs of compounds of Formula (I) or Formula (II) or a form thereof include those compounds substituted with one or more of the following groups: carboxylic acid esters, sulfonate esters, amino acid esters, phosphonate esters and mono-, di- or triphosphate esters or alkyl substituents, where appropriate. As described herein, it is understood by a person of ordinary skill in the art that one or more of such substituents may be used to provide a compound of Formula (I) or Formula (II) or a form thereof as a prodrug.

One or more compounds described herein may exist in unsolvated as well as solvated forms with pharmaceutically acceptable solvents such as water, ethanol, and the like, and the description herein is intended to embrace both solvated and unsolvated forms.

As used herein, the term "solvate" means a physical association of a compound described
5 herein with one or more solvent molecules. This physical association involves varying degrees of ionic and covalent bonding, including hydrogen bonding. In certain instances the solvate will be capable of isolation, for example when one or more solvent molecules are incorporated in the crystal lattice of the crystalline solid. As used herein, "solvate" encompasses both solution-phase and isolatable solvates. Non-limiting examples of suitable solvates include ethanولات, 10 methanولات, and the like.

As used herein, the term "hydrate" means a solvate wherein the solvent molecule is water.

The compounds of Formula (I) or Formula (II) can form salts, which are intended to be included within the scope of this description. Reference to a compound of Formula (I) or Formula (II) or a form thereof herein is understood to include reference to salt forms thereof,
15 unless otherwise indicated. The term "salt(s)", as employed herein, denotes acidic salts formed with inorganic and/or organic acids, as well as basic salts formed with inorganic and/or organic bases. In addition, when a compound of Formula (I) or Formula (II) or a form thereof contains both a basic moiety, such as, without limitation an amine moiety, and an acidic moiety, such as, but not limited to a carboxylic acid, zwitterions ("inner salts") may be formed and are included
20 within the term "salt(s)" as used herein.

The term "pharmaceutically acceptable salt(s)", as used herein, means those salts of compounds described herein that are safe and effective (*i.e.*, non-toxic, physiologically acceptable) for use in mammals and that possess biological activity, although other salts are also useful. Salts of the compounds of the Formula (I) or Formula (II) may be formed, for example,
25 by reacting a compound of Formula (I) or Formula (II) or a form thereof with an amount of acid or base, such as an equivalent amount, in a medium such as one in which the salt precipitates or in an aqueous medium followed by lyophilization.

Pharmaceutically acceptable salts include one or more salts of acidic or basic groups present in compounds described herein. Particular aspects of acid addition salts include, and are
30 not limited to, acetate, ascorbate, benzoate, benzenesulfonate, bisulfate, bitartrate, borate, bromide, butyrate, chloride, citrate, camphorate, camphorsulfonate, ethanesulfonate, formate,

fumarate, gentisinate, gluconate, glucaronate, glutamate, iodide, isonicotinate, lactate, maleate, methanesulfonate, naphthalenesulfonate, nitrate, oxalate, pamoate, pantothenate, phosphate, propionate, saccharate, salicylate, succinate, sulfate, tartrate, thiocyanate, toluenesulfonate (also known as tosylate), trifluoroacetate salts and the like. Certain particular aspects of acid addition salts include chloride or dichloride.

Additionally, acids which are generally considered suitable for the formation of pharmaceutically useful salts from basic pharmaceutical compounds are discussed, for example, by P. Stahl *et al*, Camille G. (eds.) *Handbook of Pharmaceutical Salts. Properties, Selection and Use*. (2002) Zurich: Wiley-VCH; S. Berge *et al*, *Journal of Pharmaceutical Sciences* (1977) 66(1) 1-19; P. Gould, *International J. of Pharmaceutics* (1986) 33, 201-217; Anderson *et al*, *The Practice of Medicinal Chemistry* (1996), Academic Press, New York; and in *The Orange Book* (Food & Drug Administration, Washington, D.C. on their website). These disclosures are incorporated herein by reference thereto.

Suitable basic salts include, but are not limited to, aluminum, ammonium, calcium, lithium, magnesium, potassium, sodium and zinc salts.

All such acid salts and base salts are intended to be included within the scope of pharmaceutically acceptable salts as described herein. In addition, all such acid and base salts are considered equivalent to the free forms of the corresponding compounds for purposes of this description.

Compounds of Formula (I) or Formula (II) and forms thereof, may further exist in a tautomeric form. All such tautomeric forms are contemplated and intended to be included within the scope of the compounds of Formula (I) or Formula (II) or a form thereof as described herein.

The compounds of Formula (I) or Formula (II) or a form thereof may contain asymmetric or chiral centers, and, therefore, exist in different stereoisomeric forms. The present description is intended to include all stereoisomeric forms of the compounds of Formula (I) or Formula (II) as well as mixtures thereof, including racemic mixtures.

The compounds described herein may include one or more chiral centers, and as such may exist as racemic mixtures (*R/S*) or as substantially pure enantiomers and diastereomers. The compounds may also exist as substantially pure (*R*) or (*S*) enantiomers (when one chiral center is present). In one particular aspect, the compounds described herein are (*S*) isomers and may exist as enantiomerically pure compositions substantially comprising only the (*S*) isomer. In another

particular aspect, the compounds described herein are (*R*) isomers and may exist as enantiomerically pure compositions substantially comprising only the (*R*) isomer. As one of skill in the art will recognize, when more than one chiral center is present, the compounds described herein may also exist as a (*R,R*), (*R,S*), (*S,R*) or (*S,S*) isomer, as defined by *IUPAC* Nomenclature Recommendations.

As used herein, the term “substantially pure” refers to compounds consisting substantially of a single isomer in an amount greater than or equal to 90%, in an amount greater than or equal to 92%, in an amount greater than or equal to 95%, in an amount greater than or equal to 98%, in an amount greater than or equal to 99%, or in an amount equal to 100% of the single isomer.

In one aspect of the description, a compound of Formula (I) or Formula (II) or a form thereof is a substantially pure (*S*) enantiomer form present in an amount greater than or equal to 90%, in an amount greater than or equal to 92%, in an amount greater than or equal to 95%, in an amount greater than or equal to 98%, in an amount greater than or equal to 99%, or in an amount equal to 100%.

In one aspect of the description, a compound of Formula (I) or Formula (II) or a form thereof is a substantially pure (*R*) enantiomer form present in an amount greater than or equal to 90%, in an amount greater than or equal to 92%, in an amount greater than or equal to 95%, in an amount greater than or equal to 98%, in an amount greater than or equal to 99%, or in an amount equal to 100%.

As used herein, a “racemate” is any mixture of isometric forms that are not “enantiomerically pure”, including mixtures such as, without limitation, in a ratio of about 50/50, about 60/40, about 70/30, or about 80/20.

In addition, the present description embraces all geometric and positional isomers. For example, if a compound of Formula (I) or Formula (II) or a form thereof incorporates a double bond or a fused ring, both the *cis*- and *trans*-forms, as well as mixtures, are embraced within the scope of the description. Diastereomeric mixtures can be separated into their individual diastereomers on the basis of their physical chemical differences by methods well known to those skilled in the art, such as, for example, by chromatography and/or fractional crystallization. Enantiomers can be separated by use of chiral HPLC column or other chromatographic methods known to those skilled in the art. Enantiomers can also be separated by converting the enantiomeric mixture into a diastereomeric mixture by reaction with an appropriate optically

active compound (*e.g.*, chiral auxiliary such as a chiral alcohol or Mosher's acid chloride), separating the diastereomers and converting (*e.g.*, hydrolyzing) the individual diastereomers to the corresponding pure enantiomers. Also, some of the compounds of Formula (I) or Formula (II) may be atropisomers (*e.g.*, substituted biaryls) and are considered as part of this description.

5 All stereoisomers (for example, geometric isomers, optical isomers and the like) of the present compounds (including those of the salts, solvates, esters and prodrugs of the compounds as well as the salts, solvates and esters of the prodrugs), such as those which may exist due to asymmetric carbons on various substituents, including enantiomeric forms (which may exist even in the absence of asymmetric carbons), rotameric forms, atropisomers, and diastereomeric forms,
10 are contemplated within the scope of this description, as are positional isomers (such as, for example, 4-pyridyl and 3-pyridyl). Individual stereoisomers of the compounds described herein may, for example, be substantially free of other isomers, or may be present in a racemic mixture, as described *supra*.

The use of the terms "salt", "solvate", "ester", "prodrug" and the like, is intended to
15 equally apply to the salt, solvate, ester and prodrug of enantiomers, stereoisomers, rotamers, tautomers, positional isomers, racemates or isotopologues of the instant compounds.

The term "isotopologue" refers to isotopically-enriched compounds described herein which are identical to those recited herein, but for the fact that one or more atoms are replaced by an atom having an atomic mass or mass number different from the atomic mass or mass number
20 usually found in nature. Examples of isotopes that can be incorporated into compounds described herein include isotopes of hydrogen, carbon, nitrogen, oxygen, phosphorus, fluorine and chlorine, such as ^2H , ^3H , ^{13}C , ^{14}C , ^{15}N , ^{18}O , ^{17}O , ^{31}P , ^{32}P , ^{35}S , ^{18}F , ^{35}Cl and ^{36}Cl , respectively, each of which are also within the scope of this description.

Certain isotopically-enriched compounds described herein (*e.g.*, those labeled with ^3H and
25 ^{14}C) are useful in compound and/or substrate tissue distribution assays. Tritiated (*i.e.*, ^3H) and carbon-14 (*i.e.*, ^{14}C) isotopes are particularly preferred for their ease of preparation and detectability. Further, substitution with heavier isotopes such as deuterium (*i.e.*, ^2H) may afford certain therapeutic advantages resulting from greater metabolic stability (*e.g.*, increased *in vivo* half-life or reduced dosage requirements) and hence may be preferred in some circumstances.

Polymorphic crystalline and amorphous forms of the compounds of Formula (I) or Formula (II) and of the salts, solvates, hydrates, esters and prodrugs of the compounds of Formula (I) or Formula (II) are further intended to be included in the present description.

COMPOUND USES

5 In accordance with the intended scope of the present description, aspects of the present description include compounds that have been identified and have been demonstrated to be useful in selectively preventing, treating or ameliorating HD and have been provided for use for preventing, treating or ameliorating HD.

An aspect of the present description includes a method for preventing, treating or
10 ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula (I) or Formula (II) or a form thereof.

An aspect of the present description includes a method for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula (I) or Formula (II) or a form thereof.

15 An aspect of the present description includes a method for preventing HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula (I) or Formula (II) or a form thereof.

An aspect of the present description includes a method for treating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula
20 (I) or Formula (II) or a form thereof.

An aspect of the present description includes a method for ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound of Formula (I) or Formula (II) or a form thereof.

Another aspect of the present description includes a method for treating or ameliorating
25 HD in a subject in need thereof comprising, administering to the subject an effective amount of a compound salt of Formula (I) or Formula (II) or a form thereof.

An aspect of the present description includes a method for use of a compound of Formula (I) or Formula (II) or a form or composition thereof for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of
30 Formula (I) or Formula (II) or a form or composition thereof.

Another aspect of the present description includes a method for use of a compound salt of Formula (I) or Formula (II) or a form or composition thereof for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound salt of Formula (I) or Formula (II) or a form thereof.

5 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof.

10 Another aspect of the present description includes a use for a compound salt of Formula (I) or Formula (II) or a form thereof for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound salt of Formula (I) or Formula (II) or a form thereof.

15 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in the manufacture of a medicament for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the medicament.

20 Another aspect of the present description includes a use for a compound salt of Formula (I) or Formula (II) or a form thereof in the manufacture of a medicament for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the medicament.

 An aspect of the present description includes in vitro or in vivo use of the compound of Formula (I) or Formula (II) or a form thereof having activity toward HD.

25 An aspect of the present description includes a use of the compound of Formula (I) or Formula (II) or a form thereof in a combination therapy to provide additive or synergistic activity, thus enabling the development of a combination product for treating or ameliorating HD.

 Another aspect of the present description includes a combination therapy comprising compounds described herein in combination with one or more known drugs or one or more known therapies may be used to treat HD regardless of whether HD is responsive to the known drug.

30 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in a combination product with one or more therapeutic agents for

treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof in combination with an effective amount of the one or more agents.

Another aspect of the present description includes a use for a compound salt of Formula (I) or Formula (II) or a form thereof in a combination product with one or more therapeutic agents for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound salt of Formula (I) or Formula (II) or a form thereof in combination with an effective amount of the one or more agents.

In an aspect of a use or method provided herein, compounds of Formula (I) or Formula (II) or a form thereof used in combination with one or more additional agents can be administered to a subject or contacted with a subject or patient cell(s) prior to, concurrently with, or subsequent to administering to the subject or patient or contacting the cell with an additional agent(s). A compound(s) of Formula (I) or Formula (II) or a form thereof and an additional agent(s) can be administered to a subject or contacted with a cell in single composition or different compositions. In a specific aspect, a compound(s) of Formula (I) or Formula (II) or a form thereof is used in combination with gene therapy to inhibit HTT expression (using, *e.g.*, viral delivery vectors) or the administration of another small molecule HTT inhibitor. In another specific aspect, a compound(s) of Formula (I) or Formula (II) or a form thereof are used in combination with cell replacement using differentiated non-mutant HTT stem cells. In another specific aspect, a compound(s) of Formula (I) or Formula (II) or a form thereof are used in combination with cell replacement using differentiated HTT stem cells.

In one aspect, provided herein is the use of compounds of Formula (I) or Formula (II) or a form thereof in combination with supportive standard of care therapies, including palliative care.

An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in the preparation of a kit for treating or ameliorating HD in a subject in need thereof comprising, the compound of Formula (I) or Formula (II) or a form thereof and instructions for administering an effective amount of the compound of Formula (I) or Formula (II) or a form thereof.

An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in the preparation of a kit for treating or ameliorating HD in a subject in need thereof comprising, the compound of Formula (I) or Formula (II) or a form thereof

and instructions for administering an effective amount of the compound of Formula (I) or Formula (II) or a form thereof; and optionally, for administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof in a combination product with an effective amount of one or more therapeutic agents.

5 An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in the preparation of a kit for treating or ameliorating HD in a subject in need thereof comprising, the compound of Formula (I) or Formula (II) or a form thereof and instructions for administering an effective amount of the compound of Formula (I) or Formula (II) or a form thereof; and optionally, for administering to the subject an effective
10 amount of the compound of Formula (I) or Formula (II) or a form thereof in a combination product with an effective amount of the one or more therapeutic agents; and optionally, for administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof in a combination product with an effective amount of the one or more therapeutic agents in a combination therapy with a standard of care supportive therapy, wherein
15 the standard of care supportive therapy is palliative care.

 In one respect, for each of such aspects, the subject is treatment naive. In another respect, for each of such aspects, the subject is not treatment naive.

 As used herein, the term “preventing” refers to keeping a disease, disorder or condition from occurring in a subject that may be predisposed to the disease, disorder and/or condition but
20 has not yet been diagnosed as having the disease, disorder and/or condition.

 As used herein, the term “treating” refers to inhibiting the progression of a disease, disorder or condition in a subject already exhibiting the symptoms of the disease, disorder and/or condition, *i.e.*, arresting the development of a disease, disorder and/or condition that has already affected the subject.

25 As used herein, the term “ameliorating” refers to relieving the symptoms of a disease, disorder or condition in a subject already exhibiting the symptoms of the disease, disorder and/or condition, *i.e.*, causing regression of the disease, disorder and/or condition that has already affected the subject.

 As used herein, the term “subject” refers to an animal or any living organism having
30 sensation and the power of voluntary movement, and which requires oxygen and organic food. Nonlimiting examples include members of the human, primate, equine, porcine, bovine, murine,

rattus, canine and feline specie. In certain aspects, the subject is a mammal or a warm-blooded vertebrate animal. In other aspects, the subject is a human. As used herein, the term “patient” may be used interchangeably with “subject” and “human”.

As used herein, the terms “effective amount” or “therapeutically effective amount” mean an amount of compound of Formula (I) or Formula (II) or a form, composition or medicament thereof that achieves a target plasma concentration that is effective in treating or ameliorating HD as described herein and thus producing the desired therapeutic, ameliorative, inhibitory or preventative effect in a subject in need thereof. In one aspect, the effective amount may be the amount required to treat HD in a subject or patient, more specifically, in a human.

In another aspect, the concentration-biological effect relationships observed with regard to a compound of Formula (I) or Formula (II) or a form thereof indicate a target plasma concentration ranging from approximately 0.001 $\mu\text{g/mL}$ to approximately 50 $\mu\text{g/mL}$, from approximately 0.01 $\mu\text{g/mL}$ to approximately 20 $\mu\text{g/mL}$, from approximately 0.05 $\mu\text{g/mL}$ to approximately 10 $\mu\text{g/mL}$, or from approximately 0.1 $\mu\text{g/mL}$ to approximately 5 $\mu\text{g/mL}$. To achieve such plasma concentrations, the compounds described herein may be administered at doses that vary, such as, for example, without limitation, from 1.0 ng to 10,000 mg.

In one aspect, the dose administered to achieve an effective target plasma concentration may be administered based upon subject or patient specific factors, wherein the doses administered on a weight basis may be in the range of from about 0.001 mg/kg/day to about 3500 mg/kg/day, or about 0.001 mg/kg/day to about 3000 mg/kg/day, or about 0.001 mg/kg/day to about 2500 mg/kg/day, or about 0.001 mg/kg/day to about 2000 mg/kg/day, or about 0.001 mg/kg/day to about 1500 mg/kg/day, or about 0.001 mg/kg/day to about 1000 mg/kg/day, or about 0.001 mg/kg/day to about 500 mg/kg/day, or about 0.001 mg/kg/day to about 250 mg/kg/day, or about 0.001 mg/kg/day to about 200 mg/kg/day, or about 0.001 mg/kg/day to about 150 mg/kg/day, or about 0.001 mg/kg/day to about 100 mg/kg/day, or about 0.001 mg/kg/day to about 75 mg/kg/day, or about 0.001 mg/kg/day to about 50 mg/kg/day, or about 0.001 mg/kg/day to about 25 mg/kg/day, or about 0.001 mg/kg/day to about 10 mg/kg/day, or about 0.001 mg/kg/day to about 5 mg/kg/day, or about 0.001 mg/kg/day to about 1 mg/kg/day, or about 0.001 mg/kg/day to about 0.5 mg/kg/day, or about 0.001 mg/kg/day to about 0.1 mg/kg/day, or from about 0.01 mg/kg/day to about 3500 mg/kg/day, or about 0.01 mg/kg/day to about 3000 mg/kg/day, or about 0.01 mg/kg/day to about 2500 mg/kg/day, or about 0.01 mg/kg/day to about

2000 mg/kg/day, or about 0.01 mg/kg/day to about 1500 mg/kg/day, or about 0.01 mg/kg/day to about 1000 mg/kg/day, or about 0.01 mg/kg/day to about 500 mg/kg/day, or about 0.01 mg/kg/day to about 250 mg/kg/day, or about 0.01 mg/kg/day to about 200 mg/kg/day, or about 0.01 mg/kg/day to about 150 mg/kg/day, or about 0.01 mg/kg/day to about 100 mg/kg/day, or about 0.01 mg/kg/day to about 75 mg/kg/day, or about 0.01 mg/kg/day to about 50 mg/kg/day, or about 0.01 mg/kg/day to about 25 mg/kg/day, or about 0.01 mg/kg/day to about 10 mg/kg/day, or about 0.01 mg/kg/day to about 5 mg/kg/day, or about 0.01 mg/kg/day to about 1 mg/kg/day, or about 0.01 mg/kg/day to about 0.5 mg/kg/day, or about 0.01 mg/kg/day to about 0.1 mg/kg/day, or from about 0.1 mg/kg/day to about 3500 mg/kg/day, or about 0.1 mg/kg/day to about 3000 mg/kg/day, or about 0.1 mg/kg/day to about 2500 mg/kg/day, or about 0.1 mg/kg/day to about 2000 mg/kg/day, or about 0.1 mg/kg/day to about 1500 mg/kg/day, or about 0.1 mg/kg/day to about 1000 mg/kg/day, or about 0.1 mg/kg/day to about 500 mg/kg/day, or about 0.1 mg/kg/day to about 250 mg/kg/day, or about 0.1 mg/kg/day to about 200 mg/kg/day, or about 0.1 mg/kg/day to about 150 mg/kg/day, or about 0.1 mg/kg/day to about 100 mg/kg/day, or about 0.1 mg/kg/day to about 75 mg/kg/day, or about 0.1 mg/kg/day to about 50 mg/kg/day, or about 0.1 mg/kg/day to about 25 mg/kg/day, or about 0.1 mg/kg/day to about 10 mg/kg/day, or about 0.1 mg/kg/day to about 5 mg/kg/day, or about 0.1 mg/kg/day to about 1 mg/kg/day, or about 0.1 mg/kg/day to about 0.5 mg/kg/day.

Effective amounts for a given subject may be determined by routine experimentation that is within the skill and judgment of a clinician or a practitioner skilled in the art in light of factors related to the subject. Dosage and administration may be adjusted to provide sufficient levels of the active agent(s) or to maintain the desired effect. Factors which may be taken into account include genetic screening, severity of the disease state, status of disease progression, general health of the subject, ethnicity, age, weight, gender, diet, time of day and frequency of administration, drug combination(s), reaction sensitivities, experience with other therapies, and tolerance/response to therapy.

The dose administered to achieve an effective target plasma concentration may be orally administered once (once in approximately a 24 hour period; i.e., "q.d."), twice (once in approximately a 12 hour period; i.e., "b.i.d." or "q.12h"), thrice (once in approximately an 8 hour period; i.e., "t.i.d." or "q.8h"), or four times (once in approximately a 6 hour period; i.e., "q.d.s.", "q.i.d." or "q.6h") daily.

In certain aspects, the dose administered to achieve an effective target plasma concentration may also be administered in a single, divided, or continuous dose for a patient or subject having a weight in a range of between about 40 to about 200 kg (which dose may be adjusted for patients or subjects above or below this range, particularly children under 40 kg).

5 The typical adult subject is expected to have a median weight in a range of about 70 kg. Long-acting pharmaceutical compositions may be administered every 2, 3 or 4 days, once every week, or once every two weeks depending on half-life and clearance rate of the particular formulation.

The compounds and compositions described herein may be administered to the subject via any drug delivery route known in the art. Nonlimiting examples include oral, ocular, rectal,
10 buccal, topical, nasal, sublingual, transdermal, subcutaneous, intramuscular, intravenous (bolus and infusion), intracerebral, and pulmonary routes of administration.

In another aspect, the dose administered may be adjusted based upon a dosage form described herein formulated for delivery at about 0.02, 0.025, 0.03, 0.05, 0.06, 0.075, 0.08, 0.09, 0.10, 0.20, 0.25, 0.30, 0.50, 0.60, 0.75, 0.80, 0.90, 1.0, 1.10, 1.20, 1.25, 1.50, 1.75, 2.0, 3.0, 5.0,
15 10, 20, 30, 40, 50, 100, 150, 200, 250, 300, 400, 500, 1000, 1500, 2000, 2500, 3000 or 4000 mg/day.

For any compound, the effective amount can be estimated initially either in cell culture assays or in relevant animal models, such as a mouse, guinea pig, chimpanzee, marmoset or tamarin animal model. Relevant animal models may also be used to determine the appropriate
20 concentration range and route of administration. Such information can then be used to determine useful doses and routes for administration in humans. Therapeutic efficacy and toxicity may be determined by standard pharmaceutical procedures in cell cultures or experimental animals, *e.g.*, ED₅₀ (the dose therapeutically effective in 50% of the population) and LD₅₀ (the dose lethal to 50% of the population). The dose ratio between therapeutic and toxic effects is therapeutic index,
25 and can be expressed as the ratio, LD₅₀/ED₅₀. In certain aspects, the effective amount is such that a large therapeutic index is achieved. In further particular aspects, the dosage is within a range of circulating concentrations that include an ED₅₀ with little or no toxicity. The dosage may vary within this range depending upon the dosage form employed, sensitivity of the patient, and the route of administration.

30 In one aspect, provided herein are methods for modulating the amount of HTT (huntingtin protein), comprising contacting a human cell with a compound of Formula (I) or Formula (II) or a

form thereof. In a specific aspect, provided herein are methods for modulating the amount of HTT, comprising contacting a human cell with a compound of Formula (I) or Formula (II) or a form thereof that modulates the expression of HTT. The human cell can be contacted with a compound of Formula (I) or Formula (II) or a form thereof *in vitro*, or *in vivo*, *e.g.*, in a non-human animal or in a human. In a specific aspect, the human cell is from or in a human. In another specific aspect, the human cell is from or in a human with HD. In another specific aspect, the human cell is from or in a human with HD, caused by a CAG repeat in the Htt gene, resulting in a loss of HTT expression and/or function. In another aspect, the human cell is from a human with HD. In another aspect, the human cell is in a human with HD. In one aspect, the compound is a form of the compound of Formula (I) or Formula (II).

In a specific aspect, provided herein is a method for enhancing the inhibition of mutant HTT transcribed from the Htt gene, comprising contacting a human cell with a compound of Formula (I) or Formula (II) or a form thereof. The human cell can be contacted with a compound of Formula (I) or Formula (II) or a form thereof *in vitro*, or *in vivo*, *e.g.*, in a non-human animal or in a human. In a specific aspect, the human cell is from or in a human. In another specific aspect, the human cell is from or in a human with HD. In another specific aspect, the human cell is from or in a human with HD, caused by a CAG repeat in the Htt gene, resulting in a loss of wild-type “normal” HTT expression and/or function. In another aspect, the human cell is from a human with HD. In another aspect, the human cell is in a human with HD. In one aspect, the compound is a form of the compound of Formula (I) or Formula (II).

In another aspect, provided herein is a method for modulating the inhibition of mutant HTT transcribed from the Htt gene, comprising administering to a non-human animal model for HD a compound of Formula (I) or Formula (II) or a form thereof. In a specific aspect, provided herein is a method for modulating the inhibition of mutant HTT transcribed from the Htt gene, comprising administering to a non-human animal model for HD a compound of Formula (I) or Formula (II) or a form thereof. In a specific aspect, the compound is a form of the compound of Formula (I) or Formula (II).

In another aspect, provided herein is a method for decreasing the amount of mutant HTT, comprising contacting a human cell with a compound of Formula (I) or Formula (II) or a form thereof. In a specific aspect, provided herein is a method for decreasing the amount of mutant HTT, comprising contacting a human cell with a compound of Formula (I) or Formula (II) that

inhibits the transcription of mutant *HTT* (huntingtin mRNA) from the *Htt* gene. In another specific aspect, provided herein is a method for decreasing the amount of *HTT*, comprising contacting a human cell with a compound of Formula (I) or Formula (II) that inhibits the expression of mutant *HTT* transcribed from the *Htt* gene. The human cell can be contacted with a
5 compound of Formula (I) or Formula (II) or a form thereof *in vitro*, or *in vivo*, *e.g.*, in a non-human animal or in a human. In a specific aspect, the human cell is from or in a human. In another specific aspect, the human cell is from or in a human with HD. In another specific aspect, the human cell is from or in a human with HD, caused by a CAG repeat in the *Htt* gene, resulting in a loss of *HTT* expression and/or function. In another aspect, the human cell is from a human
10 with HD. In another aspect, the human cell is in a human with HD. In one aspect, the compound is a form of the compound of Formula (I) or Formula (II).

In certain aspects, treating or ameliorating HD with a compound of Formula (I) or Formula (II) or a form thereof (alone or in combination with an additional agent) has a therapeutic effect and/or beneficial effect. In a specific aspect, treating HD with a compound of Formula (I)
15 or Formula (II) or a form thereof (alone or in combination with an additional agent) results in one, two or more of the following effects: (i) reduces or ameliorates the severity of HD; (ii) delays onset of HD; (iii) inhibits the progression of HD; (iv) reduces hospitalization of a subject; (v) reduces hospitalization length for a subject; (vi) increases the survival of a subject; (vii) improves the quality of life for a subject; (viii) reduces the number of symptoms associated with HD; (ix)
20 reduces or ameliorates the severity of a symptom(s) associated with HD; (x) reduces the duration of a symptom associated with HD; (xi) prevents the recurrence of a symptom associated with HD; (xii) inhibits the development or onset of a symptom of HD; and/or (xiii) inhibits of the progression of a symptom associated with HD.

METABOLITES

25 Another aspect included within the scope of the present description are the use of *in vivo* metabolic products of the compounds described herein. Such products may result, for example, from the oxidation, reduction, hydrolysis, amidation, esterification and the like of the administered compound, primarily due to enzymatic processes. Accordingly, the description includes the use of compounds produced by a process comprising contacting a compound
30 described herein with a mammalian tissue or a mammal for a period of time sufficient to yield a metabolic product thereof.

Such products typically are identified by preparing a radio-labeled isotopologue (*e.g.*, ^{14}C or ^3H) of a compound described herein, administering the radio-labeled compound in a detectable dose (*e.g.*, greater than about 0.5 mg/kg) to a mammal such as a rat, mouse, guinea pig, dog, monkey or human, allowing sufficient time for metabolism to occur (typically about 30 seconds to about 30 hours), and identifying the metabolic conversion products from urine, bile, blood or other biological samples. The conversion products are easily isolated since they are “radiolabeled” by virtue of being isotopically-enriched (others are isolated by the use of antibodies capable of binding epitopes surviving in the metabolite). The metabolite structures are determined in conventional fashion, *e.g.*, by MS or NMR analysis. In general, analysis of metabolites may be done in the same way as conventional drug metabolism studies well-known to those skilled in the art. The conversion products, so long as they are not otherwise found *in vivo*, are useful in diagnostic assays for therapeutic dosing of the compounds described herein even if they possess no biological activity of their own.

PHARMACEUTICAL COMPOSITIONS

In accordance with the intended scope of the present description, aspects of the present description include compounds that have been identified and have been demonstrated to be useful in selectively preventing, treating or ameliorating HD and have been provided for use as one or more pharmaceutical compositions for preventing, treating or ameliorating HD.

An aspect of the present description includes a use for a compound of Formula (I) or Formula (II) or a form thereof in the preparation of a pharmaceutical composition for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof in admixture with one or more pharmaceutically acceptable excipients.

An aspect of the present description includes a use for a pharmaceutical composition of the compound of Formula (I) or Formula (II) or a form thereof in the preparation of a kit for treating or ameliorating HD in a subject in need thereof comprising, the pharmaceutical composition of the compound of Formula (I) or Formula (II) or a form thereof and instructions for administering the pharmaceutical composition.

As used herein, the term “composition” means a product comprising the specified ingredients in the specified amounts, as well as any product which results, directly or indirectly, from combination of the specified ingredients in the specified amounts.

The pharmaceutical composition may be formulated to achieve a physiologically compatible pH, ranging from about pH 3 to about pH 11. In certain aspects, the pharmaceutical composition is formulated to achieve a pH of from about pH 3 to about pH 7. In other aspects, the pharmaceutical composition is formulated to achieve a pH of from about pH 5 to about pH 8.

5 The term “pharmaceutically acceptable excipient” refers to an excipient for administration of a pharmaceutical agent, such as the compounds described herein. The term refers to any pharmaceutical excipient that may be administered without undue toxicity. Pharmaceutically acceptable excipients may be determined in part by the particular composition being administered, as well as by the particular mode of administration and/or dosage form.

10 Nonlimiting examples of pharmaceutically acceptable excipients include carriers, solvents, stabilizers, adjuvants, diluents, *etc.* Accordingly, there exists a wide variety of suitable formulations of pharmaceutical compositions for the instant compounds described herein (*see, e.g.,* Remington’s Pharmaceutical Sciences).

 Suitable excipients may be carrier molecules that include large, slowly metabolized

15 macromolecules such as proteins, polysaccharides, polylactic acids, polyglycolic acids, polymeric amino acids, amino acid copolymers, and inactive antibodies. Other exemplary excipients include antioxidants such as ascorbic acid; chelating agents such as EDTA; carbohydrates such as dextrin, hydroxyalkylcellulose, hydroxyalkylmethylcellulose (*e.g.,* hydroxypropylmethylcellulose, also known as HPMC), stearic acid; liquids such as oils, water, saline, glycerol and ethanol; wetting or

20 emulsifying agents; pH buffering substances; and the like. Liposomes are also included within the definition of pharmaceutically acceptable excipients.

 The pharmaceutical compositions described herein may be formulated in any form suitable for the intended use described herein. Suitable formulations for oral administration include solids, liquid solutions, emulsions and suspensions, while suitable inhalable formulations

25 for pulmonary administration include liquids and powders. Alternative formulations include syrups, creams, ointments, tablets, and lyophilized solids which can be reconstituted with a physiologically compatible solvent prior to administration.

 When intended for oral use for example, tablets, troches, lozenges, aqueous or oil suspensions, non-aqueous solutions, dispersible powders or granules (including micronized

30 particles or nanoparticles), emulsions, hard or soft capsules, syrups or elixirs may be prepared. Compositions intended for oral use may be prepared according to any method known to the art for

the manufacture of pharmaceutical compositions, and such compositions may contain one or more agents including sweetening agents, flavoring agents, coloring agents, and preserving agents, in order to provide a palatable preparation.

Pharmaceutically acceptable excipients suitable for use in conjunction with tablets
5 include, for example, inert diluents, such as celluloses, calcium or sodium carbonate, lactose, calcium or sodium phosphate; disintegrating agents, such as croscarmellose sodium, cross-linked povidone, maize starch, or alginic acid; binding agents, such as povidone, starch, gelatin or acacia; and lubricating agents, such as magnesium stearate, stearic acid, or talc. Tablets may be uncoated or may be coated by known techniques including microencapsulation to delay
10 disintegration and adsorption in the gastrointestinal tract and thereby provide a sustained action over a longer period. For example, a time delay material such as glyceryl monostearate or glyceryl distearate alone or with a wax may be employed.

Formulations for oral use may be also presented as hard gelatin capsules where the active ingredient is mixed with an inert solid diluent, for example celluloses, lactose, calcium phosphate,
15 or kaolin, or as soft gelatin capsules wherein the active ingredient is mixed with non-aqueous or oil medium, such as glycerin, propylene glycol, polyethylene glycol, peanut oil, liquid paraffin, or olive oil.

In other aspects, pharmaceutical compositions described herein may be formulated as suspensions comprising a compound of Formula (I) or Formula (II) or a form thereof in admixture
20 with one or more pharmaceutically acceptable excipients suitable for the manufacture of a suspension. In yet other aspects, pharmaceutical compositions described herein may be formulated as dispersible powders and granules suitable for preparation of a suspension by the addition of one or more excipients.

Excipients suitable for use in connection with suspensions include suspending agents,
25 such as sodium carboxymethylcellulose, methylcellulose, hydroxypropyl methylcellulose, sodium alginate, polyvinylpyrrolidone, gum tragacanth, gum acacia, dispersing or wetting agents such as a naturally occurring phosphatide (*e.g.*, lecithin), a condensation product of an alkylene oxide with a fatty acid (*e.g.*, polyoxyethylene stearate), a condensation product of ethylene oxide with a long chain aliphatic alcohol (*e.g.*, heptadecaethyleneoxycethanol), a condensation product of
30 ethylene oxide with a partial ester derived from a fatty acid and a hexitol anhydride (*e.g.*, polyoxyethylene sorbitan monooleate); and thickening agents, such as carbomer, beeswax, hard

paraffin, or cetyl alcohol. The suspensions may also contain one or more preservatives such as acetic acid, methyl and/or n-propyl p-hydroxy-benzoate; one or more coloring agents; one or more flavoring agents; and one or more sweetening agents such as sucrose or saccharin.

The pharmaceutical compositions described herein may also be in the form of oil-in-water emulsions. The oily phase may be a vegetable oil, such as olive oil or arachis oil, a mineral oil, such as liquid paraffin, or a mixture of these. Suitable emulsifying agents include naturally-occurring gums, such as gum acacia and gum tragacanth; naturally occurring phosphatides, such as soybean lecithin, esters or partial esters derived from fatty acids; hexitol anhydrides, such as sorbitan monooleate; and condensation products of these partial esters with ethylene oxide, such as polyoxyethylene sorbitan monooleate. The emulsion may also contain sweetening and flavoring agents. Syrups and elixirs may be formulated with sweetening agents, such as glycerol, sorbitol or sucrose. Such formulations may also contain a demulcent, a preservative, a flavoring or a coloring agent.

Additionally, the pharmaceutical compositions described herein may be in the form of a sterile injectable preparation, such as a sterile injectable aqueous emulsion or oleaginous suspension. Such emulsion or suspension may be formulated according to the known art using those suitable dispersing or wetting agents and suspending agents which have been mentioned above. The sterile injectable preparation may also be a sterile injectable solution or suspension in a non-toxic parenterally acceptable diluent or solvent, such as a solution in 1,2-propanediol. The sterile injectable preparation may also be prepared as a lyophilized powder. Among the acceptable vehicles and solvents that may be employed are water, Ringer's solution and isotonic sodium chloride solution. In addition, sterile fixed oils may be employed as a solvent or suspending medium. For this purpose any bland fixed oil may be employed including synthetic mono- or di-glycerides. In addition, fatty acids such as oleic acid may likewise be used in the preparation of injectables.

The compounds described herein may be substantially insoluble in water and sparingly soluble in most pharmaceutically acceptable protic solvents and vegetable oils, but generally soluble in medium-chain fatty acids (*e.g.*, caprylic and capric acids) or triglycerides and in propylene glycol esters of medium-chain fatty acids. Thus, contemplated in the description are compounds which have been modified by substitutions or additions of chemical or biochemical moieties which make them more suitable for delivery (*e.g.*, increase solubility, bioactivity,

palatability, decrease adverse reactions, *etc.*), for example by esterification, glycosylation, PEGylation, *etc.*

In certain aspects, the compound described herein is formulated for oral administration in a lipid-based composition suitable for low solubility compounds. Lipid-based formulations can generally enhance the oral bioavailability of such compounds. As such, pharmaceutical compositions described herein may comprise an effective amount of a compound of Formula (I) or Formula (II) or a form thereof, together with at least one pharmaceutically acceptable excipient selected from medium chain fatty acids or propylene glycol esters thereof (*e.g.*, propylene glycol esters of edible fatty acids such as caprylic and capric fatty acids) and pharmaceutically acceptable surfactants, such as polysorbate 20 or 80 (also referred to as Tween® 20 or Tween® 80, respectively) or polyoxyl 40 hydrogenated castor oil.

In other aspects, the bioavailability of low solubility compounds may be enhanced using particle size optimization techniques including the preparation of nanoparticles or nanosuspensions using techniques known to those skilled in the art. The compound forms present in such preparations include amorphous, partially amorphous, partially crystalline or crystalline forms.

In alternative aspects, the pharmaceutical composition may further comprise one or more aqueous solubility enhancer(s), such as a cyclodextrin. Nonlimiting examples of cyclodextrin include hydroxypropyl, hydroxyethyl, glucosyl, maltosyl and maltotriosyl derivatives of α -, β -, and γ -cyclodextrin, and hydroxypropyl- β -cyclodextrin (HPBC). In certain aspects, the pharmaceutical composition further comprises HPBC in a range of from about 0.1% to about 20%, from about 1% to about 15%, or from about 2.5% to about 10%. The amount of solubility enhancer employed may depend on the amount of the compound in the composition.

PREPARATION OF COMPOUNDS

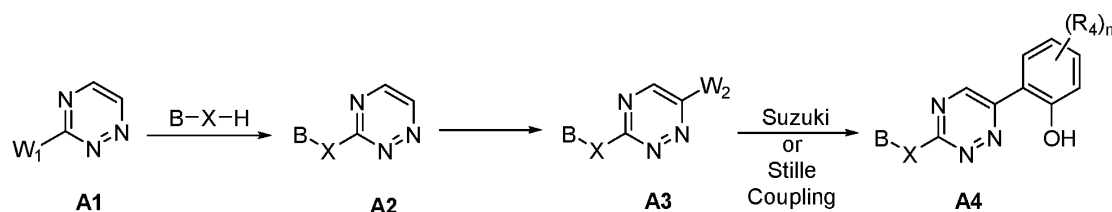
GENERAL SYNTHETIC METHODS

As disclosed herein, general methods for preparing the compounds of Formula (I) or Formula (II) or a form thereof as described herein are available via standard, well-known synthetic methodology. Many of the starting materials are commercially available or, when not available, can be prepared using the routes described below using techniques known to those skilled in the art. The synthetic schemes provided herein comprise multiple reaction steps, each

of which is intended to stand on its own and can be carried out with or without any preceding or succeeding step(s). In other words, each of the individual reaction steps of the synthetic schemes provided herein in isolation is contemplated.

Scheme A

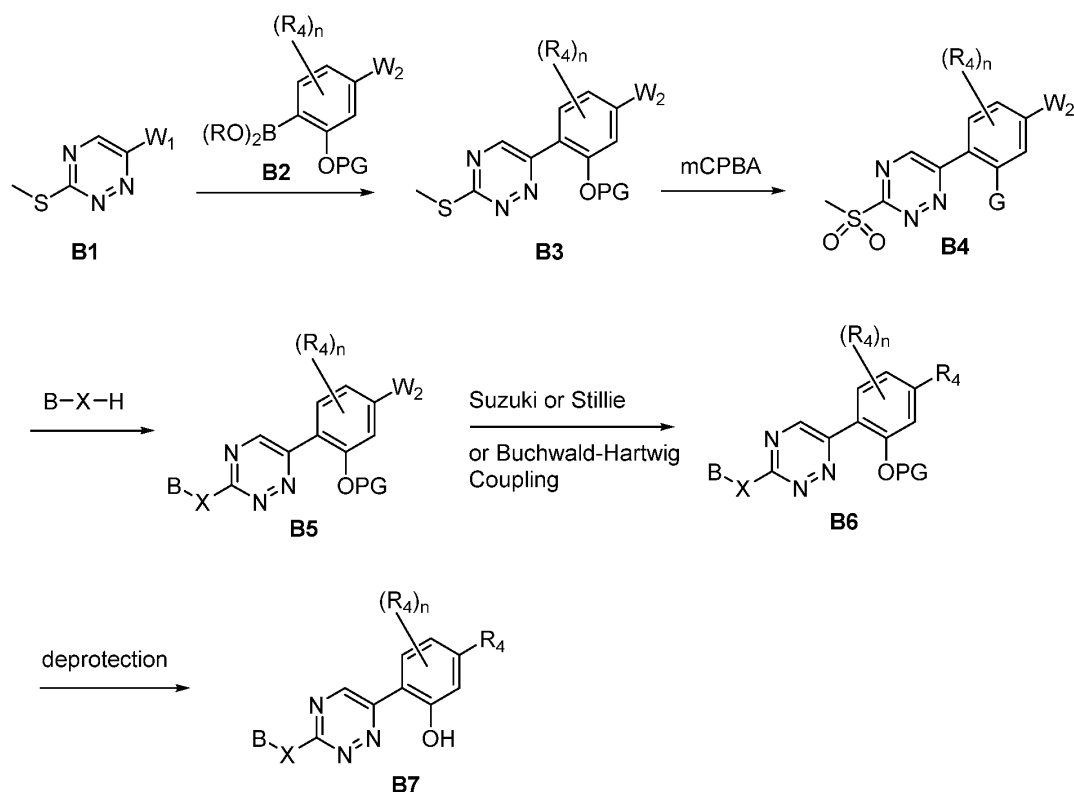
- 5 Compounds of Formula (I), wherein B is heterocyclyl, X is O, NH or NR_{1b}, where R_{1b} is C₁₋₄alkyl, may be prepared as described in Scheme A below.



- Compound **A1** (where W₁ is bromine, chlorine and the like) is converted to Compound **A2** by a nucleophilic substitution with a primary or secondary amine or an alcohol (BXH) in the presence of a suitable base (such as Et₃N and the like) in a suitable solvent (such as DMF and the like). Alternatively, Compound **A1** is converted to Compound **A2** via cross coupling with a primary or a secondary amine in the presence of a suitable catalyst (such as RuPhos Pd G2 and the like) and base (such as sodium *tert*-butoxide and the like) in an appropriate solvent such as 1,4-dioxane and the like). Compound **A2** is converted to Compound **A3** by halogenation upon treatment with an appropriate reagent (such as bromine and the like) in an appropriate solvent (such as methanol and the like). Compound **A3** is converted to Compound **A4** by a Suzuki coupling with an aryl- or heteroaryl-boronic acid (or pinacol boronic ester) in the presence of a catalyst (such as Pd(dppf)Cl₂ and the like) and base (such as aqueous K₂CO₃ and the like) in a suitable solvent (such as 1,4-dioxane and the like). Alternatively, Compound **A3** is converted to Compound **A4** by a Stille coupling with an aryl- or heteroaryl-stannane in the presence of a catalyst (such as Pd₂(dba)₃ and the like), a ligand (such as X-Phos and the like) and a base (such as CsF and the like) in a suitable solvent (such as 1,4-dioxane and the like). Any protecting groups may be removed upon treatment with a suitable reagent (such as HCl in dioxane for a Boc protecting group and the like) in a suitable solvent (such as dioxane and the like).

Scheme B

Compounds of Formula (I), wherein $(R_4)_n$ is hydrogen, halogen, hydroxy, or C_{1-4} alkoxy, n is 0 or 1, R_4 is heterocyclyl, heteroaryl, or phenyl, B is heterocyclyl; X is O, NH or NR_{1b} , and R_{1b} is C_{1-4} alkyl, may be prepared as described in Scheme B below.



5

Compound **B1** (where W_1 is bromine, chlorine and the like) is converted to Compound **B3** by a Suzuki coupling with an aryl-boronic acid (or pinacol boronic ester) **B2** (where W_2 is bromine, chlorine and the like; $(R_4)_n$ is hydrogen, halogen, hydroxy, or C_{1-4} alkoxy, and PG is a protecting group such as MOM and the like) in the presence of a catalyst (such as $Pd(dppf)Cl_2$ and the like) and base (such as aqueous K_2CO_3 and the like) in a suitable solvent (such as 1,4-dioxane and the like). Compound **B3** is converted to Compound **B4** by treatment with an oxidizing agent (such as mCPBA or oxone and the like) in a suitable solvent (such as dichloromethane and the like). Compound **B4** is converted to Compound **B5** by a nucleophilic substitution with a primary or a secondary amine or an alcohol (BXH , where X is O, NH or NR_{1b} , where R_{1b} is C_{1-4} alkyl) in the presence of a suitable base (such as Et_3N and the like) in a suitable solvent (such as DMF and the

15

like). Compound **B5** is converted to Compound **B6** by a Suzuki coupling with an aryl- or heteroaryl-boronic acid (or pinacol boronic ester) in the presence of a catalyst (such as Pd(dppf)Cl₂ and the like) and a base (such as aqueous K₂CO₃ and the like) in a suitable solvent (such as 1,4-dioxane and the like). Alternatively, Compound **B5** is converted to Compound **B6** by

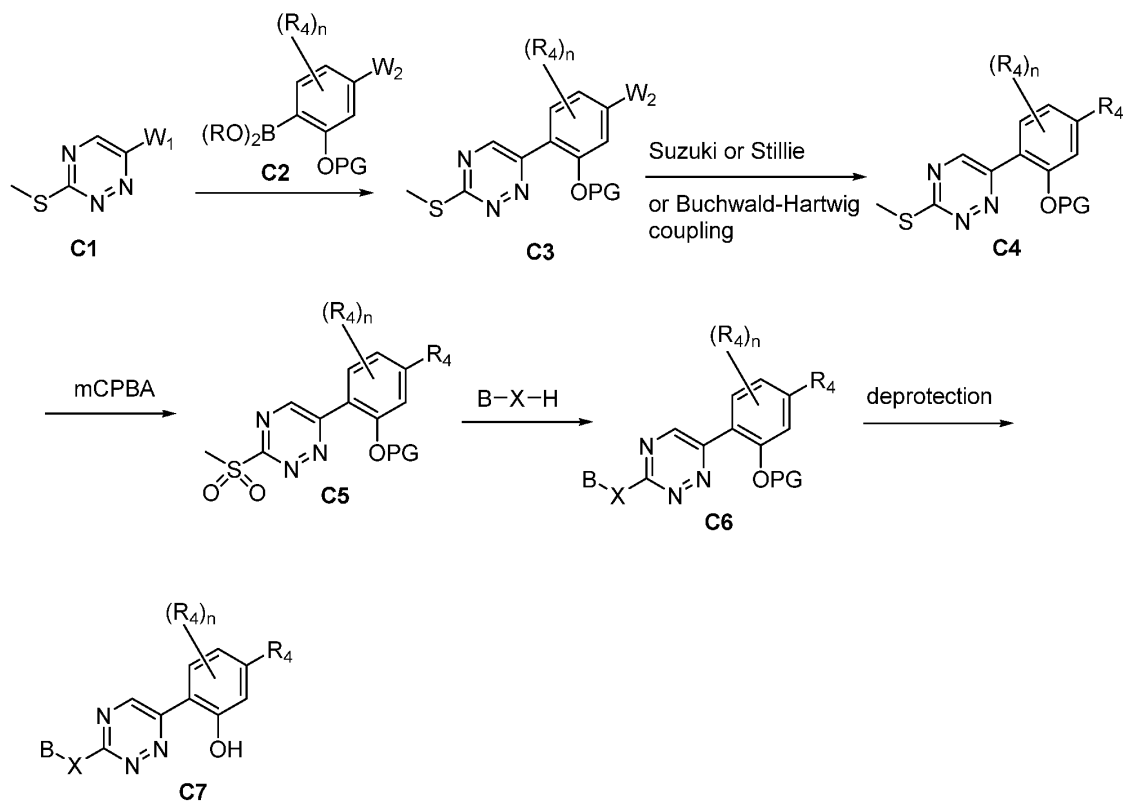
5 a Stille coupling with an aryl- or heteroaryl-stannane in the presence of a catalyst (such as Pd₂(dba)₃ and the like), a ligand (such as X-Phos and the like) and a base (such as CsF and the like) in a suitable solvent (such as 1,4-dioxane and the like). Alternatively, Compound **B5** is converted to Compound **B6** by treatment with pinacolatodiboron and a base (such as KOAc and the like) in the presence of a catalyst (such as Pd(dppf)Cl₂ and the like) in an appropriate solvent

10 (such as 1,4-dioxane and the like), followed by addition of an aryl- or heteroaryl-halide. Alternatively, Compound **B5** is converted to Compound **B6** by a Buchwald-Hartwig coupling with a heteroaryl or amine in the presence of a catalyst (such as Pd₂(dba)₃ and the like), a ligand (such as tBuX-Phos and the like) and a base (such as K₃PO₄ and the like) in a suitable solvent (such as 1,4-dioxane and the like). Compound **B6** is converted to Compound **B7** upon treatment

15 with conditions appropriate to the removal of the protecting groups (such as HCl in dioxane for a MOM protecting group) in a suitable solvent (such as dioxane and the like).

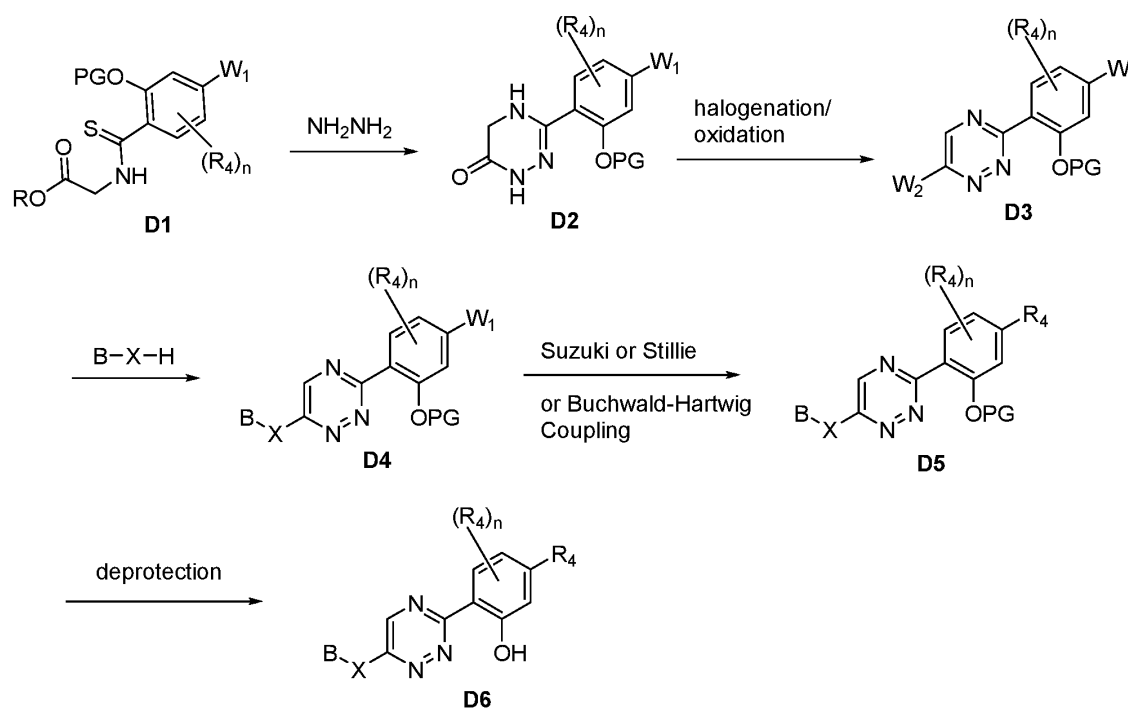
Scheme C

Following the general conditions described in Scheme B, but changing the order of steps 2 and 4, compound **C1** can be converted to compound **C7**.



Scheme D

Compounds of Formula (II), wherein $(R_4)_n$ is hydrogen, halogen, hydroxy, or C_{1-4} alkoxy, n is 0 or 1, R_4 is heterocyclyl, heteroaryl, or phenyl, B is heterocyclyl; X is O, NH or NR_{1b} , and R_{1b} is C_{1-4} alkyl, may be prepared as described in Scheme D below.



5

- Compound **D1** (where W_1 , is bromine, chlorine and the like; $(R_4)_n$ is hydrogen, halogen, hydroxy, or C_{1-4} alkoxy; and PG is a protecting group such as MOM and the like) is converted to Compound **D2** by a condensation/cyclization sequence in presence of hydrazine in a suitable solvent (such as ethanol and the like). Compound **D2** is converted to Compound **D3** by treatment with a
- 10 dehydrative halogenating agent (such as $POCl_3$ and the like) followed by treatment with an oxidizing agent (such as manganese dioxide and the like). Compound **D3** is converted to Compound **D4** by a nucleophilic substitution with a primary or a secondary amine or an alcohol (BXH , where X is O, NH or NR_{1b} , where R_{1b} is C_{1-4} alkyl) in the presence of a suitable base (such as Et_3N and the like) in a suitable solvent (such as DMF and the like). Alternatively, Compound
- 15 **D3** is converted to Compound **D4** via cross coupling with a primary amine or a secondary amine or an alcohol in the presence of a suitable catalyst (such as RuPhos Pd G2 and the like) and base (such as sodium *tert*-butoxide and the like) in an appropriate solvent such as 1,4-dioxane and the

like). Compound **D4** is converted to Compound **D5** by a Suzuki coupling with an aryl- or heteroaryl-boronic acid (or pinacol boronic ester) in the presence of a catalyst (such as Pd(dppf)Cl₂ and the like) and base (such as aqueous K₂CO₃ and the like) in a suitable solvent (such as 1,4-dioxane and the like). Alternatively, Compound **D4** is converted to Compound **D5** by

5 a Stille coupling with an aryl- or heteroaryl-stannane in the presence of a catalyst (such as Pd₂(dba)₃ and the like), a ligand (such as X-Phos and the like) and a base (such as CsF and the like) in a suitable solvent (such as 1,4-dioxane and the like). Alternatively, Compound **D4** is converted to Compound **D5** by treatment with pinacolatodiboron and a base (such as KOAc and the like) in the presence of a catalyst (such as Pd(dppf)Cl₂ and the like) in an appropriate solvent

10 (such as 1,4-dioxane and the like), followed by addition of an aryl- or heteroaryl-halide. Alternatively, Compound **D4** is converted to Compound **D5** by a Buchwald-Hartwig coupling with a heteroaryl or amine in the presence of a catalyst (such as Pd₂(dba)₃ and the like), a ligand (such as tBuX-Phos and the like) and a base (such as K₃PO₄ and the like) in a suitable solvent (such as 1,4-dioxane and the like). Compound **D5** is converted to Compound **D6** upon treatment

15 with conditions appropriate to the removal of the protecting groups (such as HCl in dioxane for a MOM protecting group) in a suitable solvent (such as dioxane and the like).

SPECIFIC SYNTHETIC EXAMPLES

To describe in more detail and assist in understanding, the following non-limiting examples are offered to more fully illustrate the scope of compounds described herein and are not

20 to be construed as specifically limiting the scope thereof. Such variations of the compounds described herein that may be now known or later developed, which would be within the purview of one skilled in the art to ascertain, are considered to fall within the scope of the compounds as described herein and hereinafter claimed. These examples illustrate the preparation of certain compounds. Those of skill in the art will understand that the techniques described in these

25 examples represent techniques, as described by those of ordinary skill in the art, that function well in synthetic practice, and as such constitute preferred modes for the practice thereof. However, it should be appreciated that those of skill in the art should, in light of the present disclosure, appreciate that many changes can be made in the specific methods that are disclosed and still obtain a like or similar result without departing from the spirit and scope of the present

30 description.

Other than in the following examples of the embodied compounds, unless indicated to the contrary, all numbers expressing quantities of ingredients, reaction conditions, experimental data, and so forth used in the specification and claims are to be understood as being modified by the term “about”. Accordingly, all such numbers represent approximations that may vary depending upon the desired properties sought to be obtained by a reaction or as a result of variable experimental conditions. Therefore, within an expected range of experimental reproducibility, the term “about” in the context of the resulting data, refers to a range for data provided that may vary according to a standard deviation from the mean. As well, for experimental results provided, the resulting data may be rounded up or down to present data consistently, without loss of significant figures. At the very least, and not as an attempt to limit the application of the doctrine of equivalents to the scope of the claims, each numerical parameter should be construed in light of the number of significant digits and rounding techniques used by those of skill in the art.

While the numerical ranges and parameters setting forth the broad scope of the present description are approximations, the numerical values set forth in the examples set forth below are reported as precisely as possible. Any numerical value, however, inherently contains certain errors necessarily resulting from the standard deviation found in their respective testing measurements.

The starting materials used in the examples provided are commercially available or can be prepared according to methods known to one skilled in the art or can be prepared by the procedures disclosed herein.

COMPOUND EXAMPLES

As used above, and throughout the present description, the following abbreviations, unless otherwise indicated, shall be understood to have the following meanings:

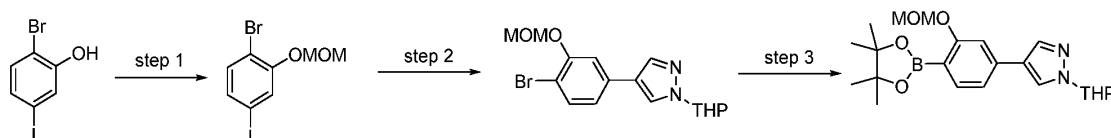
Abbreviation	Meaning
Δ	heating (chemistry) or deletion (biology)
AcOH or HOAc	acetic acid
Ar	argon
ACN or CH ₃ CN	acetonitrile
aq.	aqueous
atm	atmosphere(s)

Abbreviation	Meaning
BBr ₃	boron tribromide
B ₂ pin ₂	bis(pinacolato)diboron
Boc	tert-butoxy-carbonyl
t-Bu	tert-butyl
<i>t</i> -BuOK or KOtBu	potassium tert-butoxide
BuOH or <i>n</i> -BuOH	<i>n</i> -butanol
°C	degrees Centigrade
Celite® or Celite	diatomaceous earth
d/h/hr/hrs/min/s	day(d)/hour(h, hr or hrs)/minute(min)/second(s)
DCM or CH ₂ Cl ₂	dichloromethane
DMF	dimethylformamide
DMSO	dimethylsulfoxide
EtOAc	ethyl acetate
EtOH	ethanol
Et ₂ O	diethyl ether
equiv	equivalents
H ₂	hydrogen
HBr	hydrobromic acid
HCl	hydrochloric acid
H ₂ SO ₄	sulfuric acid
K ₂ CO ₃	potassium carbonate
KOAc	potassium acetate
KOH	potassium hydroxide
LC/MS, LCMS or LC-MS	liquid chromatographic mass spectroscopy
LiO <i>t</i> -Bu	lithium tert-butoxide
LiOH	lithium hydroxide
mCPBA	meta-chloroperoxybenzoic acid
MeOH	methanol
MeSO ₃ H	methanesulfonic acid
MgSO ₄	magnesium sulfate
mL	milliliter
MOM	methoxymethyl

Abbreviation	Meaning
MS	mass spectroscopy
NEt ₃	triethylamine
NH ₄ Cl	ammonium chloride
NH ₄ OAc	ammonium acetate
Na ₂ CO ₃	sodium carbonate
NaH	sodium hydride
NaHCO ₃	sodium bicarbonate
NaOH	sodium hydroxide
Na ₂ SO ₄	sodium sulfate
N ₂	nitrogen
NH ₄ Cl	ammonium chloride
NMP	N-methylpyrrolidone
NMR	nuclear magnetic resonance
Pd	palladium
Pd/C	palladium on carbon
Pd ₂ (dba) ₃	tris(dibenzylideneacetone)dipalladium(0)
Pd(dppf)Cl ₂ or Pd(dppf)Cl ₂ -CH ₂ Cl ₂	[1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II), complex with dichloromethane
PhMe	toluene
Psi	pounds per square inch pressure
QPhos	1,2,3,4,5-pentaphenyl-1'-(di- <i>tert</i> -butylphosphino)ferrocene
Rt or rt	room temperature
S-Phos, SPhos or Sphos	2-dicyclohexylphosphino-2',6'-dimethoxybiphenyl
S-Phos G ₂	chloro(2-dicyclohexylphosphino-2',6'-dimethoxy-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl) palladium(II)
TBAF	tetrabutylammonium fluoride
TBS	tert-butyldimethylsilyl
TEA, Et ₃ N or NEt ₃	triethylamine
Tf	trifluoromethane sulfonyl or triflate
TFA	trifluoroacetic acid
THF	tetrahydrofuran
THP	tetrahydropyranyl

Abbreviation	Meaning
TIPS	tiisopropylsilane
TLC	thin layer chromatography
UPLC	Ultra performance liquid chromatography

Preparation of Starting Material: 4-(3-(Methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazole



Step 1: 2-Bromo-5-iodophenol (54.9 g, 184 mmol), was dissolved in DMF (240 mL) at 0 °C.

