SUBSTITUED BICYCLIC HETEROCYCLIC YAP-TEAD AND/OR TAZ-TEAD INHIBITORS

Field of the invention

The present invention relates to bicyclic compound. These bicyclic compounds are useful as TEAD binders and/or inhibitors of YAP-TEAD and TAZ-TEAD protein-protein interaction or binding and for the prevention and/or treatment of cancer and other severe disorders and diseases.

Background of the invention

In recent years the Hippo pathway has become a target of interest for the treatment of hyperproliferative disorders and diseases, in particular cancer (S. A. Smith et al., J. Med. Chem. 2019, 62, 1291-1305; K. C. Lin et al., Annu. Rev. Cancer Biol. 2018, 2: 59-79; C.-L. Kim et al., Cells (2019), 8, 468; K. F. Harvey et al., Nature Reviews Cancer, Vol. 13, 246–257 (2013)). The Hippo pathway regulates cell growth, proliferation, and migration. It is assumed that in mammals the Hippo pathway acts as a tumor suppressor, and dysfunction of Hippo signaling is frequently observed in human cancers.

Furthermore, as the Hippo pathway plays a role in several biological processes – like in self-renewal and differentiation of stem cells and progenitor cells, wound healing and tissue regeneration, interaction with other signaling pathways such as Wnt – its dysfunction may also play a role in human diseases other than cancer (C.-L. Kim et al., Cells (2019), 8, 468; Y. Xiao et al., Genes & Development (2019) 33: 1491-1505; K. F. Harvey et al., Nature Reviews Cancer, Vol. 13, 246–257 (2013)).

While several aspects of the pathway activity and regulation are still subject to further research, it is already established that in its “switched-on”-state the Hippo pathway involves a cascade of kinases (including Mst 1/2 and Lats 1/2) in the cytoplasm which results in the phosphorylation of two transcriptional co-activators, YAP (Yes-associated protein) and TAZ (Transcription co-activator with PDZ binding motif). Phosphorylation of YAP/TAZ leads to their sequestration in the cytoplasm and eventually to their degradation. In

contrast, when the Hippo pathway is “switched-off” or dysfunctions, the non-phosphorylated, activated YAP/TAZ co-activators are translocated into the cell nucleus. Their major target transcription factors are the four proteins of the Transcriptional enhanced associate domain (TEAD) transcription factor family (TEAD1-4). Binding of YAP or TAZ to and activation of TEAD (or other transcription factors) have shown to induce the expression of several genes many of which mediate cell survival and proliferation. Thus, activated, non-phosphorylated YAP and TAZ may act as oncogenes, while the activated, switched-on Hippo pathway may act as a tumor suppressor by deactivating, i.e. phosphorylating YAP and TAZ.

Furthermore, the Hippo pathway may also play a role in resistance mechanisms of cancer cells to oncology and immune-oncology therapy (R. Reggiani et al., BBA – Reviews on Cancer 1873 (2020) 188341, 1-11).

Recently, small molecule inhibtors have been described as pan-TEAD inhibitors, i.e., as compounds which bind not only to one of the TEAD family members but to more than one and in particular to all four human TEAD paralogs and thereby block YAP/TAZ binding (T.J. Hagenbeek, et al., Nature Cancer, 4, 812-828 (2023); WO 2021/108483 A1).

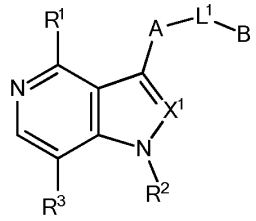
Consequently, the dysfunction or aberrant regulation of the Hippo pathway as a tumor suppressor is believed to be an important event in the development of a wide variety of cancer types and diseases.

Therefore, inhibition of YAP, TAZ, TEAD, and YAP-TEAD or TAZ-TEAD protein-protein interaction by pharmacological intervention appears to be a reasonable and valuable strategy to prevent and/or treat cancer and other hyperproliferative disorders and diseases associated with the dysfunction of the Hippo pathway. It may further be useful to inhibit the binding not only to one family member of TEAD, but even to two, three and/or all four TEAD paralogs.

Description of the invention

The present invention provides compounds that may be useful in the prevention and/or treatment of medical conditions, disorders and/or diseases, in particular of hyperproliferative disorders or diseases, which compounds are TEAD binders and/or inhibitors of YAP-TEAD or TAZ-TEAD protein-protein interaction. Some of the compounds of the present invention may be useful for making other compounds of the present invention.

The present invention refers in one embodiment to a heteroaromatic compound of formula I



I

wherein

X^1 denotes N or CR^{X1};

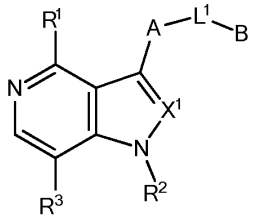
R^{X1} denotes H, halogen, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen, and/or OH;

R^1 denotes halogen, $-NH_2$, -CN, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen;

R^2 denotes H, Alk^2, Ar^2, $Hetar^2$, Cyc^2, $Hetcyc^2$, $-L^2-Ar^{2a}$, $-S(=O)_2R^f$;

R^3 denotes H, -CN, $-C(=O)-NH_2$ or halogen;

A denotes 1,3-phenylen or a monocyclic divalent heteroaryl with 5 or 6 rings atoms, wherein 1, 2, or 3 of said ring atoms are heteroatoms(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that 1,3-phenylen or monocyclic heteroaryl bears the bicyclic



ring system of the compound of formula I in 1-positon and that L^1-B radical of the compound of formula I in 3-position relative to that bicyclic ring system, wherein each of that 1,3-phenylen or monocyclic hetereoaryl may further be unsubstituted or mono- or disubstituted with independently from each other halogen, straight-chain or branched C_{1-4}-alkyl, OC_{1-4}-alkyl, SC_{1-4}-alkyl, C_{3-7}-cycloalkyl, OC_{3-7}-cycloalkyl or SC_{3-7}-cycloalkyl, which C_{1-4}-alkyl, OC_{1-4}-alkyl, SC_{1-4}-alkyl, C_{3-7}-cycloalkyl, OC_{3-7}-cycloalkyl or SC_{3-7}-cycloalkyl is unsubstituted or substituted with 1, 2, or 3 halogen;

B denotes Ar^1, $Hetar^1$, Cyc^1, $Hetcyc^1$;

L^1 denotes -O-, -S-, -N(R^4)-, -O-CH_2-, -O-CH(R^5)-, -O-SO_2-, -N(R^6)-CH_2-, -N(R^6)-C(=O)-, -CH_2-, -CH(R^7)-, -CH_2CH_2-, -CH_2-O-;

R^4 denotes H, straight-chain or branched C_{1-6}-alkyl;

R^5, R^6, R^7 denote independently from each other straight-chain or branched C_{1-6}-alkyl;

L^2 denotes a divalent -S(=O)$_2$- group;

Alk^2 denotes straight-chain or branched C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1}, R^{2a2} and/or R^{2a3};

Ar^1 denotes a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

Ar^a, Ar^2, Ar^{2a} denote independently from each other a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl may be unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

$Hetar^1$ denotes a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

$Hetar^a$, $Hetar^2$, $Hetar^{2a}$ denote independently from each other a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

Cyc^1 denotes a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle may be un-substituted or substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

Cyc^a, Cyc^2, Cyc^{2a} denote independently from each other a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

$Hetcyc^1$ denotes a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

$Hetcyc^a$, $Hetcyc^2$, $Hetcyc^{2a}$ denote independently from each other a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or

substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^{2a1}, R^{2a2}, R^{2a3} denote independently from each other halogen, $-CF_3$, -CN, $-NH_2$, $-NHR^a$, $-NR^aR^b$, -OH, $-OR^c$, $-P(=O)R^dR^e$, -SH, $-SR^f$, $-S(=O)R^f$, $-S(=O)_2R^f$, $-S(=O)(=NR^g)R^f$, $-N=S(=O)R^fR^h$, $-C(=O)NH_2$, $-C(=O)NHR^a$, $-C(=O)NR^aR^b$, -C(=O)OH, $-C(=O)OR^c$, $-NH-C(=O)-R^i$, Cyc^{2a}, $Hetar^{2a}$, $Hetcyc^{2a}$;

and/or

two of R^{2a1}, R^{2a2}, R^{2a3} which are attached to the same carbon atom form together a divalent oxo (=O) group;

R^a, R^b denote independently from each other straight-chain or branched C_{1-6}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen; Ar^a, Cyc^a, $Hetar^a$, $Hetcyc^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated, partially unsaturated or aromatic heterocycle with 3, 4, 5, 6, 7 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N, O or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^c denotes straight-chain or branched C_{1-4}-alkyl, C_{2-4}-alkenyl or C_{2-4}-alkinyl, each of which may be unsubstituted or substituted with -OH; C_{3-7}-cycloalkyl which may be unsubstituted or substituted with -OH and/or halogen;

R^d, R^e denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^f, R^h denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^g denotes H, straight-chain or branched C_{1-6}-alkyl;

R^i denotes H, straight-chain or branched C_{1-6}-alkyl;

R^{B1}, R^{B2}, R^{B3}, R^{B4}, R^{B5} denote independently from each other halogen; -OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} denote independently from each other halogen; OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which is unsubstituted or substituted with 1 or 2 OH and/or 1, 2, or 3 halogen;

and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same carbon atom of said carbocycle or said heterocycle form a divalent oxo (=O) group;

and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur (S) atom of said heterocycle form a divalent oxo (=O) group while at the same time two further of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur atom form either a divalent oxo group or a divalent =N-H or =N-C_{1-4}-alkyl group, thereby forming an -S(=O)$_2$, -S(=O)(=NH), or -S(=O)(=N-C_{1-4}-alkyl) moiety;

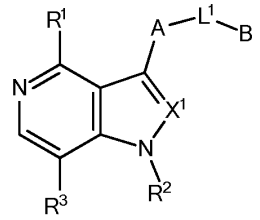
R^{C1}, R^{C2}, R^{C3} denote independently from each other halogen; C_{1-4}-alkyl, -SC_{1-4}-alkyl or -OC_{1-4}-alkyl, each of which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11} denote independently from each other halogen; C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen; -O-C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen;

halogen denotes F, Cl, Br, I;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

The present invention refers in another embodiment to a heteroaromatic compound of formula I



I

wherein

X^1 denotes N or CR^{X1};

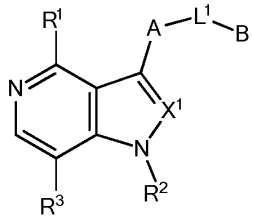
R^{X1} denotes H, halogen, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen, and/or OH;

R^1 denotes halogen, $-NH_2$, -CN, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen;

R^2 denotes H, Alk^2, Ar^2, $Hetar^2$, Cyc^2, $Hetcyc^2$, $-L^2-Ar^{2a}$;

R^3 denotes H, -CN or halogen;

A denotes 1,3-phenylen or a monocyclic divalent heteroaryl with 5 or 6 rings atoms, wherein 1, 2, or 3 of said ring atoms are heteroatoms(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that monocyclic heteroaryl bears the bicyclic ring system of the



compound of formula I in 1- positon and that L^1-B radical of the compound of formula I in 3-position relative to that bicyclic ring system, wherein each of that 1,3-phenylen or monocyclic hetereoaryl may further be unsubstituted or mono- or disubstituted with independently from each other halogen, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 halogen;

B denotes Ar^1, $Hetar^1$, Cyc^1, $Hetcyc^1$;

L^1 denotes -O-, $-N(R^4)-$, $-O-CH_2-$, $-O-CH(R^5)-$, $-O-SO_2-$, $-N(R^6)-CH_2-$, $-N(R^6)-C(=O)-$, $-CH_2-$, $-CH(R^7)-$, $-CH_2CH_2-$, $-CH_2-O-$;

R^4 denotes H, straight-chain or branched C_{1-6}-alkyl;

R^5, R^6, R^7 denote independently from each other straight-chain or branched C_{1-6}-alkyl;

L^2 denotes a divalent $-S(=O)_2-$ group;

Alk^2 denotes straight-chain or branched C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1}, R^{2a2} and/or R^{2a3};

Ar^1 denotes a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

Ar^a, Ar^2, Ar^{2a} denote independently from each other a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl may be unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

$Hetar^1$ denotes a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12, ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

$Hetar^a$, $Hetar^2$, $Hetar^{2a}$ denote independently from each other a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12, ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

Cyc^1 denotes a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle may be un-substituted or substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

Cyc^2 denotes a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

$Hetcyc^1$ denotes a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

$Hetcyc^a$, $Hetcyc^2$, $Hetcyc^{2a}$ denote independently from each other a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^{2a1}, R^{2a2}, R^{2a3} denote independently from each other halogen, -CN, $-NH_2$, $-NHR^a$, $-NR^aR^b$, -OH, $-OR^c$, $-P(=O)R^dR^e$, -SH, $-SR^f$, $-S(=O)R^f$, $-S(=O)_2R^f$, $-S(=O)(=NR^g)R^f$, $-N=S(=O)R^fR^h$, $-C(=O)NH_2$, $-C(=O)NHR^a$, $-C(=O)NR^aR^b$, -C(=O)OH, $-C(=O)OR^c$, $-NH-C(=O)-R^i$, $Hetar^{2a}$, $Hetcyc^{2a}$;

R^a, R^b denote independently from each other straight-chain or branched C_{1-6}-alkyl, Ar^a, $Hetar^a$, $Hetcyc^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated, partially unsaturated or aromatic heterocycle with 3, 4, 5, 6, 7 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N, O or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^c denotes straight-chain or branched C_{1-4}-alkyl, C_{2-4}-alkenyl or C_{2-4}-alkinyl, each of which may be unsubstituted or substituted with -OH; C_{3-7}-cycloalkyl which may be unsubstituted or substituted with -OH and/or halogen;

R^d, R^e denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^f, R^h denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^g denotes H, straight-chain or branched C_{1-6}-alkyl;

R^i denotes H, straight-chain or branched C_{1-6}-alkyl;

R^{B1}, R^{B2}, R^{B3}, R^{B4}, R^{B5} denote independently from each other halogen; -OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} denote independently from each other halogen; OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which is unsubstituted or substituted with 1 or 2 OH and/or 1, 2, or 3 halogen; and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same carbon atom of said carbocycle or said heterocycle form a divalent oxo (=O) group; and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur (S) atom of said heterocycle form a divalent oxo (=O) group while at the same time two further of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur atom form either a divalent oxo group or a divalent =N-H or =N-C_{1-4}-alkyl group, thereby forming an -$S(=O)_2$, -S(=O)(=NH), or -S(=O)(=N-C_{1-4}-alkyl) moiety;

R^{C1}, R^{C2}, R^{C3} denote independently from each other halogen; C_{1-4}-alkyl or -OC_{1-4}-alkyl, each of which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11} denote independently from each other halogen; C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen; -O-

C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen;

halogen denotes F, Cl, Br, I;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

In general, all residues, radicals, substituents, groups, moieties, etc. which occur more than once may be identical or different, i.e. are independent of one another. Above and below, the residues and parameters have the meanings indicated for formula I, unless expressly indicated otherwise. Accordingly, the invention relates, in particular, to the compounds of formula I in which at least one of the said residues, radicals, substituents has one of the preferred meanings indicated below.

Any of those particular or even preferred embodiments of the present invention as specified below and in the claims do not only refer to the specified compounds of formula I but to N-oxides, solvates, tautomers or stereoisomers thereof as well as the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, too, unless indicated otherwise.

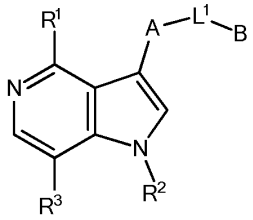
In a particular embodiment, PE1, the compound of the present invention is a bicyclic compound of formula I, wherein

X^1 denotes N or CR^{X1};

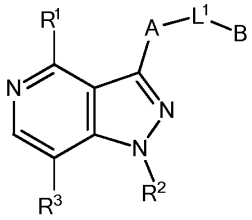
R^{X1} denotes H;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In other words, compounds of PE1 are compounds of formula I-A or I-B:



I-A



I-B.

In another particular embodiment, PE1a, of PE1, the compound of the present invention is a bicyclic compound of formula I, wherein

X^1 denotes CH;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below. PE1a may also be described as a compound of formula I-A (see above).

In still another particular embodiment, PE1b, of PE1, the compound of the present invention is a bicyclic compound of formula I, wherein

X^1 denotes N;

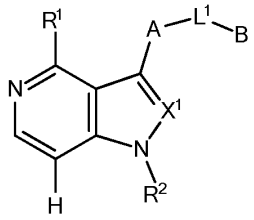
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below. PE1b may also be described as a compound of formula I-B (see above).

In a further particular embodiment of the present invention, PE2, the compound of the present invention is a bicyclic compound of formula I, wherein

R^1 denotes Cl, -CN or $-CF_3$; and

R^3 denotes H;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below. PE2 may also be described as a compound of formula I-C



I-C

wherein

R^1 denotes Cl, -CN or $-CF_3$; and

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In another particular embodiment, PE2a, of PE2 the compound of the present invention is a bicyclic compound of formula I – or formula I-C –, wherein

R^1 denotes Cl or $-CF_3$; and

R^3 denotes H;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In another particular embodiment of the present invention, PE3, which may also be described as a particular embodiment of either PE1a or PE2, the compound of the present invention is a bicyclic compound of formula I wherein

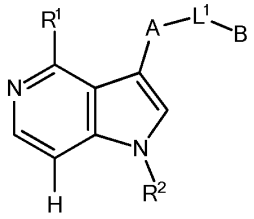
R^1 denotes Cl, -CN or $-CF_3$; and

R^3 denotes H;

X^1 denotes CH;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or

below. Alternatively, this particular embodiment PE3 can also be described as a compound of formula I-AC:



I-AC

wherein

R^1 denotes Cl, -CN or $-CF_3$; and in particular Cl or $-CF_3$ (PE3a);

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below. Embodiments PE3 and PE3a are preferred embodiments of the invention.

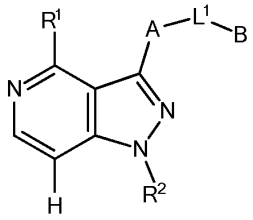
In another particular embodiment of the present invention, PE3-0, which may also be described as a particular embodiment of either PE1b or PE2, the compound of the present invention is a bicyclic compound of formula I wherein

R^1 denotes Cl, -CN or $-CF_3$; and

R^3 denotes H;

X^1 denotes N;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below. Alternatively, this particular embodiment PE3-0 can also be described as a compound of formula I-BC:



I-BC

wherein

R^1 denotes Cl, -CN or $-CF_3$; and in particular Cl or $-CF_3$ (PE3-0a);

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still another particular embodiment of the present invention, PE4, the compound of the present invention is a bicyclic compound of formula I, wherein

R^1 denotes Cl or $-CF_3$; and

R^3 denotes H;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In another particular embodiment of the present invention, PE4-0, the compound of the present invention is a bicyclic compound of formula I, wherein

R^1 denotes $-CH_3$; and

R^3 denotes F or -CN;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In another particular embodiment of the present invention, PE5, the compound of the present invention is a bicyclic compound of formula I, wherein

R^2 denotes H, Alk^2, Cyc^2, $Hetar^2$, $Hetcyc^2$, $-L^2-Ar^{2a}$, $-S(=O)_2R^f$;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

It is a further particular embodiment, PE5a, of PE5 in which in formula I

R^2 denotes H, Alk^2, Cyc^2, $Hetar^2$, $Hetcyc^2$, $-L^2-Ar^{2a}$, $-S(=O)_2R^f$;

Alk^2 denotes straight-chain or branched C_{1-6}-alkyl, C_{1-6}-alkenyl or C_{2-6}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1}, R^{2a2} and/or R^{2a3};

Cyc^a, Cyc^2, Cyc^{2a} denote independently from each other a saturated monocyclic carbocycle with 3, 4, 5, 6, or 7 ring carbon atoms, wherein said carbocycle is unsubstituted or substituted with independently from each other R^{B6} and/or R^{B7} ;

$Hetcyc^a$, $Hetcyc^2$, $Hetcyc^{2a}$ denote independently from each other a saturated or partially unsaturated monocylic heterocycle with 3, 4, 5, or 6 ring atoms wherein 1 or 2 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6} and/or R^{B7} and/or R^{B8} and R^{B9} together (i.e., if present both R^{B8} and R^{B9} are present at the same time) or R^{B6} and/or R^{B7} and/or R^{B8} and R^{B9} and R^{B10} and R^{B11} together (i.e., if present all for of R^{B8}, R^{B9}, R^{B10} and R^{B11} are present at the same time);

L^2 denotes a divalent $-S(=O)_2-$ group;

Ar^a, Ar^{2a} denote independently from each other phenyl which may be unsubstituted or substituted with independently from each other R^{B1} and/or R^{B2};

$Hetar^a$, $Hetar^2$, $Hetar^{2a}$ denotes a monocyclic heteroaryl with 5 or 6 ring atoms wherein 1, 2, or 3 of said ring atoms is/are a hetero atom(s)

selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is unsubstituted or substituted with independently from each other R^{B1} and/or R^{B2};

R^{2a1}, R^{2a2}, R^{2a3} denote independently from each other halogen, $-CF_3$, -CN, $-NH_2$, $-NHR^a$, $-NR^aR^b$, -OH, $-OR^c$, $-P(=O)R^dR^e$, $-SR^f$, $-S(=O)_2R^f$, $-S(=O)(=NR^g)R^f$, $-N=S(=O)R^fR^h$, $-C(=O)NH_2$, $-C(=O)NHR^a$, $-C(=O)NR^aR^b$, -C(=O)OH, $-C(=O)OR^c$, $-NH-C(=O)-R^i$, Cyc^{2a}, $Hetar^{2a}$, $Hetcyc^{2a}$;

and/or

two of R^{2a1}, R^{2a2}, R^{2a3} which are attached to the same carbon atom form together a divalent oxo (=O) group;

R^a, R^b denote independently from each other straight-chain or branched C_{1-6}-alkyl which may be unsubstituted or substituted with 1, 2, or 3 halogen; Ar^a, Cyc^a, $Hetar^a$, $Hetcyc^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated or partially unsaturated heterocycle with 3, 4, 5, 6, 7 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N, O or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6} and/or R^{B7} and/or R^{B8} and R^{B9} (i.e., if present, both R^{B8} and R^{B9} are present at the same time);

R^c denotes straight-chain or branched C_{1-4}-alkyl which is unsubstituted or substituted with -OH; straight-chain and unsubstituted C_{2-4}-alkinyl; C_{3-5}-cycloalkyl;

R^d, R^e denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^f, R^h denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^g denotes H, straight-chain or branched C_{1-6}-alkyl;

R^i denotes H, straight-chain or branched C_{1-6}-alkyl;

R^{B1}, R^{B2} denote independently from each other halogen; C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen; OH;

R^{B6}, R^{B7} denote independently from each other halogen; OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which is unsubstituted or substituted with 1 OH or 1, 2, or 3 halogen;

R^{B8} and R^{B9} which are attached to the same carbon atom of said heterocycle form a divalent oxo (=O) group; or

R^{B8}, R^{B9}, R^{B10} and R^{B11} which are attached to the same sulfur (S) atom of said heterocycle form a divalent oxo (=O) group, thereby forming an -$S(=O)_2$ moiety;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still a further particular embodiment, PE5b, of PE5 and PE5a, the compound of the present invention is a bicyclic compound of formula I, wherein

R^2 denotes H, Alk^2, Cyc^2, $Hetar^2$, $Hetcyc^2$, -L^2-Ar^{2a}, -$S(=O)_2R^f$;

Alk^2 denotes straight-chain or branched C_{1-4}-alkyl, C_{1-4}-alkenyl or C_{2-4}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1} and/or R^{2a2};

Cyc^2, Cyc^{2a} denote independently from each other a saturated monocyclic carbocycle with 3, 4, or 5 ring carbon atoms, wherein said carbocycle is mono-substituted with OH, -CH_2OH;

$Hetcyc^2$ denotes a saturated monocylic heterocycle with 5 ring atoms wherein 1 of said ring atoms is a hetero atom(s) selected from N, and O and the remaining are carbon atoms, wherein said heterocycle is mono-substituted with OH, or denotes a saturated monocyclic heterocycle with 4 ring atoms wherein 1 of said ring atoms is a heteroatom(s) selected from S and the remaining are carbon atoms, wherein said heterocycle is substituted with two oxo (=O) groups at the S atom;

$Hetcyc^{2a}$ denotes a saturated monocylic heterocycle with 4 ring atoms wherein 1 of said ring atoms is a hetero atom(s) selected from N or O and the remaining are carbonatoms, wherein said heterocycle is unsubstituted or mono-substituted with halogen, in particular F, -OH, O-C_{1-4}-alkyl or C_{1-4}-alkyl, or disubstituted with halogen and C_{1-4}-alkyl wherein said C_{1-4}-alkyl in each case may be unsubstituted or mono-substituted with -OH or -OC_{1-4}-alkyl; or denotes a saturated moncyclic heterocycle with 5 ring atoms wherein 1 of said ring atoms is a hetero atom selected from N or O or wherein 2 of said ring atoms are hetero atoms selected from N and/or O and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or mono-substituted with -OH, C_{1-4}-alkyl or an oxo (=O) group or disubstituted with C_{1-4}-alkyl and an oxo (=O) group; or denotes a saturated monocyclic heterocycle with 6 ring atoms wherein 1 of said ring atoms is a hetero atom selected from N or O or wherein 2 of said ring atoms are hetero atoms selected from N and/or O and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or mono-substituted with OH, C_{1-4}-alkyl or an oxo (=O) group) or disubstituted with halogen; or denotes a partially unsaturated monocyclic heterocycle with 6 ring atoms wherein 1 of said ring atoms is a hetero atom selected from N and the remaining are carbon atoms, wherein said heterocycle is mono-substituted with an oxo (=O) group);

L^2 denotes a divalent -$S(=O)_2$- group;

Ar^{2a} denotes phenyl which is mono-substituted with -CH_3;

$Hetar^2$, $Hetar^{2a}$ denote independently from each other a monocyclic heteroaryl with 5 or 6 ring atoms wherein 1, 2 or 3 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is unsubstituted or monosubstituted with C_{1-4}-alkyl;

R^{2a1}, R^{2a2} denote independently from each other -CF_3, -CN, -NH_2, -NHR^a, -NR^aR^b, -OH, -OR^c, -$P(=O)R^dR^e$, -SR^f, -$S(=O)_2R^f$, -$S(=O)(=NR^g)R^f$, -$C(=O)NH_2$, -$C(=O)NHR^a$, -$C(=O)NR^aR^b$, -$C(=O)OR^c$, -NH-$C(=O)$-R^i, Cyc^{2a}, $Hetar^{2a}$, $Hetcyc^{2a}$;

and/or

R^{2a1} and R^{2a2} which are attached to the same carbon atom form together a divalent oxo (=O) group;

R^a, R^b denote independently from each other straight-chain or branched C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 F; Ar^a, $Hetar^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated heterocycle with 4, 5, or 6 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N or O and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or monosubstituted with C_{1-4}-alkyl or di-substituted with F;

R^c denotes straight-chain or branched C_{1-4}-alkyl which is unsubstituted or substituted with -OH; unsubstituted C_{2-4}-alkinyl; unsubstituted C_{3-5}-cycloalkyl;

R^d, R^e denote independently from each other straight-chain or branched C_{1-4}-alkyl;

R^f denotes straight-chain or branched C_{1-4}-alkyl;

R^g denotes H;

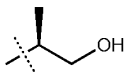
R^i denotes H, straight-chain or branched C_{1-4}-alkyl;

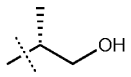
Ar^a denotes phenyl;

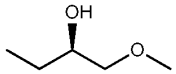
$Hetar^a$ denotes pyridyl;

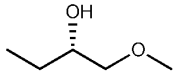
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

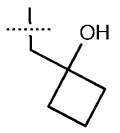
It is another particular embodiment of the present invention, PE5c, which may also be a particular embodiment of any one of particular embodiments PE5, PE5a or PE5b, the compound of the present invention is a bicyclic compound of formula I, wherein

R^2 denotes H; $-CH_3$, $-CH=CH-CF_3$, $-C\equiv C-CH_2-OH$, $-CH_2-CN$, $-(CH_2)_2-CN$, $-(CH_2)_3-CN$, $-CH_2-CH(OH)-CH_2-CN$, $-(CH_2)_2-NH_2$, $-(CH_2)_2-NHCH_3$, $-(CH_2)_2-NHCH_2CF_3$, -(CH2)2-NH-pyridin-2-yl, $-(CH_2)_2-N(CH_3)_2$, $-(CH_2)_2-N(CF_3)_2$, $-CH_2-CF_2-CH_2-NH_2$, $-CH(CF_3)-CH_2-N(CH_3)_2$, 2-(azetidin-1-yl)ethyl, 2-(pyrrolidin-1-yl)ethyl, 2-(piperidin-1-yl)ethyl, 2-(4,4-difluoropiperidin-1-yl)ethyl, (N-methylmorpholin-3-yl)methyl, 2-(morpholin-1-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, $-(CH_2)_3-NH_2$, $-(CH_2)_3-NHCH_3$, $-(CH_2)_3-N(CH_3)_2$, $-(CH_2)_4-NH_2$, $-(CH_2)_4-NHCH_3$, $-(CH_2)_4-N(CH_3)_2$, $-(CH_2)_2-OH$, $-(CH_2)_2-O-(CH_2)_2-OH$, $-(CH_2)_3-OH$, $-CH_2CH(OH)-CH_3$, $-CH(CH_3)CH_2-OH$, 

, 

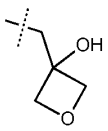
, $-CH_2-C(CH_3)_2-OH$, $-CH(CH_2OH)_2$, $-CH_2CH(CH_2OH)_2$, $-CH_2-CH(OH)-CH_2OH$, $-CH_2-CH(OH)-CH_2-OCH_3$, 

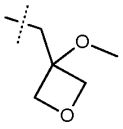
, 

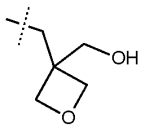
, $-CH(CH_2OCH_3)_2$, $-(CH_2)_2-O-CH_2-C\equiv CH$, 2-hydroxy-1-(pyrazin-2-yl)ethyl, $-(CH_2)_2-S-CH_3$, $-(CH_2)_2-S-CH_2CH_3$, $-(CH_2)_2-S(=O)_2-CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_2CH_3$, $-CH_2-P(=O)(CH_3)_2$, $-CH_2-C(=O)-NH_2$, $-CH_2-C(=O)-NHCH_3$, $-CH_2-C(=O)-NHCH_2CH_3$, $-CH_2-C(=O)-N(CH_3)_2$, $-CH_2-C(=O)-NH$-phenyl, $-(CH_2)_2-C(=O)-NH_2$, $-(CH_2)_2-C(=O)-NHCH_3$, $-(CH_2)_2-C(=O)-N(CH_3)_2$, $-CH(C(=O)OCH_2CH_3)_2$, , $-(CH_2)_2-NH-C(=O)-CH_3$, $-C(=O)-CH_3$, $-C(=O)-(CH_2)_2-CH_3$; (1-hydroxycyclobutyl)methyl (

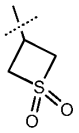
), (1H-imidazol-2-yl)methyl, (1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-5-yl)methyl, (1H-2-methylimidazol-4-yl)methyl, (1H-pyrazol-4-yl)methyl, (1H-pyrazol-5-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl, 1,2-thiazol-3-yl, 1,3-thiazol-2-yl, (1H-1,2,3-triazol-4-yl)methyl, pyrazin-2-yl, (1,2,4-oxadiazol-3-yl)methyl, 2-(2-oxopyridin-1-yl)ethyl, (3-fluoroazetidin-3-yl)methyl, (1-methylazetidin-3-yl)methyl, (oxetan-3-yl)methyl, (3-fluorooxetan-3-

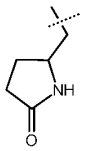
yl)methyl (

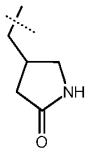
), (3-hydroxyoxetan-3-yl)methyl (

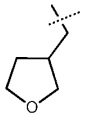
), (3-methoxyoxetan-3-yl)methyl (

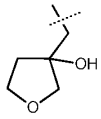
), methyl(oxetan-3-yl)methanol (

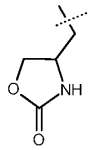
), (1-methylazetidin-3-yl)ethyl, 2-(oxetan-3-yl)ethyl, 1,1-dioxo-1-lambda-6-thietan-3-yl (

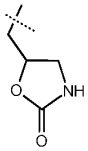
), (5-oxo-pyrrolidin-2-yl)methyl (

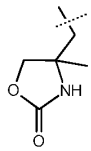
), (5-oxo-pyrrolidin-3-yl)methyl (

), oxolan-3-ylmethyl (

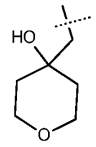
), (3-hydroxyoxolan-3-yl)methyl (

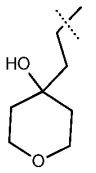
), (2-oxo-1,3-oxazolidin-4-yl)methyl (

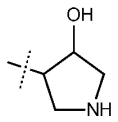
), (2-oxo-1,3-oxazolidin-5-yl)methyl (

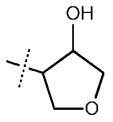
), (4-methyl-2-oxo-1,3-oxazolidin-4-yl)methyl (

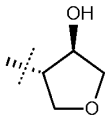
), (4-

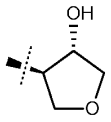
hydroxyoxan-4-yl)methyl (

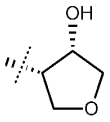
), 2-(4-hydroxyoxan-4-yl)ethyl (

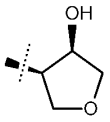
); 1-hydroxymethylcyclopropyl, 3-hydroxycyclobutyl, 2-hydroxycyclopentyl; 

, 

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, 

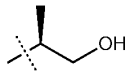
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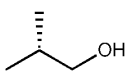
, 

; sulfonyl-4-methylphenyl;

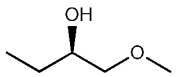
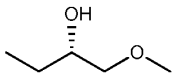
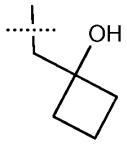
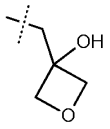
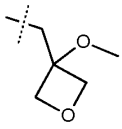
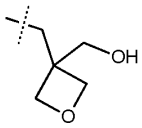
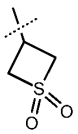
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

It is still a further particular embodiment of the present invention, PE5d, which may also be a particular embodiment of any one of particular embodiments PE5, PE5, PE5b or PE5c, in which the compound of the present invention is a bicyclic compound of formula I, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios, wherein

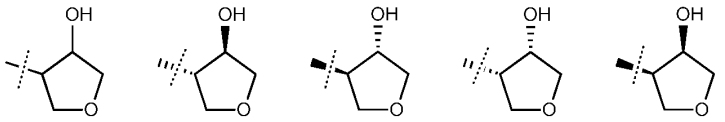
R^2 denotes H; $-CH_3$, $-CH=CH-CF_3$, $-CH_2-CN$, $-(CH_2)_2-CN$, $-(CH_2)_3-CN$, $-CH_2-CH(OH)-CH_2-CN$, $-(CH_2)_2-N(CH_3)_2$, $-CH_2-CF_2-CH_2-NH_2$, $-CH(CF_3)-CH_2-N(CH_3)_2$, (N-methylmorpholin-3-yl)methyl, 2-(morpholin-1-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, $-(CH_2)_2-OH$, $-(CH_2)_2-O-(CH_2)_2-OH$, $-(CH_2)_3-OH$, $-CH(CH_3)CH_2-OH$, 

, 

, $-CH_2-C(CH_3)_2-OH$, -

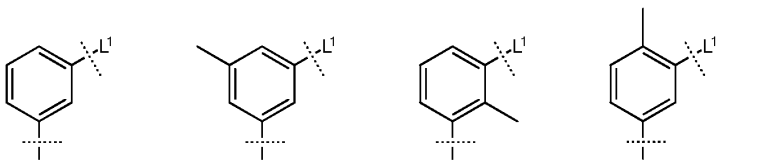
$CH(CH_2OH)_2$, $-CH_2CH(CH_2OH)_2$, $-CH_2-CH(OH)-CH_2-OCH_3$,  ,  , $-(CH_2)_2-O-CH_2-C\equiv CH$, 2-hydroxy-1-(pyrazin-2-yl)ethyl, $-(CH_2)_2-S-CH_3$, $-(CH_2)_2-S-CH_2CH_3$, $-(CH_2)_2-S(=O)_2-CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_3$, $-CH_2-C(=O)-NHCH_3$, $-CH_2-C(=O)-NHCH_2CH_3$, $-(CH_2)_2-C(=O)-NH_2$, $-(CH_2)_2-C(=O)-NHCH_3$, $CH(C(=O)OCH_2CH_3)_2$, (1-hydroxycyclobutyl)methyl (), $-(CH_2)_2-NH-C(=O)-CH_3$; (1H-imidazol-2-yl)methyl, (1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-5-yl)methyl, (1H-2-methylimidazol-4-yl)methyl, (1H-pyrazol-4-yl)methyl, (1H-pyrazol-5-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl, 1,2-thiazol-3-yl, 1,3-thiazol-2-yl, (1H-1,2,3-triazol-4-yl)methyl, pyrazin-2-yl, 2-(2-oxopyridin-1-yl)ethyl, (1,2,4-oxadiazol-3-yl)methyl, (oxetan-3-yl)methyl, (3-fluorooxetan-3-yl)methyl (), (3-hydroxyoxetan-3-yl)methyl (), (3-methoxyoxetan-3-yl)methyl (), methyl(oxetan-3-yl)methanol (), 2-(oxetan-3-yl)ethyl, 1,1-dioxo-1-lambda-6-thietan-3-yl (), (5-oxo-pyrrolidin-2-yl)methyl,

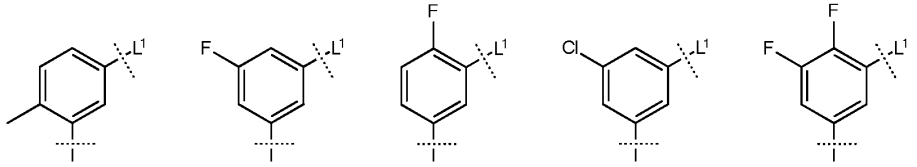
(5-oxo-pyrrolidin-3-yl)methyl, oxolan-3-ylmethyl, (3-hydroxyoxolan-3-yl)methyl, (2-oxo-1,3-oxazolidin-4-yl)methyl, (2-oxo-1,3-oxazolidin-5-yl)methyl, (4-methyl-2-oxo-1,3-oxazolidin-4-yl)methyl, (4-hydroxyoxan-4-yl)methyl, 2-(4-hydroxyoxan-4-yl)ethyl; 3-hydroxycyclobutyl;

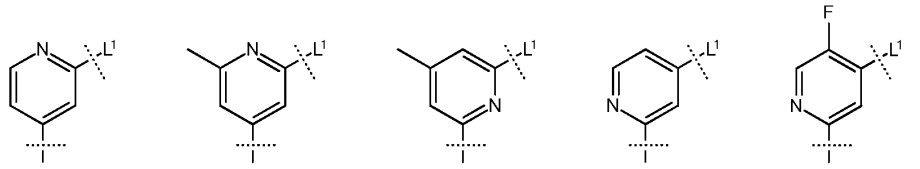


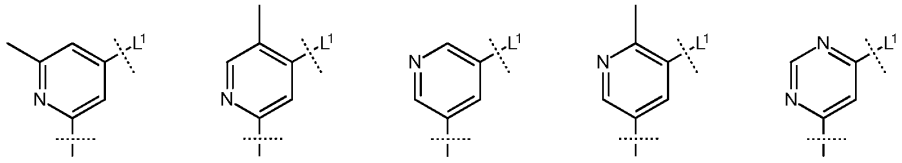
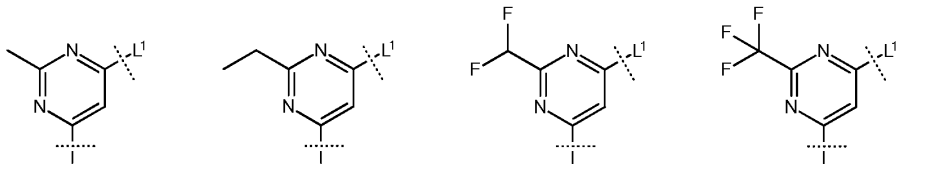
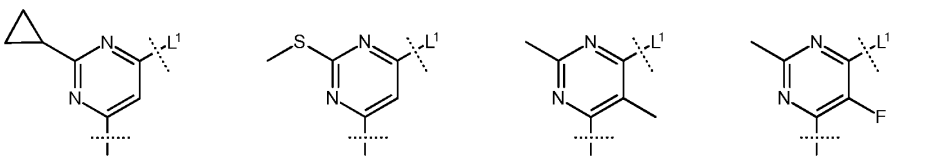
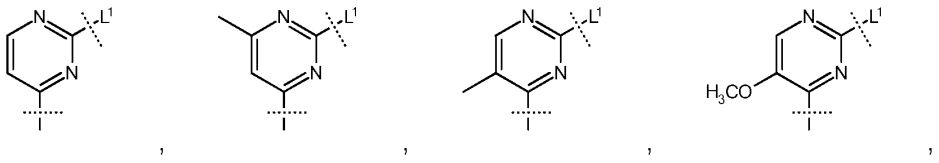
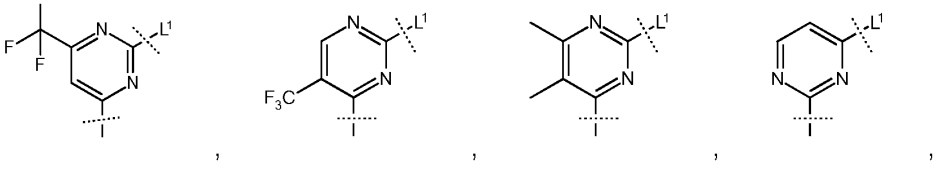
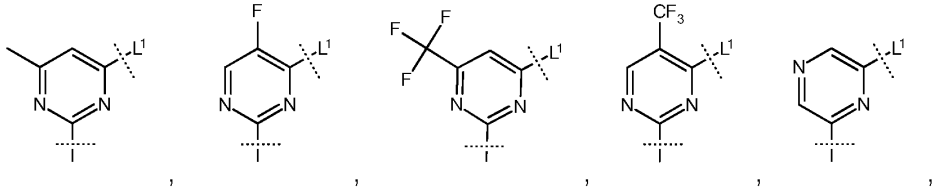
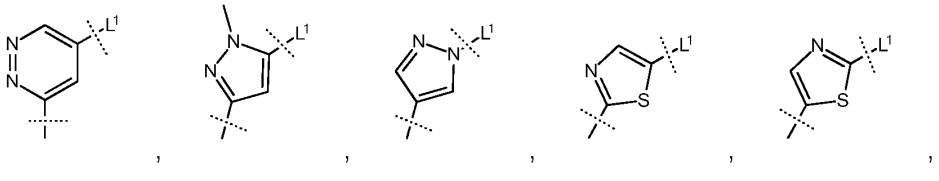
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In another particular embodiment of the present invention, PE6, the compound of the present invention is a bicyclic compound of formula I, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios, wherein

A denotes 

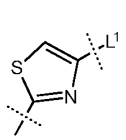
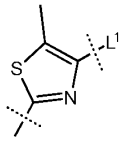
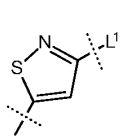
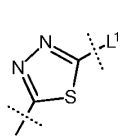
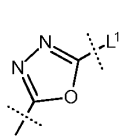
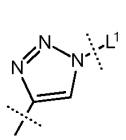
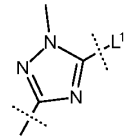




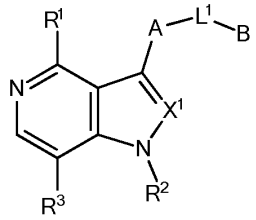

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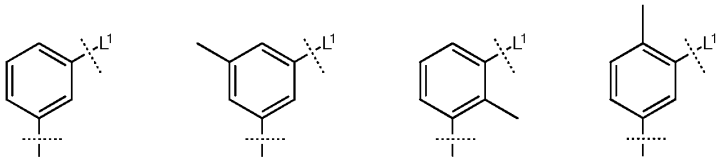
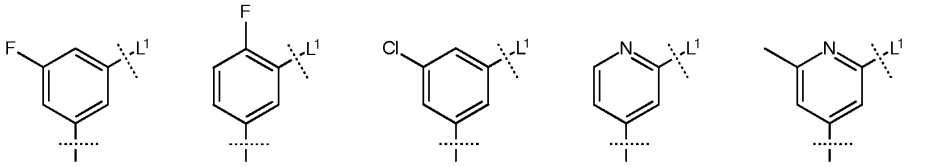
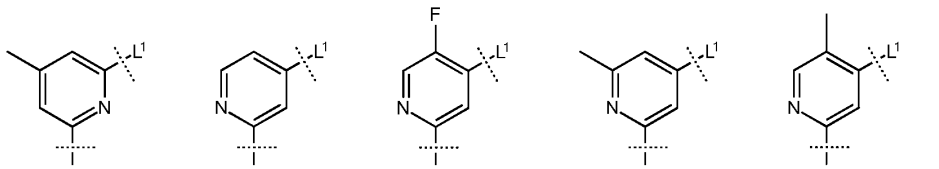
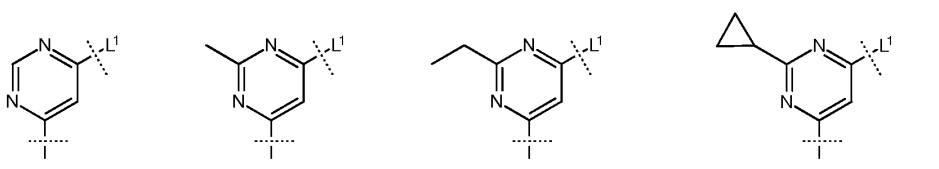
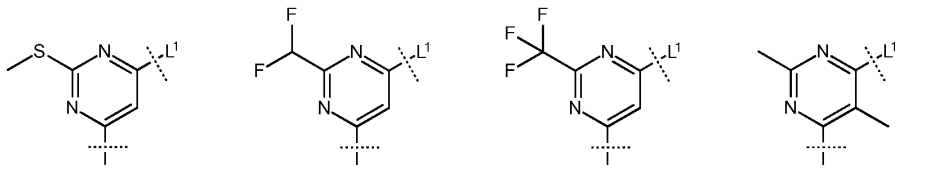
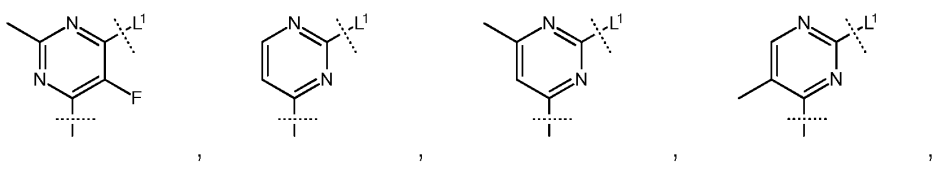








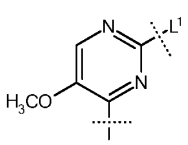
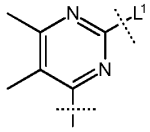
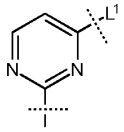
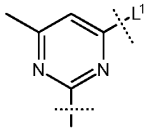
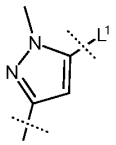
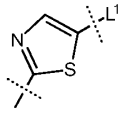
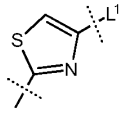
, or

wherein  denotes the point of attachment of the ring A to the bicyclic ring system of the compound of formula I  , and  denotes the point of attachment to the L^1-B radical of the compound of formula I;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In another particular embodiment, PE6a, of PE6 the compound of the present invention is a bicyclic compound of formula I, wherein

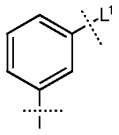
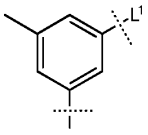
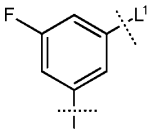
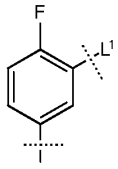
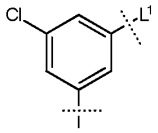
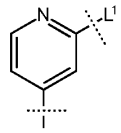
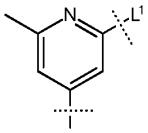
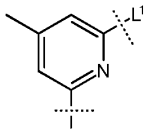
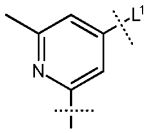
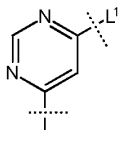
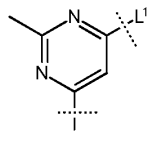
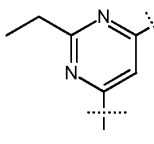
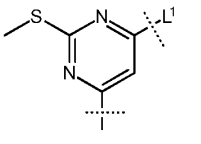
A denotes  ,  ,  ,  ,  ,  , ,

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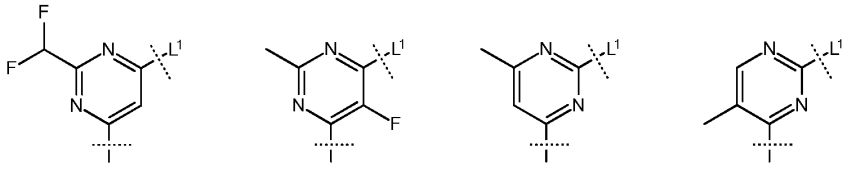
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still another particular embodiment, PE6b, of PE6 or PE6a the compound of the present invention is a bicyclic heterocyle of formula I, wherein

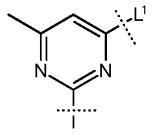
A denotes 













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and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In a further particular embodiment of the present invention, PE7, the compound of the present invention is a bicyclic compound of formula I, wherein

B denotes Ar^1, $Hetar^1$, Cyc^1, $Hetcyc^1$;

L^1 denotes -O-, -S-, -N(R^4)-, -O-CH_2-, -O-CH(R^5)-, -N(R^6)-CH_2-, -N(R^6)-C(=O)-, -CH_2-, -CH_2CH_2-;

R^4 denotes H or CH_3;

R^5, R^6 denote CH_3;

Ar^1 denotes a phenyl, wherein that phenyl is mono-substituted with R^{C1};

$Hetar^1$ denotes a mono-cyclic heteroaryl with 5 or 6 ring atoms wherein 1 or 2 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is monosubstituted with R^{C1} or di-substituted with R^{C1} and R^{C2};

Cyc^1 denotes a saturated, mono- or bi-cyclic carbocycle with 4, 5, 6, or 7 ring carbon atoms, wherein said carbocycle may be un-substituted or mono-substituted with R^{C6} or di-substituted with other R^{C6} and R^{C7};

$Hetcyc^1$ denotes a saturated, mono- or bicylic heterocycle with 5, 6, or 7 ring atoms wherein 1 or 2 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms,

wherein said heterocycle is substituted mono-substituted with R^{C6} or di-substituted with other R^{C6} and R^{C7};

R^{C1} denotes F, Cl, CHF_2, CF_3, CH_2CF_3, OCF_3, or SCF_3;

R^{C2} denotes CH_3 or C_2H_5;