- 5 Sodium *tert*-pentoxyde (2.5 M in THF, 90 mL, 230 mmol) was added dropwise. This was stirred at 0 °C for 15 minutes after addition was complete. Chloromethyl methyl ether (18 mL, 225 mmol) was added dropwise over 30 minutes. The mixture was warmed to ambient temperature and was stirred for 16 hours. The mixture was diluted with 1.5 L of H₂O and was extracted into 2x400 mL of EtOAc. The combined organic layers were washed with 300 mL of H₂O, and then
- 10 with brine. The organic layer was dried over MgSO₄, filtered, and concentrated under vacuum. The residue was flushed through a silica plug using 0-10% CH₂Cl₂ in hexanes to yield 1-bromo-4-iodo-2-(methoxymethoxy)benzene (61 g, 97%) as a clear liquid.

¹H NMR (acetone-*d*₆): δ 7.56 (d, *J* = 2 Hz, 1H), 7.38 (d, *J* = 8 Hz, 1H), 7.33 (dd, *J* = 8 Hz, 2 Hz, 1H), 5.35 (s, 2H), 3.50 (s, 3H).

- 15 **Step 2:** 1-Bromo-4-iodo-2-(methoxymethoxy)benzene (49 g, 143 mmol), 1-(tetrahydro-2H-pyran-2-yl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole (48.4 g, 174 mmol), Pd(dppf)Cl₂-dichloromethane adduct (3.1 g, 3.6 mmol), dioxane (500 mL), and aqueous K₂CO₃ (1M, 350 mL, 350 mmol) were heated at 90 °C for 2 hours. The reaction mixture was then partitioned between H₂O and EtOAc. The organic layer was dried over MgSO₄, filtered, and
- 20 concentrated under vacuum. The residue was purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (20-50% EtOAc), followed by trituration with hexanes, yielding 4-(4-bromo-3-(methoxymethoxy)phenyl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazole (40.4 g, 77% yield) as an off-white solid.

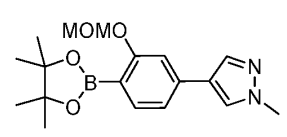
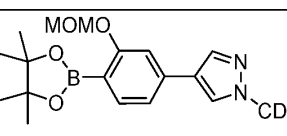
¹H NMR (acetone-*d*₆): δ 8.22 (s, 1H), 7.88 (s, 1H), 7.55 (d, *J* = 8.5 Hz, 1H), 7.47 (d, *J* = 2 Hz,

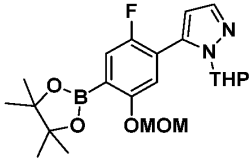
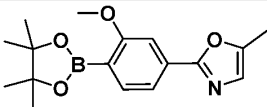
1H), 7.23 (dd, $J = 8.5$ Hz, 2 Hz, 1H), 5.44 (dd, $J = 9.5$ Hz, 2.5 Hz, 1H), 5.38 (s, 2H), 4.01 (m, 1H), 3.72 (m, 1H), 3.51 (s, 3H), 2.1-2.23 (m, 1H), 2.0-2.1 (m, 2H), 1.7-1.8 (m, 1H), 1.6-1.7 (m, 2H).

Step 3: A flask containing potassium acetate (22 g, 224 mmol) was pumped dry at 180 °C for 2 hours, and then was filled with argon. 4-(4-Bromo-3-(methoxymethoxy)phenyl)-1-(tetrahydro-
 5 2H-pyran-2-yl)-1H-pyrazole (20 g, 54.5 mmol), Pd(dppf)Cl₂-dichloromethane adduct (1.22 g, 1.47 mmol), bis(pinacolato)diboron (20.8 g, 81.9 mmol), and dry toluene (200 mL) were added. This mixture was heated at 110 °C for 48 h. After cooling, the mixture was filtered through celite, followed by rinsing with ether. The filtrate was concentrated under vacuum, re-dissolved in ether, and was filtered again through celite to remove solid impurities. Purification by silica gel
 10 chromatography eluting with a gradient of EtOAc/hexane (20-50% EtOAc) yielded 12 g of crude title product. The crude material was dissolved in 100 mL ether and was back-washed with 2x1.5 L of dilute aqueous NaHCO₃. The ether layer was washed with brine, dried over MgSO₄, and was filtered. The filtrate was concentrated to a glassy semi-solid. This material was hexane-trituated to yield 4-(3-(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-
 15 (tetrahydro-2H-pyran-2-yl)-1H-pyrazole as a white crystalline solid (7.05 g, 32% yield).

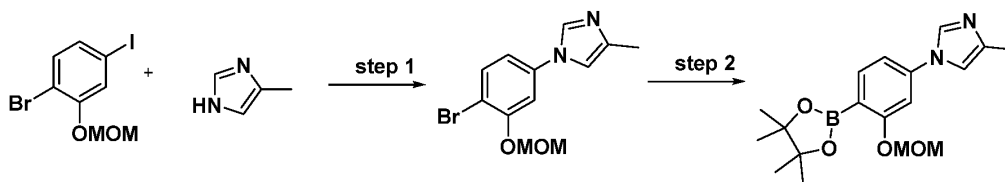
¹H NMR (acetone-*d*₆): δ 8.24 (s, 1H), 7.90 (s, 1H), 7.65 (d, $J = 8$ Hz, 1H), 7.33 (d, $J = 1.5$ Hz, 1H), 7.29 (dd, $J = 8$ Hz, 1.5 Hz, 1H), 5.45 (dd, $J = 10$ Hz, 2.5 Hz, 1H), 5.25 (s, 2H), 4.01 (m, 1H), 3.69-3.74 (m, 1H), 3.52 (s, 3H), 2.15-2.2 (m, 1H), 2.0-2.1 (m, 2H), 1.7-1.8 (m, 1H), 1.6-1.68 (m, 2H), 1.35 (s, 12H).

20 Using the procedure described, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Structure	Data
	MS m/z 345.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 7.94-8.03 (m, 1H), 7.84 (s, 1H), 7.64 (br d, $J = 7.6$ Hz, 1H), 7.25 (s, 1H), 7.20 (d, $J = 7.3$ Hz, 1H), 5.25 (s, 2H), 3.81-3.98 (m, 3H), 3.53 (s, 3H), 1.36 (s, 12H)
	MS m/z 348.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 8.00 (s, 1H), 7.85 (s, 1H), 7.64 (br d, $J = 7.6$ Hz, 1H), 7.26 (s, 1H), 7.22 (d, $J = 7.6$ Hz, 1H), 5.26 (s, 2H), 4.86 (s, 3H), 1.37 (s, 12H)

Structure	Data
	MS m/z 349.2 [M-THP+H] ⁺
	MS m/z 316.6 [M+H] ⁺

Preparation of Starting Material: 1-(3-(Methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-4-methyl-1H-imidazole



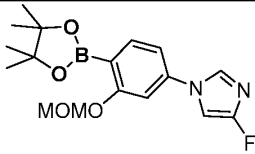
Step 1: An oven-dried vial was equipped with a magnetic stir bar and charged with 1-bromo-4-iodo-2-(methoxymethoxy)benzene (0.7 g, 2.0 mmol), 4-methyl-1H-imidazole (0.2 g, 2.4 mmol), copper(I) oxide (0.015 g, 0.1 mmol), salicylaldehyde (0.057 g, 0.43 mmol), and cesium carbonate (1.35 g, 4.1 mmol). The reaction mixture was purged with argon, acetonitrile (6 mL) was added, and the reaction was stirred at 50 °C for 24 h. The reaction was diluted with water and then extracted with CH₂Cl₂. The organic layer was washed with water, dried over MgSO₄, filtered, and concentrated under reduced pressure. The crude oil was purified by silica gel chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-15% MeOH) to afford 1-(4-bromo-3-(methoxymethoxy)phenyl)-4-methyl-1H-imidazole (0.38 g, 1.28 mmol, 60%). MS m/z 297.1, 299.1 [M+H]⁺.

Step 2: An oven-dried vial was equipped with a magnetic stir bar and charged with 1-(4-bromo-3-(methoxymethoxy)phenyl)-4-methyl-1H-imidazole (0.19 g, 0.64 mmol), bis(pinacolato)diboron (0.25 g, 0.98 mmol), [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) (0.06 g, 0.07 mmol), and potassium acetate (0.19 g, 1.94 mmol) and was purged with argon. Dioxane (5 mL) was added, and the reaction was stirred at 90 °C for 2 h. The crude mixture was purified by silica gel chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-10% MeOH) to afford 1-(3-

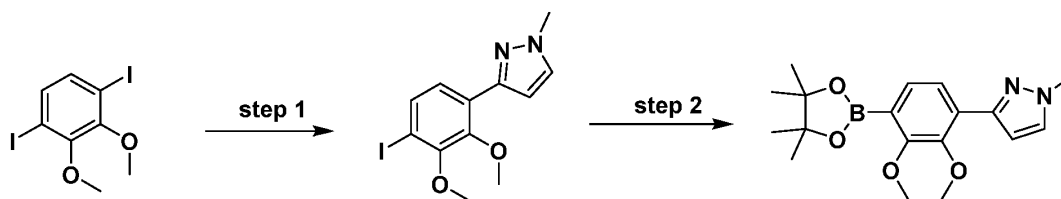
(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-4-methyl-1H-imidazole (0.11 g, 0.32 mmol, 50%). MS m/z 345.2 $[M+H]^+$.

Using the procedure described, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions,

5 obtaining compounds such as those selected from:

Structure	Data
	MS m/z 349.4 $[M+H]^+$

Preparation of Starting Material: 3-[2,3-Dimethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1-methyl-pyrazole

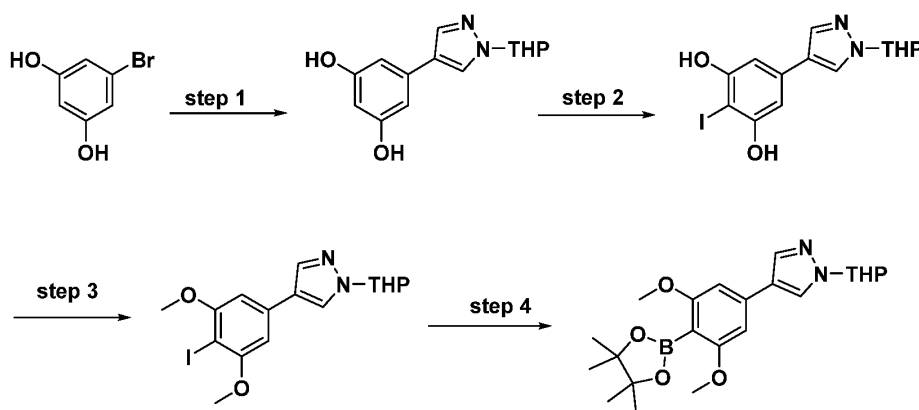


Step 1: A 25 mL round bottom flask was charged with 1,4-diiodo-2,3-dimethoxybenzene (460 mg, 1.17 mmol), 1-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole (180 mg, 0.87 mmol), 1,1'-bis(diphenylphosphino)ferrocene-palladium(II)dichloride dichloromethane complex (80 mg, 0.10 mmol) potassium carbonate (360 mg, 2.60 mmol), water (9.4 mL) and 1,4-dioxane (9.4 mL) and the reaction mixture was purged with N₂ for 15 minutes. The mixture was then heated at 80 °C for 2 hours. The reaction was cooled, concentrated and purified by silica gel chromatography eluting with a gradient of EtOAc/hexanes (30-100% EtOAc) to give 3-(4-iodo-2,3-dimethoxyphenyl)-1-methyl-pyrazole (104 mg, 35% yield). MS m/z 345.0 $[M+H]^+$.

Step 2: A screw-cap tube was charged with 3-(4-iodo-2,3-dimethoxyphenyl)-1-methyl-pyrazole (48 mg, 0.14 mmol), bis(pinacolato)diboron (0.04 ml, 0.30 mmol), triethylamine (0.05 ml, 0.40 mmol) and 1,4-dioxane (0.3 ml) and the headspace was purged with N₂ gas for 30 minutes. Then palladium(II) acetate (1.80 mg, 0.008 mmol) and 2-(dicyclohexylphosphino)biphenyl (5.2 mg, 0.02 mmol) were added and the reaction stirred at 80 °C for 1h. The reaction was cooled, concentrated and purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (0-

100% EtOAc) to give 3-[2,3-dimethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1-methyl-pyrazole (45 mg, 94% yield). MS m/z 345.2 $[M+H]^+$.

Preparation of Starting Material: 4-[3,5-Dimethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1-tetrahydropyran-2-yl-pyrazole



5

Step 1. A round bottom flask was charged with 5-bromobenzene-1,3-diol (600 mg, 3.02 mmol) 1-(tetrahydro-2H-pyran-2-yl)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole (1.4 g, 4.8 mmol), chloro(2-dicyclohexylphosphino-2',6'-dimethoxy-1,1'-biphenyl)[2-(2'-amino-1,1'-biphenyl)]palladium(II) (220 mg, 0.302 mmol), potassium carbonate (1.3 g, 9.4 mmol), 1,4-dioxane (36 mL) and water (36 mL) and the reaction was purged with N_2 for 15 minutes. The mixture was stirred at 80 °C for 1 hour. The reaction was cooled, concentrated and purified by silica gel chromatography eluting with a MeOH/ CH_2Cl_2 gradient (0 to 30% MeOH), to give 5-(1-tetrahydropyran-2-ylpyrazol-4-yl)benzene-1,3-diol (600 mg, 77% yield). MS m/z 261.1 $[M+H]^+$.

10

Step 2. A solution of 5-(1-tetrahydropyran-2-ylpyrazol-4-yl)benzene-1,3-diol (600 mg, 2.31 mmol) in acetonitrile (4 mL) was cooled to 0 °C. N-Iodosuccinimide (520 mg, 2.31 mmol) was added and the reaction was stirred at 0 °C for 5 minutes. The reaction was quenched with aqueous saturated sodium thiosulfate and extracted with dichloromethane. The organic layer was dried, concentrated and purified by silica gel chromatography eluting with a MeOH/ CH_2Cl_2 gradient (0 to 30% MeOH) to give 2-iodo-5-(1-tetrahydropyran-2-ylpyrazol-4-yl)benzene-1,3-diol (800 mg, 90% yield). MS m/z 387.1 $[M+H]^+$.

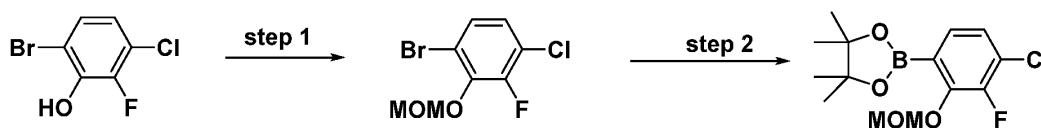
15

Step 3. A round bottom flask was charged with 2-iodo-5-(1-tetrahydropyran-2-ylpyrazol-4-yl)benzene-1,3-diol (400 mg, 1.04 mmol), iodomethane (0.14 mL, 2.2 mmol), potassium

carbonate (310 mg, 2.24 mmol) and acetone (3.3 mL) and the mixture was heated at 50 °C for 12 hours. The reaction was cooled, concentrated and purified by silica gel chromatography eluting with a gradient of EtOAc/hexanes (30-100% EtOAc) to give 4-(4-iodo-3,5-dimethoxy-phenyl)-1-tetrahydropyran-2-yl-pyrazole (300 mg, 0.72 mmol, 70% yield). MS m/z 415.1 [M+H]⁺.

- 5 **Step 4.** A round bottom flask was charged with 4-(4-iodo-3,5-dimethoxy-phenyl)-1-tetrahydropyran-2-yl-pyrazole (50 mg, 0.12 mmol), bis(pinacolato)diboron (0.04 ml, 0.3 mmol), triethylamine (0.05 ml, 0.4 mmol), and 1,4-dioxane (0.3 ml) and the reaction was purged with N₂ for 15 minutes. Then 1,4-dioxane (0.3 mL) and 2-(dicyclohexylphosphino)biphenyl (4.5 mg, 0.013 mmol) was dissolved in 1,4-dioxane (0.3 mL) was added and the reaction was heated at 90 °C for 12 hours. The mixture was cooled, concentrated and purified by silica gel chromatography eluting with a gradient of EtOAc/hexanes (0-100% EtOAc) to give 4-[3,5-dimethoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1-tetrahydropyran-2-yl-pyrazole (50 mg, 99% yield). MS m/z 415.4 [M+H]⁺.

15 **Preparation of Starting Material: 2-[4-Chloro-3-fluoro-2-(methoxymethoxy)phenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane**



- Step 1:** 6-Bromo-3-chloro-2-fluoro-phenol (900 mg, 4.0 mmol) was dissolved in DMF (4.5 mL) at 0 °C. Sodium *tert*-pentoxide (2.5 M in THF, 2 mL, 5.0 mmol) was added dropwise, followed by dropwise addition of chloromethyl methyl ether (405 μ L, 5.34 mmol) and the reaction was stirred overnight at room temperature. The reaction mixture was partitioned between water and EtOAc. The organic layer was washed with water, and then brine. The organic layer was dried over MgSO₄, filtered, and concentrated under vacuum. The residue was purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (30-100% EtOAc) to yield 1-bromo-4-chloro-3-fluoro-2-(methoxymethoxy)benzene (1.01 g, 94% yield) as a clear oil.

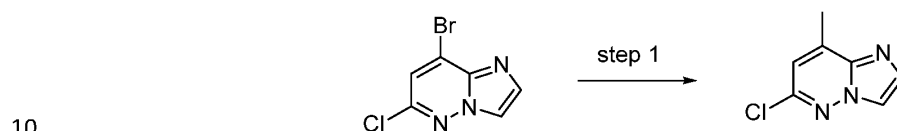
- 25 ¹H NMR (acetone-*d*₆) δ : 7.50 (d, J = 9 Hz, 1H), 7.28 (t, J = 8 Hz, 1H), 5.26 (s, 2H), 3.62 (s, 3H).

Step 2: A mixture of dry KOAc (1.5 g, 15 mmol), bis(pinacolato)diboron (1.02 g, 4.02 mmol), Pd(dppf)Cl₂-CH₂Cl₂ (90 mg, 0.108 mmol), and a solution of 1-bromo-4-chloro-3-fluoro-2-

(methoxymethoxy)benzene (900 mg, 3.3 mmol) in toluene (12 mL) was purged with argon for 15 min. The mixture was heated at 110 °C for 16 h. Upon completion, the reaction was diluted with EtOAc and was filtered through celite. The filtrate was concentrated and purified by silica chromatography eluting with a MeOH/CH₂Cl₂ gradient (0 to 5% MeOH) to yield 2-[4-chloro-3-fluoro-2-(methoxymethoxy)phenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (524 mg, 47% yield) as a light orange oil.

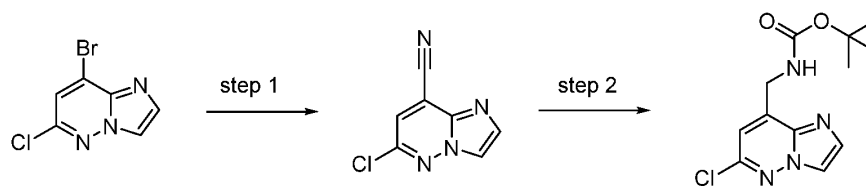
¹H NMR (acetone-*d*₆) δ: 7.49 (d, *J* = 8 Hz, 1H), 7.29 (t, *J* = 7 Hz, 1H), 5.16 (s, 2H), 3.58 (s, 3H), 1.37 (s, 12H).

Preparation of Starting Material: 6-Chloro-8-methyl-imidazo[1,2-b]pyridazine



Step 1: A mixture of 8-bromo-6-chloro-imidazo[1,2-b]pyridazine (232 mg, 1.0 mmol), 2,4,4,5,5-pentamethyl-1,3,2-dioxaborolane (142 mg, 1.0 mmol), Pd(dppf)Cl₂ (150 mg, 0.20 mmol), and K₂CO₃ (285 mg, 2.1 mmol), in dioxane (5 mL) and water (1 mL) was purged with argon for 15 min and heated to 90 °C for 16 h. The reaction was diluted with water (50 mL), and then extracted with CH₂Cl₂ (3 x 30 mL). The organic layers were washed with brine (2 x 20 mL), dried over Na₂SO₄, and then filtered and concentrated. The residue was purified by silica gel column chromatography eluting with a MeOH/CH₂Cl₂ gradient (5 to 10% MeOH) to afford 6-chloro-8-methyl-imidazo[1,2-b]pyridazine (85 mg, 50% yield). MS *m/z* 168.0, 170.0 [M+H]⁺.

20 **Preparation of Starting Material: 6-Chloro-8-methyl-imidazo[1,2-b]pyridazine and tert-Butyl ((6-chloroimidazo[1,2-b]pyridazin-8-yl)methyl)carbamate**

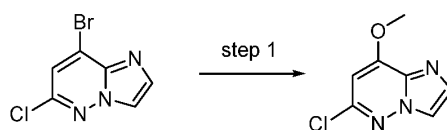


Step 1: A solution of 8-bromo-6-chloro-imidazo[1,2-b]pyridazine (232 mg, 1.0 mmol), dicyanozinc (150 mg, 1.2 mmol), and Pd(PPh₃)₄ (240 mg, 0.2 mmol) in dry DMF (4 mL) was heated in a microwave for 45 min at 100 °C. The reaction was concentrated and purified by preparatory HPLC to afford 6-chloro-8-methyl-imidazo[1,2-b]pyridazine (85 mg, 50% yield). MS

m/z 178.9, 181.1 $[M+H]^+$.

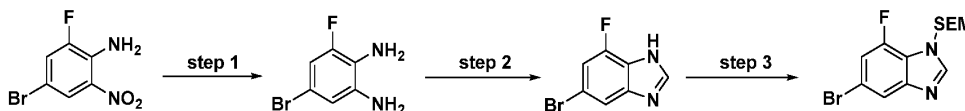
Step 2: A solution of 6-chloro-8-methyl-imidazo[1,2-b]pyridazine (80mg, 0.45 mmol), di-*tert*-butyl dicarbonate (147 mg, 0.67 mmol), and Ni (16 mg) in THF (5 mL) was stirred at room temperature for 16 h. The reaction was filtered and concentrated. The residue was purified by preparatory HPLC (10% MeOH in CH_2Cl_2), to afford *tert*-butyl ((6-chloroimidazo[1,2-b]pyridazin-8-yl)methyl)carbamate (36 mg, 28% yield). MS m/z 282.9, 284.8 $[M+H]^+$.

Preparation of Starting Material: 6-Chloro-8-methoxy-imidazo[1,2-b]pyridazine



Step 1: A solution of 8-bromo-6-chloro-imidazo[1,2-b]pyridazine (234 mg, 1.0 mmol) and sodium methoxide (108 mg, 1.2 mmol) in methanol (4 mL) was heated to reflux for 12 h. The reaction was cooled to room temperature, concentrated, and then the residue was purified by preparatory HPLC to afford 6-chloro-8-methoxy-imidazo[1,2-b]pyridazine (100 mg, 54% yield). MS m/z 184.0, 186.0 $[M+H]^+$.

Preparation of Starting Material: 5-Bromo-7-fluoro-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-benzo[d]imidazole



Step 1: To a solution of 4-bromo-2-fluoro-6-nitroaniline (600 mg, 2.6 mmol) in tetrahydrofuran (5 mL), ethanol (5 mL), and water (1 mL) was added iron (1.5 g, 27 mmol), and ammonium chloride (1.5 g, 28 mmol). The mixture was heated to reflux for 16 hours, then cooled to room temperature, filtered and concentrated. The crude product was purified by silica gel chromatography eluting with a MeOH/ CH_2Cl_2 gradient (0 to 10% MeOH) to yield 5-bromo-3-fluorobenzene-1,2-diamine as a brown solid (400 mg, 76%). MS m/z 204.8, 206.8 $[M+H]^+$.

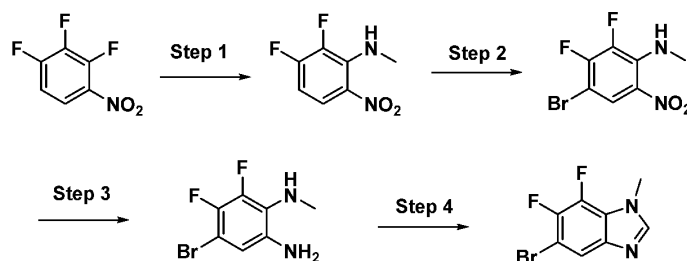
Step 2: A mixture of 5-bromo-3-fluorobenzene-1,2-diamine (400 mg, 2.0 mmol) and formic acid (5 mL) was stirred at 120 °C for 16 hours. After cooling, the solvent was removed and the residue was partitioned between EtOAc (200 mL) and sat. aq. $NaHCO_3$ (50 mL). The organic phase was

dried over Na_2SO_4 and concentrated to afford crude 5-bromo-7-fluoro-1H-benzimidazole as an off white solid (400 mg, 95%). MS m/z 215.0, 217.0 $[\text{M}+\text{H}]^+$.

Step 3: A solution of 5-bromo-7-fluoro-1H-benzimidazole (200 mg, 0.93 mmol) in tetrahydrofuran (5 mL) was cooled to 0 °C and sodium hydride (15 mg, 0.60 mmol) was added.

- 5 The reaction was stirred at 0 °C for 20 minutes, then 2-(trimethylsilyl)ethoxymethyl chloride (0.08 g, 0.48 mmol) was added. The mixture was stirred at room temperature for 2 h. The reaction was quenched with ice water, and the aqueous phase was extracted with EtOAc. The organic phase was dried over Na_2SO_4 , concentrated and the residue was purified by silica gel chromatography eluting with a MeOH/ CH_2Cl_2 gradient (0 to 10% MeOH) to afford 5-bromo-7-fluoro-1-((2-(trimethylsilyl)ethoxy)methyl)-1H-benzo[d]imidazole (210 mg, 65%). MS m/z 345.0, 347.0 $[\text{M}+\text{H}]^+$.

Preparation of Starting Material: 5-Bromo-6,7-difluoro-1-methyl-benzimidazole



- Step 1:** To a solution of 1,2,3-trifluoro-4-nitro-benzene (1.00 g, 5.65 mmol) and methylamine hydrochloride (409 mg, 5.94 mmol) in acetonitrile (10.0 mL) was added DIPEA (3.66 g, 28.2 mmol). The mixture was stirred for 3 hours at 70 °C, then cooled to room temperature. The mixture was concentrated and the residue was purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (0-5% EtOAc) to afford 2,3-difluoro-N-methyl-6-nitro-aniline (1.06 g, 99% yield) as a yellow solid. MS m/z 189.0 $[\text{M}+\text{H}]^+$.

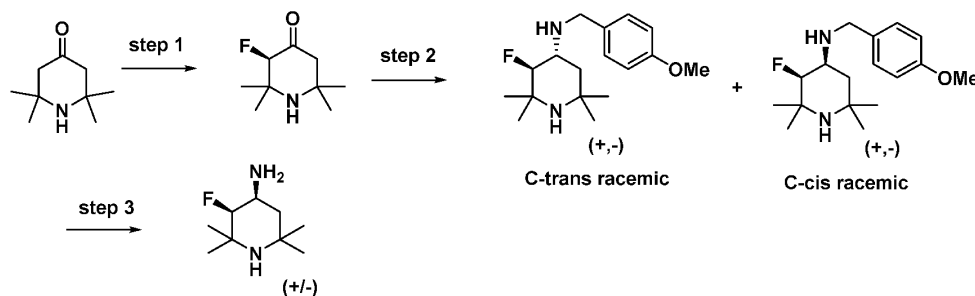
- Step 2:** To a solution of 2,3-difluoro-N-methyl-6-nitro-aniline (1.48 g, 7.87 mmol) in DMF (50.0 mL) was added NBS (1.79 g, 9.86 mmol). The mixture was stirred for 1 hour at 90 °C, and then cooled to room temperature and quenched with brine (200 mL). The mixture was extracted with EtOAc (3 x 50 mL). The organic layers were dried over Na_2SO_4 and concentrated. The residue was purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (0-5% EtOAc)

to afford 4-bromo-2,3-difluoro-N-methyl-6-nitro-aniline (1.75 g, 83% yield) as a yellow solid. MS m/z 267.0, 269.0 $[M+H]^+$.

Step 3: A solution of 4-bromo-2,3-difluoro-N-methyl-6-nitro-aniline (1.85 g, 6.93 mmol) in tetrahydrofuran (50.0 mL) and methanol (50.0 mL) was cooled to 0 °C. Then, Raney-nickel (500 mg) was added, followed by dropwise addition of hydrazine (227 mg, 6.94 mmol). The mixture was stirred for 15 min at 0 °C and filtered. The filtrate was concentrated to give crude 4-bromo-5,6-difluoro-N¹-methylbenzene-1,2-diamine (1.58 g, 96% yield) as a pale brown oil. MS m/z 237.0, 239.0 $[M+H]^+$.

Step 4: 5-Bromo-3,4-difluoro-N²-methyl-benzene-1,2-diamine (1.58 g, 6.67 mmol) was suspended in formic acid (5 mL) and trimethyl orthoformate (5.0 mL, 46 mmol) was then added. The mixture was heated at reflux for 1 hour under a nitrogen atmosphere, and then cooled to room temperature. The mixture was concentrated and the residue was neutralized with sat. aq. NaHCO₃ to pH 8. The mixture was extracted with EtOAc (3 x 50 mL) and the organic layers were dried over Na₂SO₄, concentrated and purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (0-65% EtOAc) to afford 5-bromo-6,7-difluoro-1-methyl-benzimidazole (1.52 g, 92% yield) as a pale brown solid. MS m/z 247.0, 249.0 $[M+H]^+$.

Preparation of Starting Material: Racemic (3S,4S)-3-fluoro-2,2,6,6-tetramethyl-piperidin-4-amine



Step 1: To an oven-dried three-necked flask was added 2,2,6,6-tetramethylpiperidin-4-one (20.0 g, 129 mmol). After evacuating and back-filling with nitrogen 3 times, tetrahydrofuran (90 mL) was added under nitrogen. The solution was cooled to -78 °C, and then lithium bis(trimethylsilyl)amide in tetrahydrofuran (193 mL, 193 mmol, 1 mol/L) was added dropwise. Following complete reaction, the mixture was stirred at -78 °C for 30 min. N-

fluorobenzenesulfonimide (42.6 g, 135 mol) was added portionwise as a solid over 20 min under nitrogen. The reaction was then gradually warmed to room temperature, and the mixture was further stirred at room temperature for 16 hours. The reaction was filtered to remove solid, and then the mixture was concentrated, and purified by flash chromatography using EtOAc and
5 petroleum ether (0-10% EtOAc) as eluent to afford 3-fluoro-2,2,6,6-tetramethylpiperidin-4-one as a pale yellow solid (10.0 g, 45.5%). MS m/z : 174.1 $[M+H]^+$.

Step 2: 3-Fluoro-2,2,6,6-tetramethylpiperidin-4-one (7.2 g, 41.6 mmol) and (4-methoxyphenyl)methanamine (6.3 g, 45.8 mmol) were dissolved in methanol (40 mL). Acetic acid (6 mL, 104 mmol) was then added. The resulting mixture was stirred at room temperature for
10 1 h, then NaBH_3CN (3.9 g, 62.4 mmol) was added, and the mixture was stirred for an additional 16 hours. The solvent was removed under reduced pressure and the residue was purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (10-30% EtOAc) to afford C-*cis* racemic (3*S*,4*S*)-3-fluoro-N-[(4-methoxyphenyl)methyl]-2,2,6,6-tetramethyl-piperidin-4-amine (5.0 g, 40.0%) and C-*trans* racemic (3*S*,4*R*)-3-fluoro-N-(4-methoxybenzyl)-2,2,6,6-
15 tetramethylpiperidin-4-amine (2.7 g, 22.0%).

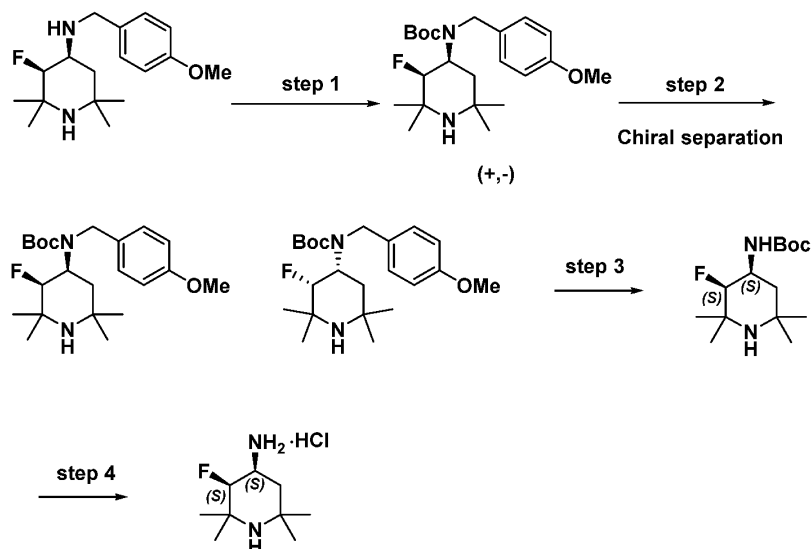
C-*cis* racemic (3*S*,4*S*)-3-fluoro-N-[(4-methoxyphenyl)methyl]-2,2,6,6-tetramethyl-piperidin-4-amine: MS m/z : 295.2 $[M+H]^+$; ^1H NMR ($\text{DMSO}-d_6$) δ 7.23 (d, J = 8.4 Hz, 2H), 6.86 (d, J = 8.8 Hz, 2H), 3.95 (dd, J_1 = 9.6 Hz, J_2 = 51.2 Hz, 1H), 3.73-3.63 (m, 5H), 3.33 (br s, 1H), 3.03-2.97 (m, 1H), 1.87-1.83 (m, 2H), 1.65 (br, 1H), 1.09-1.04 (m, 12H).

20 **C-*trans* racemic:** (3*S*,4*R*)-3-fluoro-N-(4-methoxybenzyl)-2,2,6,6-tetramethylpiperidin-4-amine: MS m/z : 295.2 $[M+H]^+$; ^1H NMR ($\text{DMSO}-d_6$) δ 7.25 (d, J = 8.4 Hz, 2H), 6.86 (d, J = 8.4 Hz, 2H), 4.33 (d, J = 50.8 Hz, 1H), 3.72 (s, 5H), 3.33 (br s, 2H), 2.95 (dd, J_1 = 15.6 Hz, J_2 = 28.4 Hz, 1H), 1.53 (dd, J_1 = 4.0 Hz, J_2 = 8.8 Hz, 1H), 1.21-1.03 (m, 13H).

Step 3: To a three-neck round bottom flask charged with a thermometer, pressure drop funnel and
25 hydrogen balloon was added racemic (3*S*,4*S*)-3-fluoro-N-[(4-methoxyphenyl)methyl]-2,2,6,6-tetramethyl-piperidin-4-amine (10.0 g, 33.97 mmol), MeOH (150 mL), palladium on carbon (10 wt%) (3.0 g, 2.8 mmol), and formic acid (0.20 g, 4.0 mmol). The flask was evacuated and backfilled with hydrogen twice. The mixture was stirred at 45 °C for 16 h. After cooling to room temperature, the mixture was filtered, and the filtrate was concentrated. The residue was purified
30 by silica gel chromatography eluting with a gradient of MeOH/ CH_2Cl_2 (0 to 100% MeOH) to yield racemic (3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethyl-piperidin-4-amine (4.8 g, 81%).

MS m/z 175.2 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 4.91 (d, J = 48 Hz, 1H), 4.25 (dd, J_1 = 11.6 Hz, J_2 = 30 Hz, 1H), 2.14-2.00 (m, 2H), 1.62-1.57 (m, 12H); 3NHs not observed.

Preparation of Starting Material: (3S,4S)-3-Fluoro-2,2,6,6-tetramethylpiperidin-4-amine



- 5 **Step 1:** Racemic (3S,4S)-3-fluoro-N-[(4-methoxyphenyl)methyl]-2,2,6,6-tetramethyl-piperidin-4-amine (5.0 g, 12.7 mmol) was dissolved in methanol (80 mL), then di-*tert*-butyl dicarbonate (4.1 g, 19.5 mmol) and triethyl amine (2.7 mmol, 19.5 mmol) were added sequentially. The resultant mixture was stirred at room temperature for 3 h. The solvent was removed under reduced pressure, the residue was purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (0-
 10 50% EtOAc) to afford the desired *tert*-butyl ((3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(4-methoxybenzyl)carbamate (4.1 g, 61.0%) as a colorless oil. MS m/z : 395.2 $[M+H]^+$.

- Step 2:** A total of 5 g of racemic *tert*-butyl ((3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(4-methoxybenzyl)carbamate were separated by chiral-Prep-HPLC (SFC-200, Thar, Waters) using a WHELK 50*250mm, 10um (Daicel) column with CO₂/IPA(0.2% Methanol Ammonia) = 90/10
 15 as the mobile phase to afford *tert*-butyl ((3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(4-methoxybenzyl)carbamate (2.2 g, 44% yield) and *tert*-butyl ((3R,4R)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(4-methoxybenzyl)carbamate (1.8 g, 36%).

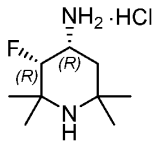
Step 3: *tert*-Butyl ((3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(4-methoxybenzyl)carbamate (2.2 g, 5.6 mmol) was dissolved in acetonitrile (40 mL), ammonium cerium(IV) nitrate

(9.2 g, 16.8 mmol) was added, and the mixture was stirred at room temperature for 2 h. The mixture was concentrated and purified by silica gel chromatography eluting with a gradient of EtOAc/hexanes (0-80% EtOAc) to afford crude *tert*-butyl ((3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)carbamate (1.5 g, 98% yield), which was used to the next step without further purification. MS m/z : 275.2 [M+H]⁺.

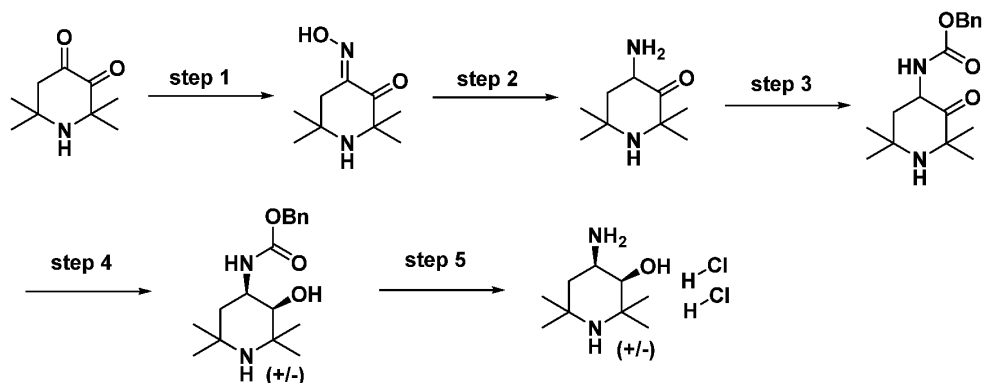
Step 4: The crude *tert*-butyl ((3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)carbamate (1.5 g, 0.54 mmol) obtained in step 3 was dissolved in CH₂Cl₂ (20 mL), and then 2 mL of HCl in dioxane (4 mol/L) was added, and the mixture was stirred at room temperature for 16 h. The precipitate was filtered, washed with 5 mL of CH₂Cl₂ and dried to yield (3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-amine (533 mg, HCl salt, 47 % yield) as a white solid.

MS m/z : 175.2 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ : 4.91 (d, J = 48 Hz, 1H), 4.25 (dd, J = 11.6 Hz, 30 Hz, 1H), 2.14-2.00 (m, 2H), 1.62-1.57 (m, 12H); 3NHs not observed.

Using the procedure described, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Structure	Data
	MS m/z 175.2 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 4.90 (d, J = 48 Hz, 1H), 4.25 (dd, J_1 = 10.8 Hz, J_2 = 28.8 Hz, 1H), 2.14-2.00 (m, 2H), 1.64-1.50 (m, 12H), 3 NHs not observed.

Preparation of Starting Material: Racemic (3*R*,4*R*)-4-amino-2,2,6,6-tetramethyl-piperidin-3-ol dihydrochloride



Step 1: 2,2,6,6-Tetramethylpiperidine-3,4-dione (2.98 g, 17.6 mmol) was dissolved in ethanol (15 mL), followed by the addition of aqueous hydroxylamine (1.2 mL, 20 mmol, 50 wt% in H₂O). The reaction was sealed and heated to 70 °C for 10 minutes, and then cooled to room temperature and concentrated providing crude (E)-4-(hydroxyimino)-2,2,6,6-tetramethylpiperidin-3-one (2.1 g, 63% yield) which was used directly in the next step. MS *m/z* 185.3 [M+H]⁺.

Step 2: (E)-4-(hydroxyimino)-2,2,6,6-tetramethylpiperidin-3-one (2.1 g, 11 mmol), zinc (4.5 g, 69 mmol), and aqueous sodium hydroxide (16 mL, 6.0 M) were combined and heated at 70 °C for 30 min and then cooled to room temperature. The reaction was filtered through a frit, rinsing with CH₂Cl₂/MeOH. The aqueous layer was extracted twice with CH₂Cl₂/MeOH (9:1) and three times with CHCl₃/iPrOH (7:3). The combined organic layers were dried over sodium sulfate, filtered and then concentrated to yield crude 4-amino-2,2,6,6-tetramethyl-piperidin-3-one (1.75 g, 90%) as an orange oil which was used directly in the next step without purification.

Step 3: 4-Amino-2,2,6,6-tetramethyl-piperidin-3-one (1.75 g, 10.3 mmol), DMF (6 mL), and N,N-diisopropylethylamine (2.70 mL, 15.5 mmol) were stirred at room temperature and then cooled to 0 °C. Benzyl chloroformate (2.20 mL, 15.5 mmol) was added dropwise. Stirring was continued at 0 °C for 25 min. The reaction was then partitioned between EtOAc and brine and the layers were separated. The aqueous layer was extracted twice with EtOAc and the combined organic layers were washed three times with brine. The organic layer was dried over sodium sulfate, filtered and concentrated. The residue was purified by silica gel column chromatography

eluting with a EtOAc/hexanes gradient (0-100% EtOAc) to yield benzyl N-(2,2,6,6-tetramethyl-3-oxo-4-piperidyl)carbamate (1.45 g, 46%) as an orange solid.

MS m/z 305.6 $[M+H]^+$; ^1H NMR (DMSO- d_6) δ : 7.55 (br d, $J=8.5$ Hz, 1H), 7.29-7.41 (m, 5H), 5.06 (s, 2H), 4.86-4.96 (m, 1H), 4.69 (s, 1H), 2.13 (dd, $J=12.8, 8.9$ Hz, 1H), 1.58 (dd, $J=12.8, 7.3$ Hz, 1H), 1.28 (d, $J=1.8$ Hz, 6H), 1.24 (s, 3H), 1.09 (s, 3H).

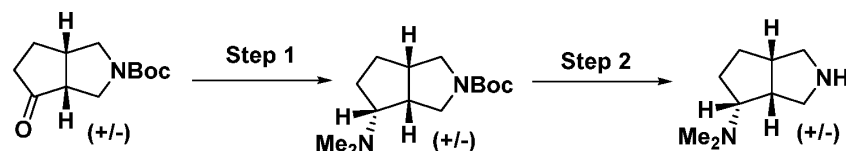
Step 4: Benzyl N-(2,2,6,6-tetramethyl-3-oxo-4-piperidyl)carbamate (1.20 g, 3.95 mmol) was dissolved in MeOH (5 mL), followed by the addition of sodium borohydride (247 mg, 6.54 mmol). The reaction was stirred at room temperature for 1 h, then acetone (3 mL) was added slowly and the reaction was concentrated. The residue was partitioned between EtOAc and H₂O and the layers were separated. The aqueous layer was extracted four times with EtOAc. The combined organic layers were dried over sodium sulfate, filtered and concentrated to yield crude racemic benzyl N-[(3*R*,4*R*)-3-hydroxy-2,2,6,6-tetramethyl-4-piperidyl]carbamate that was used directly in the next step.

MS m/z 307.5 $[M+H]^+$; ^1H NMR (DMSO- d_6) δ 7.30-7.40 (m, 6H), 5.01 (d, $J=5.8$ Hz, 2H), 4.94-4.95 (m, 1H), 3.91-4.00 (m, 1H), 2.93 (br d, $J=7.3$ Hz, 1H), 1.76 (dd, $J=12.2, 9.8$ Hz, 1H), 1.46 (br dd, $J=12.8, 5.5$ Hz, 1H), 1.14 (s, 3H), 1.08 (s, 3H), 1.06 (s, 3H), 1.05 (s, 3H); 1H not observed (NH).

Step 5: The residue from Step 4 containing racemic benzyl N-[(3*R*,4*R*)-3-hydroxy-2,2,6,6-tetramethyl-4-piperidyl]carbamate was dissolved in methanol (5 mL), followed by the addition of 10% palladium on carbon (293 mg, 0.275 mmol). The reaction was stirred at room temperature while hydrogen gas was used to sparge the solution for 3 minutes. Stirring was continued under a hydrogen atmosphere at room temperature for 72 h. The reaction was filtered through celite and rinsed with methanol. Methanolic HCl (5 mL, 1.25 M) was added to the filtrate and the filtrate was concentrated to yield racemic (3*R*,4*R*)-4-amino-2,2,6,6-tetramethyl-piperidin-3-ol dihydrochloride (251 mg, 26% yield).

MS m/z 173.0 $[M+H]^+$; ^1H NMR (1:1 chloroform- d : methanol- d_4) δ : 3.48 (q, $J=7.6$ Hz, 1H), 2.86 (d, $J=7.6$ Hz, 1H), 2.00 (dd, $J=12.8, 8.5$ Hz, 1H), 1.57 (dd, $J=12.8, 7.3$ Hz, 1H), 1.30 (d, $J=2.1$ Hz, 6H), 1.23 (s, 3H), 1.23 (s, 3H); 4Hs not observed (3NHs and OH).

Preparation of Starting Material: Racemic (3aR,4R,6aS)-N,N-dimethyl-1,2,3,3a,4,5,6,6a-octahydrocyclopenta[c]pyrrol-4-amine

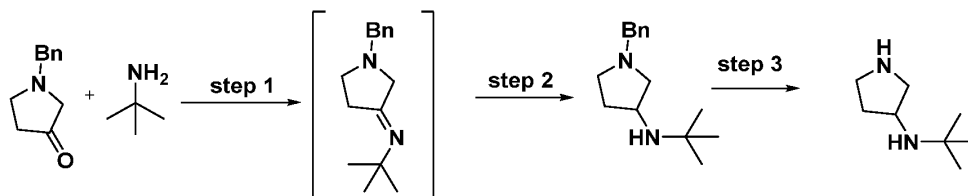


Step 1: Racemic *tert*-butyl 4-oxo-1,3,3a,5,6,6a-hexahydrocyclopenta[c]pyrrole-2-carboxylate (523.8 mg, 2.325 mmol), dichloromethane (5 mL), aqueous dimethylamine (2.0 mL, 40 wt.%, 6.8 equiv), and sodium triacetoxyborohydride (2.06 g, 9.72 mmol, 4.18 equiv) were combined and stirred at room temperature for 20 h. The reaction was partitioned between CH₂Cl₂ and aqueous sodium hydroxide (1.0 M) and the layers were separated. The aqueous layer was extracted twice with CH₂Cl₂/MeOH (9:1) and once with CHCl₃/iPrOH (7:3). The combined organic layers were dried over sodium sulfate, filtered and concentrated. The residue was purified by silica gel column chromatography eluting with a gradient of MeOH/CH₂Cl₂ (0-30% MeOH/NH₄OH, 2.5% NH₄OH v/v) to yield racemic *tert*-butyl (3aR,4R,6aS)-4-(dimethylamino)-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole-2-carboxylate (452.4 mg, 76% yield).

MS *m/z* 255.3 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 3.42-3.51 (m, 1H), 3.39 (dd, *J*=8.7, 4.1 Hz, 2H), 3.20 (br d, *J*=10.4 Hz, 1H), 2.80 (br s, 1H), 2.62-2.73 (m, 1H), 2.42-2.51 (m, 1H), 2.22 (s, 6H), 1.91-2.01 (m, 1H), 1.83-1.90 (m, 1H), 1.57 (quin, *J*=10.6 Hz, 1H), 1.45-1.50 (m, 1H), 1.43 (s, 9H).

Step 2: Racemic *tert*-butyl (3aR,4R,6aS)-4-(dimethylamino)-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole-2-carboxylate (43.1 mg, 0.169 mmol) was dissolved in TFA (2 mL) and stirred at room temperature for 4 h. The reaction was concentrated and the residue used directly in subsequent reactions, assuming a quantitative yield of racemic (3aR,4R,6aS)-N,N-dimethyl-1,2,3,3a,4,5,6,6a-octahydrocyclopenta[c]pyrrol-4-amine 2,2,2-trifluoroacetic acid. MS *m/z* 155.3 [M+H]⁺.

Preparation of Starting Material: N-(*tert*-Butyl)pyrrolidin-3-amine



25

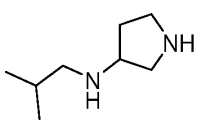
Step 1: A dry vial was charged with 1-benzylpyrrolidin-3-one (4.0 g, 22.8 mmol), 2-methylpropan-2-amine (3.8 g, 52.0 mmol) and $\text{Ti}(\text{O}i\text{Pr})_4$ (6.0 mL, 20.2 mmol). The mixture was purged with N_2 for 15 min and then allowed to stir at room temperature for 2 h. The resulting (*E*)-1-benzyl-*N*-(*tert*-butyl)pyrrolidin-3-imine was used without further purification.

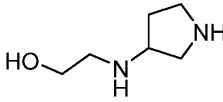
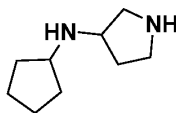
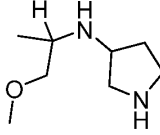
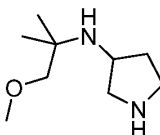
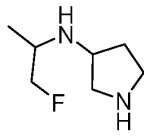
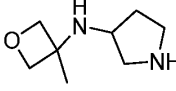
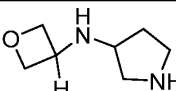
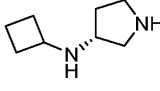
- 5 Step 2: To the mixture from step 1 was added dry methanol (40 mL) and the reaction was cooled to 0 °C in an ice bath. NaBH_4 (1.6 g, 42.3 mmol) was added slowly in portions (caution: very exothermic reaction). Once evolution of the gas subsided, the mixture was warmed to room temperature and stirred for 2h at room temperature. Upon completion, 0.1M NaOH solution (20 mL) was added to precipitate the titanium salts. The biphasic mixture was filtered through celite and washed with methanol. The solvent was removed under vacuum and the crude oil was
- 10 purified by reverse phase chromatography using a acetonitrile/ H_2O gradient (10% - 100% acetonitrile) to afford 1-benzyl-*N*-(*tert*-butyl)pyrrolidine-3-amine (3.2 g, 60% yield) as a colorless oil.

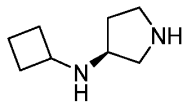
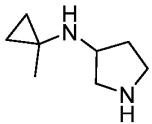
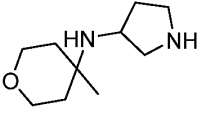
- Step 3: To an oven-dry round bottom flask containing palladium hydroxide on activated carbon
- 15 (320 mg) was added 1-benzyl-*N*-(*tert*-butyl)pyrrolidine-3-amine (3.2 g, 13.8 mmol) dissolved in MeOH (20 mL). The mixture was sparged with H_2 for 5 minutes and a balloon of H_2 was placed on top of the flask and the reaction was stirred for 2 h at room temperature. The reaction mixture was filtered through celite, washed with MeOH and concentrated to afford *N*-(*tert*-butyl)pyrrolidin-3-amine (1.89g, 96% yield) as a colorless oil which solidified upon standing.

- 20 ^1H NMR (methanol-*d*₄) δ : 4.21 (dq, J = 14.4, 7.0 Hz, 1H), 3.80 (dd, J = 12.7, 8.0 Hz, 1H), 3.58-3.50 (m, 2H), 3.38-3.32 (m, 1H), 2.62-2.56 (m, 1H), 2.28-2.20 (m, 1H), 1.42 (s, 9H); 2NHs not observed.

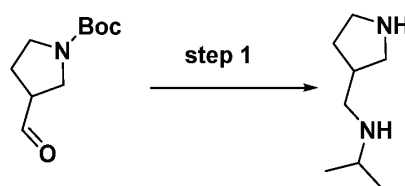
- Using the procedure described, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions,
- 25 obtaining compounds such as those selected from:

Structure	Data
	^1H NMR (chloroform- <i>d</i>) δ : 0.93 (d, J =6.71 Hz, 6H) 1.74 (dq, J =13.28, 6.66 Hz, 2H) 1.98 - 2.15 (m, 1H) 2.42 (d, J =6.71 Hz, 2H) 2.98 (dd, J =11.60, 3.66 Hz, 1H) 3.06 - 3.21 (m, 2H) 3.29 (dt, J =11.14, 7.40 Hz, 1H) 3.35 - 3.46 (m, 3H)

Structure	Data
	^1H NMR (chloroform- <i>d</i>) δ : 1.57 (br d, $J=5.49$ Hz, 1H) 2.02 (br dd, $J=13.12, 6.71$ Hz, 1H) 2.38 (br s, 2H) 2.70 - 2.86 (m, 3H) 2.92 (br d, $J=8.09$ Hz, 1H) 3.00 (br dd, $J=11.14, 5.80$ Hz, 1H) 3.07 - 3.16 (m, 1H) 3.31 (br s, 1H) 3.49 (s, 1H) 3.67 (br t, $J=4.73$ Hz, 2H)
	MS m/z 155 $[\text{M}+\text{H}]^+$; ^1H NMR (methanol- <i>d</i> ₄) δ : 3.35-3.41 (m, 1H), 3.03-3.16 (m, 3H), 2.86-2.97 (m, 1H), 2.67-2.75 (m, 1H), 2.03-2.15 (m, 1H), 1.88-2.00 (m, 2H), 1.68-1.79 (m, 2H), 1.54-1.68 (m, 3H), 1.30-1.44 (m, 2H); 2NHs not observed
	MS m/z 159.2 $[\text{M}+\text{H}]^+$
	MS m/z 173.3 $[\text{M}+\text{H}]^+$; ^1H NMR (chloroform- <i>d</i>) δ : 3.46 - 3.39 (m, 1H), 3.36 (s, 3H), 3.27 - 3.17 (m, 3H), 3.09 - 3.01 (m, 2H), 2.75 - 2.65 (m, 1H), 2.18 - 2.07 (m, 1H), 1.65 - 1.53 (m, 1H), 1.65 - 1.53 (m, 1H), 1.08 (s, 3H), 1.07 (s, 3H); 1NH not observed
	^1H NMR (chloroform- <i>d</i>) δ : 4.45 - 4.20 (m, 2H), 3.81 - 3.68 (m, 1H), 3.31 - 3.15 (m, 2H), 3.12 - 2.88 (m, 3H), 2.40 - 2.23 (m, 1H), 1.95 - 1.80 (m, 1H), 1.12 (t, $J=7.9$ Hz, 3H); 2NHs not observed
	^1H NMR (chloroform- <i>d</i>) δ : 4.57 - 4.44 (m, 2H), 4.43 - 4.28 (m, 2H), 3.54 - 3.41 (m, 1H), 2.81 - 2.64 (m, 2H), 2.62 - 2.50 (m, 1H), 2.34 - 2.13 (m, 2H), 1.60 - 1.41 (m, 4H); 2NHs not observed
	MS m/z 143.3 $[\text{M}+\text{H}]^+$
	^1H NMR (methanol- <i>d</i> ₄) δ : 1.87 - 2.03 (m, 2H) 2.12 - 2.31 (m, 3H) 2.32 - 2.45 (m, 2H) 2.47 - 2.59 (m, 1H) 3.38 - 3.49 (m, 2H) 3.56 (br dd, $J=12.21, 6.41$ Hz, 1H) 3.73 (br dd, $J=12.21, 8.24$ Hz, 1H) 3.83 - 3.92 (m, 1H) 3.94 - 4.06 (m, 1H); 2NHs not observed

Structure	Data
	^1H NMR (methanol- d_4) δ : 1.87 - 2.03 (m, 2H) 2.14 - 2.31 (m, 3H) 2.38 (br d, J =3.66 Hz, 2H) 2.52 (br dd, J =14.04, 7.02 Hz, 1H) 3.36 - 3.51 (m, 2H) 3.53 - 3.64 (m, 1H) 3.73 (br dd, J =12.66, 8.09 Hz, 1H) 3.88 (br t, J =8.09 Hz, 1H) 3.94 - 4.06 (m, 1H); 2NHs not observed
	MS m/z 141.1 $[\text{M}+\text{H}]^+$
	MS m/z 185.3 $[\text{M}+\text{H}]^+$

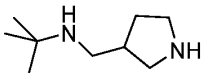
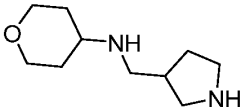
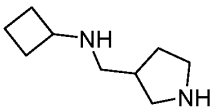
Preparation of Starting Material: N-(Pyrrolidin-3-ylmethyl)propan-2-amine hydrochloride



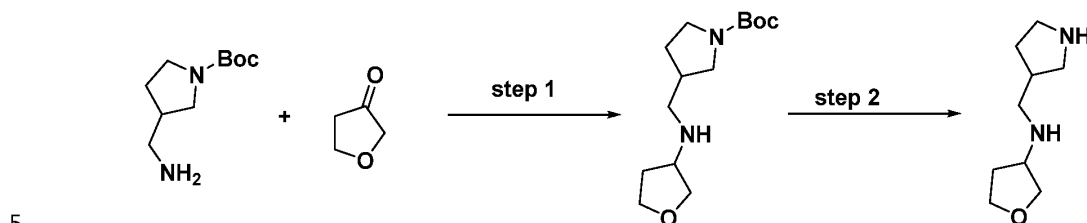
Step 1: To a round bottom flask were added 1-Boc-3-formylpyrrolidine (300 mg, 1.5 mmol), isopropyl amine (0.2 mL, 2.54 mmol) and methylene chloride (5 mL). The mixture was stirred for 5 30 min at room temperature followed by the portion-wise addition of sodium triacetoxymethylborohydride (636 mg, 3 mmol) and the mixture continued to stir for 16 h at room temperature. The reaction was quenched by the addition of 1.0 M NaOH and washed with water. The aqueous phase was extracted with CH_2Cl_2 (2 times) and the combined organic layers were dried over Mg_2SO_4 . The solvent volume was reduced in vacuo and an HCl solution (2.0M in 10 ether, 2 mL) was added. The mixture was stirred for 5 h at room temperature, and the solids were collected by filtration, and dried to provide N-(pyrrolidin-3-ylmethyl)propan-2-amine bishydrochloride salt (160 mg, 50 % yield) as an off white solid.

^1H NMR ($\text{DMSO}-d_6$) δ : 9.70 - 9.45 (m, 2H), 9.19 (br s, 2H), 3.39 - 3.30 (m, 1H), 3.25 (dd, J =6.1, 11.9 Hz, 2H), 3.17 - 3.07 (m, 1H), 3.06 - 2.94 (m, 3H), 2.75 - 2.64 (m, 1H), 2.20 - 2.06 (m, 15 1H), 1.81 - 1.66 (m, 1H), 1.27 (d, J = 6.3 Hz, 6H).

Using the procedure described, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Structure	Data
	¹ H NMR (methanol- <i>d</i> ₄) δ: 3.66 - 3.55 (m, 1H), 3.53 - 3.43 (m, 1H), 3.38 - 3.29 (m, 2H), 3.25 - 3.13 (m, 2H), 3.13 - 3.02 (m, 1H), 2.77 - 2.63 (m, 1H), 2.42 - 2.30 (m, 1H), 1.92 - 1.77 (m, 1H), 1.41 (s, 9H); 1NH not observed.
	¹ H NMR (DMSO- <i>d</i> ₆) δ: 9.25 - 8.98 (m, 2H), 8.96 - 8.74 (m, 2H), 4.00 - 3.87 (m, 2H), 3.45 - 3.36 (m, 1H), 3.35 - 3.23 (m, 4H), 3.23 - 3.12 (m, 1H), 3.12 - 3.02 (m, 2H), 2.93 (br s, 1H), 2.64 - 2.53 (m, 1H), 2.20 - 2.07 (m, 1H), 1.99 - 1.87 (m, 2H), 1.74 - 1.62 (m, 1H), 1.62 - 1.50 (m, 2H)
	¹ H NMR (methanol- <i>d</i> ₄) δ: 1.76 - 1.87 (m, 1H) 1.95 (br d, <i>J</i> =9.77 Hz, 2H) 2.17 - 2.29 (m, 2H) 2.29 - 2.44 (br s, 3H) 2.64 - 2.79 (m, 1H) 2.99 - 3.15 (m, 3H) 3.33 (br s, 1H) 3.46 (br d, <i>J</i> =4.27 Hz, 1H) 3.53 - 3.65 (m, 1H) 3.80 (br t, <i>J</i> =8.09 Hz, 1H); 2NHs not observed

Preparation of Starting Material: N-(Pyrrolidin-3-ylmethyl)tetrahydrofuran-3-amine

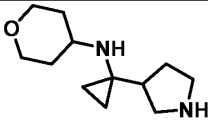


Step 1: To a solution of *tert*-butyl 3-(aminomethyl)pyrrolidine-1-carboxylate (50 mg, 0.25 mmol) and dihydrofuran-3(2H)-one (43 mg, 0.50 mmol) in CH₂Cl₂ (1.3 mL) was added sodium triacetoxyborohydride (110 mg, 0.050 mmol). The reaction was stirred at room temperature for 2 hours and then partitioned between EtOAc and aq. NaHCO₃. The organic phase was collected, and the aqueous layer was extracted once more with EtOAc. The organic phases were combined, washed with water, then brine, dried over Na₂SO₄ and then concentrated to afford *tert*-butyl 3-(((tetrahydrofuran-3-yl)amino)methyl)pyrrolidine-1-carboxylate which was used without further purification. MS *m/z* 271.4 [M+H]⁺.

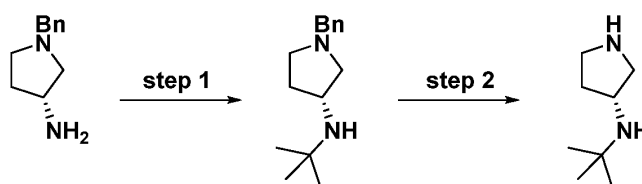
10

Step 2: 3-(((Tetrahydrofuran-3-yl)amino)methyl)pyrrolidine-1-carboxylate from step 1 was dissolved in CH₂Cl₂ (2 mL) and then trifluoroacetic acid (0.5 mL) was added. The reaction was stirred at room temperature for 1 hour and then concentrated under high vacuum to afford N-(pyrrolidin-3-ylmethyl)tetrahydrofuran-3-amine which was used without further purification. MS *m/z* 171.3 [M+H]⁺.

Using the procedure described, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Structure	Data
	MS <i>m/z</i> 211.2 [M+H] ⁺

Preparation of Starting Material (*R*)-N-(*tert*-Butyl)pyrrolidin-3-amine



10

Step 1: (*R*)-1-Benzylpyrrolidin-3-amine (2.0 g, 11.3 mmol), molecular sieves (2.0 g), and acetone (4.2 mL, 57.0 mmol) were mixed in a microwave vial and the mixture was heated in a microwave at 60 °C for 4 h. The molecular sieves were filtered off and the red solution was concentrated. The crude red oil was diluted with THF (4.0 mL) and 1.6 M solution of methyl lithium (8.7 mL, 14.0 mmol) was added slowly at room temperature. The reaction was stirred at room temperature for 4 h. The reaction mixture was then quenched with water and extracted with EtOAc, and the organic layer was dried over Na₂SO₄ and concentrated. The residue was purified by silica gel chromatography using a MeOH/CH₂Cl₂ gradient (0-30%), followed by reverse phase purification using a gradient from 10% ACN/H₂O up to 100% ACN to afford (*R*)-1-benzyl-N-(*tert*-butyl)pyrrolidin-3-amine (0.2 g, 9% yield) as a colorless oil.

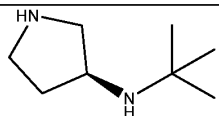
20

Step 2: To a round bottom flask containing Pd(OH)₂/C was added MeOH (10 mL) followed by (*R*)-1-benzyl-N-(*tert*-butyl)pyrrolidin-3-amine (0.2 g, 0.9 mmol) and the solvent was bubbled with

H₂ for 5 min. A balloon containing H₂ was then placed on top of the flask and the reaction was allowed to stir for 2 h at room temperature. The solution was filtered over Celite and then concentrated to afford (*R*)-*N*-(*tert*-butyl)pyrrolidin-3-amine (0.12 g, 94% yield) as a colorless oil.

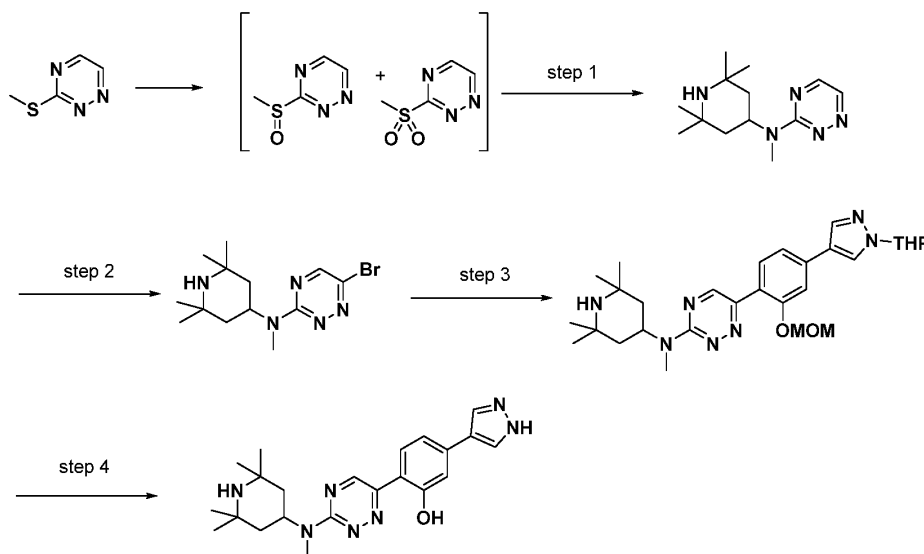
¹H NMR (methanol-*d*₄) δ: 4.23 (dq, *J*= 14.0, 7.2 Hz, 1H), 3.83 (dd, *J*= 12.5, 9.0 Hz, 1H), 3.62-3.50 (m, 2H), 3.40-3.33 (m, 1H), 2.66-2.58 (m, 1H), 2.30-2.23 (m, 1H), 1.46 (s, 9H).

Using the procedure described, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Structure	Data
	¹ H NMR (methanol- <i>d</i> ₄) δ: 4.23 (dq, <i>J</i> = 15.0, 8.0 Hz, 1H), 3.83 (dd, <i>J</i> = 12.9, 8.3 Hz, 1H), 3.62-3.52 (m, 2H), 3.40-3.33 (m, 1H), 2.65-2.59 (m, 1H), 2.26 (dq, <i>J</i> = 16.3, 8.4 Hz, 1H), 1.46 (s, 9H)

Example 1

Preparation of Compound 15



Step 1: A solution of 3-(methylthio)-1,2,4-triazine (500 mg, 3.9 mmol) in 10 mL of CH₂Cl₂ was cooled in an ice-water batch, and *m*-CPBA (958 mg, 3.9 mmol) was added. The reaction mixture was stirred at 0 °C for 1 h until UPLC showed complete consumption of the starting material. The solvent was removed under reduced pressure without heating. The residue was redissolved in 5

mL of *n*-BuOH, N,2,2,6,6-pentamethylpiperidin-4-amine (1.0 g, 5.9 mmol) was added, and the reaction mixture was heated to 100 °C for 16 h. The reaction mixture was cooled to room temperature, the solvent was removed under reduced pressure. The crude product, N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine, was used as is in the next step.

- 5 Step 2: N-Methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine prepared in step 1 was dissolved in 10 mL of MeOH and 5 mL of water. Bromine (200 μ L, 3.9 mmol) was added, and the reaction mixture was stirred for 30 min at room temperature until UPLC showed full conversion. The solvents were removed under reduced pressure. The residue was dissolved in EtOAc (20 mL) and washed with water followed by brine. The organic layer was dried over
- 10 Na₂SO₄, concentrated, and purified using silica gel chromatography eluting with a MeOH/CH₂Cl₂ gradient (0 to 30% MeOH) to yield 6-bromo-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (367 mg, 29%) as brownish solid.

MS *m/z* 328.2, 330.3 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ : 8.46 (s, 1H), 5.15-5.32 (m, 1H), 3.10 (s, 3H), 2.04-2.09 (m, 2H), 1.96-1.99 (m, 2H), 1.58 (s, 6H), 1.54 (s, 6H), NH not observed.

- 15 Step 3: An oven-dried flask was equipped with a magnetic stir bar and charged with 6-bromo-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (40 mg, 0.12 mmol), 4-[3-(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1-tetrahydropyran-2-yl-pyrazole (61 mg, 0.15 mmol), [1,1'-bis(diphenylphosphino)ferrocene] dichloropalladium(II) (9 mg, 0.012 mmol), and K₂CO₃ (51 mg, 0.37 mmol). The flask was sealed with a rubber septum
- 20 and then evacuated and backfilled with argon. Dioxane (2 mL) and water (0.5 mL) were added, and the reaction was heated to 90 °C for 16 h. The reaction was cooled to room temperature, diluted with water, and extracted with EtOAc. The combined organic layers were dried over Na₂SO₄, concentrated under reduced pressure, and purified by column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0 to 30% MeOH) to provide 6-(2-(methoxymethoxy)-4-(1-
- 25 (tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (41 mg, 63%) as a brownish solid. MS *m/z* 536.5 [M+H]⁺.

Step 4: To a solution of 6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-ylpyrazol-4-yl)phenyl]-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (41 mg, 0.077 mmol) in CH₂Cl₂ (1 mL) and 2 drops of MeOH was added HCl (4 mol/L) in 1,4-dioxane (38 μ L, 0.15

mmol). The reaction was stirred for 5 h until UPLC showed complete consumption of the starting material. The solvents were removed under reduced pressure, and the product was purified by column chromatography eluting with a gradient CH₂Cl₂/MeOH (5 to 30% MeOH). Provided 2-[3-methyl-(2,2,6,6-tetramethyl-4-piperidyl)amino]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol; dihydrochloride (24 mg, 65%) as brownish solid.

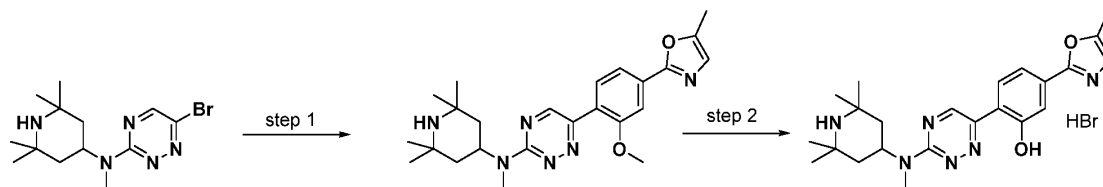
MS *m/z* 408.5 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 9.11 (s, 1H), 8.03 (br. s., 2H), 7.84 (d, *J*=7.9 Hz, 1H), 7.25 (dd, *J*=8.2, 1.9 Hz, 1H), 7.21 (d, *J*=1.9 Hz, 1H), 5.32-5.46 (m, 1H), 3.23 (s, 3H), 1.93-2.10 (m, 3H), 1.65 (s, 6H), 1.54 (s, 6H); 3Hs not observed (2NHs and OH).

Using the procedure described for Example 1, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
10	MS <i>m/z</i> 422.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 11.78 (br s, 1H), 9.65 (s, 1H), 9.43 (s, br, 1H), 9.09 (s, 1H), 8.08 (d, <i>J</i> =8.5 Hz, 1H), 8.03 (s, 1H), 7.38-7.35 (m, 2H), 5.21-5.29 (m, 1H), 3.13 (s, 3H), 2.38 (s, 3H), 2.09 (t, <i>J</i> =12.0 Hz, 2H), 1.78 (d, <i>J</i> =12.0 Hz, 2H), 1.52 (s, 6H), 1.51 (s, 6H)
50	MS <i>m/z</i> 426.6 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 11.64 (br s, 1H), 9.28 (br s, 1H), 9.10 (s, 1H), 8.22 (br s, 1H), 8.06 (s, 1H), 8.00 (d, <i>J</i> = 8.0 Hz, 1H), 7.56 (d, <i>J</i> = 8.0 Hz, 1H), 7.26 (d, <i>J</i> = 8.5 Hz, 1H), 7.24 (s, 1H), 5.22 (t, <i>J</i> = 13.0 Hz, 1H), 3.11 (s, 3H), 1.94-2.07 (m, 2H), 1.77 (d, <i>J</i> = 10.0 Hz, 2H), 1.49 (s, 6H), 1.46 (s, 6H); 1H is from HCl salt
87	MS <i>m/z</i> 406.5 [M+H] ⁺ . ¹ H NMR (methanol- <i>d</i> ₄) δ: 9.10 (s, 1H), 8.02 (s, 2H), 7.84, (d, <i>J</i> =8.09 Hz, 1H), 7.24 (d, <i>J</i> =8.00 Hz, 1H), 7.21 (s, 1H), 6.00-5.56 (m, 1H) 3.74 (s, 3H), 3.12 (s, 3H), 2.75-2.60 (m, 2H), 2.42-2.30 (m, 2H), 2.29-2.17 (m, 2H), 2.16-1.97 (m, 4H), 1.96-1.87 (m, 2H); 2NHs not observed (NH and OH)
105	MS <i>m/z</i> 393.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 9.13 (s, 1H), 8.77 (dd, <i>J</i> =4.6, 1.5 Hz, 1H), 8.41 (s, 1H), 8.37 (d, <i>J</i> =7.3 Hz, 1H), 7.47 (s, 1H), 7.40 (dd, <i>J</i> =8.2, 4.6 Hz, 1H), 5.36-5.48 (m, 1H), 3.26 (s, 3H), 1.95-2.09 (m, 4H), 1.65 (s, 6H), 1.55 (s, 6H); 2Hs not observed (NH and OH)
125	MS <i>m/z</i> 426.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 9.11 (s, 1H), 7.66-7.83 (m, 2H), 7.50 (br s, 1H), 6.77 (t, <i>J</i> =2.7 Hz, 1H), 5.32-5.45 (m, 1H), 3.23 (s, 3H), 1.92-2.01 (m, 4H), 1.62 (s, 6H), 1.51 (s, 6H); 3Hs not observed (2 NHs and OH)

Example 2

Preparation of Compound 1



Step 1: An oven-dried flask was equipped with a magnetic stir bar and charged with 6-bromo-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (80 mg, 0.24 mmol), 2-[3-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-methyl-oxazole (92 mg, 0.29 mmol), tetrakis(triphenylphosphine)palladium(0) (28 mg, 0.024 mmol), and Na₂CO₃ (77.5 mg, 0.73 mmol). The flask was sealed with a rubber septum, and then evacuated and backfilled with argon. Dioxane (2 mL) and water (0.5 mL) were added, and the reaction was heated to 90 °C for 16 h. The reaction was cooled to room temperature, diluted with water, and extracted with EtOAc. The combined organic layers were dried over Na₂SO₄, concentrated under reduced pressure, and purified by column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0 to 30% MeOH) to provide 6-(2-methoxy-4-(5-methyloxazol-2-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (38 mg, 36%) as a brownish solid. MS *m/z* 437.5 [M+H]⁺.

Step 2: 6-[2-Methoxy-4-(5-methyloxazol-2-yl)phenyl]-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (38 mg, 0.09 mmol) in CH₂Cl₂ (2 mL) was treated with BBr₃ in CH₂Cl₂ (1 mol/L, 0.87 mL). The reaction was stirred at room temperature for 4 h until UPLC showed complete consumption of the starting material. The precipitate was filtered and dried to give 2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(5-methyloxazol-2-yl)phenol hydrobromide (13 mg, 35%) as an orange solid.

MS *m/z* 423.5 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 9.14 (s, 1H), 7.98 (d, *J*=8.2 Hz, 1H), 7.61 (dd, *J*=8.2, 1.6 Hz, 1H), 7.58 (d, *J*=1.6 Hz, 1H), 6.96 (d, *J*=1.3 Hz, 1H), 5.37-5.45 (m, 1H), 3.25 (s, 3H), 2.46 (s, 3H), 1.98-2.05 (m, 4H), 1.66 (s, 6H), 1.55 (s, 6H); 2Hs not observed (NH and OH).

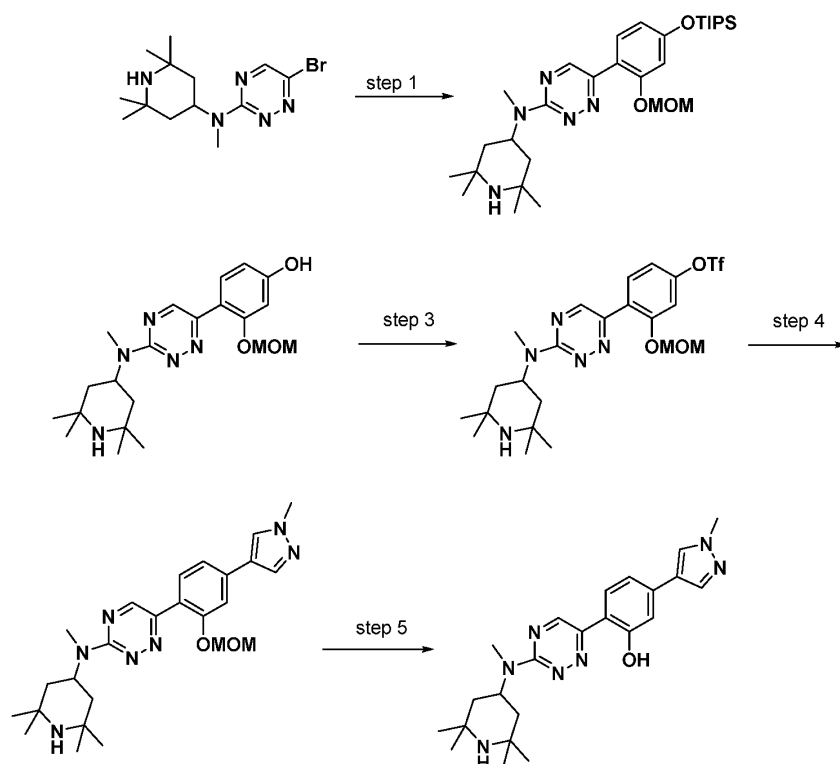
Using the procedure described for Example 2, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
17	MS m/z 408.3 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.33 (d, $J=2.1$ Hz, 1H), 7.74-7.81 (m, 2H), 7.37-7.48 (m, 2H), 6.57 (s, 1H), 6.03 (s, 1H), 4.46-4.59 (m, 1H), 3.21 (s, 3H), 2.06-2.30 (m, 4H), 1.66 (s, 6H), 1.62 (s, 6H); 2Hs not observed (NH and OH).
368	MS m/z 438.5 $[M+H]^+$. ^1H NMR (methanol- d_4): 9.11 (s, 1H), 7.71 (s, 1H), 7.40 (d, $J=8.00$ Hz, 2H), 7.32 (d, $J=8.50$ Hz, 2H), 7.31 (s, 1H), 6.80 (s, 1H), 5.51 (s, 3H), 5.36-5.39 (m, 1H), 4.01 (s, 3H), 1.96-2.05 (m, 4H), 1.66 (s, 6H), 1.54 (s, 6H)
376	MS m/z 410.5 $[M+H]^+$. ^1H NMR (methanol- d_4): 8.89 (s, 1H), 8.06 (s, 2H), 6.85-6.91 (m, 2H), 4.31-4.26 (m, 1H), 4.25-4.17 (m, 1H), 4.02-3.96 (m, 1H), 3.93 (s, 3H), 3.81-3.75 (m, 2H), 2.71-2.60 (m, 1H), 2.33-2.24 (m, 1H), 1.50 (s, 9H); 3Hs not observed (2NHs and OH)

Example 3

Preparation of Compound 16

5



Step 1: An oven-dried flask was equipped with a magnetic stir bar and charged with 6-bromo-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (450 mg, 1.37 mmol), triisopropyl-[3-(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenoxy]silane (658 mg, 1.51 mmol), [1,1'-bis(diphenylphosphino)ferrocene] dichloropalladium(II) (102 mg, 0.14 mmol) and K₂CO₃ (383 mg, 2.74 mmol). The flask was sealed with a rubber septum, and then evacuated and backfilled with argon. Dioxane (4 mL) and water (1 mL) were added and the reaction was heated to 90 °C for 16 h. The reaction was cooled to room temperature, diluted with water (5 mL), and extracted with EtOAc. The combined organic layers were dried over Na₂SO₄, concentrated under reduced pressure, and purified by column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0 to 30% MeOH) to provide crude 6-(2-(methoxymethoxy)-4-((triisopropylsilyl)oxy)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (316 mg, 41%) as a brownish solid. MS *m/z* 558.6 [M+H]⁺.

Step 2: To a solution of 6-[2-(methoxymethoxy)-4-triisopropylsilyloxy-phenyl]-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (316 mg, 0.57 mmol) in dry THF (2 mL) was added a 1.0 M solution of TBAF in THF (1.1 mL, 1.1 mmol). The reaction mixture was stirred at room temperature for 10 min until TLC showed complete consumption of the starting material. The solvent was removed under reduced pressure, and the residue was purified by column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0 to 30% MeOH) to yield 3-(methoxymethoxy)-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol (156 mg, 69%) as a tan oil.

Step 3: To a solution of 3-(methoxymethoxy)-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol in CH₂Cl₂ (3 mL) were added N,N-bis(trifluoromethylsulfonyl)aniline (280 mg, 0.78 mmol) and Et₃N (0.16 mL, 1.2 mmol). The reaction was stirred for 16 h until UPLC showed complete conversion. The solvent was removed under reduced pressure, the residue was purified by column chromatography on silica gel eluting with a EtOAc/hexanes gradient (30-100% EtOAc) to give 3-(methoxymethoxy)-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl trifluoromethanesulfonate (154 mg, 74%). MS *m/z* 534.4 [M+H]⁺.

Step 4: An oven-dried flask was equipped with a magnetic stir bar and charged with 3-(methoxymethoxy)-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl trifluoromethanesulfonate (51 mg, 0.096 mmol), 1-methyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrazole (24 mg, 0.12 mmol), [1,1'-bis(diphenylphosphino)ferrocene] dichloropalladium(II) (7 mg, 0.01 mmol), and K₂CO₃ (40 mg, 0.29 mmol). The flask was sealed with a rubber septum and then evacuated and backfilled with argon. Dioxane (2 mL) and water (0.5 mL) were added and the reaction was heated to 90 °C for 16 h. The reaction was cooled to room temperature, diluted with water, and extracted with EtOAc. The combined organic layers were dried over Na₂SO₄, concentrated under reduced pressure, and purified by column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0 to 30% MeOH) to provide 6-(2-(methoxymethoxy)-4-(1-methyl-1H-pyrazol-4-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (40 mg, 90%). MS *m/z* 466.6 [M+H]⁺.

Step 5: To a solution of 6-(2-(methoxymethoxy)-4-(1-methyl-1H-pyrazol-4-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (40 mg, 0.086 mmol) in CH₂Cl₂ (1 mL), were added 2 drops of MeOH and HCl (4 mol/L) in 1,4-dioxane (43 μL, 0.17 mmol). The reaction was stirred for 16 h at room temperature. The solvents were removed under reduced pressure, and the product was purified by column chromatography eluting with a MeOH/CH₂Cl₂ gradient (5 to 30% MeOH) to provide 2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol.

MS *m/z* 422.5 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 9.37-9.43 (m, 1H), 8.10 (s, 1H), 7.94 (s, 1H), 7.64 (d, *J*=8.2 Hz, 1H), 7.24 (dd, *J*=8.5, 1.8 Hz, 1H), 7.19 (d, *J*=1.8 Hz, 1H), 5.36-5.48 (m, 1H), 3.97 (s, 3H), 3.16 (s, 3H), 2.00-2.15 (m, 4H), 1.64 (s, 6H), 1.58 (s, 6H); 2Hs not observed (OH and NH).

Using the procedure described for Example 3, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

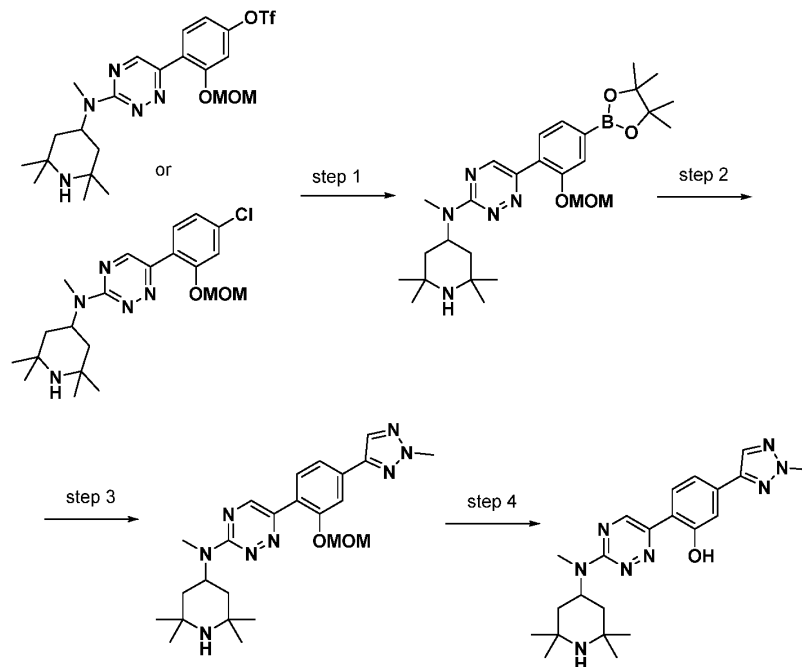
Cpd	Data
8	MS <i>m/z</i> 419.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 11.25 (br s, 1H), 9.09 (s, 1H), 8.58-8.71 (m, 2H), 8.00 (d, <i>J</i> =8.3 Hz, 1H), 7.65-7.76 (m, 2H), 7.41-7.43 (m, 1H), 7.40 (s, 1H), 5.10-5.32 (m, 1H), 3.13 (s, 3H), 1.98 (t, <i>J</i> =13.0 Hz, 2H), 1.82 (dd, <i>J</i> =13.0, 3.7 Hz, 2H), 1.50 (s, 6H), 1.45 (s, 6H); 1H not observed (NH or OH).

Cpd	Data
9	MS m/z 433.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.08 (s, 1H), 8.51 (d, $J=5.1$ Hz, 1H), 7.99 (d, $J=8.1$ Hz, 1H), 7.54-7.63 (m, 1H), 7.49 (dd, $J=5.1$, 1.5 Hz, 1H), 7.38 (dd, $J=8.1$, 1.5 Hz, 1H), 7.35-7.36 (m, 1H), 5.11-5.32 (m, 1H), 3.08 (s, 3H), 2.54 (s, 3H), 1.54 (dd, $J=12.1$, 3.4 Hz, 2H), 1.47 (t, $J=12.1$ Hz, 2H), 1.24 (s, 6H), 1.10 (s, 6H); 2Hs not observed (NH and OH).
60	MS m/z 420.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.61 (s, 1H), 9.28 (br d, $J=5.2$ Hz, 1H), 9.16 (s, 1H), 8.10 (br dd, $J=5.6$, 2.5 Hz, 1H), 8.07 (d, $J=7.9$ Hz, 1H), 7.52 (dd, $J=8.4$, 1.7 Hz, 1H), 7.49 (d, $J=1.8$ Hz, 1H), 5.35-5.48 (m, 1H), 3.25 (s, 3H), 1.95-2.09 (m, 4H), 1.66 (s, 6H), 1.56 (s, 6H); 2Hs not observed (NH and OH)
61	MS m/z 434.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.39 (s, 1H), 9.13 (s, 1H), 8.04 (br d, $J=7.9$ Hz, 1H), 7.95 (s, 1H), 7.37-7.53 (m, 2H), 5.29-5.46 (m, 1H), 3.21 (s, 3H), 2.78 (s, 3H), 1.67-1.85 (m, 4H), 1.47 (s, 6H), 1.35 (s, 6H); 2Hs not observed (NH and OH)
70	MS m/z 419.1 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.08 (s, 1H), 8.91 (s, 1H), 8.59 (d, $J=4.0$ Hz, 1H), 8.09 (d, $J=8.0$ Hz, 1H), 7.98 (d, $J=8.0$ Hz, 1H), 7.48-7.52 (m, 1H), 7.30-7.33 (m, 2H), 5.19 (br s, 1H), 3.08 (s, 3H), 1.45-1.55 (m, 4H), 1.11 (s, 6H), 1.24 (s, 6H); 2Hs not observed (OH and NH)
74	MS m/z 423.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.11 (s, 1H), 7.82 (d, $J=8.4$ Hz, 1H), 7.69 (s, 1H), 7.54 (d, $J=1.1$ Hz, 1H), 7.34-7.39 (m, 2H), 5.33-5.42 (m, 1H), 3.77-3.83 (m, 3H), 3.21 (s, 3H), 1.84-1.99 (m, 4H), 1.59 (br s, 6H), 1.47 (br s, 6H); 1H not observed
77	MS m/z 392.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.41 (s, 2H), 7.66 (dd, $J=8.32$, 1.60 Hz, 1H), 7.31 (d, $J=8.33$ Hz, 1H), 7.28 (s, 1H), 6.00 (s, 1H), 5.98 (s, 1H), 3.1 (s, 3H), 2.58-2.51 (m, 1H), 2.39-2.06 (m, 9H), 2.07-1.88 (m, 1H), 1.89-1.76 (m, 1H); 3Hs not observed (2NHs and OH)
78	MS m/z 364.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.91 (s, 1H), 7.90 (s, 2H), 7.72 (d, $J=8.09$ Hz, 1H), 7.12 (d, $J=8.00$ Hz, 1H), 7.09 (s, 1H), 4.11-4.07 (m, 1H), 4.00-3.96 (m, 2H), 2.39-2.35 (m, 2H), 2.29-2.25 (m, 4H), 2.17-2.01 (m, 4H); 2Hs not observed (OH and NH)
86	MS m/z 420.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.21 (s, 1H), 9.15 (s, 2H), 9.10 (s, 1H), 8.36 (br s, 1H), 8.00 (d, $J=8.4$ Hz, 1H), 7.38-7.42 (m, 2H), 5.23 (br s, 1H), 3.11 (s, 3H), 1.81-1.89 (m, 2H), 1.67-1.71 (m, 2H), 1.41 (s, 6H), 1.33 (s, 6H); 1H not observed (OH or NH)
103	MS m/z 434.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.07 (s, 1H), 8.35 (s, 1H), 7.87-7.90 (m, 1H), 7.50 (d, $J=8.8$ Hz, 2H), 7.17-7.19 (m, 2H), 6.86 (d, $J=8.4$ Hz, 2H), 5.21 (br s, 1H), 3.10 (s, 3H), 1.66-1.82 (m, 4H), 1.39 (s, 6H), 1.30 (s, 6H); 2Hs not observed (OH and NH)
104	MS m/z 435.1 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.07 (s, 1H), 7.89 (d, $J=8.4$ Hz, 1H), 7.84 (dd, $J=9.6$, 2.8 Hz, 1H), 7.75 (d, $J=2.8$ Hz, 1H), 7.17 (dd, $J=8.0$, 1.6 Hz, 2H), 7.13 (d, $J=1.6$ Hz, 2H), 6.44 (d, $J=9.2$ Hz, 1H), 5.19 (br s, 1H), 3.17 (s, 3H), 1.48-1.58 (m, 4H), 1.27 (s, 6H), 1.14 (s, 6H); 1H not observed (OH or NH)

Cpd	Data
124	MS m/z 422.4 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.11 (br s, 1H), 7.88 (br d, $J=5.8$ Hz, 1H), 7.52 (d, $J=1.5$ Hz, 1H), 6.94-7.09 (m, 2H), 6.42 (d, $J=1.5$ Hz, 1H), 5.24-5.42 (m, 1H), 3.94 (s, 3H), 3.16 (s, 3H), 1.70 (dd, $J=12.5, 3.4$ Hz, 2H), 1.62 (t, $J=12.5$ Hz, 2H), 1.38 (s, 6H), 1.26 (s, 6H); 2Hs not observed (NH and OH)
131	MS m/z 458.7 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 12.60 (br s, 1H), 11.30 (br s, 1H), 9.08 (s, 1H), 8.28 (s, 1H), 7.60-8.00 (m, 3H), 7.52 (br s, 1H), 7.28-7.31 (m, 2H), 5.21 (br s, 1H), 3.15 (s, 3H), 1.35-1.55 (m, 4H), 1.24 (s, 6H), 1.00 (s, 6H)
176	MS m/z 473.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.09 (s, 1H), 8.90 (d, $J=2.0$ Hz, 1H), 8.51 (d, $J=2.0$ Hz, 1H), 8.38 (br s, 2H), 8.23 (s, 1H), 7.98 (d, $J=6.0$ Hz, 1H), 7.34-7.36 (m, 2H), 5.21 (m, 1H), 4.11 (s, 3H), 3.17 (s, 3H), 1.60-1.70 (m, 4H), 1.33 (s, 6H), 1.15 (s, 6H)
177	MS m/z 472.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.09 (s, 1H), 8.40 (s, 1H), 8.12 (s, 1H), 8.05 (s, 1H), 7.95 (d, $J=8.8$ Hz, 1H), 7.74 (s, 2H), 7.30-7.32 (m, 2H), 5.21 (m, 1H), 4.08 (s, 3H), 3.10 (s, 3H), 1.63-1.78 (m, 4H), 1.37 (s, 6H), 1.27 (s, 6H); 1H not observed (OH or NH)
188	MS m/z 462.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.09 (s, 1H), 7.82-7.87 (m, 1H), 7.18 (d, $J=7.9$ Hz, 1H), 7.15 (d, $J=7.6$ Hz, 3H), 6.90 (d, $J=7.9$ Hz, 1H), 6.00 (s, 2H), 5.29-5.44 (m, 1H), 3.19 (s, 3H), 1.84-1.96 (m, 4H), 1.56 (s, 6H), 1.44 (s, 6H); 2Hs not observed (NH and OH)
352	MS m/z 395.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 8.98 (s, 1H), 8.41 (br s, 1H), 8.25 (s, 1H), 7.96 (d, $J=8.0$ Hz, 1H), 7.62 (d, $J=1.6$ Hz, 1H), 7.54 (dd, $J=8.0, 1.6$ Hz, 1H), 7.41 (s, 1H), 4.38 (br s, 1H), 1.98 (d, $J=1.6$ Hz, 2H), 1.39-1.54 (m, 2H), 1.27 (s, 6H), 1.02 (s, 6H); 2Hs not observed (OH and NH)

Example 4

Preparation of Compound 23



Step 1: A suspension of 3-(methoxymethoxy)-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl trifluoromethanesulfonate (30 mg, 0.056 mmol, 1.0 equiv), B₂Pin₂ (20 mg, 0.078 mmol, 1.4 equiv), Pd(dppf)Cl₂ (4.6 mg, 0.0056 mmol, 0.1 equiv), and dry KOAc (16 mg, 0.168 mmol, 3.0 equiv) in dioxane (0.9 mL) was sparged with argon for 10 minutes, then heated to 90 °C under argon atmosphere for 2 h, after which complete conversion to 6-(2-(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine was observed. The reaction mixture was then cooled to room temperature and used directly in the next step.

Alternatively, 6-(4-chloro-2-(methoxymethoxy)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine could be used as a starting material for step 1. XPhos Pd G3 (10 mol%) could be used as catalyst in this case, otherwise following the above procedure.

Step 2: To the mixture from Step 1 was added aq. K₂CO₃ (85 μ L, 2M, 3.0 equiv), 4-bromo-2-methyl-2H-1,2,3-triazole (13.6 mg, 0.084 mmol, 1.5 equiv), and Pd(dppf)Cl₂ (4.6 mg, 0.0056 mmol, 0.1 equiv). The mixture was then heated to 95 °C under argon for 12 h. The reaction was cooled to room temperature, filtered over Celite (washed with 10% MeOH/CH₂Cl₂), concentrated, and purified by column chromatography eluting with MeOH/CH₂Cl₂ (5 – 15% MeOH) to afford 6-(2-(methoxymethoxy)-4-(2-methyl-2H-1,2,3-triazol-4-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine which was used in the next step without further purification.

Step 3: 6-(2-(Methoxymethoxy)-4-(2-methyl-2H-1,2,3-triazol-4-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine from Step 2 was dissolved in MeOH (0.5 mL), then HCl (0.5 mL, 4M in dioxane) was added. The solution was stirred at room temperature for 30 minutes. The reaction mixture was concentrated and purified by column chromatography eluting with MeOH/CH₂Cl₂ (10 - 30% MeOH) to afford 2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol (11.5 mg, 50% over 3 steps).

MS m/z 423.3 [M+H]⁺ ¹H NMR (methanol-*d*) δ : 9.12 (s, 1H), 8.04 (s, 1H), 7.87-7.96 (m, 1H), 7.42-7.51 (m, 2H), 5.36 – 5.45 (m, 1H), 4.25 (s, 3H), 3.23 (s, 3H), 1.90-2.07 (m, 4H), 1.65 (s, 6H), 1.53 (s, 6H); 2Hs not observed (NH and OH).

Using the procedure described for Example 4, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
2	MS m/z 450.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.07 (s, 1H), 8.87 (d, <i>J</i> =1.0 Hz, 1H), 8.35 (s, 1H), 7.99 (d, <i>J</i> =8.3 Hz, 1H), 7.84 (d, <i>J</i> =1.7 Hz, 1H), 7.75 (dd, <i>J</i> =8.3, 1.7 Hz, 1H), 7.48 (d, <i>J</i> =1.0 Hz, 1H), 5.13-5.30 (m, 1H), 3.99 (s, 3H), 3.09 (s, 3H), 1.59 (d, <i>J</i> =7.8 Hz, 4H), 1.29 (s, 6H), 1.17 (s, 6H); 1H not observed (NH or OH).
3	MS m/z 449.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.07 (s, 1H), 8.33 (s, 1H), 8.25 (d, <i>J</i> =5.4 Hz, 1H), 7.97 (d, <i>J</i> =8.1 Hz, 1H), 7.33-7.40 (m, 2H), 7.30 (dd, <i>J</i> =5.4, 1.5 Hz, 1H), 7.09 (d, <i>J</i> =0.9 Hz, 1H), 5.11-5.32 (m, 1H), 3.90 (s, 4H), 3.10 (s, 3H), 1.60-1.79 (m, 3H), 1.35 (s, 6H), 1.25 (s, 6H); 1H not observed (NH or OH).

Cpd	Data
4	MS m/z 409.1 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.33 (br. s., 2H), 9.06 (s, 1H), 8.37 (s, 1H), 7.94 (d, $J=8.2$ Hz, 1H), 7.50 (d, $J=1.6$ Hz, 1H), 7.45 (dd, $J=8.2, 1.6$ Hz, 1H), 5.05-5.34 (m, 1H), 3.08 (s, 3H), 1.54 (dd, $J=12.0, 3.7$ Hz, 2H), 1.48 (t, $J=12.0$ Hz, 2H), 1.24 (s, 6H), 1.11 (s, 6H); 1H not observed (NH or OH).
5	MS m/z 435.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.65 (br. s., 1H), 11.12 (br. s., 1H), 9.06 (s, 1H), 8.80 (br. s., 1H), 7.94 (d, $J=8.3$ Hz, 1H), 7.47 (d, $J=6.8$ Hz, 1H), 7.28 (dd, $J=8.3, 1.7$ Hz, 1H), 7.25 (d, $J=1.6$ Hz, 1H), 6.56 (d, $J=1.6$ Hz, 1H), 6.48 (dd, $J=6.8, 1.6$ Hz, 1H), 5.06-5.35 (m, 1H), 3.34 (s, 3H), 1.75-2.02 (m, 4H), 1.48 (s, 6H), 1.40 (s, 6H).
6	MS m/z 422.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.06 (s, 1H), 8.38 (br. s., 1H), 7.86 (d, $J=8.2$ Hz, 1H), 7.82 (s, 1H), 7.10 (d, $J=1.6$ Hz, 1H), 7.07 (dd, $J=8.2, 1.6$ Hz, 1H), 5.07-5.28 (m, 1H), 3.10 (s, 3H), 2.41 (s, 3H), 1.85 (t, $J=12.5$ Hz, 2H), 1.69 (dd, $J=12.5, 3.5$ Hz, 2H), 1.41 (s, 6H), 1.33 (s, 6H); 2Hs not observed (NH and OH).
7	MS m/z 409.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.02 (s, 1H), 8.25 (s, 1H), 8.00 (d, $J=8.2$ Hz, 1H), 7.60 (s, 1H), 7.56 (d, $J=8.2$ Hz, 1H), 7.41 (s, 1H), 5.09-5.37 (m, 1H), 3.08 (s, 3H), 1.41-1.61 (m, 4H), 1.24 (s, 6H), 1.11 (s, 6H); 2Hs not observed (NH and OH).
12	MS m/z 426.4 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.09 (s, 1H), 7.97 (d, $J=2.0$ Hz, 1H), 7.84 (d, $J=8.5$ Hz, 1H), 7.22-7.20 (m, 2H), 5.36 (dt, $J=17.0, 9.0$ Hz, 1H), 3.20 (s, 3H), 1.96 (d, $J=8.0$ Hz, 4H), 1.61 (s, 6H), 1.50 (s, 6H); 3Hs not observed (2NHs and OH).
18	MS m/z 440.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.10 (s, 1H), 7.93 (d, $J=2.3$ Hz, 1H), 7.85 (d, $J=8.9$ Hz, 1H), 7.14-7.23 (m, 2H), 5.32-5.39 (m, 1H), 3.83 (s, 3H), 3.20 (s, 3H), 1.91-2.00 (m, 4H), 1.61 (s, 6H), 1.50 (s, 6H); 2H not observed (NH and OH).
53	MS m/z 424.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 8.97 (s, 1H), 7.82 (d, $J=8.09$ Hz, 1H), 7.52 (dd, $J=5.04, 0.92$ Hz, 1H), 7.47 (dd, $J=3.51, 0.91$ Hz, 1H), 7.22-7.17 (m, 2H), 7.09-7.07 (m, 1H), 5.21-5.08 (m, 1H), 3.03 (s, 3H), 2.00-1.66 (m, 4H), 1.38 (s, 12H); 2Hs not observed (NH and OH).
54	MS m/z 438.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.05 (s, 1H), 7.89 (d, $J=8.09$ Hz, 1H), 7.37 (s, 1H), 7.25 (dd, $J=8.24, 1.53$ Hz, 1H), 7.22 (s, 1H), 7.17 (s, 1H), 5.28-5.17 (m, 1H), 3.12 (s, 3H), 2.27 (s, 3H), 2.04-1.74 (m, 4H), 1.38 (s, 12H); 2Hs not observed (NH and OH).
56	MS m/z 449.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.06 (s, 1H), 7.94 (d, $J=8.0$ Hz, 1H), 7.82 (d, $J=6.8$ Hz, 1H), 7.28-7.31 (m, 2H), 6.64 (d, $J=2.0$ Hz, 1H), 6.55 (dd, $J=7.2, 2.0$ Hz, 1H), 5.23 (br s, 1H), 3.92 (s, 3H), 3.11 (s, 3H), 1.83-1.91 (m, 2H), 1.68-1.73 (m, 2H), 1.43 (s, 6H), 1.37 (s, 6H); 2Hs not observed (OH and NH).
57	MS m/z 442.5 [M+H] ⁺ , 444.5 [M+2+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.09 (s, 1H), 8.29 (s, 1H), 7.92 (d, $J=11.0$ Hz, 1H), 7.55-7.64 (m, 1H), 7.27-7.40 (m, 1H), 5.25-5.42 (m, 1H), 3.17 (s, 3H), 1.70 (ddd, $J=8.7, 5.3, 3.8$ Hz, 2H), 1.60 (dd, $J=14.6, 12.5$ Hz, 2H), 1.40 (s, 6H), 1.27 (s, 6H); 3Hs not observed (2 NHs and OH).

Cpd	Data
58	MS m/z 425.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.14 (s, 1H), 7.98 (d, <i>J</i> =8.9 Hz, 1H), 7.95-8.00 (m, 1H), 7.92 (d, <i>J</i> =3.4 Hz, 1H), 7.67 (d, <i>J</i> =3.2 Hz, 1H), 7.57-7.61 (m, 2H), 5.35-5.46 (m, 1H), 3.25 (s, 3H), 2.01 (s, 4H), 1.66 (s, 6H), 1.55 (s, 6H); 1H not observed (NH or OH)
62	MS m/z 423.6 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.04 (s, 1H), 8.55 (s, 1H), 7.92 (d, <i>J</i> = 8.2 Hz, 1H), 7.66 (d, <i>J</i> = 1.5 Hz, 1H), 7.59 (dd, <i>J</i> = 7.9, 1.5 Hz, 1H), 5.18-5.29 (m, 1H), 3.94 (s, 3H), 3.13 (s, 3H), 1.94-2.06 (m, 2H), 1.75-1.87 (m, 2H), 1.52 (s, 6H), 1.48 (s, 6H); 2Hs not observed (OH and NH)
64	MS m/z 439.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 8.78 (s, 1H), 8.20 (s, 1H), 7.82 (d, <i>J</i> = 7.93 Hz, 1H), 7.40 (s, 1H), 7.31 (d, <i>J</i> = 8.01, 1.60 Hz, 1H), 5.31-5.15 (m, 1H), 3.94 (s, 3H), 3.11 (s, 3H), 2.09-1.7 (m, 4H), 1.46 (s, 12H); 2Hs not observed (NH and OH)
65	MS m/z 426.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.15 (s, 1H), 8.81 (s, 1H), 8.02 (d, <i>J</i> = 7.9 Hz, 1H), 7.65-7.70 (m, 2H), 5.34-5.47 (m, 1H), 3.25 (s, 3H), 1.96-2.03 (m, 4H), 1.64 (s, 6H), 1.53 (s, 6H); 2Hs not observed (NH and OH).
66	MS m/z 440.5 [M+H] ⁺ ; ¹ H NMR (chloroform- <i>d</i>) δ : 9.12 (s, 1H), 8.00 (d, <i>J</i> =8.1 Hz, 1H), 7.96-8.04 (m, 1H), 7.57-7.62 (m, 2H), 5.29-5.46 (m, 1H), 3.22 (s, 3H), 2.69 (s, 3H), 1.93-2.01 (m, 4H), 1.61 (s, 6H), 1.50 (s, 6H); 1H not observed (NH or OH)
71	MS m/z 419.1 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.07 (s, 1H), 8.69 (d, <i>J</i> = 4.4 Hz, 1H), 8.38 (br s, 1H), 7.94-7.98 (m, 2H), 7.87-7.92 (m, 1H), 7.78 (d, <i>J</i> = 1.6 Hz, 1H), 7.66 (dd, <i>J</i> = 6.4, 1.6 Hz, 1H), 7.36-7.40 (m, 1H), 5.23 (br s, 1H), 3.10 (s, 3H), 1.75-1.82 (m, 2H), 1.64-1.68 (m, 2H), 1.38 (s, 6H), 1.29 (s, 6H); 1H not observed (OH or NH)
75	MS m/z 422.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.10 (s, 1H), 7.84 (d, <i>J</i> =8.9 Hz, 1H), 7.69 (s, 1H), 7.54 (d, <i>J</i> =1.1 Hz, 1H), 7.34-7.38 (m, 2H), 5.32-5.46 (m, 1H), 3.80 (s, 3H), 3.21 (s, 3H), 1.87-1.98 (m, 4H), 1.59 (s, 6H), 1.47 (s, 6H); 2Hs not observed (NH and OH)
76	MS m/z 422.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.00 (s, 1H), 7.75 (d, <i>J</i> = 7.78 Hz, 1H), 7.52 (d, <i>J</i> = 2.29 Hz, 1H), 7.31 (s, 1H), 7.29 (s, 1H), 6.55 (d, <i>J</i> = 2.29 Hz, 1H), 5.37-5.18 (m, 1H), 3.84 (s, 3H), 3.11 (s, 3H), 1.91-1.83 (m, 4H), 1.53 (s, 6H), 1.42 (s, 6H); 2Hs not observed (NH and OH)
80	MS m/z 459.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.15-9.18 (m, 1H), 9.10 (br d, <i>J</i> =13.3 Hz, 2H), 8.10-8.15 (m, 1H), 7.96 (d, <i>J</i> =9.0 Hz, 1H), 7.86 (s, 1H), 7.69-7.72 (m, 1H), 7.63 (d, <i>J</i> =7.0 Hz, 1H), 5.35-5.46 (m, 1H), 3.25 (s, 3H), 1.99-2.09 (m, 4H), 1.66 (s, 6H), 1.56 (s, 6H); 2Hs not observed (NH and OH)
81	MS m/z 424.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.13 (s, 1H), 7.98-8.12 (m, 1H), 7.55-7.72 (m, 2H), 5.34-5.47 (m, 1H), 3.24 (s, 3H), 2.66 (s, 3H), 1.86-2.01 (m, 4H), 1.62 (s, 6H), 1.51 (s, 6H); 2Hs not observed (OH and NH)

Cpd	Data
83	MS m/z 420.1 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.27 (d, J = 1.2 Hz, 1H), 9.07 (s, 1H), 8.74 (dd, J = 2.4, 1.6 Hz, 1H), 8.63 (d, J = 2.4 Hz, 1H), 8.01 (d, J = 8.0 Hz, 1H), 7.78 (d, J = 1.6 Hz, 1H), 7.74 (dd, J = 8.4, 1.6 Hz, 1H), 5.21 (br s, 1H), 3.09 (s, 3H), 1.45-1.58 (m, 4H), 1.25 (s, 6H), 1.06 (s, 6H); 2Hs not observed (OH and NH)
84	MS m/z 420.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.23 (dd, J = 4.8, 1.2 Hz, 1H), 9.09 (s, 1H), 8.36 (br s, 1H), 8.23 (d, J = 8.0 Hz, 1H), 8.03 (d, J = 8.0 Hz, 1H), 7.86 (d, J = 8.4 Hz, 1H), 7.70-7.82 (m, 1H), 7.72 (dd, J = 8.4, 1.6 Hz, 1H), 5.23 (br s, 1H), 3.07 (s, 3H), 1.78-1.85 (m, 2H), 1.67-1.71 (m, 2H), 1.40 (s, 6H), 1.24 (s, 6H); 1H not observed (OH or NH)
85	MS m/z 420.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.05 (s, 1H), 8.93 (d, J = 4.8 Hz, 2H), 8.06 (s, 1H), 7.99 (s, 2H), 7.47 (t, J = 4.8 Hz, 1H), 5.23 (br s, 1H), 3.08 (s, 3H), 1.44-1.56 (m, 4H), 1.25 (s, 6H), 1.11 (s, 6H); 2Hs not observed (OH and NH)
88	MS m/z 451.6 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.08-9.24 (m, 1H), 8.95 (s, 1H), 8.00 (s, 1H), 7.78-7.92 (m, 2H), 5.27-5.42 (m, 1H), 3.37 (s, 3H), 3.16 (s, 3H), 1.53-1.76 (m, 4H), 1.36 (s, 6H), 1.24 (s, 6H); 2Hs not observed (NH and OH)
91	MS m/z 409.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.09 (s, 1H), 8.29 (s, 1H), 7.90 (d, J =7.2 Hz, 1H), 7.59 (s, 1H), 7.29-7.41 (m, 2H), 5.29-5.40 (m, 1H), 3.18 (s, 3H), 1.64-1.80 (m, 4H), 1.42 (s, 6H), 1.30 (s, 6H); 2Hs not observed (NH and OH)
92	MS m/z 459.6 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.17 (s, 1H), 8.22 (s, 1H), 8.11 (d, J =9.6 Hz, 1H), 8.03 (d, J =7.9 Hz, 1H), 7.79-7.85 (m, 2H), 7.69-7.74 (m, 2H), 5.41 (br s, 1H), 3.25 (s, 3H), 1.96-2.03 (m, 4H), 1.65 (s, 6H), 1.53 (s, 6H); 2Hs not observed (NH and OH)
97	MS m/z 458.6 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.37 (br d, J =10.38 Hz, 1H), 9.09 (s, 1H), 8.29 (br d, J =10.83 Hz, 1H), 8.15 (s, 1H), 8.05 (s, 1H), 7.94 (d, J =7.93 Hz, 1H), 7.62-7.70 (m, 2H), 7.28-7.33 (m, 2H), 5.23 (br s, 1H), 3.12 (s, 3H), 2.06 (br t, J =12.82 Hz, 2H), 1.74-1.82 (m, 2H), 1.52 (s, 6H), 1.50 (s, 6H); 1H not observed (NH or OH)
98	MS m/z 437.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.08 (s, 1H), 8.68-8.73 (m, 1H), 7.91-8.00 (m, 2H), 7.80 (s, 1H), 7.70 (d, J = 7.6 Hz, 1H), 7.32 (br s, 1H), 5.21 (br s, 1H), 3.08 (s, 3H), 1.44-1.55 (m, 4H), 1.24 (s, 6H), 1.03 (s, 6H); 2Hs not observed (OH and NH)
101	MS m/z 448.6 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.09-9.11 (m, 1H), 8.09-8.13 (m, 1H), 7.86 (s, 1H), 7.82 (d, J =8.2 Hz, 1H), 7.19 (dd, J =6.6, 1.5 Hz, 1H), 7.17 (d, J =1.7 Hz, 1H), 5.32-5.43 (m, 1H), 3.72 (tt, J =7.3, 3.8 Hz, 1H), 3.22 (s, 3H), 1.97-2.00 (m, 4H), 1.63 (s, 6H), 1.52 (s, 6H), 1.14-1.18 (m, 2H), 1.07-1.13 (m, 2H); 2Hs not observed (NH and OH)
102	MS m/z 420.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.27 (s, 1H), 9.07 (s, 1H), 8.87 (d, J = 5.2 Hz, 1H), 8.36 (br s, 1H), 8.08 (d, J = 5.2 Hz, 1H), 8.02 (d, J = 8.4 Hz, 1H), 7.89 (s, 1H), 7.78 (d, J = 8.0 Hz, 1H), 5.23 (br s, 1H), 3.17 (s, 3H), 1.63-1.75 (m, 4H), 1.35 (s, 6H), 1.25 (s, 6H); 1H not observed (OH or NH)

Cpd	Data
106	MS m/z 410.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.14 (s, 1H), 9.06 (s, 1H), 8.06 (d, J = 7.3 Hz, 1H), 7.63-7.75 (m, 2H), 5.31-5.48 (m, 1H), 3.22 (s, 3H), 1.74-1.96 (m, 4H), 1.54 (s, 6H), 1.42 (s, 6H); 2Hs not observed (OH and NH)
107	MS m/z 395.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.27 (s, 1H), 8.82 (d, J = 12.0 Hz, 1H), 8.10 (d, J = 12.0 Hz, 1H), 7.91 (d, J = 8.0 Hz, 1H), 7.77 (s, 1H), 7.51 (s, 1H), 7.44 (dd, J = 8.0, 1.5 Hz, 1H), 6.72 (d, J = 2.0 Hz, 1H), 5.67-5.61 (m, 1H), 2.37 (dd, J = 13.5, 4.0 Hz, 2H), 1.82 (t, J = 12.0 Hz, 2H), 1.51 (s, 6H), 1.47 (s, 6H)
108	MS m/z 409.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.27 (s, 1H), 9.02 (d, J = 11.5 Hz, 1H), 8.25 (d, J = 11.5 Hz, 1H), 7.90 (d, J = 8.0 Hz, 1H), 7.77 (d, J = 2.0, 1H), 7.50 (d, J = 1.5 Hz, 1H), 7.41 (dd, J = 8.0, 1.5 Hz, 1H), 6.70 (d, J = 2.0 Hz, 1H), 5.65-5.60 (m, 1H), 3.90 (s, 3H), 2.35 (dd, J = 13.0, 3.5 Hz, 2H), 1.84 (t, J = 12.5 Hz, 2H), 1.52 (s, 6H), 1.49 (s, 6H)
111	MS m/z 408.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.13 (s, 1H), 7.91 (d, J = 8.09 Hz, 1H), 7.71 (s, 1H), 7.43 (s, 2H), 6.73 (s, 1H), 5.42-5.35 (m, 1H), 3.23 (s, 3H), 2.04-1.97 (m, 4H), 1.66 (s, 6H), 1.54 (s, 6H); 3Hs not observed (2 NHs and OH)
112	MS m/z 438.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.12-9.13 (m, 1H), 8.81 (s, 2H), 8.00-8.03 (m, 2H), 7.95 (d, J =8.5 Hz, 1H), 5.32-5.43 (m, 1H), 3.21 (s, 3H), 1.77-1.88 (m, 4H), 1.50 (s, 6H), 1.38 (s, 6H); 2Hs not observed (NH and OH)
123	MS m/z 454.4 [M+H]; ¹ H NMR (methanol- d_4) δ : 9.15 (s, 1H), 8.22 (d, J =8.9 Hz, 1H), 8.02 (d, J =7.5 Hz, 1H), 7.84 (d, J =9.0 Hz, 1H), 7.76 (s, 1H), 7.71 (br d, J =8.2 Hz, 1H), 5.32-5.45 (m, 1H), 3.22 (s, 3H), 1.85-1.92 (m, 4H), 1.55 (s, 6H), 1.43 (s, 6H); 2Hs not observed (NH and OH)
128	MS m/z 434.4 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.14 (s, 1H), 8.73 (s, 2H), 7.98-8.01 (m, 2H), 7.97 (s, 1H), 5.34-5.46 (m, 1H), 3.23 (s, 3H), 2.40 (s, 3H), 1.96-2.00 (m, 4H), 1.62 (s, 6H), 1.51 (s, 6H); 2Hs not observed (NH and OH)
129	MS m/z 434.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.17 (s, 1H), 8.69 (d, J =4.9 Hz, 1H), 8.01-8.07 (m, 2H), 7.98 (s, 1H), 7.28-7.31 (m, 1H), 5.36-5.45 (m, 1H), 3.24 (s, 3H), 2.62 (s, 3H), 1.99-2.05 (m, 4H), 1.66 (s, 6H), 1.55 (s, 6H); 2Hs not observed (NH and OH)
130	MS m/z 454.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.15 (s, 1H), 8.70 (d, J =5.0 Hz, 1H), 8.01 (d, J =9.6 Hz, 1H), 7.97 (d, J =5.2 Hz, 1H), 7.78-7.85 (m, 2H), 5.34-5.46 (m, 1H), 3.24 (s, 3H), 1.92-1.98 (m, 4H), 1.60 (s, 6H), 1.49 (s, 6H); 2Hs not observed (NH and OH)
132	MS m/z 459.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.10 (s, 1H), 8.85 (d, J = 2.0 Hz, 1H), 8.49 (d, J = 2.0 Hz, 1H), 8.39 (br s, 1H), 8.22 (s, 1H), 7.97 (d, J = 8.0 Hz, 1H), 7.33-7.36 (m, 2H), 5.23 (br s, 1H), 3.11 (s, 3H), 1.74-1.82 (m, 2H), 1.64-1.67 (m, 2H), 1.38 (s, 6H), 1.29 (s, 6H); 2Hs not observed (OH and NH)