R^{C6} denotes F, Cl; CH_3, CHF_2, CF_3, $-OCH_3$, $-OCHF_2$, $-OCF_3$;

R^{C7} denotes F;

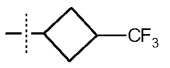
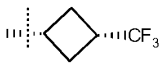
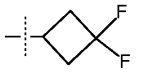
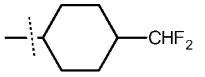
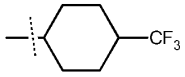
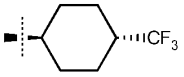
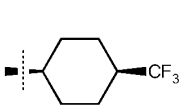
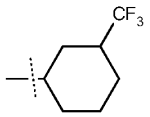
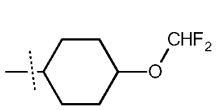
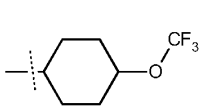
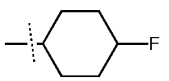
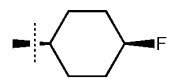
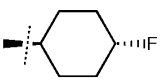
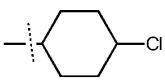
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

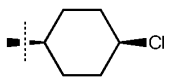
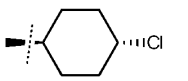
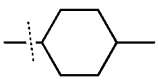
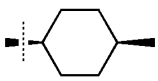
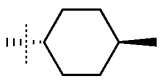
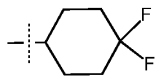
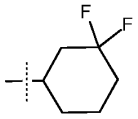
In still another particular embodiment of the present invention, PE8, the compound of the present invention is a bicyclic compound of formula I, wherein

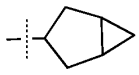
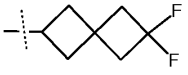
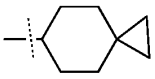
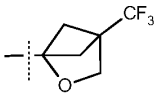
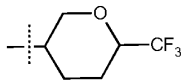
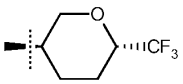
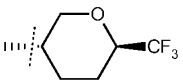
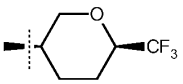
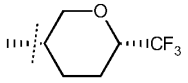
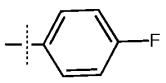
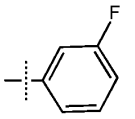
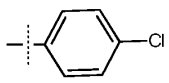
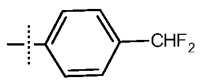
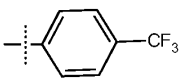
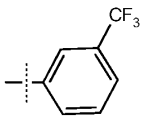
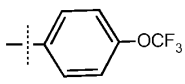
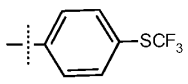
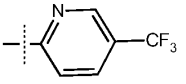
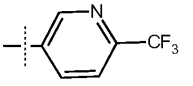
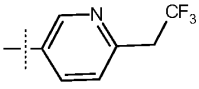
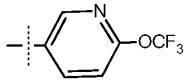
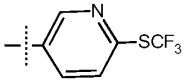
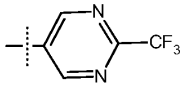
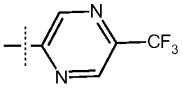
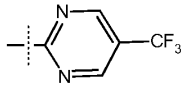
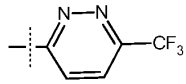
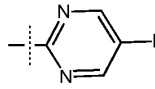
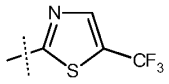
L^1 denotes -O-, -NH- or $-O-CH_2-$;

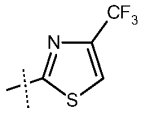
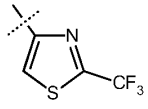
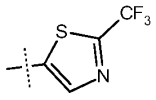
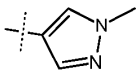
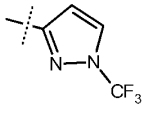
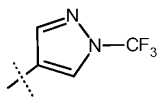
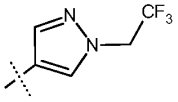
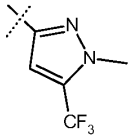
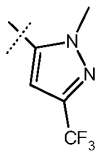
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In even a further particular embodiment of the present invention, PE9, the compound of the present invention is a bicyclic compound of formula I, wherein

B denotes  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , 

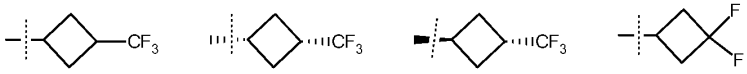
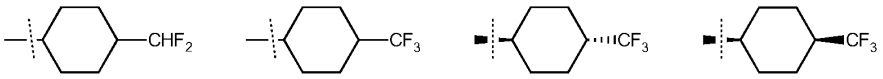





























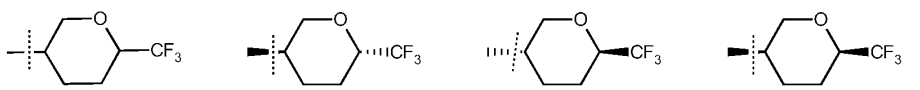
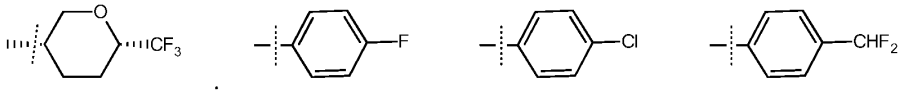










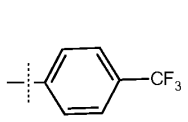
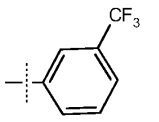
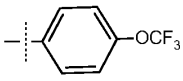
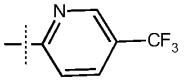
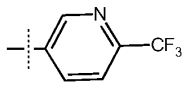
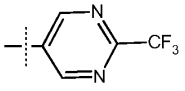
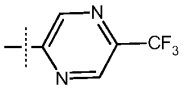
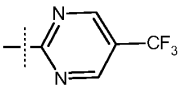
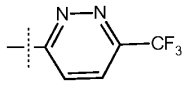
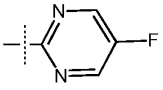
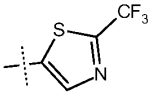
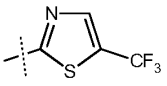
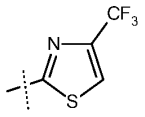
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still another particular embodiment, PE10, the compound of the present invention is a bicyclic compound of formula I, wherein

L^1 denotes -O-;

B denotes 


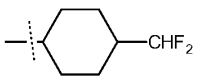
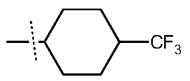
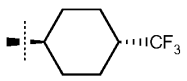
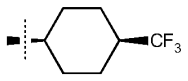
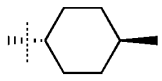
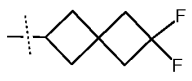
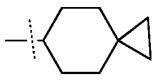
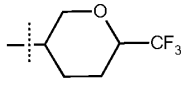
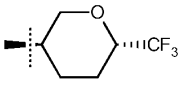
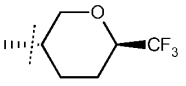
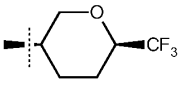
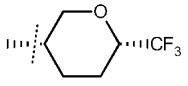
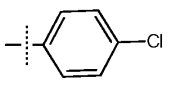
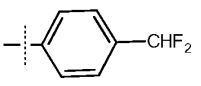
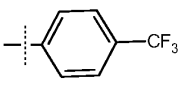














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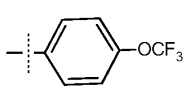
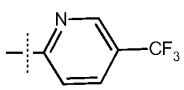
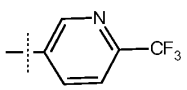
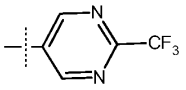
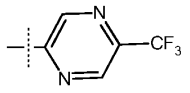
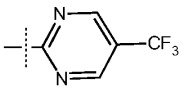
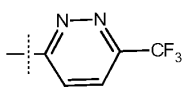
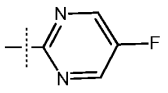
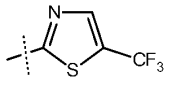
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

It is a particular embodiment, PE10a, of PE10 in which the compound of the present invention is a bicyclic compound of formula I, wherein

L^1 denotes -O-;

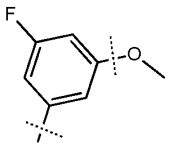
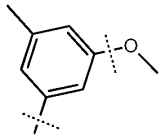
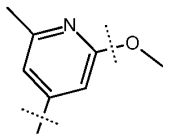
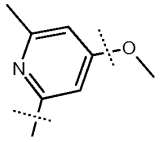
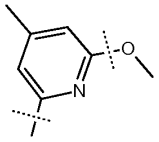
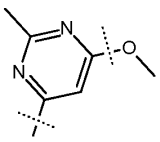
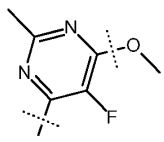
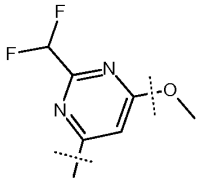
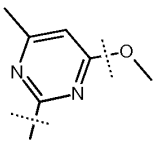
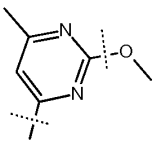
B denotes 















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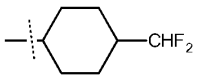
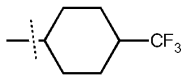
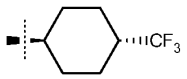
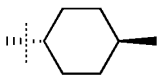
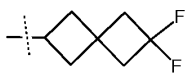
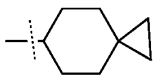
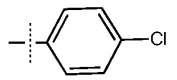
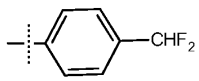
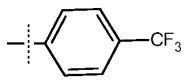
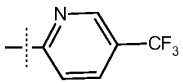
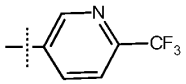
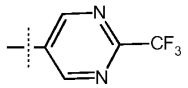
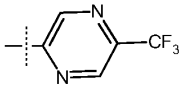
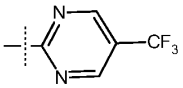
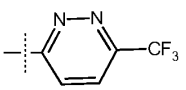
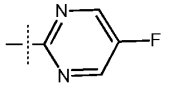
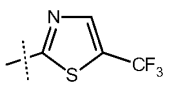
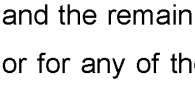
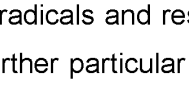

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and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

It is a further particular embodiment, PE10aa, of PE10a in which the compound of the present invention is a bicyclic heterocycle of formula I, wherein

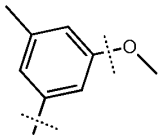
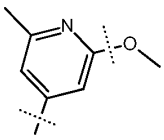
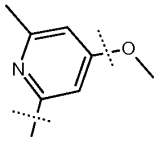
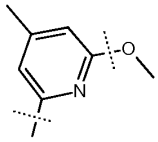
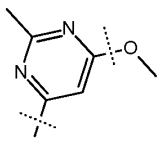
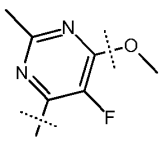
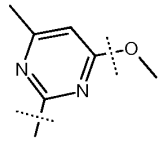
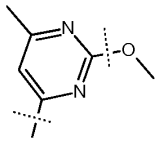
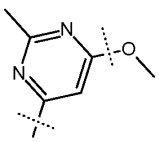
A denotes 
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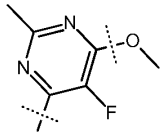
L^1 denotes -O-;

B denotes  ,  ,  ,  ,  ,  ;  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , , , , ,  ,  , or ;

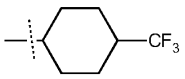
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still a further particular embodiment, PE10aaa, of PE10aa,

A denotes  ,  ,  ,  ,  ,  ,  ; ; ; ;  ; and preferably (PE10aaaa) A denotes  or

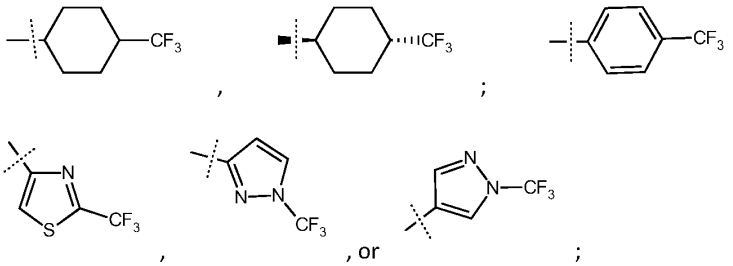


; while L^1 denotes -O- and B is defined as for PE10aa above,

preferably  ; and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still a further particular embodiment of the present invention, PE11, the compound of the present invention is a bicyclic compound of formula I, wherein

L^1 denotes -NH–

B denotes  ;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

It is a particular embodiment, PE11a, of PE11 in which a compound of the present invention is a bicyclic compound of formula I, wherein

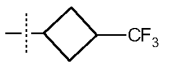
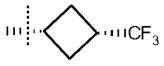
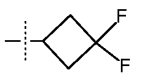
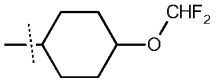
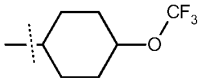
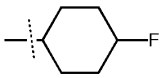
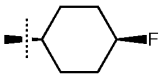
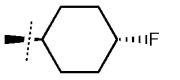
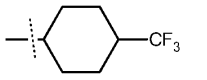
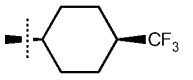
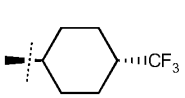
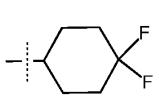
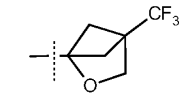
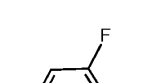
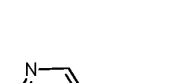
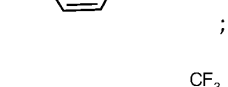
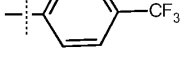
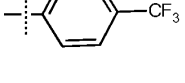
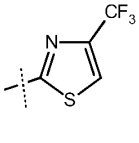
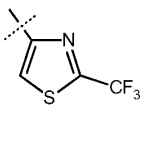
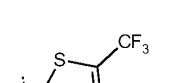
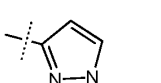
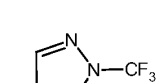
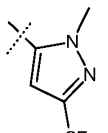
L^1 denotes -NH–

B denotes  ;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still a further particular embodiment of the present invention, PE12, the compound of the present invention is a bicyclic compound of formula I, wherein

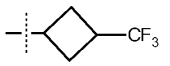
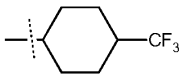
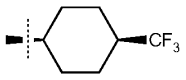
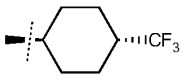
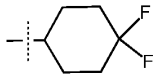
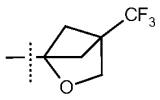
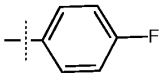
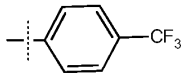
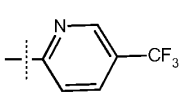
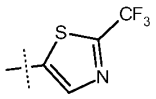
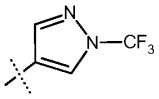
L^1 denotes -O-CH_2-;

B denotes  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , ,  , ,  , ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , or ;

and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

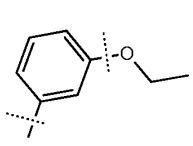
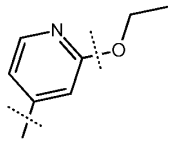
It is a particular embodiment, PE12a, of PE12 in which a compound of the present invention is a bicyclic compound of formula I, wherein

L^1 denotes -O-CH_2-;

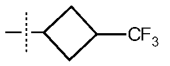
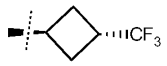
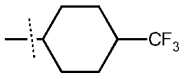
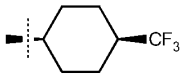
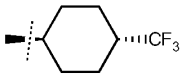
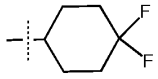
B denotes  ,  ,  ,  ,  ,  ,  ,  ,  ;  ,  ;  ,  ,  , or ;

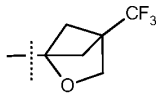
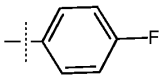
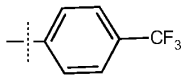
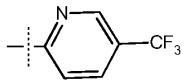
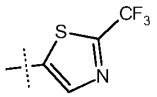
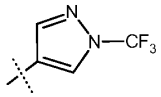
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

It is a further particular embodiment, PE12aa, of PE12a in which the compound of the present invention is a bicyclic heterocycle of formula I, wherein

A denotes  or  ;

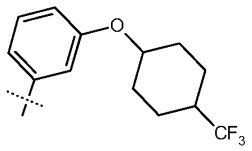
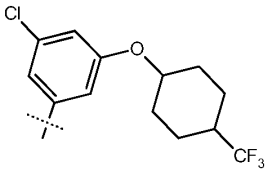
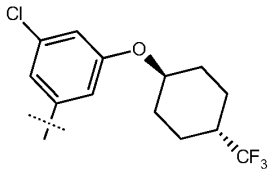
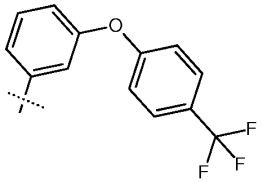
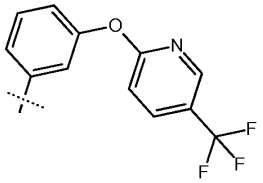
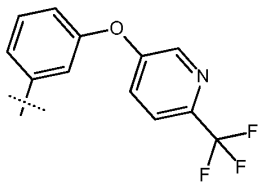
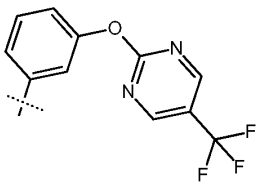
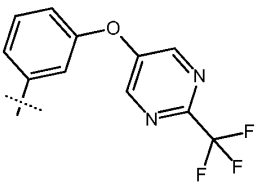
L^1 denotes -O-CH_2-;

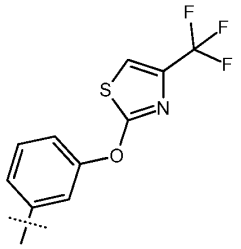
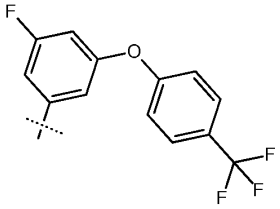
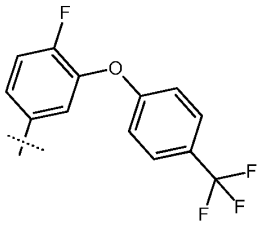
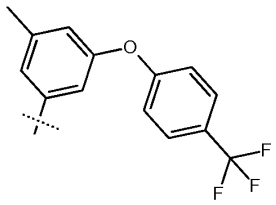
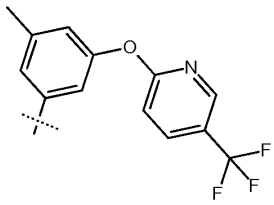
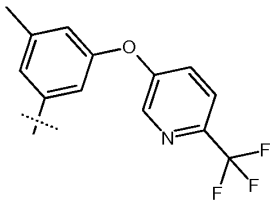
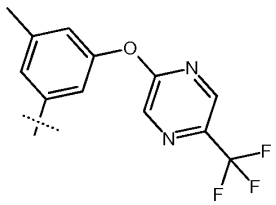
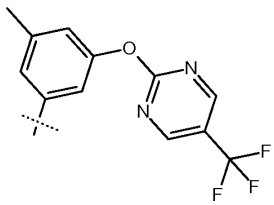
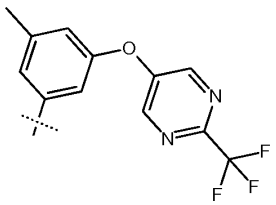
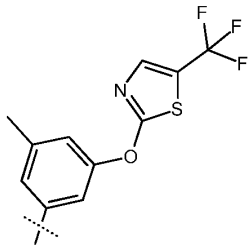
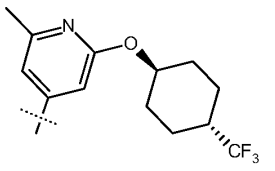
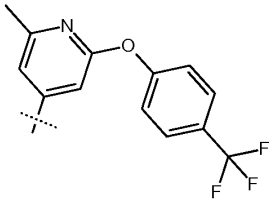
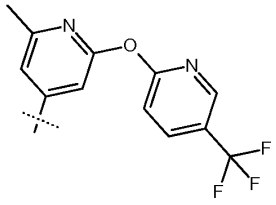
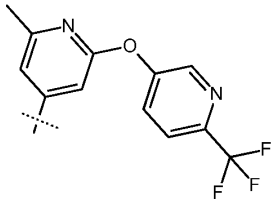
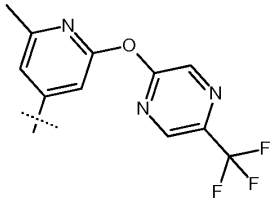
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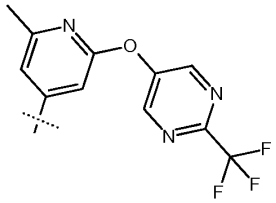
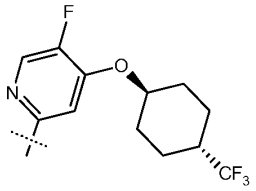
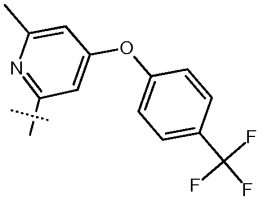
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

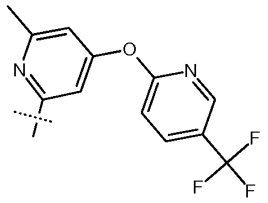
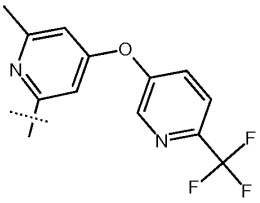
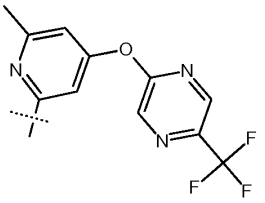
In another particular embodiment of the present invention, PE13, the compound of the present invention is a bicyclic compound of formula I, wherein

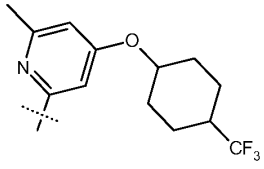
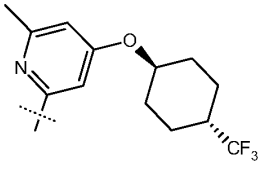
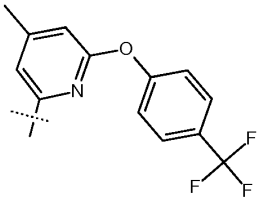
A-L^1-B denotes 








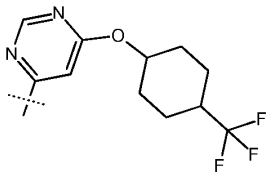
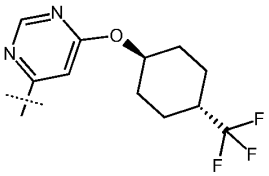
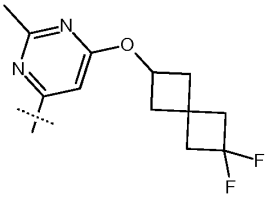
















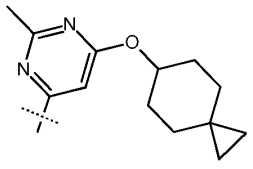
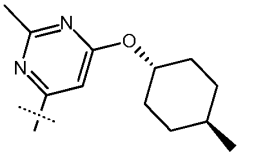
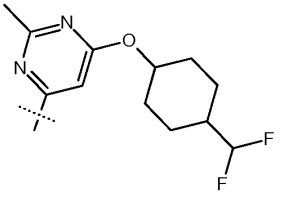
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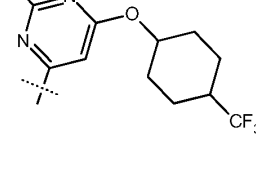
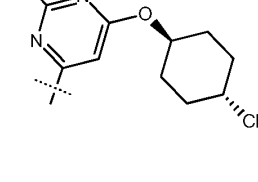
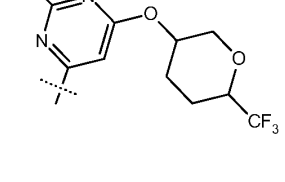

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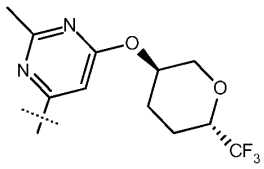
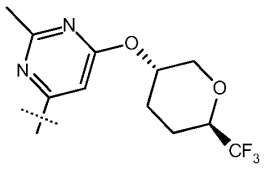
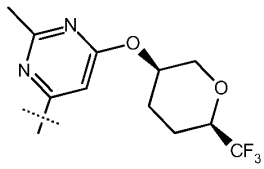

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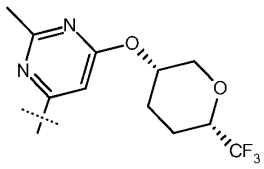
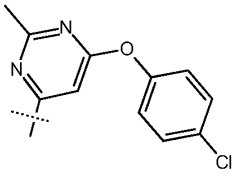
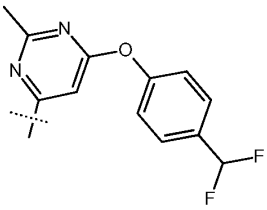

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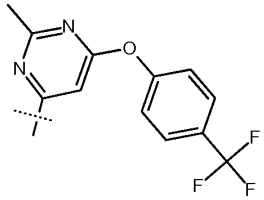
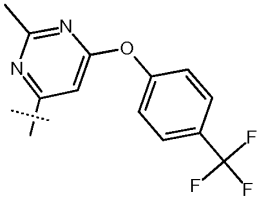
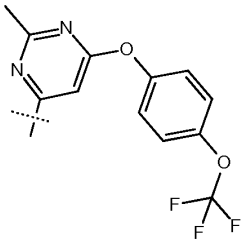
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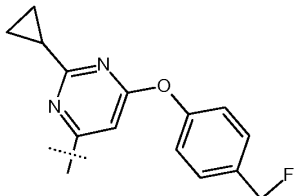
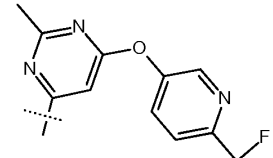
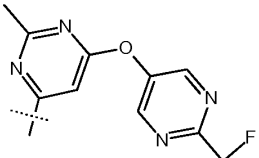
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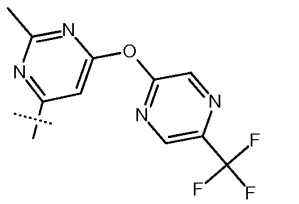
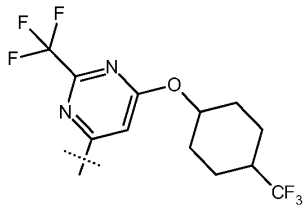
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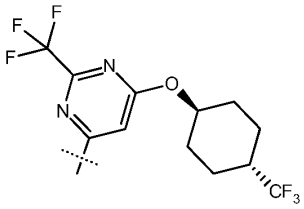
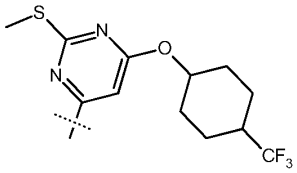
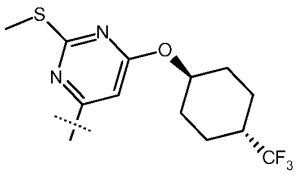
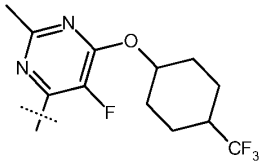
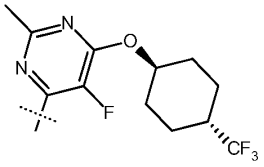
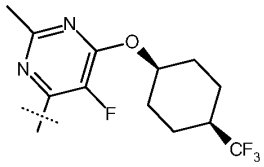
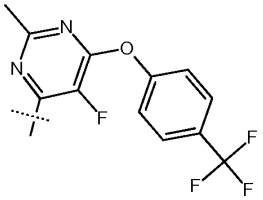
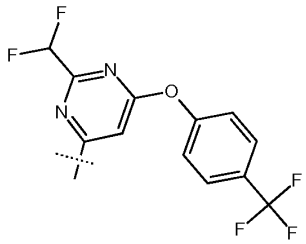
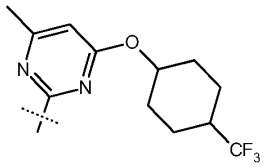
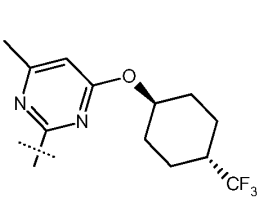
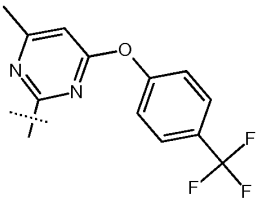
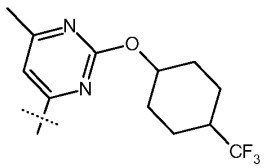
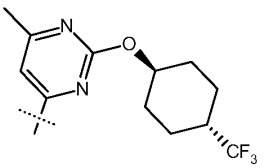
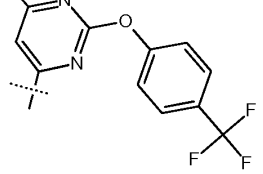
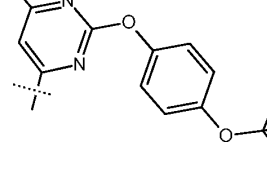
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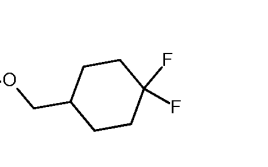
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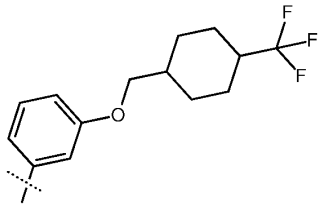
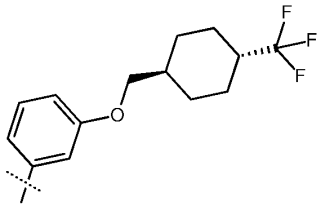
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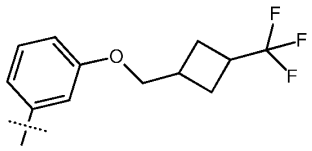
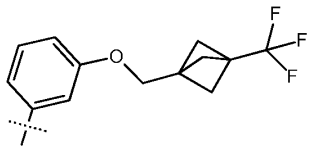

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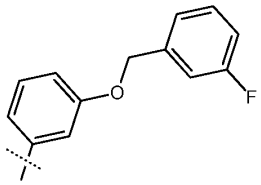
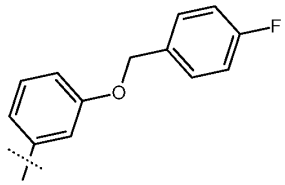
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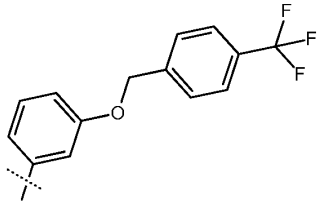
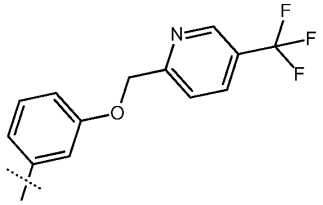
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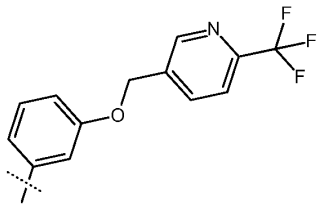
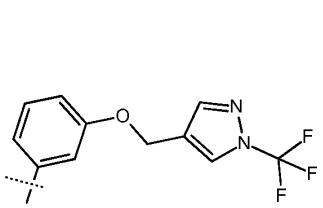
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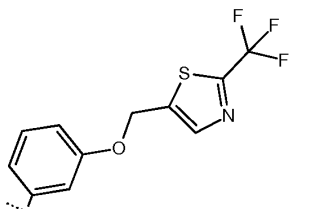
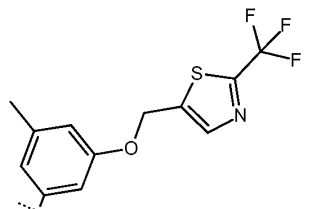

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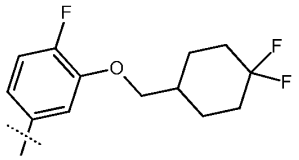
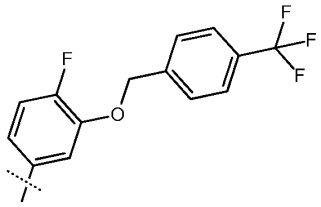

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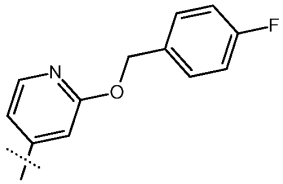
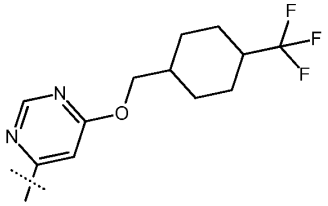

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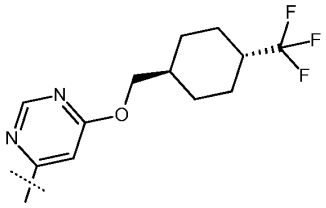
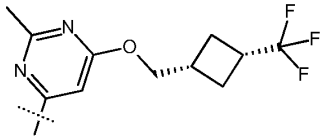

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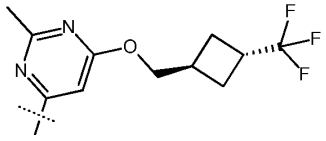
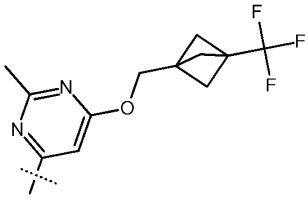
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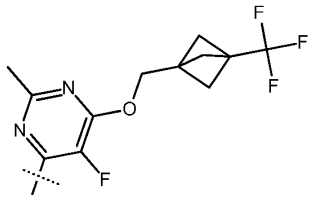
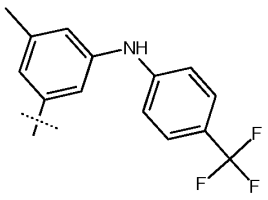
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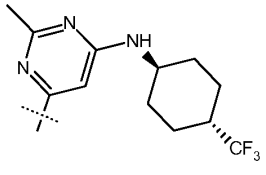
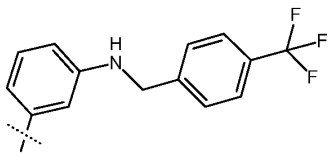

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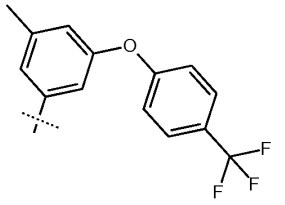
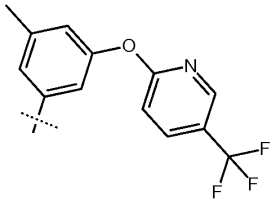
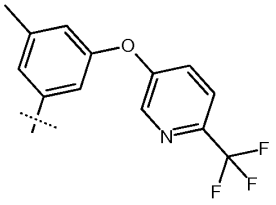

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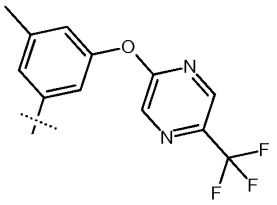
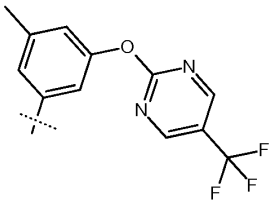
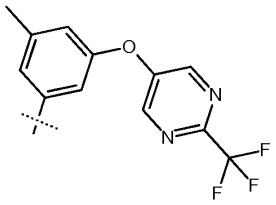

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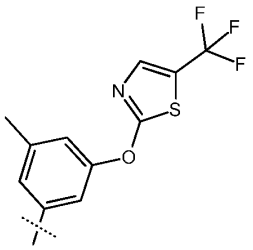
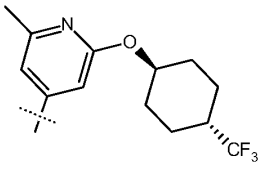
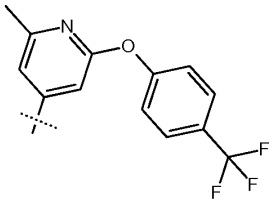

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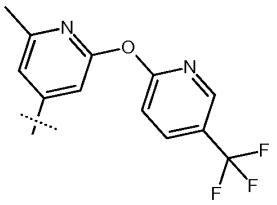
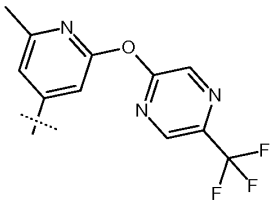
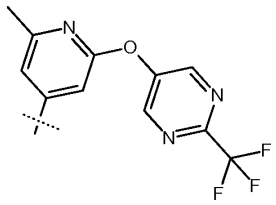
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

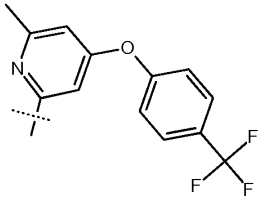
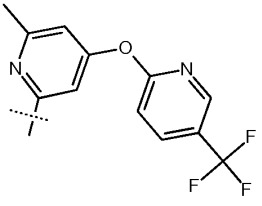
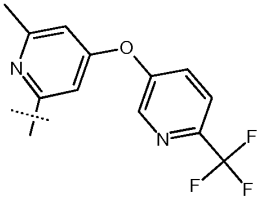
In a particular embodiment, PE13a, of PE13, A-L^1-B denotes

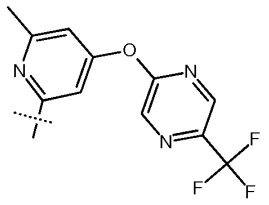
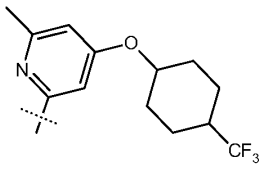
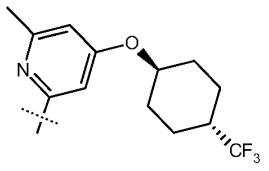
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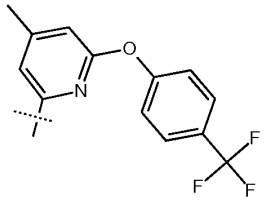
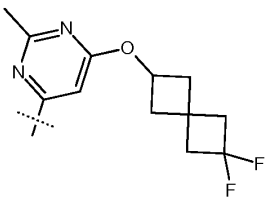
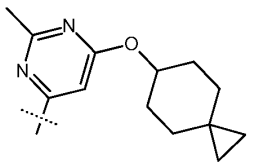
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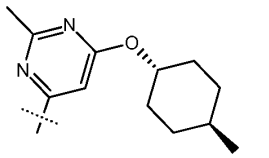
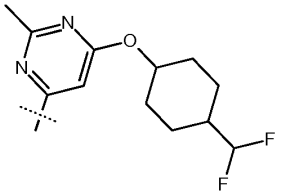
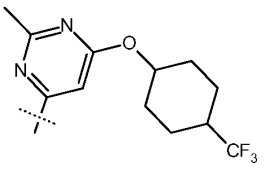
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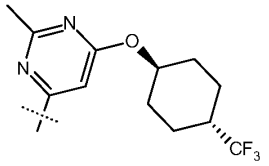
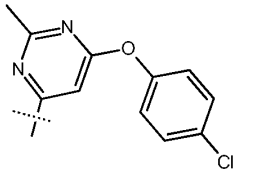
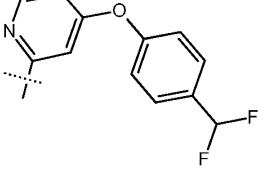
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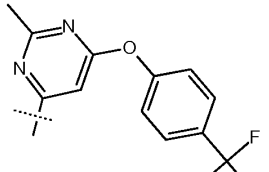
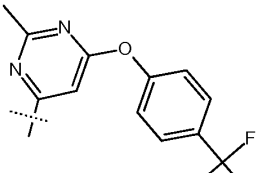
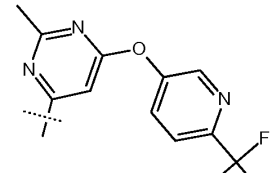
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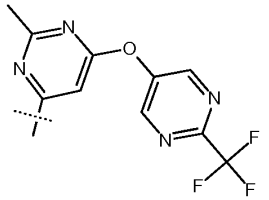
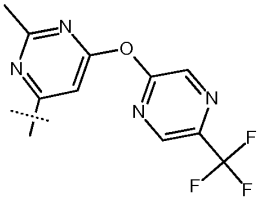
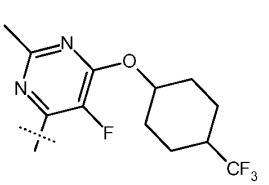
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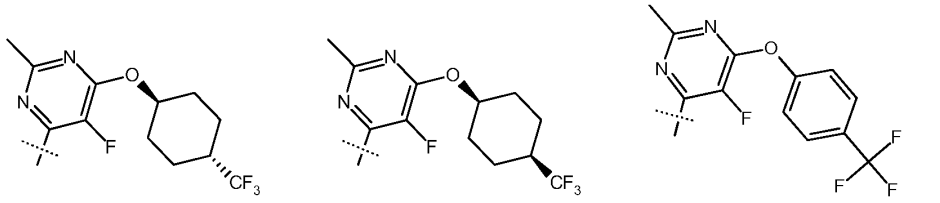
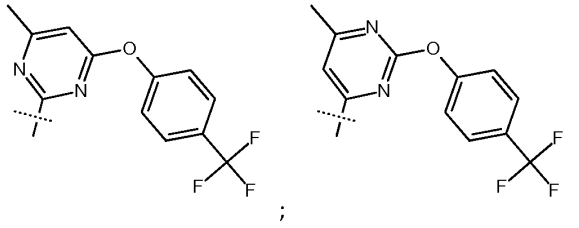
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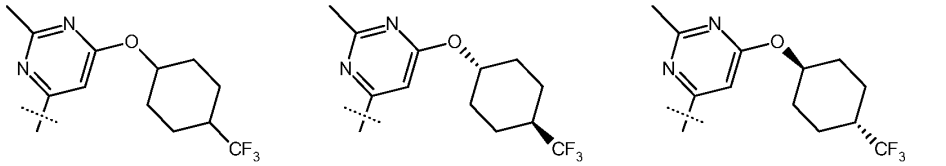
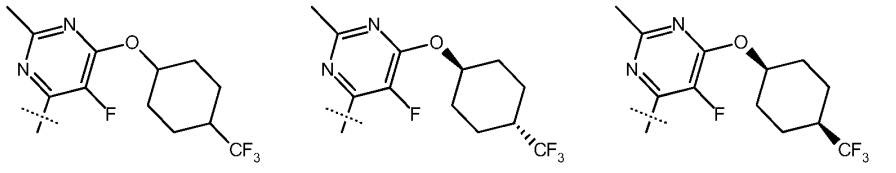
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and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

In still a further particular embodiment, PE13aa, of PE13, A-L^1-B denotes

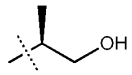
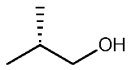
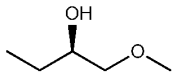
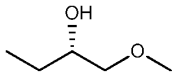
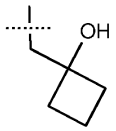
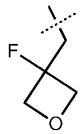
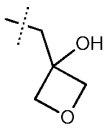
and the remaining radicals and residues are as defined for formula I above or for any of the further particular embodiments described herein above or below.

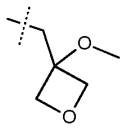
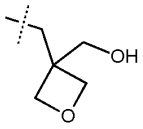
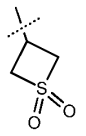
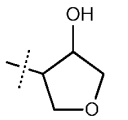
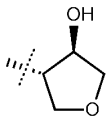
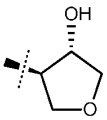
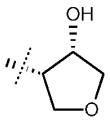
In a particular embodiment of the present invention, PE14, the compound of the present invention is a bicyclic compound of formula I, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically

acceptable salt of each of the foregoing, including mixtures thereof in all ratios, wherein

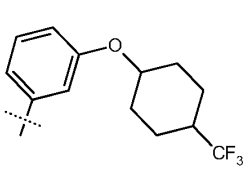
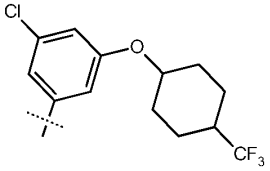
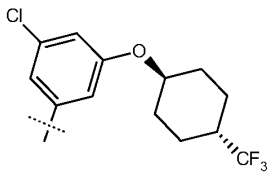
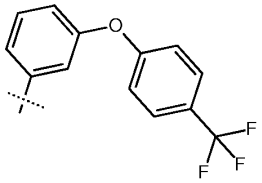
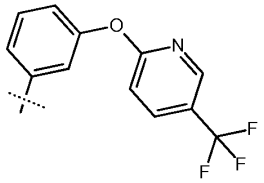
X^1 denotes CH;

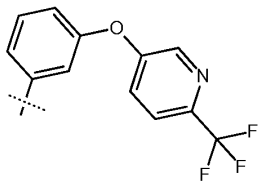
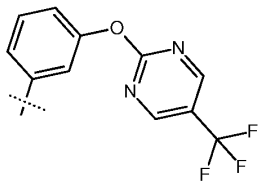
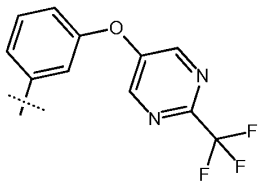
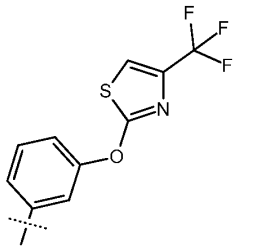
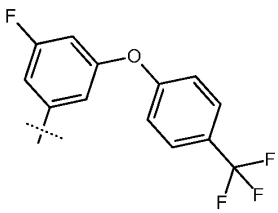
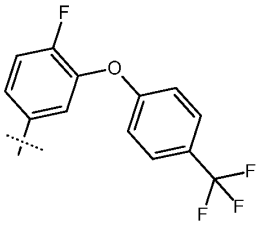
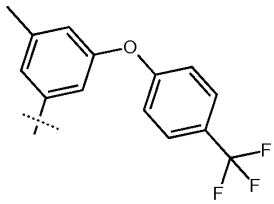
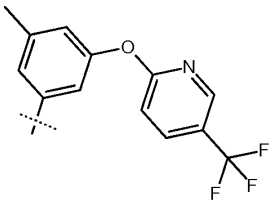
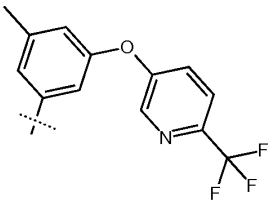
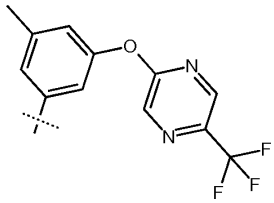
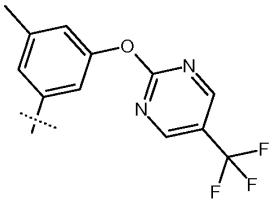
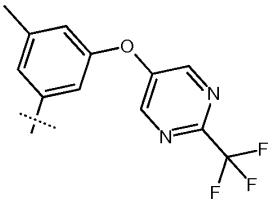
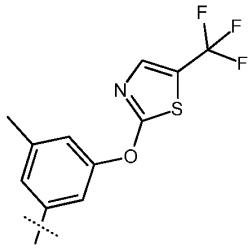
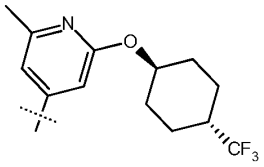
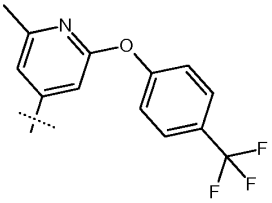
R^1 denotes Cl or $-CF_3$;

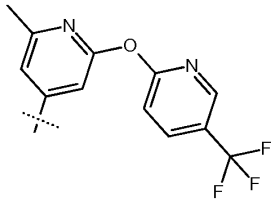
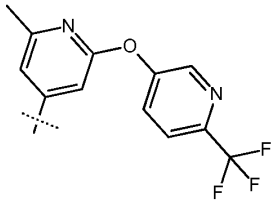
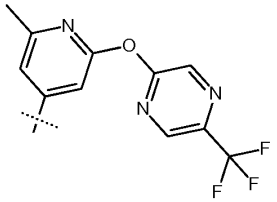
R^2 denotes H; $-CH_3$, $-CH=CH-CF_3$, $-CH_2-CN$, $-(CH_2)_2-CN$, $-(CH_2)_3-CN$, $-CH_2-CH(OH)-CH_2-CN$, $-(CH_2)_2-N(CH_3)_2$, $-CH_2-CF_2-CH_2-NH_2$, $-CH(CF_3)-CH_2-N(CH_3)_2$, (N-methylmorpholin-3-yl)methyl, 2-(morpholin-1-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, $-(CH_2)_2-OH$, $-(CH_2)_2-O-(CH_2)_2-OH$, $-(CH_2)_3-OH$, $-CH(CH_3)CH_2-OH$,  ,  , $-CH_2-C(CH_3)_2-OH$, $-CH(CH_2OH)_2$, $-CH_2CH(CH_2OH)_2$, $CH_2-CH(OH)-CH_2-OCH_3$,  ,  , $-(CH_2)_2-O-CH_2-C\equiv CH$, 2-hydroxy-1-(pyrazin-2-yl)ethyl, $-(CH_2)_2-S-CH_3$, $-(CH_2)_2-S-CH_2CH_3$, $-(CH_2)_2-S(=O)_2-CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_3$, $-CH_2-C(=O)-NHCH_3$, $-CH_2-C(=O)-NHCH_2CH_3$, $-(CH_2)_2-C(=O)-NH_2$, $-(CH_2)_2-C(=O)-NHCH_3$, (1-hydroxycyclobutyl)methyl (), $-(CH_2)_2-NH-C(=O)-CH_3$; (1H-imidazol-2-yl)methyl, (1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-5-yl)methyl, (1H-2-methylimidazol-4-yl)methyl, (1H-pyrazol-4-yl)methyl, (1H-pyrazol-5-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl, 1,2-thiazol-3-yl, 1,3-thiazol-2-yl, (1H-1,2,3-triazol-4-yl)methyl, pyrazin-2-yl, 2-(2-oxopyridin-1-yl)ethyl, (1,2,4-oxadiazol-3-yl)methyl, (oxetan-3-yl)methyl, (3-fluorooxetan-3-yl)methyl (), (3-hydroxyoxetan-3-yl)methyl (), (3-