Cpd	Data
134	MS m/z 436.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.19-9.38 (m, 1H), 8.05 (d, J =10.1 Hz, 1H), 7.82 (d, J =7.9 Hz, 1H), 7.32 (s, 1H), 7.20 (dd, J =7.9, 1.2 Hz, 1H), 7.07 (d, J =10.1 Hz, 1H), 5.28-5.38 (m, 1H), 3.16 (s, 3H), 1.68 (br dd, J =12.8, 2.2 Hz, 2H), 1.61 (t, J =12.8 Hz, 2H), 1.37 (s, 6H), 1.25 (s, 6H); 3Hs not observed (NH and 2 OHs)
136	MS m/z 459.1 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 13.40 (br s, 1H), 11.30 (br s, 1H), 9.07 (s, 1H), 8.37 (s, 1H), 8.11 (d, J = 8.8 Hz, 1H), 7.97-8.00 (m, 2H), 7.82 (d, J = 1.2 Hz, 1H), 7.72 (d, J = 8.0 Hz, 1H), 5.19-5.22 (m, 1H), 3.08 (s, 3H), 1.44-1.55 (m, 4H), 1.25 (s, 6H), 1.11 (s, 6H); 1H not observed (OH or NH)
141	MS m/z 487.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.14 (s, 1H), 7.97 (d, J =8.4 Hz, 1H), 7.92 (s, 1H), 7.62-7.67 (m, 2H), 7.57 (s, 1H), 5.34-5.46 (m, 1H), 3.24 (s, 3H), 2.68 (s, 3H), 2.50 (s, 3H), 1.98-2.05 (m, 4H), 1.66 (s, 6H), 1.56 (s, 6H); 2Hs not observed (NH and OH)
142	MS m/z 473.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.16 (s, 1H), 7.93-8.04 (m, 3H), 7.72 (d, J =10.2 Hz, 1H), 7.65-7.70 (m, 2H), 5.34-5.47 (m, 1H), 3.25 (s, 3H), 2.51 (s, 3H), 2.01 (br d, J =7.8 Hz, 4H), 1.65 (s, 6H), 1.55 (s, 6H); 2Hs not observed (NH and OH)
143	MS m/z 424.6 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.01-9.14 (m, 2H), 7.99-8.11 (m, 2H), 7.72 (d, J = 1.5 Hz, 1H), 7.65 (dd, J = 8.2, 1.5 Hz, 1H), 5.18-5.30 (m, 1H), 4.45 (s, 3H), 3.14 (s, 3H), 2.01 (t, J = 13.0 Hz, 2H), 1.78-1.87 (m, 2H), 1.52 (s, 6H), 1.48 (s, 6H)
144	MS m/z 451.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.16 (s, 1H), 8.04 (d, J =8.2 Hz, 2H), 7.74 (d, J =1.5 Hz, 1H), 7.70 (dd, J =8.2, 1.5 Hz, 1H), 5.36-5.46 (m, 1H), 4.23 (s, 3H), 3.25 (s, 3H), 1.94-2.08 (m, 4H), 1.65 (s, 6H), 1.54 (s, 6H); 2Hs not observed (NH and OH)
145	MS m/z 459.0 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.09 (s, 1H), 8.80 (s, 1H), 8.10 (d, J = 1.6 Hz, 1H), 7.97 (d, J = 8.0 Hz, 1H), 7.89 (d, J = 8.0 Hz, 1H), 7.73 (dd, J = 8.4 , 1.6 Hz, 1H), 7.33-7.37 (m, 2H), 5.20 (br s, 1H), 3.09 (s, 3H), 1.44-1.56 (m, 4H), 1.24 (s, 6H), 1.09 (s, 6H); 2Hs not observed (OH and NH)
146	MS m/z 450.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.20-9.27 (m, 1H), 9.07 (s, 1H), 8.58 (s, 1H), 8.14-8.22 (m, 1H), 7.95 (d, J = 8.2 Hz, 1H), 7.73 (d, J = 1.8 Hz, 1H), 7.63 (dd, J = 8.2, 1.8 Hz, 1H), 6.93 (s, 1H), 5.18-5.30 (m, 1H), 3.45 (s, 3H), 3.13 (s, 3H), 2.04 (t, J = 12.8 Hz, 2H), 1.79-1.84 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H)
147	MS m/z 450.6 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.17-9.27 (m, 1H), 9.10 (s, 1H), 8.32 (d, J = 2.4 Hz, 1H), 8.12-8.21 (m, 1H), 8.00 (d, J = 8.2 Hz, 1H), 7.43 (dd, J = 8.1, 1.7 Hz, 1H), 7.39 (d, J = 1.5 Hz, 1H), 7.18 (d, J = 2.1 Hz, 1H), 5.18-5.30 (m, 1H), 3.70 (s, 3H), 3.13 (s, 3H), 2.04 (t, J = 12.8 Hz, 2H), 1.78-1.84 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H)

Cpd	Data
152	MS m/z 459.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.16 (d, J = 7.6 Hz, 1H), 9.12 (s, 1H), 8.90-8.98 (m, 1H), 8.28 (s, 1H), 8.27 (s, 1H), 8.02 (d, J = 8.2 Hz, 1H), 7.90-7.98 (m, 1H), 7.52 (d, J = 7.6 Hz, 1H), 7.46 (d, J = 8.2 Hz, 1H), 7.42 (s, 1H), 5.17-5.30 (m, 1H), 3.14 (s, 3H), 1.95-2.05 (m, 2H), 1.80-1.89 (m, 2H), 1.52 (s, 6H), 1.47 (s, 6H)
155	MS m/z 460.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.40 (d, J = 7.0 Hz, 1H), 9.09-9.15 (m, 2H), 8.53 (s, 1H), 8.03-8.12 (m, 2H), 7.80 (d, J = 7.3 Hz, 1H), 7.56 (d, J = 8.2 Hz, 1H), 7.52 (s, 1H), 5.19-5.30 (m, 1H), 3.14 (s, 3H), 2.03 (t, J = 13.0 Hz, 2H), 1.83 (d, J = 12.5 Hz, 2H), 1.53 (s, 6H), 1.49 (s, 6H)
156	MS m/z 426.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.10 (s, 1H), 7.92 (d, J = 8.00 Hz, 1H), 7.68 (d, J = 4.00 Hz, 1H), 7.44 (s, 2H), 5.44-5.34, (m, 1H), 3.23 (s, 3H), 2.01 (d, J =7.5 Hz, 4H), 1.65 (s, 6H), 1.54, (s, 6H); 3Hs not observed (2 NHs and OH)
158	MS m/z 459.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.16 (s, 1H), 9.07 (s, 1H), 8.86 (s, 1H), 8.38 (s, 1H), 7.97-7.99 (m, 1H), 7.92-7.93 (m, 1H), 7.80 (d, J = 1.2 Hz, 1H), 7.71-7.73 (m, 1H), 6.69 (d, J = 3.2 Hz, 1H), 5.21 (br s, 1H), 3.13 (s, 3H), 1.54-1.60 (m, 4H), 1.33 (s, 6H), 1.21 (s, 6H); 1H not observed (OH or NH)
163	MS m/z 473.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.65 (s, 1H), 9.11 (s, 1H), 8.41 (d, J =8.54 Hz, 1H), 8.20 (d, J =8.54 Hz, 1H), 8.03 (d, J =8.09 Hz, 1H), 7.93 (s, 1H), 7.80 (d, J =7.93 Hz, 1H), 5.26 (br s, 1H), 4.08 (s, 3H), 3.13 (s, 3H), 2.15 (t, J =12.82 Hz, 2H) 1.75 (d, J =12.36 Hz, 2H) 1.54 (s, 12H); 2Hs not observed (NH and OH)
164	MS m/z 473.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.09 (s, 1H), 8.48 (s, 1H), 8.14 (d, J =8.09 Hz, 1H), 7.98 (d, J =8.39 Hz, 1H), 7.92 (d, J =8.39 Hz, 1H), 7.84 - 7.89 (m, 1H), 7.72 (d, J =8.24 Hz, 1H), 5.26 (br s, 1H) 3.91 (s, 3 H), 3.13 (s, 3H), 2.11 (t, J =12.82 Hz, 2H), 1.77 (d, J =11.60 Hz, 2H), 1.54 (s, 6H), 1.53 (s, 6H); 2Hs not observed (NH and OH)
168	MS m/z 464.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.10-9.13 (m, 1H), 7.87 (d, J =7.9 Hz, 1H), 7.18-7.26 (m, 2H), 6.58 (s, 1H), 5.34-5.44 (m, 1H), 3.23 (s, 3H), 2.21 (s, 3H), 1.98-2.05 (m, 4H), 1.65 (s, 6H), 1.56 (s, 6H); 5Hs not observed (3 NHs and 2 OHs)
169	MS m/z 488.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.13 (s, 1H), 7.95 (d, J =7.8 Hz, 1H), 7.80 (s, 1H), 7.66-7.71 (m, 2H), 5.33-5.45 (m, 1H), 3.22 (s, 3H), 2.71 (s, 3H), 2.66-2.70 (m, 3H), 1.93 (t, J =10.8 Hz, 4H), 1.59 (s, 6H), 1.47 (s, 6H); 2Hs not observed (NH and OH)
173	MS m/z 474.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.11 (s, 1H), 8.63 (d, J = 8.7 Hz, 1H), 8.09 (d, J = 8.7 Hz, 1H), 8.06 - 8.01 (m, 1H), 7.93 (s, 1H), 7.84 (d, J = 8.1 Hz, 1H), 5.33 - 5.17 (m, 1H), 4.37 (s, 3H), 3.14 (s, 3H), 2.14 - 2.01 (m, 2H), 1.84 - 1.72 (m, 2H), 1.53 (s, 6H), 1.51 (s, 6H); 2Hs not observed (NH and OH)
178	MS m/z 461.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.24 (br s, 1H), 9.11 (s, 1H), 8.97-9.07 (m, 1H), 8.90 (d, J = 9.5 Hz, 1H), 8.45 (d, J = 9.5 Hz, 1H), 8.10 (d, J = 7.9 Hz, 1H), 7.93-8.07 (m, 1H), 7.87 (s, 1H), 7.82 (d, J = 8.2 Hz, 1H), 5.15-5.35 (m, 1H), 3.15 (s, 3H), 1.97-2.06 (m, 2H), 1.80-1.88 (m, 2H), 1.53 (s, 6H), 1.48 (s, 6H); 1H from HCl salt

Cpd	Data
179	MS m/z 450.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.05 (br s, 1H), 9.15 (s, 1H), 9.07 (s, 1H), 8.00-8.08 (m, 2H), 7.87 (s, 1H), 7.78 (d, <i>J</i> = 8.2 Hz, 1H), 5.74 (t, <i>J</i> = 5.8 Hz, 1H), 5.14-5.32 (m, 1H), 4.64 (d, <i>J</i> = 5.8 Hz, 2H), 3.13 (s, 2H), 1.88-2.03 (m, 2H), 1.73-1.85 (m, 2H), 1.48 (s, 6H), 1.43 (s, 6H); 2Hs not observed (OH and NH)
184	MS m/z 459.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 13.75 (br s, 1H), 11.24 (br s, 1H), 9.15 (s, 1H), 9.08 (s, 1H), 8.33 (d, <i>J</i> = 0.8 Hz, 1H), 8.31 (m, 1H), 8.28 (s, 1H), 7.96 (d, <i>J</i> = 8.4 Hz, 1H), 7.80 (d, <i>J</i> = 1.6 Hz, 1H), 7.69-7.71 (m, 1H), 5.22 (br s, 1H), 3.42 (s, 3H), 1.63-1.64 (m, 4H), 1.33 (s, 6H), 1.21 (s, 6H)
185	MS m/z 469.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.31 (d, <i>J</i> = 8.00 Hz, 1H), 9.27-9.23 (m, 2H), 8.69 (s, 1H), 8.61-8.55 (m, 1H), 8.37 (d, <i>J</i> = 9.00 Hz, 1H), 8.20-8.16 (m, 1H), 8.06 (d, <i>J</i> = 8.00 Hz, 1H), 7.54 (d, <i>J</i> = 10 Hz, 1H), 7.52 (s, 1H), 5.47-5.37 (m, 1H), 3.19 (s, 3H), 2.19-2.01 (m, 4H), 1.65 (s, 6H), 1.57 (s, 6H); 2Hs not observed (NH and OH)
186	MS m/z 474.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.02 (s, 1H), 8.41 (d, <i>J</i> = 8.70 Hz, 1H), 8.15 (d, <i>J</i> = 8.70 Hz, 1H), 7.94 (d, <i>J</i> = 8.09 Hz, 1H), 7.84 (s, 1H), 7.72 (d, <i>J</i> = 8.09 Hz, 1H), 5.17 (br s, 1H), 4.30 (s, 3H), 3.05 (s, 3H), 1.99 (t, <i>J</i> = 12.89 Hz, 2H), 1.71 (d, <i>J</i> = 12.51 Hz, 2H), 1.45 (s, 6H), 1.42 (m, 6H); 2Hs not observed (NH and OH)
192	MS m/z 459.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.57 (br s, 1H), 9.42 (s, 1H), 9.12 (s, 1H), 8.45 (br s, 1H), 8.25 (s, 1H), 8.08 (d, <i>J</i> = 10.1 Hz, 1H), 8.01 (d, <i>J</i> = 8.5 Hz, 1H), 7.76 (dd, <i>J</i> = 9.3, 1.4 Hz, 1H), 7.42-7.49 (m, 2H), 5.17-5.31 (m, 1H), 3.13 (s, 3H), 2.13 (t, <i>J</i> = 12.8 Hz, 2H), 1.73-1.80 (m, 2H), 1.54 (s, 6H), 1.53 (s, 6H)
194	MS m/z 460.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.22 (s, 1H), 9.08 (s, 1H), 8.52 (s, 1H), 8.34 (br s, 1H), 8.02 (d, <i>J</i> = 8.4 Hz, 1H), 7.84 (d, <i>J</i> = 2.0 Hz, 1H), 7.78 (dd, <i>J</i> = 8.0, 2.0 Hz, 1H), 5.22 (br s, 1H), 3.14 (s, 3H), 1.60-1.69 (m, 4H), 1.32 (s, 6H), 1.21 (s, 6H); 2Hs not observed (OH and NH)
195	MS m/z 460.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.46 (s, 1H), 9.07 (s, 1H), 8.50 (s, 1H), 8.42 (s, 1H), 8.14 (d, <i>J</i> = 1.6 Hz, 1H), 8.05 (dd, <i>J</i> = 8.0, 1.2 Hz, 1H), 8.00 (d, <i>J</i> = 8.4 Hz, 1H), 5.24 (br s, 1H), 3.11 (s, 3H), 1.64-1.80 (m, 4H), 1.38 (s, 6H), 1.28 (s, 6H); 2Hs not observed (OH and NH)
198	MS m/z 473.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.07 (s, 1H), 8.37 (br s, 1H), 8.33 (s, 1H), 8.25 (d, <i>J</i> = 8.8 Hz, 1H), 8.04 (d, <i>J</i> = 8.8 Hz, 1H), 7.98 (d, <i>J</i> = 8.0 Hz, 1H), 7.83 (d, <i>J</i> = 1.6 Hz, 1H), 7.73 (dd, <i>J</i> = 8.4, 1.6 Hz, 1H), 5.22 (br, 1H), 4.11 (s, 3H), 3.10 (s, 3H), 1.64-1.74 (m, 4H), 1.35 (s, 6H), 1.25 (s, 6H); 1H not observed (OH or NH)
200	MS m/z 473.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.20 (br s, 1H), 9.11 (s, 1H), 8.30 (s, 1H), 7.93-8.03 (m, 2H), 7.87-7.93 (m, 1H), 7.40 (d, <i>J</i> = 8.2 Hz, 1H), 7.37 (s, 1H), 5.18-5.28 (m, 1H), 4.36 (s, 3H), 3.13 (s, 3H), 1.81-1.90 (m, 2H), 1.69-1.81 (m, 2H), 1.46 (s, 6H), 1.38 (s, 6H); 1H not observed (OH or NH)
207	MS m/z 431.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.24-9.38 (m, 2H), 9.11-9.18 (m, 2H), 8.27 (d, <i>J</i> = 7.3 Hz, 2H), 8.01 (d, <i>J</i> = 8.5 Hz, 1H), 7.52 (d, <i>J</i> = 7.3 Hz, 1H), 7.42-7.49 (m, 2H), 4.05-4.21 (m, 3H), 3.80-3.93 (m, 4H), 1.41 (s, 9H)

Cpd	Data
208	MS m/z 444.6 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.04-9.25 (m, 3H), 8.13 (s, 1H), 8.05 (s, 1H), 7.95 (d, J = 7.6 Hz, 1H), 7.74 (s, 2H), 7.29-7.38 (m, 2H), 4.13-4.25 (m, 3H), 4.09 (s, 3H), 3.76-3.97 (m, 4H), 1.40 (s, 9H)
209	MS m/z 392.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.29 (br s, 2H), 9.10 (s, 1H), 8.93 (dd, J = 4.7, 1.1 Hz, 2H), 8.09 (s, 1H), 7.96-8.03 (m, 2H), 7.44-7.51 (m, 1H), 4.05-4.22 (m, 3H), 3.77-3.98 (m, 4H), 1.41 (s, 9H)
210	MS m/z 395.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.17 (br s, 2H), 9.10 (s, 1H), 8.24 (s, 1H), 7.93 (d, J = 7.9 Hz, 1H), 7.48 (s, 1H), 7.44 (d, J = 8.2 Hz, 1H), 4.22 (s, 3H), 3.79-3.92 (m, 3H), 3.55-3.66 (m, 4H), 1.40 (s, 9H)
211	MS m/z 396.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.17 (br s, 2H), 9.10 (s, 1H), 8.24 (s, 1H), 7.93 (d, J = 7.9 Hz, 1H), 7.48 (s, 1H), 7.44 (d, J = 8.2 Hz, 1H), 4.22 (s, 3H), 3.79-3.92 (m, 3H), 3.55-3.66 (m, 4H), 1.40 (s, 9H); 1H from HCl salt
214	MS m/z 421.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 8.10 (d, J =5.80 Hz, 1H), 7.79 (d, J =7.78 Hz, 1H), 7.38 (d, J =7.93 Hz, 1H), 7.35 (s, 1H), 7.23 - 7.21 (m, 1H), 7.16 (s, 1H), 6.01 (s, 1H), 4.36 - 4.32 (m, 1H), 4.12-4.17 (m, 1H), 3.99 - 3.88 (m, 2H), 3.84 (s, 3H), 3.79 - 3.71 (m, 1H), 2.66-2.69 (m, 1H), 2.41-2.48 (m, 1H), 1.51 (s, 9H); 2Hs not observed (NH and OH)
215	MS m/z 446.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.14 (s, 1H), 8.55 - 8.45 (m, 1H), 8.28 - 8.18 (m, 1H), 8.03 - 7.97 (m, 1H), 7.93 (br s, 1H), 7.85 - 7.77 (m, 1H), 4.38 (s, 3H), 3.93 - 3.81 (m, 4H), 3.72 - 3.55 (m, 1H), 1.41 (s, 9H); (4Hs overlapped with residual solvent peaks)
216	MS m/z 422.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.13 (s, 1H), 7.83 (d, J =8.09 Hz, 1H), 7.5 - 7.50 (m, 2H), 7.02 (s, 1H), 6.03 (s, 1H), 4.42 - 4.32 (m, 1H), 4.22 - 4.18 (m, 1H), 4.03 - 3.91 (m, 2H), 3.82 - 3.74 (m, 1H), 3.63-3.69 (m, 3H), 2.73-2.65 (m, 1H), 2.54- 2.42 (m, 1H), 1.53 (s, 9H); 2Hs not observed (NH and OH)
217	MS m/z 422.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.16 (s, 1H), 8.81 (s, 1H), 7.99 (d, J = 8.5 Hz, 1H), 7.70 (s, 1H), 7.67 (d, J = 8.0 Hz, 1H), 7.33 (s, 1H), 4.31-4.21 (m, 2H), 4.06 (s, 3H), 4.01-3.97 (m, 1H), 3.80-3.76 (m, 2H), 2.68-2.62 (m, 1H), 2.31-2.24 (m, 1H), 1.49 (s, 9H); 2Hs not observed (NH and OH)
221	MS m/z 445.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.16 (s, 1H), 8.89 (s, 1H), 8.49 (s, 1H), 8.17 (s, 1H), 7.96 (d, J =8.9 Hz, 1H), 7.29-7.38 (m, 2H), 4.18 (s, 4H), 3.99-4.07 (m, 1H), 3.92-3.99 (m, 1H), 3.67-3.77 (m, 1H), 3.54-3.63 (m, 1H), 2.47-2.60 (m, 1H), 2.08-2.19 (m, 1H), 1.36-1.40 (m, 9H); 2Hs not observed (NH and OH)
222	MS m/z 473.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.19 (s, 1H), 9.13 (s, 1H), 8.41 (s, 1H), 8.15 (s, 1H), 7.94 (d, J =7.9 Hz, 1H), 7.58-7.66 (m, 2H), 5.36 (br d, J =1.7 Hz, 1H), 4.35 (s, 3H), 3.19 (s, 3H), 1.66-1.83 (m, 4H), 1.45 (s, 6H), 1.32 (s, 6H); 2Hs not observed (NH and OH)
225	MS m/z 381.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.13 (s, 1H), 8.20 (s, 1H), 7.92 (d, J = 8.5 Hz, 1H), 7.47-7.46 (m, 2H), 4.26-4.18 (m, 2H), 3.99-3.95 (m, 1H), 3.75-3.72 (m, 2H), 2.65-2.59 (m, 1H), 2.30-2.23 (m, 1H), 1.47 (s, 9H); 1H not observed (NH or OH)

Cpd	Data
227	MS m/z 407.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 8.08 (d, $J=6.87$ Hz, 1H), 7.80 (d, $J=8.24$ Hz, 1H), 7.39 (d, $J=8.24$ Hz, 1H), 7.36 (s, 1H), 7.18 (d, $J=6.71$ Hz, 1H), 7.14 (s, 1H), 6.02 (d, $J=2.6$ Hz, 1H), 4.38 - 4.24 (m, 1H), 4.19 - 4.09 (m, 1H), 4.06 - 3.88 (m, 2H), 3.83-3.79 (m, 4H), 3.64 - 3.53 (m, 1H), 2.73 - 2.59 (m, 1H), 2.55 - 2.38 (m, 1H), 1.41 - 1.53 (m, 6H); 2Hs not observed (NH and OH)
234	MS m/z 408.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 8.76 (s, 1H), 7.70 (d, $J=8.09$ Hz, 1H), 7.54 - 7.50 (m, 2H), 6.92 (s, 1H), 5.94 (m, 1H), 4.29 - 4.20 (m, 1H), 4.17 - 4.08 (m, 1H), 4.06 - 3.90 (m, 2H), 3.82 - 3.72 (m, 1H), 3.68 - 3.59 (m, 3H), 3.59 - 3.45 (m, 1H), 2.69 - 2.39 (m, 2H), 1.47 (br s, 6H); 2Hs not observed (NH and OH)
241	MS m/z 419.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 10.18 (br s, 1H), 9.04 (s, 1H), 7.91 (d, $J=7.9$ Hz, 1H), 7.79 (d, $J=7.2$ Hz, 1H), 7.30 (d, $J=8.2$ Hz, 1H), 7.28 (s, 1H), 6.64 (s, 1H), 6.54 (d, $J=7.0$ Hz, 1H), 4.06 (br s, 2H), 3.98 (br s, 2H), 3.46 (s, 3H), 3.37 (m, 2H), 3.00 (dd, $J=22.1, 10.5$ Hz, 2H), 2.74 (d, $J=4.43$ Hz, 3H), 2.17 (d, 13.7 Hz, 2H), 2.00 (td, $J=13.7, 13.7, 3.5$ Hz, 2H)
242	MS m/z 393.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.13 (br s, 1H), 9.29 (br s, 1H), 9.04 (s, 1H), 8.24 (s, 1H), 7.91 (d, $J=8.1$ Hz, 1H), 7.46 (s, 1H), 7.44 (d, $J=8.1$ Hz, 1H), 4.22 (s, 3H), 4.06 (br s, 2H), 3.97 (br s, 2H), 3.42 (d, $J=12.05$ Hz, 2H), 3.03 (dd, $J=22.3, 11.4$ Hz, 2H), 2.79 (d, $J=4.0$ Hz, 3H), 2.20 (d, $J=13.7$ Hz, 2H), 1.90 (ddd, $J=14.8, 8.9, 3.4$ Hz, 2H); 1H from TFA salt
243	MS m/z 420.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.10 (br s, 1H), 9.26 (br dd, $J=3.5, 2.0$ Hz, 1H), 9.06 (s, 1H), 8.88 (s, 1H), 7.96 (br d, $J=8.1$ Hz, 1H), 7.84 (s, 1H), 7.76 (br d, $J=8.2$ Hz, 1H), 7.47 (s, 1H), 4.07 (br s, 2H), 3.96-4.01 (m, 5H), 2.99-3.07 (m, 2H), 2.77-2.82 (m, 3H), 2.18-2.25 (m, 2H), 1.84-1.95 (m, 2H); 2Hs not observed (CH ₂ obscured by solvent peak); 1H from TFA salt
244	MS m/z 390.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 10.88 (br s, 1H), 9.25 (br s, 1H), 8.97 (s, 1H), 8.87 (d, $J=4.9$ Hz, 2H), 8.00 (s, 1H), 7.93 (d, $J=8.4$ Hz, 1H), 7.89 (d, $J=8.4$ Hz, 1H), 7.40 (t, $J=4.7$ Hz, 1H), 4.00 (br s, 2H), 3.91 (br s, 2H), 2.96 (dd, $J=22.1, 11.6$ Hz, 2H), 2.73 (d, $J=4.1$ Hz, 3H), 2.13 (d, $J=14.0$ Hz, 2H), 1.83 (ddd, $J=14.2, 12.5, 3.4$ Hz, 2H); 2Hs not observed (CH ₂ obscured by solvent peak); 1H from TFA salt
245	MS m/z 379.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 15.02 (br s, 1H), 11.12 (br s, 1H), 9.21 (br s, 1H), 9.05 (s, 1H), 8.27 (br s, 1H), 7.91 (d, $J=8.5$ Hz, 1H), 7.51 (br s, 1H), 7.46 (d, $J=7.4$ Hz, 1H), 4.06 (br s, 2H), 3.97 (br s, 2H), 3.03 (dd, $J=22.4, 10.8$ Hz, 2H), 2.79 (d, $J=4.6$ Hz, 3H), 2.20 (d, $J=13.6$ Hz, 2H), 1.90 (ddd, $J=14.0, 12.8, 4.3$ Hz, 2H); 2Hs not observed (CH ₂ obscured by solvent peak); 1H from TFA salt
246	MS m/z 454.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 8.88 (s, 1H), 7.77 (d, $J=8.2$ Hz, 1H), 7.63 - 7.46 (m, 2H), 6.97 (s, 1H), 6.04 (d, $J=8.9$ Hz, 1H), 3.64 (s, 3H), 2.22 - 2.01 (m, 2H), 1.76 - 1.65 (m, 6H), 1.64 - 1.51 (m, 6H); 4Hs not observed (2 NHs, OH and 1 CH obscured by solvent peak)

Cpd	Data
250	MS m/z 381.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.09 (s, 1H), 8.01 (s, 1H), 7.97 (d, J = 8.0 Hz, 1H), 7.63 (d, J = 9.0 Hz, 2H), 7.33 (s, 1H), 4.09-4.05 (m, 1H), 3.91-3.88 (m, 1H), 3.81-3.74 (m, 1H), 3.66-3.60 (m, 1H), 3.42-3.36 (m, 1H), 2.44-2.37 (m, 1H), 2.02-1.94 (m, 1H), 1.25 (s, 9H); 2Hs not observed (NH and OH)
251	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.06 (br s, 1H), 9.28 (br s, 1H), 9.01 (s, 1H), 7.98 (d, J = 8.1 Hz, 1H), 7.70 (s, 1H), 7.65 (d, J = 8.1 Hz, 1H), 4.45 (s, 3H), 4.07 (br s, 2H), 3.98 (br s, 2H), 3.03 (dd, J = 22.1, 11.0 Hz, 2H), 2.79 (d, J = 4.1 Hz, 3H), 2.20 (d, J = 14.0 Hz, 2H), 1.90 (ddd, J = 14.6, 12.4, 2.6 Hz, 2H); 2Hs not observed (CH ₂ obscured by solvent peak); 1H from TFA salt
252	MS m/z 379.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.08 (br s, 1H), 9.35 (br s, 1H), 9.00 (s, 1H), 8.24 (s, 1H), 7.95 (d, J = 8.1 Hz, 1H), 7.61 (s, 1H), 7.56 (d, J = 8.1 Hz, 1H), 7.41 (s, 1H), 4.06 (s, 2H), 3.96 (s, 2H), 3.02 (dd, J = 22.7, 10.8 Hz, 2H), 2.79 (d, J = 4.1 Hz, 3H), 2.20 (d, J = 14.0 Hz, 2H), 1.90 (ddd, J = 13.9, 13.0, 2.9 Hz, 2H); 2Hs not observed (CH ₂ obscured by solvent peak); 1H from TFA salt
253	MS m/z 408.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.01 (s, 1H), 8.22 (m, 1H), 7.86 (d, J = 8.4 Hz, 1H), 7.75 (d, J = 2.0 Hz, 1H), 7.42 (d, J = 2.0 Hz, 1H), 7.35-7.38 (m, 1H), 6.70 (d, J = 2.4 Hz, 1H), 4.40 (br s, 1H), 3.89 (s, 3H), 2.02-2.09 (m, 2H), 1.46-1.54 (m, 2H), 1.44 (s, 6H), 1.35 (s, 6H); 2Hs not observed (OH and NH)
254	MS m/z 436.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.02 (s, 1H), 8.87 (s, 1H), 8.38 (s, 1H), 7.97 (d, J = 8.4 Hz, 1H), 7.84 (d, J = 1.2 Hz, 1H), 7.73-7.76 (m, 1H), 7.48 (s, 1H), 4.35 (br s, 1H), 3.98 (s, 3H), 1.93-1.96 (m, 2H), 1.35-1.40 (m, 2H), 1.34 (s, 6H), 1.23 (s, 6H); 2Hs not observed (OH and NH)
258	MS m/z 367.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.17 (s, 1H), 8.01 (d, J = 8.5 Hz, 1H), 7.84-7.77 (m, 1H), 7.74-7.72 (m, 2H), 4.25 (q, J = 6.3 Hz, 1H), 4.19 (dd, J = 12.1, 7.0 Hz, 1H), 4.03-3.99 (m, 1H), 3.86-3.78 (m, 2H), 3.63-3.57 (m, 1H), 2.63 (tt, J = 13.2, 6.3 Hz, 1H), 2.31 (dq, J = 14.2, 7.3 Hz, 1H), 1.45 (dd, J = 6.6, 4.0 Hz, 6H); 3Hs not observed (2NHs and OH)
259	MS m/z 382.2 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.14 (s, 1H), 8.20 (s, 1H), 7.92 (d, J = 9.0 Hz, 1H), 7.48-7.46 (m, 2H), 4.23 (dt, J = 13.0, 7.0 Hz, 1H), 4.15 (dd, J = 12.5, 7.5 Hz, 1H), 3.98 (ddd, J = 11.5, 8.5, 5.5 Hz, 1H), 3.83-3.76 (m, 2H), 3.58 (quin, J = 6.5 Hz, 1H), 3.31 (s, 3H), 2.60 (td, J = 13.0, 7.5 Hz, 1H), 2.31-2.24 (m, 1H), 1.42 (dd, J = 6.5, 4.0 Hz, 6H); 1H not observed (NH or OH)
265	MS m/z 408.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.02-9.22 (m, 1H), 8.70-8.83 (m, 1H), 7.84-7.97 (m, 1H), 7.50-7.67 (m, 2H), 7.22-7.38 (m, 1H), 4.05 (s, 3H), 3.93-4.01 (m, 1H), 3.82-3.91 (m, 1H), 3.61-3.70 (m, 2H), 3.36-3.46 (m, 1H), 2.94-3.03 (m, 1H), 2.30-2.39 (m, 1H), 1.85-1.99 (m, 1H), 1.14 (t, J =6.7 Hz, 6H); 2Hs not observed (NH and OH)
266	MS m/z 409.6 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.06-9.13 (m, 1H), 9.04 (s, 1H), 8.25 (s, 1H), 8.12 (br s, 1H), 7.94-8.01 (m, 1H), 7.93 (d, J = 8.2 Hz, 1H), 7.47 (d, J = 1.2 Hz, 1H), 7.43 (dd, J = 8.2, 1.5 Hz, 1H), 4.36-4.46 (m, 1H), 4.22 (s, 3H), 2.05-2.12 (m, 2H), 1.62 (t, J = 12.7 Hz, 2H), 1.50 (s, 6H), 1.44 (s, 6H)

Cpd	Data
267	MS m/z 410.1 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.05-9.15 (m, 1H), 9.00 (s, 1H), 8.15 (br s, 1H), 7.92-8.03 (m, 2H), 7.72 (d, J = 1.5 Hz, 1H), 7.64 (dd, J = 8.2, 1.5 Hz, 1H), 4.33-4.50 (m, 4H), 2.02-2.16 (m, 2H), 1.62 (t, J = 12.8 Hz, 2H), 1.50 (s, 6H), 1.44 (s, 6H)
268	MS m/z 380.4 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.10 (s, 1H), 8.80 (s, 1H), 7.97 (d, J = 8 Hz, 1H), 7.65-7.70 (m, 2H), 7.33 (s, 1H), 4.06 (s, 3H), 3.80-3.95 (m, 2H), 3.70-3.78 (m, 1H), 3.52-3.59 (m, 1H), 3.43-3.48 (m, 1H), 2.47 (s, 3H), 2.29-2.35 (m, 1H), 2.00-2.04 (m, 1H); 2Hs not observed (NH and OH)
269	MS m/z 356.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 8.83 (s, 1H), 7.99 (d, J = 8 Hz, 1H), 7.61-7.72 (m, 2H), 6.04 (s, 1H), 4.03-4.15 (m, 2H), 3.78-3.95 (m, 2H), 3.50-3.54 (m, 1H), 2.65 (s, 3H), 2.58-2.66 (m, 1H), 2.42-2.53 (m, 1H); 2Hs not observed (NH and OH)
270	MS m/z 350.2 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 8.93 (d, J = 5.0 Hz, 2H), 8.02-8.05 (m, 1H), 7.79 (d, J = 8.5 Hz, 2H), 7.48 (t, J = 5.0 Hz, 1H), 6.05 (s, 1H), 4.06-4.16 (m, 2H), 3.75-3.85 (m, 2H), 3.60-3.70 (m, 1H), 2.85 (s, 3H), 2.61-2.69 (m, 1H), 2.40-2.57 (m, 1H); 2Hs not observed (NH and OH)
271	MS m/z 403.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 8.87 (d, J = 2 Hz, 1H), 8.53 (d, J = 2 Hz, 1H), 8.18 (d, J = 3.5 Hz, 1H), 7.76 (d, J = 8.5 Hz, 1H), 7.35 (dd, J = 8 Hz, 2 Hz, 1H), 7.32 (s, 1H), 6.01 (s, 1H), 4.16 (s, 3H), 4.00-4.15 (m, 2H), 3.88-3.95 (m, 2H), 3.80 (m, 1H), 2.84 (s, 3H), 2.60-2.70 (m, 1H), 2.35-2.50 (m, 1H); 2Hs not observed (NH and OH)
277	MS m/z 409.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 7.76 (s, 1H), 7.72 (d, J = 8.2 Hz, 1H), 7.35 (d, J = 8.3 Hz, 1H), 7.31 (s, 1H), 5.98 (s, 1H), 4.42 – 4.32 (m, 1H), 2.67 (s, 3H), 2.30 – 2.17 (m, 2H), 1.86 – 1.73 (m, 2H), 1.63 (s, 3H), 1.62 (s, 3H), 1.55 (s, 3H), 1.53 (s, 3H); 2Hs not observed (NH and OH)
279	MS m/z 406.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.01 (s, 1H), 8.92 (d, J = 5.2 Hz, 2H), 8.06 (s, 1H), 7.98 (s, 2H), 7.47 (t, J = 5.0 Hz, 1H), 4.41 (br s, 1H), 2.02-2.12 (m, 2H), 1.48-1.56 (m, 2H), 1.44 (s, 6H), 1.35 (s, 6H); 3Hs not observed (OH and 2NHs)
280	MS m/z 475.8 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.08 (s, 1H), 8.33 (s, 1H), 7.95 (d, J = 8.0 Hz, 1H), 7.66 (br s, 1H), 7.29-7.37 (m, 3H), 6.56 (s, 1H), 6.32 (s, 1H), 5.20 (br s, 1H), 3.09 (s, 3H), 1.54-1.60 (m, 4H), 1.30 (s, 6H), 1.17 (s, 6H); 1H not observed (OH or NH)
281	MS m/z 474.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.58 (s, 1H), 9.05 (s, 1H), 8.45 (s, 1H), 8.12 (d, J = 1.6 Hz, 1H), 8.05 (dd, J = 8.0, 1.2 Hz, 1H), 8.00 (d, J = 8.0 Hz, 1H), 5.22 (br s, 1H), 4.23 (s, 3H), 3.05 (s, 3H), 1.47-1.58 (m, 4H), 1.26 (s, 6H), 1.06 (s, 6H); 2Hs not observed (OH and NH)

Cpd	Data
283	MS m/z 417.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.26 (s, 1H), 9.17 (s, 1H), 9.07 (s, 1H), 8.17 (s, 1H), 7.97 (d, $J=7.9$ Hz, 1H), 7.86 (d, $J=0.9$ Hz, 1H), 7.71 (s, 1H), 7.61 (dd, $J=8.5, 1.5$ Hz, 1H), 3.67-3.86 (m, 2H), 3.47-3.54 (m, 1H), 3.18-3.38 (m, 2H), 2.84 (dt, $J=12.4, 6.3$ Hz, 1H), 2.10-2.23 (m, 1H), 1.74-1.89 (m, 1H), 1.02 (t, $J=6.4$ Hz, 6H); 2Hs not observed (NH and OH)
284	MS m/z 477.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.82-9.94 (m, 1H), 9.11 (s, 1H), 8.90 (d, $J=2.1$ Hz, 1H), 8.52 (d, $J=2.1$ Hz, 1H), 8.29 (br s, 1H), 8.23 (s, 1H), 8.08-8.17 (m, 1H), 7.99 (d, $J=8.9$ Hz, 1H), 7.34-7.40 (m, 2H), 4.70-4.87 (m, 2H), 4.11 (s, 3H), 1.95-2.06 (m, 1H), 1.84-1.95 (m, 1H), 1.57 (d, $J=18.5$ Hz, 6H), 1.48 (d, $J=6.4$ Hz, 6H)
285	MS m/z 472.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.07 (s, 1H), 8.37 (br s, 2H), 8.25 (s, 1H), 7.93 (d, $J=7.2$ Hz, 2H), 7.67 (d, $J=8.4$ Hz, 1H), 7.61 (d, $J=8.40$ Hz, 1H), 7.31 (d, $J=7.6$ Hz, 2H), 5.22 (br s, 1H), 4.03 (s, 3H), 3.10 (s, 3H), 1.64-1.77 (m, 4H), 1.33 (s, 6H), 1.06 (s, 6H)
287	MS m/z 427.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.07 (s, 1H), 8.97 (d, $J=10.7$ Hz, 1H), 8.25 (s, 1H), 8.20 (br d, $J=12.7$ Hz, 1H), 7.94 (d, $J=8.1$ Hz, 1H), 7.47 (d, $J=1.5$ Hz, 1H), 7.44 (dd, $J=8.0, 1.6$ Hz, 1H), 4.83 (d, $J=49.0$ Hz, 1H), 4.22 (s, 3H), 2.00 (br d, $J=13.3$ Hz, 1H), 1.90-1.96 (m, 1H), 1.53 (br d, $J=19.2$ Hz, 6H), 1.45 (br d, $J=5.5$ Hz, 6H); 2Hs not observed (1 NH and 1 CH obscured by solvent peak)
288	MS m/z 413.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 10.95-11.09 (m, 1H), 8.91-8.99 (m, 2H), 8.19 (d, $J=0.6$ Hz, 1H), 8.12 (br dd, $J=11.7, 1.4$ Hz, 1H), 7.92 (d, $J=8.2$ Hz, 1H), 7.56 (d, $J=1.5$ Hz, 1H), 7.51 (dd, $J=8.2, 1.7$ Hz, 1H), 7.35 (d, $J=0.8$ Hz, 1H), 4.71-4.86 (m, 1H), 1.90-1.98 (m, 1H), 1.83-1.90 (m, 1H), 1.46 (br d, $J=18.9$ Hz, 6H), 1.38 (br d, $J=5.3$ Hz, 6H); 1H not observed (1 CH obscured by solvent peak).
290	MS m/z 454.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.14 (bs, 1H), 9.08 (m, 2H), 8.88 (s, 1H), 8.20 (d, 12.5 Hz, 1H), 7.98 (d, $J=8.2$ Hz, 1H), 7.84 (d, $J=1.7$ Hz, 1H), 7.76 (dd, $J=8.2, 1.7$ Hz, 1H), 7.47 (s, 1H), 4.85 (bd, $J=47.3$ Hz, 1H), 3.99 (s, 3H), 2.01 (t, $J=13.4$ Hz, 1H), 1.93 (dd, $J=13.7, 3.6$ Hz, 1H), 1.55 (s, 3H), 1.51 (s, 3H), 1.46 (d, $J=1.4$ Hz, 3H), 1.44 (s, 3H); 1H not observed (1 CH obscured by solvent peak)
291	MS m/z 428.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.03 (s, 2H), 8.98 (d, $J=10.8$ Hz, 1H), 8.20 (br d, $J=11.3$ Hz, 1H), 8.01 (d, $J=8.1$ Hz, 1H), 7.72 (d, $J=1.4$ Hz, 1H), 7.66 (dd, $J=8.1, 1.7$ Hz, 1H), 4.86 (d, $J=47.9$ Hz, 1H), 4.45 (s, 3H), 2.02 (d, $J=13.6$ Hz, 1H), 1.91-1.98 (m, 1H), 1.55 (s, 3H), 1.51 (s, 3H), 1.46 (br d, $J=0.9$ Hz, 3H), 1.45 (s, 3H); 1H not observed (1 CH obscured by solvent peak)
292	MS m/z 430.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 10.96-11.45 (m, 1H), 9.02-9.10 (m, 2H), 9.00 (s, 1H), 8.20 (br d, $J=13.1$ Hz, 1H), 8.04 (d, $J=8.1$ Hz, 1H), 7.69 (d, $J=1.7$ Hz, 1H), 7.65 (dd, $J=8.1, 1.7$ Hz, 1H), 4.86 (d, $J=47.5$ Hz, 1H), 2.02 (br t, $J=13.4$ Hz, 1H), 1.94 (br dd, $J=13.7, 4.0$ Hz, 1H), 1.55 (s, 3H), 1.51 (s, 3H), 1.46 (br s, 3H), 1.45 (s, 3H); 1H not observed (1 CH obscured by solvent peak)

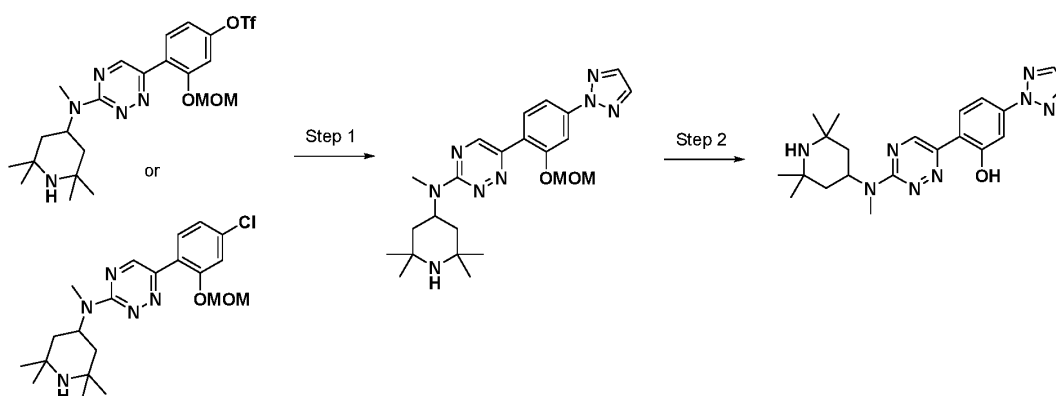
Cpd	Data
294	MS m/z 417.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.13 (br s, 1H), 8.32 (br s, 1H), 8.10-8.24 (m, 1H), 7.92-8.00 (m, 1H), 7.73-7.83 (m, 2H), 7.43-7.57 (m, 2H), 3.69-3.84 (m, 2H), 3.45-3.60 (m, 3H), 2.79-2.89 (m, 1H), 2.10-2.25 (m, 1H), 1.74-1.88 (m, 1H), 1.34 (t, $J=6.1$ Hz, 6H); 2Hs not observed (NH and OH)
295	MS m/z 353.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.07 (s, 1H), 8.23 (s, 1H), 7.91 (d, $J=8$ Hz, 1H), 7.42-7.48 (m, 2H), 4.20 (s, 3H), 3.75-4.00 (m, 4H), 3.65-3.75 (m, 1H), 2.66 (s, 3H), 2.40-2.50 (m, 1H), 2.29-2.35 (m, 1H); 2Hs not observed (NH and OH)
300	MS m/z 490.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.10 (s, 1H), 8.81 (d, $J=11.6$ Hz, 1H), 8.41 (s, 1H), 7.89-7.96 (m, 2H), 7.80 (s, 1H), 7.47 (d, $J=12.8$ Hz, 1H), 7.31-7.36 (m, 2H), 5.22 (br s, 1H), 4.03 (s, 3H), 3.13 (s, 3H), 1.93-2.00 (m, 2H), 1.83-1.87 (m, 2H), 1.51 (s, 6H), 1.44 (s, 6H)
301	MS m/z 494.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.06 (s, 1H), 8.38 (s, 1H), 8.29 (s, 1H), 7.95 (d, $J=8.4$ Hz, 1H), 7.49 (s, 1H), 7.16-7.20 (m, 2H), 5.22 (br s, 1H), 3.10 (s, 3H), 1.63-1.66 (m, 4H), 1.33 (s, 6H), 1.21 (s, 6H); 2Hs not observed (OH and NH)
302	MS m/z 508.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.06 (s, 1H), 8.35 (br s, 1H), 8.29 (s, 1H), 7.94 (d, $J=8.0$ Hz, 1H), 7.59 (d, $J=5.2$ Hz, 1H), 7.20 (s, 1H), 7.17 (d, $J=8.0$ Hz, 1H), 5.20 (br s, 1H), 4.00 (s, 3H), 3.11 (s, 3H), 1.69-1.86 (m, 4H), 1.41 (s, 6H), 1.25 (s, 6H); 1H not observed (OH or NH)
303	MS m/z 473.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.16 (s, 1H), 8.54 (br s, 2H), 8.18 (s, 1H), 8.01 (d, $J=8.8$ Hz, 1H), 7.75 (d, $J=0.6$ Hz, 1H), 7.66-7.70 (m, 3H), 5.38-5.42 (m, 1H), 3.24 (s, 3H), 2.72 (s, 3H), 1.92-1.99 (m, 4H), 1.63 (s, 6H), 1.51 (s, 6H)
304	MS m/z 489.2 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.19 (s, 1H), 8.38 (d, $J=1.6$ Hz, 1H), 8.06 (d, $J=8.8$ Hz, 1H), 8.01 (s, 1H), 7.75-7.77 (m, 2H), 7.58 (s, 1H), 5.38-5.45 (m, 1H), 4.36 (s, 3H), 3.25 (s, 3H), 2.02 (d, $J=8.0$ Hz, 4H), 1.66 (s, 6H), 1.54 (s, 6H); 2Hs not observed (OH and NH)
305	MS m/z 407.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.79 (s, 1H), 9.44 (s, 1H), 9.07 (s, 1H), 8.68 (br d, $J=12.8$ Hz, 1H), 8.07 (d, $J=8.2$ Hz, 1H), 7.89 (d, $J=1.7$ Hz, 1H), 7.80-7.82 (m, 1H), 2.10-2.15 (m, 2H), 1.60 (br t, $J=12.7$ Hz, 2H), 1.49 (s, 6H), 1.41 (s, 6H); 3Hs not observed (2 NHs, 1CH obscured by solvent peak)
307	MS m/z 431.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.85 (d, $J=1.8$ Hz, 1H), 8.80 (s, 1H), 8.47 (d, $J=1.8$ Hz, 1H), 8.15 (s, 1H), 7.87 (d, $J=7.9$ Hz, 1H), 7.56 (d, $J=0.9$ Hz, 1H), 7.47 (d, $J=7.9$ Hz, 1H), 4.15 (s, 3H), 3.80-4.03 (m, 2H), 3.55-3.72 (m, 2H), 3.36-3.43 (m, 1H), 2.94-3.03 (m, 1H), 2.28-2.43 (m, 1H), 1.86-1.99 (m, 1H), 1.14 (t, $J=5.8$ Hz, 6H); 2Hs not observed (NH and OH)
314	MS m/z 406.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.54 (s, 1H), 9.24 (d, $J=5.3$ Hz, 1H), 9.06 (s, 1H), 8.52 (br s, 1H), 8.06 (dd, $J=5.3, 2.1$ Hz, 1H), 7.99 (d, $J=8.2$ Hz, 1H), 7.48 (br d, $J=8.1$ Hz, 1H), 7.44 (s, 1H), 4.52 (br s, 1H), 2.19-2.29 (m, 2H), 1.67 (br t, $J=13.0$ Hz, 2H), 1.61 (s, 6H), 1.50 (s, 6H); 2Hs not observed (NH and OH)

Cpd	Data
320	MS m/z 354.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.05 (s, 1H), 7.99 (d, J = 8 Hz, 1H), 7.73 (s, 1H), 7.66 (d, J = 8 Hz, 1H), 4.44 (s, 3H), 3.75-4.00 (m, 4H), 3.65-3.75 (m, 1H), 2.67 (s, 3H), 2.40-2.48 (m, 1H), 2.31 (m, 1H); 2Hs not observed (NH and OH)
321	MS m/z 459.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.87 (s, 1H), 8.52 (s, 1H), 8.21 (s, 1H), 7.73 (d, J = 8 Hz, 1H), 7.35 (d, J = 8 Hz, 1H), 7.30 (s, 1H), 6.01 (s, 1H), 4.33 (m, 1H), 4.16 (s, 3H), 2.25 (t, J = 16 Hz, 2H), 1.7-1.82 (m, 2H), 1.62 (d, J = 8.5 Hz, 6H), 1.53 (d, J = 5.5 Hz, 6H); 2Hs not observed (NH and OH)
344	MS m/z 484.2 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.15 (s, 1H), 8.39 (d, J = 1.2 Hz, 1H), 8.38 (s, 1H), 8.03 (d, J = 8.4 Hz, 1H), 7.94 (d, J = 1.2 Hz, 1H), 7.70-7.72 (m, 2H), 5.40-5.42 (m, 1H), 3.24 (s, 3H), 1.97-2.05 (m, 4H), 1.66 (s, 6H), 1.54 (s, 6H); 2Hs not observed (OH and NH)
351	MS m/z 488.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.17 (s, 1H), 8.54 (br s, 2H), 8.25 (s, 1H), 8.03 (d, J = 8.0 Hz, 1H), 7.86 (s, 1H), 7.81 (s, 1H), 7.71-7.74 (m, 2H), 5.39-5.43 (m, 1H), 4.46 (s, 2H), 3.25 (s, 3H), 2.01 (d, J = 7.6 Hz, 4H), 1.65 (s, 6H), 1.54 (s, 6H); 2Hs not observed (OH and NH)
359	MS m/z 445.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.48 (s, 1H), 8.87 (d, J =4.0 Hz, 1H), 8.48 (s, 1H), 8.24-8.40 (m, 1H), 7.81 (d, J =8.2 Hz, 1H), 7.27-7.39 (m, 2H), 5.96 (s, 1H), 4.29-4.49 (m, 1H), 2.15 (dd, J =22.0, 13.7 Hz, 2H), 1.63-1.81 (m, 2H), 1.56 (d, J =8.9 Hz, 6H), 1.46 (d, J =5.2 Hz, 6H); 3Hs not observed (2NHs and OH)
360	MS m/z 444.7 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.85 (d, J =6.1 Hz, 1H), 8.71 (s, 1H), 8.02-8.11 (m, 1H), 7.95-8.00 (m, 1H), 7.83-7.92 (m, 1H), 7.52-7.61 (m, 3H), 5.80-6.24 (m, 1H), 4.24-4.57 (m, 1H), 2.28 (dd, J =19.5, 15.0 Hz, 2H), 1.68-1.89 (m, 2H), 1.65 (d, J =8.2 Hz, 6H), 1.56 (d, J =5.2 Hz, 6H); 3Hs not observed (2NHs and OH)
365	MS m/z 414.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.12 (s, 1H), 8.00 (s, 1H), 7.86 (d, J = 8.0 Hz, 1H), 7.30 (s, 1H), 7.26 (d, J = 7.5 Hz, 1H), 4.30-4.19 (m, 2H), 4.00-3.95 (m, 1H), 3.77-3.73 (m, 2H), 2.67-2.61 (m, 1H), 2.32-2.24 (m, 1H), 1.49 (s, 9H); 3Hs not observed (2NHs and OH)
366	MS m/z 405.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.14 (s, 1H), 8.20 (s, 1H), 7.92 (d, J = 9.0 Hz, 1H), 7.34 (br s, 2H), 4.31-4.20 (m, 2H), 4.01-3.96 (m, 1H), 3.79-3.73 (m, 2H), 2.68-2.62 (m, 1H), 2.32-2.24 (m, 1H), 1.49 (s, 9H); 3Hs not observed (2NHs and OH)
374	MS m/z 398.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.50 (s, 1H), 8.24 (d, J =10.2 Hz, 1H), 7.99 (s, 1H), 7.21 (m, 2H), 3.96-4.04 (m, 1H), 3.77-3.88 (m, 2H), 3.62 (br d, J =7.6 Hz, 1H), 3.44-3.50 (m, 1H), 2.39-2.52 (m, 1H), 1.93-2.10 (m, 1H), 1.27 (s, 9H); 3Hs not observed (2 NHs and OH)
375	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.01 (br s, 1H), 7.67 (d, J = 8.0 Hz, 1H), 7.15 (d, J = 8.5 Hz, 1H), 7.12 (s, 1H), 5.99 (s, 1H), 4.41-4.33 (m, 1H), 4.22-4.18 (m, 1H), 4.02-3.91 (m, 2H), 3.80-3.76 (m, 1H), 2.73-2.66 (m, 1H), 2.53 (s, 3H), 2.50-2.46 (m, 1H), 1.54 (s, 9H); 3Hs not observed (2NHs and OH)

Cpd	Data
383	MS m/z 476.3 $[M+H]^+$; ^1H NMR (DMSO- d_6) δ : 9.10 (s, 1H), 8.27 (d, J = 3.4 Hz, 1H), 7.84-8.02 (m, 2H), 7.55 (dd, J = 12.6, 0.9 Hz, 1H), 7.28-7.38 (m, 2H), 5.10-5.32 (m, 1H), 3.11 (s, 3H), 1.77-1.94 (m, 2H), 1.66-1.77 (m, 2H), 1.43 (s, 6H), 1.34 (s, 6H); 3Hs not observed (OH and 2 NHs)

Example 5

Preparation of Compound 63



Step 1. Tris(dibenzylideneacetone)dipalladium(0) (4.5 mg, 0.005 mmol) and 2-di-*tert*-butylphosphino-3,4,5,6-tetramethyl-2',4',6'-triisopropyl-1,1'-biphenyl (6.0 mg, 0.0125 mmol) were suspended in 5:1 toluene/dioxane (1 mL). The purple solution was sparged with argon for 5 minutes, then heated to 120 °C for 5 minutes. The solution was cooled to room temperature and 3-(methoxymethoxy)-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl trifluoromethanesulfonate (53 mg, 0.10 mmol), K_3PO_4 (64 mg, 0.30 mmol), and 1,2,3-triazole (9.0 mg, 0.013 mmol) were added. The suspension was sparged once more with argon, then heated to 120 °C for 1 h until complete consumption of aryl triflate or chloride occurred, as monitored by UPLC. The reaction was cooled to room temperature and the product was purified by chromatography on silica gel (ISCO), eluting with 5-30% MeOH in CH_2Cl_2 to afford 6-(2-(methoxymethoxy)-4-(2H-1,2,3-triazol-2-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (34 mg, 75% yield) as a dark solid. MS m/z 453.6 $[M+H]^+$.

Alternatively, 6-(4-chloro-2-(methoxymethoxy)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine could be used as a starting material for step 1.

Step 2. 6-(2-(methoxymethoxy)-4-(2H-1,2,3-triazol-2-yl)phenyl)-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (34 mg, 0.075 mmol) was suspended in MeOH (1 mL), then HCl (4 M in dioxane, 1 mL) was added. The solution was stirred at room temperature for 1h. The reaction mixture was concentrated, and the product was purified by chromatography on silica gel (ISCO), eluting with 5-30% MeOH in CH₂Cl₂ to afford 2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(2H-1,2,3-triazol-2-yl)phenol (25 mg, 80% yield) as an orange solid.

MS *m/z* 409.5 [M+H]⁺; ¹H NMR (DMSO-*d*₆) δ: 9.03-9.15 (m, 2H), 8.16 (s, 2H), 7.99-8.13 (m, 2H), 7.72 (d, *J* = 2.1 Hz, 1H), 7.64 (dd, *J* = 8.5, 2.1 Hz, 1H), 5.14-5.32 (m, 1H), 3.13 (s, 3H), 1.98-2.04 (m, 2H), 1.80-1.85 (m, 2H), 1.52 (s, 6H), 1.48 (s, 6H).

Using the procedure described for Example 5, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
51	MS <i>m/z</i> 423.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 9.12 (s, 1H), 9.02 (s, 1H), 8.01 (d, <i>J</i> = 8.5 Hz, 1H), 7.38-7.47 (m, 2H), 5.35-5.45 (m, 1H), 3.24 (s, 3H), 2.47 (s, 3H), 1.96-2.04 (m, 4H), 1.64 (s, 6H), 1.53 (s, 6H); 2Hs not observed (OH and NH)
55	MS <i>m/z</i> 438.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 9.24 (s, 1H), 8.02 (s, 1H), 8.05 (s, 1H), 7.92 (d, <i>J</i> = 8.5 Hz, 1H), 7.71-7.78 (m, 1H), 7.49-7.57 (m, 2H), 7.32-7.42 (m, 4H), 5.99 (s, 1H), 5.36-5.46 (m, 1H), 4.41-4.52 (m, 1H), 3.85 (s, 6H), 3.25 (s, 3H), 3.16 (s, 3H), 1.98-2.19 (m, 8H); 1.56-1.70 (m, 24H); 2 rotamers (1:1), 2Hs not observed (NHs)
59	MS <i>m/z</i> 442.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 9.10 (s, 1H), 8.30 (s, 1H), 8.00 (d, <i>J</i> = 8.0 Hz, 1H), 7.94 (s, 1H), 7.27 (d, <i>J</i> = 8.5 Hz, 1H), 7.24 (s, 1H), 5.13-5.28 (m, 1H), 3.11 (s, 3H), 1.85-2.06 (m, 2H), 1.70-1.81 (m, 2H), 1.46 (s, 6H), 1.42 (s, 6H); 2Hs not observed (NH and OH)
68	MS <i>m/z</i> 450.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 11.42 (br s, 1H), 9.24 (s, 1H), 9.08-9.15 (m, 2H), 8.21 (s, 1H), 8.05-8.13 (m, 1H), 8.01 (d, <i>J</i> = 8.5 Hz, 1H), 7.59 (d, <i>J</i> = 2.1 Hz, 1H), 7.55 (dd, <i>J</i> = 8.5, 2.1 Hz, 1H), 5.16-5.29 (m, 1H), 3.90 (s, 3H), 3.13 (s, 3H), 2.01 (t, <i>J</i> = 13.0 Hz, 2H), 1.75-1.86 (m, 2H), 1.52 (s, 6H), 1.48 (s, 6H)
69	MS <i>m/z</i> 423.6 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 11.17 (br s, 1H), 9.04-9.13 (m, 1H), 9.02 (s, 1H), 8.01-8.09 (m, 1H), 7.99 (d, <i>J</i> = 8.5 Hz, 1H), 7.92 (s, 1H), 7.65 (d, <i>J</i> = 2.1 Hz, 1H), 7.57 (dd, <i>J</i> = 8.5, 2.1 Hz, 1H), 5.13-5.31 (m, 1H), 3.13 (s, 3H), 2.38 (s, 3H), 1.95-2.05 (m, 2H), 1.80-1.86 (m, 2H), 1.52 (s, 6H), 1.48 (s, 6H)

Cpd	Data
79	MS m/z 409.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.35 (s, 1H), 9.15-9.18 (m, 1H), 9.06 (s, 1H), 8.27 (s, 1H), 8.08-8.17 (m, 1H), 8.02 (d, J = 8.2 Hz, 1H), 7.47-7.55 (m, 2H), 5.16-5.30 (m, 1H), 3.13 (s, 3H), 2.03 (t, J = 13.0 Hz, 2H), 1.77-1.85 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H)
89	MS m/z 408.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.12 (s, 1H), 8.23 (s, 1H), 8.01 (d, J = 8.0 Hz, 1H), 7.65 (s, 1H), 7.23 (d, J = 8.5 Hz, 1H), 7.21 (s, 2H), 5.39-5.43 (m, 1H), 3.24 (s, 3H), 2.00-2.01 (m, 4H), 1.65 (s, 6H), 1.54 (s, 6H); 2Hs not observed (NH and OH)
90	MS m/z 424.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.27-9.38 (m, 1H), 9.06 (s, 1H), 8.18-8.31 (m, 1H), 7.87-7.96 (m, 2H), 7.38-7.44 (m, 2H), 7.32 (d, J = 8.2 Hz, 1H), 3.12 (s, 3H), 2.05 (t, J = 13.0 Hz, 2H), 1.72-1.83 (m, 2H), 1.52 (s, 6H), 1.50 (s, 6H); 1H not observed
93	MS m/z 426.6 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.13-9.27 (m, 1H), 9.06 (s, 1H), 8.73 (d, J = 4.3 Hz, 1H), 8.09-8.20 (m, 1H), 7.97 (d, J = 8.5 Hz, 1H), 7.88 (d, J = 4.0 Hz, 1H), 7.49 (s, 1H), 7.39 (d, J = 8.5 Hz, 1H), 5.18-5.26 (m, 1H), 3.12 (s, 3H), 2.03 (t, J = 13.0 Hz, 2H), 1.74-1.85 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H)
94	MS m/z 422.6 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.10-9.21 (m, 1H), 9.06 (s, 1H), 8.28 (s, 1H), 8.06-8.17 (m, 1H), 7.94 (d, J = 8.9 Hz, 1H), 7.59 (s, 1H), 7.46 (s, 1H), 7.38 (d, J = 8.2 Hz, 1H), 5.16-5.29 (m, 1H), 3.12 (s, 3H), 2.11 (s, 3H), 2.02 (t, J = 13.0 Hz, 2H), 1.77-1.84 (m, 2H), 1.52 (s, 6H), 1.48 (s, 6H)
99	MS m/z 454.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.15-9.26 (m, 1H), 9.05 (s, 1H), 9.03 (s, 1H), 8.12-8.21 (m, 1H), 8.09 (d, J = 8.5 Hz, 1H), 7.77 (d, J = 2.1 Hz, 1H), 7.69 (dd, J = 8.5, 2.1 Hz, 1H), 5.17-5.32 (m, 1H), 3.14 (s, 3H), 1.98-2.09 (m, 2H), 1.76-1.85 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H)
119	MS m/z 424.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.11-9.23 (m, 1H), 9.03 (s, 1H), 8.10-8.20 (m, 1H), 8.08 (d, J = 8.5 Hz, 1H), 7.73 (d, J = 1.8 Hz, 1H), 7.67 (dd, J = 8.5, 2.1 Hz, 1H), 5.16-5.33 (m, 1H), 3.14 (s, 3H), 2.61 (s, 3H), 2.03 (t, J = 12.8 Hz, 2H), 1.76-1.87 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H)
121	MS m/z 395.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.33 (br s, 1H), 9.35 (br s, 1H), 8.97 (s, 1H), 8.15 (s, 2H), 8.07 (br s, 1H), 8.00 (d, J = 8.5 Hz, 1H), 7.71 (d, J = 1.5 Hz, 1H), 7.62 (dd, J = 8.5, 2.0 Hz, 1H), 4.40 (br s, 1H), 2.06 (d, J = 12.5 Hz, 2H), 1.63 (t, J = 12.5 Hz, 2H), 1.50 (s, 6H), 1.45 (s, 6H)
122	MS m/z 396.3 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.18 (s, 1H), 9.24 (s, 1H), 9.18-9.13 (m, 1H), 8.37-8.30 (m, 1H), 8.17 (s, 2H), 8.03 (d, J = 8.5 Hz, 1H), 7.78 (d, J = 2.0 Hz, 1H), 7.68 (dd, J = 8.5, 2.0 Hz, 1H), 5.66-5.61 (m, 1H), 2.35 (dd, J = 13.0, 3.0 Hz, 2H), 1.86 (t, J = 12.5 Hz, 2H), 1.52 (s, 6H), 1.51 (s, 6H)
133	MS m/z 443.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.40 (s, 1H), 9.15-9.23 (m, 1H), 9.05 (s, 1H), 8.10-8.18 (m, 1H), 8.03 (d, J = 9.2 Hz, 1H), 7.43-7.49 (m, 2H), 5.18-5.29 (m, 1H), 3.13 (s, 3H), 2.03 (t, J = 12.8 Hz, 2H), 1.78-1.84 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H)

Cpd	Data
135	MS m/z 433.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.42 (s, 1H), 9.17 (s, 1H), 8.44 (s, 1H), 8.07 (d, J = 8.5 Hz, 1H), 7.56 (s, 1H), 7.40-7.47 (m, 1H), 5.20-5.36 (m, 1H), 3.16 (s, 3H), 1.64-1.72 (m, 2H), 1.51-1.58 (m, 2H), 1.32 (s, 6H), 1.18 (s, 6H); 2Hs not observed (OH and NH)
139	MS m/z 433.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.20 (s, 1H), 8.87 (s, 1H), 8.65 (s, 1H), 8.13 (d, J = 8.5 Hz, 1H), 7.32-7.42 (m, 2H), 5.22-5.40 (m, 1H), 3.18 (s, 3H), 1.52-1.69 (m, 4H), 1.34 (s, 6H), 1.20 (s, 6H); 2Hs not observed (OH and NH)
140	MS m/z 450.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.40 (s, 1H), 9.14-9.23 (m, 1H), 9.05 (s, 1H), 8.09-8.21 (m, 1H), 8.03 (d, J = 9.2 Hz, 1H), 7.41-7.51 (m, 2H), 5.17-5.31 (m, 1H), 3.17 (s, 3H), 3.13 (s, 3H), 2.03 (t, J = 12.8 Hz, 2H), 1.75-1.86 (m, 2H), 1.52 (s, 6H), 1.49 (s, 6H); 1H not observed (OH or NH)
161	MS m/z 438.6 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.31-9.44 (m, 1H), 9.06 (s, 1H), 8.38 (s, 1H), 8.24-8.34 (m, 1H), 7.95 (d, J = 8.5 Hz, 1H), 7.70 (s, 1H), 7.49 (s, 1H), 7.41 (d, J = 8.5 Hz, 1H), 5.16-5.30 (m, 1H), 4.45 (s, 2H), 3.12 (s, 3H), 2.07 (t, J = 12.8 Hz, 2H), 1.72-1.84 (m, 2H), 1.52 (s, 6H), 1.51 (s, 6H); 1H not observed (OH)
172	MS m/z 439.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.02 (s, 1H), 8.04 (s, 1H), 8.01 (d, J = 8.5 Hz, 1H), 7.65 (s, 1H), 7.59 (d, J = 8.9 Hz, 1H), 5.45 (t, J = 5.5 Hz, 1H), 5.15-5.29 (m, 1H), 4.66 (d, J = 5.8 Hz, 2H), 3.12 (s, 3H), 1.67-1.89 (m, 4H), 1.43 (s, 6H), 1.33 (s, 6H); 2Hs not observed (OH and NH)
187	MS m/z 380.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.38 (br s, 1H), 9.16 (s, 1H), 8.11 (d, J = 9.0 Hz, 1H), 8.07 (s, 1H), 7.73 (s, 1H), 7.35-7.33 (m, 2H), 4.31-4.22 (m, 2H), 4.02-3.98 (m, 1H), 3.80-3.75 (m, 2H), 2.68-2.62 (m, 1H), 2.33-2.25 (m, 1H), 1.49 (s, 9H); 2Hs not observed (NH and OH)
197	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.37 (s, 1H), 9.16 (s, 1H), 8.10 (d, J = 8.0 Hz, 1H), 7.83 (s, 1H), 7.32-7.30 (m, 2H), 4.31-4.22 (m, 2H), 4.02-3.98 (m, 1H), 3.81-3.75 (m, 2H), 2.68-2.62 (m, 1H), 2.45 (s, 3H), 2.33-2.26 (m, 1H), 1.49 (s, 9H); 2Hs not observed (NH and OH)
204	MS m/z 381.5 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 11.37 (br s, 1H), 9.02 (s, 1H), 8.15 (s, 2H), 8.00 (d, J = 8.2 Hz, 1H), 7.72 (s, 1H), 7.63 (d, J = 8.2 Hz, 1H), 3.92-4.02 (m, 2H), 3.72-3.85 (m, 2H), 3.50-3.62 (m, 3H), 1.24 (s, 9H); 1 H not observed (OH or NH)
218	MS m/z 339.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.03 (s, 1H), 8.14 (s, 2H), 7.99 (d, J = 8.5 Hz, 1H), 7.71 (s, 1H), 7.64 (d, J = 8.5 Hz, 1H), 3.75-3.98 (m, 4H), 3.62-3.70 (br s, 1H), 2.66 (s, 3H), 2.40-2.48 (m, 1H), 2.25-2.35 (m, 1H); 2Hs not observed (NH and OH)
219	MS m/z 356.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.05 (s, 1H), 8.69 (d, J = 4 Hz, 1H), 7.94 (d, J = 8.5 Hz, 1H), 7.86 (d, J = 4 Hz, 1H), 7.47 (s, 1H), 7.39 (d, J = 8.5 Hz, 1H), 3.70-4.00 (m, 4H), 3.60-3.70 (m, 1H), 2.66 (s, 3H), 2.40-2.50 (m, 1H), 2.25-2.35 (m, 1H); 2Hs not observed (NH and OH)
220	MS m/z 338.2 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.76 (s, 1H), 9.10 (s, 1H), 8.31 (s, 1H), 8.08 (d, J = 5 Hz, 1H), 7.95 (s, 1H), 7.42 (m, 2H), 3.80-4.00 (m, 4H), 3.72 (m, 1H), 2.65 (s, 3H), 2.43 (m, 1H), 2.31 (m, 1H); 2Hs not observed (NH and OH)

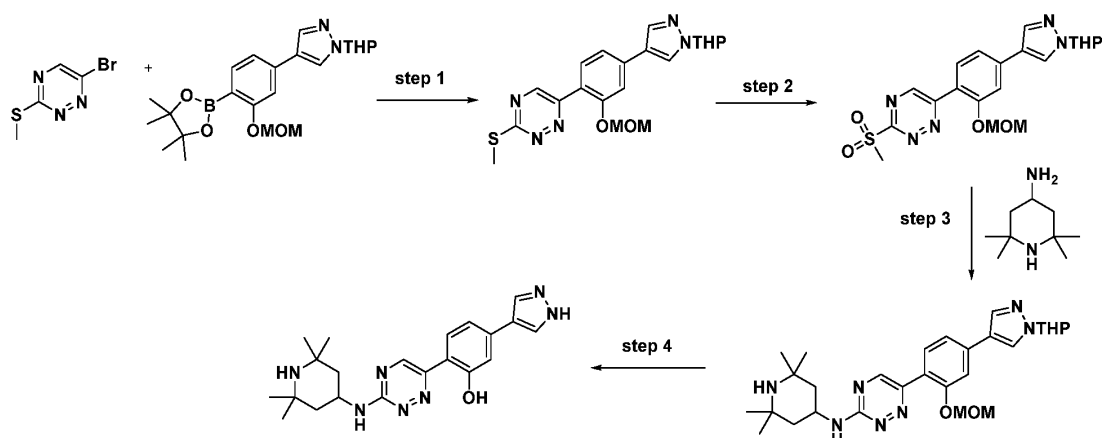
Cpd	Data
228	MS m/z 392.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.42 (s, 1H), 7.85 (s, 2H), 7.34 – 7.31 (m, 2H), 5.98 (s, 1H), 4.27 (s, 2H), 4.16 (s, 2H), 3.53 (d, J = 12.8 Hz, 3H), 3.20 – 3.12 (m, 2H), 2.91 (s, 3H), 2.45 (s, 3H), 2.37 (d, J = 14.5 Hz, 2H), 2.13 (t, J = 11.9 Hz, 2H); 1H not observed (OH)
229	MS m/z 396.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.34 (d, J = 4.4 Hz, 1H), 7.73 – 7.64 (m, 2H), 7.41 – 7.33 (m, 2H), 5.94 (s, 1H), 4.26 (s, 2H), 4.14 (s, 2H), 3.53 (d, J = 12.7 Hz, 2H), 3.14 (t, J = 12.7 Hz, 2H), 2.90 (s, 3H), 2.37 (d, J = 14.6 Hz, 2H), 2.13 (t, J = 13.8 Hz, 2H); 1H not observed (OH)
230	MS m/z 396.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.13 (s, 1H), 7.76 (d, J = 8.5 Hz, 1H), 7.41 (d, J = 7.5 Hz, 1H), 7.22 (d, J = 9.1 Hz, 1H), 7.20 (s, 1H), 5.95 (s, 1H), 4.26 (s, 2H), 4.15 (s, 2H), 3.53 (d, J = 12.4 Hz, 2H), 3.15 (t, J = 12.6 Hz, 2H), 2.90 (s, 3H), 2.37 (d, J = 14.4 Hz, 2H), 2.13 (t, J = 13.8 Hz, 2H); 1H not observed (OH)
231	MS m/z 378.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.57 (s, 1H), 8.14 (s, 1H), 7.87 (d, J = 8.2 Hz, 1H), 7.80 (s, 1H), 7.37 (d, J = 8.9 Hz, 2H), 5.99 (s, 1H), 4.28 (s, 2H), 4.16 (s, 2H), 3.53 (d, J = 12.7 Hz, 2H), 3.15 (t, J = 12.6 Hz, 2H), 2.90 (s, 3H), 2.37 (d, J = 14.3 Hz, 2H), 2.14 (t, J = 13.6 Hz, 2H); 1H not observed (OH)
232	MS m/z 379.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.06 (s, 1H), 8.00 – 7.90 (m, 3H), 7.73 – 7.65 (m, 2H), 4.09 (br d, J = 20.9 Hz, 4H), 3.51 (br s, 2H), 3.11 (br s, 2H), 2.90 (s, 3H), 2.30 (br s, 2H), 2.08 (br s, 2H); 1H not observed (OH)
238	MS m/z 381.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.37 (br s, 1H), 9.02 (s, 1H), 8.15 (s, 2H), 8.00 (d, J = 8.2 Hz, 1H), 7.72 (s, 1H), 7.63 (d, J = 8.2 Hz, 1H), 3.92-4.02 (m, 2H), 3.72-3.85 (m, 2H), 3.50-3.62 (m, 3H), 1.24 (s, 9H); 1H not observed (OH or NH)
239	MS m/z 426.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 10.06-10.18 (m, 1H), 9.66 (s, 1H), 9.08 (s, 1H), 8.36 (br s, 1H), 8.13 (d, J = 13.4 Hz, 1H), 8.07 (d, J = 8.2 Hz, 1H), 8.03 (s, 1H), 7.39 (s, 1H), 7.36 (d, J = 8.2 Hz, 1H), 4.74-4.84 (m, 2H), 2.38 (s, 3H), 1.96-2.07 (m, 1H), 1.84-1.93 (m, 1H), 1.57 (d, J = 18.5 Hz, 6H), 1.49 (d, J = 5.8 Hz, 6H)
240	MS m/z 430.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.94 (br s, 1H), 9.10 (s, 1H), 8.33 (br s, 1H), 8.13 (d, J = 13.1 Hz, 1H), 8.07 (s, 1H), 8.00 (d, J = 8.2 Hz, 1H), 7.57 (d, J = 8.2 Hz, 1H), 6.77-7.37 (m, 3H), 4.76-4.85 (m, 2H), 1.95-2.07 (m, 1H), 1.85-1.94 (m, 1H), 1.57 (d, J = 18.5 Hz, 6H), 1.48 (d, J = 6.1 Hz, 6H)
264	MS m/z 367.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.06 (s, 1H), 7.87-7.98 (m, 3H), 7.59-7.68 (m, 2H), 3.96 (dd, J = 11.0, 7.0 Hz, 1H), 3.76-3.91 (m, 1H), 3.54-3.70 (m, 2H), 3.38 (br dd, J = 11.1, 6.6 Hz, 1H), 2.98 (dt, J = 12.5, 6.3 Hz, 1H), 2.29-2.39 (m, 1H), 1.86-1.97 (m, 1H), 1.14 (t, J = 6.1 Hz, 6H); 2Hs not observed (NH and OH)
273	MS m/z 394.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.58 (s, 1H), 8.15 (s, 1H), 7.89 (d, J = 8.1 Hz, 1H), 7.81 (s, 1H), 7.41 – 7.36 (m, 2H), 6.01 (s, 1H), 4.49 – 4.40 (m, 1H), 2.31 – 2.18 (m, 2H), 1.87 – 1.74 (m, 2H), 1.65 (s, 3H), 1.63 (s, 3H), 1.55 (s, 3H), 1.54 (s, 3H); 3Hs not observed (2 NHs and OH)

Cpd	Data
274	MS m/z 408.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.44 (s, 1H), 7.89 – 7.83 (m, 2H), 7.36 – 7.31 (m, 2H), 6.00 (s, 1H), 4.48 – 4.38 (m, 1H), 2.28 – 2.19 (m, 2H), 1.86 – 1.75 (m, 2H), 1.64 (s, 3H), 1.63 (s, 3H), 1.55 (s, 3H), 1.54 (s, 3H); 3Hs not observed (2NHs and OH)
275	MS m/z 412.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 7.99 (s, 1H), 7.77 (d, <i>J</i> = 8.6 Hz, 1H), 7.35 (d, <i>J</i> = 9.5 Hz, 1H), 7.22 (d, <i>J</i> = 8.6 Hz, 1H), 7.19 (s, 1H), 5.98 (s, 1H), 4.37 (m, 1H), 2.29 – 2.18 (m, 2H), 1.78 (m, 2H), 1.63 (s, 3H), 1.61 (s, 3H), 1.55 (s, 3H), 1.53 (s, 3H); 2Hs not observed (NH and OH)
276	MS m/z 412.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.35 (d, <i>J</i> = 4.5 Hz, 1H), 7.71 (d, <i>J</i> = 8.5 Hz, 1H), 7.69 (d, <i>J</i> = 4.0 Hz, 1H), 7.40 – 7.35 (m, 2H), 5.97 (s, 1H), 4.41 – 4.30 (m, 1H), 2.31 – 2.19 (m, 2H), 1.84 – 1.72 (m, 2H), 1.63 (s, 3H), 1.61 (s, 3H), 1.55 (s, 3H), 1.53 (s, 3H); 3Hs not observed (2NHs and OH)
315	MS m/z 420.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.00 (s, 1H), 8.47 (s, 2H), 8.37 (d, <i>J</i> = 2.6 Hz, 1H), 8.07 (d, <i>J</i> = 4.6 Hz, 1H), 7.73 (d, <i>J</i> = 8.5 Hz, 1H), 7.66 (d, <i>J</i> = 8.0 Hz, 1H), 7.34 (dd, <i>J</i> = 8.4, 4.9 Hz, 1H), 6.73 (dd, <i>J</i> = 8.5, 2.1 Hz, 1H), 6.70 (d, <i>J</i> = 2.1 Hz, 1H), 4.54 (br s, 1H), 2.29 (br dd, <i>J</i> = 13.9, 3.4 Hz, 2H), 1.62-1.66 (m, 2H), 1.61 (s, 6H), 1.49 (s, 6H)
324	MS m/z 413.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.08 (s, 1H), 7.97 (d, <i>J</i> = 9.2 Hz, 1H), 7.93-7.97 (m, 2H), 7.69-7.75 (m, 2H), 4.71-4.83 (m, 1H), 4.42-4.65 (m, 1H), 1.74-1.86 (m, 2H), 1.41 (m, 6H), 1.28-1.31 (m, 6H); 3Hs not observed (2NHs and OH)
326	MS m/z 380.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.08 (s, 1H), 8.07 (s, 1H), 7.95 (d, <i>J</i> = 8.5 Hz, 1H), 7.33 (s, 1H), 7.15 (d, <i>J</i> = 9.0 Hz, 1H), 7.13 (s, 1H), 4.06 (dd, <i>J</i> = 11.0, 6.5 Hz, 1H), 3.97-3.88 (m, 2H), 3.73-3.70 (m, 1H), 3.60 (dd, <i>J</i> = 11.5, 6.0 Hz, 1H), 3.29-3.25 (m, 1H), 2.51-2.42 (m, 1H), 2.26 (s, 3H), 2.15-2.06 (m, 1H), 1.28 (t, <i>J</i> = 5.0 Hz, 6H); 2Hs not observed (NH and OH)
331	MS m/z 366.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.16 (s, 1H), 8.75 (br s, 1H), 8.07 (br d, <i>J</i> = 8.5 Hz, 1H), 7.85 (br s, 1H), 7.44 (br s, 1H), 7.23-7.35 (m, 2H), 4.13-4.32 (m, 2H), 3.97-4.08 (m, 1H), 3.76-3.91 (m, 2H), 3.48-3.70 (m, 1H), 2.58-2.69 (m, 1H), 2.24-2.40 (m, 1H), 1.46 (t, <i>J</i> = 4.6 Hz, 6H); 2Hs not observed (NH and OH)
338	MS m/z 384.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.13 (s, 1H), 8.33 (dd, <i>J</i> = 15.0, 4.0 Hz, 1H), 7.85 (dd, <i>J</i> = 11.5, 8.5 Hz, 1H), 7.68 (dd, <i>J</i> = 9.0, 3.5 Hz, 1H), 7.39-7.35 (m, 2H), 4.31-4.22 (m, 1H), 4.18-4.10 (m, 1H), 4.00-3.75 (m, 3H), 3.60-3.51 (m, 1H), 2.67-2.58 (m, 1H), 2.48-2.29 (m, 1H), 1.44 (t, <i>J</i> = 6.0 Hz, 6H); 2Hs not observed (NH and OH)
381	MS m/z 459.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.42 (s, 1H), 9.04 (s, 1H), 8.57 (s, 1H), 8.42 (d, <i>J</i> = 5.6 Hz, 1H), 8.30 (s, 1H), 8.06 (d, <i>J</i> = 9.0 Hz, 1H), 7.93 (dd, <i>J</i> = 5.5, 1.1 Hz, 1H), 7.47-7.55 (m, 2H), 5.20-5.27 (m, 1H), 3.11 (s, 3H), 1.57-1.71 (m, 4H), 1.33 (s, 6H), 1.21 (s, 6H); 1H not observed (OH or NH)

Cpd	Data
417	MS m/z 407.5 $[M+H]^+$; ^1H NMR (methanol- d_4): 9.13 (s, 1H), 8.01 (d, J = 9.00 Hz, 1H), 7.96 (s, 2H), 7.73 (s, 2H), 5.41-5.30 (m, 1H), 3.22 (s, 3H), 2.37-2.31 (m, 2H), 2.15-2.01 (m, 6H), 1.57 (s, 6H); 2Hs not observed (NH and OH)

Example 6

Preparation of Compound 13



Step 1: A dry screw cap vial was equipped with a magnetic stir bar and charged with 6-bromo-3-(methylthio)-1,2,4-triazine (0.2 g, 0.9 mmol, 1.0 equiv), 4-(3-(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazole (0.4 g, 1.0 mmol), [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) (0.08 g, 0.1 mmol), and K_2CO_3 (0.4 g, 2.6 mmol) and was purged with argon. Dioxane (4 mL) and water (1 mL) were added, and the reaction was stirred at 90 °C for 1 h. The crude mixture was partitioned between water and EtOAc, washed with water, and the organic layer was dried over $MgSO_4$, filtered, and concentrated. The crude oil was purified by silica gel chromatography eluting with a EtOAc/hexanes gradient (0-75% EtOAc) to afford 6-(2-(methoxymethoxy)-4-(1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)phenyl)-3-(methylthio)-1,2,4-triazine (0.2 g, 61% yield) as a yellow solid. MS m/z 414.2 $[M+H]^+$.

Step 2: To a solution of 6-(2-(methoxymethoxy)-4-(1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)phenyl)-3-(methylthio)-1,2,4-triazine (0.7 g, 1.7 mmol) in CH_2Cl_2 (15 mL) was added 3-chlorobenzoperoxoic acid (0.6 g, 3.4 mmol). The reaction mixture was stirred at 22 °C for 2 h. The crude mixture was purified by silica gel chromatography eluting with a EtOAc/hexanes

gradient (0-100% EtOAc) to afford 6-(2-(methoxymethoxy)-4-(1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)phenyl)-3-(methylsulfonyl)-1,2,4-triazine (0.6 g, 1.3 mmol, 78%) as yellow solid.

MS m/z 446.2 $[M+H]^+$.

Step 3: A vial was equipped with a magnetic stir bar and charged with 6-(2-(methoxymethoxy)-4-(1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)phenyl)-3-(methylsulfonyl)-1,2,4-triazine (0.06 g, 0.13 mmol), 2,2,6,6-tetramethylpiperidin-4-amine (0.03 g, 0.18 mmol), and dichloroethane (5 mL) and the reaction was stirred at room temperature for 48 h. The solvents were removed, and the crude solid was purified by silica gel chromatography, eluting with a MeOH/CH₂Cl₂ gradient (0-15% MeOH, containing 2.5% NH₄OH) to afford 6-(2-(methoxymethoxy)-4-(1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)phenyl)-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (0.03 g, 36% yield) as yellow solid. MS m/z 522.3 $[M+H]^+$.

Step 4: To a solution of 6-(2-(methoxymethoxy)-4-(1-(tetrahydro-2H-pyran-2-yl)-1H-pyrazol-4-yl)phenyl)-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine (0.03 g, 0.05 mmol) in MeOH (1 mL) was added 4.0M HCl in dioxane (1 mL), and the mixture was stirred for 1 h at room temperature. The solvents were removed, and the crude residue was purified by silica gel chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-15% MeOH, containing 2.5% NH₄OH) to afford 5-(1H-pyrazol-4-yl)-2-(3-((2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol hydrochloride (0.02 g, 72% yield) as a yellow solid.

MS m/z 394.3 $[M+H]^+$; ¹H NMR (methanol-*d*₄) δ : 9.07 (s, 1H), 8.08 (br s, 1H), 7.96 (br s, 1H), 7.83 (d, *J*=8.5 Hz, 1H), 7.24, (dd, *J*=8.0, 1.5 Hz, 1H), 7.21 (d, *J*=2.0 Hz, 1H), 4.58 (t, *J*=12.5 Hz, 1H), 2.30 (dd, *J*=13.5, 2.5 Hz, 2H), 1.66-1.60 (m, 2H), 1.62 (s, 6H), 1.50 (s, 6H); 4 Hs not observed (3NHs and OH).

Using the procedure described for Example 6, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
11	MS m/z 395.5 $[M+H]^+$; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 13.06 (br s, 1H), 10.96 (br s, 1H), 9.29 (s, 1H), 8.24 (br s, 1H), 7.94 (s, 1H), 7.90 (d, <i>J</i> = 8.0 Hz, 1H), 7.28 (dd, <i>J</i> = 8.0, 1.5 Hz, 1H), 7.25 (d, <i>J</i> = 1.5 Hz, 1H), 5.61 (t, <i>J</i> = 10.0 Hz, 1H), 2.27 (br s, 2H), 1.01-1.97 (m, 14H); 1H not observed (NH or OH)

Cpd	Data
19	MS m/z 352.4 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.10 (s, 1H), 8.02 (br.s, 2H), 7.85 (d, $J=8.5$ Hz, 1H), 7.25 (dd, $J=8.0, 1.5$ Hz, 1H), 7.21 (d, $J=1.5$ Hz, 1H), 4.98 (dt, $J=12.0, 4.0$ Hz, 1H), 3.59 (d, $J=13.0$ Hz, 2H), 3.26 (d, $J=3.5$ Hz, 1H), 3.24 (s, 3H), 3.21 (d, $J=2.5$ Hz, 1H), 2.22 (dd, $J=13.0, 3.5$ Hz, 2H), 2.07 (d, $J=3.0$ Hz, 2H); 3Hs not observed (2NHs and OH).
20	MS m/z 352.2 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.13 (s, 1H), 8.02 (br.s, 2H), 7.85 (d, $J=8.0$ Hz, 1H), 7.25 (dd, $J=8.5, 2.0$ Hz, 1H), 7.21 (d, $J=1.5$ Hz, 1H), 4.22 (dd, $J=15.5, 8.5$ Hz, 1H), 4.01-3.95 (m, 1H), 3.92 (dd, $J=15.0, 3.5$ Hz, 1H), 3.45 (dd, $J=18.5, 8.0$ Hz, 1H), 3.39 (s, 3H), 2.34-2.28 (m, 1H), 2.22-2.01 (m, 3H), 1.87 (dd, $J=13.0, 9.5$ Hz, 1H); 3Hs not observed (2NHs and OH).
21	MS m/z 378.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.09 (s, 1H), 8.01 (br.s, 2H), 7.83 (d, $J=8.5$ Hz, 1H), 7.24 (dd, $J=8.0, 1.5$ Hz, 1H), 7.20 (d, $J=1.5$ Hz, 1H), 5.36-5.29 (m, 1H), 4.20 (br.s, 2H), 3.19 (s, 3H), 2.28 (dt, $J=3.5, 15$ Hz, 4H), 2.23 (br.s, 4H), 2.0-1.94 (m, 2H); 1H not observed (NH or OH).
22	MS m/z 392.3 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.10 (s, 1H), 8.02 (br.s, 2H), 7.84 (d, $J=10$ Hz, 1H), 7.24 (dd, $J=8.0, 1.5$ Hz, 1H), 7.21 (d, $J=1.5$ Hz, 1H), 5.98-5.92 (m, 1H), 3.88 (br.s, 2H), 3.18 (s, 3H), 2.46 (dt, $J=3.6, 14$ Hz, 2H), 2.31-2.16 (m, 4H), 2.13-2.06 (m, 4H), 1.92-1.89 (m, 2H); 1H not observed (NH or OH).
52	MS m/z 352.4 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.07 (s, 1H), 8.01 (s, 2H), 7.83 (d, $J=8.5$ Hz, 1H), 7.24 (dd, $J=8.5, 2.0$ Hz, 1H), 7.21 (d, $J=2.0$ Hz, 1H), 4.18-4.22 (m, 1H), 3.52-3.56 (m, 2H), 3.19-3.27 (m, 2H), 2.91 (s, 3H), 2.34-2.36 (m, 2H), 1.92-2.00 (m, 2H); 3Hs not observed (2NHs and OH).
67	MS m/z 426.6 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.19 (s, 1H), 8.52-8.06 (m, 1H), 7.88 (d, $J=7.5$ Hz, 1H), 7.28 (d, $J=8.3$ Hz, 2H), 7.24 (s, 1H), 5.60 (dd, $J=33.5, 13.3$ Hz, 1H), 3.34 (s, 3H), 2.52 (t, $J=13.5$ Hz, 1H), 2.02 (d, $J=12.5$ Hz, 1H), 1.72 (s, 3H), 1.68 (s, 3H), 1.62 (s, 3H), 1.58 (s, 3H), 0.94-0.86 (m, 1H); 3Hs not observed (2 NHs and OH).
82	MS m/z 367.4 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.31 (s, 1H), 8.09 (br s, 1H), 7.94 (br s, 1H), 7.92 (d, $J=8.5$ Hz, 1H), 7.27 (d, $J=9.5$ Hz, 1H), 7.22 (s, 1H), 5.40-5.46 (m, 1H), 3.44-3.49 (m, 2H), 2.58-2.61 (m, 2H), 1.68 (q, $J=12.5$ Hz, 2H), 1.43 (s, 3H), 1.42 (s, 3H); 3Hs not observed (2 NHs and OH).
95	MS m/z 364.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 9.06 (s, 1H), 7.81 (d, $J=8.0$ Hz, 1H), 7.60 (d, $J=8.0$ Hz, 1H), 7.25-7.19 (m, 3H), 4.00-3.93 (m, 6H), 3.70-3.65 (m, 2H), 2.15-2.09 (m, 2H), 2.00 (t, $J=5.7$ Hz, 2H); 3Hs not observed (2NHs and OH).
96	MS m/z 364.5 [M+H] ⁺ ; ¹ H NMR (methanol- d_4) δ : 8.08 (s, 2H), 7.59 (d, $J=8.5$ Hz, 1H), 7.25 (d, $J=8.5$ Hz, 1H), 7.21 (s, 1H), 5.94 (s, 1H), 4.19 (s, 4H), 3.26 (t, $J=5.0$ Hz, 4H), 2.19 (t, $J=5.0$ Hz, 4H); 3Hs not observed (2NHs and OH).
100	MS m/z 336.4 [M+H] ⁺ ; ¹ H NMR (DMSO- d_6) δ : 9.07 (s, 1H), 8.27-8.19 (br s, 1H), 7.98-7.92 (br s, 1H), 7.83 (d, $J=8.0$ Hz, 1H), 7.22 (dd, $J=8.0, 2.0$ Hz, 1H), 7.19 (d, $J=1.5$ Hz, 1H), 3.88-3.82 (m, 2H), 3.68-3.62 (m, 2H), 2.64 (t, $J=2.0$ Hz, 1H), 1.93 (s, 2H); 3Hs not observed (2NHs and OH).

Cpd	Data
109	MS m/z 364.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.33 (br s, 1H), 9.07 (s, 1H), 8.20 (br s, 1H), 7.95 (s, 1H), 7.83 (d, J = 8.0 Hz, 1H), 7.23-7.20 (m, 2H), 3.98 (d, J = 9.0 Hz, 2H), 3.84 (d, J = 8.5, 2H), 3.01 (s, 2H), 2.75 (s, 2H), 1.81 (t, J = 5.0 Hz, 2H), 1.55 (t, J = 5.0 Hz, 2H); 2 H not observed (2 NHs)
110	MS m/z 350.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.14 (br s, 1H), 9.10 (s, 1H), 8.95 (br s, 1H), 8.08 (s, 2H), 7.84 (d, J = 8.0 Hz, 1H), 7.23-7.20 (m, 2H), 4.08-4.05 (m, 2H), 3.97-3.94 (m, 2H), 3.86 (br s, 2H), 3.64 (br s, 2H), 2.32 (t, J = 7.0 Hz, 2H); 1H not observed (NH or OH)
120	MS m/z 395.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.22 (s, 1H), 7.93 (s, 2H), 7.82 (d, J = 8.5 Hz, 1H), 7.18 (dd, J = 8.00, 1.5 Hz, 1H), 7.12 (s, 1H), 5.37-5.30 (m, 1H), 2.64-2.61 (m, 2H), 1.83-1.75 (m, 2H), 1.63-1.49 (m, 4H), 0.98 (t, J = 10 Hz, 6H); 3Hs not observed (2NHs and OH); 2Hs (CH ₂ signal) are obscured by the solvent peak at 3.33 ppm
113	MS m/z 378.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.05 (s, 1H), 8.07 (br s, 1H), 7.92 (s, 1H), 7.82 (d, J = 8.0 Hz, 1H), 7.22 (dd, J = 8.0, 2.0 Hz, 1H), 7.19 (d, J = 2.0 Hz, 1H), 3.93-3.88 (m, 2H), 3.22-3.17 (m, 3H), 2.67 (s, 3H), 2.53 (t, J = 8.0 Hz, 2H), 2.24 (d, J = 11.0 Hz, 2H), 2.00 (td, J = 12.0, 4.0 Hz, 2H); 1H not observed (NH or OH)
114	MS m/z 338.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.12 (s, 2H), 7.61 (d, J = 8.0 Hz, 1H), 7.22 (dd, J = 8.0, 2.0 Hz, 1H), 7.21 (s, 1H), 5.96 (s, 1H), 4.16-4.07 (m, 2H), 4.05-3.74 (m, 3H), 2.83 (s, 3H), 2.65-2.59 (m, 1H), 2.49-2.38 (m, 1H); 3Hs not observed (2NHs and OH)
115	MS m/z 350.2 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.25 (br s, 2H), 7.64 (d, J = 8.0 Hz, 1H), 7.27 (d, J = 8.0 Hz, 1H), 7.24 (s, 1H), 5.97 (d, J = 12.5 Hz, 1H), 4.26-4.00 (m, 3H), 3.70 (dd, J = 20.0, 5.0 Hz, 1H), 3.45 (dd, J = 26.0, 10.5 Hz, 1H), 1.24 (dt, J = 10.0, 5.0 Hz, 1H), 1.09-1.04 (m, 2H), 1.00-0.95 (m, 1H); 4Hs not observed (3NHs and OH)
116	MS m/z 364.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.13 (d, J = 5.0 Hz, 1H), 8.03 (br s, 2H), 7.84 (d, J = 8.0 Hz, 1H), 7.23 (dd, J = 8.0, 1.5 Hz, 1H), 7.20 (d, J = 1.5 Hz, 1H), 5.34-5.15 (m, 1H), 4.34 (dd, J = 15.0, 4.0 Hz, 1H), 4.17-3.97 (m, 2H), 3.86-3.75 (m, 1H), 3.68-3.64 (m, 1H), 3.51-3.36 (m, 2H), 3.26-3.09 (m, 2H), 2.43-2.09 (m, 3H), 2.04-1.83 (m, 1H); 1H not observed (NH or OH)
117	MS m/z 364.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.14 (s, 1H), 8.02 (br s, 2H), 7.84 (d, J = 8.0 Hz, 1H), 7.23 (d, J = 8.0, 1H), 7.20 (s, 1H), 4.39 (d, J = 13.5 Hz, 1H), 4.26 (t, J = 7.0 Hz, 1H), 4.03-3.75 (m, 5H), 3.49-3.45 (m, 1H), 3.07 (s, 3H), 2.67-2.59 (m, 1H), 2.02-1.95 (m, 1H); 2Hs not observed (NH and OH)
118	MS m/z 336.2 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.08 (s, 1H), 8.06 (br s, 1H), 7.92 (br s, 1H), 7.82 (d, J = 8.0, 1H), 7.22 (dd, J = 8.0, 2.0 Hz, 1H), 7.18 (d, J = 1.5 Hz, 1H), 4.46 (s, 4H), 4.35 (s, 4H); 3Hs not observed (2NHs and OH)

Cpd	Data
126	MS m/z 412.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 13.00 (br s, 1H), 11.45 (br s, 1H), 9.08 (s, 1H), 8.24 (br s, 1H), 7.95 (br s, 1H), 7.86 (d, $J=7.9$ Hz, 1H), 7.20-7.25 (m, 2H), 4.30-4.70 (m, 2H), 1.64 (t, $J=13.1$ Hz, 1H), 1.56 (dd, $J=12.2, 3.1$ Hz, 1H), 1.33-1.47 (m, 1H), 1.22 (br d, $J=14.0$ Hz, 6H), 1.09 (br d, $J=14.0$ Hz, 6H); 1H not observed (OH)
127	MS m/z 392.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.04 (s, 1H), 8.10-7.89 (m, 2H), 7.80 (d, $J= 8.5$ Hz, 1H), 7.20 (dd, $J= 8.0, 1.5$ Hz, 1H), 7.17 (d, $J= 1.5$ Hz, 1H), 3.94 (d, $J= 11.4$ Hz, 2H), 3.69 (d, $J= 11.4$ Hz, 2H), 2.76 (q, $J= 7.2$ Hz, 4H), 1.93 (s, 2H), 1.64 (s, 1H), 1.14 (t, $J= 7.2$ Hz, 6H); 2Hs not observed (NH and OH)
137	MS m/z 364.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 13.00 (br s, 1H), 11.42 (s, 1H), 9.10 (s, 1H), 8.35-7.92 (m, 2H), 7.85 (d, $J= 8.0$ Hz, 1H), 7.23 (d, $J= 8.5$ Hz, 1H), 7.21 (s, 1H), 3.86 (br s, 2H), 3.76 (br s, 2H), 3.66 (s, 1H), 2.36-2.31 (m, 2H), 2.20-2.17 (m, 1H), 0.65 (br s, 4H); 1H not observed (NH or OH)
138	MS m/z 380.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.44 (s, 2H), 7.67 (d, $J= 8.0$ Hz, 1H), 7.31 (d, $J= 8.0$ Hz, 1H), 7.29 (s, 1H), 5.98 (s, 1H), 4.29-4.40 (m, 1H), 4.14-4.19 (m, 1H), 3.87-3.98 (m, 2H), 3.71-3.80 (m, 1H), 2.64-2.74 (m, 1H), 2.39-2.51 (m, 1H), 1.52 (s, 9H); 3Hs not observed (2NHs or OH)
148	MS m/z 366.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.06 (s, 1H), 7.99 (br s, 2H), 7.80 (d, $J= 8.5$ Hz, 1H), 7.20 (dd, $J= 8.0, 1.5$ Hz, 1H), 7.17 (d, $J= 1.5$ Hz, 1H), 4.03 (dd, $J= 11.5, 6.5$ Hz, 1H), 3.92-3.86 (m, 2H), 3.68-3.65 (m, 1H), 3.55 (dd, $J= 11.5, 6.5$ Hz, 1H), 2.47-2.41 (m, 1H), 1.26 (t, $J= 5.5$ Hz, 6H), 1.20-1.16 (m, 2H); 3Hs not observed (2NHs and OH)
154	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.08 (s, 1H), 8.00 (br s, 2H), 7.82 (d, $J= 8.5$ Hz, 1H), 7.22 (d, $J= 8.0, 1H$), 7.19 (s, 1H), 4.13-4.09 (m, 1H), 3.99-3.90 (m, 2H), 3.69-3.63 (m, 1H), 3.57-3.52 (m, 1H), 2.52-2.49 (m, 1H), 2.14-2.09 (m, 1H), 1.72-1.64 (m, 2H), 1.30 (s, 6H), 1.00 (t, $J= 7.5$ Hz, 3H); 3Hs not observed (2NHs and OH)
157	MS m/z 458.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.29 (s, br, 1H), 9.12 (s, 1H), 8.80-8.69 (m, 2H), 8.08 (s, br, 2H), 7.85 (d, $J= 8.5$ Hz, 1H), 7.23 (d, $J= 8.0$ Hz, 1H), 7.21 (s, 1H), 4.30-4.22 (m, 1H), 4.08-4.00 (m, 1H), 3.85-3.79 (m, 1H), 3.74-3.69 (m, 1H), 3.66-3.23 (m, 12H), 2.27-2.20 (m, 1H), 2.17 (s, 1H), 1.93 (q, $J= 11.6$ Hz, 2H), 1.67 (q, $J= 12.3$ Hz, 2H)
159	MS m/z 458.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.13 (s, 1H), 8.62 (br s, 2H), 8.09 (s, 2H), 7.86 (d, $J= 8.0$ Hz, 1H), 7.24 (d, $J= 8.0$ Hz, 1H), 7.21 (s, 1H), 4.18-4.13 (m, 1H), 4.07-4.04 (m, 1H), 3.89-3.81 (m, 2H), 3.69-3.57 (m, 1H), 3.52 (s, 1H), 2.33-2.31 (m, 1H), 2.20 (br s, 2H), 2.04 (d, $J= 14.0$ Hz, 2H), 1.89-1.86 (m, 6H), 1.74 (br s, 2H), 1.64 (d, $J= 12.5$ Hz, 3H); 1H not observed (NH or OH)
160	MS m/z 486.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.28 (br s, 1H), 9.12 (s, 1H), 9.03-8.96 (m, 2H), 8.08 (s, 2H), 7.85 (d, $J= 7.5$ Hz, 1H), 7.23 (d, $J= 8.0$ Hz, 1H), 7.21 (s, 1H), 4.23 (br s, 1H), 4.04 (br s, 1H), 3.82-3.78 (m, 2H), 3.76-3.73 (m, 3H), 2.32-2.28 (s, 1H), 1.84-1.77 (m, 2H), 1.65-1.56 (m, 4H), 1.38-1.29 (m, 4H), 1.21-1.15 (m, 2H), 0.90 (s, 6

Cpd	Data
162	MS m/z 392.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.58 (s, 2H), 7.68 (dd, $J=8.1, 4.7$ Hz, 1H), 7.38-7.54 (m, 1H), 7.29-7.36 (m, 2H), 5.97 (d, $J=4.3$ Hz, 1H), 3.83-4.09 (m, 4H), 3.59-3.73 (m, 1H), 3.38-3.42 (m, 1H), 3.08-3.20 (m, 1H), 3.03 (br d, $J=2.4$ Hz, 3H), 2.99 (s, 3H), 2.30-2.40 (m, 1H), 2.12-2.28 (m, 2H), 1.74-1.86 (m, 1H); 2H not observed (NH and OH)
166	MS m/z 378.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.09 (s, 1H), 7.94-8.11 (m, 2H), 7.84 (br d, $J=8.2$ Hz, 1H), 7.61-7.77 (m, 1H), 7.18-7.28 (m, 2H), 3.77-3.88 (m, 2H), 3.71-3.76 (m, 1H), 3.67 (br dd, $J=10.8, 6.9$ Hz, 1H), 2.96-3.07 (m, 1H), 2.74-2.94 (m, 4H), 2.72 (s, 3H), 2.61-2.66 (m, 1H), 2.02-2.14 (m, 1H), 1.81-1.91 (m, 1H); 2H not observed (NH and OH)
167	MS m/z 392.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.32 (s, 2H), 7.63 (br d, $J=7.9$ Hz, 1H), 7.29 (br d, $J=8.2$ Hz, 1H), 7.26 (s, 1H), 5.94 (s, 1H), 4.07 (br s, 1H), 3.71-3.91 (m, 3H), 3.55-3.64 (m, 1H), 3.13-3.31 (m, 2H), 3.01 (br s, 3H), 2.89-2.97 (m, 3H), 2.38-2.50 (m, 1H), 2.18-2.29 (m, 1H), 1.98-2.12 (m, 1H), 1.64-1.79 (m, 1H); 2Hs not observed (NH and OH)
170	MS m/z 408.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.11 (s, 1H), 8.08-7.99 (m, 2H), 7.82 (d, $J=8.0$ Hz, 1H), 7.22 (d, $J=8.0$, 1H), 7.19 (s, 1H), 4.29-4.21 (m, 2H), 4.01-3.96 (m, 1H), 3.77-3.69 (m, 2H), 2.67-2.61 (m, 1H), 2.34-2.27 (m, 1H), 1.94-1.75 (m, 4H), 1.41 (s, 3H), 1.03 (t, $J=7.5$ Hz, 6H); 3Hs not observed (2 NHs and OH)
171	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.15 (s, 1H), 8.24-7.98 (br s, 2H), 7.91 (d, $J=8.0$ Hz, 1H), 7.30 (d, $J=8.2$ Hz, 1H), 7.28 (s, 1H), 4.43-4.39 (m, 1H), 4.35-4.29 (m, 1H), 3.77-3.69 (m, 1H), 3.43 (t, $J=9.5$ Hz, 1H), 2.76-2.71 (m, 1H), 1.83-1.77 (m, 1H), 1.51 (d, $J=6.0$ Hz, 3H), 1.32 (s, 9H); 3Hs not observed (2NHs and OH)
180	MS m/z 392.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.11 (br s, 2H), 7.58 (d, $J=8.2$ Hz, 1H), 7.16-7.28 (m, 2H), 5.92 (s, 1H), 3.77-4.06 (m, 1H), 3.61-3.76 (m, 3H), 3.09-3.22 (m, 4H), 1.67-1.92 (m, 8H); 3Hs not observed (2 NHs and OH)
181	MS m/z 378.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.08 (br s, 2H), 7.59 (d, $J=8.2$ Hz, 1H), 7.14-7.28 (m, 2H), 5.92 (s, 1H), 3.81-4.06 (m, 1H), 3.64-3.80 (m, 3H), 3.43-3.50 (m, 2H), 3.20-3.27 (m, 2H), 2.06 (q, $J=7.3$ Hz, 2H), 1.81-1.94 (m, 3H), 1.74-1.79 (m, 1H); 3Hs not observed (2 NHs and OH)
182	MS m/z 406.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.65 (br s, 2H), 7.69 (d, $J=7.9$ Hz, 1H), 7.31-7.38 (m, 2H), 5.96 (s, 1H), 3.80-3.88 (m, 1H), 3.66-3.78 (m, 3H), 3.61 (br d, $J=9.2$ Hz, 1H), 3.53 (br d, $J=10.4$ Hz, 1H), 3.16-3.22 (m, 1H), 2.89-3.01 (m, 4H), 1.95-2.18 (m, 4H), 1.84-1.95 (m, 2H), 1.73 (br s, 2H); 2Hs not observed (NH and OH)
183	MS m/z 392.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.75 (br s, 2H), 7.72 (d, $J=8.2$ Hz, 1H), 7.32-7.41 (m, 2H), 5.97 (s, 1H), 3.67-3.86 (m, 5H), 3.67-3.89 (m, 1H), 3.27-3.32 (m, 1H), 3.09 (br dd, $J=11.0, 8.9$ Hz, 1H), 3.00 (s, 3H), 2.25 (br d, $J=8.2$ Hz, 1H), 2.07-2.19 (m, 1H), 1.97 (br s, 3H), 1.84-2.04 (m, 1H); 2Hs not observed (NH and OH)

Cpd	Data
193	MS m/z 420.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.08 (s, 1H), 8.18-7.88 (br s, 2H), 7.82 (d, J = 8.0 Hz, 1H), 7.22 (dd, J = 8.5, 2.0 Hz, 1H), 7.18 (d, J = 1.5 Hz, 1H), 3.92-3.86 (m, 2H), 3.79 (d, J = 4.0 Hz, 2H), 3.71 (dd, J = 12.0, 7.5 Hz, 1H), 3.22-3.16 (m, 1H), 3.01-2.95 (m, 1H), 2.25-2.11 (m, 2H), 1.98-1.89 (m, 1H), 1.69-1.64 (m, 1H), 1.44 (s, 9H); 3Hs not observed (2NHs and OH)
199	MS m/z 408.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.03 (s, 1H), 8.02 (s, 1H), 7.86 (s, 1H), 7.78 (d, J =7.9 Hz, 1H), 7.10-7.22 (m, 2H), 4.45 (br t, J =11.6 Hz, 1H), 3.96 (s, 3H), 2.03 (br d, J =11.0 Hz, 2H), 1.35 (s, 6H), 1.24-1.31 (m, 2H), 1.22 (s, 6H); 3Hs not observed (2 NHs and OH)
201	MS m/z 426.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.05 (s, 1H), 7.99 (s, 1H), 7.85 (s, 1H), 7.78 (br d, J =7.9 Hz, 1H), 7.05-7.26 (m, 2H), 4.65-4.75 (m, 1H), 3.93 (s, 3H), 1.70-1.80 (m, 2H), 1.35 (br d, J =6.4 Hz, 6H), 1.16-1.27 (m, 7H); 3Hs not observed (2NHs and OH)
202	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.07 (s, 1H), 8.02 (s, 1H), 7.86 (s, 1H), 7.79 (d, J =7.9 Hz, 1H), 7.13-7.21 (m, 2H), 3.99-4.08 (m, 2H), 3.96 (s, 3H), 3.83-3.90 (m, 1H), 3.57-3.73 (m, 2H), 2.29-2.45 (m, 1H), 1.83-2.01 (m, 1H), 1.22 (s, 9H); 2Hs not observed (NH and OH)
203	MS m/z 380.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.06 (s, 1H), 8.01 (s, 1H), 7.85 (s, 1H), 7.81 (d, J =7.9 Hz, 1H), 7.18 (d, J =7.6 Hz, 1H), 7.16 (s, 1H), 3.97-4.01 (m, 1H), 3.96 (s, 3H), 3.77-3.94 (m, 1H), 3.57-3.77 (m, 2H), 3.40 (dd, J =10.8, 6.9 Hz, 1H), 2.95-3.05 (m, 1H), 2.28-2.42 (m, 1H), 1.86-2.00 (m, 1H), 1.16 (br t, J =5.8 Hz, 6H); 2Hs not observed (NH and OH)
205	MS m/z 352.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.07 (s, 1H), 8.02 (s, 1H), 7.86 (s, 1H), 7.80 (d, J =7.9 Hz, 1H), 7.10-7.26 (m, 2H), 3.96 (s, 3H), 3.79-3.92 (m, 2H), 3.65-3.74 (m, 1H), 3.49-3.65 (m, 1H), 3.41-3.48 (m, 1H), 2.46 (s, 3H), 2.22-2.36 (m, 1H), 1.91-2.09 (m, 1H); 2Hs not observed (NH and OH)
206	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.07 (s, 1H), 8.01, (s, 2H), 7.82 (d, J = 8.00 Hz, 1H), 7.23 (d, J = 8.00 Hz, 1H), 7.19 (s, 1H), 4.94 (d, J = 15 Hz, 2H), 3.79-3.71, (m, 1H), 3.20 (t, J = 14.50 Hz, 2H), 2.21 (d, J = 12.50 Hz, 2H), 1.78-1.67, (m, 2H), 1.46, (s, 9H); 3Hs not observed (2 NHs and OH)
212	MS m/z 394.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.09 (s, 1H), 8.01(s, 2H), 7.82 (d, J = 8.00 Hz, 1H), 7.23 (d, J = 8.50 Hz, 1H), 7.19 (s, 1H), 4.91 (d, J = 13.50 Hz, 1H), 4.58 (d, J = 13.50 Hz, 1H), 3.67-3.65, (m, 1H), 3.55-3.52, (m, 1H), 3.46-3.38 (m, 1H), 2.29-2.21, (m, 1H), 1.97-1.75, (m, 3H), 1.48 (s, 9H); 3Hs not observed (2 NHs and OH)
226	MS m/z 392.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.25 (s, 1H), 9.29 (br s, 1H), 9.07 (s, 1H), 8.17 (s, 1H), 7.87 (s, 1H), 7.83 (d, J = 8.1 Hz, 1H), 7.18 (d, J = 8.1 Hz, 1H), 7.15 (s, 1H), 4.04 (br s, 2H), 3.97 (br s, 2H), 3.88 (s, 3H), 3.40 (m, 2H), 3.02 (m, 2H), 2.78 (s, 3H), 2.18 (m, 2H), 1.91 (m, 2H)

Cpd	Data
262	MS m/z 352.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.13 (s, 1H), 8.17 (s, 2H), 7.87 (d, <i>J</i> = 8.5 Hz, 1H), 7.25 (dd, <i>J</i> = 2.6, 4.1 Hz, 2H), 4.81 (d, <i>J</i> = 13.3 Hz, 2H), 3.43 - 3.30 (m, 2H), 3.25 - 3.12 (m, 2H), 1.37 (d, <i>J</i> = 6.4 Hz, 6H); 3Hs not observed (2 NH and OH)
278	MS m/z 398.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.97 (br s, 1H), 9.69 (br s, 1H), 9.13 (s, 1H), 8.08 (s, 2H), 7.85 (d, <i>J</i> = 8.0 Hz, 1H), 7.25-7.22 (m, 2H), 5.79 (d, <i>J</i> = 53.0 Hz, 2H), 4.39-4.26 (m, 2H), 4.13-3.99 (m, 1H), 3.94-3.80 (m, 2H), 1.44 (s, 9H)
282	MS m/z 380.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.11 (s, 1H), 8.21 (s, 2H), 7.88 (d, <i>J</i> = 8.5 Hz, 1H), 7.30 - 7.22 (m, 2H), 4.00 (s, 4H), 1.48 (s, 12H); 3Hs not observed (2 NHs and OH)
297	MS m/z 380.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.30-8.62 (m, 2H), 7.68 (br d, <i>J</i> =8.2 Hz, 1H), 7.32 (br d, <i>J</i> =8.4 Hz, 1H), 7.29 (s, 1H), 5.98 (br s, 1H), 4.07-4.26 (m, 2H), 3.85-4.06 (m, 2H), 3.78 (br s, 1H), 3.37 (s, 2H), 2.93-3.12 (m, 2H), 2.59-2.76 (m, 1H), 2.39-2.58 (m, 1H), 2.15 (br dd, <i>J</i> =13.0, 6.7 Hz, 1H), 1.12 (br d, <i>J</i> =6.4 Hz, 6H); 1H not observed (NH or OH)
298	MS m/z 410.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.93 (s, 1H), 7.89 (br s, 2H), 7.70 (d, <i>J</i> =7.9 Hz, 1H), 7.11 (dd, <i>J</i> =8.2, 1.8 Hz, 1H), 7.08 (d, <i>J</i> =1.5 Hz, 1H), 4.64-4.73 (m, 1H), 3.39 (br d, <i>J</i> =7.9 Hz, 1H), 2.15 (br dd, <i>J</i> =13.3, 8.4 Hz, 1H), 1.78 (dd, <i>J</i> =13.3, 6.3 Hz, 1H), 1.33 (s, 3H), 1.31 (s, 3H), 1.22 (s, 3H), 1.18 (s, 3H); 5Hs not observed (3 NHs and 2 OHs)
306	MS m/z 364.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 10.05 (br s, 1H), 9.13 (s, 1H), 8.91 (br s, 1H), 8.10 (s, 2H), 7.86 (d, <i>J</i> = 8.5 Hz, 1H), 7.42 (s, 1H), 7.32 (s, 1H), 7.17-7.26 (m, 3H), 3.76-4.01 (m, 4H), 3.51-3.69 (m, 1H), 2.85-2.97 (m, 1H), 2.73-2.85 (m, 1H), 1.64-1.88 (m, 5H)
309	MS m/z 339.3 [M+H] ⁺
310	MS m/z 378.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 10.40 (br s, 1H), 9.10-9.19 (m, 1H), 8.12 (s, 2H), 7.86 (d, <i>J</i> = 7.9 Hz, 1H), 7.19-7.29 (m, 2H), 4.15-4.32 (m, 2H), 3.62-3.70 (m, 2H), 3.30-3.40 (m, 1H), 3.17 (s, 3H), 2.97-3.08 (m, 1H), 2.87-2.95 (m, 1H), 1.72-1.95 (m, 5H); 1H not observed (OH or NH)
311	MS m/z 380.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.38 (br s, 1H), 9.13 (s, 1H), 8.11 (s, 2H), 7.86 (d, <i>J</i> = 7.9 Hz, 1H), 7.18-7.30 (m, 2H), 5.76 (s, 1H), 4.50 (s, 3H), 4.14-4.22 (m, 2H), 3.99-4.03 (m, 2H), 3.86-3.95 (m, 2H), 3.80-3.84 (m, 2H), 3.36-3.49 (m, 1H), 3.26-3.29 (m, 1H)
312	MS m/z 380.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.08 (s, 1H), 8.27 - 7.95 (m, 2H), 7.84 (d, <i>J</i> = 7.9 Hz, 1H), 7.28 - 7.17 (m, 2H), 4.67 (q, <i>J</i> = 6.3 Hz, 2H), 4.52 - 4.31 (m, 2H), 4.07 - 3.86 (m, 2H), 3.76-3.53 (m, 4H), 2.14 - 2.02 (m, 1H), 1.82 (br s, 1H); 3Hs not observed (2NHs and OH)
313	MS m/z 394.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.10 (s, 1H), 8.16 (s, 1H), 7.90 - 7.82 (m, 2H), 7.20 - 7.14 (m, 2H), 4.80 - 4.871 (m, 2H), 4.58 - 4.55 (m, 2H), 4.45 - 4.34 (m, 1H), 3.88 (s, 3H), 3.85 - 3.72 (m, 3H), 3.70 - 3.59 (m, 2H), 2.35 - 2.22 (m, 1H), 2.15 - 2.03 (m, 1H); 2Hs not observed (NH and OH)