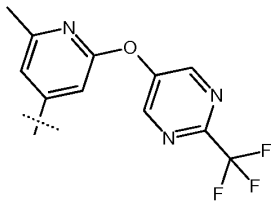
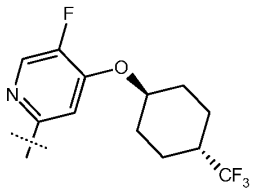
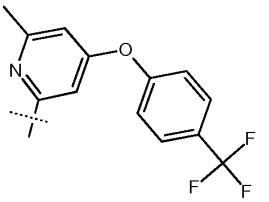
methoxyoxetan-3-yl)methyl (), methyl(oxetan-3-yl)methanol (), 2-(oxetan-3-yl)ethyl; 1,1-dioxo-1-lambda-6-thietan-3-yl (), (5-oxo-pyrrolidin-2-yl)methyl, (5-oxo-pyrrolidin-3-yl)methyl, oxolan-3-ylmethyl, (3-hydroxyoxolan-3-yl)methyl, (2-oxo-1,3-oxazolidin-4-yl)methyl, (2-oxo-1,3-oxazolidin-5-yl)methyl, (4-methyl-2-oxo-1,3-oxazolidin-4-yl)methyl, (4-hydroxyoxan-4-yl)methyl, 2-(4-hydroxyoxan-4-yl)ethyl; 3-hydroxycyclobutyl;  ,  ,  ,  ;

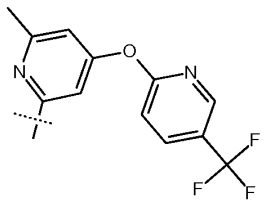
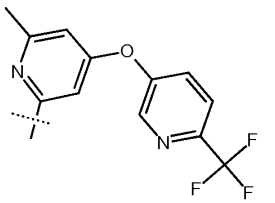
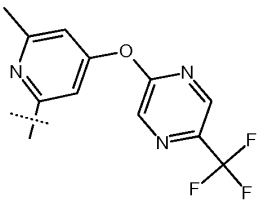
R^3 denotes H;

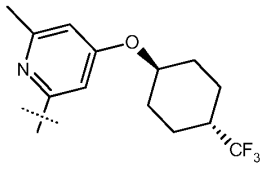
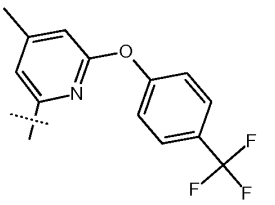
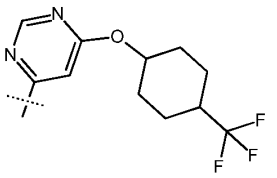
A-L^1-B denotes  ,  ,  ,  ,  ,

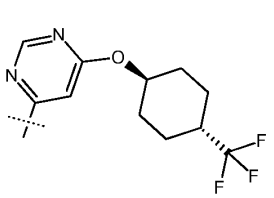
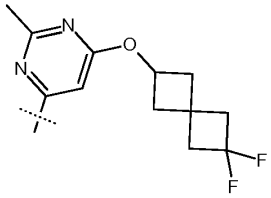
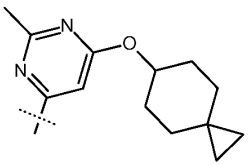

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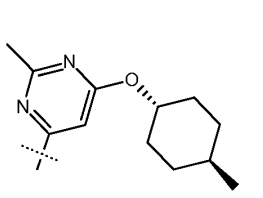
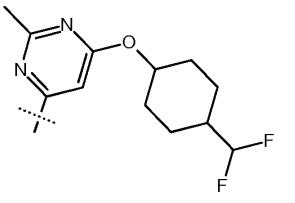
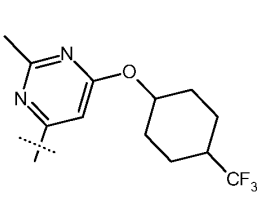
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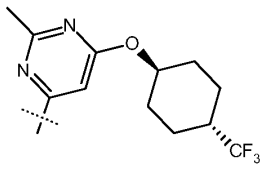
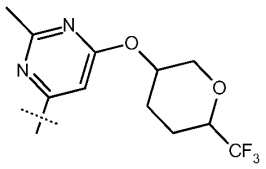
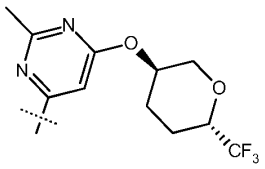
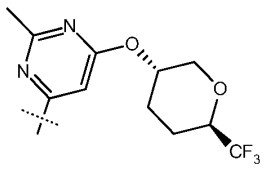
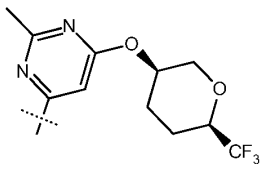
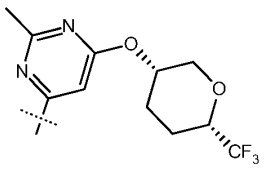
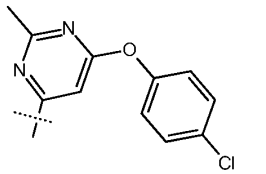
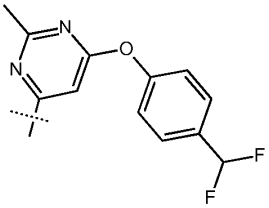
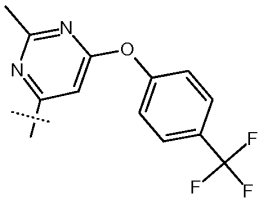
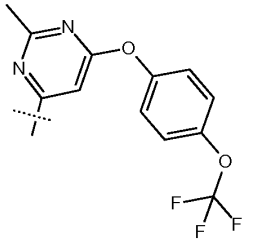
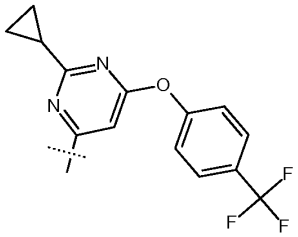
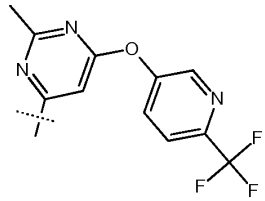
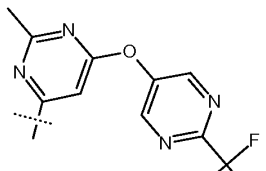
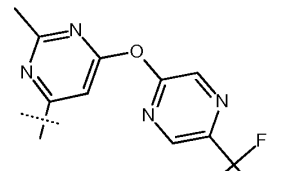
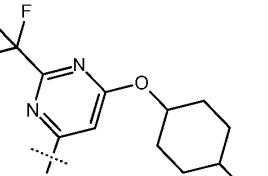
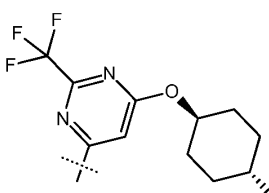
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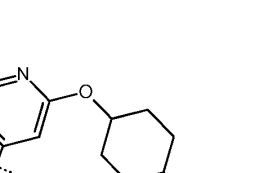
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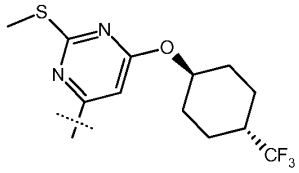
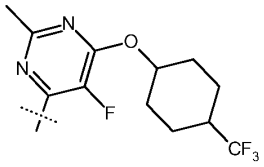
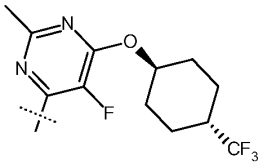
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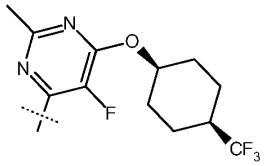
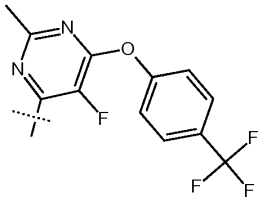
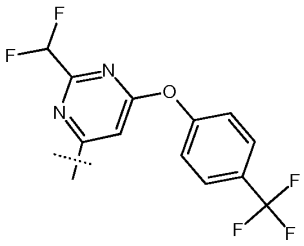

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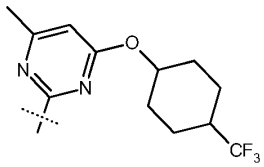
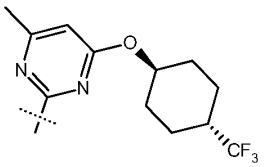
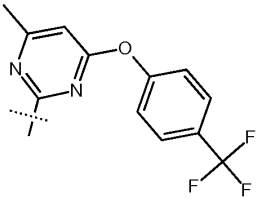
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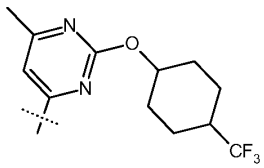
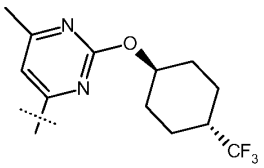
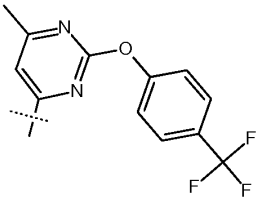
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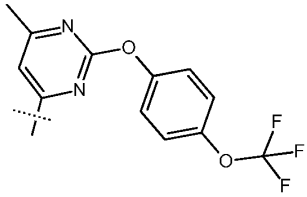
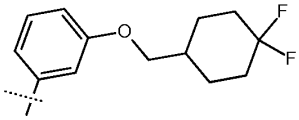
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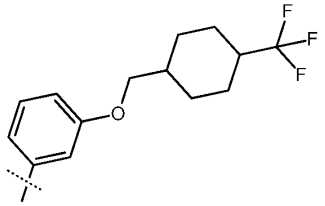
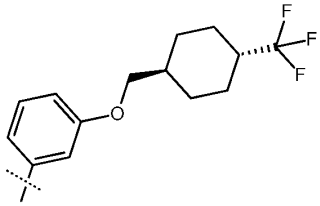

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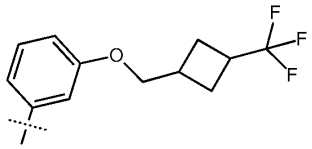
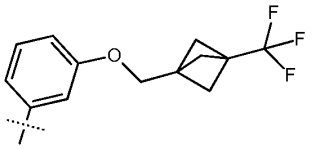

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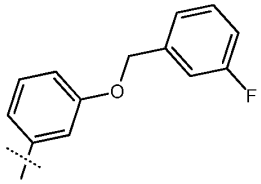
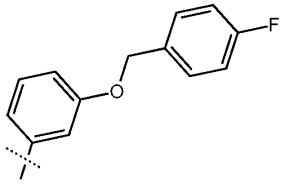

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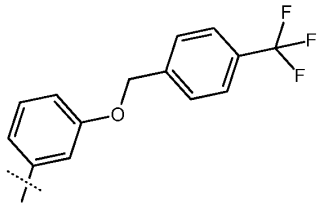
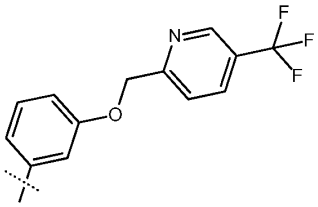

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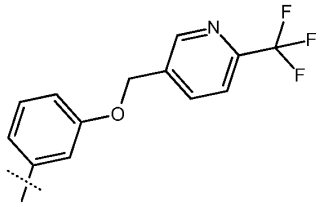
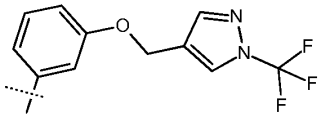

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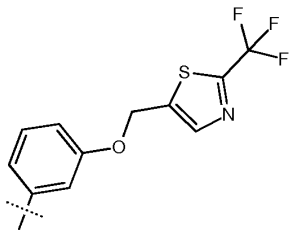
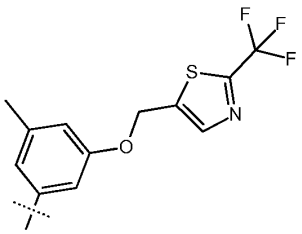

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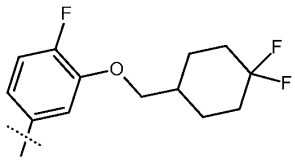
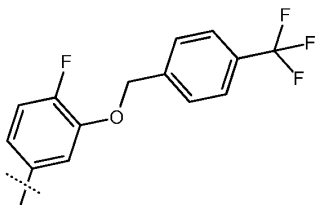

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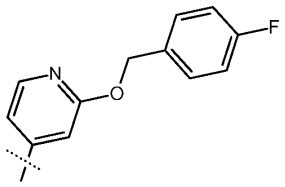
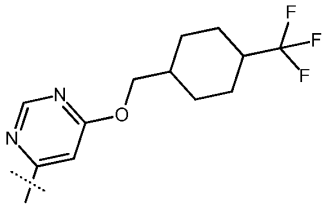
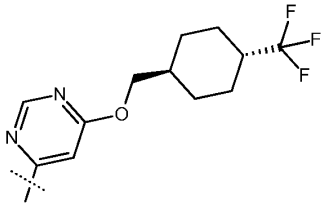
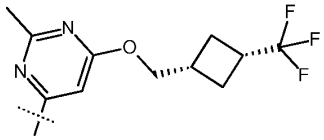
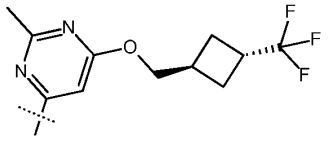
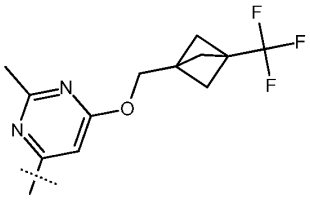
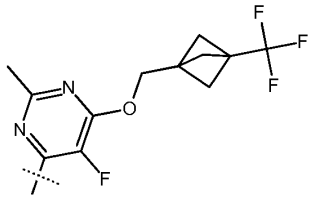
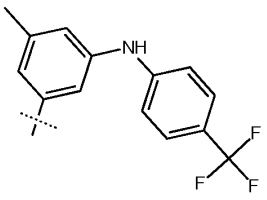
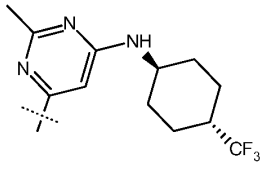

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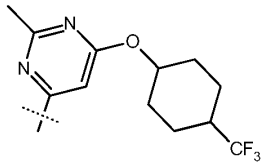
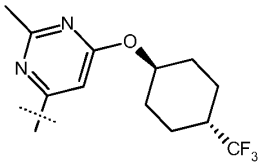
In a particular embodiment, PE14a, of PE14, the compound of the present invention is a bicyclic compound of formula I, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios, wherein

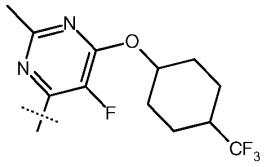
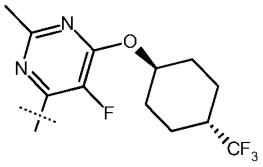
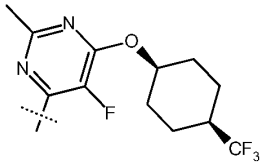
X^1 denotes CH;

R^1 denotes Cl or $-CF_3$;

R^2 denotes H, $-CH_3$, $-CH_2-CN$, $-(CH_2)_2-OH$, $-CH_2-C(CH_3)_2-OH$, (1H-imidazol-4-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl, (oxetan-3-yl)methyl, (3-hydroxyoxolan-3-yl)methyl;

R^3 denotes H;

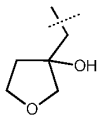
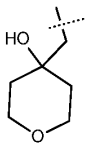
$A\text{-}L^1\text{-}B$ denotes  ,  ;

 ,  ,  .

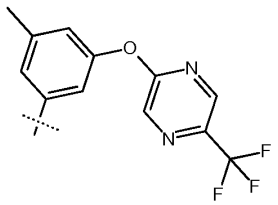
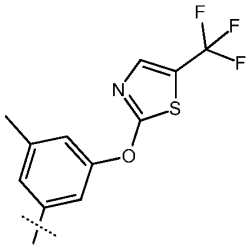
In a particular embodiment of the present invention, PE14-0, which is also a particular embodiment of PE3-0 above, the compound of the present invention is a bicyclic compound of formula I, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios, wherein

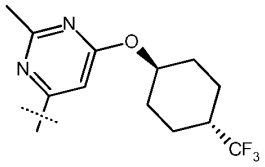
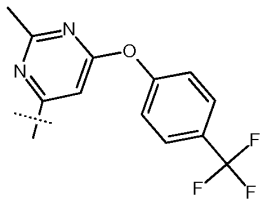
X^1 denotes N;

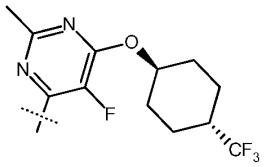
R^1 denotes Cl or $-CF_3$;

R^2 denotes H; CH_3; $-CH_2-CN$, $-(CH_2)_2-OH$, $-CH(CH_2OH)_2$; (1H-imidazol-4-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl; (3-hydroxyoxolan-3-yl)methyl (), (4-hydroxyoxan-4-yl)methyl ();

R^3 denotes H;

A-L^1-B denotes  ,  ;

 ,  ,

 .

This particular embodiment, PE14-0, may also be described as a compound of formula I-B or I-BC as defined herein above with the specific meanings for R^1, R^2, R^3 and A-L^1-B as defined above.

In still another particular embodiment, PE15, the compound of the present invention is a bicyclic compound selected from the compounds shown in Table 1 and Table 1A below, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios. In yet another particular embodiment, PE15a, of PE15, the compound is selected from Table 1 or Table 1A and is a compound of formula I as described hereinabove and in the claims. It is understood that each single compound depicted in Table 1 and Table 1A as well as any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of such compound represents a particular embodiment of the present invention. In yet a further particular embodiment, PE15b, of PE15 or PE15a, the compound is selected

from Table 1 or Table 1A, is a compound of formula I as described hereinabove and in the claims, and is within Group A in the SK-HEP-1 reporter assay and/or within Group A in the H226 viability assay and/or within Group A in the H292 viability assay as provided in Table 2 below.

As used herein, the following definitions shall apply unless otherwise indicated or defined specifically elsewhere in the description and/or the claims for specific substituents, radicals, residues, groups or moieties.

The term “aliphatic” or “aliphatic group”, as used herein, means a straight-chain (i.e., unbranched) or branched, substituted or unsubstituted hydrocarbon chain (also referred to as “acyclic”) that is completely saturated or that contains one or more units of unsaturation; or a monocyclic hydrocarbon or bicyclic hydrocarbon or tricyclic hydrocarbon that is completely saturated or that contains one or more units of unsaturation, such as one or more C=C double bond(s) and/or C≡C triple bond(s), but which is not aromatic (also referred to herein as “carbocycle”, “cycloaliphatic” or “cycloalkyl”), that has – in general and if not defined otherwise in this specification or the accompanied claims – a single point of attachment to the rest of the molecule. Unless otherwise specified, aliphatic groups contain 1 to 10 (i.e., 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10) 1 to 8 (i.e., 1, 2, 3, 4, 5, 6, 7, or 8) or 1 to 6 (i.e., 1, 2, 3, 4, 5, or 6) aliphatic carbon atoms (“C_{1-10}-aliphatic”, “C_{1-8}-aliphatic” and “C_{1-6}-aliphatic”, respectively). In some embodiments, aliphatic groups contain 1-5 (i.e., 1, 2, 3, 4, or 5) aliphatic carbon atoms (“C_{1-5}-aliphatic”). In other embodiments, aliphatic groups contain 1-4 (i.e., 1, 2, 3, or 4) aliphatic carbon atoms (“C_{1-4}-aliphatic”). In still other embodiments, aliphatic groups contain 1-3 (i.e., 1, 2, or 3) aliphatic carbon atoms (“C_{1-3}-aliphatic”), and in yet other embodiments, aliphatic groups contain 1-2 aliphatic carbon atoms (“C_{1-2}-aliphatic”).

In some embodiments, “cycloaliphatic” (“cycloalkyl”) refers to a monocyclic C_3-C_7 hydrocarbon (i.e., a monocyclic hydrocarbon with 3, 4, 5, 6, or 7 ring

carbon atoms) or to a bicyclic C_{5-8} hydrocarbon (i.e. a bicyclic hydrocarbon with 5, 6, 7, or 8 ring carbon atoms) that is completely saturated or that contains one or more units of unsaturation, but which is not aromatic, that has a single point of attachment to the rest of the molecule. In another embodiment the term “cycloaliphatic” or “carbocycle” refers to a monocyclic or bicyclic cycloaliphatic ring system which is fused to an aromatic, heteroaromatic or heterocyclic ring or ring system via 2 adjacent ring atoms of that aromatic, heteroaromatic or heterocyclic ring or ring system; in other words, such carbocycle shares two ring atoms with the ring or ring system to which it is fused thereby having two points of attachment to the rest of the molecule. In another embodiment the term “carbocycle” refers to bicyclic spiro-cycles in which two monocyclic carbocycles are fused to each other via the same single carbon atom. In general, the term “aliphatic” encompasses, to the extent chemically possible, straight-chain, i.e. unbranched, as well as branched hydrocarbon chains, if not defined differently in a particular instance. Also, in general this term encompasses, to the extent chemically possible, unsubstituted and substituted hydrocarbon moieties, if not defined differently in a particular instance. Typical substituents of an aliphatic group include, but are not limited to halogen, in particular F, cyano, hydroxy, alkoxy, unsubstituted or mono- or di-substituted amino, aryl, in particular unsubstituted or substituted phenyl, heteroaryl, in particular unsubstituted or substituted pyridyl or pyrimidinyl, heterocyclyl, in particular unsubstituted or substituted pyrrolidinyl, piperidinyl, piperazinyl or morpholinyl. Suitable aliphatic groups include, but are not limited to, linear or branched, substituted or unsubstituted alkyl, alkenyl, alkynyl (alkinyl), cycloalkyl, cycloalkenyl groups and hybrids thereof as (cycloalkyl)alkyl, (cycloalkenyl)alkyl or (cycloalkyl)alkenyl.

The term "alkyl" usually refers to a saturated aliphatic and acyclic moiety, while the term “alkenyl” usually refers to an unsaturated aliphatic and acyclic moiety with one or more C=C double bonds and the term “alkynyl” (or “alkinyl”) usually refers to an aliphatic and acyclic moiety with one or more

C≡C triple bonds. It is understood that the term "alkenyl" comprises all forms of isomers, i.e. E-isomers, Z-isomers as well as mixtures thereof (E/Z-isomers). Exemplary aliphatic groups are linear or branched, substituted or unsubstituted C_{1-10}-alkyl, C_{1-8}-alkyl, C_{1-6}-alkyl, C_{1-4}-alkyl, C_{1-3}-alkyl, C_{1-2}-alkyl, C_{2-8}-alkenyl, C_{2-6}-alkenyl, C_{2-4}-alkenyl, C_{2-8}-alkynyl (C_{2-8}-alkinyl), C_{2-6}-alkynyl (C_{2-6}-alkinyl), C_{2-4}-alkynyl (C_{2-4}-alkinyl) groups and hybrids thereof such as (cycloalkyl)alkyl, (cycloalkenyl)alkyl or (cycloalkyl)alkenyl.

In particular, the term "C_{1-3}-alkyl" refers to alkyl groups, i.e. saturated acyclic aliphatic groups, having 1, 2 or 3 carbon atoms. Exemplary C_{1-3}-alkyl groups are methyl, ethyl, propyl and isopropyl. The term "C_{1-4}-alkyl" refers to alkyl groups having 1, 2, 3 or 4 carbon atoms. Exemplary C_{1-4}-alkyl groups are methyl, ethyl, propyl, isopropyl, butyl, isobutyl, and tert-butyl. The term "C_{1-6}-alkyl" refers to alkyl groups having 1, 2, 3, 4, 5 or 6 carbon atoms. Exemplary C_{1-6}-alkyl groups are methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, and 2-hexyl. The term "C_{1-8}-alkyl" refers to alkyl groups having 1, 2, 3, 4, 5, 6, 7, or 8 carbon atoms. Exemplary C_{1-8}-alkyl groups are methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, 2-hexyl n-heptyl, 2-heptyl, n-octyl, 2-octyl, and 2,2,4-trimethylpentyl. The term "C_{1-10}-alkyl" refers to alkyl groups having 1, 2, 3, 4, 5, 6, 7, 8, 9, or 10 carbon atoms. Exemplary C_{1-10}-alkyl groups are methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, n-pentyl, 2-pentyl, n-hexyl, 2-hexyl n-heptyl, 2-heptyl, n-octyl, 2-octyl, 2,2,4-trimethylpentyl, and n-decyl. Each of these alkyl groups may be straight-chain or – except for C_1-alkyl and C_2-alkyl – branched and may be unsubstituted or substituted with 1, 2 or 3 substituents that may be the same or different and may be, if not specified differently elsewhere in this specification and/or the accompanying claims, selected from the group comprising halogen, in particular F, cyano, hydroxy, alkoxy, thiol, thioalkoxy, dialkylphosphoryl, in particular, $-P(=O)(CH_3)_2$, unsubstituted or mono- or di-substituted amino, sulfoxide, sulfone, iminoalkylsulfone, in particular $-S(=O)(=NH)CH_3$, iminodialkylsulfone, in particular $-N=S(=O)(CH_3)_2$, carboxylic acid, carboxylic acid ester, carboxylic

acid amide (primary, secondary, tertiary), carbamate, aryl, in particular unsubstituted or substituted phenyl, heteroaryl, in particular unsubstituted or substituted pyridyl or pyrimidinyl, saturated or partially unsaturated heterocyclyl, in particular unsubstituted or substituted pyrrolidinyl, piperidinyl, piperazinyl or morpholinyl. Exemplary substituted alkyl groups are difluoromethyl, trifluoromethyl, 2,2,2-trifluoroethyl, hydroxymethyl, 2-hydroxyethyl, difluoromethoxy, trifluoromethoxy.

In some instances the C_{1-3}-alkyl, C_{1-4}-alkyl, C_{1-6}-alkyl, C_{1-8}-alkyl, C_{1-10}-alkyl groups – both unbranched and branched – may also comprise those residues in which 1 or 2 of non-terminal and non-adjacent $–CH_2-$ (methylene) groups are replaced by –O-, -S- and/or 1 or 2 non-terminal and non-adjacent $–CH_2-$ or –CH- groups are replaced by –NH- or –N-. These replacements yield, for instance, (modified) alkyl groups like $–CH_2-CH_2-O-CH_3$, $–CH_2-CH_2-CH_2-S-CH_3$, $CH_2-CH_2-NH-CH_2-CH_3$, $CH_2-CH_2-O-CH_2-CH_2-O-CH_3$, $CH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_3$, $CH_2-CH_2-N(CH_3)-CH_2-CH_3$, and the like. Further and/or different replacements of –CH– and $–CH_2–$ groups may be defined for specific alkyl substituents or radicals elsewhere in the description and/or the claims. As described for “unmodified” alkyl groups hereinabove these “modified” alkyl groups may optionally be substituted with 1, 2 or 3 substituents that may be the same or different and may be, if not specified differently elsewhere in this specification and/or the accompanying claims, selected from the group comprising halogen, in particular F, hydroxy, alkoxy, unsubstituted or mono- or di-substituted amino, aryl, in particular unsubstituted or substituted phenyl, heteroaryl, in particular unsubstituted or substituted pyridyl or pyrimidinyl, heterocyclyl, in particular unsubstituted or substituted pyrrolidinyl, piperidinyl, piperazinyl or morpholinyl. Examplary modified alkyl groups are $CH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_2-NH_2$, $CH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_2-NH-C(=O)-CH_3$, $CH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_2-NH-C(=O)-OC(CH_3)_3$ $CH_2-CH_2-CH_2-CH_2-CH_2-O-CH_2-CH_2-NH_2$, $CH_2-CH_2-CH_2-CH_2-CH_2-O-CH_2-CH_2-NH-C(=O)-CH_3$, $CH_2-CH(OH)-CH_2-CH_2-O-CH_2-$

CH_2-O-CH_2-CH_2-NH_2, CHR-CH(OH)-CH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_2-NH_2 wherein "R" denotes another substituent.

The term "carbocycle" refers in general, if not defined differently elsewhere, to a saturated or partially unsaturated but not aromatic ring system with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, or 15 ring carbon atoms and non ring hetero atoms; that carbocycle may be monocyclic (C_{3-15}) or bicyclic (C_{5-15}) or tricyclic (C_{8-15}). It will be understood that a bicyclic carbocycle may be (a) a carbocycle in which the two carbocyclic moieties are attached to each other via two different ring carbon atoms, like in bicyclo[1.1.1]pentanyl or bicyclo[3.1.0]hexanyl; or (b) a carbocycle in which the two carbocyclic moieties are attached to each other via the same ring carbon atom, thereby forming a sprio ring, like in spiro[3.3]heptanyl. The same applies, mutatis mutandis, to tricyclic carbocycles. Carbocycles may be unsubstituted or substituted.

The term "cycloalkyl" refers to a cycloaliphatic hydrocarbon, or carbocycle, as defined above. The term "C_{3-7}-cycloalkyl" refers to a cycloaliphatic hydrocarbon, or carbocycle, as defined above, with 3, 4, 5, 6 or 7 ring carbon atoms. Likewise, the term "C_{3-6}-cycloalkyl" refers to a cycloaliphatic hydrocarbon, or carbocycle, with 3, 4, 5, or 6 ring carbon atoms. The terms "cycloalkyl", "C_{3-7}-cycloalkyl" and "C_{3-6}-cycloalkyl" as used herein comprise cyclic hydrocarbons, or carbocycles, which are saturated or contain one or more units of unsaturation, such as a C=C double bond; such cyclic hydrocarbons having at least one unit of unsaturation may also referred to as "cycloalkenyl" group. C_{3-7}-cycloalkyl groups may be unsubstituted or substituted with – unless specified differently elsewhere in this specification – 1, 2 or 3 substituents that may be the same of different and are – unless specified differently elsewhere in this specification – selected from the group comprising C_{1-6}-alkyl, O-C_{1-6}-alkyl (alkoxy), halogen, hydroxy, unsubstituted or mono- or di-substituted amino, aryl, in particular unsubstituted or substituted phenyl. If substituted, C_{3-7}-cycloalkyl comprises all possible

stereoisomers. Exemplary C_{3-7}-cycloalkyl groups are cyclopropyl, 2-methyl-cyclopropyl, cyclopropenyl, cyclobutyl, cyclobutenyl, cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl, cycloheptyl, cycloheptenyl. The term "bicyclic C_{5-8}-cycloalkyl" refers to a bicyclic cycloaliphatic hydrocarbon, as defined above, with 5, 6, 7, or 8 ring carbon atoms; it includes spirocyclic ring systems, i.e. ring systems in which the two carbocycles of the bicyclic C_{5-8}-cycloalkyl are attached to each other via the same carbon atom. Bicylic C_{5-8}-cycloalkyl groups may be unsubstituted or substituted with – unless specified differently elsewhere in this specification – 1, 2 or 3 substituents that may be the same of different and are – unless specified differently elsewhere in this specification – selected from the group comprising C_{1-6}-alkyl, which may be substituted with 1, 2, or 3 halogen, O-C_{1-6}-alkyl (alkoxy), , which may be substituted with 1, 2, or 3 halogen, hydroxy, halogen, unsubstituted or mono- or di-substituted amino. If substituted, bicyclic C_{5-8}-cycloalkyl comprises all possible stereoisomers. Exemplary bicyclic C_{5-8}-cycloalkyls are spiro[3.3]heptanyl, bicyclo[1.1.1]pentanyl, bicyclo[3.1.0]hexanyl, bicyclo[2.2.1]heptan-2-yl, bicyclo[2.2.2]octan-2-yl, bicyclo[2.2.1]hept-5-en-2-ylmethyl, bicyclo[3.1.1]hept-2-en-2-yl.

The term "aliphatoxy" refers to saturated or unsaturated aliphatic groups or substituents as defined above that are connected to another structural moiety via an oxygen atom (-O-). The term "C_{1-6}-aliphatoxy" refers to an aliphatoxy radical with 1, 2, 3, 4, 5, or 6 carbon atoms within the aliphatic group. The term "alkoxy" refers to a particular subgroup of saturated aliphatoxy, i.e. to alkyl substituents and residues that are connected to another structural moiety via an oxygen atom (-O-). Sometimes, it is also referred to as "O-alkyl" and more specifically as "O-C_{1-2}-alkyl", "O-C_{1-3}-alkyl", "O-C_{1-4}-alkyl", "O-C_{1-6}-alkyl", "O-C_{1-8}-alkyl". Like the similar alkyl groups, it may be straight-chain or – except for –O-C_1-alkyl and –O-C_2-alkyl – branched and may be unsubstituted or substituted with 1, 2 or 3 substituents that may be the same or different and are, if not specified differently elsewhere in this specification, selected from the group comprising halogen, unsubstituted or mono- or di-

substituted amino. Exemplary alkoxy groups are methoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, ethoxy, 2,2,2-trifluoroethoxy, n-propoxy, iso-propoxy, n-butoxy, sec-butoxy, tert-butoxy, n-pentoxy.

The term “alkylene” refers to a divalent aliphatic group and in particular a divalent alkyl group. An “alkylene chain” is a polymethylene group, i.e., –$(CH_2)_j$–, wherein j is a positive integer, preferably 1, 2, 3, 4, 5 or 6. In the context of the present invention“''C_{1-3}-alkylene”'' refers to an alkylene moiety with 1, 2 and 3, respectively, -CH_2- groups; the term“''alkylene”'', however, not only comprises linear alkylene groups, i.e.“''alkylene chains'', but branched alkylene groups as well. The term ''C_{1-6}-alkylene'' refers to an alkylene moiety that is either linear, i.e. an alkylene chain, or branched and has 1, 2, 3, 4, 5 or 6 carbon atoms. The term ''C_{2-6}-alkylene'' refers to an alkylene moiety with 2, 3, 4, 5, or 6 carbon atoms, while a ''C_{3-4}-alkylene'' refers to an alkylene moiety with 3 or 4 carbon atoms and''C_{2-3}-alkylene'' refers to an alkylene moiety with 2 or 3 carbon atoms. A substituted alkylene is a group in which one or more methylene hydrogen atoms are replaced by (or with) a substituent. Suitable substituents include those described herein for a substituted alkyl group. In some instances 1 or 2 methylene groups of the alkylene chain may be replaced by, for instance, O, S and/or NH or N-C_{1-4}-alkyl. Exemplary alkylene groups are –CH_2-, –CH_2–CH_2-, –CH_2–CH_2–CH_2–CH_2-, –O–CH_2–CH_2-, –O–CH_2–CH_2–CH_2-, –CH_2–O–CH_2–CH_2-, -O–CH_2-O-, -O–CH_2–CH_2-O-, -O–CH_2–CH_2–CH_2-O-, –CH_2-NH–CH_2–CH_2-, –CH_2-N(CH_3)–CH_2–CH_2-.

The term “alkenylene” refers to a divalent alkenyl group. A substituted alkenylene chain is a polymethylene group containing at least one double bond in which one or more hydrogen atoms are replaced with a substituent. Suitable substituents include those described herein for a substituted aliphatic group. The term “alkenylene” not only refers to straight-chain divalent alkenylene radicals, i.e. an alkenylene chain, but to branched

alkenylene groups as well. The term "C_{2-6}-alkenylene" refers to an alkenylene radical having 2, 3, 4, 5, or 6 carbon atoms.

The term "halogen" means F, Cl, Br, or I. In particular, "halogen" refers to F.

The term "heteroatom" means one or more of oxygen (O), sulfur (S), or nitrogen (N), including any oxidized form of nitrogen or sulfur, e.g. N-oxides, sulfoxides and sulfones; the quaternized form of any basic nitrogen or a substitutable nitrogen of a heterocyclic or heteroaromatic ring, for example N (as in 3,4-dihydro-2*H*-pyrrolyl), NH (as in pyrrolidinyl) or N-SUB with SUB being a suitable substituent (as in N-substituted pyrrolidinyl).

The term "aryl" used alone or as part of a larger moiety as in "aralkyl", "aralkoxy", or "aryloxyalkyl", refers to monocyclic, bicyclic and tricyclic ring systems having a total of five to fourteen ring members, that ring members being carbon atoms, wherein at least one ring in the system is aromatic, i.e., it has (4n+2) π (pi) electrons (with n being an integer selected from 0, 1, 2, 3, 4, 5), which electrons are delocalized over the system, and wherein each ring in the system contains three to seven ring members. Preferably, all rings in the aryl system or the entire ring system are aromatic. The term "aryl" is used interchangeably with the term "aryl ring". In certain embodiments of the present invention, "aryl" refers to an "aromatic ring system". More specifically, those aromatic ring systems may be mono-, bi- or tricyclic with 5, 6, 7, 8, 9, 10, 11, 12, 13, 14 ring carbon atoms. Even more specifically, those aromatic ring systems may be mono- or bicyclic with 6, 7, 8, 9, 10 ring carbon atoms. Exemplary aryl groups are phenyl, biphenyl, naphthyl, anthracyl and the like, which may be unsubstituted or substituted with one or more identical or different substituents. Also included within the scope of the terms "aryl" or "aromatic ring system", as they are used herein, is a group in which an aromatic ring is fused to one or more non–aromatic rings, such as indanyl, phthalimidyl, naphthimidyl, phenanthridinyl, or tetrahydronaphthyl, and the

like. In the latter case the "aryl" group or substituent is attached to its pendant group via the aromatic part of the ring system.

The term “benzo” refers to a six-membered aromatic ring (with carbon ring atoms) that is fused via two adjacent carbon atoms to another ring, being it a cycloaliphatic, aromatic, heteroaromatic or heterocyclic (heteroaliphatic) ring; as a result a ring system with at least two rings is formed in which the benzo ring shares two common carbon atoms with the other ring to which it is fused. For example, if a benzo ring is fused to a phenyl ring, a napthaline ring system is formed, while fusing a benzo ring to a pyridine provides for either a quinoline or an isoquinoline; fusing a benzo ring to a cyclopentene ring provides an indene ring.

The terms “heteroaryl” and “heteroar–”, used alone or as part of a larger moiety, e.g., “heteroaralkyl”, or “heteroaralkoxy”, refer to groups having 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14 ring atoms (which atoms are carbon and hetero atoms), preferably 5, 6, 9 or 10 ring atoms; having 6, 10, or 14 π (pi) electrons shared in a cyclic array; and having, in addition to carbon atoms, 1, 2, 3, 4 or 5 heteroatoms. The term “heteroatom” refers to nitrogen, oxygen, or sulfur, and includes any oxidized form of nitrogen or sulfur, and any quaternized form of a basic nitrogen. In other words, a “heteroaryl” ring or ring system (or a heteroaromatic ring or ring system) may also be described as an aromatic heterocycle. Heteroaryl groups include, without limitation, thienyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, oxazolyl, isoxazolyl, oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, furazanyl, pyridyl (pyridinyl), pyridazinyl, pyrimidinyl, pyrazinyl, indolizinyl, purinyl, naphthyridinyl, pteridinyl, and pyrrolopyridinyl, in particular pyrrolo[2,3-b]pyridinyl. The terms “heteroaryl” and “heteroar–”, as used herein, also include groups in which a heteroaromatic ring is fused to one or more aryl, cycloaliphatic, or heterocyclyl rings, where the radical or point of attachment is preferably on the heteroaromatic or, if present, the aryl ring. Nonlimiting examples include indolyl, isoindolyl, benzothienyl (benzothiophenyl), benzofuranyl,

dibenzofuranyl, indazolyl, benzimidazolyl, benzothiazolyl, quinolyl, isoquinolyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, 4*H*–quinolizinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl, phenoxazinyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, 9H-carbazolyl, dibenzofuranyl and pyrido[2,3–b]–1,4–oxazin–3(4*H*)–one. For example, an indolyl ring may be attached via one of the ring atoms of the six-membered aryl ring or via one of the ring atoms of the five-membered heteroaryl ring. A heteroaryl group is optionally mono-, bi- or tricyclic. The term "heteroaryl" is used interchangeably with the terms "heteroaryl ring", "heteroaryl group", or "heteroaromatic", any of which terms include rings that are unsubstituted or substituted with one or more identical or different substituents. The term "heteroaralkyl" refers to an alkyl group substituted by a heteroaryl, wherein the alkyl and heteroaryl portions independently are optionally substituted.

A heteroaryl ring can be attached to its pendant group at any of its hetero or carbon ring atoms which attachment results in a stable structure or molecule: any of the ring atoms may be unsubstituted or substituted.

As used herein, the terms "heterocycle", "heterocyclyl", "heterocyclic radical", and "heterocyclic ring" are used interchangeably and refer to a stable mono- bi- or tricyclic heterocyclic moiety with 5, 6, 7, 8, 9, 10, 11, 12, 13, 14 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms are hetero atoms and wherein that heterocyclic moiety is either saturated or partially unsaturated; heterocyclic moieties that are aromatic rings or ring systems are usually referred to as "heteroaryl" moieties as described hereinabove. Preferably, the heterocycle is a stable saturated or partially unsaturated 3-, 4-, 5-, 6-, or 7-membered monocyclic or 6-, 7-, 8-, 9-, 10-, or 11-membered bicyclic or 11-, 12-, 13-, or 14-membered tricyclic heterocyclic moiety.

When used in reference to a ring atom of a heterocycle, the term "nitrogen" includes a substituted nitrogen. As an example, in a saturated or partially unsaturated ring having 1–3 heteroatoms selected from oxygen, sulfur or

nitrogen, the nitrogen is N (as in 3,4–dihydro–2H–pyrrolyl), NH (as in pyrrolidinyl), or N-SUB with SUB being a suitable substituent (as in N–substituted pyrrolidinyl).

In the context of the term "heterocycle" the term "saturated" refers to a completely saturated heterocyclic system, like pyrrolidinyl, piperidinyl, morpholinyl, piperidinonyl, tetrahydrofuranyl, thianyl, and dioxothianyl. With regard to the term "heterocycle" the term "partially unsaturated" refers to heterocyclic systems (i) that contain one or more units of unsaturation, e.g. a C=C or a C=Heteroatom bond, but that are not aromatic, for instance, tetrahydropyridinyl; or (ii) in which a (saturated or unsaturated but non-aromatic) heterocyclic ring is fused with an aromatic or heteroaromatic ring system, wherein, however, the "partially unsaturated heterocycle" is attached to the rest of the molecule (its pendant group) via one of the ring atoms of the "heterocyclic" part of the system and not via the aromatic or heteroaromatic part. This first class (i) of "partially unsaturated" heterocycles may also be referred to as "non-aromatic partially unsaturated" heterocycles. This second class (ii) of "partially unsaturated" heterocycles may also be referred to as (bicyclic or tricyclic) "partially aromatic" heterocycles indicating that at least one of the rings of that heterocycle is a saturated or unsaturated but non-aromatic heterocycle that is fused with at least one aromatic or heteroaromatic ring system. Typical examples of these "partially aromatic" heterocycles are 1,2,3,4-tetrahydroquinolinyl and 1,2,3,4-tetrahydroisoquinolinyl.

A heterocyclic ring can be attached to its pendant group at any heteroatom or carbon atom that results in a stable structure and any of the ring atoms may be unsubstituted or substituted. Examples of such saturated or partially unsaturated heterocyclic radicals include, without limitation, tetrahydrofuranyl, tetrahydropyranyl, thianyl, dioxothianyl, tetrahydrothiophenyl, pyrrolidinyl, piperidinyl, pyrrolinyl, morpholinyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, decahydroquinolinyl,

oxazolidinyl, piperazinyl, dioxanyl, dioxolanyl, diazepinyl, oxazepinyl, thiazepinyl, morpholinyl, and quinuclidinyl. The terms “heterocycle”, “heterocyclyl”, “heterocyclyl ring”, “heterocyclic group”, “heterocyclic moiety”, and “heterocyclic radical”, are used interchangeably herein, and also include groups in which a heterocyclyl ring is fused to one or more aryl, heteroaryl, or cycloaliphatic rings, such as indolinyl, 3H–indolyl, chromanyl, phenanthridinyl, or tetrahydroquinolinyl, where the radical or point of attachment is on the heterocyclyl ring. A heterocyclyl group is optionally mono–, bi- or tricyclic. The term “heterocyclylalkyl” refers to an alkyl group substituted by a heterocyclyl, wherein the alkyl and heterocyclyl portions independently are unsubstituted or substituted.

The term “unsaturated”, as used herein, means that a moiety or group or substituent has one or more units of unsaturation.

As used herein with reference to any rings, ring systems, ring moieties, and the like, the term “partially unsaturated” refers to a ring moiety that includes at least one double or triple bond. The term “partially unsaturated” is intended to encompass rings having multiple sites of unsaturation. In particular, it encompasses (i) non-saturated (mono-, bi- or tricyclic) ring systems without any aromatic or heteroaromatic moiety or part; and (ii) bi- or tricyclic ring systems in which one of the rings of that system is an aromatic or heteroaromatic ring which is fused with another ring that is neither an aromatic nor a heteroaromatic ring, e.g. tetrahydronaphthyl or tetrahydroquinolinyl. The first class (i) of "partially unsaturated" rings, ring systems, ring moieties may also be referred to as "non-aromatic partially unsaturated" rings, ring systems, ring moieties, while the second class (ii) may be referred to as "partially aromatic" rings, ring systems, ring moieties.

As used herein, the term “bicyclic”, “bicyclic ring” or “bicyclic ring system” refers to any bicyclic ring system, i.e. carbocyclic or heterocyclic, saturated or having one or more units of unsaturation, i.e. being partially unsaturated

or aromatic, having one or more atoms in common between the two rings of the ring system. Thus, the term includes any permissible ring fusion, such as *ortho*-fused or spirocyclic. As used herein, the term "heterobicyclic" is a subset of "bicyclic" that requires that one or more heteroatoms are present in one or both rings of the bicycle. Such heteroatoms may be present at ring junctions and are optionally substituted, and may be selected from nitrogen (including N-oxides), oxygen, sulfur (including oxidized forms such as sulfones and sulfonates), phosphorus (including oxidized forms such as phosphates), boron, etc. In some embodiments, a bicyclic group has 7-12 ring members and 0-4 heteroatoms independently selected from nitrogen, oxygen, or sulfur. Likewise, the term "tricyclic", "tricyclic ring" or "tricyclic ring system" refers to any tricyclic ring system, i.e. carbocyclic or heterocyclic, saturated or having one or more units of unsaturation, i.e. being partially unsaturated or aromatic, in which a bicyclic ring system (as defined above) is fused with another, third ring. Thus, the term includes any permissible ring fusion. As used herein, the term "heterotricyclic" is a subset of "tricyclic" that requires that one or more heteroatoms are present in one or both rings of the tricycle. Such heteroatoms may be present at ring junctions and are optionally substituted, and may be selected from nitrogen (including N-oxides), oxygen, sulfur (including oxidized forms such as sulfones and sulfonates), phosphorus (including oxidized forms such as phosphates), boron, etc. In some embodiments, a tricyclic group has 10-14 ring members and 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur.

As described herein, certain compounds of the invention contain "substituted" or "optionally substituted" moieties. In general, the term "substituted", whether preceded by the term "optionally" or not, means that one or more hydrogens of the designated moiety are replaced with a suitable substituent. "Substituted" applies to one or more hydrogens that are either explicit or implicit from the structure. Unless otherwise indicated, a "substituted" or "optionally substituted" group has a suitable substituent at each substitutable position of the group, and when more than one position in any given structure

is substituted with more than one substituent selected from a specified group, the substituent is either the same or different at every position. If a certain group, substituent, moiety or radical is "mono-substituted", it bears one (1) substituent. If it is "di-substituted", it bears two (2) substituents, being either the same or different; if it is "tri-substituted", it bears three (3) substituents, wherein all three are the same or two are the same and the third is different or all three are different from each other. Combinations of substituents envisioned by this invention are preferably those that result in the formation of stable or chemically feasible compounds. The term “stable”, as used herein, refers to compounds that are not substantially altered when subjected to conditions to allow for their production, detection, and, in certain embodiments, their recovery, purification, and use for one or more of the purposes disclosed herein.

If not specified otherwise elsewhere in the specification or the accompanying claims it is understood that each optional substituent on a substitutable carbon is a monovalent substituent independently selected from halogen; –$(CH_2)_{0–4}R^\circ$; –$(CH_2)_{0–4}OR^\circ$; -$O(CH_2)_{0-4}R^\circ$, –$O–(CH_2)_{0–4}C(O)OR^\circ$; –$(CH_2)_{0–4}CH(OR^\circ)_2$; –$(CH_2)_{0–4}SR^\circ$; –$(CH_2)_{0–4}Ph$, which may be substituted with one or more R°; –$(CH_2)_{0–4}O(CH_2)_{0–1}Ph$ which may be substituted with one or more R°; –CH=CHPh, which may be substituted with one or more R°; –$(CH_2)_{0–4}O(CH_2)_{0–1}$-pyridyl which may be substituted with one or more R°; –NO_2; –CN; –N_3; -$(CH_2)_{0–4}N(R^\circ)_2$; –$(CH_2)_{0–4}N(R^\circ)C(O)R^\circ$; –$N(R^\circ)C(S)R^\circ$; –$(CH_2)_{0–4}N(R^\circ)C(O)NR^\circ_2$; -$N(R^\circ)C(S)NR^\circ_2$; –$(CH_2)_{0–4}N(R^\circ)C(O)OR^\circ$; –$N(R^\circ)N(R^\circ)C(O)R^\circ$; -$N(R^\circ)N(R^\circ)C(O)NR^\circ_2$; -$N(R^\circ)N(R^\circ)C(O)OR^\circ$; –$(CH_2)_{0–4}C(O)R^\circ$; –$C(S)R^\circ$; –$(CH_2)_{0–4}C(O)OR^\circ$; –$(CH_2)_{0–4}C(O)SR^\circ$; -$(CH_2)_{0–4}C(O)OSiR^\circ_3$; –$(CH_2)_{0–4}OC(O)R^\circ$; –$OC(O)(CH_2)_{0–4}SR–$, $SC(S)SR^\circ$; –$(CH_2)_{0–4}SC(O)R^\circ$; –$(CH_2)_{0–4}C(O)NR^\circ_2$; –$C(S)NR^\circ_2$; –$C(S)SR^\circ$; –$SC(S)SR^\circ$, -$(CH_2)_{0–4}OC(O)NR^\circ_2$; -$C(O)N(OR^\circ)R^\circ$; –$C(O)C(O)R^\circ$; –$C(O)CH_2C(O)R^\circ$; –$C(NOR^\circ)R^\circ$; -$(CH_2)_{0–4}SSR^\circ$; –$(CH_2)_{0–4}S(O)_2R^\circ$; –$(CH_2)_{0–4}S(O)_2OR^\circ$; –$(CH_2)_{0–4}OS(O)_2R^\circ$; –$S(O)_2NR^\circ_2$; –$S(O)(NR^\circ)R^\circ$; –$S(O)_2N=C(NR^\circ_2)_2$; -$(CH_2)_{0–}$

$_4$S(O)R°; -N(R°)S(O)$_2$NR°$_2$; –N(R°)S(O)$_2$R°; –N(OR°)R°; –C(NH)NR°$_2$; –P(O)$_2$R°; -P(O)R°$_2$; -OP(O)R°$_2$; –OP(O)(OR°)$_2$; SiR°$_3$; –(C$_{1–4}$ straight or branched alkylene)O–N(R°)$_2$; or –(C$_{1–4}$ straight or branched alkylene)C(O)O–N(R°)$_2$. It is understood that "Ph" means phenyl; and that "–(CH$_2$)$_{0-4}$" means that there is either no alkylene group if the subscript is "0" (zero) or an alkylene group with 1, 2, 3 or 4 CH$_2$ units.

Each R° is independently hydrogen, halogen, C$_{1–6}$ aliphatic, –CH$_2$Ph, –O(CH$_2$)$_{0–1}$Ph, -CH$_2$-(5-6 membered heteroaryl ring), or a 5–6–membered saturated, partially unsaturated, or aryl ring having 0–4 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or, notwithstanding the definition above, two independent occurrences of R°, taken together with their intervening atom(s), form a 3–12–membered saturated, partially unsaturated, or aryl mono– or bicyclic ring having 0–4 heteroatoms independently selected from nitrogen, oxygen, or sulfur, which may be substituted by a divalent substituent on a saturated carbon atom of R° selected from =O and =S; or each R° is optionally substituted with a monovalent substituent independently selected from halogen, –(CH$_2$)$_{0–2}$R•, –(haloR•), –(CH$_2$)$_{0–2}$OH, –(CH$_2$)$_{0–2}$OR•, –(CH$_2$)$_{0–2}$CH(OR•)$_2$; O(haloR•), –CN, –N$_3$, –(CH$_2$)$_{0–2}$C(O)R•, –(CH$_2$)$_{0–2}$C(O)OH, –(CH$_2$)$_{0–2}$C(O)OR•, –(CH$_2$)$_{0–2}$SR•, –(CH$_2$)$_{0–2}$SH, –(CH$_2$)$_{0–2}$NH$_2$, –(CH$_2$)$_{0–2}$NHR•, –(CH$_2$)$_{0–2}$NR•$_2$, –NO$_2$, –SiR•$_3$, –OSiR•$_3$, C(O)SR•, –(C$_{1–4}$ straight or branched alkylene)C(O)OR•, or –SSR•. It is understood that "Ph" means phenyl; "halo" means halogen; and "–(CH$_2$)$_{0-2}$" means that there is either no alkylene group if the subscript is "0" (zero) or an alkylene group with 1 or 2 CH$_2$ units.

Each R• is independently selected from C$_{1–4}$ aliphatic, –CH$_2$Ph, –O(CH$_2$)$_{0–1}$Ph, or a 5–6–membered saturated, partially unsaturated, or aryl ring having 0–4 heteroatoms independently selected from nitrogen, oxygen, or sulfur, and wherein each R• is unsubstituted or where preceded by halo is substituted only with one or more halogens; or wherein an optional

substituent on a saturated carbon is a divalent substituent independently selected from =O, =S, =NNR*$_2$, =NNHC(O)R*, =NNHC(O)OR*, =NNHS(O)$_2$R*, =NR*, =NOR*, –O(C(R*$_2$))$_{2–3}$O–, or –S(C(R*$_2$))$_{2–3}$S–, or a divalent substituent bound to vicinal substitutable carbons of an "optionally substituted" group is –O(CR*$_2$)$_{2–3}$O–, wherein each independent occurrence of R* is selected from hydrogen, C$_{1–6}$ aliphatic or an unsubstituted 5–6–membered saturated, partially unsaturated, or aryl ring having 0–4 heteroatoms independently selected from nitrogen, oxygen, or sulfur.

When R* is C$_{1–6}$ aliphatic, R* is optionally substituted with halogen, –R•, (haloR•), OH, –OR•, –O(haloR•), –CN, –C(O)OH, –C(O)OR•, –NH$_2$, –NHR•, –NR•$_2$, or –NO$_2$, wherein each R• is independently selected from C$_{1–4}$ aliphatic, –CH$_2$Ph, –O(CH$_2$)$_{0–1}$Ph, or a 5–6–membered saturated, partially unsaturated, or aryl ring having 0–4 heteroatoms independently selected from nitrogen, oxygen, or sulfur, and wherein each R• is unsubstituted or where preceded by halo is substituted only with one or more halogens.

An optional substituent on a substitutable nitrogen is independently –R†, –NR†$_2$, –C(O)R†, –C(O)OR†, –C(O)C(O)R†, –C(O)CH$_2$C(O)R†, -S(O)$_2$R†, -S(O)$_2$NR†$_2$, –C(S)NR†$_2$, –C(NH)NR†$_2$, or –N(R†)S(O)$_2$R†; wherein each R† is independently hydrogen, C$_{1–6}$ aliphatic, unsubstituted –OPh, or an unsubstituted 5–6–membered saturated, partially unsaturated, or aryl ring having 0–4 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or, two independent occurrences of R†, taken together with their intervening atom(s) form an unsubstituted 3–12–membered saturated, partially unsaturated, or aryl mono– or bicyclic ring having 0–4 heteroatoms independently selected from nitrogen, oxygen, or sulfur; wherein when R† is C$_{1–6}$ aliphatic, R† is optionally substituted with halogen, –R•, -(haloR•), -OH, –OR•, –O(haloR•), –CN, –C(O)OH, –C(O)OR•, –NH$_2$, –NHR•, –NR•$_2$, or –NO$_2$, wherein each R• is independently selected from C$_{1–4}$ aliphatic, –CH$_2$Ph, –O(CH$_2$)$_{0–1}$Ph, or a 5–6–membered saturated, partially unsaturated, or aryl ring having 0–4 heteroatoms

independently selected from nitrogen, oxygen, or sulfur, and wherein each $R^{\bullet}$ is unsubstituted or where preceded by halo is substituted only with one or more halogens. It is understood that “Ph” means phenyl; and “halo” means halogen.

The term “solvates” means addition forms of the compounds of the present invention with solvents, preferably pharmaceutically acceptable solvents that contain either stoichiometric or non-stoichiometric amounts of solvent. Some compounds have a tendency to trap a fixed molar ratio of solvent molecules in the crystalline solid state, thus forming a solvate. If the solvent is water the solvate formed is a hydrate, e.g. a hemi-, mono- or dihydrate. If the solvent is alcohol, the solvate formed is an alcoholate, e.g., a methanolate or ethanolate. If the solvent is an ether, the solvate formed is an etherate, e.g., diethyl etherate.

The term "N-oxides" means such compounds of the present invention that contain an amine oxide moiety, i.e. the oxide of a tertiary amine group.

The compounds of formula I may – also depending on the nature of substituents they may bear – have one or more centers of chirality. They may accordingly occur in various enantiomeric and diastereomeric forms, as the case may be, and be in racemic or optically active form. The invention, therefore, also relates to the optically active forms, enantiomers, racemates, diastereomers, mixtures thereof in all ratios, collectively: “stereoisomers” for the purpose of the present invention, of these compounds. Since the pharmaceutical activity of the racemates or stereoisomers of the compounds according to the invention may differ, it may be desirable to use a specific stereoisomer, e.g. one specific enantiomer or diastereomer. In these cases, a compound according to the present invention obtained as a racemate or even intermediates thereof – may be separated into the stereoisomeric (enantiomeric, diastereoisomeric) compounds by chemical or physical measures known to the person skilled in the art. Another approach that may

be applied to obtain one or more specific stereoisomers of a compound of the present invention in an enriched or pure form makes use of stereoselective synthetic procedures, e.g. applying starting material in a stereoisomerically enriched or pure form (for instance using the pure or enriched (R)- or (S)-enantiomer of a particular starting material bearing a chiral center) or utilizing chiral reagents or catalysts, in particular enzymes. In the context of the present invention the term "pure enantiomer" usually refers to a relative purity of one enantiomer over the other (its antipode) of equal to or greater than 95%, preferably ≥ 98 %, more preferably ≥ 98.5%, still more preferably ≥ 99%.

Thus, for example, the compounds of the invention which have one or more centers of chirality and which occur as racemates or as mixtures of enantiomers or diastereoisomers can be fractionated or resolved by methods known per se into their optically pure or enriched isomers, i.e. enantiomers or diastereomers. The separation of the compounds of the invention can take place by chromatographic methods, e.g. column separation on chiral or nonchiral phases, or by recrystallization from an optionally optically active solvent or by use of an optically active acid or base or by derivatization with an optically active reagent such as, for example, an optically active alcohol, and subsequent elimination of the radical.

In the context of the present invention the term “tautomer” refers to compounds of the present invention that may exist in tautomeric forms and show tautomerism; for instance, carbonyl compounds may be present in their keto and/or their enol form and show keto-enol tautomerism. Those tautomers may occur in their individual forms, e.g., the keto or the enol form, or as mixtures thereof and are claimed separately and together as mixtures in any ratio. The same applies for cis/trans isomers, E/Z isomers, conformers and the like.

In one embodiment the compounds of the present invention are in the form of free base or acid – as the case may be -, i.e. in their non-salt (or salt-free)

form. In another embodiment the compounds of the present invention are in the form of a pharmaceutically acceptable salt, a pharmaceutically acceptable solvate, or a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt.

The term "pharmaceutically acceptable salts" refers to salts prepared from pharmaceutically acceptable bases or acids, including inorganic bases or acids and organic bases or acids. In cases where the compounds of the present invention contain one or more acidic or basic groups, the invention also comprises their corresponding pharmaceutically acceptable salts. Thus, the compounds of the present invention which contain acidic groups, such as carboxyl groups, can be present in salt form, and can be used according to the invention, for example, as alkali metal salts, alkaline earth metal salts, aluminium salts or as ammonium salts. More precise examples of such salts include lithium salts, sodium salts, potassium salts, calcium salts, magnesium salts, barium salts or salts with ammonia or organic amines such as, for example, ethylamine, ethanolamine, diethanolamine, triethanolamine, piperdine, N-methylglutamine or amino acids. These salts are readily available, for instance, by reacting the compound having an acidic group with a suitable base, e.g. lithium hydroxide, sodium hydroxide, sodium propoxide, potassium hydroxide, potassium ethoxide, magnesium hydroxide, calcium hydroxide or barium hydroxide. Other base salts of compounds of the present invention include but are not limited to copper(I), copper(II), iron(II), iron (III), manganese(II) and zinc salts. Compounds of the present invention which contain one or more basic groups, e.g. groups which can be protonated, can be present in salt form, and can be used according to the invention in the form of their addition salts with inorganic or organic acids. Examples of suitable acids include hydrogen chloride, hydrogen bromide, hydrogen iodide, phosphoric acid, sulfuric acid, nitric acid, methanesulfonic acid, p-toluenesulfonic acid, naphthalenedisulfonic acid, sulfoacetic acid, trifluoroacetic acid, oxalic acid, acetic acid, tartaric acid, lactic acid, salicylic acid, benzoic acid, carbonic acid, formic acid, propionic acid, pivalic acid,

diethylacetic acid, malonic acid, succinic acid, pimelic acid, fumaric acid, malonic acid, maleic acid, malic acid, embonic acid, mandelic acid, sulfaminic acid, phenylpropionic acid, gluconic acid, ascorbic acid, isonicotinic acid, citric acid, adipic acid, taurocholic acid, glutaric acid, stearic acid, glutamic acid or aspartic acid, and other acids known to the person skilled in the art. The salts which are formed are, inter alia, hydrochlorides, chlorides, hydrobromides, bromides, iodides, sulfates, phosphates, methanesulfonates (mesylates), tosylates, carbonates, bicarbonates, formates, acetates, sulfoacetates, triflates, oxalates, malonates, maleates, succinates, tartrates, malates, embonates, mandelates, fumarates, lactates, citrates, glutarates, stearates, aspartates and glutamates. The stoichiometry of the salts formed from the compounds of the invention may moreover be an integral or non-integral multiple of one.

Compounds of the present invention which contain basic nitrogen-containing groups can be quaternized using agents such as (C_1-C_4)alkyl halides, for example methyl, ethyl, isopropyl and tert-butyl chloride, bromide and iodide; di(C_1-C_4)alkyl sulfates, for example dimethyl, diethyl and diamyl sulfate; (C_{10}-C_{18})alkyl halides, for example decyl, dodecyl, lauryl, myristyl and stearyl chloride, bromide and iodide; and aryl(C_1-C_4)alkyl halides, for example benzyl chloride and phenethyl bromide. Both water- and oil-soluble compounds according to the invention can be prepared using such salts.

If the compounds of the present invention simultaneously contain acidic and basic groups in the molecule, the invention also includes, in addition to the salt forms mentioned, inner salts or betaines (zwitterions). The respective salts can be obtained by customary methods which are known to a person skilled in the art, for example by contacting these with an organic or inorganic acid or base in a solvent or dispersant, or by anion exchange or cation exchange with other salts. The present invention also includes all salts of the compounds of the present invention which, owing to low physiological compatibility, are not directly suitable for use in pharmaceuticals but which

can be used, for example, as intermediates for chemical reactions or for the preparation of pharmaceutically acceptable salts.

Therefore, the following items are also in accordance with the invention:

(a) all stereoisomers or tautomers of the compounds, including mixtures thereof in all ratios;

(b) pharmaceutically acceptable salts of the compounds and of the items mentioned under (a);

(c) pharmaceutically acceptable solvates of the compounds and of the items mentioned under (a) and (b);

(d) N-oxides of the compounds and of the items mentioned under (a), (b), and (c).

It will be understood that all references to compounds above and below are meant to include these items, in particular pharmaceutically acceptable solvates of the compounds, or pharmaceutically acceptable solvates of their pharmaceutically acceptable salts.

There is furthermore intended that a compound of the present invention includes isotope-labelled forms thereof. An isotope-labelled form of a compound of the formula I is identical to this compound apart from the fact that one or more atoms of the compound have been replaced by an atom or atoms having an atomic mass or mass number which differs from the atomic mass or mass number of the atom which usually occurs naturally. Examples of isotopes which are readily commercially available and which can be incorporated into a compound of the present invention by well-known methods include isotopes of hydrogen, carbon, nitrogen, oxygen, phosphorus, sulfur, fluorine and chlorine, for example ^{2}H (D), ^{3}H, ^{13}C, ^{14}C, ^{15}N, ^{18}O, ^{17}O, ^{31}P, ^{32}P, ^{33}S, ^{34}S, ^{35}S, ^{36}S, ^{18}F and ^{36}Cl, respectively. A compound of formula I or a pharmaceutically acceptable salt thereof which contains one or more of the above-mentioned isotopes and/or other isotopes of other atoms is intended to be part of the present invention. An isotope-

labelled compound of formula I can be used in a number of beneficial ways. For example, an isotope-labelled compound of the present invention into which, for example, a radioisotope, such as ^{3}H or ^{14}C, has been incorporated is suitable for medicament and/or substrate tissue distribution assays. These radioisotopes, i.e. tritium (^{3}H) and carbon-14 (^{14}C), are particularly preferred owing to simple preparation and excellent detectability. Incorporation of heavier isotopes, for example deuterium (^{2}H), into a compound of formula I has therapeutic advantages owing to the higher metabolic stability of this isotope-labelled compound. Higher metabolic stability translates directly into an increased in vivo half-life or lower dosages, which under most circumstances would represent a preferred embodiment of the present invention. An isotope-labelled compound of formula I can usually be prepared by carrying out the procedures disclosed in the synthesis schemes and the related description, in the example part and in the preparation part in the present text, replacing a non-isotope-labelled reactant by a readily available isotope-labelled reactant.

Deuterium (^{2}H; D) can also be incorporated into a compound of formula I for the purpose of manipulating the oxidative metabolism of the compound by way of the primary kinetic isotope effect. The primary kinetic isotope effect is a change of the rate for a chemical reaction that results from exchange of isotopic nuclei, which in turn is caused by the change in ground state energies necessary for covalent bond formation after this isotopic exchange. Exchange of a heavier isotope usually results in a lowering of the ground state energy for a chemical bond and thus cause a reduction in the rate in rate-limiting bond breakage. If the bond breakage occurs in or in the vicinity of a saddle-point region along the coordinate of a multi-product reaction, the product distribution ratios can be altered substantially. For explanation: if deuterium is bonded to a carbon atom at a non-exchangeable position, rate differences of k_M/k_D = 2-7 are typical. If this rate difference is successfully applied to a compound of the formula I that is susceptible to oxidation, the

profile of this compound in vivo can be drastically modified and result in improved pharmacokinetic properties.

When discovering and developing therapeutic agents, the person skilled in the art attempts to optimize pharmacokinetic parameters while retaining desirable in vitro properties. It is reasonable to assume that many compounds with poor pharmacokinetic profiles are susceptible to oxidative metabolism. In vitro liver microsomal assays currently available provide valuable information on the course of oxidative metabolism of this type, which in turn permits the rational design of deuterated compounds of the formula I with improved stability through resistance to such oxidative meta-bolism. Significant improvements in the pharmacokinetic profiles of compounds of the formula I are thereby obtained, and can be expressed quantitatively in terms of increases in the in vivo half-life (t1/2), concentration at maximum therapeutic effect (C_{max}), area under the dose response curve (AUC), and F; and in terms of reduced clearance, dose and materials costs.

The following is intended to illustrate the above: a compound of formula I which has multiple potential sites of attack for oxidative metabolism, for example benzylic hydrogen atoms and hydrogen atoms bonded to a nitrogen atom, is prepared as a series of analogues in which various combinations of hydrogen atoms are replaced by deuterium atoms, so that some, most or all of these hydrogen atoms have been replaced by deuterium atoms. Half-life determinations enable favourable and accurate determination of the extent of the extent to which the improvement in resistance to oxidative metabolism has improved. In this way, it is deter-mined that the half-life of the parent compound can be extended by up to 100% as the result of deuterium-hydrogen exchange of this type.

Deuterium-hydrogen exchange in a compound of the present invention can also be used to achieve a favourable modification of the metabolite spectrum of the starting compound in order to diminish or eliminate undesired toxic

metabolites. For example, if a toxic metabolite arises through oxidative carbon-hydrogen (C-H) bond cleavage, it can reasonably be assumed that the deuterated analogue will greatly diminish or eliminate production of the unwanted metabolite, even if the particular oxidation is not a rate-determining step. Further information on the state of the art with respect to deuterium-hydrogen exchange may be found, for example in Hanzlik et al., J. Org. Chem. **55**, 3992-3997, 1990, Reider et al., J. Org. Chem. **52**, 3326-3334, 1987, Foster, Adv. Drug Res. **14**, 1-40, 1985, Gillette et al, Biochemistry **33**(10) 2927-2937, 1994, and Jarman et al. Carcinogenesis **16**(4), 683-688, 1995.

Furthermore, the present invention relates to pharmaceutical compositions comprising at least one compound of formula I, or its N-oxides, solvates, tautomers or stereoisomers thereof as well as the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, as active ingredient, together with a pharmaceutically acceptable carrier.

For the purpose of the present invention the term “pharmaceutical composition” (or “pharmaceutical formulation”) refers to a composition or product comprising one or more active ingredients, and one or more inert ingredients that make up the carrier, as well as any product which results, directly or indirectly, from combination, complexation or aggregation of any two or more of the ingredients, or from dissociation of one or more of the ingredients, or from other types of reactions or interactions of one or more of the ingredients. Accordingly, the pharmaceutical compositions of the present invention encompass any composition made by admixing at least one compound of the present invention and a pharmaceutically acceptable carrier. It may further comprise physiologically acceptable excipients, auxiliaries, adjuvants, diluents and/or additional pharmaceutically active substance other than the compounds of the invention.

The pharmaceutical compositions include compositions and pharmaceutical formulations suitable for oral, rectal, topical, parenteral (including subcutaneous, intramuscular, and intravenous), ocular (ophthalmic), pulmonary (nasal or buccal inhalation), or nasal administration, although the most suitable route in any given case will depend on the nature and severity of the conditions being treated and on the nature of the active ingredient. They may be conveniently presented in unit dosage form and prepared by any of the methods well-known in the art of pharmacy.

A pharmaceutical composition of the present invention may additionally comprise one or more other compounds as active ingredients (drugs), such as one or more additional compounds of the present invention. In a particular embodiment the pharmaceutical composition further comprises a second active ingredient or its N-oxides, solvates, tautomers or stereoisomers thereof as well as the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, wherein that second active ingredient is other than a compound of formula I; preferably, that second active ingredient is a compound that is useful in the treatment, prevention, suppression and/or amelioration of medicinal conditions or pathologies for which the compounds of the present invention are useful as well and which are listed elsewhere hereinbefore or hereinafter. Such combination of two or more active ingredients or drugs may be safer or more effective than either drug or active ingredient alone, or the combination is safer or more effective than it would be expected based on the additive properties of the individual drugs. Such other drug(s) may be administered, by a route and in an amount commonly used contemporaneously or sequentially with a compound of the invention. When a compound of the invention is used contemporaneously with one or more other drugs or active ingredients, a combination product containing such other drug(s) and the compound of the invention – also referred to as “fixed dose combination” – is preferred. However, combination therapy also includes therapies in which the compound of the present invention and one or more other drugs are administered on different

overlapping schedules. It is contemplated that when used in combination with other active ingredients, the compound of the present invention or the other active ingredient or both may be used effectively in lower doses than when each is used alone. Accordingly, the pharmaceutical compositions of the present invention include those that contain one or more other active ingredients, in addition to a compound of the invention.

The compounds of the present invention – or N-oxides, solvates, tautomers or stereoisomers thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios – can be used as medicaments. They have been found to exhibit pharmacological activity by binding to TEAD and/or disrupting and/or inhibiting YAP-TEAD and/or TAZ-TEAD protein-protein interaction. It is worth mentioning that some of the compounds of the present invention may not only bind to one of the TEAD family members (TEAD 1, 2, 3, or 4) but to more than one TEAD paralog, i.e., to two, three or even all four TEAD paralogs, thereby exhibiting activity as pan-TEAD inhibitors. It is assumed that by this activity the compounds of the present invention may prevent or reverse dysfunction of the Hippo pathway. By preventing its dysfunction, the Hippo pathway may be capable of playing its role as a tumor suppressor. Apart from preventing or reversing dysfunction of the Hippo pathway and independent of upstream Hippo regulation, the pharmacological activity of the compounds of the present invention may also be useful in other pathophysiological scenarios where inhibition or disruption of TEAD binding and/or aberrant YAP-TEAD and/or aberrant TAZ-TEAD signaling would be beneficial.

Thus, the compounds of the present invention being TEAD binders and/or inhibitors of YAP-TEAD and/or TAZ-TEAD interaction are useful in particular in the treatment, prevention, suppression and/or amelioration of hyperproliferative disorders and cancer, in particular tumors including solid tumors, of breast cancer, lung cancer, mesothelioma, epithelioid hemangioendothelioma, uveal melanoma, liver cancer, ovarian cancer,

squamous cancer, renal cancer, gastric cancer, medulloblastoma, colon cancer, pancreatic cancer, schwannoma, meningioma, glioma, basal cell carcinoma. Without wishing to commit to any specific theory or explanation it may be assumed that the compounds might be able to achieve this by direct effects on the cancer cells and/or indirectly by modulating the response of the immune system against the tumor. Furthermore, the compounds of the present invention may also be useful in the treatment, prevention, suppression and/or amelioration of non-cancerous disorders and diseases, e.g. cardiovascular diseases and fibrosis (like liver fibrosis).

In a particular embodiment the compounds of the present invention are for use in the prevention and/or treatment, especially in the treatment of any of the disorders or diseases listed above, preferably of cancer, in particular tumors including solid tumors, of the specific types of cancer disclosed in the previous paragraph; or of any of the non-cancerous disorders or diseases disclosed in the previous paragraph.

Another particular embodiment of the present invention is a method for preventing and/or treating, preferably treating a disorder or disease selected from the group consisting of hyperproliferative disorders and cancer, in particular tumors including solid tumors, of the specific types of cancer disclosed in the previous paragraphs; or of any of the non-cancerous disorders or diseases disclosed in the previous paragraphs.

Still another particular embodiment of the invention is the use of a compound of the present invention – or N-oxides, prodrugs, solvates, tautomers or stereoisomers thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios – for the manufacturing of a medicament, in particular for preventing and/or treating, preferably treating a disorder or disease selected from the group consisting of hyperproliferative disorders and cancer, in particular tumors including solid tumors, of the specific types of cancer disclosed in the previous paragraphs;

or of any of the non-cancerous disorders or diseases disclosed in the previous paragraphs.

Preferably, the present invention relates to a compound of the present invention for use in the prevention and/or treatment of a disease – or, alternatively, a method for preventing and/or treating a disease by administering an effective amount of a compound of the present invention ; or, in another alternative, a use of a compound of the present invention for the manufacturing of a medicament for the prevention and/or treatment of a disease – wherein that disease is a cancer, in particular tumors including solid tumors, of the specific types of cancer disclosed in the previous paragraphs; and more preferably, wherein administration of the compound is simultaneous, sequential or in alternation with administration of at least one other active drug agent.

The disclosed compounds of the present invention and in particular of formula I can be administered in combination with other known therapeutic agents, including anticancer agents. As used here, the term "anticancer agent" relates to any agent which is administered to a patient with cancer for the purposes of treating the cancer. The anti-cancer treatment defined above may be applied as a monotherapy or may involve, in addition to the herein disclosed compounds of the present invention, conventional surgery or radiotherapy or medicinal therapy. Such medicinal therapy, e.g. a chemotherapy or a targeted therapy, may include one or more, but preferably one, of the following anti-tumor agents:

<u>Alkylating agents</u>

such as altretamine, bendamustine, busulfan, carmustine, chlorambucil, chlormethine, cyclophosphamide, dacarbazine, ifosfamide, improsulfan, tosilate, lomustine, melphalan, mitobronitol, mitolactol, nimustine, ranimustine, temozolomide, thiotepa, treosulfan, mechloretamine, carboquone; apaziquone, fotemustine, glufosfamide, palifosfamide,

pipobroman, trofosfamide, uramustine, evofosfamide, VAL-083(dianhydrogalactitol);

Platinum Compounds

such as carboplatin, cisplatin, eptaplatin, miriplatine hydrate, oxaliplatin, lobaplatin, nedaplatin, picoplatin, satraplatin;

DNA altering agents

such as amrubicin, bisantrene, decitabine, mitoxantrone, procarbazine, trabectedin, clofarabine;

Topoisomerase Inhibitors

such as etoposide, irinotecan, razoxane, sobuzoxane, teniposide, topotecan; amonafide, belotecan, elliptinium acetate, voreloxin;

Microtubule modifiers

such as cabazitaxel, docetaxel, eribulin, ixabepilone, paclitaxel, vinblastine, vincristine, vinorelbine, vindesine, vinflunine; fosbretabulin, tesetaxel;

Antimetabolites

such as asparaginase, pegaaspargase, azacitidine, calcium levofolinate, capecitabine, cladribine, cytarabine, enocitabine, floxuridine, fludarabine, fluorouracil, gemcitabine, mercaptopurine, methotrexate, nelarabine, pemetrexed, pralatrexate, azathioprine, thioguanine, carmofur; doxifluridine, elacytarabine, raltitrexed, sapacitabine, tegafur, trimetrexate;

Anticancer antibiotics

such as bleomycin, dactinomycin, doxorubicin, epirubicin, idarubicin, levamisole, miltefosine, mitomycin C, romidepsin, streptozocin, valrubicin, zinostatin, zorubicin, daunurobicin, plicamycin; aclarubicin, peplomycin, pirarubicin;

Hormones/Antagonists

such as abarelix, abiraterone, bicalutamide, buserelin, calusterone, chlorotrianisene, degarelix, dexamethasone, estradiol, fluocortolone, fluoxymesterone, flutamide, fulvestrant, goserelin, histrelin, leuprorelin, megestrol, mitotane, nafarelin, nandrolone, nilutamide, octreotide, prednisolone, raloxifene, tamoxifen, thyrotropin alfa, toremifene, trilostane,

triptorelin, diethylstilbestrol; acolbifene, danazol, deslorelin, epitiostanol, orteronel, enzalutamide;

Aromatase inhibitors

such as aminoglutethimide, anastrozole, exemestane, fadrozole, letrozole, testolactone; formestane;

Small molecule kinase inhibitors

such as crizotinib, dasatinib, erlotinib, imatinib, lapatinib, nilotinib, pazopanib, regorafenib, ruxolitinib, sorafenib, sunitinib, vandetanib, vemurafenib, bosutinib, gefitinib, axitinib; afatinib, alisertib, dabrafenib, dacomitinib, dinaciclib, dovitinib, enzastaurin, nintedanib, lenvatinib, linifanib, linsitinib, masitinib, midostaurin, motesanib, neratinib, orantinib, perifosine, ponatinib, radotinib, rigosertib, tepotinib, tipifarnib, tivantinib, tivozanib, trametinib, pimasertib, brivanib alaninate, cediranib, apatinib (rivoceranib), cabozantinib S-malate, ibrutinib, icotinib, buparlisib, cipatinib, cobimetinib, idelalisib, fedratinib, tesevatinib;

Photosensitizers

such as methoxsalen; porfimer sodium, talaporfin, temoporfin;

Antibodies

such as alemtuzumab, besilesomab, brentuximab vedotin, cetuximab, denosumab, ipilimumab, ofatumumab, panitumumab, rituximab, tositumomab, trastuzumab, bevacizumab, pertuzumab; catumaxomab, elotuzumab, epratuzumab, farletuzumab, mogamulizumab, necitumumab, nimotuzumab, obinutuzumab, ocaratuzumab, oregovomab, ramucirumab, rilotumumab, siltuximab, tocilizumab, zalutumumab, zanolimumab, matuzumab, dalotuzumab, onartuzumab, racotumomab, tabalumab, abituzumab, atezolizumab, durvalumab, pembrolizumab, nivolumab;

Cytokines

such as aldesleukin, interferon alfa2, interferon alfa2a, interferon alfa2b; celmoleukin, tasonermin, teceleukin, oprelvekin, recombinant interferon beta-1a;

Drug Conjugates

such as denileukin diftitox, ibritumomab tiuxetan, iobenguane I 123, prednimustine, trastuzumab emtansine, estramustine, gemtuzumab, ozogamicin, aflibercept; cintredekin besudotox, edotreotide, inotuzumab ozogamicin, naptumomab estafenatox, oportuzumab monatox, technetium (99mTc) arcitumomab, vintafolide;

PARP inhibitors

such as olaparib, veliparib, niraparib, rucaparib, talzaoparib, pamiparib;

KRAS inhibitors

such as sotorasib, adagrasib;

Miscellaneous

such as alitretinoin, bexarotene, bortezomib, everolimus, ibandronic acid, imiquimod, lenalidomide, lentinan, metirosine, mifamurtide, pamidronic acid, pegaspargase, pentostatin, sipuleucel, sizofiran, tamibarotene, temsirolimus, thalidomide, tretinoin, vismodegib, zoledronic acid, vorinostat; celecoxib, cilengitide, entinostat, etanidazole, ganetespib, idronoxil, iniparib, ixazomib, lonidamine, nimorazole, panobinostat, peretinoin, plitidepsin, pomalidomide, procodazol, ridaforolimus, tasquinimod, telotristat, thymalfasin, tirapazamine, tosedostat, trabedersen, ubenimex, valspodar, gendicine, picibanil, reolysin, retaspimycin hydrochloride, trebananib, virulizin, carfilzomib, endostatin, immucothel, belinostat;

In a particular embodiment of the present invention, the medical therapy includes a combination of a compound of the present invention, which inhibits the activity of TEAD, with a KRAS inhibitor.

In another aspect of the invention, a set or kit is provided comprising a therapeutically effective amount of at least one compound of the invention and/or at least one pharmaceutical composition as described herein and a therapeutically effective amount of at least one further pharmacologically active substance other than the compounds of the invention. It is preferred that this set or kit comprises separate packs of

a) an effective amount of a compound of formula I, or any of its N-oxides, solvates, tautomers or stereoisomers thereof as well as the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, and

b) an effective amount of a further active ingredient that further active ingredient not being a compound of formula I.

A further embodiment of the present invention is a process for the manufacture of the pharmaceutical compositions of the present invention, characterized in that one or more compounds according to the invention and one or more compounds selected from the group consisting of solid, liquid or semiliquid excipients, auxiliaries, adjuvants, diluents, carriers and pharmaceutically active agents other than the compounds according to the invention, are converted in a suitable dosage form.

The pharmaceutical compositions (formulations) of the present invention may be administered by any means that achieve their intended purpose. For example, administration may be via oral, parenteral, topical, enteral, intravenous, intramuscular, inhalant, nasal, intraarticular, intraspinal, transtracheal, transocular, subcutaneous, intraperitoneal, transdermal, or buccal routes. Alternatively, or concurrently, administration may be via the oral route. The dosage administered will be dependent upon the age, health, and weight of the recipient, kind of concurrent treatment, if any, frequency of treatment, and the nature of the effect desired. Parenteral administration is preferred. Oral administration is especially preferred.

Suitable dosage forms include, but are not limited to capsules, tablets, pellets, dragees, semi-solids, powders, granules, suppositories, ointments, creams, lotions, inhalants, injections, cataplasms, gels, tapes, eye drops, solution, syrups, aerosols, suspension, emulsion, which can be produced according to methods known in the art.

In general, non-chemical routes for the production of pharmaceutical compositions and/or pharmaceutical preparations comprise processing steps on suitable mechanical means known in the art that transfer one or more compounds of the invention into a dosage form suitable for administration to a patient in need of such a treatment. Usually, the transfer of one or more compounds of the invention into such a dosage form comprises the addition of one or more compounds, selected from the group consisting of carriers, excipients, auxiliaries, and pharmaceutical active ingredients other than the compounds of the invention. Suitable processing steps include, but are not limited to combining, milling, mixing, granulating, dissolving, dispersing, homogenizing, casting and/or compressing the respective active and nonactive ingredients. Mechanical means for performing said processing steps are known in the art. In this respect, active ingredients are preferably at least one compound of the invention and optionally one or more additional compounds other than the compounds of the invention, which show valuable pharmaceutical properties, preferably those pharmaceutical active agents other than the compounds of the invention, which are disclosed herein.

Particularly suitable for oral use are tablets, pills, coated tablets, capsules, powders, granules, syrups, juices or drops, suitable for rectal use are suppositories, suitable for parenteral use are solutions, preferably oil-based or aqueous solutions, furthermore suspensions, emulsions or implants, and suitable for topical use are ointments, creams or powders. The compounds of the invention may also be lyophilized and the resultant lyophilizates used, for example, for the preparation of injection preparations. The preparations indicated may be sterilized and/or comprise assistants, such as lubricants, preservatives, stabilizers and/or wetting agents, emulsifiers, salts for modifying the osmotic pressure, buffer substances, dyes, flavors and/or a plurality of further active ingredients, for example one or more vitamins.

Suitable excipients are organic or inorganic substances, which are suitable for enteral (for example oral), parenteral or topical administration and do not

react with the compounds of the invention, for example water, vegetable oils, benzyl alcohols, alkylene glycols, polyethylene glycols, glycerol triacetate, gelatin, carbohydrates, such as lactose, sucrose, mannitol, sorbitol or starch (maize starch, wheat starch, rice starch, potato starch), cellulose preparations and/or calcium phosphates, for example tricalcium phosphate or calcium hydrogen phosphate, magnesium stearate, talc, gelatin, tragacanth, methyl cellulose, hydroxypropylmethylcellulose, sodium carboxymethylcellulose, polyvinyl pyrrolidone and/or vaseline.

If desired, disintegrating agents may be added such as the above-mentioned starches and also carboxymethyl-starch, cross-linked polyvinyl pyrrolidone, agar, or alginic acid or a salt thereof, such as sodium alginate. Auxiliaries include, without limitation, flow-regulating agents and lubricants, for example, silica, talc, stearic acid or salts thereof, such as magnesium stearate or calcium stearate, and/or polyethylene glycol. Dragee cores are provided with suitable coatings, which, if desired, are resistant to gastric juices. For this purpose, concentrated saccharide solutions may be used, which may optionally contain gum arabic, talc, polyvinyl pyrrolidone, polyethylene glycol and/or titanium dioxide, lacquer solutions and suitable organic solvents or solvent mixtures. In order to produce coatings resistant to gastric juices or to provide a dosage form affording the advantage of prolonged action, the tablet, dragee or pill can comprise an inner dosage and an outer dosage component the latter being in the form of an envelope over the former. The two components can be separated by an enteric layer, which serves to resist disintegration in the stomach and permits the inner component to pass intact into the duodenum or to be delayed in release. A variety of materials can be used for such enteric layers or coatings, such materials including a number of polymeric acids and mixtures of polymeric acids with such materials as shellac, acetyl alcohol, solutions of suitable cellulose preparations such as acetyl-cellulose phthalate, cellulose acetate or hydroxypropylmethyl-cellulose phthalate, are used. Dye stuffs or pigments may be added to the

tablets or dragee coatings, for example, for identification or in order to characterize combinations of active compound doses.

Suitable carrier substances are organic or inorganic substances which are suitable for enteral (e.g. oral) or parenteral administration or topical application and do not react with the novel compounds, for example water, vegetable oils, benzyl alcohols, polyethylene glycols, gelatin, carbohydrates such as lactose or starch, magnesium stearate, talc and petroleum jelly. In particular, tablets, coated tablets, capsules, syrups, suspensions, drops or suppositories are used for enteral administration, solutions, preferably oily or aqueous solutions, furthermore suspensions, emulsions or implants, are used for parenteral administration, and ointments, creams or powders are used for topical application. The compounds of the invention can also be lyophilized and the lyophilizates obtained can be used, for example, for the production of injection preparations.

Other pharmaceutical preparations, which can be used orally include push-fit capsules made of gelatin, as well as soft, sealed capsules made of gelatin and a plasticizer such as glycerol or sorbitol. The push-fit capsules can contain the active compounds in the form of granules, which may be mixed with fillers such as lactose, binders such as starches, and/or lubricants such as talc or magnesium stearate and, optionally, stabilizers. In soft capsules, the active compounds are preferably dissolved or suspended in suitable liquids, such as fatty oils, or liquid paraffin. In addition, stabilizers may be added.

The liquid forms in which the novel compositions of the present invention may be incorporated for administration orally include aqueous solutions, suitably flavored syrups, aqueous or oil suspensions, and flavored emulsions with edible oils such as cottonseed oil, sesame oil, coconut oil or peanut oil, as well as elixirs and similar pharmaceutical vehicles. Suitable dispersing or suspending agents for aqueous suspensions include synthetic and natural

gums such as tragacanth, acacia, alginate, dextran, sodium carboxymethylcellulose, methylcellulose, polyvinyl-pyrrolidone or gelatin.

Suitable formulations for parenteral administration include aqueous solutions of the active compounds in water-soluble form, for example, water-soluble salts and alkaline solutions. In addition, suspensions of the active compounds as appropriate oily injection suspensions may be administered. Suitable lipophilic solvents or vehicles include fatty oils, for example, sesame oil, or synthetic fatty acid esters, for example, ethyl oleate or triglycerides or polyethylene glycol-400 (the compounds are soluble in PEG-400).

Aqueous injection suspensions may contain substances, which increase the viscosity of the suspension, including, for example, sodium carboxymethyl cellulose, sorbitol, and/or dextran, optionally, the suspension may also contain stabilizers.

Possible pharmaceutical preparations, which can be used rectally include, for example, suppositories, which consist of a combination of one or more of the active compounds with a suppository base. Suitable suppository bases are, for example, natural or synthetic triglycerides, or paraffin hydrocarbons. In addition, it is also possible to use gelatin rectal capsules, which consist of a combination of the active compounds with a base. Possible base materials include, for example, liquid triglycerides, polyethylene glycols, or paraffin hydrocarbons.

The pharmaceutical preparations can be employed as medicaments in human and veterinary medicine. As used herein, the term "effective amount" means that amount of a drug or pharmaceutical agent that will elicit the biological or medical response of a tissue, system, animal or human that is being sought, for instance, by a researcher or clinician. Furthermore, the term also includes within its scope a "therapeutically effective amount" which means any amount which, as compared to a corresponding subject who has

not received such amount, results in improved treatment, healing, prevention, or amelioration of a disease, disorder, or side effect, or a decrease in the rate of advancement of a disease or disorder, or of symptoms associated with such disease or disorder; it may also refer to preventing or providing prophylaxis for the disease or disorder in a subject having or at risk for developing a disease disclosed herein. The term also includes within its scope amounts effective to enhance normal physiological function. Said therapeutic effective amount of one or more of the compounds of the invention is known to the skilled artisan or can be easily determined by standard methods known in the art.

"Treating" or “treatment” as used herein, means an alleviation, in whole or in part, of symptoms associated with a disorder or disease, or slowing, or halting of further progression or worsening of those symptoms, or prevention or prophylaxis of the disease or disorder in a subject at risk for developing the disease or disorder.

The compounds of the present invention and the optional additional active substances are generally administered analogously to commercial preparations. Usually, suitable doses that are therapeutically effective lie in the range between 0.0005 mg and 1000 mg, preferably between 0.005 mg and 500 mg and especially between 0.5 mg and 100 mg per dose unit. The daily dose is preferably between about 0.001 mg/kg and 10 mg/kg of body weight.

Those of skill will readily appreciate that dose levels can vary as a function of the specific compound, the severity of the symptoms and the susceptibility of the subject to side effects. Some of the specific compounds are more potent than others. Preferred dosages for a given compound are readily determinable by those of skill in the art by a variety of means. A preferred means is to measure the physiological potency of a given compound.

The specific dose for the individual patient, in particular for the individual human patient, depends, however, on the multitude of factors, for example on the efficacy of the specific compounds employed, on the age, body weight, general state of health, the sex, the kind of diet, on the time and route of administration, on the excretion rate, the kind of administration and the dosage form to be administered, the pharmaceutical combination and severity of the particular disorder to which the therapy relates. The specific therapeutic effective dose for the individual patient can readily be determined by routine experimentation, for example by the doctor or physician, which advises or attends the therapeutic treatment.

The compounds of the present invention can be prepared according to the procedures of the following Schemes and Examples, using appropriate materials, and as further exemplified by the following specific examples. They may also be prepared by methods known per se, as described in the literature, to be precise under reaction conditions which are known and suitable for the said reactions. Use can also be made of variants which are known per se, but are not mentioned here in greater detail.

Likewise, the starting materials for the preparation of compounds of the present invention can be prepared by methods as described in the examples or by methods known per se, as described in the literature of synthetic organic chemistry and known to the skilled person, or can be obtained commercially. The starting materials for the processes claimed and/or utilized may, if desired, also be formed in situ by not isolating them from the reaction mixture, but instead immediately converting them further into the compounds of the invention or intermediate compounds. On the other hand, in general it is possible to carry out the reaction stepwise.

It will be recognized by those skilled in the art that some of the compounds of formula I may serve as starting material for making other compounds of formula I. For instance, a compound of formula I bearing a carboxylic

functional group may readily be converted into a related compound of formula I bearing an amide functional group by utilizing appropriate synthetic methods.

Preferably, the reaction of the compounds is carried out in the presence of a suitable solvent, which is preferably inert under the respective reaction conditions. Examples of suitable solvents comprise but are not limited to hydrocarbons, such as hexane, petroleum ether, benzene, toluene or xylene; chlorinated hydrocarbons, such as trichlorethylene, 1,2-dichloroethane, tetrachloromethane, chloroform or dichloromethane; alcohols, such as methanol, ethanol, isopropanol, n-propanol, n-butanol or tert-butanol; ethers, such as diethyl ether, diisopropyl ether, tetrahydrofuran (THF) or dioxane; glycol ethers, such as ethylene glycol monomethyl or monoethyl ether or ethylene glycol dimethyl ether (diglyme); ketones, such as acetone or butanone; amides, such as acetamide, dimethylacetamide, dimethylformamide (DMF) or N-methyl pyrrolidinone (NMP); nitriles, such as acetonitrile; sulfoxides, such as dimethyl sulfoxide (DMSO); nitro compounds, such as nitromethane or nitrobenzene; esters, such as ethyl acetate, or mixtures of the said solvents or mixtures with water.

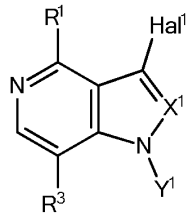
The reaction temperature is between about -100°C and 300°C, depending on the reaction step and the conditions used.

Reaction times are generally in the range between a fraction of a minute and several days, depending on the reactivity of the respective compounds and the respective reaction conditions. Suitable reaction times are readily determinable by methods known in the art, for example reaction monitoring. Based on the reaction temperatures given above, suitable reaction times generally lie in the range between 10 minutes and 48 hours.

Moreover, by utilizing the procedures described herein, in conjunction with ordinary skills in the art, additional compounds of the present invention

claimed herein can be readily prepared. The compounds illustrated in the examples are not, however, to be construed as forming the only genus that is considered as the invention. The examples further illustrate details for the preparation of the compounds of the present invention. Those skilled in the art will readily understand that known variations of the conditions and processes of the following preparative procedures can be used to prepare these compounds.

The present invention also refers to a process for manufacturing a compound of formula I in its most general form and embodiments as well as any of the particular embodiments, PE1, PE1a, PE1b, PE2, PE2a, PE3, PE3a, PE3-0, PE3-0a, PE4, PE4-0, PE5, PE5a, PE5b, PE5c, PE5d, PE6, PE6a, PE6b, PE7, PE8, PE9, PE10, PE10a, PE10aa, PE10aaa, PE10aaaa, PE11, PE11a, PE12, PE12a, PE12aa, PE13, PE13a, PE13aa, PE14, PE14a, PE14-0, PE15. PE15a, and PE15b described herein, or N-oxides, solvates, tautomers or stereoisomers thereof as well as the pharmaceutically acceptable salts of each of the foregoing, the process being characterized in that
(A) in a first reaction step a compound of formula II



II

wherein

R^1, R^3, and X^1 are defined as for formula I hereinabove or in any one of claims 1 to 28;

Y^1 denotes H or a suitable protecting group, PG^1;

Hal^1 denotes Cl, Br, or I;

is reacted under suitable C-C coupling reaction conditions with a compound of formula III

Y^2-A-L^1-B

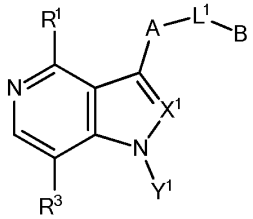
III

wherein

A, L^1, and B are defined as for formula I hereinabove or in any one of claims 1 to 28;

Y^2 denotes a suitable boronate functional group;

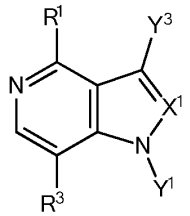
to provide a compound of formula IV



IV;

or

(B) in a first reaction step a compound of formula V



V

wherein

R^1, R^3, and X^1 are defined as for formula I hereinabove or in any one of claims 1 to 28;

Y^1 denotes H or a suitable protecting group, PG^1;

Y^3 denotes a suitable boronate functional group;

is reacted under suitable C-C coupling reaction conditions with a compound of formula VI

Hal^2-A-L^1-B

VI

wherein

A, L^1, and B are defined as for formula I hereinabove in any one of claims 1 to 28;

Hal^2 denotes Cl, Br, or I;

to provide a compound of formula IV;

and, optionally,

(C) (1) if in formula IV above Y^1 denotes PG^1, in a second reaction step PG^1 is removed under suitable reaction conditions to provide a compound of formula IV with Y^1 being H, which can also be described as a compound of formula I with R^2 being H; and/or

(C) (2) if in formula IV above Y^1 denotes H, in another reaction step that compound of formula IV is reactied under suitable reaction conditions with a compound of formula VII

$$R^2\text{-}LG^1$$

VII

wherein

R^2 is defined as hereinabove or in any one of claims 1 to 28 with the exception of H; and

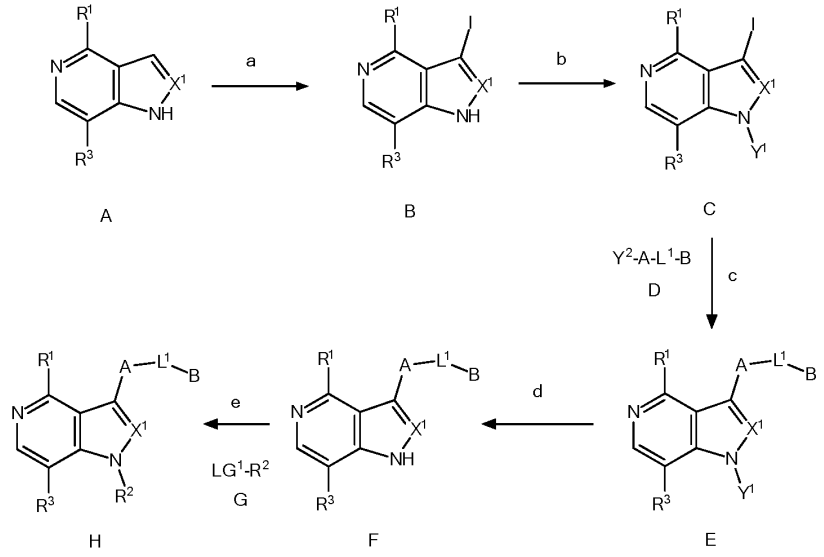
LG^1 denotes a suitable leaving group;

to provide a compound of formula I as defined hereinabove or in any one of claims 1 to 28.

As will be understood by the person skilled in the art of organic synthesis compounds of the present invention, in particular compounds of formula I, are readily accessible by various synthetic routes, some of which are exemplified in the accompanying Experimental Part. The skilled artisan will easily recognize which kind of reagents and reactions conditions are to be used and how they are to be applied and adapted in any particular instance – wherever necessary or useful – in order to obtain the compounds of the present invention. Furthermore, some of the compounds of the present invention can readily be synthesized by reacting other compounds of the present invention under suitable conditions, for instance, by converting one particular functional group being present in a compound of the present

invention, or a suitable precursor molecule thereof, into another one by applying standard synthetic methods, like reduction, oxidation, addition or substitution reactions; those methods are well known to the skilled person. Likewise, the skilled artisan will apply – whenever necessary or useful – synthetic protecting (or protective) groups; suitable protecting groups as well as methods for introducing and removing them are well-known to the person skilled in the art of chemical synthesis.