Cpd	Data
316	MS m/z 398.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.10 (s, 1H), 8.00 (br s, 2H), 7.83 (d, J = 8.0 Hz, 1H), 7.22 (d, J = 8.5 Hz, 1H), 7.19 (s, 1H), 4.52 (d, J = 47.5 Hz, 2H), 4.18-4.13 (m, 2H), 3.96-3.93 (m, 1H), 3.72-3.64 (m, 2H), 2.58-2.55 (m, 1H), 2.24-2.16 (m, 1H), 1.41 (s, 6H); 3Hs not observed (2 NHs and OH)
317	MS m/z 352.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.50 (s, 2H), 7.69 (br d, J =7.9 Hz, 1H), 7.33 (br d, J =8.5 Hz, 1H), 7.31 (s, 1H), 5.99 (s, 1H), 4.04-4.29 (m, 2H), 3.94 (br d, J =12.2 Hz, 2H), 3.73-3.86 (m, 1H), 3.24 (dt, J =12.6, 6.1 Hz, 2H), 2.57-2.75 (m, 1H), 2.33-2.56 (m, 1H), 1.43 (br t, J =6.9 Hz, 3H) 3Hs not observed (2 NHs 1 OH)
318	MS m/z 352.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.59 (br s, 2H), 7.70 (br d, J =7.9 Hz, 1H), 7.34 (br d, J =8.2 Hz, 1H), 7.32 (br s, 1H), 5.91-6.08 (m, 1H), 4.14-4.33 (m, 2H), 4.05-3.90 (m, 2H), 3.72-3.83 (m, 1H), 3.04 (s, 3H), 3.04 (s, 3H), 2.71 (br d, J =6.1 Hz, 1H), 2.41-2.62 (m, 1H); 2Hs not observed (NH and OH)
322	MS m/z 412.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.02 (s, 1H), 8.00 (br s, 2H), 7.78 (br d, J =7.9 Hz, 1H), 7.20 (br d, J =8.2 Hz, 1H), 7.18 (s, 1H), 4.65-4.80 (m, 1H), 4.45-4.60 (m, 1H), 1.78 (br d, J =8.5 Hz, 2H), 1.38 (br d, J =6.7 Hz, 6H), 1.27 (s, 6H); 4Hs not observed (3NHs and OH)
323	MS m/z 412.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.05 (s, 1H), 8.01 (br s, 2H), 7.81 (br d, J =7.9 Hz, 1H), 7.22 (br d, J =8.2 Hz, 1H), 7.20 (s, 1H), 4.66-4.80 (m, 1H), 4.43-4.61 (m, 1H), 1.78 (br d, J =8.5 Hz, 2H), 1.38 (br d, J =7.0 Hz, 6H), 1.27 (s, 6H); 4Hs not observed (3NHs and OH)
325	MS m/z 394.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.13 (s, 1H), 8.08 (br s, 2H), 7.86 (d, J = 7.9 Hz, 1H), 7.31 - 7.16 (m, 2H), 4.84 - 4.70 (m, 2H), 4.48 (d, J = 6.9 Hz, 2H), 4.18 - 4.04 (m, 1H), 4.04 - 3.90 (m, 1H), 3.90 - 3.79 (m, 1H), 3.79 - 3.69 (m, 1H), 3.69 - 3.56 (m, 1H), 2.46 - 2.36 (m, 1H), 2.23 - 2.09 (m, 1H), 1.73 (s, 3H); 3Hs not observed (2 NH and OH)
327	MS m/z 364.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.08-9.24 (m, 2H), 8.94 (br s, 1H), 8.12 (s, 2H), 7.84 (d, J = 7.9 Hz, 1H), 7.19-7.28 (m, 2H), 3.56-3.80 (m, 4H), 3.20-3.29 (m, 1H), 3.00-3.13 (m, 3H), 2.67-2.78 (m, 1H), 2.57-2.67 (m, 1H), 1.91-2.04 (m, 1H), 1.68-1.81 (m, 1H); 1H not observed (OH or NH)
328	MS m/z 324.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.13 (s, 1H), 8.24 (s, 2H), 7.87 (br d, J = 7.8 Hz, 2H), 7.34 - 7.19 (m, 2H), 4.11 (br s, 4H), 3.22 (br s, 4H); 3Hs not observed (2 NHs and OH)
329	MS m/z 384.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.17 (s, 1H), 8.20 (br s, 2H), 7.84 (d, J = 7.3 Hz, 1H), 7.26 (br s, 2H), 4.97 - 4.57 (m, 3H), 4.22 - 3.81 (m, 5H), 3.80 - 3.54 (m, 2H), 1.37 (br s, 3H); 3Hs was not observed (2 NHs and OH)
332	MS m/z 350.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.48 (s, 2H), 7.70 (br d, J =8.5 Hz, 1H), 7.33 (br d, J =8.2 Hz, 1H), 7.30 (s, 1H), 6.00 (s, 1H), 3.93-4.05 (m, 1H), 3.82-3.92 (m, 1H), 3.48 - 3.62 (m, 2H), 3.39 - 3.48 (m, 1H), 2.46 - 2.68 (m, 3H), 2.27 - 2.45 (m, 2H); 3Hs not observed (2 NHs and OH)

Cpd	Data
333	MS m/z 368.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.47 (s, 2H), 7.68 (br d, <i>J</i> =8.7 Hz, 1H), 7.33 (br d, <i>J</i> =8.4 Hz, 1H), 7.30 (s, 1H), 5.99 (s, 1H), 4.20-4.33 (m, 1H), 4.19-4.09 (m, 2H), 3.91 (br s, 5H), 3.75-3.85 (m, 1H), 3.37 (s, 1H), 2.60-2.76 (m, 1H), 2.38-2.57 (m, 1H); 3Hs not observed (2 NHs and OH)
334	MS m/z 366.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 13.00 (br s, 1H), 11.43 (br s, 1H), 9.09 (s, 1H), 8.23 (br s, 1H), 7.95 (br s, 1H), 7.85 (d, <i>J</i> = 7.3 Hz, 1H), 7.20-7.24 (m, 2H), 4.80-4.88 (m, 1H), 4.40-4.52 (m, 3H), 3.82-4.01 (m, 2H), 3.49-3.73 (m, 3H); 2Hs not observed (OH and/or NH)
335	MS m/z 408.4 [M+H] ⁺
336	MS m/z 396.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.63 (br s, 2H), 7.70 (br s, 1H), 7.33 (br s, 2H), 5.99 (br s, 1H), 4.36 (br s, 1H), 4.15 (br s, 1H), 4.05-3.87 (m, 2H), 3.79 (m, 2H), 3.63 - 3.56 (m, 2H), 3.48 (br s, 3H), 2.78 - 2.33 (m, 2H), 1.47 (br s, 3H); 3Hs was observed (2NHs and OH)
337	MS m/z 350.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.81 (s, 2H), 7.72 (d, <i>J</i> =7.93 Hz, 1H), 7.40 - 7.35 (m, 2H), 5.98 (s, 1H), 3.98 (br s, 2H), 3.79-3.77 (m, 2H), 3.71 - 3.61 (m, 2H), 3.49 - 3.40 (m, 4H); 3Hs not observed (2 NHs and OH)
339	MS m/z 364.2 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.79 (br s, 1H), 9.68 (br s, 1H), 9.13 (s, 1H), 8.10 (s, 2H), 7.86 (d, <i>J</i> = 8.5 Hz, 1H), 7.19-7.27 (m, 2H), 4.11-4.24 (m, 1H), 3.78-3.85 (m, 1H), 3.66-3.69 (m, 1H), 3.28-3.33 (m, 2H), 2.55-2.61 (m, 1H), 2.25-2.39 (m, 2H), 1.96-2.17 (m, 4H); 1H not observed (OH or NH)
340	MS m/z 378.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.65 (s, 2H), 7.68 (d, <i>J</i> = 8.1 Hz, 1H), 7.42 - 7.24 (m, 2H), 6.04 - 5.91 (m, 1H), 4.50 - 4.36 (m, 1H), 4.22 - 4.11 (m, 1H), 4.04 - 3.88 (m, 2H), 3.84 - 3.71 (m, 1H), 2.73 - 2.60 (m, 1H), 2.60 - 2.42 (m, 1H), 1.58 (d, <i>J</i> = 2.9 Hz, 3H), 1.31 (br s, 2H), 0.90 (br d, <i>J</i> = 4.0 Hz, 2H); 3Hs not observed (2 NHs and OH)
341	MS m/z 410.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.69 (s, 2H), 7.71 (d, <i>J</i> = 8.1 Hz, 1H), 7.42 - 7.30 (m, 2H), 5.99 (s, 1H), 4.45 - 4.27 (m, 1H), 4.21 - 4.03 (m, 1H), 4.02 - 3.87 (m, 2H), 3.85 - 3.73 (m, 1H), 3.62 - 3.47 (m, 5H), 2.73 - 2.59 (m, 1H), 2.56 - 2.40 (m, 1H), 1.57 - 1.43 (m, 6H); 3Hs not observed (2 NHs and OH)
345	MS m/z 356.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.60 (br s, 2H), 7.80 - 7.51 (m, 1H), 7.45 - 7.16 (m, 2H), 6.12 - 5.81 (m, 1H), 5.38 - 5.12 (m, 1H), 4.52 - 4.22 (m, 1H), 3.93 - 3.71 (m, 1H), 3.67-3.41 (m, 3H), 2.45 - 2.10 (m, 2H); 4Hs not observed (3 NHs and OH)
346	MS m/z 368.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.55 (s, 2H), 7.63 - 7.55 (m, 1H), 7.30 - 7.15 (m, 2H), 5.89 (s, 1H), 4.38 (br s, 1H), 4.11-3.90 (m, 4H), 3.70 - 3.59 (m, 1H), 3.42 (d, <i>J</i> = 2.4 Hz, 3H), 2.78 (d, <i>J</i> = 3.8 Hz, 3H); 3Hs not observed (2 NHs and OH)

Cpd	Data
347	MS m/z 366.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.05 (s, 1H), 7.99 (br s, 2H), 7.80 (d, J = 8.0 Hz, 1H), 7.20 (dd, J = 8.0, 2.0 Hz, 1H), 7.17 (d, J = 1.5 Hz, 1H), 3.99 (dd, J = 11.5, 6.5 Hz, 1H), 3.89-3.85 (m, 1H), 3.79-3.73 (m, 1H), 3.68-3.62 (m, 1H), 3.47 (dd, J = 11.5, 6.5 Hz, 1H), 3.10 (dt, J = 12.5, 6.0 Hz, 1H), 2.39 (dq, J = 12.0, 6.0 Hz, 1H), 2.03-1.97 (m, 1H), 1.20 (t, J = 5.5 Hz, 6H); 3Hs not observed (2 NHs and OH)
348	MS m/z 366.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.05 (s, 1H), 7.99 (br s, 2H), 7.80 (d, J = 8.0 Hz, 1H), 7.20 (dd, J = 8.0, 2.0 Hz, 1H), 7.17 (d, J = 1.5 Hz, 1H), 3.99 (dd, J = 11.5, 6.5 Hz, 1H), 3.89-3.85 (m, 1H), 3.79-3.73 (m, 1H), 3.68-3.62 (m, 1H), 3.47 (dd, J = 11.5, 6.5 Hz, 1H), 3.10 (dt, J = 12.5, 6.0 Hz, 1H), 2.39 (dq, J = 12.0, 6.0 Hz, 1H), 2.03-1.97 (m, 1H), 1.20 (t, J = 5.5 Hz, 6H); 3Hs not observed (2 NHs and OH)
349	MS m/z 390.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.20-11.34 (m, 1H), 9.50-9.59 (m, 1H), 9.13 (s, 1H), 8.07-8.12 (m, 1H), 7.86 (d, J = 8.1 Hz, 1H), 7.24 (dd, J = 8.1, 1.7 Hz, 1H), 7.21 (d, J = 1.5 Hz, 1H), 4.03-4.08 (m, 1H), 3.95-4.00 (m, 1H), 3.78-3.84 (m, 2H), 3.66-3.75 (m, 3H), 2.74 (s, 1H), 2.11-2.15 (m, 6H); 2Hs not observed (2 NHs)
353	MS m/z 380.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.64 (s, 2H), 7.70 (d, J = 8.1 Hz, 1H), 7.40 - 7.29 (m, 2H), 5.97 (d, J = 10.1 Hz, 1H), 4.12 - 4.04 (m, 2H), 4.02 - 3.95 (m, 1H), 3.94 - 3.70 (m, 3H), 3.59 - 3.44 (m, 2H), 2.68 - 2.53 (m, 1H), 2.37 - 2.20 (m, 1H); 2Hs not observed (overlapped with residual solvent peak); 3Hs not observed (2 NHs and OH)
354	MS m/z 364.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.59 (br s, 2H), 7.68 (d, J = 8.2 Hz, 1H), 7.40 - 7.26 (m, 2H), 6.01 - 5.94 (m, 1H), 3.88 - 3.67 (m, 4H), 3.59 - 3.43 (m, 4H), 2.41 - 2.10 (m, 4H); 3Hs not observed (2 NHs and OH)
355	MS m/z 380.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.25 (br s, 2H), 7.63 (d, J = 8.0 Hz, 1H), 7.28 (dd, J = 8.5, 1.5 Hz, 1H), 7.24 (d, J = 1.5 Hz, 1H), 5.96 (d, J = 3.0 Hz, 1H), 4.38-4.28 (m, 1H), 4.18-4.12 (m, 1H), 3.96-3.87 (m, 2H), 3.80-3.70 (m, 1H), 2.72-2.64 (m, 1H), 2.49-2.37 (m, 1H), 1.51 (s, 9H); 3Hs not observed (2NHs and OH)
356	MS m/z 380.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.25-8.16 (m, 2H), 7.65 (d, J = 8.3 Hz, 1H), 7.29 (d, J = 8.5 Hz, 1H), 7.25 (s, 1H), 5.98 (d, J = 2.6 Hz, 1H), 4.40-4.29 (m, 1H), 4.20-4.13 (m, 1H), 3.96-3.87 (m, 2H), 3.80-3.71 (m, 1H), 2.76-2.64 (m, 1H), 2.49-2.37 (m, 1H), 1.53 (s, 9H); 3Hs not observed (2 NHs and OH)
357	MS m/z 392.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.12 (s, 1H), 7.90-8.17 (m, 2H), 7.80 (d, J = 8.2 Hz, 1H), 7.21 (s, 2H), 4.09-4.16 (m, 1H), 4.02-4.09 (m, 1H), 3.89-4.00 (m, 1H), 3.74-3.83 (m, 2H), 3.59-3.70 (m, 1H), 2.46-2.65 (m, 1H), 2.13-2.32 (m, 3H), 1.80-1.92 (m, 2H), 1.58-1.78 (m, 4H); 3Hs not observed (2NHs and OH)
361	MS m/z 380.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.62 (br s, 2H), 7.68 (d, J = 7.9 Hz, 1H), 7.42 - 7.28 (m, 2H), 5.95 (d, J = 7.2 Hz, 1H), 4.02 - 3.89 (m, 1H), 3.88 - 3.78 (m, 1H), 3.77 - 3.64 (m, 1H), 3.51 - 3.44 (m, 1H), 3.30 - 3.17 (m, 2H), 2.89 (br s, 1H), 2.44 (br s, 1H), 2.14 - 1.94 (m, 1H), 1.50 - 1.38 (m, 7H); 3Hs not observed (2NHs and OH)

Cpd	Data
362	MS m/z 422.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.13 (s, 1H), 8.05 (d, J = 9.6 Hz, 2H), 7.30 - 7.16 (m, 2H), 5.96 (s, 1H), 4.47 - 4.29 (m, 1H), 4.27 - 4.09 (m, 1H), 4.04-3.98 (m, 2H), 3.83 - 3.69 (m, 2H), 3.65 (br t, J = 11.6 Hz, 3H), 2.75 - 2.58 (m, 1H), 2.51 - 2.25 (m, 1H), 2.07 - 1.95 (m, 2H), 1.94 - 1.82 (m, 2H), 1.64 (br s, 3H); 3Hs not observed (2 NHs and OH)
367	MS m/z 397.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.11 (s, 1H), 7.95 (s, 1H), 7.62 (d, J =8.2 Hz, 1H), 7.22 (d, J =7.9 Hz, 1H), 7.19 (s, 1H), 5.97 (d, J =2.1 Hz, 1H), 4.28-4.42 (m, 1H), 4.09-4.22 (m, 1H), 3.84-3.99 (m, 2H), 3.69-3.82 (m, 1H), 2.62-2.77 (m, 1H), 2.36-2.51 (m, 1H), 1.52 (s, 9H); 2Hs not observed (NH and OH)
373	MS m/z 411.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.14 (s, 1H), 7.99 (s, 1H), 7.62 (d, J =8.5 Hz, 1H), 7.23 (dd, J =8.2, 1.5 Hz, 1H), 7.19 (d, J =1.5 Hz, 1H), 5.92-6.03 (m, 1H), 4.23-4.43 (m, 1H), 2.20-2.33 (m, 2H), 1.68-1.87 (m, 2H), 1.63 (d, J =8.9 Hz, 6H), 1.55 (d, J =6.4 Hz, 6H); 3Hs not observed (2 NHs and OH)
369	MS m/z 378.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.63 (s, 2H), 7.69 (d, J = 7.8 Hz, 1H), 7.41 - 7.27 (m, 2H), 5.96 (d, J = 6.4 Hz, 1H), 3.80 (br s, 3H), 3.32 - 3.19 (m, 4H), 2.36 - 2.08 (m, 2H), 2.02 - 1.76 (m, 5H); 3Hs not observed (2 NHs and OH)
370	MS m/z 350.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.54 (s, 2H), 7.69 (d, J = 8.2 Hz, 1H), 7.37 - 7.26 (m, 2H), 5.99 (d, J = 9.0 Hz, 1H), 4.63-4.50 (m, 1H), 4.26-4.16 (m, 1H), 4.10 (dd, J = 6.3, 12.4 Hz, 1H), 4.06- .98 (m, 1H), 3.67-3.54 (m, 2H), 3.54-3.43 (m, 2H), 2.48-2.36 (m, 1H), 2.22-2.12 (m, 1H); 3Hs not observed (2 NHs and OH)
371	MS m/z 382.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.15 (s, 1H), 8.15 (br s, 2H), 7.85 (d, J = 8.2 Hz, 1H), 7.29 - 7.20 (m, 2H), 3.98 (br s, 2H), 3.94-3.84 (m, 2H), 3.72 - 3.61 (m, 1H), 3.52 (br t, J = 5.7 Hz, 2H), 3.11 - 2.98 (m, 2H), 2.45 - 2.35 (m, 2H), 1.92 - 1.80 (m, 2H); 4Hs not observed (2 NHs and 2 OHs)
372	MS m/z 422.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.95 (s, 1H), 7.99 (br s, 2H), 7.72 (d, J = 8.2 Hz, 1H), 7.18-7.08 (m, 2H), 4.09-4.02 (m, 2H), 3.94-3.86 (m, 1H), 3.82-3.74 (m, 1H), 3.58-3.44 (m, 3H), 3.30-3.23 (m, 1H), 3.21-3.14 (m, 2H), 2.75-2.64 (m, 1H), 2.37 - 2.25 (m, 1H), 2.11 - 2.01 (m, 2H), 1.91 - 1.79 (m, 1H), 1.76 - 1.64 (m, 2H); 1H not observed (overlapped with solvent peak); 3Hs not observed (2 NHs and OH)
377	MS m/z 429.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.15 (s, 1H), 8.00 (s, 1H), 7.62 (d, J =8.5 Hz, 1H), 7.23 (dd, J =8.2, 1.2 Hz, 1H), 7.20 (s, 1H), 6.01 (d, J =10.1 Hz, 1H), 4.62-4.82 (m, 1H), 1.97-2.27 (m, 3H), 1.65-1.72 (m, 6H), 1.55-1.63 (m, 6H); 3Hs not observed (2 NHs and OH)
386	MS m/z 406.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ :8.66 (s, 2H), 7.69 (d, J = 7.9 Hz, 1H), 7.42 - 7.29 (m, 2H), 6.02 - 5.89 (m, 1H), 4.04 - 3.88 (m, 1H), 3.87 - 3.76 (m, 1H), 3.74 - 3.59 (m, 2H), 3.51 - 3.42 (m, 1H), 3.31 - 3.20 (m, 2H), 3.00 - 2.81 (m, 1H), 2.53 - 2.37 (m, 1H), 2.25 - 2.14 (m, 2H), 2.12 - 1.97 (m, 1H), 1.89 (br s, 2H), 1.83 - 1.75 (m, 2H), 1.71 (br s, 2H); 3Hs not observed (2 NHs and OH)

Cpd	Data
387	MS m/z 378.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.17 (s, 1H), 8.19 - 8.09 (m, 2H), 7.80 (br d, J = 5.6 Hz, 1H), 7.25 (br s, 2H), 3.93 - 3.74 (m, 1H), 3.65 - 3.51 (m, 1H), 3.51 - 3.32 (m, 2H), 3.28 - 3.08 (m, 2H), 2.38 - 2.27 (m, 1H), 2.25 - 2.09 (m, 2H), 2.05 - 1.93 (m, 2H), 1.93 - 1.78 (m, 2H), 1.73 - 1.56 (m, 1H); 3Hs not observed (2 NHs and OH)
388	MS m/z 429.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.10 (s, 1H), 8.68 (s, 1H), 8.09 (s, 2H), 7.99 - 7.79 (m, 2H), 7.62 - 7.39 (m, 2H), 7.31 - 7.14 (m, 2H), 4.40 (br s, 2H), 3.98 - 3.87 (m, 1H), 3.80-3.75 (m, 1H), 3.66 - 3.52 (m, 1H), 3.51 - 3.38 (m, 1H), 3.19 (br s, 2H), 2.87 - 2.72 (m, 1H), 2.31 - 2.20 (m, 1H), 1.95 - 1.81 (m, 1H); 3Hs not observed (2 NHs and OH)
389	MS m/z 352.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.08 (s, 1H), 8.02 (s, 1H), 7.86 (s, 1H), 7.82 (d, J = 8.00 Hz, 1H), 7.19 (d, J = 8.00 Hz, 1H), 7.16 (s, 1H), 3.96 (s, 3H), 3.92-3.81 (m, 2H), 3.75 (d, J = 11.00 Hz, 1H), 3.62 (d, J = 11.00 Hz, 1H), 2.16 (s, 2H), 1.49 (s, 3H); 3Hs not observed (2 NHs and OH)
390	MS m/z 394.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.68 (s, 2H), 7.69 (d, J = 7.9 Hz, 1H), 7.40 - 7.29 (m, 2H), 5.96 (d, J = 7.8 Hz, 1H), 4.06 - 3.91 (m, 1H), 3.90 - 3.78 (m, 1H), 3.77 - 3.64 (m, 1H), 3.61-3.44 (m, 1H), 3.31 - 3.17 (m, 2H), 2.96 - 2.80 (m, 1H), 2.54-2.41 (m, 1H), 2.16 - 1.97 (m, 1H), 1.53 - 1.43 (s, 9H); 3Hs not observed (2 NHs and OH)
391	MS m/z 436.6 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.11 (s, 1H), 8.50-8.64 (m, 1H), 8.46 (br dd, J =3.7, 1.8 Hz, 1H), 8.03-8.23 (m, 2H), 7.86 (br d, J =8.4 Hz, 2H), 7.19-7.28 (m, 2H), 3.88-4.02 (m, 2H), 3.85 (br dd, J =10.5, 2.0 Hz, 2H), 3.71-3.82 (m, 2H), 3.56-3.70 (m, 2H), 3.42-3.52 (m, 3H), 3.04-3.25 (m, 3H), 2.60-2.75 (m, 1H), 2.16-2.37 (m, 2H), 1.77-1.94 (m, 3H), 1.57-1.77 (m, 3H), 1.42 (s, 3H)
392	MS m/z 378.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.48 (br s, 1H), 9.09 (s, 1H), 8.15 (s, 1H), 7.99-8.12 (m, 1H), 7.85 (br d, J =8.2 Hz, 1H), 7.17-7.26 (m, 2H), 3.77-3.91 (m, 1H), 3.66-3.77 (m, 1H), 3.50-3.62 (m, 1H), 2.77-2.93 (m, 2H), 2.26-2.35 (m, 1H), 2.08-2.25 (m, 1H), 1.80 (br d, J =9.6 Hz, 1H), 0.51 (br d, J =5.6 Hz, 2H), 0.44 (br s, 2H); 4H not observed (2NHs, 2 aliphatic CHs obscured by solvent peak)
395	MS m/z 408.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.41 (s, 2H), 7.66 (d, J = 8.2 Hz, 1H), 7.24-7.36 (m, 2H), 5.95 (d, J = 6.7 Hz, 1H), 4.05-4.15 (m, 2H), 3.90-4.01 (m, 2H), 3.87-3.89 (m, 1H), 3.74-3.86 (m, 2H), 3.65-3.71 (m, 1H), 3.41-3.52 (m, 2H), 2.82-2.92 (m, 1H), 2.40-2.50 (m, 2H), 2.16 (br s, 1H), 2.00-2.17 (m, 2H); 3Hs not observed (OH and 2NHs)
397	MS m/z 422.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.07 (s, 1H), 8.01 (br d, J =0.9 Hz, 2H), 7.83 (br d, J =7.3 Hz, 1H), 7.23 (br d, J =8.2 Hz, 1H), 7.20 (s, 1H), 3.92-4.05 (m, 3H), 3.82-3.90 (m, 1H), 3.59-3.69 (m, 1H), 3.45 (br t, J =11.7 Hz, 2H), 2.83 (br d, J =7.0 Hz, 3H), 2.53-2.64 (m, 1H), 2.26-2.35 (m, 1H), 1.93 (br d, J =12.8 Hz, 2H), 1.80-1.88 (m, 1H), 1.42-1.54 (m, 2H), 1.28-1.38 (m, 1H); 3Hs not observed (2NHs and OH)

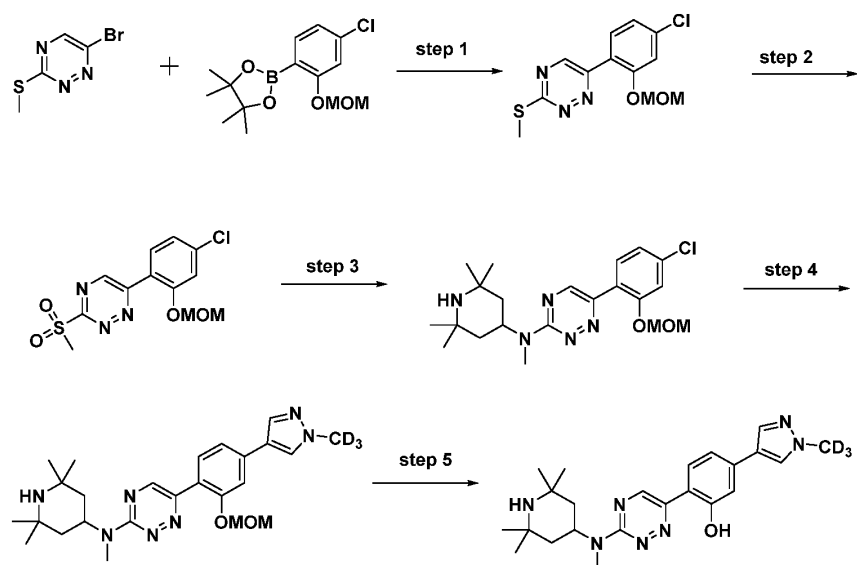
Cpd	Data
398	MS m/z 422.4 [M+H] ⁺ ; ¹ H NMR (1:3 chloroform- <i>d</i> : methanol- <i>d</i> ₄) δ : 9.04 (s, 1H), 7.94 (br s, 2H), 7.78 (br d, $J=7.9$ Hz, 1H), 7.15-7.23 (m, 2H), 4.03 (br d, $J=11.0$ Hz, 2H), 3.98 (br dd, $J=9.8, 8.9$ Hz, 1H), 3.84-3.92 (m, 1H), 3.62-3.71 (m, 1H), 3.46 (br t, $J=11.6$ Hz, 2H), 3.35-3.40 (m, 1H), 2.89-3.14 (m, 3H), 2.59-2.72 (m, 1H), 2.28-2.38 (m, 1H), 1.98 (br d, $J=11.9$ Hz, 2H), 1.82-1.93 (m, 1H), 1.51-1.64 (m, 2H); 3Hs not observed (2 NHs and OH)
399	MS m/z 378.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 1.94 - 2.09 (m, 2H) 2.28 - 2.52 (m, 4H) 2.54 - 2.69 (m, 1H) 3.37 (s, 1H), 3.71 - 4.02 (m, 4H) 4.03 - 4.18 (m, 2H) 5.98 (br s, 1H) 7.20 - 7.42 (m, 2H) 7.67 (br d, $J=8.24$ Hz, 1H) 8.41 (br s, 2H); 3Hs not observed (2NHs and OH)
400	MS m/z 378.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 1.91 - 2.09 (m, 2H) 2.28 - 2.50 (m, 5H) 2.52 - 2.71 (m, 1H) 3.37 (s, 2H) 3.68 - 4.01 (m, 4H) 4.03 - 4.19 (m, 2H) 5.93 - 6.03 (m, 1H) 7.31 (s, 1H) 7.32 - 7.37 (m, 1H) 7.69 (br d, $J=7.93$ Hz, 1H) 8.55 (s, 2H); 1H not observed
403	MS m/z 440.7 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.41 (s, 2H), 7.67 (d, $J= 7.9$ Hz, 1H), 7.25-7.34 (m, 2H), 5.95 (d, $J= 7.3$ Hz, 1H), 5.11-5.13 (m, 1H), 5.02-5.04 (m, 1H), 4.22 (t, $J= 13.4$ Hz, 1H), 4.09 (d, $J= 10.4$ Hz, 1H), 3.95-4.01 (m, 1H), 3.70-3.85 (m, 4H), 3.47-3.64 (m, 3H), 2.90-2.94 (m, 1H), 2.46-2.50 (m, 1H), 1.97-2.20 (m, 3H); 3Hs not observed (OH and 2NHs)
404	MS m/z 422.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.40 (s, 2H), 7.66 (d, $J= 7.9$ Hz, 1H), 7.25-7.35 (m, 2H), 5.95 (d, $J= 6.4$ Hz, 1H), 3.90-4.05 (m, 2H), 3.74-3.87 (m, 3H), 3.68-3.72 (m, 2H), 3.47-3.52 (m, 2H), 3.35-3.37 (m, 2H), 2.88-2.94 (m, 1H), 2.44-2.48 (m, 1H), 2.19-2.22 (m, 1H), 1.92-2.10 (m, 3H), 1.68-1.72 (m, 1H); 3Hs not observed (OH and 2NHs)
405	MS m/z 448.7 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.42 (br s, 2H), 7.67 (d, $J= 8.5$ Hz, 1H), 7.26-7.36 (m, 2H), 5.95 (d, $J= 6.7$ Hz, 1H), 4.50-4.52 (m, 2H), 3.92-3.96 (m, 1H), 3.79-3.83 (m, 1H), 3.66-3.72 (m, 1H), 3.47-3.52 (m, 2H), 3.15-3.28 (m, 2H), 2.85-2.89 (m, 1H), 2.65-2.72 (m, 2H), 2.40-2.46 (m, 1H), 2.00-2.10 (m, 2H), 1.82 (d, $J= 7.3$ Hz, 2H), 1.45-1.62 (m, 2H), 1.26-1.31 (m, 1H); 3Hs not observed (OH and 2NHs)
406	MS m/z 392.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 1.97 - 2.07 (m, 2H) 2.29 - 2.52 (m, 5H) 2.53 - 2.69 (m, 1H) 3.71 - 4.02 (m, 4H) 4.08 (br s, 5H) 5.97 (br s, 1H) 7.24 (br s, 1H) 7.26 (br d, $J=8.24$ Hz, 1H) 7.66 (br d, $J=8.24$ Hz, 1H) 8.17 - 8.53 (m, 2H); 3Hs not observed (2NHs and OH)
407	MS m/z 392.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 1.89 - 2.08 (m, 2H) 2.23 - 2.51 (m, 5H) 2.60 (br d, $J=5.80$ Hz, 1H) 3.69 - 4.00 (m, 4H) 4.01 - 4.20 (m, 5H) 5.97 (br s, 1H) 7.22 (s, 1H) 7.25 (br d, $J=7.93$ Hz, 1H) 7.65 (br d, $J=7.93$ Hz, 1H) 8.17 (s, 1H) 8.29 (s, 1H); 3Hs not observed (2 NHs and OH)
408	MS m/z 420.6 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.10 (s, 1H), 8.02 (s, 1H), 7.86 (s, 1H), 7.83 (d, $J= 8.54$ Hz, 1H), 7.21 (d, $J= 8.09$ Hz, 1H), 7.17 (s, 1H), 3.38-3.28 (m, 1H), 3.96 (s, 3H), 3.20 (s, 3H), 2.36-2.30 (m, 2H), 2.14-1.99 (m, 6H), 1.57 (s, 6H); 2Hs not observed (NH and OH)

Cpd	Data
409	MS m/z 428.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.47 (br s, 2H), 7.69 (br d, $J=8.2$ Hz, 1H), 7.33 (br d, $J=8.2$ Hz, 1H), 7.30 (s, 1H), 5.99 (s, 1H), 4.20-4.30 (m, 1H), 4.07-4.19 (m, 1H), 3.86-4.07 (m, 3H), 3.75-3.86 (m, 1H), 2.75-2.88 (m, 1H), 2.60-2.74 (m, 1H), 2.32-2.56 (m, 4H), 2.15-2.30 (m, 1H), 2.02-2.12 (m, 1H); 3Hs not observed (2NHs and OH)
410	MS m/z 366.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.42 (s, 2H), 7.66 (d, $J=7.8$ Hz, 1H), 7.35 - 7.25 (m, 2H), 5.95 (d, $J=9.0$ Hz, 1H), 4.07 - 3.92 (m, 1H), 3.89 - 3.76 (m, 1H), 3.74 - 3.61 (m, 1H), 3.56 - 3.46 (m, 1H), 3.45 - 3.38 (m, 2H), 3.00 (br s, 7H), 2.51 - 2.39 (m, 1H), 2.12 - 1.92 (m, 1H); 2Hs not observed (NH and OH)
413	MS m/z 352.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.46 (s, 2H), 7.71 - 7.62 (m, 1H), 7.36 - 7.26 (m, 2H), 5.99 - 5.89 (m, 1H), 4.00 - 3.88 (m, 1H), 3.81 (br s, 1H), 3.74 - 3.63 (m, 1H), 3.56 - 3.47 (m, 1H), 3.28-3.25 (m, 2H), 2.95 - 2.84 (m, 1H), 2.84 - 2.77 (m, 3H), 2.43 (m, 1H), 2.13 - 1.93 (m, 1H); 3Hs not observed (2NHs and OH)
414	MS m/z 394.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.51 (s, 2H), 7.67 (d, $J=7.8$ Hz, 1H), 7.40 - 7.25 (m, 2H), 6.01 - 5.87 (m, 1H), 3.99 - 3.79 (m, 1H), 3.74 - 3.57 (m, 3H), 2.94-2.79 (m, 1H), 2.59 - 2.27 (m, 1H), 2.16-1.99 (m, 1H), 1.52 - 1.35 (m, 11H); 3Hs not observed (2NHs and OH)
415	MS m/z 392.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 1.89 - 2.08 (m, 2H) 2.23 - 2.51 (m, 5H) 2.60 (br d, $J=5.80$ Hz, 1H) 3.69 - 4.00 (m, 4H) 4.01 - 4.20 (m, 5H) 5.97 (br s, 1H) 7.22 (s, 1H) 7.25 (br d, $J=7.93$ Hz, 1H) 7.65 (br d, $J=7.93$ Hz, 1H) 8.17 (s, 1H) 8.29 (s, 1H); 3Hs not observed (2NHs and OH)
416	MS m/z 406.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 1.20 (t, $J=6.87$ Hz, 2H) 1.89 - 2.13 (m, 2H) 2.25 - 2.51 (m, 5H) 2.86 (br dd, $J=12.51, 6.10$ Hz, 1H) 3.04 - 3.22 (m, 2H), 3.51 (q, $J=6.82$ Hz, 2H) 3.69 (br d, $J=6.10$ Hz, 1H) 3.75 - 3.98 (m, 3H) 4.00 - 4.08 (m, 3 H) 5.94 (br d, $J=7.32$ Hz, 1H) 7.22 (s, 1H) 7.25 (br d, $J=8.24$ Hz, 1H) 7.63 (br d, $J=8.54$ Hz, 1H) 8.16 (s, 1H) 8.28 (s, 1H)
418	MS m/z 398.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.13 (s, 1H), 8.14 (s, 2H), 7.69 (d, $J=7$ Hz, 1H), 7.33 (t, $J=7$ Hz, 1H), 4.16 (m, 1H), 4.07 (m, 1H), 3.75-3.90 (m, 2H), 3.64 (m, 1H), 2.35 (m, 1H), 1.38 (s, 9H); 3Hs not observed (NH, OH, and one aliphatic multiplet peak obscured by solvent peak)
420	MS m/z 410.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.81 (s, 2H), 7.69 (br d, $J=7.9$ Hz, 1H), 7.30-7.42 (m, 2H), 5.98 (s, 1H), 5.27-5.35 (m, 1H), 4.28 (br s, 1H), 4.11-4.22 (m, 1H), 3.93-4.09 (m, 3H), 3.83 (br s, 1H), 2.69 (br d, $J=1.2$ Hz, 1H), 2.48-2.64 (m, 2H), 2.43 (br s, 1H), 1.92-2.35 (m, 4H); 3Hs not observed (2 NHs and OH)
421	MS m/z 448.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.72 (br s, 2H), 7.70 (br d, $J=7.9$ Hz, 1H), 7.32-7.39 (m, 2H), 5.90-6.04 (m, 1H), 4.06 (br d, $J=7.6$ Hz, 4H), 3.77-3.88 (m, 1H), 3.65-3.75 (m, 1H), 3.48-3.63 (m, 3H), 3.16-3.27 (m, 1H), 2.34 (br d, $J=4.6$ Hz, 1H), 2.21 (br d, $J=6.1$ Hz, 1H), 2.14 (br d, $J=11.9$ Hz, 1H), 1.79 (br s, 3H), 1.14 (br d, $J=6.1$ Hz, 4H); 3Hs not observed (2 NHs and OH)

Cpd	Data
422	MS m/z 394.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.14 (s, 1H), 8.02 (s, 1H), 7.86 (s, 1H), 7.84 (d, J = 10.00 Hz, 1H), 7.21 (d, J = 10.00 Hz, 1H), 7.18 (s, 1H), 4.06-3.89 (m, 3H), 3.96 (s, 3H), 3.86-3.74 (m, 2H), 2.44-2.37 (m, 2H), 1.65 (s, 3H), 1.46 (t, J = 5.50 Hz, 6H); 2Hs not observed (NH and OH)

Example 7

Preparation of Compound 14



Step 1. To a dry round bottom flask were added: 6-bromo-3-methylsulfanyl-1,2,4-triazine (7.0 g, 34.0 mmol), 2-[4-chloro-2-(methoxymethoxy)phenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (10 g, 33.5 mmol), K_2CO_3 (9.5 g, 68 mmol), and $PddppfCl_2$ (3.8 g, 5.1 mmol). The mixture was degassed with argon for 10 min, then dioxane (100 mL) and water (20 mL) were added and the reaction was heated at 90 °C for 16 h (overnight). The reaction was cooled down to room temperature, partitioned between EtOAc and water, and then the organic phase was dried over Na_2SO_4 , concentrated, and then purified by silica-gel column chromatography eluting with a gradient of 0-20 % EtOAc in pentanes. This provided 6-[4-chloro-2-(methoxymethoxy)phenyl]-3-methylsulfanyl-1,2,4-triazine (4.5 g, 44% yield) as a yellow solid. MS m/z 298.1, 300.1 $[M+H]^+$.

Step 2. 6-[4-Chloro-2-(methoxymethoxy)phenyl]-3-methylsulfanyl-1,2,4-triazine (1.84 g, 6.18 mmol) and mCPBA (2.67 g, 15.5 mmol) were dissolved in CH_2Cl_2 (26 mL). The reaction mixture

was stirred at room temperature for 16 h. LCMS showed full conversion. The solvent was then removed in vacuo and the crude product was triturated in 20 mL Et₂O. Filtration provided 6-[4-chloro-2-(methoxymethoxy)phenyl]-3-methylsulfonyl-1,2,4-triazine (1.69 g, 80.4% yield) as an off-white solid. MS *m/z* 330.1, 332.1 [M+H]⁺.

- 5 Step 3. 6-[4-Chloro-2-(methoxymethoxy)phenyl]-3-methylsulfonyl-1,2,4-triazine (1.0 g, 2.9 mmol) was dissolved in dry DMF (8 mL). Then N,2,2,6,6-pentamethylpiperidin-4-amine (790 mg, 4.41 mmol) and DIPEA (5.2 mL, 30 mmol) were added. The reaction mixture was stirred at 50 °C for 16 h (overnight). The reaction mixture was purified directly by silica-gel column chromatography using 20% MeOH/CH₂Cl₂ eluent to provide the desired product 6-[4-chloro-2-(methoxymethoxy)phenyl]-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine
10 (980 mg, 79% yield) as a yellow solid. MS *m/z* 420.5, 422.5 [M+H]⁺.

- Step 4. To a dry screw-cap vial were added 6-[4-chloro-2-(methoxymethoxy)phenyl]-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (30 mg, 0.071 mmol), 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1-(trideuteriomethyl)pyrazole (18.1 mg, 0.086 mmol),
15 XPhos Pd G4 (6 mg, 0.007 mmol), and K₂CO₃ (30 mg, 0.2143 mmol). The mixture was degassed with argon for 10 min, then dioxane (2 mL) and water (0.5 mL) were added and the reaction was heated at 90 °C for 16 h (overnight). The reaction was cooled to room temperature, partitioned between EtOAc and water, and the organic phase was dried over Na₂SO₄, concentrated, and purified by silica-gel column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-20%
20 MeOH) to provide 6-[2-(methoxymethoxy)-4-[1-(trideuteriomethyl)pyrazol-4-yl]phenyl]-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (24 mg, 72% yield) as a brownish solid. MS *m/z* 469.8 [M+H]⁺.

- Step 5. To a solution 6-[2-(methoxymethoxy)-4-[1-(trideuteriomethyl)pyrazol-4-yl]phenyl]-N-methyl-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (24 mg, 0.051 mmol) in 1 mL of
25 CH₂Cl₂ and a few drops of MeOH was added HCl (4 mol/L) in 1,4-dioxane (26 µL, 0.10 mmol) and the mixture was stirred 16 h at room temperature. UPLC showed complete consumption of the starting material. The solvents were evaporated and the residue was purified by silica-gel column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-30% MeOH/2.5% NH₄OH) to

provided 2-[3-[methyl-(2,2,6,6-tetramethyl-4-piperidyl)amino]-1,2,4-triazin-6-yl]-5-[1-(trideuteriomethyl)pyrazol-4-yl]phenol;hydrochloride (7 mg, 30% yield) as a tan solid.

MS m/z 425.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.58 (br s, 1H), 8.23 (s, 1H), 8.09 (s, 1H), 7.66 (d, $J=8.2$ Hz, 1H), 7.26 (dd, $J=8.2$, 1.8 Hz, 1H), 7.22 (d, $J=1.8$ Hz, 1H), 4.50-4.61 (m, 1H), 3.18 (s, 3H), 2.08-2.27 (m, 4H), 1.72 (s, 6H), 1.60 (s, 6H); 2Hs not observed (OH and NH).

Using the procedure described for Example 7, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

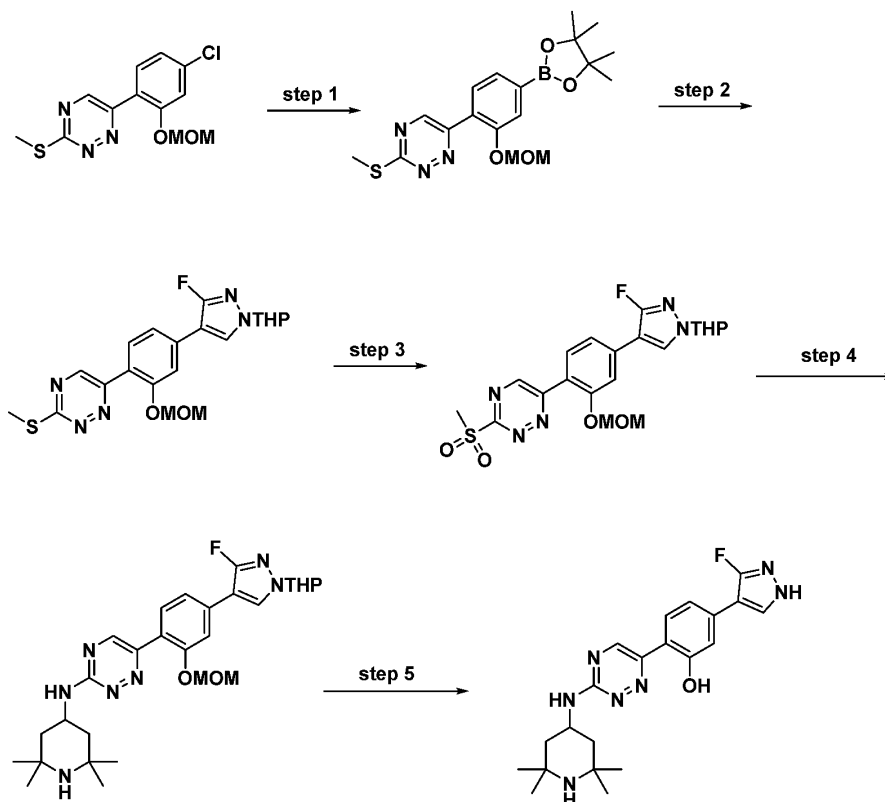
Cpd	Data
72	MS m/z 413.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.34 (s, 1H), 8.00 (d, $J=2.0$ Hz, 1H), 7.95 (d, $J=9.0$ Hz, 1H), 7.27-7.28 (m, 2H), 5.72-5.76 (m, 1H), 2.43-2.45 (m, 2H), 1.76-1.88 (m, 2H), 1.57 (s, 6H), 1.51 (s, 6H); 3Hs not observed (2NHs and OH)
213	MS m/z 380.3 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.14 (s, 1H), 7.88 (d, $J=8.2$ Hz, 1H), 7.64 (s, 1H), 7.32-7.52 (m, 2H), 6.67 (s, 1H), 4.06-4.25 (m, 2H), 3.98-4.03 (m, 1H), 3.97 (s, 3H), 3.71-3.91 (m, 1H), 3.43-3.61 (m, 1H), 2.58 (s, 1H), 2.21-2.33 (m, 1H), 2.28 (td, $J=13.0$, 6.3 Hz, 1H), 1.42 (br t, $J=5.2$ Hz, 6H); 2Hs not observed (NH and OH)
223	MS m/z 442.4 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.10 (s, 1H), 8.07 (s, 1H), 8.03 (s, 1H), 7.90 (d, $J=8.00$ Hz, 1H), 7.7 (d, $J=8.50$ Hz, 1H), 7.64 (d, $J=9.00$ Hz, 1H), 7.31 (d, $J=8.5$ Hz, 1H), 7.28 (s, 1H), 4.16 (s, 2H), 4.10 (s, 3H), 4.05 (s, 2H), 3.53 (d, $J=12.5$ Hz, 2H), 3.11 (t, $J=12.5$ Hz, 2H), 2.91 (s, 3H), 2.32 (d, $J=14.5$ Hz, 2H), 2.05 (t, $J=12.50$ Hz, 2H); 1H not observed (OH)
233	MS m/z 392.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 7.82 (s, 1H), 7.66 (d, $J=8.3$ Hz, 1H), 7.41 (d, $J=8.6$ Hz, 1H), 7.39 (s, 1H), 6.81 (s, 1H), 5.95 (s, 1H), 4.25 (s, 2H), 4.14 (s, 2H), 4.02 (s, 3H), 3.53 (d, $J=12.6$ Hz, 2H), 3.14 (t, $J=12.7$ Hz, 2H), 2.90 (s, 3H), 2.36 (d, $J=14.4$ Hz, 2H), 2.13 (t, $J=13.8$ Hz, 2H); 1 OH not observed
224	MS m/z 443.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.11 (s, 1H), 8.85 (s, 1H), 8.46 (s, 1H), 8.15 (s, 1H), 7.96 (d, $J=8.5$ Hz, 1H), 7.32 (d, $J=8.00$ Hz, 1H), 7.30 (s, 1H), 4.10-4.16 (m, 5H), 4.06 (s, 2H), 3.53 (d, $J=12.5$ Hz, 2H), 3.12 (t, $J=10.00$ Hz, 2H), 2.92 (s, 3H), 2.33 (d, $J=14.00$ Hz, 2H), 2.05 (t, $J=14.50$ Hz, 2H); 1H not observed (OH)
235	MS m/z 384.4 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.04 (s, 1H), 7.95 (s, 1H), 7.81 (d, $J=6.7$ Hz, 1H), 7.09-7.27 (m, 2H), 3.96 (br dd, $J=9.8$, 6.7 Hz, 1H), 3.76-3.91 (m, 1H), 3.51-3.71 (m, 2H), 3.35-3.42 (m, 1H), 2.98 (dt, $J=12.5$, 6.3 Hz, 1H), 2.20-2.40 (m, 1H), 1.84-1.98 (m, 1H), 1.14 (t, $J=5.8$ Hz, 6H); 3Hs not observed (2NHs and OH)
236	MS m/z 381.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.06 (s, 1H), 7.70 (d, $J=8.2$ Hz, 1H), 7.38-7.55 (m, 2H), 6.00 (d, $J=3.1$ Hz, 1H), 4.26-4.37 (m, 1H), 4.24 (s, 3H), 4.08-4.19 (m, 1H), 3.74-4.02 (m, 3H), 3.51-3.65 (m, 1H), 2.58-2.74 (m, 1H), 2.34-2.53 (m, 1H), 1.47 (d, $J=6.4$ Hz, 6H); 2Hs not observed (NH and OH)

Cpd	Data
237	MS m/z 384.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.82 (s, 1H), 7.82 (d, <i>J</i> =7.9 Hz, 1H), 7.59-7.73 (m, 2H), 6.03 (d, <i>J</i> =3.1 Hz, 1H), 4.25-4.37 (m, 1H), 4.09-4.19 (m, 1H), 3.85-4.03 (m, 2H), 3.75-3.84 (m, 1H), 3.51-3.65 (m, 1H), 2.58-2.74 (m, 1H), 2.36-2.56 (m, 1H), 1.47 (br d, <i>J</i> =5.8 Hz, 6H); 2Hs not observed (NH and OH)
260	MS m/z 476.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.51 (br d, <i>J</i> =11.3 Hz, 1H), 9.10 (s, 1H), 8.21-8.31 (m, 1H), 8.15 (br d, <i>J</i> =13.4 Hz, 1H), 8.13 (s, 1H), 8.06 (s, 1H), 7.96 (d, <i>J</i> =8.2 Hz, 1H), 7.75 (s, 1H), 7.31-7.36 (m, 2H), 4.71-4.92 (m, 2H), 4.09 (s, 3H), 1.97-2.06 (m, 1H), 1.92 (br dd, <i>J</i> =13.3, 4.1 Hz, 1H), 1.58 (s, 3H), 1.54 (s, 3H), 1.48 (s, 3H), 1.47 (s, 3H); 1H not observed (OH)
261	MS m/z 426.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.75 (br d, <i>J</i> =11.3 Hz, 1H), 9.06 (s, 1H), 8.22 (br s, 1H), 8.13 (br d, <i>J</i> =11.6 Hz, 1H), 7.87 (d, <i>J</i> =7.9 Hz, 1H), 7.76 (d, <i>J</i> =2.1 Hz, 1H), 7.45 (d, <i>J</i> =1.5 Hz, 1H), 7.38 (dd, <i>J</i> =8.2, 1.5 Hz, 1H), 6.70 (d, <i>J</i> =2.1 Hz, 1H), 4.68-4.89 (m, 2H), 3.90 (s, 3H), 1.95-2.05 (m, 1H), 1.86-1.94 (m, 1H), 1.58 (s, 3H), 1.54 (s, 3H), 1.48 (br s, 3H), 1.47 (s, 3H)
263	MS m/z 366.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 7.89 (d, <i>J</i> = 2.4 Hz, 1H), 7.75 (d, <i>J</i> = 8.2 Hz, 1H), 7.50 - 7.41 (m, 2H), 6.88 (d, <i>J</i> = 2.4 Hz, 1H), 6.05 (s, 1H), 4.36 (d, <i>J</i> = 14.5 Hz, 1H), 4.23 (d, <i>J</i> = 13.7 Hz, 1H), 4.07 (s, 3H), 3.71 - 3.60 (m, 2H), 3.51 - 3.38 (m, 2H), 1.50 (d, <i>J</i> = 6.4 Hz, 3H), 1.48 (d, <i>J</i> = 6.4 Hz, 3H); 2Hs was not observed (NH and OH)
289	MS m/z 381.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 7.95 (s, 1H), 7.76 (d, <i>J</i> =8.2 Hz, 1H), 7.39 (br d, <i>J</i> =8.2 Hz, 1H), 7.35-7.37 (m, 1H), 5.96-6.05 (m, 1H), 4.26-4.37 (m, 1H), 4.10-4.20 (m, 1H), 3.89-4.05 (m, 2H), 3.75-3.85 (m, 1H), 3.49-3.64 (m, 1H), 2.77 (s, 3H), 2.60-2.72 (m, 1H), 2.38-2.54 (m, 1H), 1.47 (dd, <i>J</i> =6.4, 1.8 Hz, 6H); 2Hs not observed (NH and OH)
296	MS m/z 352.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 7.72 (d, <i>J</i> = 2 Hz, 1H), 7.68 (d, <i>J</i> = 8 Hz, 1H), 7.43 (dd, <i>J</i> = 8.5 Hz, 1.5 Hz, 1H), 7.41 (s, 1H), 6.75 (d, <i>J</i> = 2 Hz, 1H), 5.99 (s, 1H), 4.03-4.18 (m, 2H), 4.00 (s, 3H), 3.87-3.95 (m, 2H), 3.81 (m, 1H), 2.85 (s, 3H), 2.59-2.74 (m, 1H), 2.37-2.53 (m, 1H); 2Hs not observed (NH and OH)
299	MS m/z 393.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.06 (s, 1H), 7.87 (d, <i>J</i> = 8.2 Hz, 1H), 7.40 (s, 1H), 7.27 (d, <i>J</i> = 8.1 Hz, 1H), 7.24 (s, 1H), 4.10 (s, 4H), 3.51 (s, 2H), 3.11 (s, 2H), 2.90 (s, 3H), 2.54 (s, 3H), 2.29 (s, 2H), 2.09 (s, 2H); 1 OH not observed
308	MS m/z 367.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.05 (s, 1H), 7.78 (d, <i>J</i> =8.2 Hz, 1H), 7.64 (dd, <i>J</i> =8.9, 1.5 Hz, 1H), 7.62 (d, <i>J</i> =1.5 Hz, 1H), 7.37 (s, 1H), 6.01 (d, <i>J</i> =3.1 Hz, 1H), 4.25-4.39 (m, 1H), 4.08-4.20 (m, 1H), 3.74-4.00 (m, 3H), 3.50-3.67 (m, 1H), 2.61-2.75 (m, 1H), 2.35-2.54 (m, 1H), 1.47 (dd, <i>J</i> =6.1, 1.8 Hz, 6H); 2Hs not observed (NH and OH)
319	MS m/z 458.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.07 (s, 1H), 7.83-7.88 (m, 2H), 7.74 (d, <i>J</i> = 8.5 Hz, 1H), 7.51 (d, <i>J</i> = 8.5 Hz, 1H), 7.41 (dd, <i>J</i> = 8.5 Hz, 1.5 Hz, 1H), 7.38 (s, 1H), 6.03 (s, 1H), 4.37 (m, 1H), 4.15 (s, 3H), 2.27 (m, 2H), 1.74-1.85 (m, 2H), 1.64 (d, <i>J</i> = 8.5 Hz, 6H), 1.56 (d, <i>J</i> = 6 Hz, 6H); 2Hs not observed (NH and OH)

Cpd	Data
330	MS m/z 430.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.03 (s, 1H), 7.77-7.89 (m, 2H), 7.71 (d, $J=8.2$ Hz, 1H), 7.47 (d, $J=8.2$ Hz, 1H), 7.38 (d, $J=8.2$ Hz, 1H), 7.34 (s, 1H), 5.97-6.05 (m, 1H), 4.22-4.38 (m, 1H), 4.12 (s, 3H), 3.71-4.03 (m, 4H), 3.50-3.64 (m, 1H), 2.58-2.71 (m, 1H), 2.35-2.54 (m, 1H), 1.46 (d, $J=5.8$ Hz, 6H); 2Hs not observed (NH and OH)
350	MS m/z 353.5 $[M+H]^+$; ^1H NMR (DMSO- d_6) δ : 9.05 (s, 1H), 7.91 (d, $J=8$ Hz, 1H), 7.56 (s, 1H), 7.29 (dd, $J=8$ Hz, 1.5 Hz, 1H), 7.27 (s, 1H), 3.93 (m, 2H), 3.75-3.90 (m, 2H), 3.71 (m, 1H), 2.67 (s, 3H), 2.40-2.48 (m, 1H), 2.27 (m, 1H); 2Hs not observed (NH and OH); and one methyl singlet obscured by the DMSO peak)
358	MS m/z 339.4 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.05 (s, 1H), 7.77 (d, $J=8$ Hz, 1H), 7.60-7.67 (m, 2H), 7.37 (s, 1H), 6.00 (s, 1H), 4.03-4.11 (m, 2H), 3.85-3.97 (m, 2H), 3.71-3.82 (m, 1H), 2.83 (s, 3H), 2.58-2.70 (m, 1H), 2.35-2.45 (m, 1H); 2Hs not observed (NH and OH)

Example 8

Preparation of Compound 73



Step 1: To a suspension of 6-[4-chloro-2-(methoxymethoxy)phenyl]-3-methylsulfonyl-1,2,4-

triazine (350 mg, 1.18 mmol) was added 4,4,5,5-tetramethyl-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1,3,2-dioxaborolane (750 mg, 2.9 mmol), KOAc (575 mg, 5.86 mmol), X Phos Pd G4 (175 mg, 0.20 mmol) in dry dioxane (5 mL) which was sparged with argon for 10 minutes, then heated to 90 °C under an argon atmosphere for 2 h, after which complete
5 conversion to 6-(2-(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-3-(methylthio)-1,2,4-triazine was observed. The reaction mixture was then cooled to room temperature and used directly in the next step. MS m/z 390.4 $[M+H]^+$.

Step 2: To the mixture from Step 1 was added aq. K_2CO_3 (350 mg, 2.5 mmol), 4-bromo-3-fluoro-1-tetrahydropyran-2-yl-pyrazole (200 mg, 0.80 mmol), X Phos Pd G4 (75 mg, 0.084 mmol) and
10 water (1 mL). The reaction was degassed via bubbling with argon for 5 min and then heated to 90 °C for 12 h. The reaction was cooled to room temperature, diluted with water and extracted with EtOAc. The combined organic layers were dried over $MgSO_4$ and then filtered and concentrated under reduced pressure. The residue was purified by column chromatography eluting with EtOAc/hexanes (0-50%) to afford 6-[4-(3-fluoro-1-tetrahydropyran-2-yl-pyrazol-4-yl)-2-
15 (methoxymethoxy)phenyl]-3-methylsulfanyl-1,2,4-triazine (140 mg, 40% yield) as a yellow oil. MS m/z 432.4 $[M+H]^+$.

Step 3: To a stirred solution of 6-[4-(3-fluoro-1-tetrahydropyran-2-yl-pyrazol-4-yl)-2-(methoxymethoxy)phenyl]-3-methylsulfanyl-1,2,4-triazine (140 mg, 0.32 mmol) in CH_2Cl_2 (5 mL) was added mCPBA (120 mg, 0.70 mmol) and the reaction was allowed to stir at room
20 temperature for 1h. It was then quenched with saturated aqueous $NaHCO_3$. The organic layer was dried over $MgSO_4$ and concentrated. The residue was purified by silica gel column chromatography eluting with EtOAc/hexanes (0-100% EtOAc) to afford 6-[4-(3-fluoro-1-tetrahydropyran-2-yl-pyrazol-4-yl)-2-(methoxymethoxy)phenyl]-3-methylsulfonyl-1,2,4-triazine (95 mg, 63% yield) as a yellow solid. MS m/z 464.5 $[M+H]^+$.

Step 4: A mixture of 6-[4-(3-fluoro-1-tetrahydropyran-2-yl-pyrazol-4-yl)-2-(methoxymethoxy)phenyl]-3-methylsulfonyl-1,2,4-triazine (25 mg, 0.054 mmol), 2,2,6,6-tetramethylpiperidin-4-amine (17 mg, 0.11 mmol) and DIPEA (30 μ L, 0.168 mmol) in dry DMF was heated to 50 °C for 1 h. UPLC showed full conversion. The solvent was removed by blowing a stream of air and the residue was purified by silica gel column chromatography eluting with

MeOH/CH₂Cl₂ (0-30% MeOH) to afford 6-[4-(3-fluoro-1-tetrahydropyran-2-yl-pyrazol-4-yl)-2-(methoxymethoxy)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (20 mg, 69% yield). MS *m/z* 540.7 [M+H]⁺.

Step 5: 6-[4-(3-Fluoro-1-tetrahydropyran-2-yl-pyrazol-4-yl)-2-(methoxymethoxy)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-3-amine (20 mg, 0.037 mmol) was dissolved in MeOH (1 mL) and HCl (4 mol/L) in 1,4-dioxane (1.0 mL, 4 mmol) was then added. The reaction mixture was heated to 50 °C for 2 h. The solvents were removed and the residue was purified by silica gel column chromatography eluting with MeOH/CH₂Cl₂ (0-30% MeOH) to afford 5-(3-fluoro-1H-pyrazol-4-yl)-2-[3-[(2,2,6,6-tetramethyl-4-piperidyl)amino]-1,2,4-triazin-6-yl]phenol (12 mg, 77% yield) as a yellow solid.

MS *m/z* 412.5 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 9.05 (s, 1H), 7.97 (d, *J* = 2.0 Hz, 1H), 7.83 (d, *J* = 9.0 Hz, 1H), 7.20-7.22 (m, 2H), 4.54-4.58 (m, 1H), 2.30 (dd, *J* = 13.5, 3.5 Hz, 2H), 1.62-1.64 (m, 2H), 1.61 (s, 6H), 1.49 (s, 6H); 4Hs not observed (3NHs and OH).