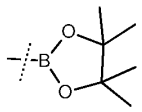
In the following general synthetic routes that may be utilized to prepare compounds of the present invention are described in more detail in Schemes A to E below

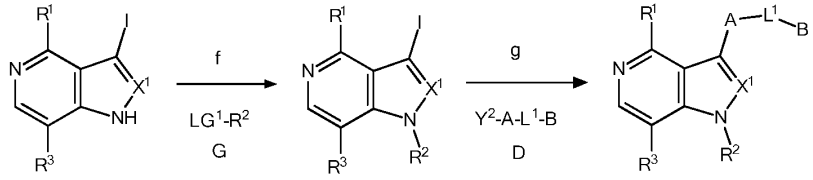


Scheme A

Scheme A above depicts a general route of synthesis for preparing compounds of formula I (here depicted as formula H). Unless specifically defined in a different manner, R^1, R^2, R^3, A, L^1, B, and X^1 are defined as for the compounds of formula I hereinabove or in the claims. The substituted 5-azaindole (or 1H-pyrrolo[3,2-c]pyridine) (= formula A with X^1 being CR^{X1}, in

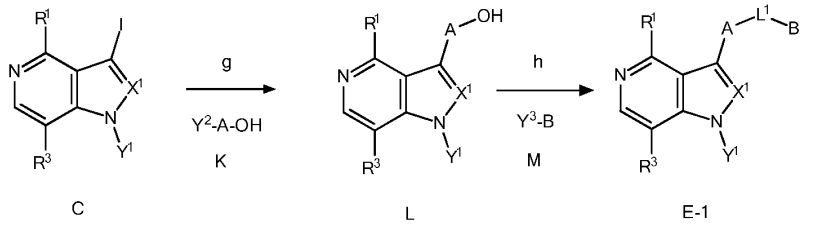
particular CH; compound of formula I-A) of formula A or substituted 1H-pyrazolo[4,3-c]pyridine (= formula A with X^1 being N; compound of formula I-B) of formula A is either available from a commercial source or readibly available by utilizing synthetic methods and procedures well-known to the skilled person. In reaction step (a) the bicyclic compound of formula A is reacted with an iodination reagent under suitable reaction condition, for instance, iodo-succinimide (NIS; 1-iodopyrrolidinone-2,5-dione) in DMF, to provide the iodinated compound of formula B. Subsequently, in reaction step (b) the compound of formula B is reacted under appropriate reaction conditions with a suitable reagent for introducing a suitable protecting group, Y^1, on the nitrogen ring atom in position 5 of the bicyclic ring system, to yield the compound of formula C. A suitable protecting group Y^1 may be any protecting group, PG^1, that substantially protects this nitrogen ring atom in 5-position from reacting with any of the reagents and substances utilized in the following reaction step (c). Examples for such suitable protecting groups are tert.-butyl carboxylate (-C(=O)-O-tert.-butyl), which may be introduced by reacting the compound of formula B with, e.g., di-tert.-butyl carbonate in the presence of catalytic amounts of DMAP (4-dimethylaminopyridine); or (trimethylsilyl)ethoxy-methyl ($-CH_2-O-(CH_2)_2-Si(CH_3)_3$), which may be introduced by reacting the compound of formula B with, e.g., [2-(chloromethoxy)ethyl]trimethylsilane in the presence of sodium hydride (NaH); or tosylate (p-methylphenylsulfonyl) which may be introduced by reacting the compound of formula B with, e.g., tosylchloride in the presence of NaH. In reaction (c) the compound of formula C is reacted in a C-C cross-coupling reaction, for instance a Suzuki coupling, with the compound of formula D, wherein A, L^1, and B have the same meaning as for compounds of formula I and Y^2 is a boronate functional group (e.g., $-B(OH)_2$ or a suitable ester thereof). The boronic acid or boronic acid ester derivative of formula D is readily available, e.g., from the respective chloro-substituted compound of formula D (Y^2 = Cl) by methods well-known in the art; for instance, by utilizing trimethyl borate in the presence of a strong base like phenyl lithium and subsequent hydrolysis of the boronic acid ester to yield the boronic acid (Y^2

= -$B(OH)_2$) or by utilizing 4,4,5,5-tetramethyl-1,3,2-dioxaborolan in the presensence of potassium acetate and chloro(2-dicyclohexylphosphino-2′,4′,6′-triisopropyl-1,1′-biphenyl)[2-(2′-amino-1,1′-biphenyl)]palladium(II) (XphosPd G2) as a palladium(II)-catalyst to provide the compound of formula D with Y^2 =  . Reaction step (c) is performed under typical reaction conditions of C-C cross-coupling reactions like the Suzuki coupling, for instance by reacting compound of formula C with compound of formula D in the presence of potassium carbonate and 1,1'-bis(diphenylphosphino)ferrocene palladium or 4-[bis(2-methyl-2-propanyl)phosphino]-N,N-dimethylaniline-dichloropalladium ($Pd(amphos)_2Cl_2$). Removing the protecting group, Y^1, from the compound of formula E in reaction step (d) under either acidic (e.g., trifluoro acetic acid, TFA) or basic conditions (e.g., sodium hydroxide) depending on the nature of the specific protecting group yields the compound of formula F, which can also be described as a compound of formula I with R^2 being H. Finally, compounds of formula I with a substituent R^2 other than H, i.e., compounds of formula H in Scheme A are available by reacting a compound of formula F with an appropriate compound of formula G, LG^1-R^2 with LG^1 being a suitable leaving group and R^2 being as defined for formula I (except for H) (reaction step (e)). For instance, if LG^1 is a halogen selected from Cl, Br or I, then reaction step (e) may be nucleophilic substitution reaction of the compound of formula F with LG^1-R^2. Depending on the specific nature of LG^1-R^2 this reaction step (e) may be performed by deprotonating the NH group utilizing a suitable base such as sodium hydride or cesium carbonate and subsequent reaction of the intermediate with a suitable compound of formula G, e.g., a alkyliodide like CH_3-I, a brominated compound like Br-CH_2CN, or a chlorinated compound like chloromethylimidazole hydrochloride. Substituent R^2 of the compound of formula H may further be modified to obtain further compounds of formula H.



Scheme B

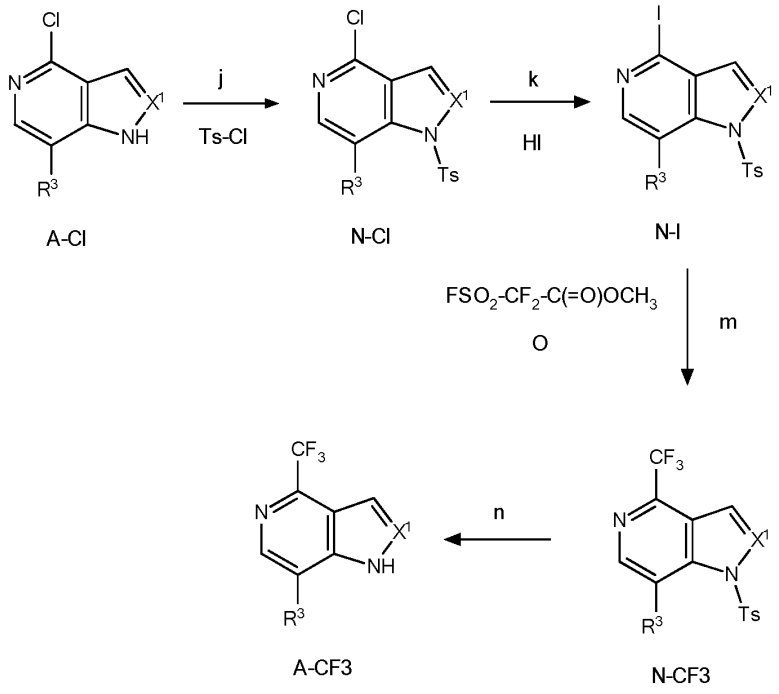
Scheme B above depicts an alternative route of synthesis of compounds of formula I which bear a substituent R^2 that remains unaffected under C-C cross coupling reactions of a Suzuki or similar cross-coupling reaction: A compound of formula B is subjected to a reaction (step (f)) with a suitable compound of formula G under conditions which are similar to those described above for reaction step (e) in Scheme A to provide the respective compound of formula J. The compound of formula J then in turn is subjected to a reaction with a compound of formula D (step (g)) under reaction conditions which are similar to those described above for reaction step (c) in Scheme A.



Scheme C

Scheme C depicts an alternative route for synthesizing a compound of formula E wherein L^1 denotes a divalent -O-CH_2- linker group (compounds of formula E-1 in Scheme C): A compound of formula C (available via the synthetic route outlined in Scheme A above) may be reacted in a C-C cross-coupling reaction with a compound of formula K (reaction step (g)), wherein A is as defined for formula I and Y^2 is as defined for compound D in Scheme A above to yield the hydroxy-substituted compound of formula L. Reaction conditions of step (g) may be the same or similar to the reaction conditions of step (c) in Scheme A. The compound of formula L may then be reacted

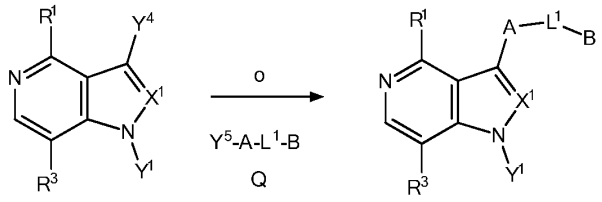
under appropriate reaction conditions (utilizing, e.g., cesium carbonate in DMF) with a compound of formula M, wherein B is as defined for formula I and Y^3 denotes LG^3-CH_2- with LG^2 being a suitable leaving group, such as a alkyl-SO_3 group (alkylsulfonate), which provides a compound of formula E-1 with L^1 denoting a divalent -O-CH_2- radical. The compound of formula E-1 may then be subjected to the reactions steps (d) and optionally (c) as described for Scheme A above to provide compounds of formula F and H, respectively, with L^1 denoting a divalent -O-CH_2-radical.



Scheme D

Scheme D summarizes one of the potential synthetic routes for making compounds of formula I, and in particular of formula I-C, in which R^1 denotes CF_3: The 1-chloro-substituted compound of formula A (A-Cl) is subjected to a tosylation reaction, in which first a strong base, like NaH is added and then p-tolylsulfonylchloride to provide the compound of formula N-Cl (reaction step (j)) (with Ts representing a tosylate group), which in turn is reacted with hydriotic acid (HI) in the presence of sodium iodide (NaI) to yield the

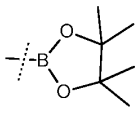
compound of formula N-I (reaction step (k)). In subsequent reaction step (m) compound N-I is reacted with methyl 2,2-difluoro-2-(fluorosulfonyl)acetate (compound O) in the presence of copper(I)-iodide to provide the compound of formula N-CF3. After the (optional) removal of the tosylate protecting group under basic conditions (e.g., sodium hydroxide) the compound of formula A-CF3 is obtained which may further be used to prepare compounds of the present invention according to formula I, in particular I-C, in which R^1 denotes CF_3.



P E

Scheme E

A further alternative to prepare compounds of formula E, which in turn may then be converted into compounds of formula I (as described in Scheme A above) is depicted in Scheme E above: It starts with a compound of formula P, in which R^1, R^3, and X^1 are as defined for formula I, Y^1 is as defined for compounds of formulas C and E in Scheme A above, and Y^4 is a boronate functional group (e.g., $-B(OH)_2$ or a suitable ester thereof). The boronic acid or boronic acid ester derivative of formula P is readily available, e.g., from the respective chloro-substituted compound of formula P (Y^4 = Cl) by methods well-known in the art; for instance, by utilizing trimethyl borate in the presence of a strong base like phenyl lithium and subsequent hydrolysis of the boronic acid ester to yield the boronic acid (Y^4 = $-B(OH)_2$) or by utilizing 4,4,5,5-tetramethyl-1,3,2-dioxaborolan in the presence of potassium acetate and chloro(2-dicyclohexylphosphino-2′,4′,6′-triisopropyl-1,1′-biphenyl)[2-(2′-amino-1,1′-biphenyl)]palladium(II) (XphosPd G2) as a palladium(II)-catalyst

to provide the compound of formula P with Y^4 =  . The compound of formula P is reacted in reaction step (o) with a compound of formula Q, in which A, L^1, and B are as defined for formula I and Y^5 denotes Br or I, under typical reaction conditions of C-C cross-coupling reactions like the Suzuki coupling, for instance by reacting a compound of formula P with compound of formula Q in the presence of sodium carbonate and $Pd(dppf)Cl_2$-CH_2Cl_2 ([1,1'-Bis(diphenylphosphino)ferrocene]palladium(II) dichloride).

It is to be noted that – except for instances where it is specifically stated or the context provides for a different meaning – in general the number of a term, i.e. its singular and plural form, is used and can be read interchangeably. For example, the term “compound” in its singular form may also comprise or refer to a plurality of compounds, while the term “compounds” in its plural form may also comprise or refer to a singular compound.

Examples and Experimental Part

The compounds of the present invention can be prepared according to the procedures of the following Schemes and Examples, using appropriate materials and are further exemplified by the following specific examples. The compounds are shown in Table 1 and Table 1A. Analytical data of compounds made according to the following examples are shown in Table 1 and Table 1A, too.

The invention will be illustrated, but not limited, by reference to the specific embodiments described in the following examples. Unless otherwise indicated in the schemes, the variables have the same meaning as described above and in the claims.

Unless otherwise specified, all starting materials are obtained from commercial suppliers and used without further purifications or are available by synthetic methods similar to those specifically described herein. Unless otherwise specified, all temperatures are expressed in °C and all reactions are conducted at room temperature (RT). Compounds are purified by either silica chromatography or preparative HPLC. Purity of reaction products which were used in subsequent reaction steps (intermediates) were usually confirmed by GC-MS (without further characterizing the intermediates).

^{1}H NMR:

^{1}H-NMR data is provided in Table 1 and Table 1A below. ^{1}H NMR spectra were usually acquired on a 300 MHz, 400 MHz, 500 MHz, or 700 MHz NMR spectrometers such as a Bruker Avance DRX 500, a Bruker Avance 400, a Bruker DPX 300 or a Bruker Avance III 700 MHz NMR spectrometer under standard conditions using TMS (tetramethylsilane) as internal reference and DMSO-d6 as standard solvents, if not reported otherwise. NS (Number of Scans): 32, SF (Spectrometer Frequency) as indicated. TE (Temperature): 297 K. Chemical shifts (δ) are reported in ppm relative to the TMS signal. ^{1}H NMR data are reported as follows: chemical shift (multiplicity, coupling constants and number of hydrogens). Multiplicity is abbreviated as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), dd (doublet of doublets), tt (triplet of triplets), td (triplet of doublets) br (broad) and coupling constants (J) are reported in Hz.

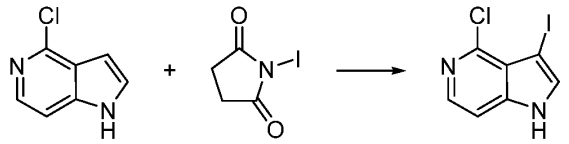
LC-MS:

LC-MS data provided in Table 1 and Table 1A are given with mass in m/z. The results can be obtained by one of the methods described below.

Syntheses

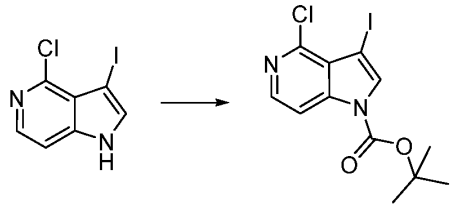
Example 1: 4-chloro-3-{3-[(4-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine

1.1: 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine



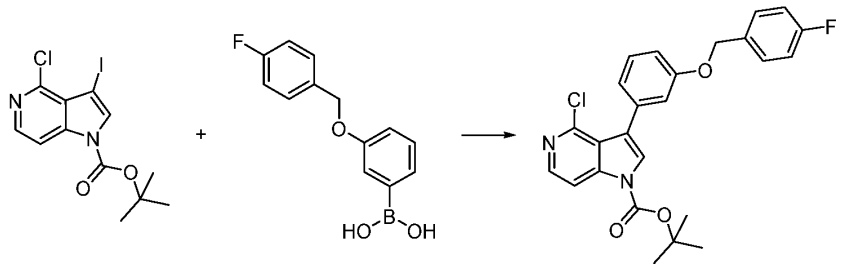
To a solution of 4-chloro-1H-pyrrolo[3,2-c]pyridine (900 mg; 5.90 mmol) in dry DMF (6 ml) was added 1-iodopyrrolidine-2,5-dione (1.4 g; 6.19 mmol) in one portion. The yellow clear solution became dark brown clear solution. The reaction mixture was stirred at 25°C for 3 h. Then 21 ml water was added and the brown preciptiated was filtered, washed with water and azeotroped with toluene. to afford the desired compound (1.45 g) as brown solid which was used without further purification.

1.2: tert-butyl 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



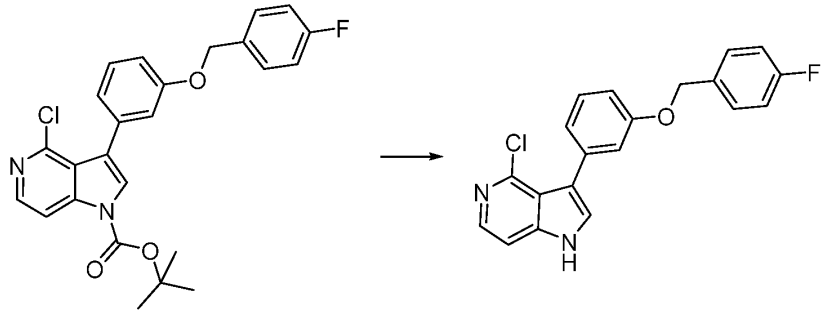
To a solution of 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine (843 mg; 2.79 mmol) (Step 1.1) in dry DCM (18 ml) was added di-tert-butyl dicarbonate (669 mg; 3.06 mmol) in one portion followed by DMAP (34 mg; 0.28 mmol). The clear solution was stirred at 25°C for 16 h. The reaction was quenched with water and diluted with DCM; the organic layer was separated and concentrated. The residue was purified by chromatography to afford the product (950 mg; 87 %) as yellow solid.

1.3: tert-butyl 4-chloro-3-{3-[(4-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



To tert-butyl 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (120 mg; 0.31 mmol) (Step 1.2) in dioxane (5 ml) / water (0.4 ml) was added commercially available (3-((4-fluorobenzyl)oxy)phenyl)boronic acid (99 mg; 0.40 mmol), K_2CO_3 (107 mg; 0.77 mmol) and [1,1'-bis(diphenylphosphino)ferrocene]-dichloropalladium(II) (23 mg; 0.03 mmol) unter argon. The reaction was stirred for 3 h at 80°C. The reaction was diluted with EtOAc and extracted with H_2O, dried over Na_2SO_4 and evaporated to dryness. The residue was purified by chromatography to provide the product (61 mg, 42 %) as colorless oil.

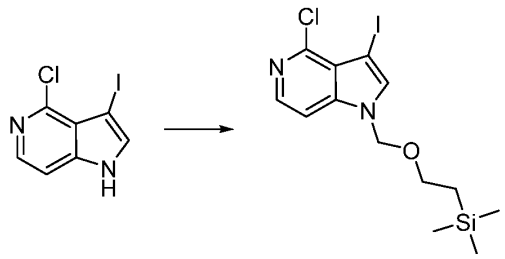
1.4: 4-chloro-3-{3-[(4-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine (Compound No. 1)



To a solution of tert-butyl 4-chloro-3-{3-[(4-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (61 mg; 0.13 mmol) (Step 1.3) in DCM (10 ml) was added TFA (1 ml) and stirred for 16 hrs at RT. The reaction was basified with NaOH-2N and diluted with DCM, extracted with water, dried over Na_2SO_4 and evaporated to dryness. The residue was purified by chromatography to afford the product as white solid (48 mg; 100 %).

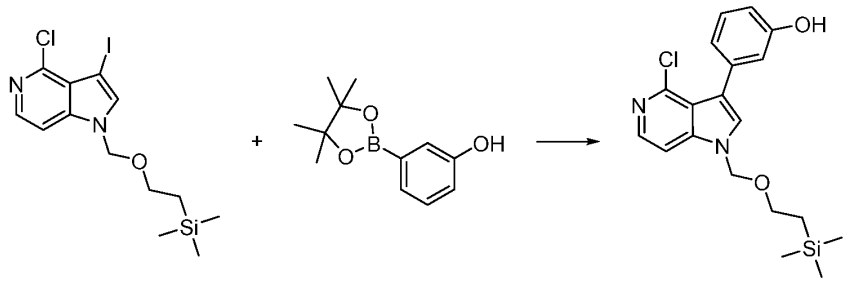
Example 2: 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine

2.1: 4-chloro-3-iodo-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine



To a suspension of 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine (8 g; 23.84 mmol) (Step 1.1) in DMF (160 ml) was added NaH (1.14 g; 28.61 mmol) at 0°C for 1h under N_2 atmosphere. [2-(chloromethoxy)ethyl]trimethylsilane (4.77 g; 28.61 mmol) was added into the mixture and stirred at 0°C for 4h. The reaction mixture was filtered, the filtrate was concentrated in vacuo and purified by chromatography to give pure product as a yellow solid (8.10 g; 37 %).

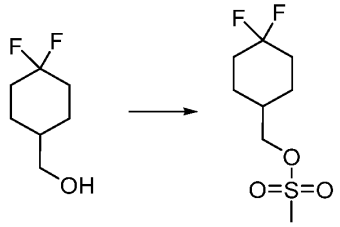
2.2: 3-(4-chloro-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)phenol



To a solution of 4-chloro-3-iodo-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine (1.50 g; 1.65 mmol) (Step 2.1) in 1,4-dioxane (30 ml) / water (3 ml) was added 3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (0.39 ml; 1.57 mmol), $Pd(dppf)Cl_2$ (120.72 mg; 0.17 mmol) and K_2CO_3 (571 mg; 4.13 mmol). The resulting reaction mixture was stirred at 90°C under N_2 atmosphere for 6 h. The mixture was filtered, the filtrate was

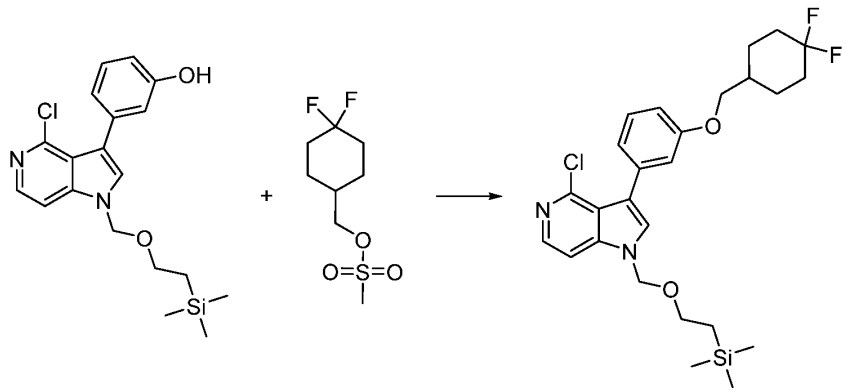
concentrated in vacuo and residue was diluted with EtOAc, washed with water, brine, dried over Na_2SO_4, concentrated and purified by chromatography to afford the product (560 mg; 73 %) as off-white solid.

2.3: 4,4-difluorocyclohexyl)methyl methanesulfonate



(4,4-difluorocyclohexyl)methanol (500 mg; 3.33 mmol) was dissolved in DCM (10 ml). The solution was cooled to 0°C and methanesulfonyl chloride (572 mg; 4.99 mmol) was added to the solution followed by triethylamine (842.32 mg; 8.32 mmol). The mixture was stirred for 3 h at RT. The crude reaction mixture was diluted with H_2O and DCM, the organic layer was separated and the aqueous layer was extracted with DCM twice. The combined organic layer was washed with brine, dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure to afforded crude product as off-white solid, which was used without further purification (800 mg; 84 %).

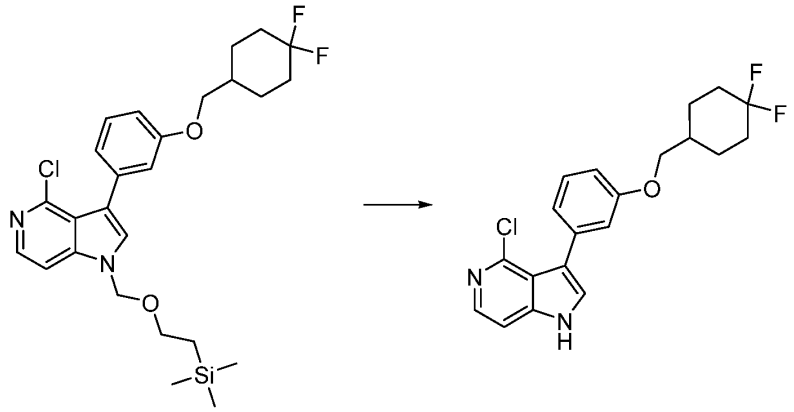
2.4: 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine



A suspension of 3-(4-chloro-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)phenol (300 mg; 0.65 mmol) (Step 2.2), (4,4-

difluorocyclohexyl)methyl methanesulfonate (370 mg; 1.30 mmol) (Step 2.3) and K_2CO_3 (448 mg; 3.24 mmol) in DMF (3 ml) was stirred at RT overnight under N_2 atmosphere. The mixture was filtered, the filtrate was concentrated in vacuo and the residue was diluted with EtOAc, washed with H_2O and brine, dried over Na_2SO_4, concentrated and purified by chromatography to afford the product (340 mg; 97 %) as off-white solid.

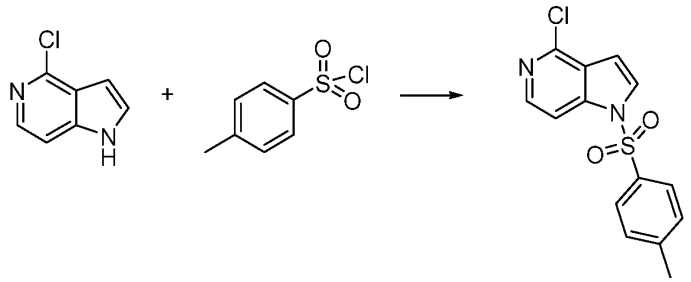
2.5: 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine (Compound No. 4)



To a solution of 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine (300 mg; 0.56 mmol) (Step 2.4) in anhydrous DCM (3 ml) was added TFA (3 ml) slowly. Then the mixture was stirred at 15°C for 16 h. After removal of the volatiles, the residue was dissolved in MeOH (3 ml) and Ammonia solution (3 ml) was added. The final mixture was stirred at 35°C for 3 h. After removal of the methanol, the precipitate was collected and purified chromatography to afford the product (130 mg; 62 %) as white solid.

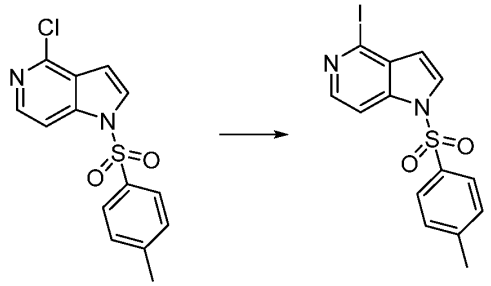
Example 3: 3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridine

3.1: 4-chloro-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine



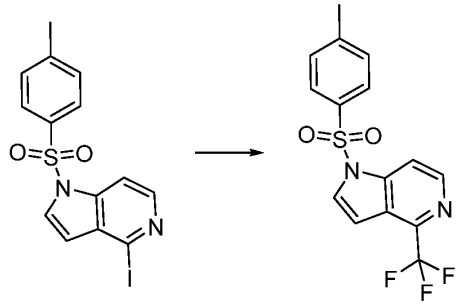
The solution of 4-chloro-1H-pyrrolo[3,2-c]pyridine (1.5 g; 9.83 mmol) in THF (10 ml) was cooled to 0°C under N_2. NaH (433 mg; 10.81 mmol) was added to the solution for 1 h. 4-methylbenzene-1-sulfonyl chloride (2.2 g; 11.80 mmol) was added and the mixture was stirred at 25°C for 15 h. H_2O was added. The mixture was extracted with EtOAc and the organic phase was dried over Na_2SO_4, concentrated and the residue was purified by chromatography to give the product (2.2 g; 72 %) as yellow solid.

3.2: 4-iodo-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine



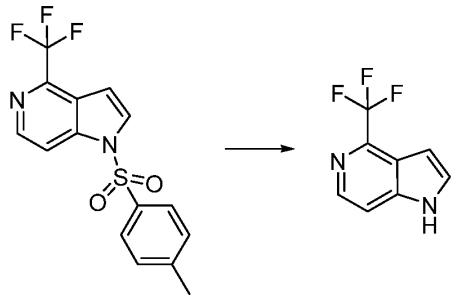
To a mixture of 4-chloro-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (1 g; 3.23 mmol) (Step 3.1), NaI (1.3 g; 8.39 mmol) in butan-2-one (15 ml) was added HI (8695 mg; 3.87 mmol). The mixture was stirred at 85°C under N_2 for 16 h. H_2O (30 ml) was added and the mixture was extracted with EtOAc. The organic phase was dried over anhydrous Na_2SO_4 and concentrated. The residue was purified by chromatography to give the desired product (930 mg; 72 %) as brown solid.

3.3: 1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



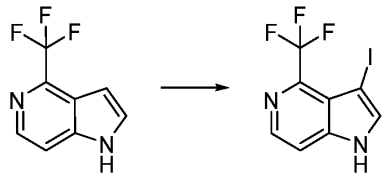
To 4-iodo-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (880 mg; 2.21 mmol) (Step 3.2), methyl 2,2-difluoro-2-(fluorosulfonyl)acetate (1.3 g; 6.63 mmol) and CuI (168 mg; 0.88 mmol) in DMF (100 ml) was added [bis(dimethylamino)phosphoryl]dimethylamine (1.6 g; 8.84 mmol). The mixture was stirred at 80°C under N_2 for 16 h in a sealed tube. H_2O was added, and the mixture was extracted with EtOAc. The organic phase was dried over Na_2SO_4 and concentrated. The residue was purified by chromatography to give the product (410 mg; 50 %) as white solid.

3.4: 4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



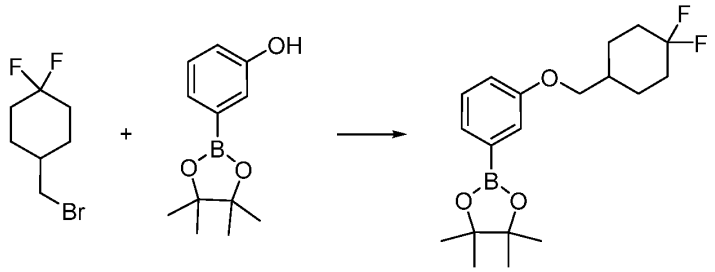
To a solution of 1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (410 mg; 1.11 mmol) (Step 3.3) in EtOH (10 ml) was added NaOH (443 mg; 11.08 mmol) in H_2O (5 ml). The resulting mixture was stirred at 30°C for 2 h. The mixture was concentrated, and the residue was diluted with H_2O. After extraction with EtOAc (50 ml) the organic phase was dried over anhydrous Na_2SO_4 and concentrated. The residue (206 mg; 1.11 mmol; off-white solid) was used in next step without further purification.

3.5: 3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



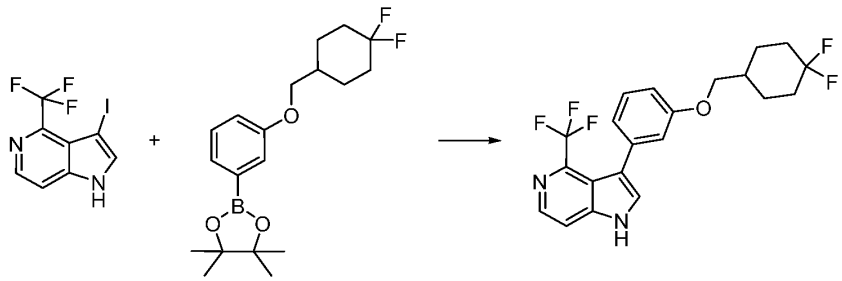
To a solution of 4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (200 mg; 1.07 mmol) (Step 3.4) in DMF (3 ml) was added 1-iodopyrrolidine-2,5-dione (242 mg; 1.07 mmol). The reaction mixture was stirred at 25°C under N_2 atmosphere for 2 h and then H_2O was added. After extraction with EtOAc the organic phase was dried over anhydrous Na_2SO_4 and concentrated. The residue (300 mg; 0.96 mmol; light yellow solid) was used without further purification.

3.6: 2-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



4-(bromomethyl)-1,1-difluorocyclohexane (250 mg; 1.17 mmol), 3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (0.29 ml; 1.17 mmol) and Cs_2CO_3 (765 mg; 2.35 mmol) were suspended in DMF (5 ml). The reaction mixture was heated to 70°C for 16 h under atmosphere of nitrogen. Then H_2O was added, and the mixture was extracted with EtOAc. The combined organic phase was dried over anhydrous Na_2SO_4 and concentrated. The crude was purified by chromatography to get the product (380 mg, 64 %) as white solid.

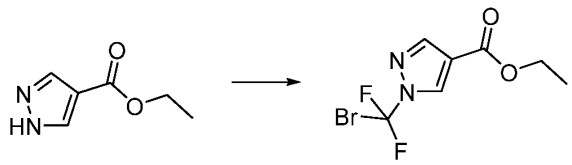
3.7: 3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (Compound No. 15)



To a solution of 3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (220 mg; 0.66 mmol) (Step 3.5), 2-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (335 mg; 0.66 mmol) (Step 3.6) and K_2CO_3 (275 mg; 1.99 mmol) in water (20 ml) / dioxane (2 ml) was added 1,1'-bis(diphenylphosphino)ferrocene palladium (49 mg; 0.07 mmol). The mixture was stirred at 70°C under N_2 for 16 h. H_2O was added to the reaction mixture and it was extracted with EtOAc. The organic phase was dried over anhydrous Na_2SO_4 and concentrated. The residue was purified by chromatography to give the product (110 mg; 40 %) as white solid.

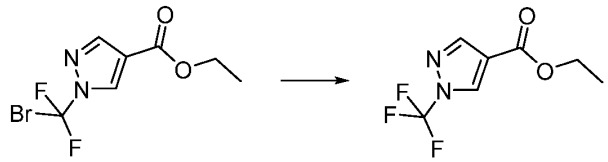
Example 4: 4-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-1-(trifluoromethyl)-1H-pyrazole

4.1: Ethyl 1-(bromodifluoromethyl)-1H-pyrazole-4-carboxylate



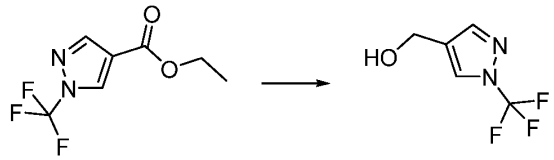
To a suspension of NaH (4.3 g; 107 mmol, 60% in paraffin oil) in dry DMF (50 ml) was added ethyl 1H-pyrazole-4-carboxylate (10 g; 71 mmol) at 0°C. The mixture was stirred at 25°C under N_2 for 1 h. Then dibromodifluoromethane (22.5 g; 107 mmol) was added for 2 h. H_2O was added, and the mixture was extracted with DCM. The organic phase was dried over anhydrous Na_2SO_4 and concentrated. The residue was purified by chromatography to give the product (5.35 g; 28 %) as clear colorless oil.

4.2: Ethyl 1-(trifluoromethyl)-1H-pyrazole-4-carboxylate



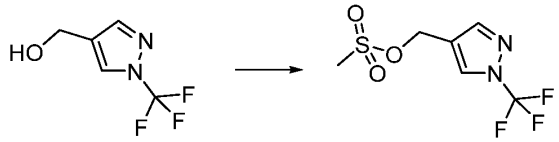
To a solution of ethyl 1-(bromodifluoromethyl)-1H-pyrazole-4-carboxylate (2 g; 6.39 mmol) (Step 4.1) in dry DCM (30 ml) was added silver(1+) tetrafluoroborate (3.73 g; 19.18 mmol) at -60°C. The mixture was stirred at 20°C under N_2 for 2 h. Then H_2O was added and the mixture was extracted with DCM. The organic phase was dried over anhydrous Na_2SO_4 and concentrated. The crude product (1.46 g; 6.03 mmol; clear colorless liquid) was used without further purification.

4.3: 1-(trifluoromethyl)-1H-pyrazol-4-yl]methanol



To a solution of ethyl 1-(trifluoromethyl)-1H-pyrazole-4-carboxylate (700 mg; 2.89 mmol) (Step 4.2) in dry THF (10 ml) was added $LiAlH_4$ in THF (5.78 ml; 5.78 mmol) at 0°C. The mixture was stirred at 25°C under N_2 for 2 h. Then H_2O was added and after extraction with EtOAc the organic phase was dried over Na_2SO_4 and concentrated. The crude product (490 mg; 2.54 mmol; clear colorless liquid) was used without further purification.

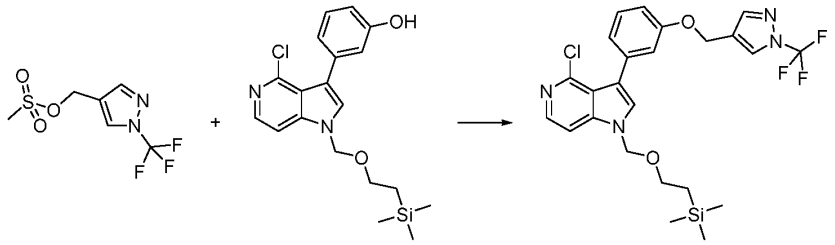
4.4: [1-(trifluoromethyl)-1H-pyrazol-4-yl]methyl methanesulfonate



To a solution of [1-(trifluoromethyl)-1H-pyrazol-4-yl]methanol (390 mg; 2.25 mmol) (Step 4.3) in dry DCM (5 ml) was added TEA (684 mg; 6.76 mmol) and methanesulfonyl chloride (387 mg; 3.38 mmol) at 0°C. The mixture was stirred at 25°C under N_2 for 1 h. After the addition of H_2O and extraction with

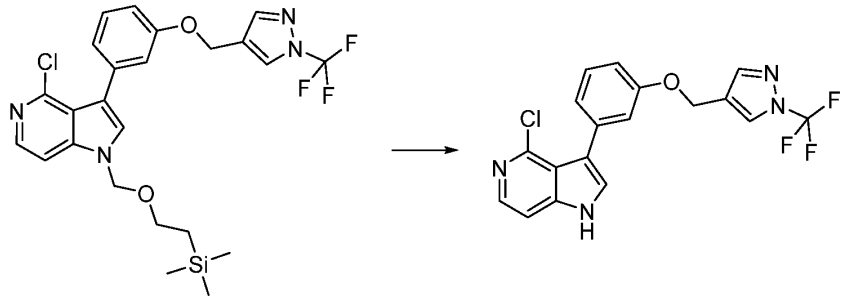
DCM the organic phase was dried over Na_2SO_4 and concentrated. The crude product (490 mg; 0.46 mmol; clear colorless oil) was used without further purification.

4.5: 4-{[3-(4-chloro-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)phenoxy]methyl}-1-(trifluoromethyl)-1H-pyrazole



To a solution of [1-(trifluoromethyl)-1H-pyrazol-4-yl]methyl methanesulfonate (490 mg; 0.46 mmol) (Step 4.4) in DMF was added 3-(4-chloro-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)phenol (200 mg; 0.43 mmol) (Step 2.2) and K_2CO_3 (191 mg; 1.38 mmol). The mixture was stirred at 80°C under N_2 for 16 h. After the addition of H_2O and extraction with EtOAc the organic phase was dried over Na_2SO_4 and concentrated. The residue was purified by chromatography to give the product (100 mg; 41 %) as clear colorless oil.

4.6: 4-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-1-(trifluoromethyl)-1H-pyrazole (Compound No. 7)

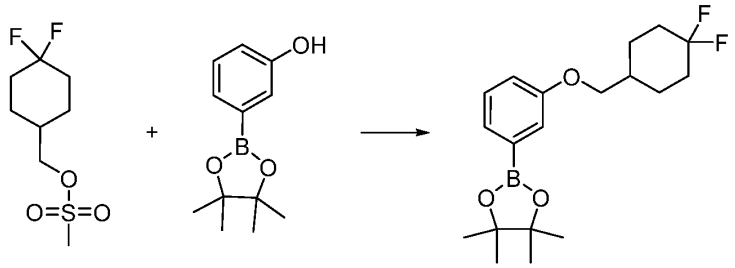


To a solution of 4-{[3-(4-chloro-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)phenoxy]methyl}-1-(trifluoromethyl)-1H-pyrazole (100 mg; 0.15 mmol) (Step 4.5) in DCM (3 ml) was added TFA (3 ml) at 25°C

under N_2 for 1h. After removal of all volatiles in vacuum $NH_3.H_2O$ (3 ml) and MeOH (3 ml) were added. The mixture was stirred at 35°C under N_2 for 2h and then concentrated. The residue was purified by chromatography to give the product (40 mg; 65 %) as white solid.

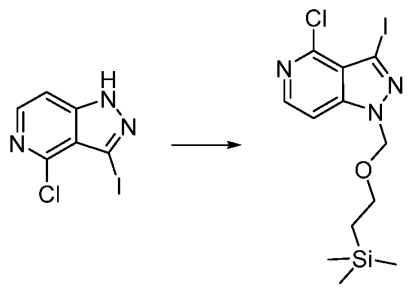
Example 5: 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1H-pyrazolo[4,3-c]pyridine

5.1: 2-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-4,4,5,5-tetramethyl-1,3,2-dioxaborolane



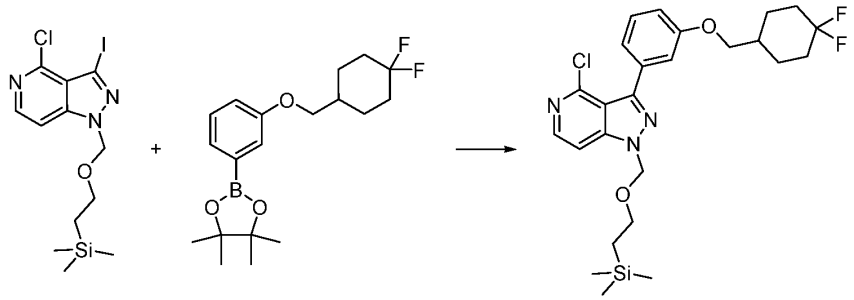
(4,4-difluorocyclohexyl)methyl methanesulfonate (265 mg; 0.93 mmol) (Step 2.3), 3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenol (0.23 ml; 0.93 mmol) and Cs_2CO_3 (605 mg; 1.86 mmol) were suspended in DMF (5 ml). The reaction mixture was heated to 70°C for 16 h under N_2 atmosphere. H_2O was added, after phase separation the aqueous phase was extracted with EtOAc, the combined organic phase was washed with brine and dried over Na_2SO_4. After filtration and evaporation, the residue was purified by chromatography to provide the product (340 mg; 96 %) as off-white solid.

5.2: 4-chloro-3-iodo-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrazolo[4,3-c]pyridine



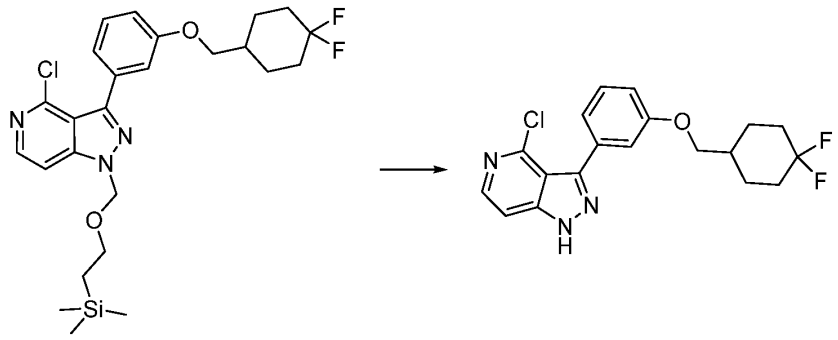
4-chloro-3-iodo-1H-pyrazolo[4,3-c]pyridine (299 mg; 1 mmol) (Step 1.1) and NaH (48 mg; 1.20 mmol) were suspended in THF (3 ml) at 0°C for 1 h and at 25°C for 1 h. Then [2-(chloromethoxy)ethyl]trimethylsilane (200 mg; 1.20 mmol) was added for 3 h at 25°C. H_2O and brine were added to the reaction mixture. After addition of EtOAc the organic layer was concentrated. The residue was purified chromatography to give the product (420 mg; 99 %) as off-white solid.

5.3: 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrazolo[4,3-c]pyridine



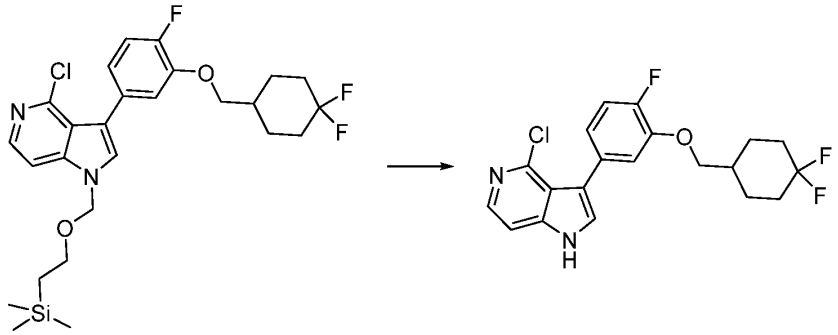
4-chloro-3-iodo-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrazolo[4,3-c]pyridine (349 mg; 0.82 mmol) (Step 5.2), 2-{3-[(4,4-difluorocyclohexyl)-methoxy]phenyl}-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (315 mg; 0.82 mmol) (Step 5.1), Pd(amphos)Cl_2 (117 mg; 0.16 mmol) and Cs_2CO_3 (805 mg; 2.47 mmol) were combined in dioxane (6 ml) / H_2O (0.60 ml). The reaction mixture was stirred at 50°C for 16 h under N_2 atmosphere. H_2O and brine were added to the reaction mixture. After addition of EtOAc the organic layer was concentrated. The residue was purified chromatography to give the product (240 mg; 50 %) as off-white solid.

5.4: 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1H-pyrazolo[4,3-c]pyridine (Compound No. 13)



4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1-{[2-(trimethylsilyl)-ethoxy]methyl}-1H-pyrazolo[4,3-c]pyridine (140 mg; 0.24 mmol) (Step 5.3) was dissolved in DCM (1 ml), then TFA (0.50 ml) was added at 0°C and the reaction mixture was heated to 25°C slowly for 1 h. DCM was removed in vacuum, then NH_3 (4 M in methanol) (2 ml; 8 mmol) was added at 25 °C for 1 h. The solvent was removed, and the residue was purified by chromatography to give the product (44 mg; 47 %) as off white solid.

Example 6: 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]-4-fluorophenyl}-1H-pyrrolo[3,2-c]pyridine (Compound No. 14)

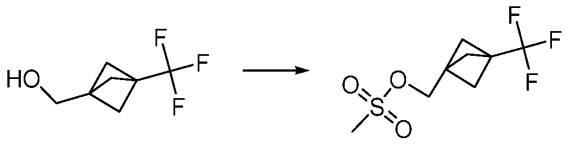


4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]-4-fluorophenyl}-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine (200 mg; 0.35 mmol) (available by utilizing synthetic procedures similar to those used for making the compound of Step 2.4) was dissolved in DCM (2 ml), then TFA (1 ml) was added at 0°C and the reaction mixture was heated to 25°C slowly for 1 h. DCM was removed in vacuum and NH_3 (4 M in methanol; 3 ml) was added

at 25°C for 1 h. The solvent was removed, and the residue was purified by chromatography to give the product (44.60 mg; 32 %) as off-white solid.

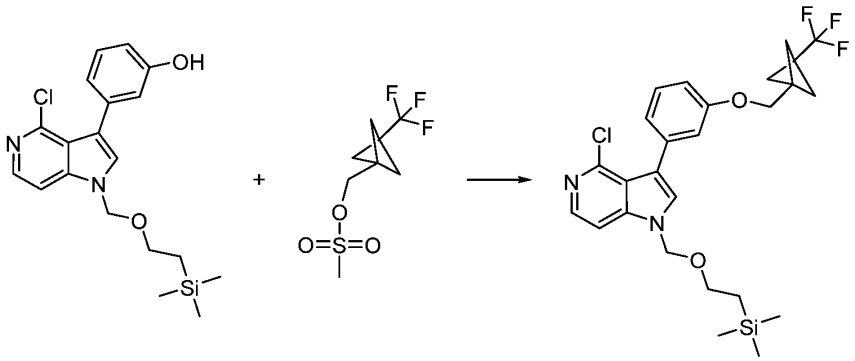
Example 7: 4-Chloro-3-(3-{[3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]-methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine

7.1: [3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]methyl methanesulfonate



[3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]methanol (100 mg; 0.54 mmol) was dissolved in DCM (2 ml). The solution was cooled to 0°C and methanesulfonyl chloride (93 mg; 0.81 mmol) was added followed by TEA (137 mg; 1.35 mmol). After 3 h at RT the crude reaction mixture was filtrated, and the organic layer was concentrated under reduced pressure to afforde the crude product (100 mg; 68 %) as off-white solid.

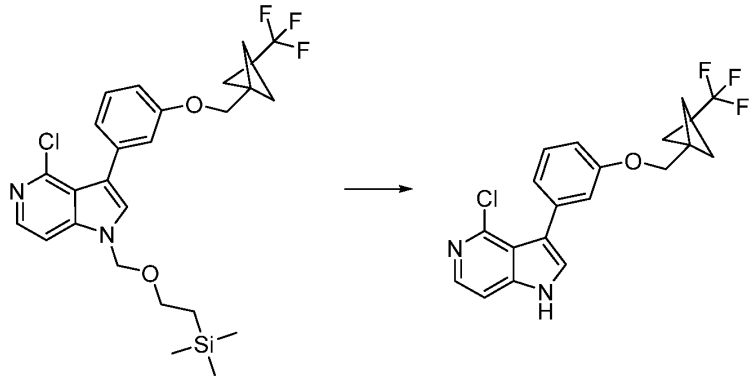
7.2: 4-chloro-3-(3-{[3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]-methoxy}phenyl)-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine



A suspension of 3-(4-chloro-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)phenol (113 mg; 0.24 mmol) (Step 2.2), [3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]methyl methanesulfonate (100 mg; 0.37 mmol) (Step 7.1) and K_2CO_3 (169 mg; 1.22 mmol) in DMF (1.13 ml) was stirred at RT overnight under N_2 atmosphere. The crude reaction mixture was

filtrated, and the organic layer was concentrated under reduced pressure to afforded the crude product (130 mg; 92 %) as off-white solid which was used in the next step without further purification.

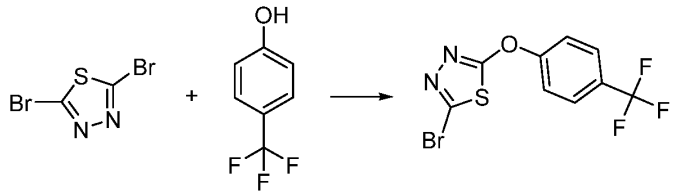
7.3: 4-Chloro-3-(3-{[3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]-methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine (Compound No. 21)



To a solution of 4-chloro-3-(3-{[3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]methoxy}phenyl)-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine (120 mg; 0.21 mmol) (Step 7.2) in anhydrous DCM (1 ml) was added TFA (1 ml) at 0°C slowly. The mixture was stirred at 15°C for 16 h. After removal of all volatiles, the residue was dissolved in MeOH (1 ml) and ammonia solution (1 ml) was added. The mixture was stirred at 35°C for 3 hours, quenched with H_2O and purified by chromatrography to afford the desired compound (60 mg; 74 %) as white solid.

Example 8: 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-[4-(trifluoromethyl)-phenoxy]-1,3,4-thiadiazole

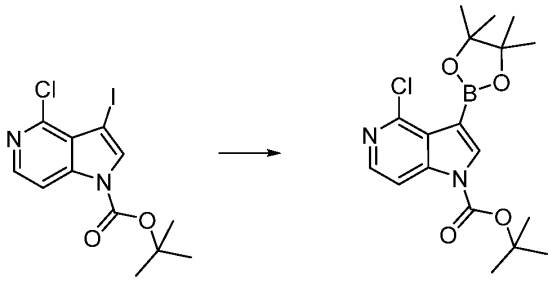
8.1: 2-Bromo-5-[4-(trifluoromethyl)phenoxy]-1,3,4-thiadiazole



To a stirred solution of dibromo-1,3,4-thiadiazole (1.50 g; 5.84 mmol) and 4-(trifluoromethyl)phenol (1.00 g; 5.86 mmol) in DMF (20 ml) was added K_2CO_3

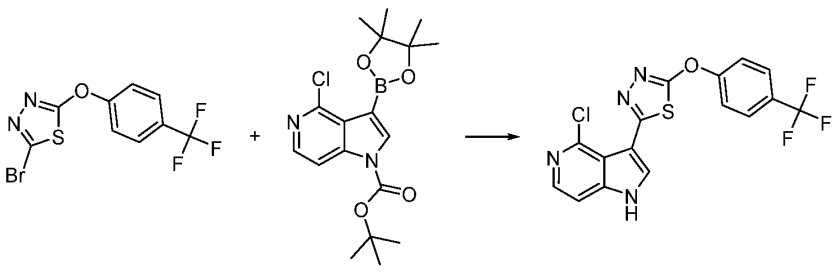
(1.30 g; 8.94 mmol). The resulting mixture was stirred for 2 h at 90°C and then diluted with H_2O. The mixture was extracted with EtOAc and the combined organic layers were washed with brine and dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (1.20 g; 52 %) as white solid.

8.2: tert-butyl 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



To tert-butyl 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (5.84 g; 15.43 mmol) (Step 1.2) and Tetrakis(triphenylphosphine)palladium(0) (1.78 g; 1.54 mmol) in TEA (43 ml) and dioxane (88 ml) was added unter argon 4,4,5,5-tetramethyl-1,3,2-dioxaborolane (6.92 ml; 46.29 mmol). Strong gas formation!!! The reaction was stirred for 2 h at 90°C and 2 h at 100°C. At RT the reaction mixture was diluted with EtOAc and H_2O and extracted with EtOAc. The combined organic layers were washed with brine, dried over Na_2SO_4 and sucked off. The filtrate was evaporated to dryness and purified by chromatography to afford the product (4.43 g; 76 %) as yellow oil.

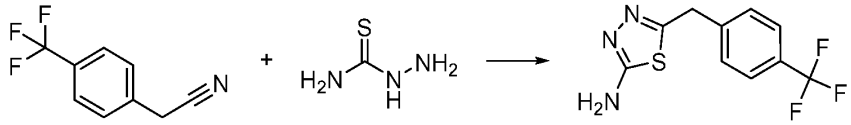
8.3: 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-[4-(trifluoromethyl)phenoxy]-1,3,4-thiadiazole (Compound No. 30)



To a solution of 2-bromo-5-[4-(trifluoromethyl)phenoxy]-1,3,4-thiadiazole (150 mg; 0.38 mmol) (Step 8.1) and tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (160 mg; 0.41 mmol) (Step 8.2) in dioxane (5 ml) and H_2O (1 ml) were added Na_2CO_3 (150 mg; 1.34 mmol) and $Pd(dppf)Cl_2 \cdot CH_2Cl_2$ (50 mg; 0.06 mmol). After stirring for 16 h at 90°C under N_2 atmosphere the reaction mixture was diluted with H_2O. The resulting mixture was extracted with EtOAc and the combined organic layers were washed with brine and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure. The crude product was purified by chromatography and provided the product (15.40 mg; 10%) as white solid.

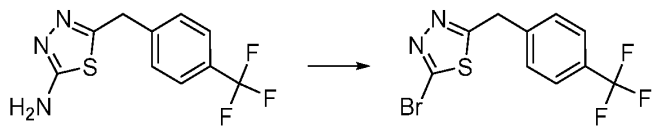
Example 9: 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-{[4-(trifluoromethyl)-phenyl]methyl}-1,3,4-thiadiazole

9.1: 5-{[4-(trifluoromethyl)phenyl]methyl}-1,3,4-thiadiazol-2-amine



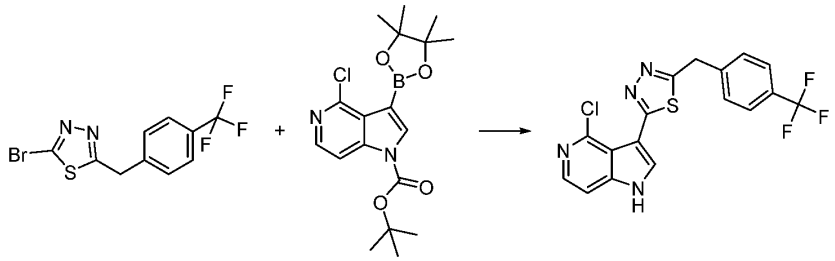
To a solution of 2-[4-(trifluoromethyl)phenyl]acetonitrile (5 g; 25.66 mmol) in TFA (50 ml) was added aminothiourea (2.70 g; 28.14 mmol) at RT under N_2 atmosphere. The resulting mixture was stirred for 3 h at 100°C and then concentrated under reduced pressure. The residue was diluted with EtOAc neutralized to pH 7 with saturated $NaHCO_3$ (aq.). The mixture was extracted with EtOAc and the combined organic layers were washed with H2O and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (6 g; 79 %) as off-white solid.

9.2: 2-Bromo-5-{[4-(trifluoromethyl)phenyl]methyl}-1,3,4-thiadiazole



To a solution of 5-{[4-(trifluoromethyl)phenyl]methyl}-1,3,4-thiadiazol-2-amine (2.90 g; 9.84 mmol) (Step 9.1) in ACN (50 ml) were added cupric bromide (4.60 g; 19.57 mmol) and t-BuONO (2.10 g; 19.35 mmol) at RT under N_2 atmosphere. The resulting mixture was stirred for 3 h at 60°C and then concentrated under reduced pressure. The residue was purified by chromatography to afford the product (2.90 g; 85 %) as light yellow solid.

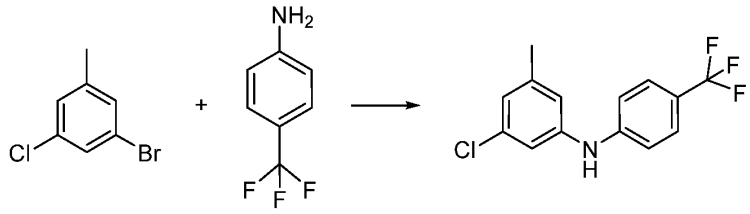
9.3: 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-{[4-(trifluoromethyl)-phenyl]methyl}-1,3,4-thiadiazole (Compound No. 31)



To a solution of 2-bromo-5-{[4-(trifluoromethyl)phenyl]methyl}-1,3,4-thiadiazole (80 mg; 0.23 mmol) (Step 9.2) and tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (95 mg; 0.24 mmol) (Step 8.2) in dioxane (5 ml) / H_2O (1 ml) were added $Pd(dppf)Cl_2$ (20 mg; 0.03 mmol) and Na_2CO_3 (50 mg; 0.45 mmol) at RT under N_2 athmosphere. The resulting mixture was stirred overnight at 90°C and then concentrated under reduced pressure. The residue was purified by chromatography to afford the product (58.10 mg; 63 %) as light yellow solid.

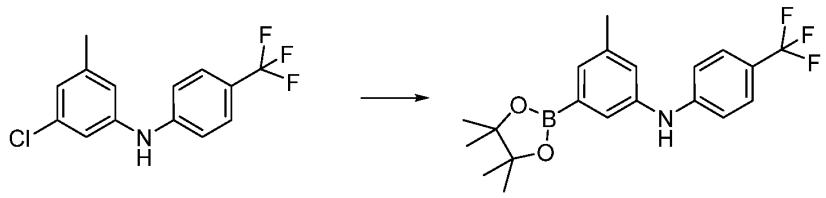
Example 10: 3-methyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-N-[4-(trifluoromethyl)phenyl]aniline

10.1: 3-chloro-5-methyl-N-[4-(trifluoromethyl)phenyl]aniline



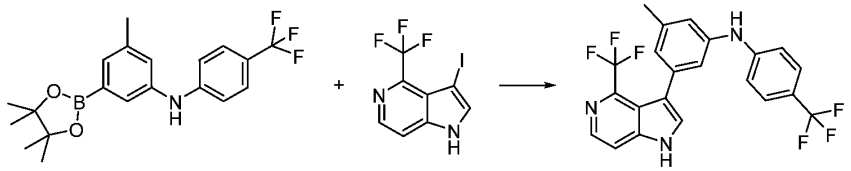
To a suspension of 1-bromo-3-chloro-5-methylbenzene (2 g; 9.73 mmol), 4-(trifluoromethyl)aniline (1.9 g; 11.68 mmol) and Cs_2CO_3 (9.5 g; 29.20 mmol) in dioxane (40 ml) was added XPhos Pd G3 (824 mg; 0.97 mmol).The mixture was stirred at 50°C under N_2 for 16 h before H_2O was added. The mixture was extracted with EtOAc and the combined organic phase was dried over Na_2SO_4 and concentrated. The residue was purified by chromatography to give the product (2.1 g; 69 %) as yellow oil.

10.2: 3-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-N-[4-(trifluoromethyl)phenyl]aniline



To a solution of 3-chloro-5-methyl-N-[4-(trifluoromethyl)phenyl]aniline (1 g; 3.12 mmol) (Step 10.1), bis(pinacolato)diboron (BPD) (1.2 g; 4.67 mmol) and KOAc (0.9 g; 9.35 mmol) in dioxane (10 ml) was added XphosPd G2 (245 mg; 0.31 mmol). The mixture was stirred at 80°C under N_2 for 16 h before H_2O was added. The mixture was extracted with EtOAc, the combined organic phase was dried over Na_2SO_4 and concentrated. The residue was purified by chromatography to give the product (1.32 g; 83 %) as colorless oil.

10.3: 3-methyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-N-[4-(trifluoromethyl)phenyl]aniline (Compound No. 37)

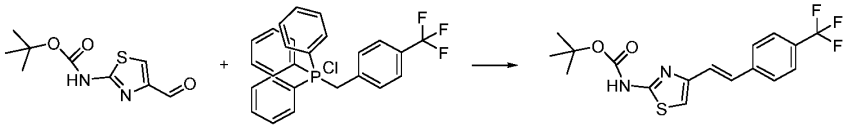


To 3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (120 mg; 0.33 mmol) (Step 3.5), 3-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-N-[4-(trifluoromethyl)phenyl]aniline (200 mg; 0.39 mmol) (Step 10.2) and K_2CO_3 (136 mg; 0.98 mmol) in dioxane (4 ml) / H_2O (0.4 ml) was added

$Pd(amphos)_2Cl_2$ (23.15 mg; 0.03 mmol). The mixture was stirred at 100°C under N_2 for 16 h. For work-up H_2O was added and the mixture was extracted with EtOAc. The combined organic phase was dried over Na_2SO_4 and concentrated. The residue was purified by chromatography to provide the produce (57.70 mg; 40 %) as white solid.

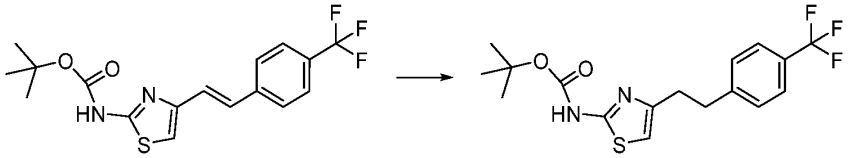
Example 11: 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazole

11.1: tert-butyl N-{4-[(1E)-2-[4-(trifluoromethyl)phenyl]ethenyl]-1,3-thiazol-2-yl}carbamate



A 100 ml round bottom flask was charged with chlorotriphenyl{[4-(trifluoromethyl)phenyl]methyl}-5-phosphane (1.9 g; 4.16 mmol) and THF (10 ml). NaO*t*Bu (450 mg; 4.45 mmol) was added, and the reaction mixture was allowed to stir at RT for 15 min. tert-butyl N-(4-formyl-1,3-thiazol-2-yl)carbamate (500 mg; 2.08 mmol) was added, and the reaction mixture was allowed to stir at RT overnight. The next morning, the solvent was removed in vacuo, and the residue was taken up in DCM. The organic layer was washed with saturated NH_4Cl, dried over Na_2SO_4, filtered and concentrated in vacuo. The resulting crude material was purified via chromatography to give the product (450 mg; 58 %) as light yellow oil.

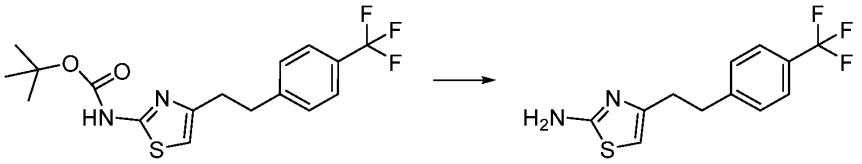
11.2: tert-butyl N-(4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazol-2-yl)carbamate



To a stirred solution of tert-butyl N-{4-[(1E)-2-[4-(trifluoromethyl)phenyl]ethenyl]-1,3-thiazol-2-yl}carbamate (100 mg; 0.27

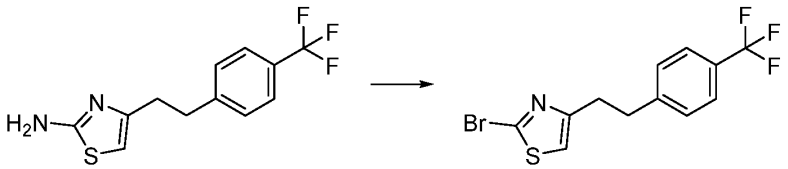
mmol) (Step 11.1) in MeOH (3 ml) was added Pd/C (29 mg; 0.03 mmol) at RT under N_2 atmosphere. The resulting mixture was stirred overnight at RT under H_2 atmosphere. After filtration, the filter cake was washed with MeOH and the filtrate was concentrated under reduced pressure giving the crude product (80 mg; 75 %) as light yellow oil which was used without further purification.

11.3: 4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazol-2-amine



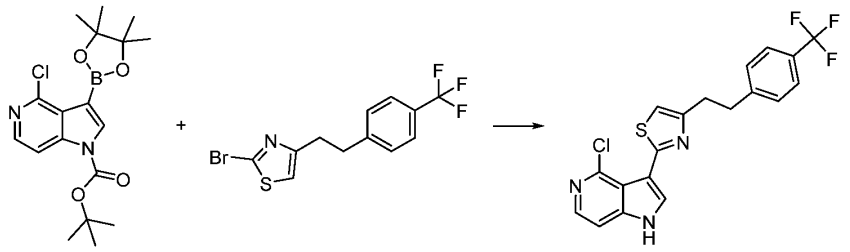
To a stirred solution of tert-butyl N-(4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazol-2-yl)carbamate (380 mg; 1 mmol) (Step 11.2) in DCM (6 ml) was added TFA (2 ml) dropwise at RT. The resulting mixture was stirred overnight at RT. After adjusting the pH to 8 with saturated $NaHCO_3$ (aq.) at 0°C the resulting mixture was extracted with DCM. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure. The crude product (280 mg, 98%) was used without further purification in the next step.

11.4: 2-bromo-4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazole



To a stirred solution of 4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazol-2-amine (210 mg; 0.75 mmol) and tBuONO (162 mg; 1.49 mmol) (Step 11.3) in ACN (1 ml) was added CuBr (113 mg; 0.75 mmol) at RT. The resulting mixture was stirred for 15 min at 85°C. The reaction mixture was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (130 mg; 49 %) as light yellow oil.

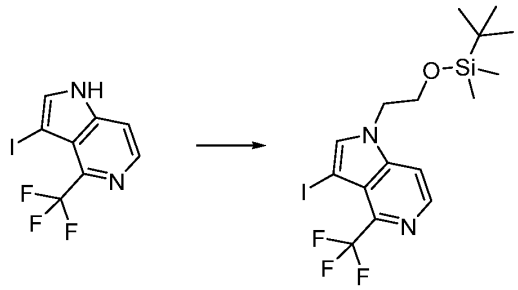
11.5: 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{2-[4-(trifluoro-methyl)phenyl]ethyl}-1,3-thiazole (Compound No. 105)



To a solution of tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (127 mg; 0.34 mmol) (Step 8.2) and 2-bromo-4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazole (80 mg; 0.22 mmol) (Step 11.4) in dioxane (3 ml) and H_2O (0.5 ml) was added Na_2CO_3 (63 mg; 0.56 mmol) and $Pd(dppf)Cl_2.CH_2Cl_2$ (19 mg; 0.02 mmol). After stirring for 16 h at 90°C under N_2 atmosphere the resulting mixture was extracted with EtOAc. The combined organic layers were dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure. The crude product was purified by chromatography to give the produce (39.50 mg; 43 %) as white solid.

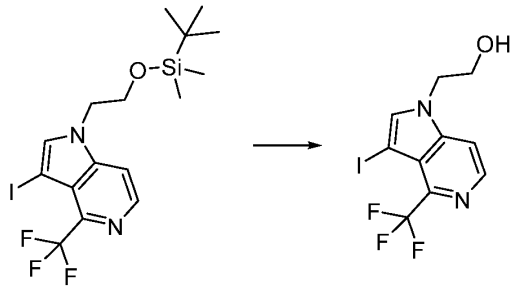
Example 12: 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol (Compound No. 342)

12.1: 1-{2-[(tert-butyldimethylsilyl)oxy]ethyl}-3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



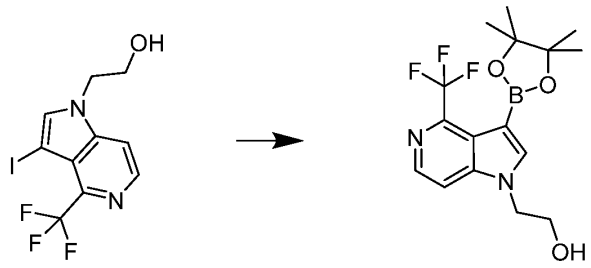
To a solution of 3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (2 g; 5.77 mmol) (Step 3.5) and (2-bromoethoxy)(tert-butyl)dimethylsilane (2.76 g; 11.54 mmol) in DMSO (30 ml) was added Cs_2CO_3 (3.76 g; 11.54 mmol).The mixture was stirred at 40°C for 6 h. After the addition of H_2O the mixture was extracted with EtOAc. The combined organic layer was dried over Na_2SO_4 and filtrated. The filtrate was concentrated to give the crude product (3 g; 95 %) as brown gel which was used in the next step without further purification.

12.2: 2-[3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol



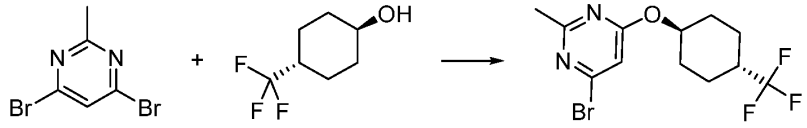
To a solution of 1-{2-[(tert-butyldimethylsilyl)oxy]ethyl}-3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (3 g; 5.49 mmol) (Step 12.1) in dioxane (40 ml) was added dioxane-hydrochloride (10 ml) at 0°C. The mixture was stirred at 25°C for 2 h and then diluted with H_2O and extracted with EtOAc. The combined organic phase was dried over Na_2SO_4 and concentrated to give the desired product (2 g; 96 %) as off-white solid which was used in the next step without further purification.

12.3: 2-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol



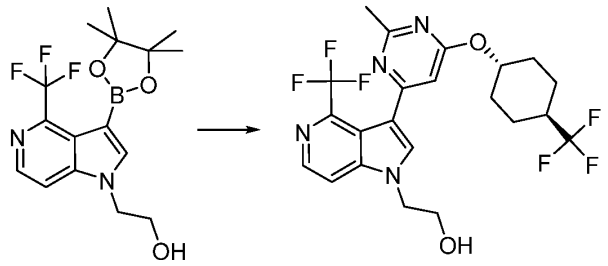
To 2-[3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol (300 mg; 0.79 mmol) (Step 12.2) in dioxane (6 ml) was added 4,4,5,5-tetramethyl-1,3,2-dioxaborolane (355 µl; 2.38 mmol), TEA (2.2 ml) and Tetrakis(triphenylphosphine)palladium(0) (91.5 mg; 0.08 mmol) under argon. The reaction was stirred for 2 h at 100°C, filtered at RT and evaporated to dryness. The residue was purified by chromatography to provide the product (235 mg, 74%) as brown oil.

12.4 4-bromo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine



To a solution of trans-4-(trifluoromethyl)cyclohexanol (196 mg; 1.13 mmol) in THF (10 ml) was added NaH (68 mg; 1.7 mmol) at 0°C for 30 min. Then 4,6-dibromo-2-methylpyrimidine (300 mg; 1.1 mmol), dissolved in THF (1 ml), was added dropwise. The mixture was stirred for 1 h at 0°C and overnight at RT. For work-up the reaction mixture was cooled down to 0°C and H_2O was added dropwise. After extraction with EtOAc, the organic phases were dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to provide the product (227 mg; 57%) as colorless resin.

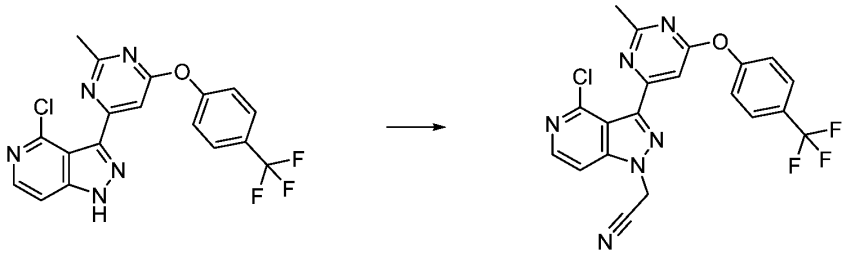
12.5: 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol



To 2-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol (205 mg; 0.51 mmol) (Step 12.3) in

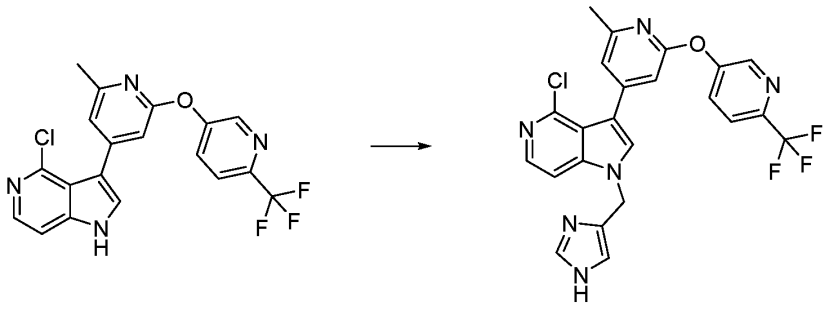
dioxane (4 ml) / H_2O (400 µl) was added 4-bromo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (227 mg; 0.67 mmol) (Step 12.4), K_2CO_3 (355 mg; 2.57 mmol) and Tetrakis(triphenylphosphine)palladium(0) (89 mg; 0.08 mmol) unter argon for 16 h at 60°C. The reaction mixture was diluted with EtOAc and extracted with H_2O, dried over Na_2SO_4 and evaporated to dryness. The residue was purified by chromatography to give the product (86 mg, 34 %) as white solid.

Example 13: {4-Chloro-3-[2-methyl-6-(4-trifluoromethyl-phenoxy)-pyrimidin-4-yl]-pyrazolo[4,3-c]pyridin-1-yl}-acetonitrile (Compound No. 385)



To a stirred solution of 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine (60 mg; 0.13 mmol) (Example 22, Step 22.4) in ACN (1 ml) was added 2-bromoacetonitrile (26 mg; 0.21 mmol) and Cs_2CO_3 (145 mg; 0.44 mmol) for 2 h at 90°C. The reaction mixture was directly purified by chromatography giving the product (12 mg, 22%) as light-yellow solid.

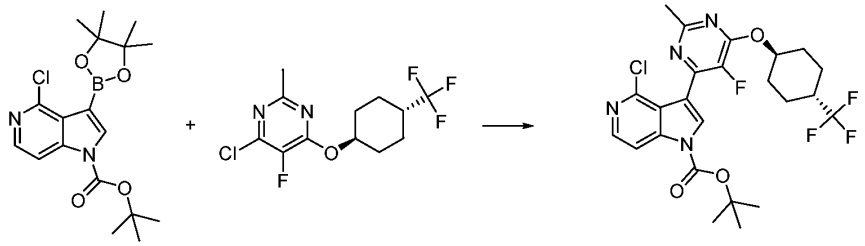
Example 14: 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine (Compound No. 365)



To a stirred solution of 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine (1.20 g; 2.73 mmol) (Example 23, Step 23.5) in DMF (30 ml) was added NaH (440 mg; 11 mmol) at 0°C for 30 min. 4-(chloromethyl)-1H-imidazole hydrochloride (880 mg; 5.46 mmol) was added at the given temperature and the resulting mixture was stirred for additional 16 h at RT. After removal of all volatiles the residue was purified by chromatography to afford the product (522 mg; 38 %) as white solid.

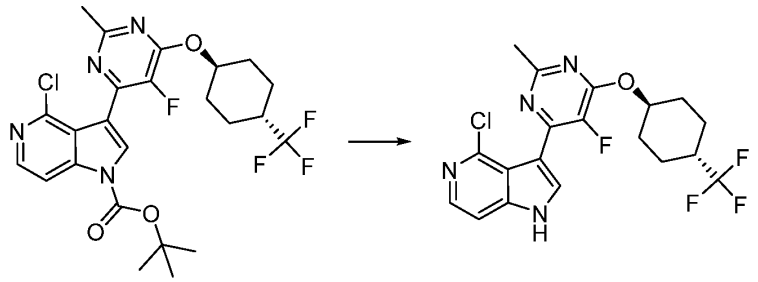
Example 15: 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol (Compound No. 346)

15.1: tert-butyl 4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



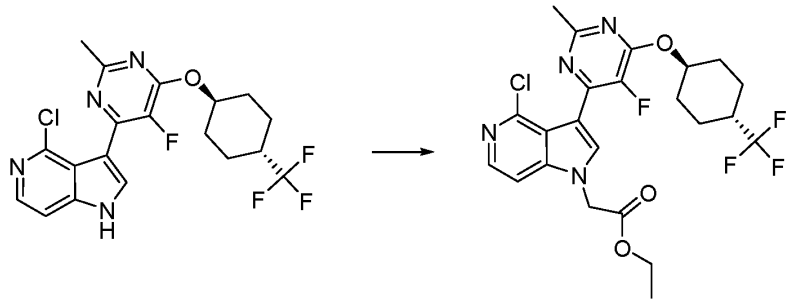
To a stirred solution, degassed with argon, of tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (420 mg; 1.08 mmol) (Step 8.2) and 4-chloro-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (381 mg; 1.19 mmol) (Step 21.4) in dioxane (8 ml) / H_2O (3 ml) and Na_2CO_3 (572 mg; 5,40 mmol) was added Tetrakis(triphenylphosphine)palladium(0) (187 mg; 0.16 mmol). The resulting mixture was stirred for 3 h at 40°C under argon atmosphere. Another portion of Tetrakis(triphenylphosphine)palladium(0) (94 mg; 0.08 mmol) was added over night at 40°C. For work-up the reaction mixture was dilluted with H_2O and extracted with DCM. The pooled organic phases were dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to give the product (105 mg; 17%) as yellow resin.

15.2: 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine



tert-butyl 4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (105 mg; 0.18 mmol) (Step 15.1) was dissolved in DCM (15 ml) and TFA (140 µl) was added over night at RT. Then the reaction mixture was diluted with saturated $NaHCO_3$ solution in H_2O and extracted with DCM. The organic layer was dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to give the product (103 mg; 100 %) as white solid.

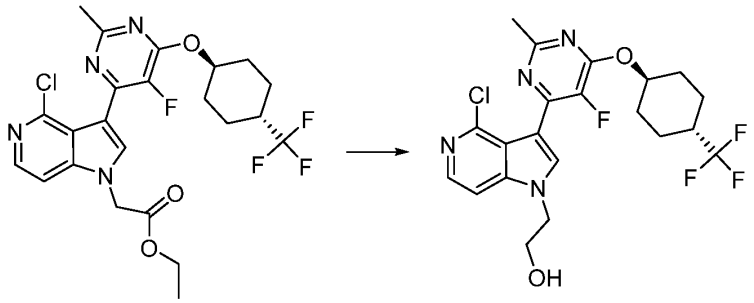
15.3: Ethyl 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetate



4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (750 mg; 1.75 mmol) (Step 15.2) and ethyl bromoacetate (374 µl; 3,30 mmol) were dissolved in ACN (40 ml). K_2CO_3 (913 mg; 6.61 mmol) was added over night at RT. For work-up the reaction mixture was diluted with H_2O and extracted with DCM. The organic layer was wash with H_2O, dried over Ns_2SO_4, filtered and evaporated. The

residue was purified by chromatography to give the product (1.1 g; 97 %) as light brown solid.

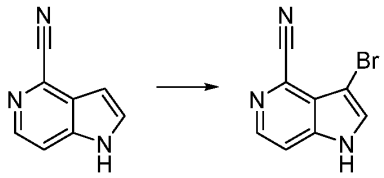
15.4: 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol



Ethyl 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetate (1.1 g; 2.14 mmol) (Step 15.3) was dissolved in MeOH (60 ml) and Sodium borohydride (404 mg; 10.68 mmol) was added in portions. The mixture was stirred over night at RT, diluted with H_2O and extracted with EtOAc. The combined organic layer was extracted with H_2O, dried over Na_2SO_4, filtered and evaporated. The crude product (1.15 g) was crystallized from ACN and heptane to give the product (950 mg, 94%) as white solid.

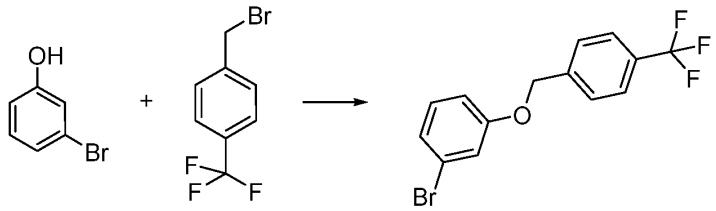
Example 16: 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile (Compound No. 219)

16.1: 3-bromo-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile



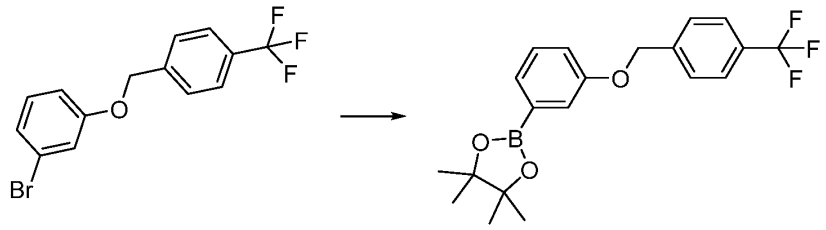
To a stirred solution of commercially available 1H-pyrrolo[3,2-c]pyridine-4-carbonitrile (2 g; 13.27 mmol) in DCM (100 ml) was added NBS (2.72 g; 14.82 mmol). The reaction mixture was stirred for 2 h at RT. Then it was filtered, and the filter cake was washed with DCM giving the desired crude product (2.30 g; 78 %) as white solid which was used without further purification.

16.2: 1-bromo-3-{[4-(trifluoromethyl)phenyl]methoxy}benzene



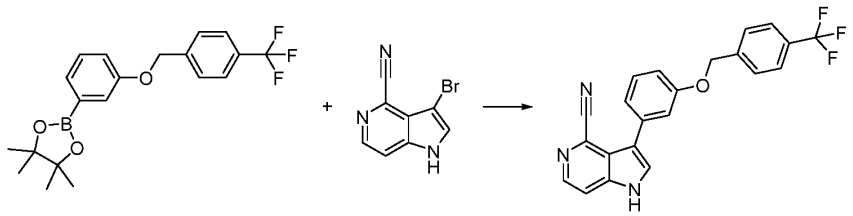
To a stirred solution of 3-bromophenol (3 ml; 28.61 mmol) and 1-(bromomethyl)-4-(trifluoromethyl)benzene (8 g; 30.12 mmol) in acetone (30 ml) was added K_2CO_3 (13 g; 89.55 mmol) for 2 h at 60°C. The mixture was concentrated, and the residue was purified chromatography to afford the product (7.6 g; 80 %) as colorless oil.

16.3: 4,4,5,5-tetramethyl-2-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1,3,2-dioxaborolane



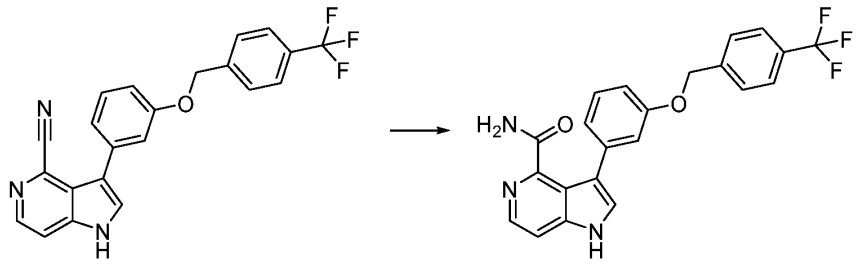
To a stirred solution of 1-bromo-3-{[4-(trifluoromethyl)phenyl]methoxy}-benzene (100 mg; 0.30 mmol) (Step 16.2) and BPD (400 mg; 1.42 mmol) in dioxane (10 ml) was added $Pd(dppf)Cl_2.CH_2Cl_2$ (25 mg; 0.03 mmol) and AcOK (90 mg; 0.91 mmol). The reaction mixture was stirred for 2 h at 90°C under N_2 atmosphere. After evaporation the residue was purified by chromatography to give the product (104 mg; 91 %) as colorless oil.

16.4: 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile



To a stirred solution of 4,4,5,5-tetramethyl-2-(3-{[4-(trifluoromethyl)-phenyl]methoxy}phenyl)-1,3,2-dioxaborolane (100 mg; 0.26 mmol) (Step 16.3) and 3-bromo-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile (70 mg; 0.32 mmol) (Step 16.1) in dioxane (10 ml) / H_2O (2 ml) was added $Pd(dppf)Cl_2.CH_2Cl_2$ (50 mg; 0.06 mmol) and Na_2CO_3 (150 mg; 1.34 mmol) under N_2 atmosphere. The reaction mixture was stirred for 2 h at 80°C and then concentrated under vacuum. The residue was purified by chromatography to give the product (14.60 mg; 14 %) as yellow solid.

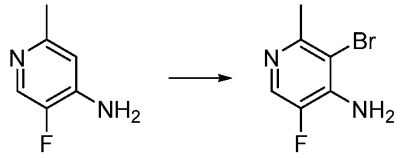
Example 17: 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carboxamide (Compound No. 224)



To a stirred solution of 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile (200 mg; 0.41 mmol) (Step 16.4) in DMSO (6 ml) was added K_2CO_3 (60 mg; 0.41 mmol) and H_2O_2 (2 ml) at 0°C. The reaction mixture was stirred for 2 h at RT. After evaporation the residue was purified by chromatography to give the product (22.8 mg; 14 %) as white solid.

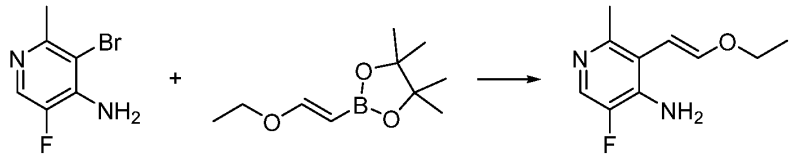
Example 18: 7-fluoro-4-methyl-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}-phenyl)-1H-pyrrolo[3,2-c]pyridine (Compound No. 222)

18.1: 3-bromo-5-fluoro-2-methylpyridin-4-amine



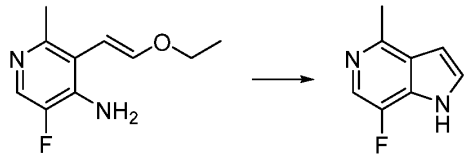
To a stirred solution of commercially available 5-fluoro-2-methylpyridin-4-amine (2 g; 15.06 mmol) in ACN (30 ml) was added NBS (3.3 g; 18.08 mmol) at 25°C for 2 h. The reaction mixture was concentrated under vacuum and the residue was purified by chromatography to give the product (2.90 g; 94 %) as yellow solid.

18.2: 3-[(1E)-2-ethoxyethenyl]-5-fluoro-2-methylpyridin-4-amine



To a stirred solution of 3-bromo-5-fluoro-2-methylpyridin-4-amine (2.8 g; 13.66 mmol) (Step 18.1) and 2-[(1E)-2-ethoxyethenyl]-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (3.4 g; 16.39 mmol) in dioxane (40 ml) / H_2O (8 ml) was added $Pd(dppf)Cl_2$ (1.1 g; 1.37 mmol) and K_2CO_3 (3.9 g; 27.31 mmol). The resulting mixture was stirred for 2 h at 90°C under N_2 atmosphere. The reaction mixture was concentrated under vacuum and the residue was purified by chromatography to afford the product (2.80 g; 99 %) as yellow oil.

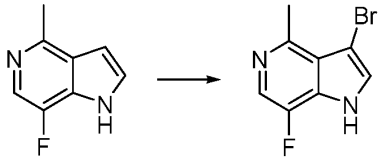
18.3: 7-fluoro-4-methyl-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 3-[(1E)-2-ethoxyethenyl]-5-fluoro-2-methylpyridin-4-amine (1.50 g; 7.31 mmol) (Step 18.2) in *i*PrOH (30 ml) was added aq. HCl (3 ml). The resulting mixture was stirred for 2 h at 80°C and then concentrated under vacuum. The residue was neutralized to pH 8 with $NaHCO_3$ (aq) and extracted with EtOAc. The combined organic layers were washed with brine

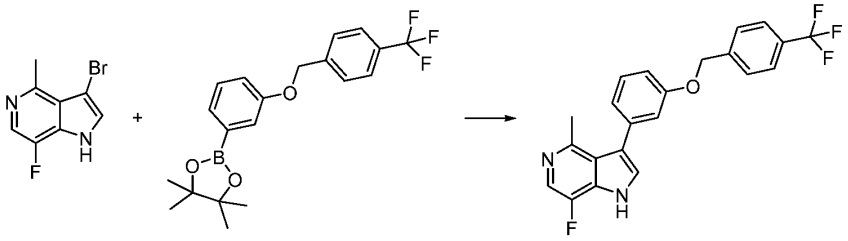
and dried over anhydrous MgSO4. After filtration, the filtrate was concentrated under reduced pressure giving the crude product (950 mg; 87 %) as yellow solid which was used without further purification.

18.4: 3-bromo-7-fluoro-4-methyl-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 7-fluoro-4-methyl-1H-pyrrolo[3,2-c]pyridine (500 mg; 3.33 mmol) (Step 18.3) in DCM (14 ml) was added NBS (653 mg; 3.56 mmol) at 0°C for 30 min. The resulting mixture was stirred for 2 h at RT and then concentrated under vacuum. The residue was purified by chromatography to afford the product (380 mg; 50 %) as yellow solid.

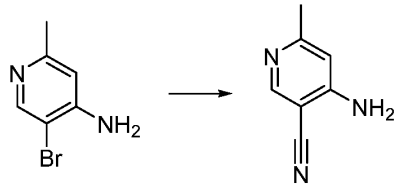
18.5: 7-fluoro-4-methyl-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 3-bromo-7-fluoro-4-methyl-1H-pyrrolo[3,2-c]pyridine (140 mg; 0.58 mmol) (Step 18.4) and 4,4,5,5-tetramethyl-2-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1,3,2-dioxaborolane (260 mg; 0.69 mmol) (Step 16.3) in dioxane (10 ml) / H_2O (1 ml) was added and $Pd(dppf)Cl_2 \cdot CH_2Cl_2$ (50 mg; 0.06 mmol) and K_2CO_3 (250 mg; 1.7 mmol). The resulting mixture was stirred overnight at 80°C under N_2 atmosphere. After evaporation the residue was purified by chromatography to afford the product (39 mg; 16 %) as white solid.

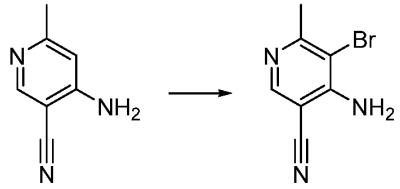
Example 19: 4-methyl-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile (Compound No. 231)

19.1: 4-amino-6-methylpyridine-3-carbonitrile



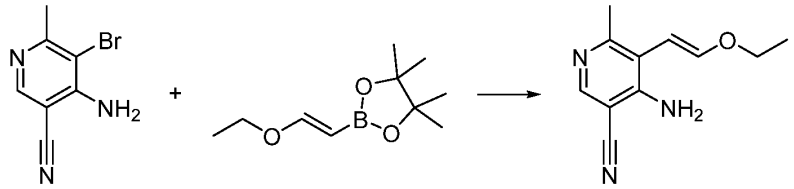
To a stirred solution of 5-bromo-2-methylpyridin-4-amine (2 g; 10.16 mmol) and $Zn(CN)_2$ (753 mg; 6.10 mmol) in DMF (20 ml) was added $Pd(PPh_3)_4$ (1.2 g; 1.02 mmol). The resulting mixture was stirred for 2 h at 90°C under N_2 atmosphere. The reaction mixture was concentrated under vacuum and the residue was purified by chromatography to afford 4-amino-6-methylpyridine-3-carbonitrile (850 mg; 63 %) as yellow solid.

19.2: 4-amino-5-bromo-6-methylpyridine-3-carbonitrile



To a stirred solution of 4-amino-6-methylpyridine-3-carbonitrile (830 mg; 6.23 mmol) (Step 19.1) in ACN (20 ml) was added NBS (1.4 g; 7.48 mmol). The resulting mixture was stirred for 1 h at RT and then concentrated under vacuum. The residue was purified by chromatography to afford the product (1.20 g; 91 %) as yellow solid.

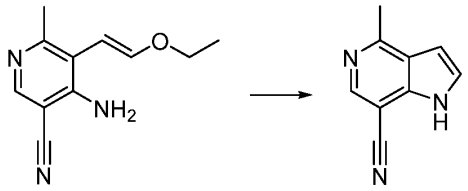
19.3: 4-amino-5-[(1E)-2-ethoxyethenyl]-6-methylpyridine-3-carbonitrile



To a stirred solution of 4-amino-5-bromo-6-methylpyridine-3-carbonitrile (1.15 g; 5.42 mmol) (Step 19.2) and 2-[(1E)-2-ethoxyethenyl]-4,4,5,5-

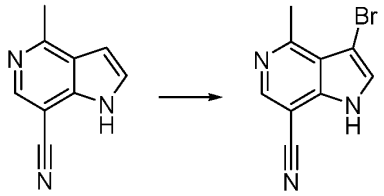
tetramethyl-1,3,2-dioxaborolane (2.3 g; 10.85 mmol) in dioxane (20 ml) / H_2O (3 ml) was added $Pd(dppf)Cl_2$ (418 mg; 0.54 mmol) and K_2CO_3 (1.6 g; 10.85 mmol). The resulting mixture was stirred for 2 h at 90°C under N_2 atmosphere and then concentrated under vacuum. The residue was purified chromatography to afford the product (550 mg; 50%) as brown-orange solid.

19.4: 4-methyl-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile



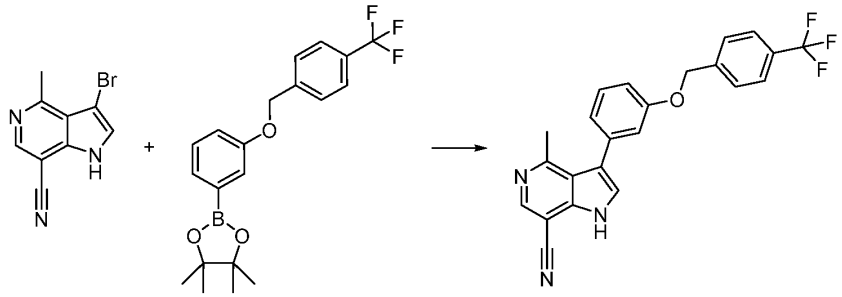
To a stirred solution of 4-amino-5-[(1E)-2-ethoxyethenyl]-6-methylpyridine-3-carbonitrile (530 mg; 2.61 mmol) (Step 19.3) in iPrOH (10 ml) was added aq. HCl (1 ml). The resulting mixture was stirred for 1 h at 70°C. After concentration in vacuum and neutralization to pH 8 with $NaHCO_3$ (aq), the aqueous phase was extracted with EtOAc . The combined organic layers were washed with brine and dried over anhydrous MgSO4. After filtration, the filtrate was concentrated under reduced pressure giving the crude product (340 mg; 83 %) as brown-orange solid which was used without further purification in the next step.

19.5: 3-bromo-4-methyl-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile



To a stirred solution of 4-methyl-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile (300 mg; 1.91 mmol) (Step 19.4) in DCM (10 ml) was added NBS (340 mg; 1.81 mmol) for 30 min at 0°C. The resulting mixture was stirred for 2h at RT and then concerned under vacuum. The residue was purified by chromatography to afford the product (340 mg; 69 %) as white solid.

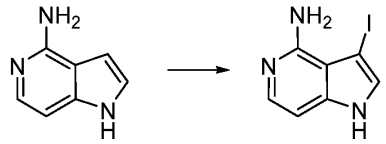
19.6: 4-methyl-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile



To a stirred solution of 3-bromo-4-methyl-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile (150 mg; 0.45 mmol) (Step 19.5) and 4,4,5,5-tetramethyl-2-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1,3,2-dioxaborolane (360 mg; 0.95 mmol) (Step 16.3) in dioxane (10 ml) / H_2O (1 ml) was added $Pd(dppf)Cl_2 \cdot CH_2Cl_2$ (52 mg; 0.06 mmol) and K_2CO_3 (263 mg; 1.81 mmol). The resulting mixture was stirred for 2 h at 80°C under N_2 atmosphere. After evaporation the residue was purified by chromatography giving the product (33 mg; 18%) as white solid.

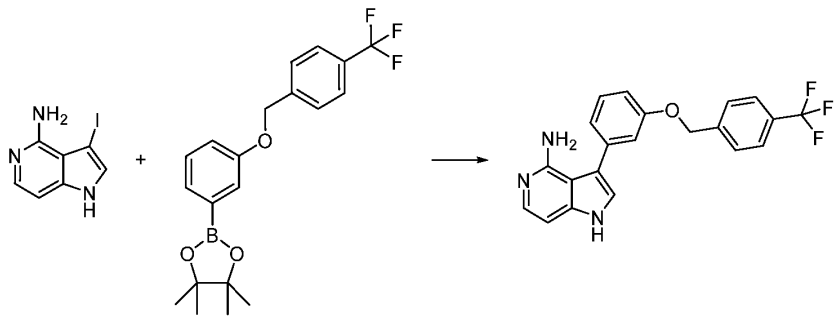
Example 20: 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-4-amine (Compound No. 211)

20.1: 3-iodo-1H-pyrrolo[3,2-c]pyridin-4-amine



To a solution of commercially available 1H-pyrrolo[3,2-c]pyridin-4-amine (1 g; 7.51 mmol) in ACN (40 ml) was added 1-iodopyrrolidine-2,5-dione (2.03 g; 9.01 mmol) in ACN (10 ml) at 0°C. The mixture was stirred at 30°C for 1 h. The reaction mixture was concentrated, and the residue was purified by chromatography to give the desired product (970 mg; 48 %) as brown-yellow powder.

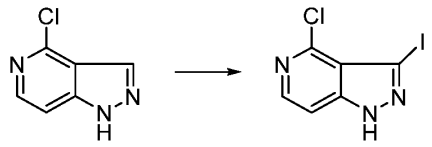
20.2: 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-4-amine



To a mixture of 3-iodo-1H-pyrrolo[3,2-c]pyridin-4-amine (220 mg; 0.82 mmol) (Step 20.1), 4,4,5,5-tetramethyl-2-(3-{[4-(trifluoromethyl)-phenyl]methoxy}phenyl)-1,3,2-dioxaborolane (446 mg; 0.98 mmol) (Step 16.3) and K_2CO_3 (338 mg; 2.45 mmol) in H_2O (2 ml) / dioxane (20 ml) was added bis(4-(di-tert-butylphosphanyl)-N,N-dimethylaniline); dichloropalladium (58 mg; 0.08 mmol). The mixture was stirred at 50°C under N_2 for 16 h. The reaction mixture was concentrated, and the residue was purified by chromatography to give the desired product (71 mg; 22 %) as white solid.

Example 21: 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]acetonitrile (Compound No. 382)

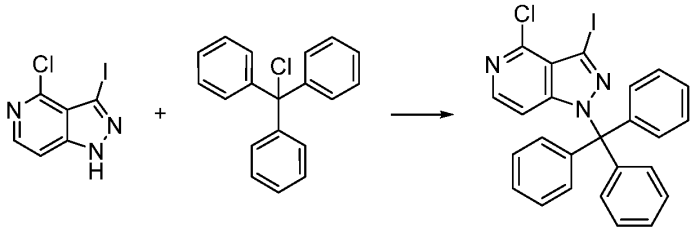
21.1: 4-chloro-3-iodo-1H-pyrazolo[4,3-c]pyridine



A solution of commercially available 4-chloro-1H-pyrazolo[4,3-c]pyridine (2 g; 12.37 mmol) and NIS (3 g; 12.67 mmol) in DMF (20 ml) was stirred for 4 h at 100°C. The mixture was extracted with EtOAc and the combined organic layers were washed with H_2O and dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the

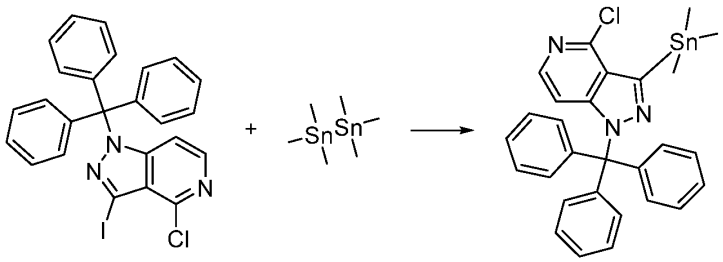
residue was purified by chromatography to afford the product (2 g; 54 %) as yellow solid.

21.2: 4-chloro-3-iodo-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridine



To a solution of 4-chloro-3-iodo-1H-pyrazolo[4,3-c]pyridine (1.90 g; 6.36 mmol) (Step 21.1) in DMF (20 ml) was added NaH (305 mg; 7.63 mmol, 60% in oil) at 0°C for 30 min. (Chlorodiphenylmethyl)benzene (2 g; 6.82 mmol) was added and the mixture was stirred for 2 h at RT. The reaction was quenched by H_2O and extracted with DCM. The combined organic layers were washed with H_2O and dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (3 g; 86 %) as yellow solid.

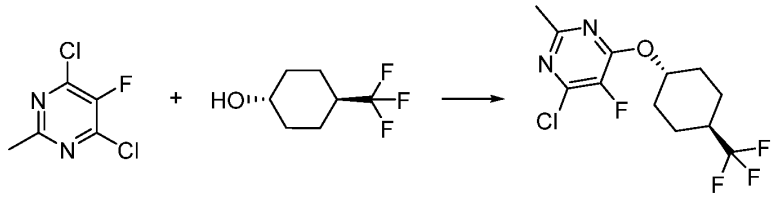
21.3: 4-chloro-3-(trimethylstannyl)-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridine



A solution of 4-chloro-3-iodo-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridine (400 mg; 0.73 mmol) (Step 21.2), hexamethyldistannane (724 mg; 2.19 mmol) and Pd(amphos)Cl_2 (109 mg; 0.15 mmol) in toluene (4 ml) was stirred for 2 h at 140°C in the microwave. Then the mixture was extracted with EtOAc and the combined organic layers were washed with H_2O, dried over Na_2SO_4 and

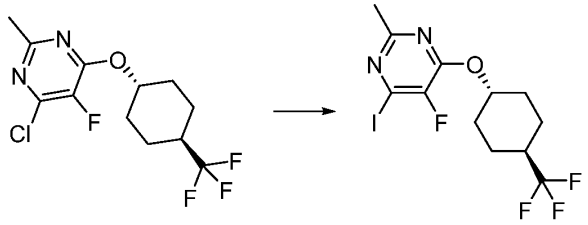
concentrated under reduced pressure after filtration. The residue was purified by chromatography to give the product (300 mg; 67 %) as white solid.