Using the procedure described for Example 8, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

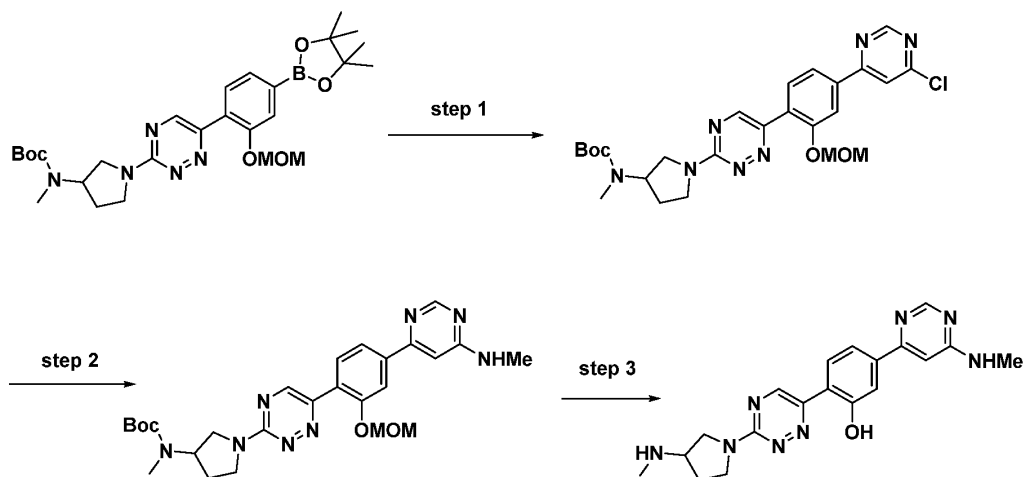
Cpd	Data
149	MS <i>m/z</i> 396.4 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 9.00 (s, 1H), 7.81 (d, <i>J</i> = 1.8 Hz, 1H), 7.76 (d, <i>J</i> = 8.2 Hz, 1H), 7.15-7.23 (m, 2H), 4.06 (s, 4H), 2.84-3.25 (m, 4H), 2.74 (br s, 3H), 2.15 (br s, 4H); 2Hs not observed (NH and OH)
150	MS <i>m/z</i> 382.4 [M+H] ⁺ ; ¹ H NMR (1:1 chloroform- <i>d</i> : methanol- <i>d</i> ₄) δ: 8.90 (s, 1H), 7.74 (d, <i>J</i> = 1.8 Hz, 1H), 7.68 (d, <i>J</i> = 7.9 Hz, 1H), 7.08-7.13 (m, 2H), 4.31 (dt, <i>J</i> = 14.0, 4.9 Hz, 1H), 4.12 (dd, <i>J</i> = 14.3, 6.1 Hz, 1H), 3.84-3.93 (m, 2H), 3.52 (ddd, <i>J</i> = 13.7, 9.8, 3.7 Hz, 1H), 3.39 (dq, <i>J</i> = 11.9, 4.7 Hz, 1H), 3.26-3.33 (m, 1H), 2.57-2.68 (m, 1H), 2.06-2.19 (m, 2H), 1.78-1.92 (m, 2H); 3Hs not observed (2NHs and OH)
151	MS <i>m/z</i> 382.4 [M+H] ⁺ ; ¹ H NMR (1:1 chloroform- <i>d</i> : methanol- <i>d</i> ₄) δ: 7.85 (d, <i>J</i> = 1.8 Hz, 1H), 7.50 (d, <i>J</i> = 8.9 Hz, 1H), 7.16-7.21 (m, 2H), 5.84 (s, 1H), 4.10-4.27 (m, 4H), 3.20-3.29 (m, 4H), 2.20 (br s, 4H); 3Hs not observed (2NHs and OH)
153	MS <i>m/z</i> 396.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ: 12.63-12.73 (m, 2H), 11.04-11.30 (m, 4H), 9.03-9.08 (m, 1H), 8.22 (br s, 1H), 7.88 (br d, <i>J</i> = 7.9 Hz, 1H), 7.21 (br s, 2H), 4.62-4.71 (m, 1H), 4.48-4.56 (m, 1H), 4.19-4.30 (m, 1H), 3.35-3.43 (m, 1H), 3.18 (s, 3H), 3.07-3.13 (m, 1H), 2.89 (br d, <i>J</i> = 4.6 Hz, 2H), 2.74-2.81 (m, 1H), 2.18-2.28 (m, 1H), 2.08-2.16 (m, 1H), 1.98-2.07 (m, 1H), 1.63-1.72 (m, 1H); 2H not observed (1 NH 1 OH).

Cpd	Data
174	MS m/z 398.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.66 (br s, 1H), 11.31 (br s, 1H), 9.04 (s, 1H), 8.21 (s, 1H), 7.86 (d, J = 8.5 Hz, 1H), 7.19-7.16 (m, 2H), 3.96-3.82 (m, 1H), 3.79-3.68 (m, 1H), 3.66-3.58 (m, 1H), 3.56-3.46 (m, 1H), 3.23-3.20 (m, 1H), 2.25-2.18 (m, 1H), 1.87-1.79 (m, 1H), 1.12 (s, 9H);); 1H not observed (NH or OH)
175	MS m/z 394.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.19 (br s, 1H), 9.03 (s, 1H), 7.86 (d, J = 8.0 Hz, 1H), 7.74 (s, 1H), 7.41 (s, 1H), 7.36 (d, J = 8.0 Hz, 1H), 6.69 (s, 1H), 3.90 (s, 3H), 3.79-3.65 (m, 2H), 3.59-3.45 (m, 2H), 3.23-3.08 (m, 1H), 2.23-2.13 (m, 1H), 1.83-1.70 (m, 1H), 1.09 (s, 9H); 1H not observed (NH or OH)
189	MS m/z 430.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.10 (s, 1H), 7.98 (s, 1H), 7.86 (d, J =8.5 Hz, 1H), 7.20-7.27 (m, 2H), 4.53-4.67 (m, 1H), 2.01-2.26 (m, 3H), 1.68 (s, 3H), 1.65 (s, 3H), 1.59 (s, 3H), 1.56 (s, 3H); 4H not observed (3NHs and OH)
247	MS m/z 413.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 9.75 (br d, J =12.2 Hz, 1H), 9.07 (s, 1H), 8.38 (br s, 1H), 8.27 (br s, 1H), 8.14 (br d, J =12.2 Hz, 1H), 7.94 (d, J =8.2 Hz, 1H), 7.53 (s, 1H), 7.46 (br d, J =7.9 Hz, 1H), 4.77 (br s, 2H), 1.96-2.05 (m, 1H), 1.87-1.95 (m, 1H), 1.58 (s, 3H), 1.54 (s, 3H), 1.48 (br d, J =6.4 Hz, 6H); 1H not observed (NH or OH)
248	MS m/z 463.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.24 (br s, 1H), 9.28 (s, 1H), 9.19 (s, 1H), 9.07 (s, 1H), 8.16 (s, 1H), 8.00 (d, J =8.5 Hz, 1H), 7.87 (s, 1H), 7.74 (s, 1H), 7.62 (br d, J =8.2 Hz, 1H), 4.41-4.73 (m, 2H), 1.57-1.79 (m, 2H), 1.06-1.37 (m, 12H); 2Hs not observed (NH and OH)
249	MS m/z 463.5 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 11.28 (br s, 1H), 9.91-10.07 (m, 1H), 9.09 (s, 1H), 8.69 (s, 1H), 8.52 (br d, J =9.5 Hz, 1H), 8.28 (br s, 1H), 8.25 (br d, J =9.8 Hz, 1H), 8.13 (br d, J =13.1 Hz, 1H), 8.08 (d, J =8.2 Hz, 1H), 7.80 (s, 1H), 7.74 (br d, J =8.2 Hz, 1H), 4.75-4.89 (m, 2H), 1.98-2.06 (m, 1H), 1.87-1.94 (m, 1H), 1.59 (s, 3H), 1.56 (s, 3H), 1.49 (br s, 3H), 1.48 (br s, 3H)
256	MS m/z 429.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.14 (s, 1H), 8.40 (s, 1H), 8.29 (d, J = 8.50 Hz, 1H), 8.11 (d, J = 10.00 Hz, 1H), 8.05 (s, 1H), 8.03 (s, 1H), 7.73 (d, J = 8.50 Hz, 1H), 7.73 (d, J = 12.50 Hz, 1H), 4.17 (s, 2H), 4.07 (s, 2H), 3.54 (d, J = 14.50 Hz, 2H), 3.12 (t, J = 10.0 Hz, 2H), 2.91 (s, 3H), 2.32 (d, J = 14.50 Hz, 2H), 2.06 (t, J = 15.00 Hz, 2H); 1H not observed (OH)
257	MS m/z 429.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.42 (s, 1H), 9.38 (s, 1H), 8.37 (s, 1H), 8.23 (s, 1H), 7.80-7.75 (m, 2H), 7.74-7.70 (m, 1H), 6.00 (s, 1H), 4.26 (s, 2H), 4.15 (s, 2H), 3.48 (d, J = 7.00 Hz, 2H), 3.12 (t, J = 13.00 Hz, 2H), 2.91 (s, 3H), 2.38 (d, J = 16.00 Hz, 2H), 2.11 (t, J = 14.00 Hz, 2H); 1H not observed (OH)
272	MS m/z 396.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.10 (s, 1H), 8.78 (s, 1H), 8.01 (d, J = 8.09 Hz, 1H), 7.76-7.61 (m, 2H), 4.17 (s, 2H), 4.07 (s, 2H), 3.51 (d, J = 12.13 Hz, 2H), 3.11 (t, J = 12.50 Hz, 2H), 2.91 (s, 3H), 2.32 (d, J = 14.50 Hz, 2H), 2.06 (t, J = 15.00 Hz, 2H); 1H not observed (NH or OH)

Cpd	Data
378	MS m/z 398.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.07 (s, 1H), 7.96 (s, 1H), 7.82 (d, J = 8.5 Hz, 1H), 7.19 (d, J = 8.5 Hz, 1H), 7.18 (s, 1H), 4.08 (dd, J = 11.5, 7.5 Hz, 1H), 3.91-3.37 (m, 2H), 3.66-3.61 (m, 1H), 3.51-3.47 (m, 1H), 2.49-2.44 (m, 1H), 2.10-2.03 (m, 1H), 1.32 (s, 9H); 3Hs not observed (2NHs and OH)
379	MS m/z 398.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.07 (s, 1H), 7.96 (s, 1H), 7.82 (d, J = 8.5 Hz, 1H), 7.19 (d, J = 8.5 Hz, 1H), 7.18 (s, 1H), 4.08 (dd, J = 11.5, 7.5 Hz, 1H), 3.91-3.37 (m, 2H), 3.66-3.61 (m, 1H), 3.51-3.47 (m, 1H), 2.49-2.44 (m, 1H), 2.10-2.03 (m, 1H), 1.32 (s, 9H); 3Hs not observed (2NHs and OH)
385	MS m/z 403.6 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.21 (s, 1H), 8.57 (s, 1H), 8.50 (d, J = 9.8 Hz, 1H), 8.44 - 8.38 (m, 1H), 8.25 (s, 1H), 8.14 - 8.07 (m, 1H), 7.83 - 7.73 (m, 2H), 5.18 - 5.04 (m, 2H), 3.59 - 3.44 (m, 2H), 3.18 - 3.02 (m, 2H), 1.47 (d, J = 6.4 Hz, 6H); 2Hs not observed (NH and OH)

Example 9

Preparation of Compound 380



Step 1: A mixture of *tert*-butyl N-[1-[6-[2-(methoxymethoxy)-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1,2,4-triazin-3-yl]pyrrolidin-3-yl]-N-methyl-carbamate (425 mg, 0.63 mmol), 4,6-dichloropyrimidine (450 mg, 3.02 mmol), Pd(dppf)Cl₂-CH₂Cl₂ (50 mg, 0.06 mmol), 1,4-dioxane (3 mL), and aqueous K₂CO₃ (2 M, 1.5 mL, 3.0 mmol) was purged with argon for 10 min. The reaction was then heated at 90 °C for 16 h. The reaction mixture was partitioned between water and CH₂Cl₂. The organic layer was dried over MgSO₄, filtered, and concentrated under vacuum. Purification by silica gel chromatography with MeOH/CH₂Cl₂ (0 to 5% MeOH) yielded *tert*-butyl N-[1-[6-[4-(6-chloropyrimidin-4-yl)-2-(methoxymethoxy)phenyl]-1,2,4-triazin-3-yl]pyrrolidin-3-yl]-N-methyl-carbamate (318 mg, 86% yield) as an orange oil.

¹H NMR (acetone-*d*₆) δ: 9.08 (s, 1H), 8.84 (s, 1H), 8.23 (s, 2H), 8.04-8.11 (m, 2H), 5.49 (s, 2H), 4.94 (m, 1H), 3.80-4.10 (m, 2H), 3.55-3.75 (m, 2H), 3.51 (s, 3H), 2.91 (s, 3H), 2.27 (m, 2H), 1.50 (s, 9H).

Step 2: *tert*-Butyl N-[1-[6-[4-(6-chloropyrimidin-4-yl)-2-(methoxymethoxy)phenyl]-1,2,4-triazin-3-yl]pyrrolidin-3-yl]-N-methyl-carbamate (40 mg, 0.068 mmol) and methylamine (33% in EtOH) (0.5 mL, 6 mmol) were stirred at room temperature for 1 h. The volatiles were removed by a nitrogen stream. The residue was purified by silica gel chromatography eluting with MeOH/CH₂Cl₂ (0 to 5% MeOH) to afford *tert*-butyl N-[1-[6-[2-(methoxymethoxy)-4-[6-(methylamino)pyrimidin-4-yl]phenyl]-1,2,4-triazin-3-yl]pyrrolidin-3-yl]-N-methyl-carbamate (32 mg, 90% yield) as an off-white solid.

¹H NMR (methanol-*d*₄) δ: 8.86 (s, 1H), 8.51 (s, 1H), 7.90 (m, 2H), 7.71 (d, *J* = 7.5 Hz, 1H), 6.94 (s, 1H), 5.39 (s, 2H), 4.87 (s, 1H), 3.90-4.00 (m, 2H), 3.55-3.70 (m, 2H), 3.48 (s, 3H), 3.00 (s, 3H), 2.90 (s, 3H), 2.29 (m, 2H), 1.52 (s, 9H).

Step 3: To a solution of *tert*-butyl N-[1-[6-[2-(methoxymethoxy)-4-[6-(methylamino)pyrimidin-4-yl]phenyl]-1,2,4-triazin-3-yl]pyrrolidin-3-yl]-N-methyl-carbamate (30 mg, 0.057 mmol) in MeOH (0.4 mL) was added 4N HCl in dioxane (0.4 mL, 1.6 mmol). The reaction mixture was stirred at room temperature for 1 h. The solvents were then removed by a nitrogen stream. The product was dissolved in sat. aq. NaHCO₃, and the free base was extracted with CH₂Cl₂. The organic layer was dried over MgSO₄, filtered, and concentrated under vacuum. The title product, 5-[6-(methylamino)pyrimidin-4-yl]-2-[3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]phenol (15 mg, 69% yield), was obtained as a yellow solid.

MS *m/z* 379.3 [M+H]⁺; ¹H NMR (DMSO-*d*₆) δ: 9.03 (s, 1H), 8.51 (s, 1H), 7.94 (d, *J* = 8 Hz, 1H), 7.50-7.80 (m, 2H), 7.40 (br s, 1H), 6.92 (s, 1H), 3.55-3.80 (m, 3H), 3.44 (m, 1H), 3.30 (m, 1H), 2.87 (s, 3H), 2.31 (s, 3H), 2.11 (m, 1H), 1.89 (m, 1H); 2Hs not observed (NH and OH).

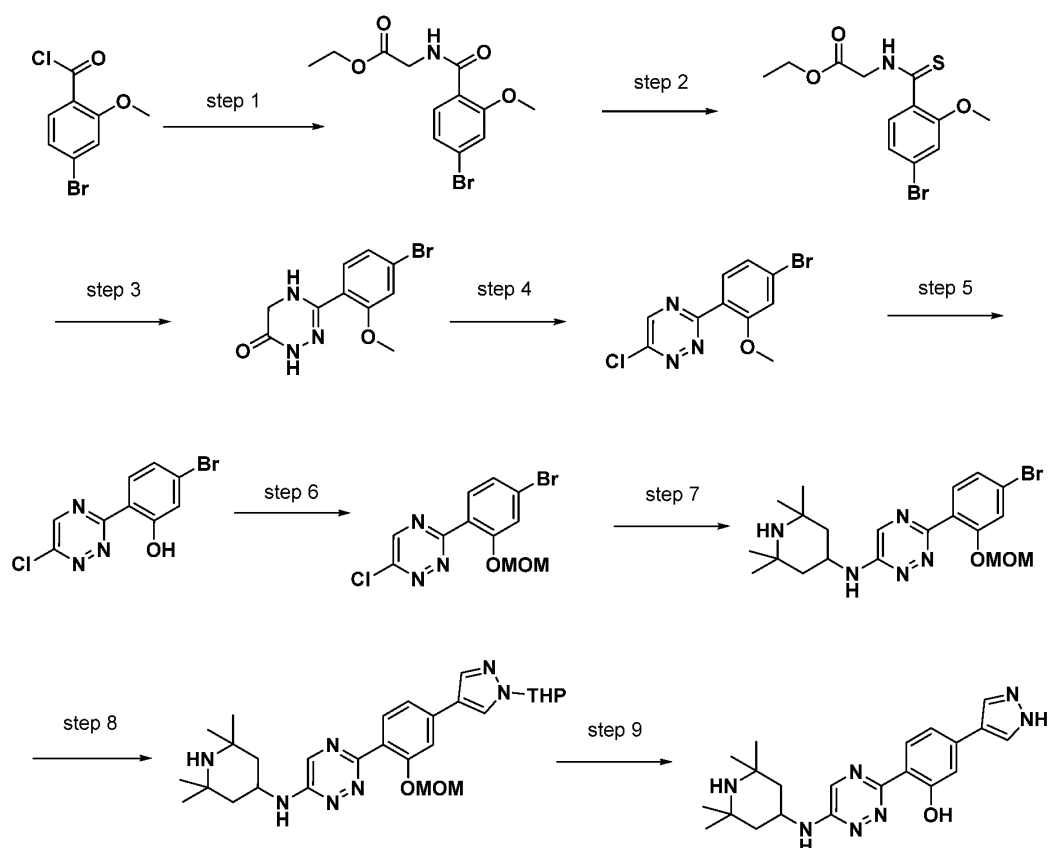
Using the procedure described for Example 9, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
33	MS m/z 437.6 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 9.13-9.28 (m, 2H), 8.10-8.23 (m, 1H), 7.38-7.61 (m, 2H), 5.33-5.52 (m, 1H), 3.26 (s, 3H), 2.04-2.14 (m, 2H), 2.00 (dd, $J=13.1, 2.4$ Hz, 2H), 1.66 (s, 6H), 1.58 (s, 6H); 3Hs not observed (NH and 2 OHs)
364	MS m/z 396.3 $[M+H]^+$; ^1H NMR (DMSO- d_6) δ : 9.10 (s, 1H), 9.01 (s, 1H), 7.95-8.03 (m, 2H), 7.88 (s, 1H), 7.80 (d, $J=8$ Hz, 1H), 3.80-4.00 (m, 4H), 3.63-3.76 (m, 1H), 2.66 (s, 3H), 2.62 (s, 3H), 2.40-2.48 (m, 1H), 2.30 (m, 1H); 2Hs not observed (NH and OH)

Example 10

Preparation of Compound 396

5



Step 1: To a stirred solution of 4-bromo-2-methoxybenzoyl chloride (2.53 g, 10.1 mmol) in dry

dichloromethane (80 mL) were added ethyl 2-aminoacetate hydrochloride (2.12 g, 15.2 mmol) and Et₃N (4.28 mL, 30.4 mmol). After stirring for 1 h, the mixture was diluted with saturated NaHCO₃ and the aqueous layer extracted twice with dichloromethane. The combined organic layers were dried over Na₂SO₄ and evaporated to dryness in vacuo to give ethyl (4-bromo-2-methoxybenzoyl)glycinate (3.2g, 99% yield) which was taken on without further purification. MS *m/z* 316.0, 318.0 [M+H]⁺.

Step 2: To a stirred solution of ethyl (4-bromo-2-methoxybenzoyl)glycinate (3.2 g, 10.0 mmol) in dry toluene (150 mL) was added phosphorous pentasulfide (2.5 g, 11.0 mmol) and the mixture was heated to 120 °C for 1 h. The mixture was filtered, evaporated to dryness and purified by silica gel chromatography eluting with a gradient EtOAc/hexanes (0-100 % EtOAc) to yield ethyl 2-[(4-bromo-2-methoxy-benzenecarbothiyl)amino]acetate (1.38g, 41% yield). MS *m/z* 331.3, 333.3 [M+H]⁺.

Step 3: To a solution of ethyl 2-[(4-bromo-2-methoxy-benzenecarbothiyl)amino]acetate (1.38 g, 4.2 mmol) in ethanol (10 mL) was added hydrazine (1.02 g, 31.4 mmol). The mixture was heated to 90 °C for 5 h until UPLC showed complete consumption of the starting material and allowed to cool to room temperature. Concentration in vacuo gave a yellow solid that was purified by silica gel chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-10% MeOH) to give 3-(4-bromo-2-methoxy-phenyl)-4,5-dihydro-1H-1,2,4-triazin-6-one (560 mg, 47%) as an off-white solid. MS *m/z* 284.0, 286.0 [M+H]⁺.

Step 4: 3-(4-bromo-2-methoxy-phenyl)-4,5-dihydro-1H-1,2,4-triazin-6-one (1.0g, 3.5 mmol) was dissolved in POCl₃ (10 mL, 108 mmol) and heated to 85 °C for 16 h. The mixture was allowed to cool to room temperature and evaporated to dryness in vacuo. The residue was dissolved in dioxane (20 mL) and manganese dioxide (3.5 g, 40 mmol) was added. The mixture was stirred at 90 °C for 36 h. After filtering through celite and rinsing with hot dioxane, the combined organic phases were evaporated to dryness in vacuo. The crude residue was purified by silica gel chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-10% MeOH) to give 3-(4-bromo-2-methoxy-phenyl)-6-chloro-1,2,4-triazine (420 mg, 40% yield) as a tan solid. MS *m/z* 299.9, 301.9 [M+H]⁺.

Step 5: 3-(4-Bromo-2-methoxy-phenyl)-6-chloro-1,2,4-triazine (1.0 g, 3.3 mmol) was dissolved

in CH₂Cl₂ (10 mL) and cooled to -50 °C. Boron tribromide (5.0 mL, 5.0 mmol) was added slowly and the reaction mixture was stirred for 5 h at -50 °C. Upon completion (as shown by LCMS), the reaction was quenched with water and saturated sodium bicarbonate solution (final pH=7-8) and extracted with CH₂Cl₂ three times. The combined organic layers were dried over Na₂SO₄,
5 concentrated in vacuo and purified by silica gel column chromatography eluting with a EtOAc/hexanes gradient (10-80 % EtOAc) to give 5-bromo-2-(6-chloro-1,2,4-triazin-3-yl)phenol (650 mg, 68% yield) as a brownish solid. MS *m/z* 285.8, 287.9 [M+H]⁺.

Step 6: A solution of 5-bromo-2-(6-chloro-1,2,4-triazin-3-yl)phenol (0.65 g, 2.3 mmol) in dry THF (5 mL) was cooled to 0 °C. Sodium hydride (0.12 g, 3.0 mmol, 60 mass% in mineral oil)
10 was added and the mixture was stirred for 1.5 h at 0 °C. Bromo(methoxy)methane (0.37 g, 3.0 mmol) was added and the reaction mixture was stirred for an additional 3 h at 0 °C. The reaction was quenched with water (10 mL) and extracted with EtOAc three times. The combined organic layers were dried over Na₂SO₄, concentrated in vacuo and purified by silica-gel column chromatography eluting with a EtOAc/hexanes gradient (10-80 % EtOAc) to give 3-[4-bromo-2-(methoxymethoxy)phenyl]-6-chloro-1,2,4-triazine (430 mg, 57% yield). MS *m/z* 329.9, 331.9
15 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 8.95 (s, 1H), 7.70 (d, *J*=8.2 Hz, 1H), 7.55 (s, 1H), 7.37 (d, *J*=7.9 Hz, 1H), 4.87 (s, 2H), 3.33 (s, 3H).

Step 7: To a solution of 3-[4-bromo-2-(methoxymethoxy)phenyl]-6-chloro-1,2,4-triazine (500 mg, 1.51 mmol) and 2,2,6,6-tetramethylpiperidin-4-amine (285 mg, 1.82 mmol) in dry DMSO (5
20 mL) was added DIPEA (0.67 mL, 3.8 mmol). The mixture was heated at 100 °C for 16 hours. LCMS showed complete conversion. The reaction was quenched with water (100 mL) and extracted with EtOAc five times. The combined organic layers were dried over Na₂SO₄, concentrated in vacuo and purified by silica gel column chromatography eluting with a MeOH/CH₂Cl₂ gradient (0-20% MeOH) to give 3-(4-bromo-2-(methoxymethoxy)phenyl)-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-6-amine (340 mg, 50% yield) as a greyish solid. MS *m/z* 449.9, 451.9 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 8.19 (s, 1H), 7.52 (br d, *J*=7.9 Hz, 1H), 7.48 (s, 1H), 7.30 (d, *J*=8.2 Hz, 1H), 5.21 (s, 2H), 4.41-4.60 (m, 1H), 3.45 (s, 3H), 2.10 (br d, *J*=11.9 Hz, 2H), 1.37 (s, 6H), 1.24 (s, 6H), 1.18-1.23 (m, 2H), 2 Hs is not observed (NHs).

Step 8: To a dry screw-cap vial were added 3-[4-bromo-2-(methoxymethoxy)phenyl]-N-(2,2,6,6-

tetramethyl-4-piperidyl)-1,2,4-triazin-6-amine (67 mg, 0.15 mmol), (1-tetrahydropyran-2-yl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pyrazole (50 mg, 0.18 mmol), K₂CO₃ (62 mg, 0.45 mmol), and PddppfCl₂ (11 mg, 0.015mmol). The mixture was degassed with argon for 10 min, and then dioxane (2 mL) and water (0.5 mL) were added and the reaction was heated at 90 °C for 16 h (overnight). The reaction was cooled to room temperature, partitioned between EtOAc and water, and the organic phase was dried over Na₂SO₄ and concentrated. The crude product was purified by silica gel column chromatography, eluting with a MeOH/CH₂Cl₂ gradient (0-20% MeOH) to provide 3-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-ylpyrazol-4-yl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-6-amine (59 mg, 76% yield) as a brownish solid.

MS *m/z* 522.7 [M+H]⁺.

Step 9: To a solution of 3-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-ylpyrazol-4-yl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-6-amine (59 mg, 0.11 mmol) in 1 mL of CH₂Cl₂ and MeOH (0.5 mL) was added HCl (4 mol/L) in 1,4-dioxane (56 μL, 0.23 mmol) and the mixture was stirred for 16 h at room temperature. UPLC showed complete consumption of the starting material. The solvents were evaporated, and the residue was purified by silica gel column chromatography eluting with a MeOH/CH₂Cl₂/2.5% NH₄OH gradient (0-30% MeOH/NH₄OH) to provide 5-(1H-pyrazol-4-yl)-2-[6-[(2,2,6,6-tetramethyl-4-piperidyl)amino]-1,2,4-triazin-3-yl]phenol (30 mg, 62% yield) as a tan solid

MS *m/z* 394.5 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 8.32 (s, 1H), 8.18 (d, *J*=7.0 Hz, 1H), 8.02 (s, 2H), 7.13-7.25 (m, 2H), 4.43 (br t, *J*=11.3 Hz, 1H), 2.08 (br d, *J*=12.8 Hz, 2H), 1.39 (s, 6H), 1.20-1.32 (m, 8H); 4Hs not observed (3NHs and OH).

Using the procedure described for Example 11, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

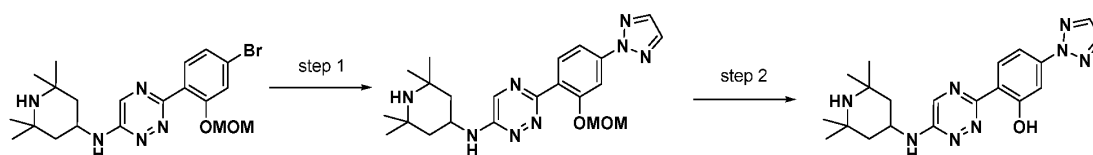
Cpd	Data
24	MS <i>m/z</i> 408.3 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 8.64 (s, 1H), 8.25 (d, <i>J</i> =7.6 Hz, 1H), 7.92-8.13 (m, 2H), 7.19-7.24 (m, 2H), 5.22-5.31 (m, 1H), 3.13 (s, 3H), 1.82-2.01 (m, 4H), 1.53-1.64 (m, 6H), 1.38-1.52 (m, 6H); 3Hs not observed (2NHs and OH)
190	MS <i>m/z</i> 426.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 8.08 (s, 1H), 7.60 (d, <i>J</i> =7.9 Hz, 1H), 7.27-7.37 (m, 2H), 5.75 (br s, 1H), 4.47-4.80 (m, 1H), 3.04 (s, 3H), 1.88-2.12 (m, 4H), 1.58-1.66 (m, 6H), 1.57 (s, 6H); 3Hs not observed (2 NHs and OH)

Cpd	Data
191	MS m/z 425.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.63 (s, 1H), 8.23 (d, J =8.2 Hz, 1H), 8.01 (s, 1H), 7.86 (br s, 1H), 7.09-7.21 (m, 2H), 5.16-5.57 (m, 1H), 3.14 (s, 3H), 1.92-2.09 (m, 4H), 1.66 (s, 6H), 1.56 (s, 6H); 2Hs not observed (NH and OH)
196	MS m/z 422.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 9.96 (s, 1H), 9.46 (d, J = 7.9 Hz, 1H), 9.34 (s, 1H), 9.14 (s, 1H), 8.39-8.53 (m, 2H), 6.52-6.64 (m, 1H), 5.20 (s, 3H), 4.44 (s, 3H), 3.46 (br t, J = 12.2 Hz, 2H), 3.28 (br d, J = 12.8 Hz, 2H), 2.95 (s, 6H), 2.86 (s, 6H); 2Hs not observed (NH and OH)
342	MS m/z 472.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.67 (s, 1H), 8.37 (d, J =8.5 Hz, 1H), 8.04 (s, 1H), 7.78-7.89 (m, 2H), 7.52 (d, J =9.5 Hz, 1H), 7.32-7.43 (m, 2H), 5.23-5.41 (m, 1H), 4.15 (s, 3H), 3.15 (s, 3H), 1.88-2.15 (m, 4H), 1.65 (s, 6H), 1.52 (s, 6H); 2Hs not observed (NH and OH)
343	MS m/z 422.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.57 (s, 1H), 8.23 (d, J =8.9 Hz, 1H), 7.64 (d, J =2.1 Hz, 1H), 7.33-7.43 (m, 2H), 6.69 (d, J =2.4 Hz, 1H), 5.12-5.36 (m, 1H), 3.97 (s, 3H), 3.10 (s, 3H), 1.83-2.04 (m, 4H), 1.61 (s, 6H), 1.50 (s, 6H); 2Hs not observed (NH and OH)
363	MS m/z 380.4 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ : 8.57 (s, 1H), 8.27 (d, J =8.7 Hz, 1H), 8.05-8.15 (m, 1H), 7.90-8.02 (m, 1H), 7.21-7.25 (m, 2H), 4.21-4.31 (m, 1H), 4.17 (br dd, J =11.3, 7.5 Hz, 1H), 3.93 (s, 1H), 3.68-3.77 (m, 2H), 2.60-2.72 (m, 1H), 2.24-2.36 (m, 1H), 1.49 (s, 9H); 3Hs not observed (2NHs and OH)
382	MS m/z 419.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.74 (br s, 1H), 8.78 (s, 1H), 8.65 (d, J = 4.6 Hz, 2H), 8.29 (d, J = 8.8 Hz, 1H), 7.78 (d, J = 4.9 Hz, 2H), 7.45 (br s, 2H), 4.84-5.08 (m, 1H), 3.04 (s, 3H), 1.53-1.64 (m, 2H), 1.39-1.53 (m, 2H), 1.26 (s, 6H), 1.10 (s, 6H); 1H not observed (OH or NH)
384	MS m/z 419.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.72 (br s, 1H), 8.97 (br s, 1H), 8.78 (s, 1H), 8.60 (br s, 1H), 8.20-8.33 (m, 1H), 8.16 (dt, J = 8.2, 1.9 Hz, 1H), 7.51 (dd, J = 7.8, 4.6 Hz, 1H), 7.32-7.41 (m, 2H), 4.85-5.05 (m, 1H), 3.04 (s, 3H), 1.55-1.59 (m, 2H), 1.44-1.48 (m, 2H), 1.26 (s, 6H), 1.10 (s, 6H); 1H not observed (OH or NH)
393	MS m/z 419.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.64 (s, 1H), 8.77 (s, 1H), 8.69 (d, J = 4.0 Hz, 1H), 8.27 (d, J = 8.3 Hz, 1H), 8.04 (d, J = 8.0 Hz, 1H), 7.83-7.95 (m, 1H), 7.66-7.79 (m, 2H), 7.39 (dd, J = 7.0, 5.0 Hz, 1H), 4.86-5.03 (m, 1H), 3.04 (s, 3H), 1.57 (d, J = 11.8 Hz, 2H), 1.46 (t, J = 12.0 Hz, 2H), 1.26 (s, 6H), 1.10 (s, 6H); 1H not observed (OH or NH)
394	MS m/z 473.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.64 (s, 1H), 8.77 (s, 1H), 8.31-8.37 (m, 1H), 8.27 (t, J = 8.5 Hz, 2H), 8.11 (d, J = 9.0 Hz, 1H), 7.81 (dd, J = 8.3, 1.8 Hz, 1H), 7.77 (d, J = 1.8 Hz, 1H), 4.87-5.05 (m, 1H), 4.12 (s, 3H), 3.05 (s, 3H), 1.52-1.63 (m, 2H), 1.39-1.52 (m, 2H), 1.26 (s, 6H), 1.10 (s, 6H); 1H not observed (OH or NH)
402	MS m/z 420.3 [M+H] ⁺ ; ¹ H NMR (DMSO- <i>d</i> ₆) δ : 12.61 (s, 1H), 9.10-9.14 (br s, 1H), 8.95 (d, J = 5.0 Hz, 2H), 8.89 (s, 1H), 8.32 (d, J = 8.5 Hz, 1H), 8.03 (d, J = 8.3 Hz, 1H), 7.98 (d, J = 1.8 Hz, 1H), 7.49 (t, J = 4.9 Hz, 1H), 4.92-5.10 (m, 1H), 3.09 (s, 3H), 1.97-2.01 (m, 2H), 1.81-1.85 (m, 2H), 1.52 (s, 6H), 1.46 (s, 6H)

Cpd	Data
411	MS m/z 420.3 $[M+H]^+$; ^1H NMR (DMSO- d_6) δ : 12.57 (br s, 1H), 9.27 (d, J = 1.0 Hz, 1H), 8.89 (d, J = 5.5 Hz, 1H), 8.78 (s, 1H), 8.32 (d, J = 8.3 Hz, 1H), 8.17 (dd, J = 5.3, 1.3 Hz, 1H), 7.80-7.90 (m, 2H), 4.94-4.99 (m, 1H), 3.05 (s, 3H), 1.57 (dd, J = 12.0, 3.3 Hz, 2H), 1.46 (t, J = 12.2 Hz, 2H), 1.25 (s, 6H), 1.09 (s, 6H); 1H not observed (OH or NH)
412	MS m/z 420.3 $[M+H]^+$; ^1H NMR (DMSO- d_6) δ : 12.72 (br s, 1H), 9.33 (d, J = 1.3 Hz, 1H), 8.75 (s, 1H), 8.77 (s, 1H), 8.65 (d, J = 2.5 Hz, 1H), 8.30 (d, J = 8.3 Hz, 1H), 7.70-7.86 (m, 2H), 4.83-5.08 (m, 1H), 3.05 (s, 3H), 1.57 (dd, J = 11.9, 3.4 Hz, 2H), 1.46 (t, J = 12.2 Hz, 2H), 1.26 (s, 6H), 1.10 (s, 6H); 1H not observed (OH and NH)
419	MS m/z 395.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.61 (s, 1H), 8.32 (br d, J =8.2 Hz, 1H), 8.14 (br s, 1H), 7.99 (br s, 1H), 7.25 (br s, 2H), 5.79 (br t, J =10.4 Hz, 1H), 2.51 (br dd, J =13.4, 2.5 Hz, 2H), 1.93 (br t, J =12.1 Hz, 2H), 1.65 (s, 6H), 1.56-1.62 (m, 6H); 3Hs not observed (2NHs and OH)
423	MS m/z 412.4 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.40 (s, 1H), 8.22 (br d, J =7.9 Hz, 1H), 7.90-8.11 (m, 2H), 7.11-7.27 (m, 2H), 4.63-4.83 (m, 1H), 1.73-2.00 (m, 2H), 1.48 (br d, J =6.4 Hz, 6H), 1.19-1.41 (m, 7H); 4Hs not observed (3NHs and OH)
424	MS m/z 411.6 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.21 (s, 1H), 8.03 (s, 1H), 7.57 (br d, J =7.9 Hz, 1H), 7.31 (br d, J =7.9 Hz, 1H), 7.24 (s, 1H), 5.38 (s, 1H), 4.23-4.43 (m, 1H), 2.16-2.43 (m, 2H), 1.61-1.69 (m, 2H), 1.59 (br d, J =4.9 Hz, 6H), 1.54 (s, 6H); 3Hs not observed (2NHs and OH)

Example 11

Preparation of Compound 401



Step 1: A mixture of tris(dibenzylideneacetone)dipalladium(0) (15 mg, 0.016 mmol) and 2-di-
5 *tert*-butylphosphino-3,4,5,6-tetramethyl-2',4',6'-triisopropyl-1,1'-biphenyl (21 mg, 0.042 mmol) in
dioxane (0.2 mL) and toluene (0.8 mL) was purged with argon, then heated to 120 °C for 5 min.
The solution was cooled to room temperature and 3-[4-bromo-2-(methoxymethoxy)phenyl]-N-
(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-6-amine (150 mg, 0.33 mmol), K₃PO₄ (145 mg,
0.67 mmol), and 1H-triazole (11 μ L, 0.19 mmol) were added. Argon was bubbled thorough the
10 reaction mixture for 5 min, and then the mixture was heated to 120 °C for 30 min. The reaction
mixture was cooled to room temperature and purified by silica gel column chromatography
eluting with a MeOH/CH₂Cl₂ gradient (0-25% MeOH) to afford 3-[2-(methoxymethoxy)-4-

(triazol-2-yl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-6-amine (43 mg, 29% yield) as a clear foam. MS m/z 439.6 $[M+H]^+$.

Step 2: To a solution of 3-[2-(methoxymethoxy)-4-(triazol-2-yl)phenyl]-N-(2,2,6,6-tetramethyl-4-piperidyl)-1,2,4-triazin-6-amine (43 mg, 0.098 mmol) in 1 mL of CH_2Cl_2 and MeOH (0.5 mL) was added HCl (4 mol/L) in 1,4-dioxane (50 μ L, 0.2 mmol) and the mixture was stirred 5 h at room temperature until UPLC showed complete consumption of the starting material. After concentration, the residue was purified by silica gel chromatography eluting with a MeOH/ CH_2Cl_2 /2.5% NH_4OH gradient (0-30% MeOH/ NH_4OH) to provide 2-[6-[(2,2,6,6-tetramethyl-4-piperidyl)amino]-1,2,4-triazin-3-yl]-5-(triazol-2-yl)phenol hydrochloride (35 mg, 82% yield) as a yellow solid.

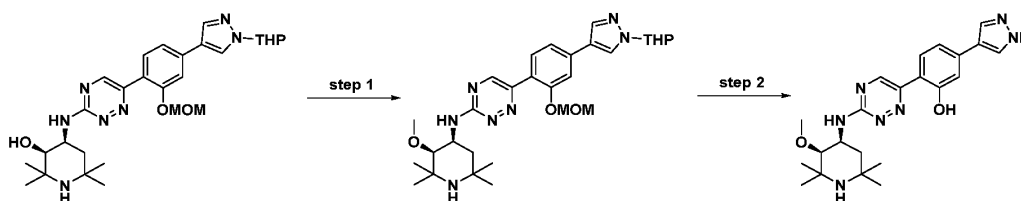
MS m/z 395.5 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.02 (s, 2H), 7.86 (s, 1H), 7.82 (br d, $J=8.5$ Hz, 1H), 7.70 (d, $J=8.5$ Hz, 1H), 5.41 (s, 1H), 4.34 (br t, $J=11.9$ Hz, 1H), 2.37 (br d, $J=12.5$ Hz, 1H), 2.26 (d, $J=12.5$ Hz, 1H), 1.62-1.84 (m, 2H), 1.59 (br d, $J=4.6$ Hz, 6H), 1.53 (s, 6H); 3Hs not observed (2NHs and OH).

Using the procedure described for Example 12, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
255	MS m/z 409.4 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.68 (s, 1H), 8.39 (d, $J=8.5$ Hz, 1H), 7.94 (s, 2H), 7.64-7.74 (m, 2H), 5.23-5.39 (m, 1H), 3.14 (s, 3H), 1.94-2.08 (m, 4H), 1.64 (s, 6H), 1.51 (s, 6H); 2Hs not observed (NH and OH)

Example 12

Preparation of Compound 286



Step 1: A solution of racemic (3S,4S)-4-[[6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-ylpyrazol-4-yl)phenyl]-1,2,4-triazin-3-yl]amino]-2,2,6,6-tetramethylpiperidin-3-ol (20 mg, 0.038 mmol) in DMF (0.3 mL) was cooled to 0 °C. Sodium hydride (60 mass% in mineral oil) (4.2 mg,

0.11 mmol) was added and stirring at 0 °C was continued for 15 minutes. A stock solution of iodomethane (0.027 mL) in DMF (2.0 mL) was prepared. A portion of this stock solution (0.20 mL) containing iodomethane (0.0027 mL, 0.043 mmol, 1.15 equiv) was added to the reaction and stirring was continued for 10 minutes at 0 °C. H₂O was added to quench the sodium hydride and the reaction was partitioned between brine and EtOAc. The layers were separated, and the aqueous layer was extracted twice with EtOAc. The combined organic layers were washed twice with brine, dried over sodium sulfate, filtered and concentrated. The residue was purified by silica-gel column chromatography eluting with 0-30% MeOH/CH₂Cl₂ to yield 6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-ylpyrazol-4-yl)phenyl]-N-[(3S,4S)-3-methoxy-2,2,6,6-tetramethyl-4-piperidyl]-1,2,4-triazin-3-amine (16.7 mg, 81% yield). MS *m/z* 552.7 [M+H]⁺.

Step 2: 6-[2-(Methoxymethoxy)-4-(1-tetrahydropyran-2-ylpyrazol-4-yl)phenyl]-N-[(3S,4S)-3-methoxy-2,2,6,6-tetramethyl-4-piperidyl]-1,2,4-triazin-3-amine (16.7 mg, 0.030 mmol) was dissolved in methanol (0.5 mL) and a hydrogen chloride solution (2 mL, 4.0 M in dioxane) was added. The reaction mixture was stirred at room temperature for 16 h. The reaction was concentrated and the residue was purified by silica-gel column chromatography eluting with 0-100% MeOH (2.5% v/v NH₄OH) in CH₂Cl₂ to yield 2-[3-[[[(3S,4S)-3-methoxy-2,2,6,6-tetramethyl-4-piperidyl]amino]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol (2.5 mg, 20%).

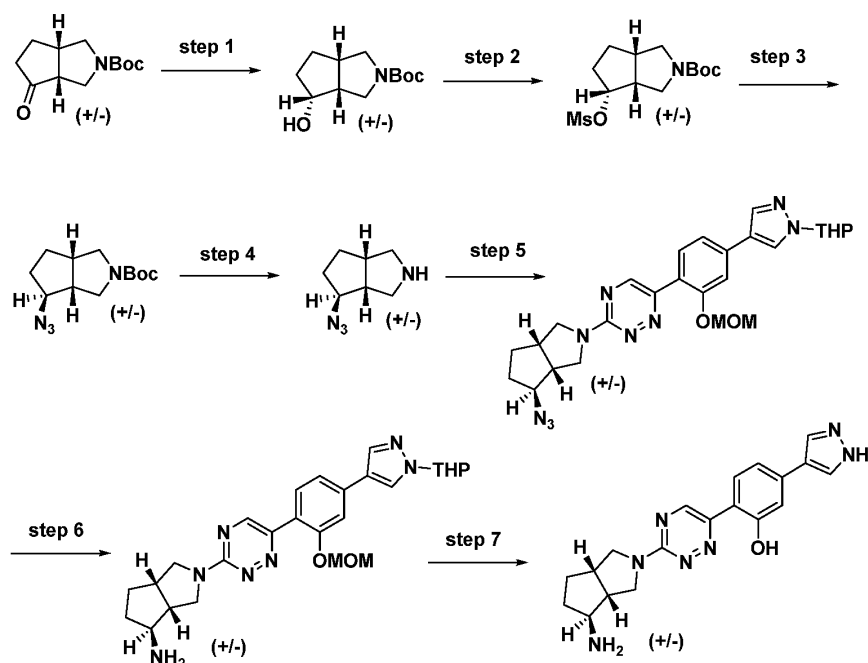
MS *m/z* 424.5 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ: 9.07 (s, 1H), 7.99 (br s, 2H), 7.81 (d, *J*=8.2 Hz, 1H), 7.21 (dd, *J*=8.1, 1.7 Hz, 1H), 7.18 (d, *J*=1.5 Hz, 1H), 5.52-5.63 (m, 1H), 3.48-3.61 (m, 1H), 3.20 (s, 3H), 1.94-2.08 (m, 2H), 1.40 (s, 3H), 1.36 (s, 3H), 1.24 (s, 3H), 1.14 (s, 3H); 4Hs not observed (3 NHs and OH).

Using the procedure described for Example 13, additional compounds described herein may be prepared by substituting the appropriate starting material, suitable reagents and reaction conditions, obtaining compounds such as those selected from:

Cpd	Data
293	MS <i>m/z</i> 438.5 [M+H] ⁺ ; ¹ H NMR (methanol- <i>d</i> ₄) δ: 9.06 (s, 1H), 7.99 (br s, 2H), 7.81 (d, <i>J</i> =8.2 Hz, 1H), 7.21 (dd, <i>J</i> =8.2, 1.5 Hz, 1H), 7.18 (d, <i>J</i> =1.5 Hz, 1H), 5.35 (br s, 1H), 3.23 (s, 3H), 3.05-3.18 (m, 1H), 2.44-2.69 (m, 3H), 2.05 (br dd, <i>J</i> =13.1, 9.5 Hz, 1H), 1.79-1.97 (m, 1H), 1.27 (br d, <i>J</i> =9.2 Hz, 6H), 1.23 (s, 3H), 1.14 (br s, 3H); 3Hs not observed (2NHs and OH)

Example 13

Preparation of Compound 165



- Step 1:** A solution of racemic *tert*-butyl 4-oxo-1,3,3a,5,6,6a-hexahydrocyclopenta[*c*]pyrrole-2-carboxylate (606 mg, 2.69 mmol) in THF (4 mL) was cooled to -78 °C. A THF solution of lithium tri-*sec*-butylborohydride (3.2 mL, 1.0 M) was added dropwise over 20 minutes. Stirring was continued following complete addition at -78 °C and the reaction was slowly warmed to room temperature over 16 h. Upon completion, the reaction was quenched with methanol, and then concentrated in vacuo. The residue was partitioned between EtOAc and saturated aqueous sodium bicarbonate and the layers were separated. The aqueous layer was extracted once with EtOAc and the combined organic layers were dried over sodium sulfate, filtered and concentrated. The residue was purified by silica-gel column chromatography eluting with 0-100% EtOAc/hexanes to yield racemic *tert*-butyl (3aR,4R,6aS)-4-hydroxy-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[*c*]pyrrole-2-carboxylate (583 mg, 95% yield).
- MS** *m/z* 250.2 [M+Na]⁺; **¹H NMR** (chloroform-*d*) δ: 4.28 (q, *J*=5.5 Hz, 1H), 3.64 (dd, *J*=11.9, 3.1 Hz, 1H), 3.57 (br dd, *J*=10.8, 7.8 Hz, 1H), 3.37 (br dd, *J*=11.7, 8.1 Hz, 1H), 3.18 (dd, *J*=11.0, 3.4 Hz, 1H), 2.63-2.74 (m, 2H), 1.83-1.93 (m, 2H), 1.75-1.82 (m, 1H), 1.55-1.64 (m, 1H), 1.48 (s, 9H); 1H not observed (OH).

Step 2: Racemic *tert*-butyl (3aR,4R,6aS)-4-hydroxy-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole-2-carboxylate (583 mg, 2.56 mmol) was cycled under N₂, followed by the addition of CH₂Cl₂ (5 mL). The reaction was cooled to -78 °C with stirring. Methanesulfonyl chloride (0.34 mL, 4.39 mmol) was added followed by trimethylamine (0.69 mL, 4.95 mmol) and the reaction was stirred at -78 °C for 30 minutes, and then allowed to warm to room temperature over 3h. The reaction was partitioned between saturated aqueous sodium bicarbonate and CH₂Cl₂ and the layers were separated. The organic layer was washed once with saturated aqueous sodium bicarbonate, dilute aqueous acetic acid, and saturated aqueous sodium bicarbonate, and then dried over sodium sulfate, filtered and concentrated to yield *tert*-butyl (3aR,4R,6aS)-4-methylsulfonyloxy-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole-2-carboxylate (566 mg, 72% yield).

MS *m/z* 328.3 [M+Na]⁺; ¹H NMR (chloroform-*d*) δ: 5.03 (q, *J*=6.1 Hz, 1H), 3.45-3.58 (m, 2H), 3.30-3.39 (m, 1H), 3.15 (br dd, *J*=11.0, 4.0 Hz, 1H), 2.96 (s, 3H), 2.77-2.86 (m, 1H), 2.60-2.71 (m, 1H), 1.99-2.09 (m, 1H), 1.92-1.97 (m, 1H), 1.82-1.91 (m, 1H), 1.50-1.63 (m, 1H), 1.34-1.44 (m, 9H).

Step 3: To a vial containing racemic *tert*-butyl (3aR,4R,6aS)-4-methylsulfonyloxy-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole-2-carboxylate (566 mg, 1.85 mmol) was added DMAc (3 mL) and sodium azide (155 mg, 2.38 mmol), which was sealed and warmed to 90 °C with stirring for 20 h. After cooling to room temperature, the reaction was partitioned between EtOAc and saturated aqueous sodium carbonate. The layers were separated, and the organic layer was washed twice with brine, dried over sodium sulfate, filtered and then concentrated to yield racemic *tert*-butyl (3aR,4S,6aS)-4-azido-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole-2-carboxylate (288 mg, 62% yield).

MS *m/z* 197.1 [M+H-*t*Bu]⁺; ¹H NMR (chloroform-*d*) δ: 3.65 (br s, 1H), 3.39-3.51 (m, 2H), 3.17 (br d, *J*=8.9 Hz, 1H), 3.11 (br d, *J*=8.5 Hz, 1H), 2.67-2.76 (m, 1H), 2.52 (tt, *J*=8.5, 4.2 Hz, 1H), 1.92-2.00 (m, 2H), 1.64-1.74 (m, 1H), 1.42-1.47 (m, 1H), 1.38 (s, 9H).

Step 4: Racemic *tert*-butyl (3aR,4S,6aS)-4-azido-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole-2-carboxylate (70 mg, 0.28 mmol) was dissolved in TFA (2.0 mL) and stirred at room temperature for 10 minutes. The reaction was concentrated, azeotroped with CH₂Cl₂, and then carried forward without purification. MS *m/z* 153.3 [M+H]⁺.

Step 5: The residue from step 4 containing racemic (3aR,4S,6aS)-4-azido-1,2,3,3a,4,5,6,6a-octahydrocyclopenta[c]pyrrole;2,2,2-trifluoroacetic acid (106 mg, 0.28 mmol) was dissolved in DMF (2 mL), followed by the addition of N,N-diisopropylethylamine (0.4 mL, 2.29 mmol) and 6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-yl)pyrazol-4-yl]phenyl]-3-methylsulfonyl-1,2,4-triazine (86.0 mg, 0.19 mmol). The reaction was warmed to 80 °C with stirring for 3 h, and then cooled to room temperature and concentrated. The residue was purified by column chromatography eluting with 0-100% EtOAc in hexanes to yield racemic (3aR,4S,6aS)-4-azido-2-[6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-yl)pyrazol-4-yl]phenyl]-1,2,4-triazin-3-yl]-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole (64 mg, 64% yield). MS m/z 518.4 [M+H]⁺.

Step 6: Racemic (3aR,4S,6aS)-4-azido-2-[6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-yl)pyrazol-4-yl]phenyl]-1,2,4-triazin-3-yl]-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrole (64 mg, 0.12 mmol), triphenylphosphine (48 mg, 0.18 mmol), THF (2 mL), and H₂O (1 mL) were combined and warmed to 80 °C with stirring for 3 h. The reaction was cooled to room temperature and concentrated. The residue was purified by column chromatography eluting with 0-100% EtOAc/hexanes to yield racemic (3aR,4S,6aS)-2-[6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-yl)pyrazol-4-yl]phenyl]-1,2,4-triazin-3-yl]-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrol-4-amine (45 mg, 75% yield).

MS m/z 492.4 [M+H]⁺; ¹H NMR (methanol-*d*₄) δ : 8.74 (s, 1H), 8.23 (s, 1H), 7.93 (s, 1H), 7.72 (d, $J=7.9$ Hz, 1H), 7.42 (s, 1H), 7.34 (br d, $J=7.9$ Hz, 1H), 5.42 (br d, $J=10.1$ Hz, 1H), 5.32 (s, 2H), 4.06 (br d, $J=11.6$ Hz, 1H), 3.69-3.88 (m, 4H), 3.55 (br dd, $J=11.6, 4.0$ Hz, 1H), 3.45 (s, 3H), 3.39-3.44 (m, 1H), 3.00-3.10 (m, 1H), 2.70-2.79 (m, 1H), 2.12-2.29 (m, 3H), 2.04 (br d, $J=8.9$ Hz, 2H), 1.67-1.82 (m, 3H), 1.57-1.66 (m, 2H); 2Hs not observed (2 NHs).

Step 7: Racemic (3aR,4S,6aS)-2-[6-[2-(methoxymethoxy)-4-(1-tetrahydropyran-2-yl)pyrazol-4-yl]phenyl]-1,2,4-triazin-3-yl]-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrol-4-amine (3 mg, 0.0053 mmol) was dissolved in methanol (0.5 mL) and a hydrogen chloride solution in dioxane (2.0 mL, 4.0 M) and stirred at room temperature for 1 h. The reaction was concentrated, and the residue was dissolved in MeOH, followed by Et₂O addition to precipitate solid. The solid was filtered, then rinsed through the filter with MeOH and the methanolic filtrate was concentrated to yield racemic 2-[3-[(3aR,4S,6aS)-4-amino-3,3a,4,5,6,6a-hexahydro-1H-cyclopenta[c]pyrrol-2-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol; dihydrochloride (2.1 mg, 91% yield).

MS m/z 364.3 $[M+H]^+$; ^1H NMR (methanol- d_4) δ : 8.18 (br s, 2H), 7.53 (d, $J=8.2$ Hz, 1H), 7.18 (br d, $J=8.5$ Hz, 1H), 7.15 (s, 1H), 5.83 (br s, 1H), 3.88 (br t, $J=9.2$ Hz, 1H), 3.72-3.81 (m, 1H), 3.52-3.71 (m, 2H), 3.40 (br t, $J=11.0$ Hz, 1H), 3.02-3.15 (m, 1H), 2.83-2.95 (m, 1H), 2.24-2.37 (m, 1H), 2.13 (br dd, $J=12.8, 5.2$ Hz, 1H), 1.69-1.81 (m, 1H), 1.54-1.69 (m, 1H); 4Hs not observed (3NHs and OH).

BIOLOGICAL EXAMPLES

The following *in vitro* biological examples demonstrate the usefulness of the compounds of the present description for treating Huntington's disease.

To describe in more detail and assist in understanding the present description, the following non-limiting biological examples are offered to more fully illustrate the scope of the description and are not to be construed as specifically limiting the scope thereof. Such variations of the present description that may be now known or later developed, which would be within the purview of one skilled in the art to ascertain, are considered to fall within the scope of the present description and as hereinafter claimed.

Compounds of Formula (I) or Formula (II) were tested using the Meso Scale Discovery (MSD) Assay provided in International Application No. PCT/US2016/066042, filed on December 11, 2016 and claiming priority to United States Provisional Application U.S. 62/265,652 filed on December 10, 2015, the entire contents of which are incorporated herein by reference.

The Endogenous Huntingtin Protein assay used in Example 1 was developed using the ELISA-based MSD electrochemiluminescence assay platform.

Example 1

Endogenous Huntingtin Protein Assay

Meso Scale Discovery (MSD) 96-well or 384-well plates were coated overnight at 4°C with MW1 (expanded polyglutamine) or MAB2166 monoclonal antibody (for capture) at a concentration of 1 μ g/mL in PBS (30 μ L per well). Plates were then washed three times with 300 μ L wash buffer (0.05% Tween-20 in PBS) and blocked (100 μ L blocking buffer; 5% BSA in PBS) for 4-5 hours at room temperature with rotational shaking and then washed three times with wash buffer.

Samples (25 μ L) were transferred to the antibody-coated MSD plate and incubated overnight at 4°C. After removal of the lysates, the plate was washed three times with wash buffer, and 25 μ L of #5656S (Cell signaling; rabbit monoclonal) secondary antibody (diluted to

0.25 $\mu\text{g/mL}$ in 0.05% Tween-20 in blocking buffer) was added to each well and incubated with shaking for 1 Hour at room temperature. Following incubation with the secondary antibody, the wells were rinsed with wash buffer after which 25 μL of goat anti-rabbit SULFO TAG secondary detection antibody (required aspect of the MSD system) (diluted to 0.25 $\mu\text{g/mL}$ in 0.05% Tween-20 in blocking buffer) was added to each well and incubated with shaking for 1 hour at room temperature. After rinsing three times with wash buffer, 150 μL of read buffer T with surfactant (MSD) were added to each empty well, and the plate was imaged on a SI 6000 imager (MSD) according to manufacturers' instructions provided for 96- or 384-well plates. The resulting IC_{50} values (μM) for compounds tested are shown in Table 1.

As shown in Table 1, test compounds described herein had the following IC_{50} values, an IC_{50} value between $> 3 \mu\text{M}$ and $\leq 9 \mu\text{M}$ is indicated by a single star (*), an IC_{50} value between $> 1 \mu\text{M}$ and $\leq 3 \mu\text{M}$ is indicated by two stars (**), an IC_{50} value between $> 0.5 \mu\text{M}$ and $\leq 1 \mu\text{M}$ is indicated by three stars (***), an IC_{50} value between $> 0.1 \mu\text{M}$ and $\leq 0.5 \mu\text{M}$ is indicated by four stars (****) and an IC_{50} value of $\leq 0.1 \mu\text{M}$ is indicated by five stars (*****).