21.4: 4-chloro-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine



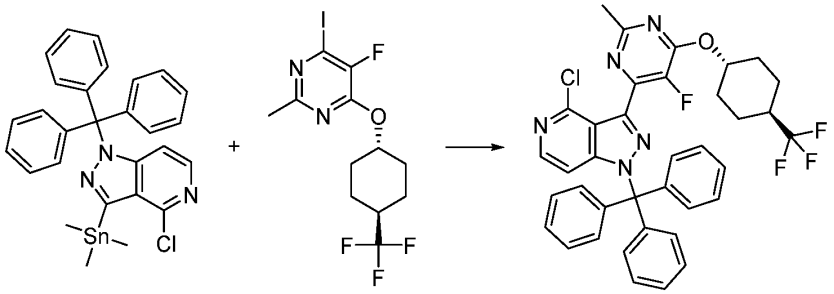
To a stirred solution of 4,6-dichloro-5-fluoro-2-methylpyrimidine (1 g; 5.25 mmol) and (1r,4r)-4-(trifluoromethyl)cyclohexan-1-ol (0.92 g; 5.36 mmol) in THF (20 ml) was added KHMDS (6.60 ml; 6.60 mmol; 1M in toluene) at 0°C. After 1h at RT the mixture was extracted with EtOAc and the combined organic layers were washed with brine and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (950 mg; 51 %) as colorless oil.

21.5: 5-fluoro-4-iodo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine



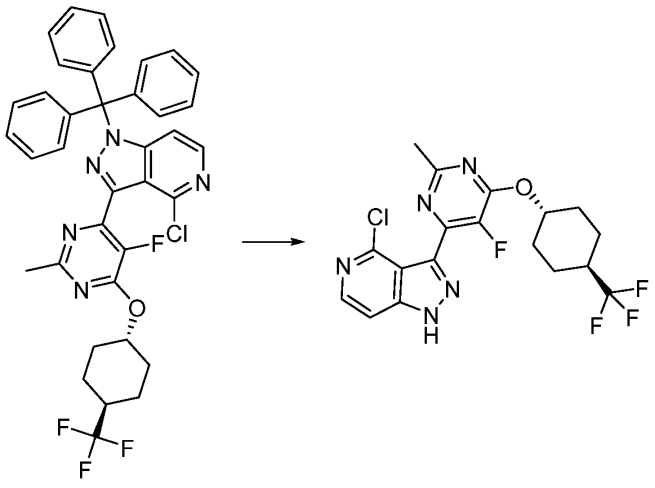
To a stirred mixture of 4-chloro-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (1.40 g; 3.95 mmol) (Step 21.4) in HI (57% in H_2O, 20.00 ml) was added NaI (700 mg; 4.44 mmol) for 2 h. The mixture was extracted with DCM and the combined organic layers were washed with brine and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (1.65 g; 47 %) as brown oil.

21.6: 4-[4-chloro-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine



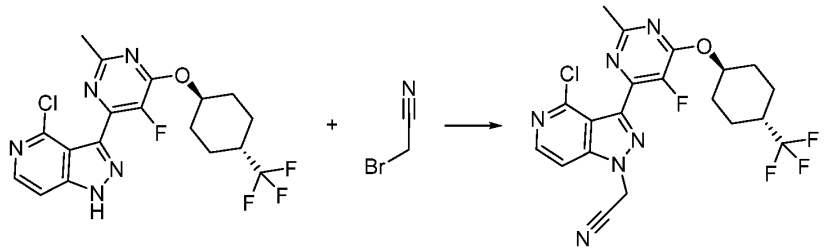
To a stirred solution of 4-chloro-3-(trimethylstannyl)-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridine (1.13 g; 1.85 mmol) (Step 21.3) and 5-fluoro-4-iodo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (1.64 g; 1.84 mmol) (Step 21.5) in DMF (40 ml) were added $Pd(PPh_3)_4$ (225 mg; 0.18 mmol) and CuI (372 mg; 1.86 mmol). The resulting mixture was stirred overnight at 100°C under N_2 atmosphere. After evaporation the residue was purified by chromatography to give the produce (840 mg; 65 %) as brown oil.

21.7: 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 373)



To a stirred solution of 4-[4-chloro-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidine (840 mg; 1.20 mmol) (Step 21.6) in DCM (50 ml) was added Et_3SiH (2.50 ml) and TFA (5 ml) for 2h. After evaporation the residue was purified by chromatography to afford the product (410 mg; 79 %) as white solid.

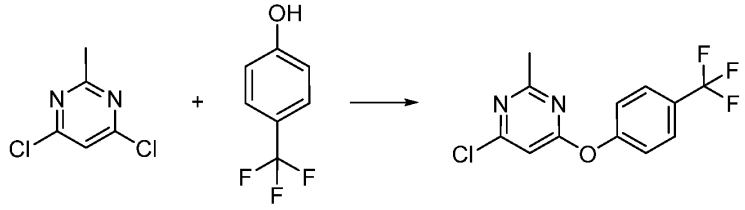
21.8: 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]acetonitrile



To a stirred solution of 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (50 mg; 0.12 mmol) (Step 21.7) and 2-bromoacetonitrile (21 mg; 0.17 mmol) in ACN (5 ml) was added Cs_2CO_3 (113 mg; 0.33 mmol). Then the resulting mixture was stirred for 2 h at 90°C. The crude was purified by chromatography to afford the product (23 mg; 42 %) as white solid.

Example 22: 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine (Compounnd No 378)

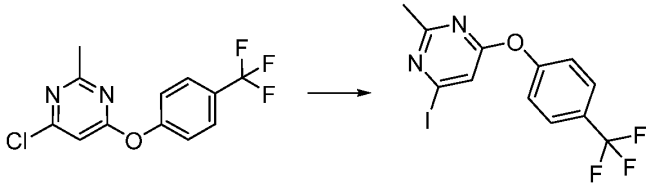
22.1: 4-chloro-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine



To a stirred solution of 4,6-dichloro-2-methylpyrimidine (5 g; 29.75 mmol) and 4-(trifluoromethyl)phenol (4.9 g; 28.72 mmol) in DMSO (150 ml) was added K_2CO_3 (12.70 g; 87.30 mmol) at RT and the resulting mixture was stirred for

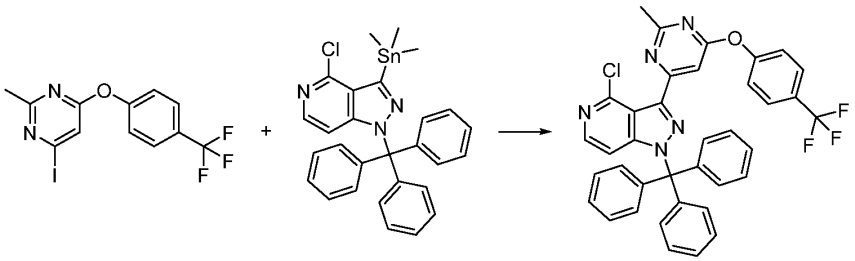
2 h at 50°C. The mixture was extracted with EtOAc and the combined organic layers were washed with brine and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure to afford the crude product (8.6 g; 82 %) as yellow oil that was used in the next step without further purification.

22.2: 4-iodo-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine



To a stirred solution of 4-chloro-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine (500 mg; 1.42 mmol) (Step 22.1) in HI (57% in H_2O, 25 ml) was added NaI (50 mg; 0.32 mmol) for 2 h. The reaction mixture was filtered, and the filter cake was washed with DCM. The filtrate was concentrated under reduced pressure to afford the crude product (580 mg; 90 %) as brown oil that was used without further purification in the next step.

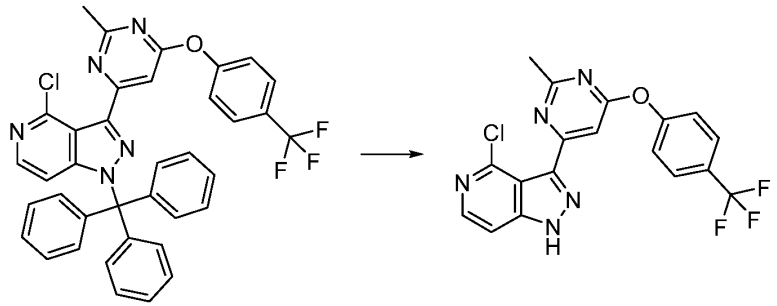
22.3: 4-[4-chloro-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine



To a stirred solution of 4-iodo-2-methyl-6-[4-(trifluoro-methyl)phenoxy]pyrimidine (560 mg; 1.24 mmol) (Step 22.2) and 4-chloro-3-(trimethylstannyl)-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridine (820 mg; 1.37 mmol) (Step 21.3) in DMF (15 ml) was added $Pd(PPh_3)_4$ (170 mg; 0.14 mmol) and CuI (50 mg; 0.25 mmol) for 3 h at 100°C. The mixture was

concentrated under reduced pressure and the residue was purified by chromatography to afford the product (490 mg; 55.0 %) as yellow oil.

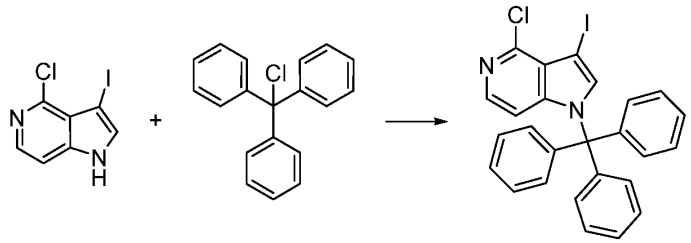
22.4: 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine



To a stirred solution of 4-[4-chloro-1-(triphenylmethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine (350 mg; 0.49 mmol) (Step 22.3) in DCM (10 ml) was added Et_3SiH (0.88 ml; 5.37 mmol) and TFA (1.75 ml; 21.71 mmol) for 15 min at 0°C. The resulting mixture was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (60 mg; 29 %) as white solid.

Example 23: 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine (Compound No. 354)

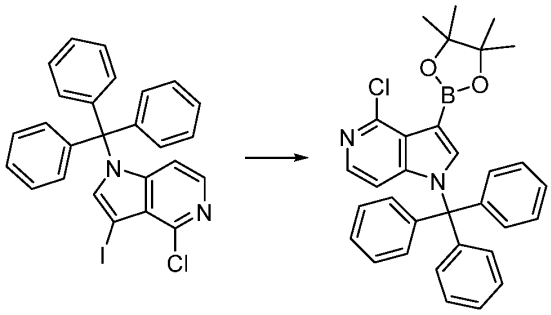
23.1: 4-chloro-3-iodo-1-(triphenylmethyl)-1H-pyrrolo[3,2-c]pyridine



To a solution of 4-chloro-3-iodo-1H-pyrrolo[3,2-c]pyridine (5 g; 17.95 mmol) (Step 1.1) in DMF (50 ml) was added NaH (60% in oil, 1 g; 25 mmol) at 0°C. The mixture was stirred for 30 min and then (chlorodiphenylmethyl)benzene (6 g; 20.45 mmol) was added. The mixture was stirred at RT for 2 h and then quenched by H_2O. After extraction with DCM the combined organic layers

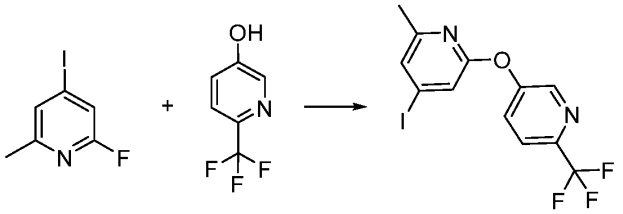
were washed with H_2O and dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford the product (6.50 g; 68 %) as yellow solid.

23.2: 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1-(triphenylmethyl)-1H-pyrrolo[3,2-c]pyridine



A solution of 4-chloro-3-iodo-1-(triphenylmethyl)-1H-pyrrolo[3,2-c]pyridine (25.5 g; 47.45 mmol) (Step 23.1), 4,4,5,5-tetramethyl-1,3,2-dioxaborolane (13 g; 99.55 mmol) and $Pd(PPh_3)_4$ (6 g; 4.93 mmol) in TEA (90 ml) and dioxane (260 ml) was stirred for 4 h at 80°C under N_2 atmosphere. The mixture was concentrated under vacuum and the residue was purified by chromatography to afford the product (14 g; 51 %) as yellow solid.

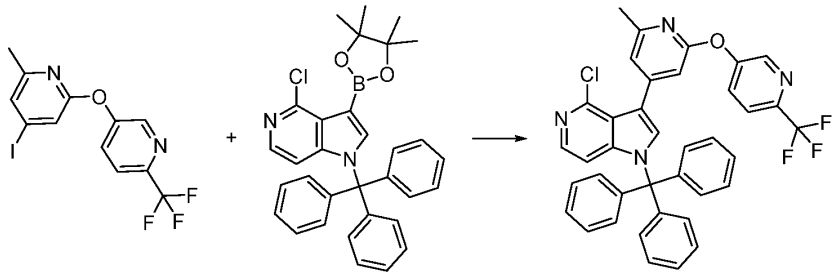
23.3: 4-iodo-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine



To a stirred solution of 2-fluoro-4-iodo-6-methylpyridine (3 g; 12.40 mmol) and 6-(trifluoromethyl)pyridin-3-ol (2.06 g; 12.40 mmol) in DMF (60 ml) was added K_2CO_3 (5.40 g; 37.21 mmol). The resulting mixture was stirred for overnight at 120°C. The mixture was extracted with EtOAc and the combined organic layers were washed with brine and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was

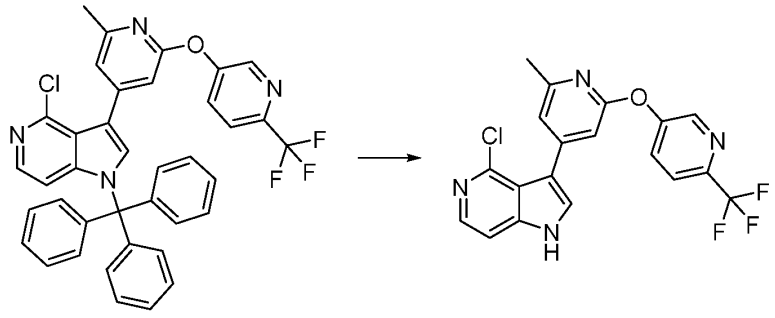
purified by chromatography to afford the product (2.8 g; 42 %) as colorless oil.

23.4: 4-[4-chloro-1-(triphenylmethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine



To a stirred solution of 4-iodo-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine (2.80 g; 5.22 mmol) (Step 23.3) and 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1-(triphenylmethyl)-1H-pyrrolo[3,2-c]pyridine (3.30 g; 5.73 mmol) (Step 23.2) in dioxane (100 ml) / H_2O (10 ml) was added $Pd(PPh_3)_4$ (650 mg; 0.53 mmol) and Na_2CO_3 (1.75 g; 15.66 mmol) for 6 h at 70°C under N_2 atmosphere. After evaporation the residue was purified by chromatography to afford the product (2.4 g; 65 %) as yellow solid.

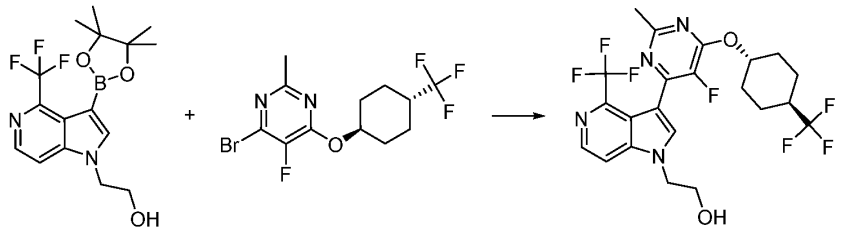
23.5: 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine



To a stirred solution of 4-[4-chloro-1-(triphenylmethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine (2.40 g; 3.37 mmol) (Step 23.4) in DCM (50 ml) was added TFA (6 ml) and Et_3SiH (3

ml) for 4 h at 45°C. After evaporation the residue was purified by chromatography to afford the product (1.20 g; 81.2 %) as white solid.

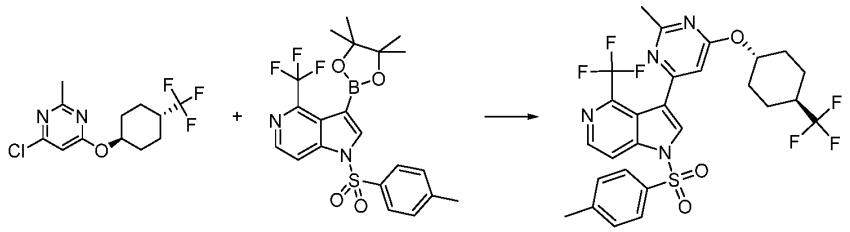
Example 24: 2-[3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol (Compound No. 350)



To 2-[3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol (100 mg; 0.23 mmol) (Step 12.3) in dioxane (7 ml) / H_2O (2 ml) was added 4-bromo-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (97 mg; 0.26 mmol) (prepared analogously to the compound prepared in Step 12.4), K_2CO_3 (160 mg; 1.16 mmol) and Tetrakis(triphenylphosphine)palladium(0) (40 mg; 0.03 mmol) under argon for 6 h at 60°C. The reaction was diluted with EtOAc and extracted with H_2O, dried over Na_2SO_4 and evaporated to dryness after filtration. The residue was purified by chromatography to afford the product (36 mg, 31 %) as white solid.

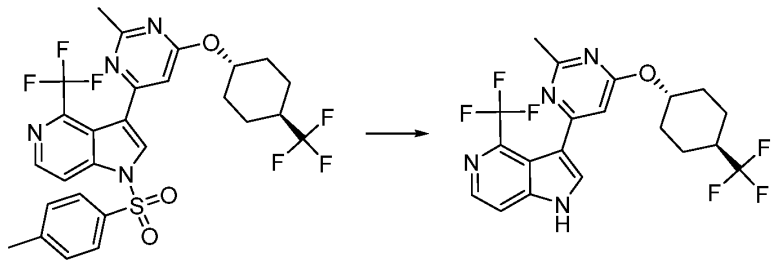
Example 25: 2-methyl-4-{1-[(oxetan-3-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidine (Compound No. 359)

25.1: 2-methyl-4-[1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine



To a stirred solution of 1-(4-methylbenzenesulfonyl)-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (Example 35, 35.2 and 35.3) (343 mg; 0.66 mmol) and 4-chloro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (167 mg; 0.55 mmol) in dioxane (7 ml) / H_2O (1 ml) and K_2CO_3 (380 mg; 2.75 mmol) was added Tetrakis(triphenylphosphine)palladium(0) (95 mg; 0.08 mmol). The resulting mixture was stirred overnight at 60°C under argon atmosphere. For work-up the reaction mixture was diluted with H_2O and extracted with DCM. The pooled organic phases were dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to provide the product (222 mg; 67 %) as colorless solid.

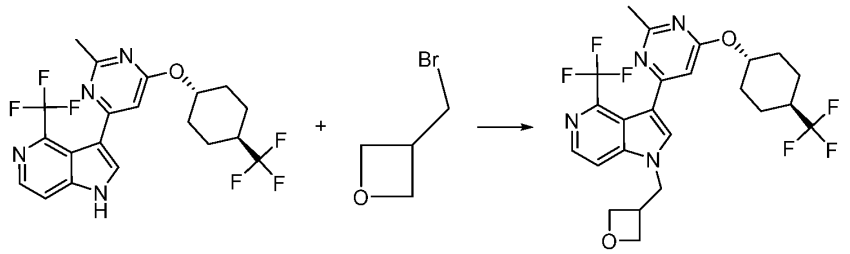
25.2: 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine (Compound No. 340)



To 2-methyl-4-[1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (222 mg; 0.37 mmol) in DMF (5 ml) was added tetramethylammonium fluoride (178 mg; 1.85 mmol) for 2 h at 60°C. For work-up the reaction mixture was diluted with H_2O and extracted with DCM. The pooled organic phases were dried over Na_2SO_4, filtered and

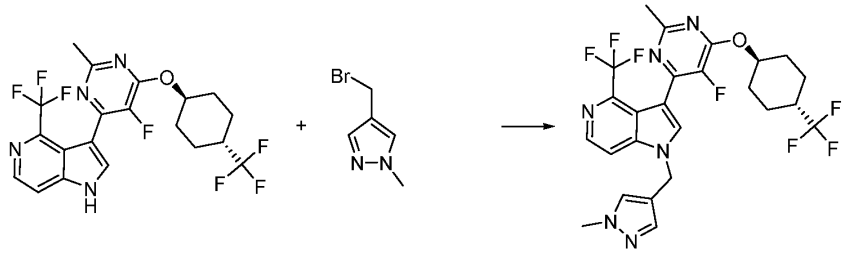
evaporated. The residue was purified by chromatography to provide the product (167 mg, 99 %) as colorless solid.

25.3: 2-methyl-4-{1-[(oxetan-3-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 359)



2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine (60 mg; 0.14 mmol) was dissolved in THF (2 ml). At 0°C NaH (60% suspension in paraffin oil, 16.20 mg; 0.41 mmol) was added in portions for 2 h. 3-(Bromomethyl)oxetane (44.86 mg; 0.3 mmol) was dissolved in THF (0.2 ml) and added dropwise to the reaction mixture at 0°C and left stirring under argon for 18 h. The reaction mixture was quenched by the addition of H_2O and brine and then extracted with THF. The organic layer was washed with H_2O, dried with Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to afford the product (30.70 mg; 44 %) as colorless solid.

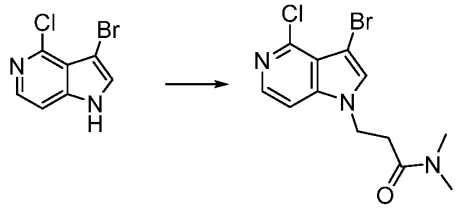
Example 26: 5-fluoro-2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 358)



A solution of 5-fluoro-2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine (27 mg; 0.05 mmol) (synthesized analogously to the compound described in Step 25.2) in DMF (5 ml) was added to suspension of NaH (60% suspension in paraffin, 6.4 mg; 0.16 mmol) in DMF (5 ml) at 0°C for 2 h. 4-(bromomethyl)-1-methyl-1H-pyrazole hydrobromide (46 mg; 0.16 mmol) was dissolved in DMF (1 ml) and added dropwise to the reaction mixture at 0°C and left stirring under argon for 2 hours. The reaction mixture was quenched by the addition of H_2O at 0°C and then extracted two times with EtOAc. The organic layer was washed three times with H_2O, dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to afford the product (10 mg; 34 %) as light brown solid.

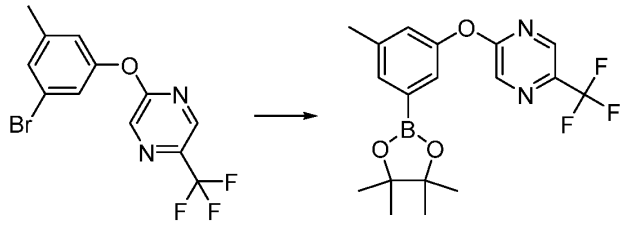
Example 27: [4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N,N-dimethylpropanamide (Compound No. 296)

27.1: 3-{3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl}-N,N-dimethylpropanamide



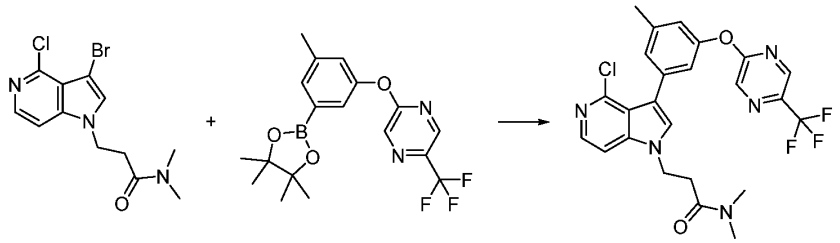
3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridine (250 mg; 1.1 mmol) (available similar to the compound described in Step 1.1 using NBS instead of NIS) was dissolved in THF (10 ml). Benzyltrimethylammonium hydroxide solution 40 wt. % in methanol (40 µl; 0.22 mmol) and N,N-dimethylacrylamide (334 µl; 3.24 mmol) were added. The mixture was stirred at 50°C overnight and evaporated to dryness. The residue was purified by chromatography to provide the product (327 mg, 92%) as colorless resin.

27.2: 2-[3-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenoxy]-5-(trifluoromethyl)pyrazine



2-(3-bromo-5-methylphenoxy)-5-(trifluoromethyl)pyrazine (1.49 g; 4.35 mmol), bis(triphenylphosphine)palladium(II)dichloride (96 mg; 0.13 mmol) and KOAc (1.28 g 13.05 mmol) were suspended in dioxane (30 ml). Next the vial was crimped, put under vacuum, sonicated for 1 min and refilled with argon. This procedure was repeated three times, followed by addition of BPN (1.24 g; 4.79 mmol) for 3 h at 100°C. For work up the reaction mixture was filtered through celite and concentrated under reduced pressure. The residue was purified by chromatography to afford the product (1.34 g; 69%) as colorless oil.

27.3: 4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N,N-dimethylpropanamide

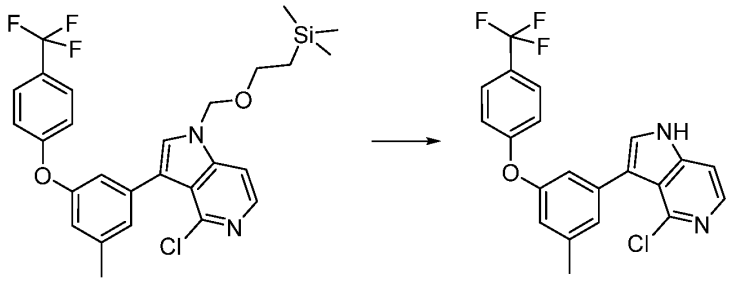


To a stirred solution of 3-{3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl}-N,N-dimethylpropanamide (100 mg; 0.3 mmol) (Step 27.1) and 2-[3-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenoxy]-5-(trifluoromethyl)-pyrazine (126.49 mg; 0.33 mmol) in dioxane (4 ml) (Step 27.2) was added BIS(DI-TERT-BUTYL(4-DIMETHYLAMINOPHENYL)PHOSPHINE)-DICHLOROPALLADIUM(II) (21.42 mg; 0.03 mmol) and Potassium phosphate tribasic monohydrate (139 mg; 0.6 mmol). The mixture was stirred for 1 h at 60°C under argon atmosphere, then diluted with H2O and extracted

two times with ethyl acetate. The pooled organic phases were dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to afford the product (72 mg; 47 %) as colorless resin.

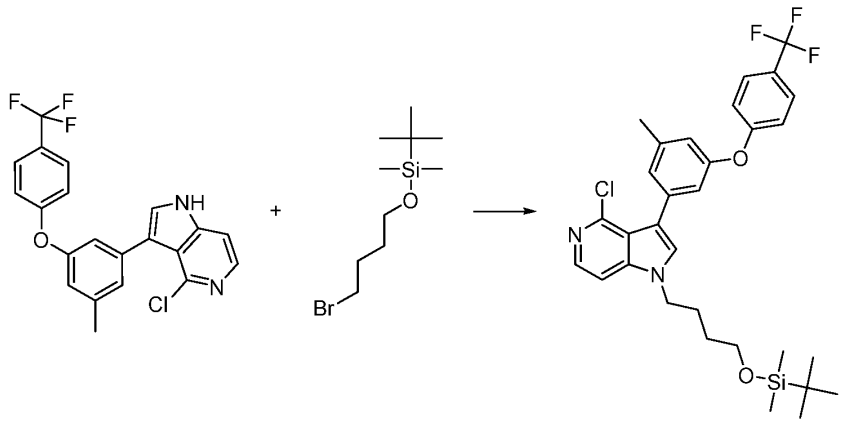
Example 28: 4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butan-1-amine (Compound No. 153)

28.1: 4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine (Compound No. 5)



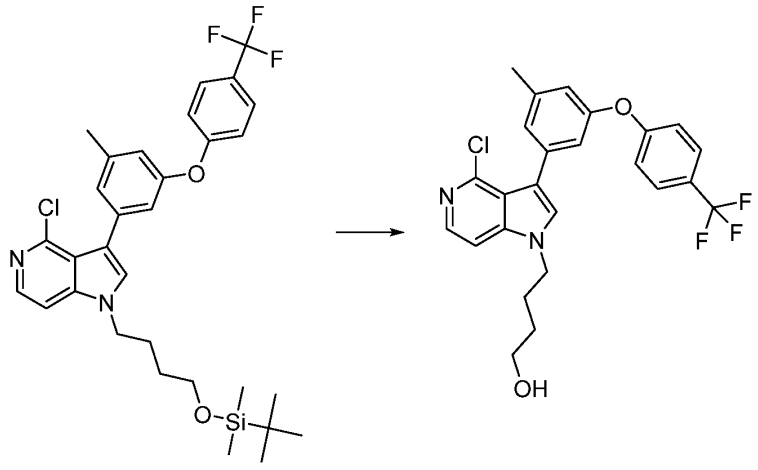
To a solution of 4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1-{[2-(trimethylsilyl)ethoxy]methyl}-1H-pyrrolo[3,2-c]pyridine (140 mg; 0.24 mmol) (available by a synthetic method similar to the method used for making the compound described in Step 5.2 above) in DCM (0.5 ml) was added TFA (557 mg; 4.89 mmol). The mixture was stirred at 25°C for 2 h and then concentrated in vacuum. MeOH (1 ml) and $NH_3 \cdot H_2O$ (332 mg; 4.89 mmol) were added. The mixture was stirred at 25°C for 1 h and then concentrated. The residue was purified by chromatography to give the product (68 mg; 69 %) as white solid.

28.2: 1-{4-[(tert-butyldimethylsilyl)oxy]butyl}-4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine



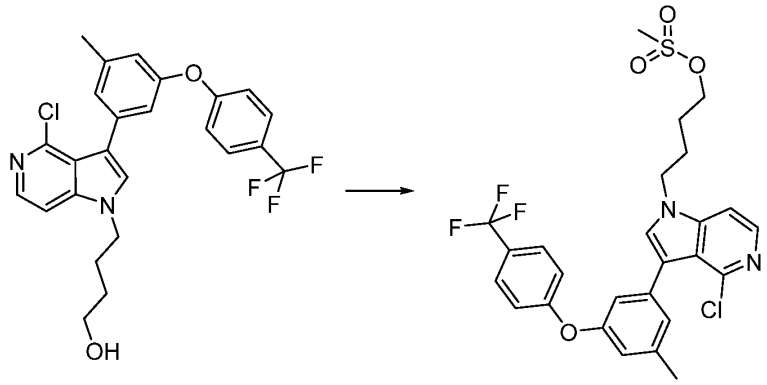
To a solution of 4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine (800 mg; 1.51 mmol) in DMF (8 ml) was added NaH (60% suspension in paraffin, 90.56 mg; 2.26 mmol) at 0°C under N_2. The mixture was stirred at 25°C for 1 h. Then (4-bromobutoxy)(tert-butyl)dimethylsilane (807 mg; 3.02 mmol) was added at 0°C and then stirred at 25°C for 12 h. The reaction mixture was diluted with cooled H_2O and extracted with ethyl ether. The combined organic phase was dried over Na_2SO_4 and concentrated to give the crude product (1.50 g) as yellow oil which was used for next step without further purification.

28.3: 4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butan-1-ol



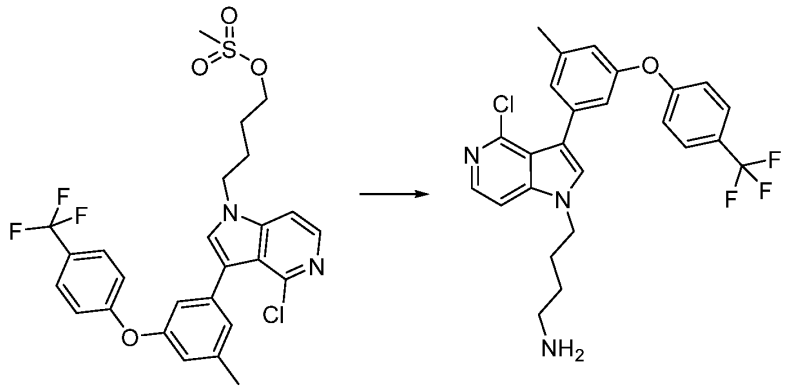
To a solution of 1-{4-[(tert-butyldimethylsilyl)oxy]butyl}-4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine (1.45 g; 1.92 mmol) (Step 28.2) in dioxane (10 ml) was added HCl in dioxane (10 ml) dropwise at 0°C.The mixture was stirred at 25°C for 3 h. The reaction mixture was concentrated, and the brown oily residue was diluted with H_2O. The aqueous solution was repeatedly extracted with ethyl ether, and the combined organic phase was washed with saturated aqueous solution of Na_2CO_3, dried over Na_2SO_4 and concentrated to give the crude product (1.50 g) as yellow oil which was used for next step without further purification.

28.4: 4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butyl methanesulfonate



To a solution of 4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butan-1-ol (1 g; 1.71 mmol) (Step 28.3) in DCM (10 ml) was added TEA (0.52 g; 5.12 mmol) and methanesulfonyl chloride (0.58 g; 5.12 mmol) at 0°C. The mixture was stirred at 25°C for 1h and then H_2O (100ml) was added. After extraction with DCM the combined organic phase was dried over Na_2SO_4 and concentrated to give crude product (1.20 g) as yellow oil which was used in the next step without further purification.

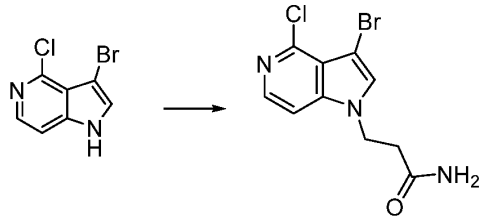
28.5: 4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butan-1-amine



To a solution of 4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butyl methanesulfonate (350 mg; 0.51 mmol) (Step 28.4) and tetrabutylammonium iodide (18.70 mg; 0.05 mmol) in EtOH (3.50 ml) was added $NH_3 \cdot H_2O$ (3.50 ml). The mixture was stirred at 80°C under N_2 for 16 h. The mixture was quenched with 2 mol/l HCl (5 ml) and neutralized with 28% $NH_3 \cdot H_2O$ (8 ml). The mixture was extracted with EtOAc, the organic layer was washed with H_2O, dried over Na_2SO_4 and concentrated. The residue was purified by chromatography to afford the product (106 mg; 42 %) as colorless gel.

Example 29: 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propenamide (Compound No. 291)

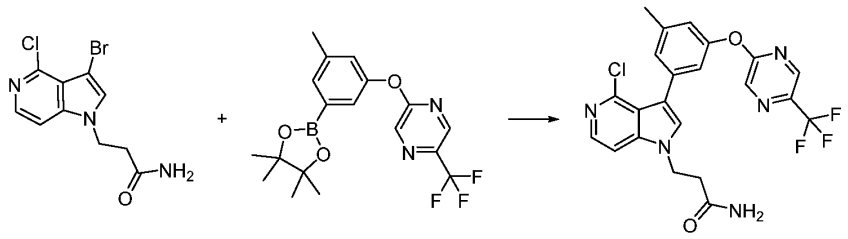
29.1: 3-{3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl}propanamide



3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridine (200 mg; 0.86 mmol) (available similar to the compound described in Step 1.1 using NBS instead of NIS) was dissolved in dioxane (20 ml). At 0°C KOH (48 mg; 0.86 mmol) and acrylamide (92 mg; 1.3 mmol) were added and stirred 12 h at 80°C. The reaction mixture was diluted with H_2O and extracted with EtOAc. The combined organic layers

were dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to give the product (41 mg; 16%) as light brown resin.

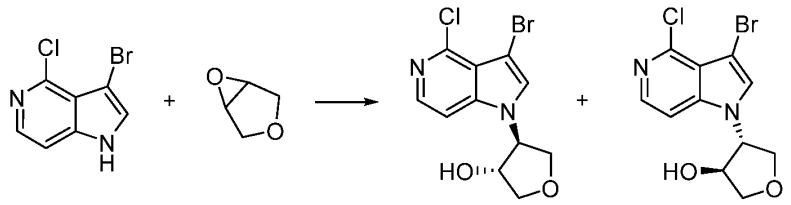
29.2: 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propenamide



To a stirred solution of 3-{3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl}propanamide (41 mg; 0.14 mmol) (Step 29.1) and 2-[3-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenoxy]-5-(trifluoromethyl)pyrazine (57 mg; 0.15 mmol) (Step 27.2) in dioxane (3 ml) / H_2O (1.5 ml) was added bis(di-tert-butyl(4-dimethylaminophenyl)phosphine dichloro palladium(II) (9.6 mg; 0.014 mmol) and potassium phosphate tribasic monohydrate, aqueous solution (271 µl; 0.27 mmol). The resulting mixture was heated for 1 h at 60°C under argon atmosphere. The reaction mixture was diluted with H_2O and extracted with EtOAc. The pooled organic phases were dried over Na_2SO_4, filtered and evaporated. The residue was purified by chromatography to provide the product (28 mg; 43%) as light brown solid.

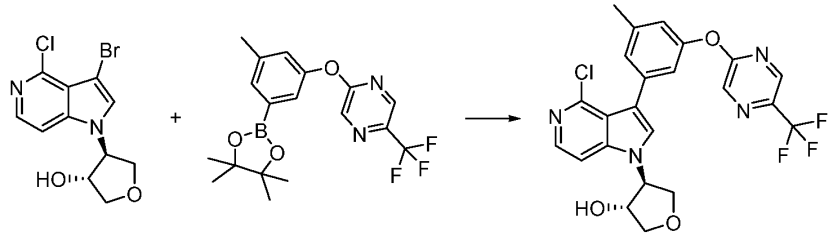
Example 30:

30.1: (3R, 4S)-4-{3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl}oxolan-3-ol & (3S, 4R)-4-{3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl}oxolan-3-ol (mixture of 'trans-' isomers)



To a stirred solution of 3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridine (4.40 g; 19.01 mmol) in DMF (80 ml) was added 3,6-dioxabicyclo[3.1.0]hexane (2.58 g; 28.51 mmol) and Cs_2CO_3 (19.56 g; 57.03 mmol). The resulting mixture was stirred for 2 h at 120°C. For work-up H_2O was added and the mixture was extracted with EtOAc. The combined organic layers were washed with brine and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified chromatography to afford the mixture of the 'trans-' products (3.80 g; 31.2 %) as yellow oil.

30.2: (3R,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol & (3S,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol

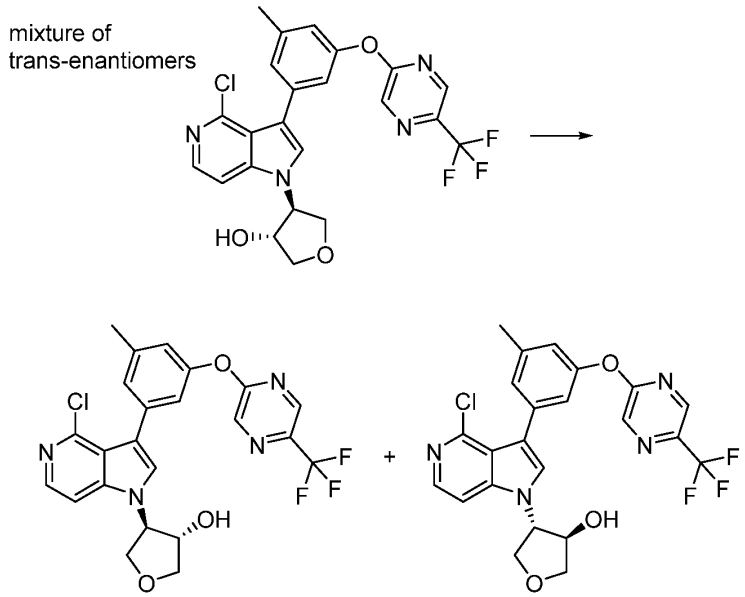


and enantiomer and enantiomer

To a stirred solution of (3R, 4S)-& (3S, 4R)-4(-{3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl}oxolan-3-ol (1.25 g; 2 mmol) (Step 30.1) and 2-[3-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenoxy]-5-(trifluoromethyl)pyrazine (940 mg; 2.39 mmol) in dioxane (20 ml) / H_2O (2 ml) was added $Pd(dppf)Cl_2 \cdot CH_2Cl_2$ (170 mg; 0.20 mmol) and Na_2CO_3 (670 mg; 6.01 mmol). The resulting mixture was stirred for 2 h at 70°C under nitrogen atmosphere. After usual aqueous work-up the residue was purified by chromatography to provide the mixture of the 'trans'-products (300 mg; 24 %) as yellow oil.

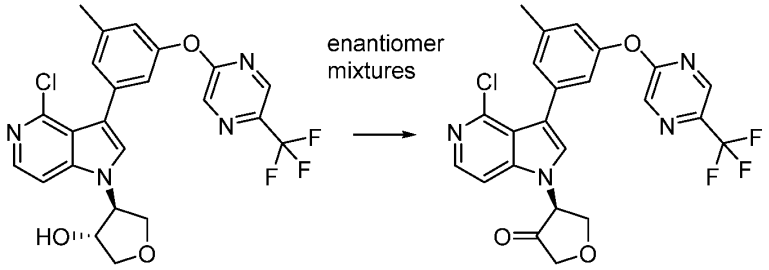
30.3: (3S,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (Compound No. 282);: and

(3R,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (Compound No. 281)



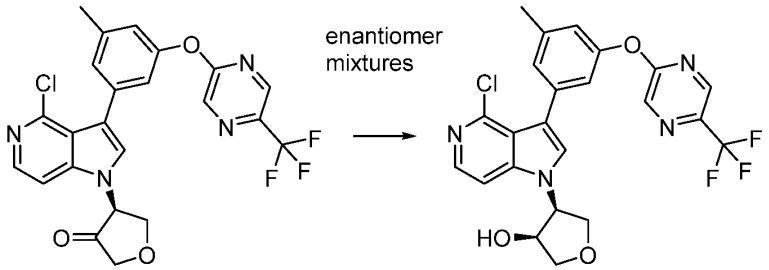
The enantiomers (3R,4S)- & (3S,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (300 mg; 0.49 mmol) (Step 30.2) were purified by chromatography [CHIRALPAK IC-3, 4.6*50 mm, 3 µm; Mobile Phase A: Hex(0.1%DEA): EtOH=80: 20; Flow rate: 1 mL/min] to afford (3S,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (29.20 mg; 12 %) as white solid and (3R,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (24.2 mg; 10 %) as white solid (The absolute configurations are arbitrarily assigned).

30.4: (4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-one & (4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-one



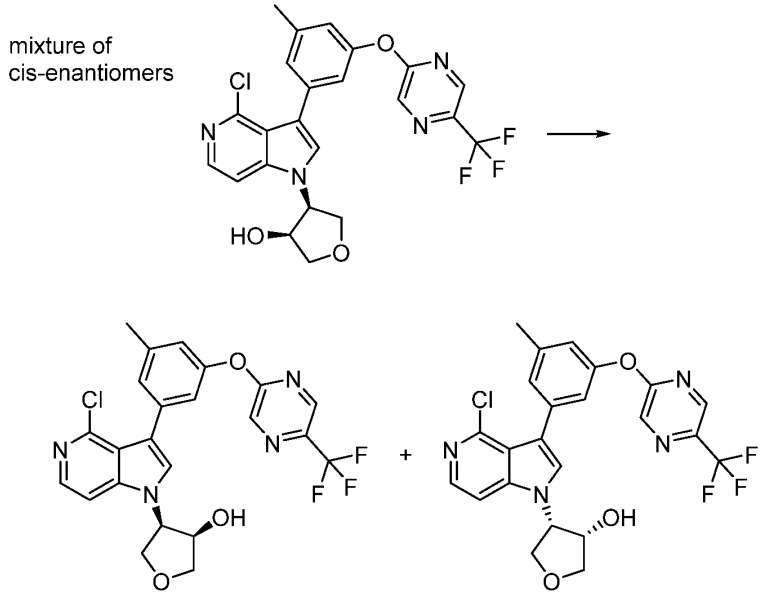
To a stirred solution of (3R,4S) & (3S,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (400 mg; 0.66 mmol) (Step 30.2) in DCM (15 ml) was added Dess-Martin periodinane (360 mg; 0.81 mmol). The resulting mixture was stirred for 6 h at RT. After filtration the DCM was removed in vacuum and the residue was purified by chromatography giving the enantiomer product mixture (350 mg; 75 %) as yellow oil.

30.5: (3S,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol & (3R,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol



To a stirred solution of (4S)- & (4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-one (430 mg; 0.6 mmol) (Step 30.4) in THF (10 ml) was added L-selectride (2.47 ml; 2.47 mmol) at -78°C for 2 h under N_2 atmosphere. After usual aqueous work-up the residue was purified by chromatography to give the mixture of 'cis'-products (50 mg; 16 %) as white solid.

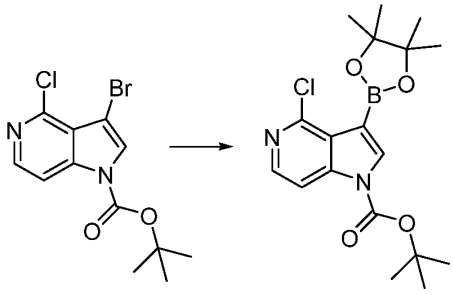
30.6 (3S,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (Compound No. 284); (3S,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (Compound No. 283)



The mixture of enantiomers (3S,4S)- and (3R,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (50 mg; 0.10 mmol) (Step 30.5) was purified by chromatography: [CHIRALPAK IG-3, 4.6*50mm, 3µm; Mobile Phase A: Hex(0.1%DEA) : EtOH=75 : 25; Flow rate: 1 mL/min] to afford (3R,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (20.50 mg; 41 %) as white solid; and (3S,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol (19.70 mg; 39 %) as white solid (The absolute configurations are arbitrarily assigned).

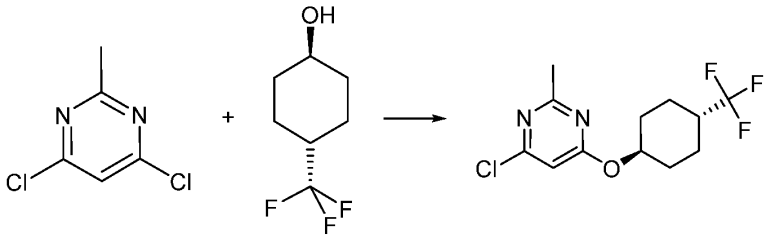
Example 31: 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 333)

31.1: tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



To a solution of tert-butyl 3-bromo-4-chloro-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (2 g; 6.03 mmol) in THF (50 mL) under argon at -78°C was added n-butyllithium, 1.6 M in hexane (5.28 mL; 8.44 mmol) dropwise. The reaction mixture was stirred for 30 min. at -78°C, followed by the slow additon of 2-isopropoxy-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (1.38 mL; 6.63 mmol) dissolved in THF (5 mL). The mixture was stirred at -78°C for 3 h. The mixture was poured into H_2O and extracted two times with EtOAc. The organic layers were washed with brine, dried over sodiumsulfate, filtered and evaporated to the residue. The obtained 2.9 g of light brown solid were purified by chromatography to give tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (1.7 g; 73%) of colorless resin.

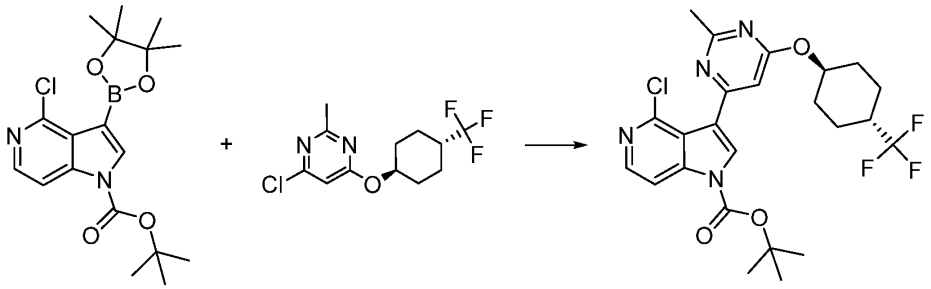
31.2: 4-chloro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidine



To a solution of trans-4-(trifluoromethyl)cyclohexanol (500 mg; 2.88 mmol) in THF (20 mL) was added at 0°C swodium hydride suspension (60% suspension in paraffin oil, 173 mg; 4.33 mmol) for 30 min. Then 4,6-dichloro-2-methylpyrimidine (470 mg; 2.88 mmol) dissolved in THF (5 mL) was added dropwise. The mixture was stirred 1 h at 0°C and over night at RT. The

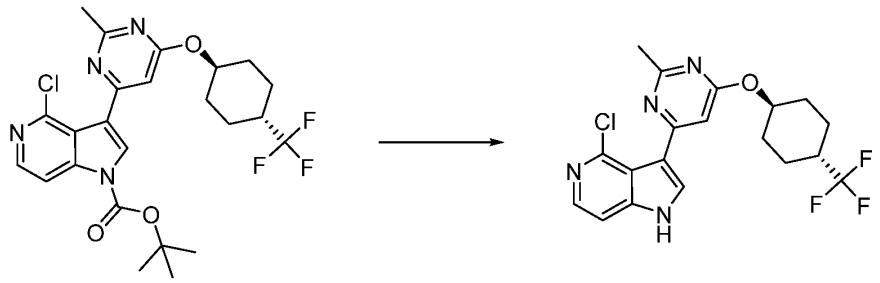
reaction mixture was cooled down to 0°C and H_2O added dropwise. After extraction with DCM, the organic phases were dried with sodium sulfate, filtered and evaporated. The crude (934 mg; light brown solid) was purified by chromatography to afford 4-chloro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidine (592 mg) as white solid.