Table 1

Cpd	IC_{50}	Cpd	IC_{50}	Cpd	IC_{50}
1	***	159	**	293	****
2	*****	160	**	294	*****
3	*****	161	*****	295	*****
4	*****	162	****	296	**
5	*****	163	**	297	*****
6	*****	164	****	298	**
7	*****	165	*****	299	*****
8	*****	166	*****	300	**
9	*****	167	*****	301	*****
10	*****	168	****	302	***
11	*****	169	*****	303	*****
12	*****	170	*****	304	*****
13	*****	171	*****	305	*****
14	*****	172	*****	306	****
15	*****	173	*****	307	***
16	*****	174	*****	308	****
17	****	175	**	309	***

Cpd	IC ₅₀	Cpd	IC ₅₀	Cpd	IC ₅₀
18	*****	176	*****	310	****
19	*****	177	*****	311	**
20	***	178	*****	312	**
21	*****	179	*****	313	**
22	*****	180	**	314	*****
23	*****	181	***	315	*****
24	****	182	***	316	*****
33	**	183	*****	317	*****
50	*****	184	*****	318	****
51	***	185	*****	319	*****
52	****	186	*****	320	****
53	****	187	*****	321	*****
54	***	188	*****	322	*****
55	*****	189	*****	323	*****
56	*****	190	*****	324	*****
57	*****	191	*****	325	****
58	*****	192	****	326	*****
59	*****	193	**	327	*****
60	*****	194	*****	328	****
61	*****	195	*****	329	****
62	****	196	*****	330	**
63	*****	197	*****	331	****
64	*****	198	*****	332	*****
65	*****	199	*****	333	*****
66	*****	200	*****	334	**
67	****	201	*****	335	*****
68	*****	202	*****	336	*****
69	*****	203	*****	337	*****
70	****	204	*****	338	****
71	*****	205	*****	339	*****
72	*****	206	**	340	*****
73	*****	207	**	341	*****
74	*****	208	*****	342	****

Cpd	IC ₅₀	Cpd	IC ₅₀	Cpd	IC ₅₀
75	***	209	**	343	*****
76	*****	210	*****	344	*****
77	*****	211	*****	345	****
78	*****	212	*****	346	***
79	*****	213	**	347	*****
80	*****	214	*****	348	*****
81	*****	215	*****	349	****
82	****	216	*****	350	*****
83	*****	217	*****	351	*****
84	*****	218	*****	352	*****
85	*****	219	*****	353	**
86	****	220	***	354	*****
87	*****	221	***	355	*****
88	*****	222	*****	356	*****
89	*****	223	*****	357	*****
90	*****	224	**	358	****
91	*****	225	*****	359	*****
92	*****	226	*****	360	****
93	*****	227	*****	361	*****
94	****	228	*****	362	*****
95	****	229	***	363	*****
96	*****	230	***	364	****
97	*****	231	****	365	*****
98	****	232	*****	366	*****
99	*****	233	**	367	*****
100	*****	234	****	368	****
101	****	235	*****	369	*****
102	*****	236	*****	370	*****
103	*****	237	*****	371	*****
104	*****	238	*****	372	*****
105	****	239	*****	373	*****
106	*****	240	*****	374	*****
107	**	241	*****	375	*****

Cpd	IC ₅₀	Cpd	IC ₅₀	Cpd	IC ₅₀
108	***	242	*****	376	*****
109	*****	243	*****	377	*****
110	*****	244	*	378	*****
111	*****	245	*****	379	*****
112	*****	246	*****	380	*****
113	*****	247	*****	381	*****
114	*****	248	*****	382	*****
115	*****	249	*****	383	*****
116	*****	250	*****	384	*****
117	*****	251	*****	385	*****
118	*****	252	***	386	*****
119	*****	253	*****	387	*****
120	*****	254	*****	388	*****
121	*****	255	*****	389	*****
122	*****	256	*****	390	*****
123	*****	257	**	391	*****
124	*****	258	*****	392	*****
125	*****	259	*****	393	*****
126	*****	260	*****	394	*****
127	*****	261	*****	395	*****
128	*****	262	*****	396	*****
129	*****	263	*****	397	*****
130	*****	264	*****	398	*****
131	*****	265	*****	399	*****
132	*****	266	*****	400	*****
133	*****	267	*****	401	*****
134	*****	268	*****	402	*****
135	*****	269	*****	403	*****
136	*****	270	**	404	*****
137	*****	271	*****	405	*****
138	*****	272	*****	406	*****
139	*****	273	*****	407	*****
140	*****	274	*****	408	*****

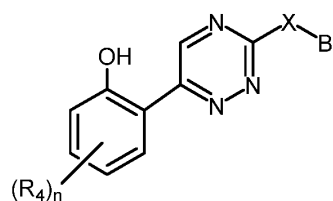
Cpd	IC₅₀	Cpd	IC₅₀	Cpd	IC₅₀
141	*****	275	*****	409	*****
142	*****	276	*****	410	*****
143	*****	277	*****	411	*****
144	*****	278	*****	412	*****
145	*****	279	*****	413	*****
146	*****	280	*****	414	*****
147	*****	281	*****	415	*****
148	*****	282	***	416	*****
149	*****	283	**	417	*****
150	*****	284	*****	418	*****
151	*****	285	*****	419	*****
152	*****	286	*****	420	*****
153	****	287	*****	421	*****
154	*****	288	*****	422	*****
155	*****	289	*****	423	*****
156	*****	290	*****	424	*****
157	****	291	*****		
158	**	292	*****		

Without regard to whether a document cited herein was specifically and individually indicated as being incorporated by reference, all documents referred to herein are incorporated by reference into the present application for any and all purposes to the same extent as if each individual reference was fully set forth herein.

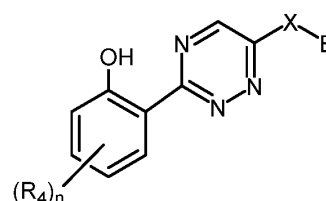
Having now fully described the subject matter of the claims, it will be understood by those having ordinary skill in the art that the same can be performed within a wide range of equivalents without affecting the scope of the subject matter or particular aspects described herein. It is intended that the appended claims be interpreted to include all such equivalents.

What is claimed is:

1. A compound comprising, a compound of Formula (I) or Formula (II):



(I)



(II)

or a form thereof, wherein:

X is CHR_{1a}, C=O, O, NR_{1b}, or a bond;

R_{1a} is hydrogen, halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino or hydroxyl-C₁₋₄alkyl;

R_{1b} is hydrogen, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl or hydroxyl-C₁₋₄alkyl;

B is heterocyclyl,

wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic, 6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3 heteroatom ring members independently selected from N, O, or S, each optionally substituted with 1, 2, 3, 4, or 5 substituents each selected from R₂;

R₂ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₆alkyl)₂-amino, halo-C₁₋₄alkyl-amino, (halo-C₁₋₆alkyl)₂-amino, hydroxy-C₁₋₄alkyl-amino, C₁₋₄alkoxy-C₁₋₄alkyl-amino, amino-C₁₋₄alkyl, C₁₋₄alkyl-amino-C₁₋₄alkyl, (C₁₋₄alkyl-amino)₂-C₁₋₄alkyl, C₁₋₄alkoxy, halo-C₁₋₄alkoxy, hydroxyl-C₁₋₄alkoxy, C₁₋₄alkyl-C₁₋₄alkoxy, C₃₋₁₀cycloalkyl, C₃₋₁₀cycloalkyl-amino, C₃₋₁₀cycloalkyl-amino-C₁₋₄alkyl, heteroaryl-C₁₋₄alkyl, heteroaryl-amino, heteroaryl-C₁₋₄alkyl-amino, heterocyclyl, heterocyclyl-C₁₋₄alkyl, heterocyclyl-amino, heterocyclyl-amino-C₁₋₄alkyl, heterocyclyl-C₁₋₄alkoxy, heterocyclyl-amino-C₃₋₁₀cycloalkyl, phenyl, and phenyl-C₁₋₄alkoxy,

wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S,

wherein heterocyclyl is a saturated or partially unsaturated 3-7 membered monocyclic, 6-10 membered bicyclic or 13-16 membered polycyclic ring system having 1, 2, or 3 heteroatom ring members independently selected from N, O, or S,

wherein C₃₋₁₀cycloalkyl is a saturated or partially unsaturated 3-7 membered monocyclic ring system, and

wherein each instance of phenyl, heteroaryl, heterocyclyl, or C₃₋₁₀cycloalkyl is optionally substituted with 1 or 2 substituents each selected from R₃;

R₃ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkoxy, and halo-C₁₋₄alkoxy;

n is 0, 1, or 2;

R₄ is independently selected from halogen, hydroxyl, cyano, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₄alkyl)₂-amino, C₁₋₄alkoxy, halo-C₁₋₄alkoxy, heteroaryl, heterocyclyl, and phenyl,

wherein heteroaryl is a 3-7 membered monocyclic or 6-10 membered bicyclic ring system having 1, 2, 3, or 4 heteroatom ring members independently selected from N, O, or S,

wherein heterocyclyl is a saturated or partially unsaturated 3-6 membered monocyclic or 9-10 membered bicyclic ring system having 1, 2, or 3 heteroatom ring members selected from N, O, and S, and

wherein each instance of phenyl, heteroaryl or heterocyclyl is optionally substituted with 1, or 2 substituents each selected from R₅;

R₅ is independently selected from halogen, hydroxyl, cyano, nitro, C₁₋₄alkyl, deuterio-C₁₋₄alkyl, halo-C₁₋₄alkyl, amino, C₁₋₄alkyl-amino, (C₁₋₄alkyl)₂-amino, amino-C₁₋₄alkyl, hydroxyl-C₁₋₄alkyl, C₁₋₄alkyl-carbonyl, C₁₋₄alkoxy, C₁₋₄alkylthio, halo-C₁₋₄alkoxy, and C₃₋₁₀cycloalkyl;

wherein a form of the compound is selected from the group consisting of a salt, hydrate, solvate, racemate, enantiomer, diastereomer, stereoisomer, and tautomer form thereof.

2. The compound of claim 1, wherein wherein X is selected from NR_{1b} and a bond.
3. The compound of claim 1, wherein B is heterocyclyl selected from azetidiny, tetrahydrofuranyl, pyrrolidinyl, piperidinyl, piperazinyl, 1,4-diazepanyl,

1,2-dihydropyridinyl, 1,2,5,6-tetrahydropyridinyl, 1,2,3,6-tetrahydropyridinyl, hexahydrocyclopentapyrrol-(1*H*)-yl, hexahydropyrrolo[3,2-*b*]pyrrol-(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-(2*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, (3*aS*,6*aS*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, (3*aR*,6*aR*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl, (3*aR*,6*aS*)-hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl, octahydro-2*H*-pyrrolo[3,4-*c*]pyridinyl, octahydro-5*H*-pyrrolo[3,2-*c*]pyridinyl, octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl, (4*aR*,7*aR*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl, (4*aS*,7*aS*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl, hexahydropyrrolo[1,2-*a*]pyrazin-(2*H*)-one, hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, (7*R*,8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, (8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, (8*aR*)-hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, hexahydro-1*H*-cyclobuta[1.2-*c*:1,4-*c'*]dipyrrol-(3*H*)-yl, (8*aS*)-octahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, (8*aR*)-octahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, octahydro-2*H*-pyrido[1,2-*a*]pyrazinyl, hexahydropyrrolo[3,4-*b*][1,4]oxazin-(2*H*)-yl, 5-azaspiro[2.4]heptanyl, 2-oxa-6-azaspiro[3.4]octanyl, 3-azabicyclo[3.1.0]hexanyl, 8-azabicyclo[3.2.1]octanyl, (1*R*,5*S*)-8-azabicyclo[3.2.1]octanyl, 8-azabicyclo[3.2.1]oct-2-en-yl, (1*R*,5*S*)-8-azabicyclo[3.2.1]oct-2-en-yl, 9-azabicyclo[3.3.1]nonanyl, (1*R*,5*S*)-9-azabicyclo[3.3.1]nonanyl, 2,5-diazabicyclo[2.2.1]heptanyl, (1*S*,4*S*)-2,5-diazabicyclo[2.2.1]heptanyl, 1,4-diazabicyclo[3.1.1]heptanyl, 3,6-diazabicyclo[3.2.0]heptanyl, 2,5-diazabicyclo[2.2.2]octanyl, 1,4-diazabicyclo[3.2.1]octanyl, 3,8-diazabicyclo[3.2.1]octanyl, (1*R*,5*S*)-3,8-diazabicyclo[3.2.1]octanyl, 1,4-diazabicyclo[3.2.2]nonanyl, azaspiro[3.3]heptanyl, 4,7-diazaspiro[2.5]octanyl, 2,6-diazaspiro[3.3]heptan-2-yl, 2,6-diazaspiro[3.4]octanyl, 1,7,-diazaspiro[4.4]nonanyl, 1,7-diazaspiro[3.5]nonanyl, 2,6-diazaspiro[3.5]nonanyl, 2,7-diazaspiro[3.5]nonanyl, 5,8-diazaspiro[3.5]nonanyl, 2,7-diazaspiro[4.4]nonanyl, 2,7-diazaspiro[4.5]decanyl, 2,8-diazaspiro[4.5]decanyl, 6,9-diazaspiro[4.5]decyl, and 7-azadispiro[5.1.5⁸.3⁶]hexadecanyl, optionally substituted with 1, 2, 3, 4, or 5 R₂ substituents.

4. The compound of claim 1, wherein B is selected from pyrrolidinyl, piperidinyl, piperazinyl, hexahydrocyclopentapyrrol-(1*H*)-yl, hexahydropyrrolo[3,2-*b*]pyrrol-(2*H*)-yl, hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, (3*aR*,6*aR*)-hexahydropyrrolo[3,4-*b*]pyrrol-(1*H*)-yl, hexahydropyrrolo[3,4-*c*]pyrrol-(1*H*)-yl, octahydro-2*H*-pyrrolo[3,4-*c*]pyridinyl, octahydro-5*H*-pyrrolo[3,2-*c*]pyridinyl, octahydro-6*H*-pyrrolo[3,4-*b*]pyridinyl, hexahydropyrrolo[1,2-*a*]pyrazin-(1*H*)-yl, hexahydropyrrolo[3,4-*b*][1,4]oxazin-(2*H*)-yl, 5-azaspiro[2.4]heptanyl, 2-oxa-6-azaspiro[3.4]octanyl, 3-azabicyclo[3.1.0]hexanyl, 8-azabicyclo[3.2.1]octanyl, 9-azabicyclo[3.3.1]nonanyl, 2,6-diazaspiro[3.3]heptanyl, 2,6-diazaspiro[3.4]octanyl, 1,7,-diazaspiro[4.4]nonanyl, 1,7-diazaspiro[3.5]nonanyl, 2,6-diazaspiro[3.5]nonanyl, 2,7-diazaspiro[3.5]nonanyl, 2,7-diazaspiro[4.4]nonanyl, 2,7-diazaspiro[4.5]decanyl, 2,8-diazaspiro[4.5]decanyl, 6-oxa-2,9-diazaspiro[4.5]decanyl, and 2,9-diazaspiro[5.5]undecanyl, optionally substituted with 1, 2, 3, 4, or 5 R₂ substituents.
5. The compound of claim 1, wherein R₄ is heteroaryl selected from thienyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, 1,3-thiazolyl, oxazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridinyl, pyridin-2(1*H*)-on-yl, pyrimidinyl, pyrimidin-4(3*H*)-on-yl, pyridazinyl, pyridazin-3(2*H*)-on-yl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1*H*-indolyl, 1*H*-indazolyl, 2*H*-indazolyl, indolizinyl, benzofuranyl, benzothienyl, 1*H*-benzimidazolyl, 1,3-benzoxazolyl, 1,3-benzothiazolyl, 1,3-benzodioxolyl, 1,2,3-benzotriazolyl, 9*H*-purinyl, furo[3,2-*b*]pyridinyl, furo[3,2-*c*]pyridinyl, furo[2,3-*c*]pyridinyl, 1,3-oxazolo[5,4-*b*]pyridinyl, thieno[3,2-*c*]pyridinyl, thieno[2,3-*d*]pyrimidinyl, 1*H*-pyrrolo[2,3-*b*]pyridinyl, 1*H*-pyrrolo[2,3-*c*]pyridinyl, pyrrolo[1,2-*a*]pyrimidinyl, pyrrolo[1,2-*a*]pyrazinyl, pyrrolo[1,2-*b*]pyridazinyl, pyrazolo[1,5-*a*]pyridin-yl, pyrazolo[1,5-*a*]pyridinyl, 1*H*-pyrazolo[3,4-*b*]pyrazinyl, 1*H*-pyrazolo[3,4-*b*]pyridinyl, 1*H*-pyrazolo[3,4-*b*]pyridinyl, 1*H*-pyrazolo[3,4-*c*]pyridinyl, 1*H*-pyrazolo[4,3-*b*]pyridinyl, 1*H*-pyrazolo[4,3-*b*]pyridinyl, 1*H*-pyrazolo[4,3-*d*]pyrimidinyl, 2*H*-pyrazolo[4,3-*b*]pyridinyl, 2*H*-pyrazolo[4,3-*c*]pyridin-yl, 5*H*-pyrrolo[2,3-*b*]pyrazinyl, pyrazolo[1,5-*a*]pyrazinyl, imidazo[1,2-*a*]pyridinyl, imidazo[1,2-*a*]pyridinyl, imidazo[1,2-*a*]pyrimidinyl, imidazo[1,2-*a*]pyrimidinyl, imidazo[1,2-*a*]pyrimidinyl,

imidazo[1,2-c]pyrimidinyl, imidazo[1,2-b]pyridazinyl, imidazo[1,2-b]pyridazinyl, imidazo[1,2-a]pyrazinyl, imidazo[1,2-a]pyrazinyl, 1H-imidazo[4,5-b]pyridinyl, 3H-imidazo[4,5-b]pyridinyl, imidazo[2,1-b][1,3]thiazolyl, imidazo[2,1-b][1,3,4]thiadiazolyl, [1,3]oxazolo[4,5-b]pyridinyl, [1,2,3]triazolo[1,5-a]pyridinyl, [1,2,3]triazolo[1,5-a]pyridinyl, 1H-[1,2,3]triazolo[4,5-b]pyridinyl, 3H-[1,2,3]triazolo[4,5-b]pyridinyl, tetrazolo[1,5-a]pyridinyl, tetrazolo[1,5-b]pyridazinyl, quinolinyl, isoquinolinyl, and quinoxalinyl, optionally substituted with 1 or 2 R₅ substituents.

6. The compound of claim 1, wherein R₄ is heteroaryl selected from thienyl, 1H-pyrazolyl, 1H-imidazolyl, 1,3-thiazolyl, oxazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1H-1,2,3-triazolyl, 2H-1,2,3-triazolyl, 1H-1,2,4-triazolyl, 2H-tetrazolyl, pyridinyl, pyrimidinyl, pyrimidin-4(3H)-on-yl, pyridazinyl, pyridazin-3(2H)-on-yl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1H-indazolyl, 1H-benzimidazolyl, 1,3-benzoxazolyl, 1,3-benzodioxolyl, 1,2,3-benzotriazolyl, 1,3-oxazolo[5,4-b]pyridinyl, 1H-pyrazolo[3,4-b]pyrazinyl, 1H-pyrazolo[3,4-b]pyridinyl, 1H-pyrazolo[3,4-c]pyridinyl, 1H-pyrazolo[4,3-b]pyridinyl, 1H-pyrazolo[4,3-d]pyrimidinyl, 5H-pyrrolo[2,3-b]pyrazinyl, imidazo[1,2-a]pyridinyl, imidazo[1,2-b]pyridazinyl, imidazo[1,2-a]pyrazinyl, 1H-imidazo[4,5-b]pyridinyl, 3H-imidazo[4,5-b]pyridinyl, [1,2,3]triazolo[1,5-a]pyridinyl, 1H-[1,2,3]triazolo[4,5-b]pyridinyl, 3H-[1,2,3]triazolo[4,5-b]pyridinyl, tetrazolo[1,5-a]pyridinyl, tetrazolo[1,5-b]pyridazinyl, and quinolinyl, optionally substituted with 1 or 2 R₅ substituents.
7. The compound of claim 1, wherein the form of the compound is a compound salt selected from hydrochloride, hydrobromide, trifluoroacetate, formate, dihydrochloride, dihydroiodide, trihydrochloride, tetrahydrochloride, dihydrobromide and ditrifluoroacetate.
8. A compound selected from the group consisting of:
 - 5-(5-methyl-1,3-oxazol-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;
 - 5-(2-methoxypyridin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;
 - 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-1,2,3-triazol-4-yl)phenol;

4-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridin-2-ol;

5-(3-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-4-yl)phenol;

5-(2-methylpyridin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-methyl-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-[1-(²H₃)methyl-1H-pyrazol-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-1-yl)phenol;

5-(3-fluoro-1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(piperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(piperidin-3-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-(3-{[(1R,3S,5S)-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{[(1R,3S,5S)-9-azabicyclo[3.3.1]nonan-3-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol ;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol;

(6-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-3-yl)(2,2,6,6-tetramethylpiperidin-4-yl)methanone;

(3-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-6-yl)(2,2,6,6-tetramethylpiperidin-4-yl)methanone;

2-(6-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-3-yl)-2-(2,2,6,6-tetramethylpiperidin-4-yl)acetonitrile;

2-(3-(2-hydroxy-4-(1H-pyrazol-4-yl)phenyl)-1,2,4-triazin-6-yl)-2-(2,2,6,6-tetramethylpiperidin-4-yl)acetonitrile;

2-(3-(amino(2,2,6,6-tetramethylpiperidin-4-yl)methyl)-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(6-(amino(2,2,6,6-tetramethylpiperidin-4-yl)methyl)-1,2,4-triazin-3-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(1,3,5-triazin-2-yl)phenol;

2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)-5-(1,3,5-triazin-2-yl)phenol;

4-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1,3,5-triazin-2-ol;

4-(3-hydroxy-4-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenyl)-1,3,5-triazin-2-ol;

5-(4-amino-1,3,5-triazin-2-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol;

5-(4-amino-1,3,5-triazin-2-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol;

5-(4-chloro-1,3,5-triazin-2-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol

5-(4-chloro-1,3,5-triazin-2-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol;

2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)-5-(5-methyl-1H-pyrazol-4-yl)phenol;

2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)-5-(5-methyl-1H-pyrazol-4-yl)phenol;

5-(5-chloro-1H-pyrazol-4-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol;

5-(5-chloro-1H-pyrazol-4-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol;

4-(3-hydroxy-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl)-1H-pyrazole-5-carbonitrile;

4-(3-hydroxy-4-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenyl)-1H-pyrazole-5-carbonitrile;

5-(1,5-dimethyl-1H-pyrazol-4-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol;

5-(1,5-dimethyl-1H-pyrazol-4-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol;

5-(5-chloro-1-methyl-1H-pyrazol-4-yl)-2-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenol;

5-(5-chloro-1-methyl-1H-pyrazol-4-yl)-2-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenol;

4-(3-hydroxy-4-(3-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-6-yl)phenyl)-1-methyl-1H-pyrazole-5-carbonitrile;

4-(3-hydroxy-4-(6-(methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino)-1,2,4-triazin-3-yl)phenyl)-1-methyl-1H-pyrazole-5-carbonitrile;

5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1H-1,2,4-triazol-1-yl)phenol;

2-{3-[(1-methylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(thiophen-2-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-methylthiophen-2-yl)phenol;

5-(4-methoxy-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

4-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1-methylpyridin-2(1H)-one;

5-(3-chloro-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-thiazol-2-yl)phenol;

5-(4-chloro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridazin-4-yl)phenol;

5-(6-methylpyridazin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-1,2,4-triazol-3-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-1,3-thiazol-5-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1,2,4-thiadiazol-5-yl)phenol;

2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(methyl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-pyrazol-4-yl]ethan-1-one;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-methyl-2H-1,2,3-triazol-2-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-3-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-2-yl)phenol;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-imidazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-(3-{[(1R,3s,5S)-9-methyl-9-azabicyclo[3.3.1]nonan-3-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{{[(1*R*,3*r*,5*S*)-8-azabicyclo[3.2.1]octan-3-yl]amino}-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1*H*-1,2,4-triazol-1-yl)phenol;

5-(imidazo[1,2-*a*]pyrazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(5-methyl-1,3,4-oxadiazol-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[(2,6-dimethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrazin-2-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridazin-3-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-5-yl)phenol;

2-(3-{methyl[(1*R*,3*s*,5*S*)-9-methyl-9-azabicyclo[3.3.1]nonan-3-yl]amino}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

5-(4-methoxy-1,3,5-triazin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1*H*-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1*H*-pyrazol-4-ol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-5-yl)phenol;

5-(imidazo[1,2-*b*]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-fluoro-1*H*-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-methyl-1*H*-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-[3-(2,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

5-(1*H*-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-fluoropyridin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-nitro-2H-1,2,3-triazol-2-yl)phenol;

2-[3-(6-amino-3-azabicyclo[3.1.0]hexan-3-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

5-(1-cyclopropyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-4-yl)phenol;

4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}[1,1'-biphenyl]-3,4'-diol;

5-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridin-2(1H)-one;

6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}quinolin-7-ol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3,4-oxadiazol-2-yl)phenol;

5-(1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol;

2-[3-(2,6-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-[3-(2,6-diazaspiro[3.4]octan-6-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-3-yl)phenol;

5-(5-fluoropyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-[3-(1-methyl-1,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3*S*)-3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(7*S*)-7-amino-5-azaspiro[2.4]heptan-5-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3a*R*,6a*R*)-1-methylhexahydropyrrolo[3,4-*b*]pyrrol-5(1*H*)-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-(2,6-diazaspiro[3.3]heptan-2-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5-methyl-2*H*-tetrazol-2-yl)phenol;

2-(3-{[(2*R*,4*s*,6*S*)-2,6-diethylpiperidin-4-yl]oxy}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2*H*-1,2,3-triazol-2-yl)phenol;

2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}-5-(2*H*-1,2,3-triazol-2-yl)phenol;

5-(6-chloropyridazin-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1*H*-pyrazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

4-fluoro-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-5-yl)phenol;

2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[6-(diethylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

5-(5-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(2-chloropyrimidin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1*H*-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazolo[3,4-*b*]pyridin-5-yl)phenol;

5-(3-chloro-1*H*-1,2,4-triazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridazin-3-ol;

1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1*H*-pyrazole-4-carbonitrile;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[4,3-b]pyridin-5-yl)phenol;

2-{3-[3-(cyclopropylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-imidazole-4-carbonitrile;

1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-imidazol-4-yl]ethan-1-one;

5-(2,8-dimethylimidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(2-methylimidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol;

5-(6-methoxy-1,2,4-triazin-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1,3-benzoxazol-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-3-methylpyrimidin-4(3H)-one;

5-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-2-methylpyridazin-3(2H)-one;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

5-(3-fluoro-1H-pyrazol-4-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(3a*S*,7a*R*)-octahydro-5H-pyrrolo[3,2-*c*]pyridin-5-yl]-1,2,4-triazin-6-yl}phenol;

2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(3-fluoro-1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5-*a*]pyridin-5-yl)phenol;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(3a*S*,7a*R*)-1-methyloctahydro-5H-pyrrolo[3,2-*c*]pyridin-5-yl]-1,2,4-triazin-6-yl}phenol;

2-(3-{3-[(2-methylbutan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-a]pyridin-7-yl)phenol;

5-(4-fluoro-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-(3-{3-[(adamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5H-pyrrolo[2,3-b]pyrazin-2-yl)phenol;

2-(3-{3-[(adamantan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(3,5-dimethyladamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

5-[4-(hydroxymethyl)-1H-pyrazol-1-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[(3aR,4R,6aS)-4-(dimethylamino)hexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

5-(3-methyl-3H-imidazo[4,5-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-imidazo[4,5-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[(3aR,4S,6aS)-4-aminohexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-[3-(5-methyloctahydro-2H-pyrrolo[3,4-c]pyridin-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3aR,4S,6aS)-4-(dimethylamino)hexahydrocyclopenta[c]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

3-amino-6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-4-methylpyridin-2-ol;

5-(2,7-dimethyl[1,3]oxazolo[5,4-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-(3-{3-[(3-methylpentan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[4-(tert-butylamino)-2-methylpyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

5-[4-(hydroxymethyl)-2H-1,2,3-triazol-2-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-3H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol;

5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-b]pyridazin-6-yl)phenol;

5-[6-(hydroxymethyl)pyrimidin-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-[3-(2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-[3-(2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-[3-(2-methyl-2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-[3-(2-methyl-2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-c]pyridin-5-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(quinolin-6-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-imidazol-1-yl)phenol;

5-(2H-1,3-benzodioxol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(3-fluoro-1H-pyrazol-4-yl)-2-(3-{[(3*R*,4*R*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)phenol;

2-(3-{[(2*S*)-2-aminopropyl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

5-(5-fluoro-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

5-[1-(²H₃)methyl-1H-pyrazol-4-yl]-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

2-{3-[(3*aR*,4*R*,6*aS*)-4-(tert-butylamino)hexahydrocyclopenta[*c*]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-*b*]pyrazin-5-yl)phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[4,3-*d*]pyrimidin-5-yl)phenol;

5-(1-methyl-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(4-methyl-1H-imidazol-1-yl)phenol;

5-(1-methyl-1H-pyrazolo[4,3-*b*]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-benzotriazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol;

5-(1-methyl-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol;

2-{3-[4-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5-*a*]pyridin-5-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-indazol-5-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol;

2-{3-[3-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[3-[(propan-2-yl)amino]pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol;

4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1-methylpyridin-2(1H)-one;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol;

6-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-3-methylpyrimidin-4(3H)-one;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(6-methoxypyrimidin-4-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol;

5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol;

5-(1H-imidazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol;

5-(1-methyl-1H-pyrazolo[3,4-c]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-indazol-5-yl)phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-1,2,3-triazol-4-yl)phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-4-yl)phenol;

4-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-1-methylpyridin-2(1H)-one;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(4-methyl-1H-imidazol-1-yl)phenol

5-(4-fluoro-1H-pyrazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol;

5-(4-fluoro-1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol;

5-(1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2H-1,2,3-triazol-2-yl)phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-3-yl)phenol;

6-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-3-methylpyrimidin-4(3H)-one;

5-(5-fluoro-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-imidazol-1-yl)phenol;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(4-methyl-1H-imidazol-1-yl)phenol;

5-(4-fluoro-1H-imidazol-1-yl)-2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)phenol;

4-{3-hydroxy-4-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenyl}-1-methylpyridin-2(1H)-one;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol;

5-(6-methoxypyrimidin-4-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(pyrimidin-2-yl)phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-1,2,3-triazol-4-yl)phenol;

6-[4-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-3-hydroxyphenyl]-3-methylpyrimidin-4(3H)-one;

2-(3-{{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1*H*-1,2,3-triazol-4-yl)phenol;

2-(3-{{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(imidazo[1,2-*a*]pyrazin-6-yl)phenol;

2-(3-{{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(imidazo[1,2-*b*]pyridazin-6-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2*H*-tetrazol-5-yl)phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,3-oxazol-2-yl)phenol;

5-(1-methyl-1*H*-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(6-methoxypyrimidin-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(2*H*-1,2,3-triazol-2-yl)phenol;

5-(imidazo[1,2-*b*]pyridazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol;

5-(imidazo[1,2-*a*]pyrazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-1,2,3-triazol-4-yl)phenol;

5-(2-methyl-2*H*-tetrazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-(3-{{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1*H*-indazol-5-yl)phenol;

2-(3-{{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1*H*-pyrazol-3-yl)phenol;

2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1*H*-pyrazol-3-yl)phenol;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(2*H*-1,2,3-triazol-2-yl)phenol;

5-(6-methoxypyrimidin-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(2-methyl-2H-tetrazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(6-methoxypyrimidin-4-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,2,4-thiadiazol-5-yl)phenol;

5-(1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-methyl-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[3-(tert-butylamino)-4-fluoropyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

5-(pyrimidin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(7-fluoro-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1H-pyrazol-4-yl)-2-[3-(3,3,5,5-tetramethylpiperazin-1-yl)-1,2,4-triazin-6-yl]phenol ;

5-(imidazo[1,2-a]pyrazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol;

5-(1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-(3-{[(3*S*,4*S*)-3-methoxy-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,3-oxazol-2-yl)phenol;

5-(2-methyl-1,3-oxazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(6-methoxypyrimidin-4-yl)phenol;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-tetrazol-5-yl)phenol;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol;

2-(3-{[(3*S*,4*S*)-3-methoxy-2,2,6,6-tetramethylpiperidin-4-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

5-(imidazo[1,2-*b*]pyridazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol;

2-(3-{3-[(2-methylpropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

(3*S*,4*S*)-4-({6-[2-hydroxy-4-(1H-pyrazol-4-yl)phenyl]-1,2,4-triazin-3-yl}amino)-2,2,6,6-tetramethylpiperidin-3-ol;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-1,3-oxazol-5-yl)phenol;

5-(7-fluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(6,7-difluoro-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(6,7-difluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(8-methylimidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(8-methoxyimidazo[1,2-b]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-triazin-6-yl)phenol;

2-{3-[(4a*S*,7a*S*)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

5-(1,3-oxazol-2-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-(3-{[(3*S*)-1-methylpyrrolidin-3-yl]oxy}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(4a*S*,7a*S*)-1-methyloctahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(4a*S*,7a*R*)-4-methylhexahydropyrrolo[3,4-b][1,4]oxazin-6(2H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(oxetan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

5-(1-methyl-1H-pyrazol-4-yl)-2-(3-{3-[(oxetan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

5-(pyridazin-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-[(pyridin-3-yl)amino]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-(3-{3-[(1-fluoro-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(ethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(dimethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

5-(1-methyl-1H-indazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol;

5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-(3-{{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-(3-{{[(3*R*,4*R*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2*H*-1,2,3-triazol-2-yl)phenol;

2-(3-{3-[(3-methyloxetan-3-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

5-(4-methyl-1*H*-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-{3-[(3*aR*,7*aR*)-octahydro-2*H*-pyrrolo[3,4-*c*]pyridin-2-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-(piperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[(3*S*)-3-{{[(2*R*)-1-fluoropropan-2-yl]amino}pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

5-(1-methyl-1*H*-indazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

5-(1*H*-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-{3-[(3*aR*,6*aS*)-hexahydropyrrolo[3,2-*b*]pyrrol-1(2*H*)-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

2-(3-{3-[(2-hydroxyethyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-(8-amino-2-oxa-6-azaspiro[3.4]octan-6-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

2-(3-{3-[(oxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-(3-{3-[(1-methoxypropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-(hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

5-(4-fluoro-1*H*-pyrazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol;

2-[3-(1,7-diazaspiro[4.4]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

2-(3-{3-[(1-methylcyclopropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-(3-{3-[(1-methoxy-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

5-(1-methyl-1H-indazol-5-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

5-(1-methyl-1H-pyrazol-3-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)imidazo[1,2-b]pyridazine-8-carbonitrile;

2-(3-{[(3*R*,4*S*)-3-fluoropiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3*R*,4*R*)-3-methoxy-4-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-(3-{(3*S*)-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{(3*R*)-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(bicyclo[1.1.1]pentan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-1,3-oxazol-5-yl)phenol;

5-[8-(aminomethyl)imidazo[1,2-b]pyridazin-6-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(1,3-oxazol-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-[3-(6-oxa-2,9-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-[3-(2,7-diazaspiro[4.4]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3*S*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3*R*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(cyclopentylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol;

5-(imidazo[1,2-a]pyrazin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

5-(imidazo[1,2-a]pyridin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-[3-(3-[(propan-2-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(4-methyloxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[6-(methylsulfanyl)pyrimidin-4-yl]phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-chloro-1H-pyrazol-4-yl)phenol;

4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1H-pyrazole-3-carbonitrile;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[1-(²H₃)methyl-1H-pyrazol-4-yl]phenol;

3-(1-methyl-1H-pyrazol-3-yl)-6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}benzene-1,2-diol;

2-[3-(2,7-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-[3-(hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(3-hydroxypropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-[3-(3-[(oxan-4-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

5-[1-(²H₃)methyl-1H-pyrazol-4-yl]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-methyl-1H-pyrazol-4-yl)phenol;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-methoxy-5-(1H-pyrazol-4-yl)phenol;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-[1-(²H₃)methyl-1H-pyrazol-4-yl]phenol;

2-{3-[(3*S*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol;

2-{3-[(3*R*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1*H*-pyrazol-4-yl)phenol;

5-[6-(methylamino)pyrimidin-4-yl]-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazolo[3,4-*c*]pyridin-1-yl)phenol;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyridin-4-yl)phenol;

5-(7-fluoro-1*H*-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyridin-3-yl)phenol;

2-{3-[(3*R*,5*S*)-3,5-dimethylpiperazin-1-yl]-1,2,4-triazin-6-yl}-5-(imidazo[1,2-*b*]pyridazin-6-yl)phenol;

2-(3-{3-[(cyclopentylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-[(2,3'-bipyrrolidin)-1'-yl]-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

5-(1*H*-pyrazol-4-yl)-2-{3-[3-({[(pyridin-2-yl)methyl]amino}methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol;

2-[3-(3-amino-3-methylpyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1*H*-pyrazol-4-yl)phenol;

2-(3-{3-[(tert-butylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-[3-(3-{[(4-methyloxan-4-yl)amino]methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

2-(3-{3-[(cyclopropylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyridin-2-yl)phenol;

5-(1-methyl-1*H*-pyrazolo[4,3-*b*]pyridin-5-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

2-[3-(3-{[(oxolan-3-yl)amino]methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol;

5-(1*H*-pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol;

2-{3-[(3*S*)-3-{[(oxan-4-yl)amino]methyl}pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol;

2-{3-[(3*R*)-3-[(oxan-4-yl)amino]methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3*R*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3*S*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(2H-1,2,3-triazol-2-yl)phenol;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyrimidin-2-yl)phenol;

2-[3-(3-[(3-fluorooxan-4-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-[3-(3-[(oxan-3-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-[3-(3-[(8-oxabicyclo[3.2.1]octan-3-yl)amino]methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol;

2-{3-[(3*R*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol;

2-{3-[(3*S*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol;

2-(3-[(1*R*,3*S*,5*S*)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino)-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol;

2-(3-{3-[(3,3-difluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(dimethylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyrimidin-4-yl)phenol;

2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(pyrazin-2-yl)phenol;

2-(3-{3-[(methylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-[3-(3-{1-[(propan-2-yl)amino]ethyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol;

2-(3-{[(1*R*,3*s*,5*S*)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(2H-1,2,3-triazol-2-yl)phenol;

6-{3-[3-(*tert*-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-2-fluoro-3-(1H-pyrazol-4-yl)phenol;

5-(1H-pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-3-yl}phenol;

2-(3-{3-[(3-fluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol;

2-[3-(3-{1-[(oxan-4-yl)amino]cyclopropyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol;

2-(3-{3-methyl-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol;

2-{6-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol; and

5-[1-(²H₃)methyl-1H-pyrazol-4-yl]-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol,

wherein a form of the compound is selected from the group consisting of a salt, hydrate, solvate, racemate, enantiomer, diastereomer, stereoisomer, and tautomer form thereof.

9. The compound of claim 6, wherein the form of the compound is a compound salt or a form thereof selected from the group consisting of:

5-(5-methyl-1,3-oxazol-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrobromide;

5-(6-methoxypyrimidin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-(2-methoxypyridin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-(3-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-(4-methyl-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

- 5-(1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol ditrifluoroacetate;
- 5-(1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 5-[1-(²H₃)methyl-1H-pyrazol-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 6-[2,3-difluoro-4-(1H-pyrazol-4-yl)phenyl]-N-methyl-N-(2,2,6,6-tetramethylpiperidin-4-yl)-1,2,4-triazin-3-amine hydrochloride;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol hydrochloride;
- 5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 5-(3-fluoro-1-methyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;
- 2-{3-[methyl(piperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-{3-[methyl(piperidin-3-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol hydrochloride;
- 2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol hydrobromide;
- 5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1H-1,2,4-triazol-1-yl)phenol hydrochloride;
- 2-{3-[(1-methylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride;
- 5-(4-methoxy-1H-pyrazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 5-(3-chloro-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,3-thiazol-2-yl)phenol hydrochloride;

5-(4-chloro-1H-imidazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridazin-4-yl)phenol dihydrochloride;

5-(6-methylpyridazin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-1,2,4-triazol-3-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol hydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-1,2,4-thiadiazol-5-yl)phenol hydrochloride;

2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)(methyl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-pyrazol-4-yl]ethan-1-one dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-methyl-2H-1,2,3-triazol-2-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyridin-2-yl)phenol formate;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol dihydrochloride;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trihydrochloride;

5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(1-methyl-1H-imidazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-(3-([(1*R*,3*s*,5*S*)-9-methyl-9-azabicyclo[3.3.1]nonan-3-yl]amino)-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)-5-(1*H*-1,2,4-triazol-1-yl)phenol dihydrochloride;

5-(imidazo[1,2-*a*]pyrazin-6-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol hydrochloride;

5-(5-methyl-1,3,4-oxadiazol-2-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol hydrochloride;

2-(3-[(2,6-dimethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)-5-(pyridazin-3-yl)phenol formate;

2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)-5-(pyrimidin-5-yl)phenol formate;

5-(4-methoxy-1,3,5-triazin-2-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol dihydrochloride;

5-(1*H*-imidazol-1-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol dihydrochloride;

1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1*H*-pyrazol-4-ol dihydrochloride;

2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)-5-(1,3-oxazol-5-yl)phenol hydrochloride;

5-(imidazo[1,2-*b*]pyridazin-6-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol hydrochloride;

5-(4-fluoro-1*H*-pyrazol-1-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol dihydrochloride;

5-(4-methyl-1*H*-pyrazol-1-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol dihydrochloride;

2-[3-(2,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

5-(1*H*-indazol-5-yl)-2-(3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(4-nitro-2H-1,2,3-triazol-2-yl)phenol dihydrochloride;

5-(1-cyclopropyl-1H-pyrazol-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(pyrimidin-4-yl)phenol formate;

4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}[1,1'-biphenyl]-3,4'-diol formate;

6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}quinolin-7-ol hydrobromide;

5-(1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol ditrifluoroacetate;

5-(1-methyl-1H-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}phenol trifluoroacetate;

2-[3-(2,6-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(2,6-diazaspiro[3.4]octan-6-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-3-yl)phenol trifluoroacetate;

5-(5-fluoropyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-[3-(1-methyl-1,7-diazaspiro[3.5]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*S*)-3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(7*S*)-7-amino-5-azaspiro[2.4]heptan-5-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(8*aS*)-hexahydropyrrolo[1,2-*a*]pyrazin-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*aR*,6*aR*)-1-methylhexahydropyrrolo[3,4-*b*]pyrrol-5(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(2,6-diazaspiro[3.3]heptan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5-methyl-2H-tetrazol-2-yl)phenol dihydrochloride;

2-(3-[[2*R*,4*s*,6*S*]-2,6-diethylpiperidin-4-yl]oxy)-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol trifluoroacetate;

2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol dihydrochloride;

2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride;

5-(6-chloropyridazin-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(1-methyl-1H-pyrazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

2-{3-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[6-(diethylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol hydrochloride;

5-(5-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(4-methylpyrimidin-2-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(2-chloropyrimidin-4-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-*b*]pyridin-5-yl)phenol formate;

5-(3-chloro-1H-1,2,4-triazol-1-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)pyridazin-3-ol hydrochloride;

1-[1-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-1H-imidazol-4-yl]ethan-1-one dihydrochloride;

5-(2,8-dimethylimidazo[1,2-*b*]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

5-(2-methylimidazo[1,2-*b*]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol dihydrochloride;

6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-3-methylpyrimidin-4(3H)-one dihydrochloride;

5-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-2-methylpyridazin-3(2H)-one dihydrochloride;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol hydrochloride;

2-[3-(2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(3-fluoro-1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5-a]pyridin-5-yl)phenol dihydrochloride;

5-(3-fluoro-1H-pyrazol-4-yl)-2-{3-[(3*aS*,7*aR*)-1-methyloctahydro-5H-pyrrolo[3,2-*c*]pyridin-5-yl]-1,2,4-triazin-6-yl}phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-*a*]pyridin-7-yl)phenol dihydrochloride;

5-(4-fluoro-1H-pyrazol-3-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trifluoroacetate;

2-(3-{3-[(adamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol ditrifluoroacetate;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(5H-pyrrolo[2,3-*b*]pyrazin-2-yl)phenol formate;

2-(3-{3-[(adamantan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol ditrifluoroacetate;

2-(3-{3-[(3,5-dimethyladamantan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol ditrifluoroacetate;

5-[4-(hydroxymethyl)-1H-pyrazol-1-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-{3-[(3*aR*,4*R*,6*aS*)-4-(dimethylamino)hexahydrocyclopenta[*c*]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

5-(1-methyl-1H-imidazo[4,5-*b*]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-{3-[(3*aR*,4*S*,6*aS*)-4-aminohexahydrocyclopenta[*c*]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

- 2-[3-(5-methyloctahydro-2H-pyrrolo[3,4-c]pyridin-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-{3-[(3*aR*,4*S*,6*aS*)-4-(dimethylamino)hexahydrocyclopenta[*c*]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 3-amino-6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)-4-methylpyridin-2-ol dihydrochloride;
- 5-(2,7-dimethyl[1,3]oxazolo[5,4-*b*]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol diformate;
- 5-[4-(hydroxymethyl)-2H-1,2,3-triazol-2-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(3-methyl-3H-[1,2,3]triazolo[4,5-*b*]pyridin-5-yl)phenol hydrochloride;
- 2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol hydrochloride;
- 2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol trifluoroacetate;
- 5-(1-methyl-1H-pyrazolo[3,4-*b*]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 5-(1-methyl-1H-indazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(tetrazolo[1,5-*b*]pyridazin-6-yl)phenol dihydrochloride;
- 5-[6-(hydroxymethyl)pyrimidin-4-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;
- 2-[3-(2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-[3-(2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-[3-(2-methyl-2,9-diazaspiro[5.5]undecan-9-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-[3-(2-methyl-2,8-diazaspiro[4.5]decan-8-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-*c*]pyridin-5-yl)phenol formate;

- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(quinolin-6-yl)phenol trifluoroacetate;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol hydrochloride;
- 2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-imidazol-1-yl)phenol ditrifluoroacetate;
- 5-(2H-1,3-benzodioxol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 5-(3-fluoro-1H-pyrazol-4-yl)-2-(3-[(3*R*,4*R*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino)-1,2,4-triazin-6-yl}phenol dihydrochloride;
- 2-(3-[(2*S*)-2-aminopropyl](methyl)amino)-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 5-(5-fluoro-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride;
- 2-{3-[(3*aR*,4*R*,6*aS*)-4-(tert-butylamino)hexahydrocyclopenta[*c*]pyrrol-2(1H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-*b*]pyrazin-5-yl)phenol formate;
- 2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[4,3-*d*]pyrimidin-5-yl)phenol formate;
- 5-(1-methyl-1H-pyrazol-4-yl)-2-{6-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride;
- 2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(4-methyl-1H-imidazol-1-yl)phenol ditrifluoroacetate;
- 5-(1-methyl-1H-pyrazolo[4,3-*b*]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 5-(1-methyl-1H-pyrazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;
- 5-(1-methyl-1H-benzotriazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;
- 2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride;
- 5-(1-methyl-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride;

2-{3-[4-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trifluoroacetate;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-([1,2,3]triazolo[1,5-a]pyridin-5-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-indazol-5-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)piperidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trifluoroacetate;

5-(1-methyl-1H-pyrazol-3-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1-methylpyridin-2(1H)-one hydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-[1,2,3]triazolo[4,5-b]pyridin-5-yl)phenol hydrochloride;

6-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-3-methylpyrimidin-4(3H)-one hydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(6-methoxypyrimidin-4-yl)phenol ditrifluoroacetate;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol trihydrochloride;

5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol trihydrochloride;

5-(1H-imidazol-1-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol trihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol dihydrochloride;

5-(1-methyl-1H-pyrazolo[3,4-c]pyridin-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-indazol-5-yl)phenol trifluoroacetate;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol trifluoroacetate;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-4-yl)phenol trifluoroacetate;

4-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-1-methylpyridin-2(1H)-one hydrochloride;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(4-methyl-1H-imidazol-1-yl)phenol hydrochloride;

5-(4-fluoro-1H-pyrazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride;

5-(4-fluoro-1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride;

5-(1H-imidazol-1-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-3-yl)phenol hydrochloride;

6-[3-hydroxy-4-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenyl]-3-methylpyrimidin-4(3H)-one hydrochloride;

5-(5-fluoro-1H-pyrazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol hydrochloride;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-imidazol-1-yl)phenol dihydrochloride;

2-(3-{{(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl}amino}-1,2,4-triazin-6-yl)-5-(4-methyl-1*H*-imidazol-1-yl)phenol dihydrochloride;

5-(4-fluoro-1*H*-imidazol-1-yl)-2-(3-{{(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl}amino}-1,2,4-triazin-6-yl)phenol dihydrochloride;

4-{3-hydroxy-4-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenyl}-1-methylpyridin-2(1*H*)-one hydrochloride;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2*H*-1,2,3-triazol-4-yl)phenol trifluoroacetate;

5-(6-methoxypyrimidin-4-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol ditrifluoroacetate;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(pyrimidin-2-yl)phenol trifluoroacetate;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1*H*-1,2,3-triazol-4-yl)phenol trifluoroacetate;

6-[4-(3-{{(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl}amino}-1,2,4-triazin-6-yl)-3-hydroxyphenyl]-3-methylpyrimidin-4(3*H*)-one dihydrochloride;

2-(3-{{(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl}amino}-1,2,4-triazin-6-yl)-5-(1*H*-1,2,3-triazol-4-yl)phenol dihydrochloride;

2-(3-{{(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl}amino}-1,2,4-triazin-6-yl)-5-(imidazo[1,2-*b*]pyridazin-6-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol hydrochloride;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-2*H*-tetrazol-5-yl)phenol trifluoroacetate;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,3-oxazol-2-yl)phenol trifluoroacetate;

5-(1-methyl-1*H*-pyrazol-3-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-(6-methoxypyrimidin-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-(imidazo[1,2-*b*]pyridazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol trifluoroacetate;

5-(imidazo[1,2-*a*]pyrazin-6-yl)-2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]phenol hydrochloride;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-1,2,3-triazol-4-yl)phenol difluoroacetate;

5-(2-methyl-2H-tetrazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol trifluoroacetate;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-indazol-5-yl)phenol dihydrochloride

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-3-yl)phenol dihydrochloride;

2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(3,5-dimethylpiperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1-methyl-1H-pyrazol-3-yl)phenol hydrochloride;

2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride;

5-(6-methoxypyrimidin-4-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

5-(2-methyl-2H-1,2,3-triazol-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

5-(2-methyl-2H-tetrazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol dihydrochloride;

5-(6-methoxypyrimidin-4-yl)-2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol difluoroacetate;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,2,4-thiadiazol-5-yl)phenol dihydrochloride;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(pyrimidin-2-yl)phenol dihydrochloride;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol dihydrochloride;

2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1,2,4-thiadiazol-5-yl)phenol trifluoroacetate;

5-(1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(4-methyl-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(4-fluoro-1H-imidazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(4-fluoro-1H-pyrazol-1-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(2-methyl-1,3-oxazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-{3-[3-(tert-butylamino)-4-fluoropyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol hydrochloride;

5-(pyrimidin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-(1H-pyrazol-4-yl)-2-[3-(3,3,5,5-tetramethylpiperazin-1-yl)-1,2,4-triazin-6-yl]phenol dihydrochloride;

5-(imidazo[1,2-a]pyrazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)phenol dihydrochloride;

5-(1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol trifluoroacetate;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,3-oxazol-2-yl)phenol trifluoroacetate;

5-(2-methyl-1,3-oxazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(6-methoxypyrimidin-4-yl)phenol trifluoroacetate;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(2-methyl-2H-tetrazol-5-yl)phenol trifluoroacetate;

2-(3-{[(3S,4S)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1,2,4-thiadiazol-5-yl)phenol trifluoroacetate;

2-(3-{[(3S,4S)-3-methoxy-2,2,6,6-tetramethylpiperidin-4-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

5-(imidazo[1,2-b]pyridazin-6-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

- 2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-1,2,3-triazol-4-yl)phenol dihydrochloride;
- 2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-3-yl)phenol dihydrochloride;
- 2-(3-{3-[(2-methylpropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- (3*S*,4*S*)-4-({6-[2-hydroxy-4-(1H-pyrazol-4-yl)phenyl]-1,2,4-triazin-3-yl}amino)-2,2,6,6-tetramethylpiperidin-3-ol dihydrochloride;
- 2-[3-(7-methyl-2,7-diazaspiro[3.5]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(2-methyl-1,3-oxazol-5-yl)phenol trifluoroacetate;
- 5-(7-fluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 5-(6,7-difluoro-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 5-(6,7-difluoro-1-methyl-1H-benzimidazol-5-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 5-(8-methylimidazo[1,2-*b*]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 5-(8-methoxyimidazo[1,2-*b*]pyridazin-6-yl)-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;
- 2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1,2,4-triazin-6-yl)phenol trifluoroacetate;
- 2-{3-[(4*aS*,7*aS*)-octahydro-6H-pyrrolo[3,4-*b*]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;
- 5-(1-methyl-1H-pyrazolo[3,4-*b*]pyridin-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;
- 5-(1,3-oxazol-2-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;
- 2-(3-{[(3*S*)-1-methylpyrrolidin-3-yl]oxy}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol hydrochloride;
- 2-{3-[(4*aS*,7*aS*)-1-methyloctahydro-6H-pyrrolo[3,4-*b*]pyridin-6-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride;
- 2-{3-[(4*aS*,7*aR*)-4-methylhexahydropyrrolo[3,4-*b*][1,4]oxazin-6(2H)-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride;

5-(pyridazin-4-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-[(pyridin-3-yl)amino]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

2-(3-{3-[(1-fluoro-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol hydrochloride;

2-{3-[3-(ethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[3-(dimethylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydroiodide;

5-(1-methyl-1H-indazol-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trihydrochloride;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-2H-tetrazol-5-yl)phenol trihydrochloride;

5-(1-methyl-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol trihydrochloride;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{[(3*R*,4*R*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[3-(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(2H-1,2,3-triazol-2-yl)phenol dihydrochloride;

5-(4-methyl-1H-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

2-{3-[(3*aR*,7*aR*)-octahydro-2H-pyrrolo[3,4-*c*]pyridin-2-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol trihydrochloride;

2-[3-(piperazin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*S*)-3-{[(2*R*)-1-fluoropropan-2-yl]amino}pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

5-(1-methyl-1H-indazol-5-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

5-(1H-imidazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

2-{3-[(3*aR*,6*aS*)-hexahydropyrrolo[3,2-*b*]pyrrol-1(2*H*)-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(2-hydroxyethyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(oxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(1-methoxypropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(hexahydropyrrolo[3,4-*c*]pyrrol-2(1*H*)-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

5-(4-fluoro-1*H*-pyrazol-1-yl)-2-(3-{3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)phenol hydrochloride;

2-[3-(1,7-diazaspiro[4.4]nonan-7-yl)-1,2,4-triazin-6-yl]-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(1-methylcyclopropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(1-methoxy-2-methylpropan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

6-(3-hydroxy-4-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenyl)imidazo[1,2-*b*]pyridazine-8-carbonitrile formate;

2-(3-{[(3*R*,4*S*)-3-fluoropiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*R*,4*R*)-3-methoxy-4-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1*H*-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{(3*S*)-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol trifluoroacetate;

2-(3-{(3*R*)-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol trifluoroacetate;

2-(3-{3-[(bicyclo[1.1.1]pentan-1-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1*H*-pyrazol-4-yl)phenol trifluoroacetate;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(2-methyl-1,3-oxazol-5-yl)phenol dihydrochloride;

5-[8-(aminomethyl)imidazo[1,2-*b*]pyridazin-6-yl]-2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

5-(1,3-oxazol-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol formate;

2-[3-(6-oxa-2,9-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(2,7-diazaspiro[4.4]nonan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*S*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*R*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[3-(cyclopentylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1,3-oxazol-2-yl)phenol dihydrochloride;

5-(imidazo[1,2-*a*]pyrazin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

5-(imidazo[1,2-*a*]pyridin-2-yl)-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-[3-(3-{[(propan-2-yl)amino]methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(4-methyloxan-4-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol difluoroacetate;

2-{6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[3-(methylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[6-(methylsulfanyl)pyrimidin-4-yl]phenol trihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-chloro-1H-pyrazol-4-yl)phenol dihydrochloride;

4-(4-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-hydroxyphenyl)-1H-pyrazole-3-carbonitrile dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-[1-(²H₃)methyl-1H-pyrazol-4-yl]phenol hydrochloride;

3-(1-methyl-1H-pyrazol-3-yl)-6-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}benzene-1,2-diol trifluoroacetate;

2-[3-(2,7-diazaspiro[4.5]decan-2-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(hexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(3-hydroxypropyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(3-{[(oxan-4-yl)amino]methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol difluoroacetate;

5-[1-(²H₃)methyl-1H-pyrazol-4-yl]-2-{3-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}phenol hydrochloride;

2-{6-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-3-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-methyl-1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-3-methoxy-5-(1H-pyrazol-4-yl)phenol trifluoroacetate;

2-(3-{[(3*S*,4*S*)-3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl]amino}-1,2,4-triazin-6-yl)-5-[1-(²H₃)methyl-1H-pyrazol-4-yl]phenol hydrochloride;

2-{3-[(3*S*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*R*)-3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(3-fluoro-1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[methyl(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-6-yl}-5-(1H-pyrazolo[3,4-*c*]pyridin-1-yl)phenol formate;

2-{3-[(3*R*,5*S*)-3,5-dimethylpiperazin-1-yl]-1,2,4-triazin-6-yl}-5-(imidazo[1,2-*b*]pyridazin-6-yl)phenol trifluoroacetate;

2-(3-{3-[(cyclopentylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-[(2,3'-bipyrrrolidin)-1'-yl]-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

5-(1H-pyrazol-4-yl)-2-{3-[3-({[(pyridin-2-yl)methyl]amino}methyl)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}phenol difluoroacetate;

2-(3-{3-[(tert-butylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(3-{{(4-methyloxan-4-yl)amino}methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol trifluoroacetate;

2-(3-{3-[(cyclopropylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol formate;

2-[3-(3-{{(oxolan-3-yl)amino}methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride;

5-(1H-pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride;

2-{3-[(3*R*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{3-[(3*S*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(2H-1,2,3-triazol-2-yl)phenol hydrochloride;

2-[3-(3-{{(3-fluorooxan-4-yl)amino}methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride;

2-[3-(3-{{(oxan-3-yl)amino}methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride;

2-[3-(3-{{(8-oxabicyclo[3.2.1]octan-3-yl)amino}methyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol hydrochloride;

2-{3-[(3*R*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride;

2-{3-[(3*S*)-3-(cyclobutylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride;

2-(3-{{[(1*R*,3*S*,5*S*)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl]}(methyl)amino}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol trifluoroacetate;

2-(3-{3-[(3,3-difluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(dimethylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(methylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(3-{1-[(propan-2-yl)amino]ethyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-[(cyclobutylamino)methyl]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol hydrochloride;

2-(3-{[(1*R*,3*s*,5*S*)-1,5-dimethyl-8-azabicyclo[3.2.1]octan-3-yl](methyl)amino}-1,2,4-triazin-6-yl)-5-(2H-1,2,3-triazol-2-yl)phenol trifluoroacetate;

6-{3-[3-(tert-butylamino)pyrrolidin-1-yl]-1,2,4-triazin-6-yl}-2-fluoro-3-(1H-pyrazol-4-yl)phenol dihydrochloride;

5-(1H-pyrazol-4-yl)-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)oxy]-1,2,4-triazin-3-yl}phenol hydrochloride;

2-(3-{3-[(3-fluorocyclopentyl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-[3-(3-{1-[(oxan-4-yl)amino]cyclopropyl}pyrrolidin-1-yl)-1,2,4-triazin-6-yl]-5-(1H-pyrazol-4-yl)phenol dihydrochloride;

2-(3-{3-methyl-3-[(propan-2-yl)amino]pyrrolidin-1-yl}-1,2,4-triazin-6-yl)-5-(1-methyl-1H-pyrazol-4-yl)phenol trifluoroacetate;

2-{6-[(3-fluoro-2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}-5-(1H-pyrazol-4-yl)phenol hydrochloride; and

5-[1-(²H₃)methyl-1H-pyrazol-4-yl]-2-{6-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,2,4-triazin-3-yl}phenol hydrochloride,

wherein a form of the compound is selected from the group consisting of a salt, hydrate, solvate, racemate, enantiomer, diastereomer, stereoisomer, and tautomer form thereof.

10. A method for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of any of claims 1, 8, or 9.
11. The method of claim 8, wherein the effective amount of the compound is in a range of from about 0.001 mg/kg/day to about 500 mg/kg/day.
12. A use for the compound of any of claims 1, 8, or 9 for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound.

13. The use of claim 12, wherein the effective amount of the compound is in a range of from about 0.001 mg/kg/day to about 500 mg/kg/day.
14. A use for the compound of any of claims 1, 8, or 9 in the manufacture of a medicament for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the medicament.
15. The use of claim 14, wherein the effective amount of the compound in the medicament is in a range of from about 0.001 mg/kg/day to about 500 mg/kg/day.
16. A use for the compound of any of claims 1, 8, or 9 in the preparation of a pharmaceutical composition for treating or ameliorating HD in a subject in need thereof comprising, administering to the subject an effective amount of the compound of Formula (I) or Formula (II) or a form thereof in admixture with one or more of the pharmaceutically acceptable excipients.
17. The use of claim 16, wherein the effective amount of the compound in the pharmaceutical composition is in a range of from about 0.001 mg/kg/day to about 500 mg/kg/day.
18. A pharmaceutical composition comprising the compound of any of claims 1, 8, or 9 in admixture with one or more pharmaceutically acceptable excipients.