31.3: tert-butyl 4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



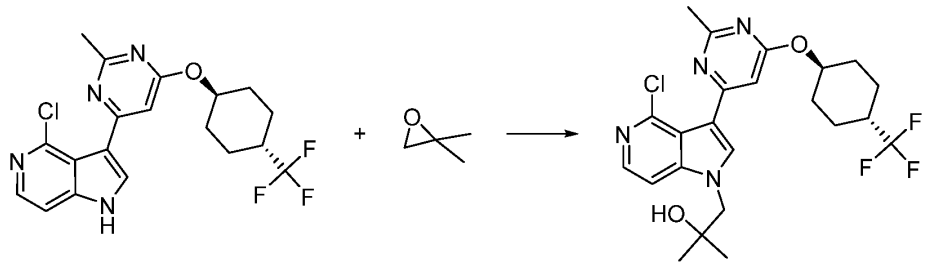
To a stirred and degassed solution of 4-chloro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (92 mg; 0.31 mmol), tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (100 mg; 0.26 mmol) and Potassium carbonate (180 mg; 1.32 mmol) in dioxane (3 mL)/H_2O (1 mL) was added tetrakis-(triphenylphosphine)palladium(0) (46 mg; 0,04 mmol). The resulting mixture was stirred overnight at 60°C under argon atmosphere. The reaction mixture was diluted with H_2O and extracted two times with DCM. The organic phases were dried over sodiumsulfate, filtered and evaporated. The crude (344 mg; brown solid) was purified by chromatography to afford tert-butyl 4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (34 mg; 25%) as colorless resin.

31.4: 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 333)



tert-butyl 4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (40 mg; 0.08 mmol) was dissolved in DCM (10 mL). TFA (60 µL; 0,79 mmol) was added and the mixture was stirred at room temperature over the weekend. The reaction mixture was diluted with aqeous sodiumhydrogencarbonate and extracted with DCM. The organic layer was dried with sodium sulfate, filtered and evaporated. The crude (32 mg; white solid) was purified by chromatography to give 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (23 mg) as white solid.

Example 32: 1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-2-ol (Compound No. 596)

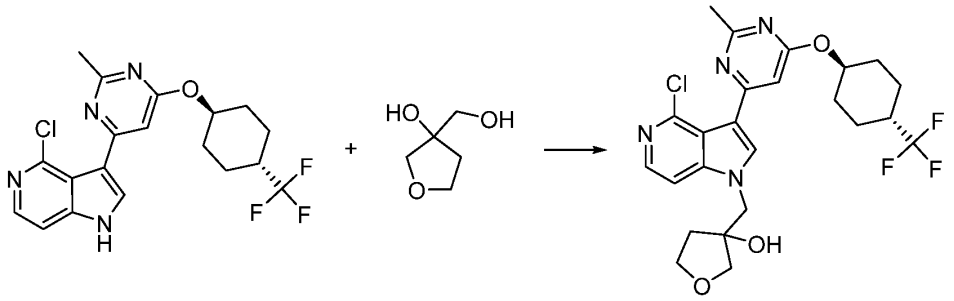


To a stirred solution of 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (90 mg; 0.22 mmol) (Example 31, 31.4) in acetonirile (3 mL) was added cesium carbonate (211 mg; 0.65 mmol) and 2,2-dimethyl-oxirane (31 mg; 0.43 mmol) at 100°C over night. The reaction mixture was concentrated under reduced pressure and the crude was purified by chromatography to afford 1-[4-chloro-3-(2-methyl-

6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-2-ol (93 mg; 90 %) as colorless solid.

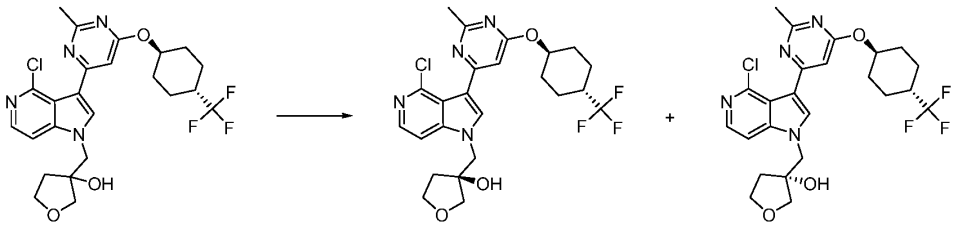
Example 33: (3S)-3-{[4-chloro-3-(2-methyl-6-{[(1r*,4r*)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol (Compound No. 531)
(3R)-3-{[4-chloro-3-(2-methyl-6-{[(1r*,4r*)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol (Compound No. 533)

33.1:



To 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidine (Example 31, 31.4) (80 mg; 0.18 mmol) in toluene (3 mL) was added 3-(hydroxymethyl)oxolan-3-ol (45 mg; 0.36 mmol) and cyanomethylene-(tributyl)phosphorane (266 mg; 1.08 mmol) unter argon for 16 h at 110°C. The reaction was diluted with EtOAc and extracted with H_2O, dried over Na_2SO_4 and evaporated to dryness to afford the product (35 mg; 38%) as beige solid.

33.2:

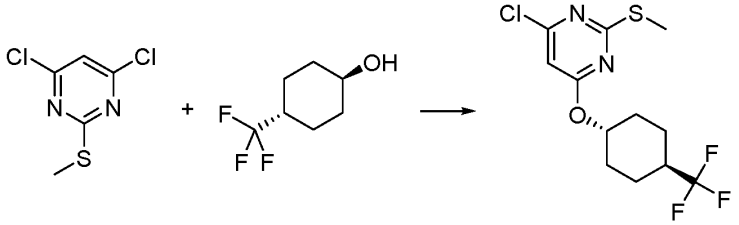


Separation of enantiomers via SFC: ChiralCell OD-H; CO2:Isopropanol 85:15. 29 mg of racemic starting material (33.1) afforded the first enantiomer

(Compound no. 531) (Rt: 9.21 min; 12 mg; 40%) and the second enantiomer (Compound no. 533) (Rt: 11.18 min; 13 mg; 43%) both as white solid.

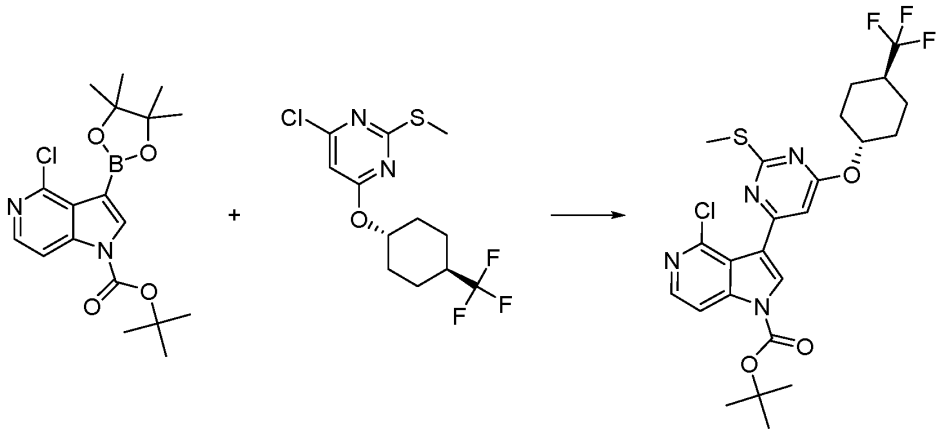
Example 34: rel-4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 643)

34.1: rel-4-chloro-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine



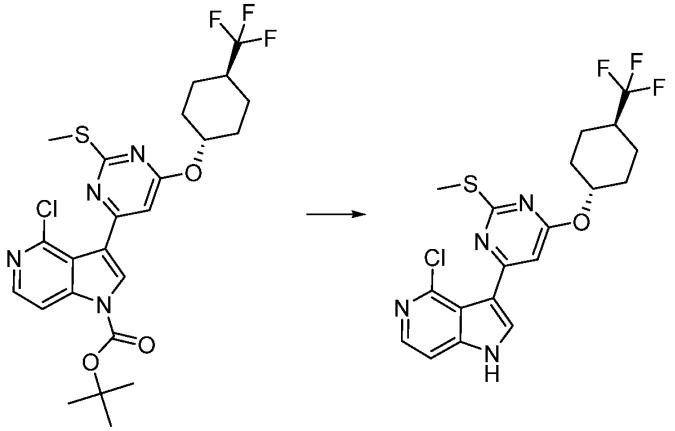
To trans-4-(trifluoromethyl)cyclohexanol (889 mg; 5.13 mmol) in THF (100 mL) was added sodium hydride suspension (60% suspension in paraffin oil; 308 mg; 7.69 mmol) for 30 min at RT. Then 4,6-dichloro-2-(methylthio)-pyrimidine (1 g; 5.13 mmol) was added for 16 h at RT. The reaction was diluted with EtOAc and extracted with H_2O, dried over Na_2SO_4 and evaporated to dryness. The residue was purified by chromatography to afford rel-4-chloro-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidine (1.3 g; 69%) as light yellow solid.

34.2: rel-tert-butyl 4-chloro-3-[2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidin-4-yl]-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



To tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (31.1.) (400 mg; 1.05 mmol) in dioxane (10 mL)/ H_2O (1 ml) was added unter argon rel-4-chloro-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (34.1) (463 mg; 1.26 mmol), sodium carbonate (558 mg; 5.27 mmol) and tetrakis(triphenyl-phosphine)palladium(0) (243 mg; 0.21 mmol) for 16 h at 60°C. The reactions were sucked over celite and evaporated to dryness. The residue was purified by chromatography to afford rel-tert-butyl 4-chloro-3-[2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl]-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (173 mg; 26%) as yellow solid.

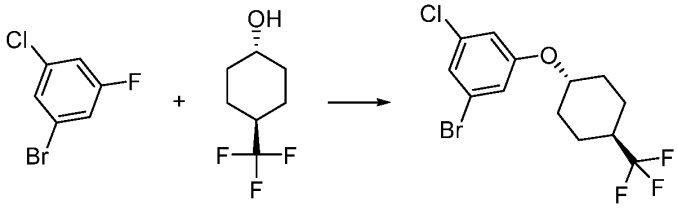
34.3: rel-4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 643)



To rel-tert-butyl 4-chloro-3-[2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl]-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (34.2) (173 mg; 0.27 mmol) in DCM (5 mL) was added TFA (2 mL) for 16 h at RT. The reaction was evaporated to dryness and the residue was purified by chromatography to afford rel-4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (112 mg; 92 %) as light yellow solid.

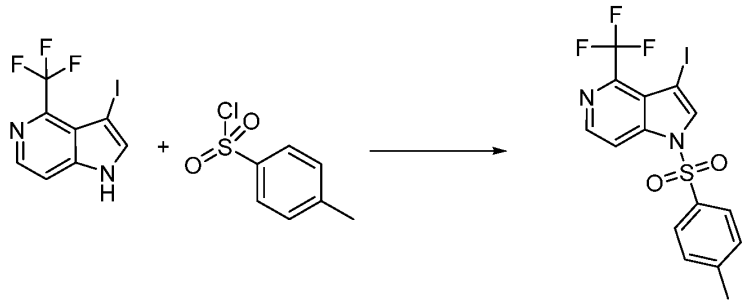
Example 35: 4-{[3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1H-imidazole (Compound No. 457)

35.1: 1-bromo-3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}benzene



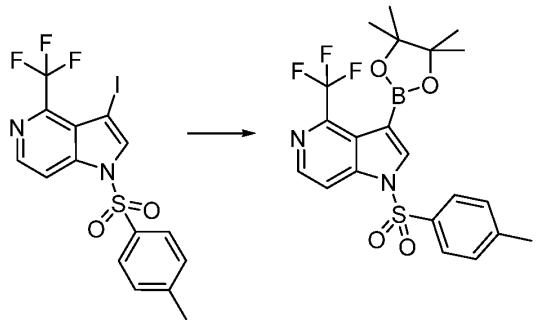
To a stirred solutino of (1r,4r)-4-(trifluoromethyl)cyclohexan-1-ol (1.61 g; 9.07 mmol) in DMA (40 mL) was added NaH (0.40 g; 9.98 mmol) for 20 min at 0°C. Then 1-bromo-3-chloro-5-fluorobenzene (2g; 9.07 mmol) was added and the mixture was stirred for 3 h at 60°C. The mixture was extracted with EtOAc and the organic layers were washed with brine and dried over $MgSO_4$. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford 1-bromo-3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}benzene (2.60 g; 76 %) as clear colorless oil.

35.2: 3-iodo-1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



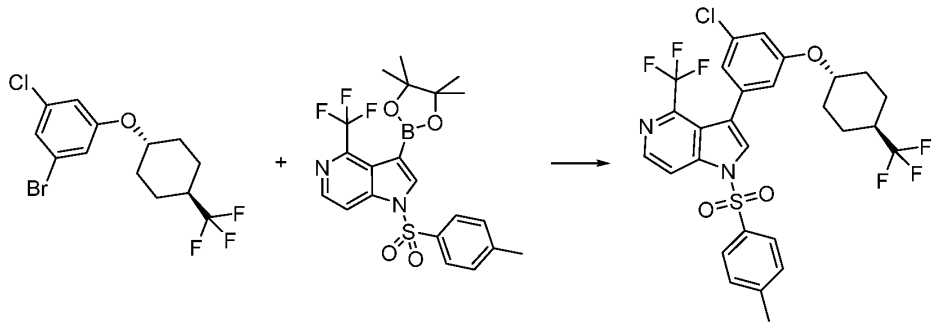
To a solution of 3-iodo-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (example 3, 3.5) (10 g; 28.84 mmol) in THF (100 mL), cooled to 0°C under N_2, was added NaH (1.38 g; 34.61 mmol) in portions. The mixture was stirred at 25°C for 0.5 h. 4-methylbenzene-1-sulfonyl chloride (6.60 g; 34.61 mmol) was added for 12 h. The reaction mixture was poured onto cooled H_2O and the precipitate was collected via filtration. The filter cake was washed with H_2O to give the desired compound (15 g, 97%) as a yellow solid which was used for the next step without further purification.

35.3: 1-(4-methylbenzenesulfonyl)-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



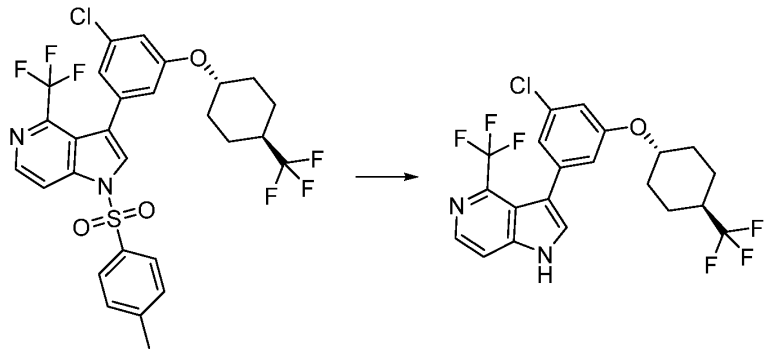
To 3-iodo-1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]-pyridine (2 g; 4.29 mmol), TEA (11.89 mL) and tetrakis(triphenylphosphine)-palladium(0) (496 mg; 0.43 mmol) in dioxane (100 mL) was added 4,4,5,5-tetramethyl-1,3,2-Dioxoborolane (1.93 mL; 12.87 mmol) for 4 hours at 100°C. The reactions were sucked over celite and washed with EtOAc. The solution was evaporated and the residue was purified by chromategraphy to give the product (1.74 g; 85%) as brown resin.

35.4: 3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



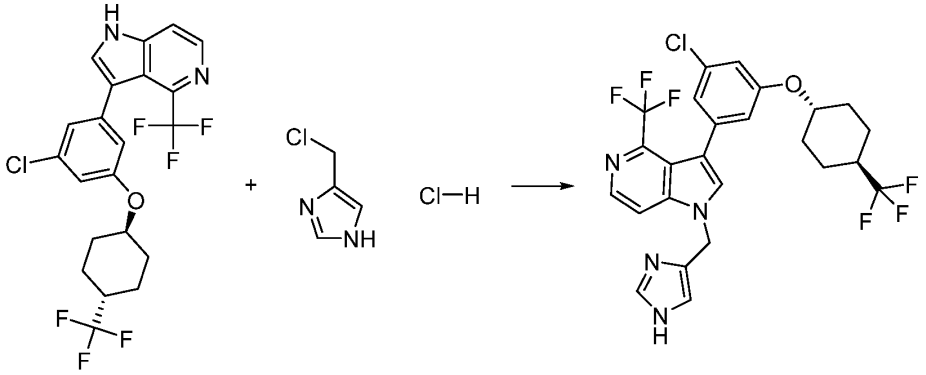
To a stirred mixture of 1-bromo-3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}benzene (400 mg; 1.05 mmol) and 1-(4-methylbenzene-sulfonyl)-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (600 mg; 1.10 mmol) in dioxane (10 mL)/H_2O (2 mL) was added $Pd(PPh_3)_4$ (130 mg; 0.11 mmol) and Na_2CO_3 (235 mg; 2.11 mmol) for 3 h at 90°C under N_2 atmosphere. The mixture was concentrated under reduced pressure and the residue was purified by chromatography to afford 3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1-(4-methyl-benzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (700 mg; 70 %) as yellow solid.

35.5: 3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine



To a stirred mixture of 3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}phenyl)-1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (600 mg; 0.63 mmol) in EtOH (10 mL) was added EtONa/EtOH(w/w 21%; 409 mg; 1.26 mmol) for 1 h at RT. The mixture was extracted with DCM and the combined organic layers were washed with brine and dried over $MgSO_4$. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford 3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (300 mg; 91 %) as yellow solid.

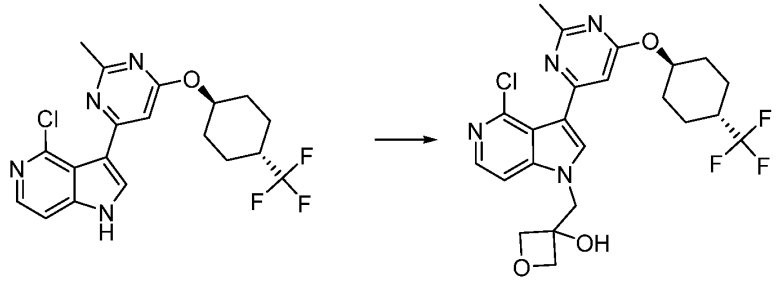
35.6: 4-{[3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1H-imidazole (Compound No. 457)



To a stirred solution of 3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine (100 mg; 0.19 mmol) in DMF (10 mL) was added NaH (38 mg; 0.95 mmol) at 0°C for 30 min. Then 4-(chloromethyl)-1H-imidazole hydrochloride (62 mg; 0.38 mmol) was added for 5 h at RT. The mixture was extracted with EtOAc and the combined organic layers were washed with brine and dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the crude was purified by chromatography to afford 4-{[3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1H-imidazole (25 mg; 24 %) as white solid.

Example 36: 3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-ol (Compound No. 507)

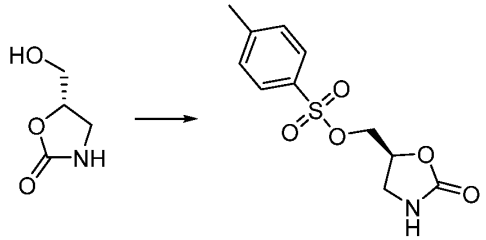
36.1:



To a stirred solution of 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Example 31, 31.4) (850 mg; 2.05 mmol) in ACN (40 mL) was added cesium carbonate (2 g; 6.14 mmol). To this mixture 1,5-dioxaspiro[2.3]hexane (223 mg; 2.47 mmol) dissolved in ACN (2 mL) was added dropwise at 0°C. The resulting mixture was stirred over night at RT and then diluted with H_2O and extracted EtOAc. The organic layer was dried with sodium sulfate, filtered and evaporated. The residue was purified by chromatography to afford 3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-ol (400 mg) as brown solid.

Example 37: (5S)-5-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one (Compound No. 465)

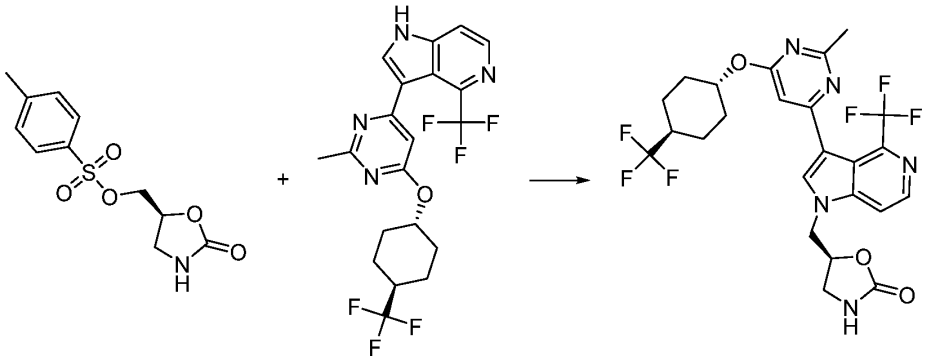
37.1: [(5S)-2-oxo-1,3-oxazolidin-5-yl]methyl 4-methylbenzene-1-sulfonate



To a stirred solution of (5S)-5-(hydroxymethyl)-1,3-oxazolidin-2-one (100 mg; 0.81 mmol) and TEA (0.35 mL; 2.42 mmol) in DCM (10 mL) were added TsCl

(194 mg; 0.97 mmol) and DMAP (21 mg; 0.16 mmol) for 1 h at RT. After aqueous work-up the residue was purified by chromatography to afford [(5S)-2-oxo-1,3-oxazolidin-5-yl]methyl 4-methylbenzene-1-sulfonate (200 mg; 86 %) as yellow oil.

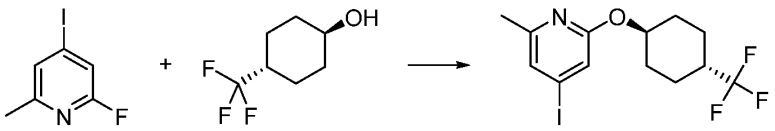
37.2: (5S)-5-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one (Compound No. 465)



To a stirred solution of [(5S)-2-oxo-1,3-oxazolidin-5-yl]methyl 4-methyl-benzene-1-sulfonate (61 mg; 0.21 mmol) and 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine (Example 25, 25.2) (100 mg; 0.21 mmol) in DMF (5 mL) was added K_2CO_3 (93 mg; 0.64 mmol) for 2 h at 80°C. After aqueous work-up the crude was purified by chromatography to afford (5S)-5-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(tri-fluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one (37 mg; 32 %) as white solid.

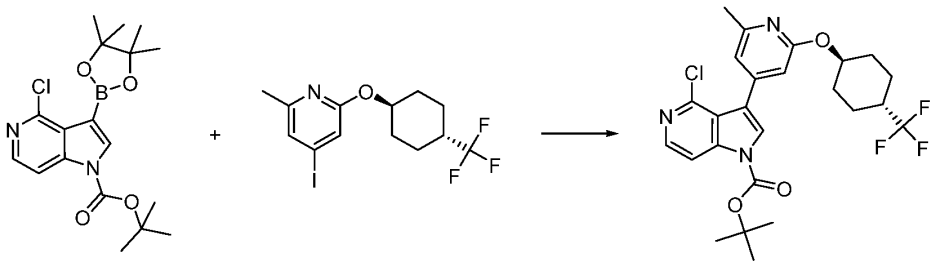
Example 38: rel-3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclo-hexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-1lambda6-thietane-1,1-dione

38.1: 4-iodo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine



To a stirred solution of (1r,4r)-4-(trifluoromethyl)cyclohexan-1-ol (730 mg; 4.12 mmol) in DMF (50 mL) was added NaH (330 mg; 8.25 mmol) at 0°C for 30 min. Then 2-fluoro-4-iodo-6-methylpyridine (1 g; 4.13 mmol) was added for 2 h at 80°C. After aqueous work-up the mixture was extracted with EtOAc, the combined organic layers were washed with brine and dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford 4-iodo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine (1.04 g; 46 %) as yellow oil.

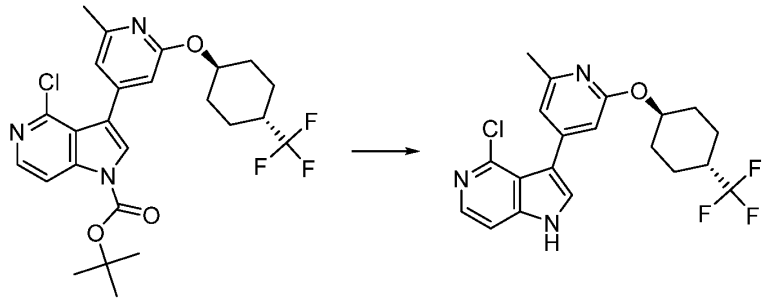
38.2: rel-tert-butyl 4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate



To a stirred solution of rel-4-iodo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyridine (1.5 g; 3.31 mmol) and tert-butyl 4-chloro-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (Example 31, 31.1) (1.40 g; 3.31 mmol) in dioxane (75 mL)/H_2O (7.5 µl) and Cesium carbonate (2.18 g; 6.62 mmol) was added tetrakis(triphenyl-phosphine)palladium(0) (387 mg; 0.33 mmol) overnight at 60°C. After aqueous work-up the mixture was concentrated in vacuo and partitioned between EtOAc and conc. $NaHCO_3$ solution. The aqueous layer was extracted with EtOAc and the combined organic layers were extracted with brine, dried with Na_2SO_4, filtered, concentrated in vacuo and purified by chromatography to afford rel-tert-butyl 4-chloro-3-(2-methyl-6-{[(1r,4r)-4-

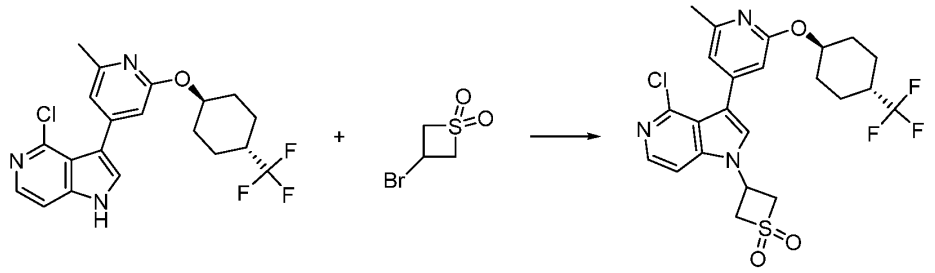
(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (1.15 g; 64 %) as a yellow powder.

38.3: rel-4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine



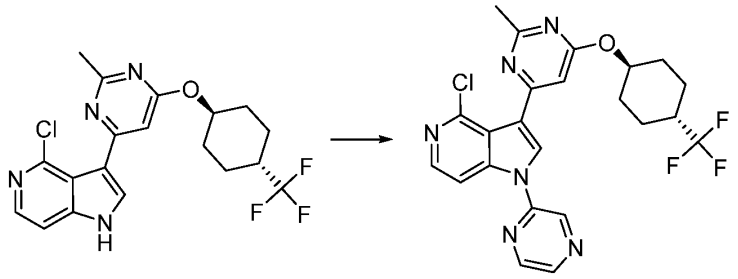
rel-tert-butyl 4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridine-1-carboxylate (1.15 g; 2.12 mmol) was dissolved in DCM (230 mL). TFA (33 ml; 42.39 mmol) was added at RT for 4 h. The reaction mixture was concentrated and the residue was partitioned between sat. aqueous $NaHCO_3$-solution and EtOAc. The aqueous layer was then extracted with EtOAc the combined organics were washed with brine, dried over sodium sulfate, filtered and concentrated in vacuo. The residue was purified by chromatography to afford rel-4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyridine (726 mg; 75 %) as white solid.

38.4: rel-3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-1lambda6-thietane-1,1-dione (Compound No. 697)



rel-4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine (50 mg; 0.11 mmol) was dissolved in THF (1 mL) and sodium hydride suspension (60% suspension in paraffin oil; 13 mg; 0.33 mmol) and 3-bromothietane-1,1-dioxide (42 mg; 0.22 mmol) were added at 0°C for 1.h. The reaction mixture was concentrated in vacuo and partitioned between EtOAc and H_2O. The aqueous layer was then exctracted with EtOAc and the combined organic layers were extracted with brine, dried with Na_2SO_4, filtered and concentrated. The crude was purified by chromatography to afford rel-3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-1lambda6-thietane-1,1-dione (42 mg; 73 %) as white powder.

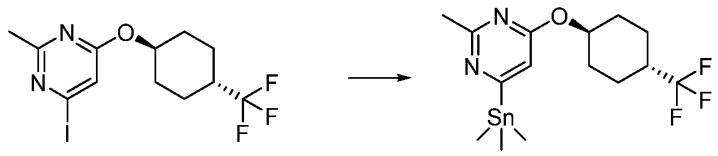
Example 39: 4-[4-chloro-1-(pyrazin-2-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 511)



4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Example 31, 31.4) (50 mg; 0.12 mmol) was solved in dioxane (3 mL) and CuI (2.32 mg; 0.01 mmol), N,N`-dimethylethylenediamine (3.27 µL; 0.03 mmol), potassium carbonate (100 mg; 0.73 mmol) and 2-iodopyrazine (51 mg; 0.24 mmol) were added overnight at 100°C. Then the reaction mixture was diluted with H_2O and extracted with EtOAc. The organic layer was dried with sodiumsulfate, filtered and evaporated. The residue was purified by chromatography to afford 4-[4-chloro-1-(pyrazin-2-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (22 mg; 37 %) as colorless crystals.

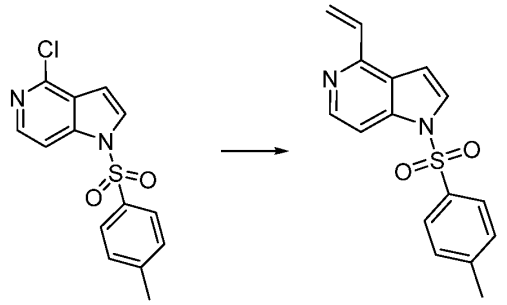
Example 40: rel-4-[4-(fluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 672)

40.1: 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-(trimethyl-stannyl)pyrimidine



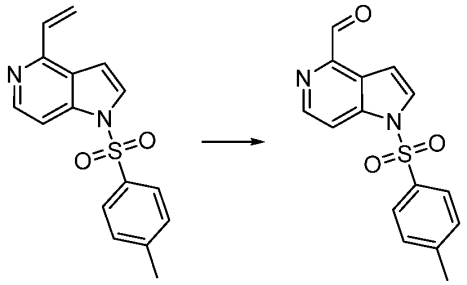
The solution of 4-iodo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidine (620 mg; 1.59 mmol), hexamethyldistannane (0.50 mL; 2.39 mmol) and $Pd(PPh_3)_4$ (193 mg; 0.16 mmol) in dioxane (15 mL) was stirred at 100°C for 1h. The resulting mixture was filtered through celite and the filter cake was washed with EtOAc. The filtrate was concentrated under reduced pressure to afford 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-(trimethyl-stannyl)pyrimidine (1.15 g; 65 %) as yellow oil.

40.2: 4-ethenyl-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine



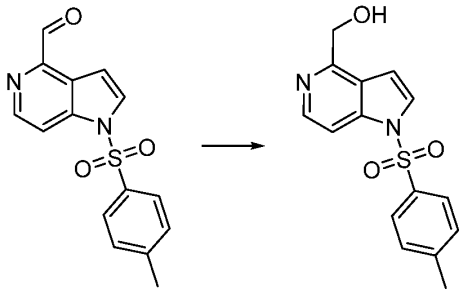
A mixture of 4-chloro-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (1 g; 2.93 mmol), 4,4,5,5-tetramethyl-2-vinyl-1,3,2-dioxaborolane (950 mg; 5.86 mmol), $Pd(dppf)Cl_2$-CH_2Cl_2 (242 mg; 0.29 mmol) and potassium carbonate (817 mg; 5.86 mmol) in dioxane (16 mL)/H_2O (4 mL) was stirred for 2 h at 90°C. Then the mixture was concentrated under reduced pressure and the residue was purified by chromatography to afford 4-ethenyl-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (850 mg; 93 %) as yellow solid.

40.3: 1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine-4-carbaldehyde



To a stirred solution of 4-ethenyl-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (500 mg; 1.59 mmol) in THF (27 mL) was added $NaIO_4$ (1.79 g; 7.96 mmol) in H_2O (5.50 mL) and potassium osmate(VI) dihydrate (74 mg; 0.19 mmol) at RT overnight. The reaction was quenched by the addition of 10% Na_2SO_3 (35 mL) at 0°C and the mixture was extracted with DCM. The combined organic layers were dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford 1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine-4-carbaldehyde (220 mg; 43 %) as off-white solid.

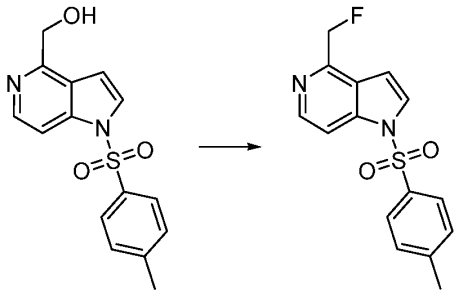
40.4: [1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridin-4-yl]methanol



To a stirred solution of 1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine-4-carbaldehyde (1.80 g; 5.60 mmol) in MeOH (22 mL) was added $NaBH_4$ (706 mg; 16.80 mmol) in portions at 0°C. The resulting mixture was stirred for 1 h at RT. The reaction was quenched by the addition of sat.$NaHCO_3$ at 0°C. The mixture was extracted with EtOAc and the combined organic layers were dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by

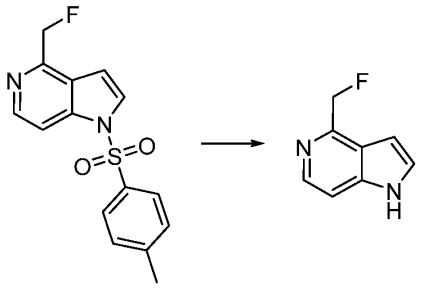
chromatography to afford [1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridin-4-yl]methanol (1.50 g; 87 %) as white solid.

40.5: 4-(fluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of [1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridin-4-yl]methanol (300 mg; 0.98 mmol) in DCM (10 mL) was added DAST (0.14 mL; 1.28 mmol) dropwise at 0°C and then the resulting mixture was stirred for 1 h at RT. The reaction was quenched with sat. $NaHCO_3$ solution and the aqueous phase was extracted with DCM. The combined organic layers were dried over Na_2SO_4 and after filtration, the filtrate was concentrated under reduced pressure. The residue was purified by chromatography to afford 4-(fluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (130 mg; 43 %) as beige solid.

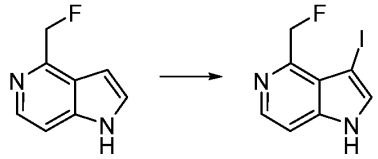
40.6: 4-(fluoromethyl)-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 4-(fluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (550 mg; 1.79 mmol) in EtOH (10 mL) was added EtONa/EtOH (w/w 21%; 0.77 mL; 3.58 mmol) dropwise at 0°C. The resulting

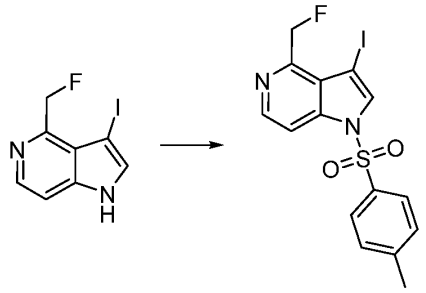
mixture was stirred for 2 h at RT and then diluted with H_2O. The mixture was extracted with EtOAc and the combined organic layers were dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure to afford 4-(fluoromethyl)-1H-pyrrolo[3,2-c]pyridine (270 mg; 83 %) as light yellow oil.

40.7: 4-(fluoromethyl)-3-iodo-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 4-(fluoromethyl)-1H-pyrrolo[3,2-c]pyridine (255 mg; 1.40 mmol) in DMF (5 mL) was added NIS (498 mg; 2.10 mmol) in portions at RT 2 h. The reaction was diluted with H_2O and the resulting mixture was extracted with EtOAc. The combined organic layers were dried over Na_2SO_4 and after filtration, the filtrate was concentrated under reduced pressure. The residue was purified by chromatography to afford 4-(fluoromethyl)-3-iodo-1H-pyrrolo[3,2-c]pyridine (300 mg; 74 %) as light yellow oil.

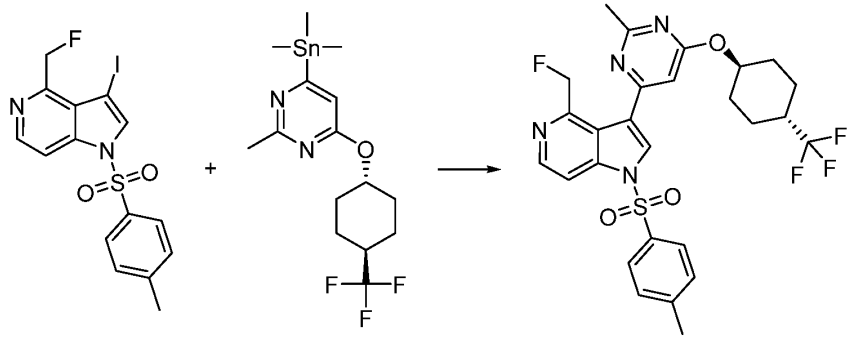
40.8: 4-(fluoromethyl)-3-iodo-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 4-(fluoromethyl)-3-iodo-1H-pyrrolo[3,2-c]pyridine (285 mg; 0.98 mmol) and TEA (0.43 mL; 2.94 mmol) in DCM (10 mL) was added TsCl (296 mg; 1.47 mmol) in portions at RT for 2 h. The reaction was diluted with sat. $NaHCO_3$ solution and the resulting mixture was extracted with DCM. The combined organic layers were dried over Na_2SO_4 and after filtration, the

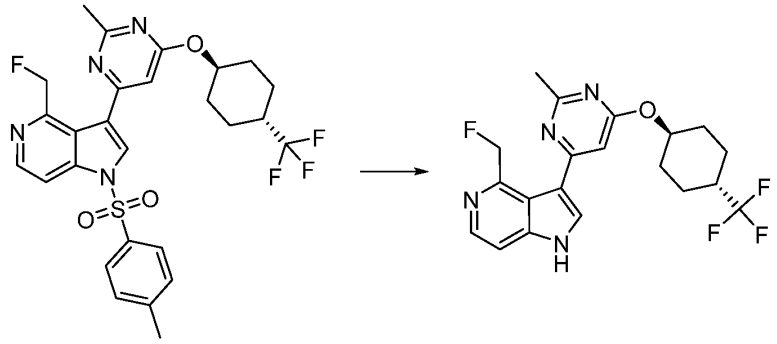
filtrate was concentrated under reduced pressure. The residue was purified by chromatography to afford 4-(fluoromethyl)-3-iodo-1-(4-methyl-benzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (400 mg; 87 %) as light yellow oil.

40.9: 4-[4-(fluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]-pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine



To a stirred solution of 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-(trimethylstannyl)pyrimidine (1.07 g; 0.96 mmol) and 4-(fluoromethyl)-3-iodo-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (375 mg; 0.80 mmol) in DMF (1 mL) was added $Pd(PPh_3)_4$ (98 mg; 0.08 mmol) and CuI (161 mg; 0.80 mmol) at RT. The resulting mixture was stirred for 3 h at 100°C. For work-up the mixture was diluted with H_2O and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford 4-[4-(fluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclo-hexyl]oxy}pyrimidine (220 mg; 48 %) as light yellow oil.

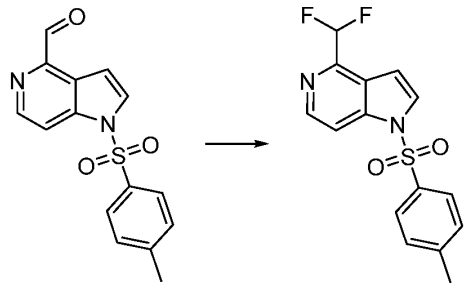
40.10.: rel-4-[4-(fluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 672)



To a stirred solution of rel-4-[4-(fluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (200 mg; 0.35 mmol) in EtOH (4 mL) was added EtONa/EtOH (w/w 21%; 0.15 mL; 0.71 mmol) dropwise at 0°C. The resulting mixture was stirred for 1 h at RT. For work-up the reaction was diluted with H_2O and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4 and after filtration, the filtrate was concentrated under reduced pressure. The crude was purified by chromatography to afford rel-4-[4-(fluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (33 mg; 23 %) as white solid.

Example 41: 4-[4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 662)

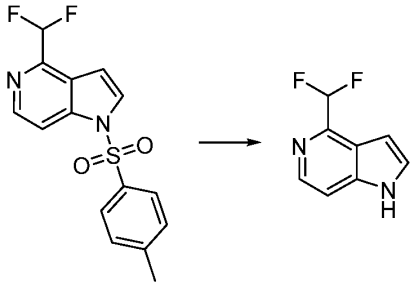
41.1: 4-(difluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine-4-carbaldehyde (Example 40, 40.3) (500 mg; 1.52 mmol) in DCM (15 mL) was added DAST (diethylaminosulfur trifluoride) (0.22 mL; 2.02 mmol)

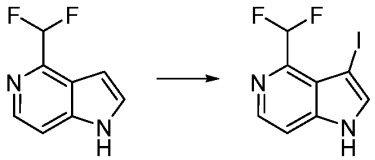
dropwise at 0°C The resulting mixture was stirred for 3 h at 20°C. The reaction was quenched by the addition of sat. $NaHCO_3$ solution at 0°C. The mixture was extracted with DCM and the combined organic layers were dried over anhydrous Na2SO4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford 4-(difluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (430 mg; 87 %) as off-white solid.

41.2: 4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 4-(difluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (410 mg; 1.26 mmol) in EtOH (6 mL) was added EtONa/EtOH (w/w 21%; 0.54 mL; 2.52 mmol) dropwise at 0°C. The resulting mixture was stirred for 1 h at RT. For work-up the reaction was diluted with H_2O and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4 and after filtration, the filtrate was concentrated under reduced pressure. The residue was purified by chromatography to afford 4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridine (220 mg; 88 %) as light yellow solid.

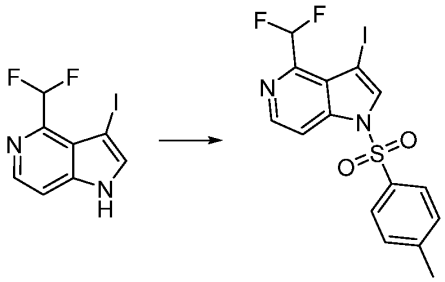
41.3: 4-(difluoromethyl)-3-iodo-1H-pyrrolo[3,2-c]pyridine



To a stirred solution of 4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridine (200 mg; 1.01 mmol) in DMF (5 mL) was added NIS (359 mg; 1.52 mmol) in portions at 0°C. The resulting mixture was stirred for 2 h at RT. For work-up the

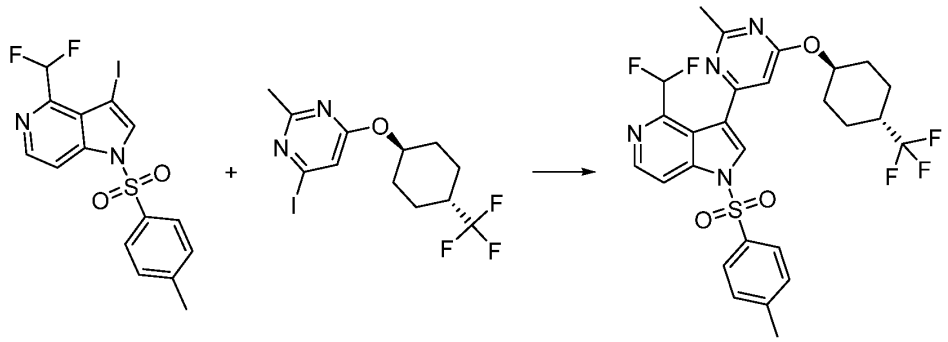
reaction was diluted with H_2O and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4 and after filtration, the filtrate was concentrated under reduced pressure. The residue was purified by chromatography to afford 4-(difluoromethyl)-3-iodo-1H-pyrrolo[3,2-c]pyridine (290 mg; 96 %) as beige solid.

41.4: 4-(difluoromethyl)-3-iodo-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine



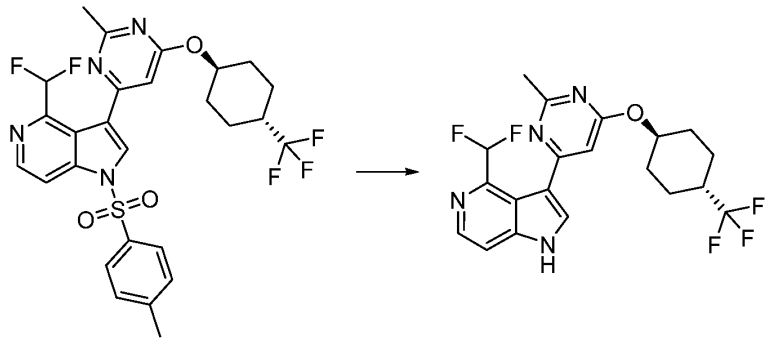
To a stirred solution of 4-(difluoromethyl)-3-iodo-1H-pyrrolo[3,2-c]pyridine (270 mg; 0.90 mmol) and TEA (0.33 mL; 2.25 mmol) in DCM (8 mL) was added TsCl (232 mg; 1.16 mmol) in portions at 0°C. The resulting mixture was stirred for 2 h at RT and then diluted with sat. $NaHCO_3$ solution. The resulting mixture was extracted with DCM and the combined organic layers were dried over Na_2SO_4. After filtration, the filtrate was concentrated under reduced pressure and the residue was purified by chromatography to afford 4-(difluoromethyl)-3-iodo-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (300 mg; 73 %) as off-white solid.

41.5: 4-[4-(difluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]-pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine



To a stirred solution of 4-iodo-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidine (360 mg; 0.89 mmol) and hexamethyldistannane (244 mg; 0.74 mmol) in dioxane (9 mL) was added $Pd(PPh_3)_4$ (69 mg; 0.06 mmol) at RT and then for 2 h at 100°C. The resulting mixture was filtered through celite and the filter cake was washed with EtOAc. The filtrate was concentrated under reduced pressure to afford the intermediate rel-2-methyl-4-(((1r,4r)-4-(trifluoromethyl)cyclohexyl)oxy)-6-(trimethylstannyl)pyrimidine which was used directly for next step without further purification. To a stirred solution of the intermediate and 4-(difluoromethyl)-3-iodo-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridine (260 mg; 0.57 mmol) in DMF (6 mL) was added $Pd(PPh_3)_4$ (69 mg; 0.06 mmol) and CuI (114 mg; 0.57 mmol) at RT and then for 3 h at 100°C. The reaction was diluted with H_2O and the resulting mixture was extracted with EtOAc. The combined organic layers were dried over Na_2SO_4 and after filtration, the filtrate was concentrated under reduced pressure. The residue was purified by chromatography to afford 4-[4-(difluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclo-hexyl]oxy}pyrimidine (130 mg; 39 %) as light yellow oil.

41.6: 4-[4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (Compound No. 662)



To a stirred solution of 4-[4-(difluoromethyl)-1-(4-methylbenzenesulfonyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine (125 mg; 0.21 mmol) in EtOH (4 mL) was added EtONa/EtOH (w/w 21%; 0.09 mL; 0.43 mmol) dropwise at 0°C. The resulting mixture was stirred for 1h at RT and then diluted with H_2O. After extraction with EtOAc the combined organic layers were dried over Na_2SO_4 and after filtration, the filtrate was concentrated under reduced pressure. The crude was purified by chromatography to afford 4-[4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine (21 mg; 23 %) as white solid.

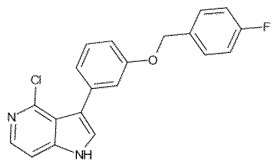
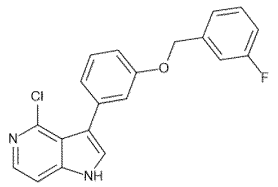
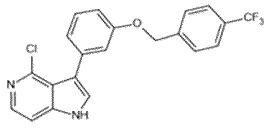
Table 1 and Table 1A

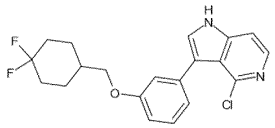
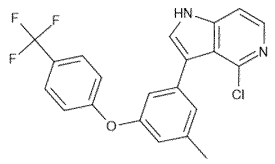
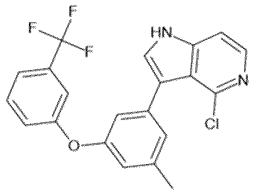
Table 1 and Table 1A below show exemplary compounds of the present invention. They have been synthesized as described in the Examples above or similar thereto.

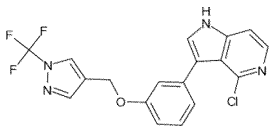
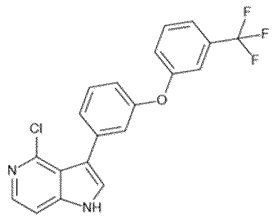
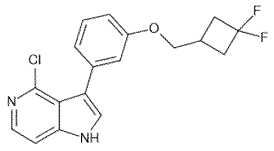
Table 1 and Table 1A

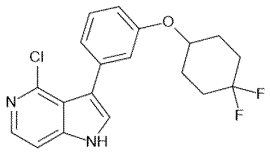
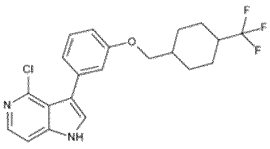
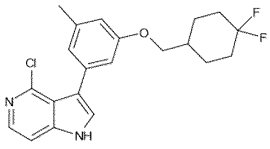
Note: Unless indicated otherwise for a specific compound and its structure (e.g., by assigning “Absolute” to a structure), the absolute configuration as depicted for the structures of the compounds in Table 1 below is assigned arbitrarily.

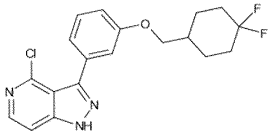
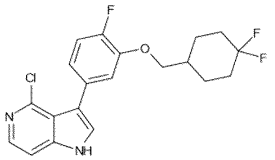
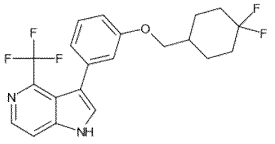
Table 1

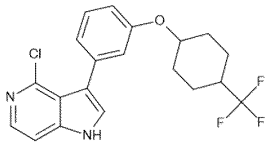
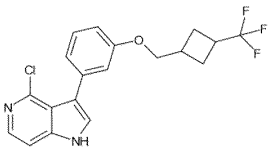
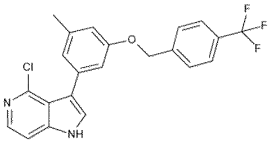
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
1	 4-chloro-3-{3-[(4-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO-d6) 12.06 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.58 (s, 1H), 7.56 – 7.42 (m, 3H), 7.32 (t, J = 7.9 Hz, 1H), 7.27 – 7.16 (m, 2H), 7.12 (dd, J = 2.6, 1.5 Hz, 1H), 7.10 – 7.02 (m, 1H) , 6.98 (m, 1H), 5.14 (s, 2H).	352.9 1.78 RR
2	 4-chloro-3-{3-[(3-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO–d6) 12.10 - 12.05 (m, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.59 (s, 1H), 7.47 (d, J = 5.6 Hz,–1H), 7.47 - 7.41 (m,–1H), 7.35 - 7.29 (m,–2H), 7.31 - 7.26 (m,–1H), 7.18 - 7.13 (m, –H), 7.14 - 7.11 (m,–1H), 7.09 - 7.06 (m,–1H), 7.01 - 6.97 (m, 1H), 5.19 (s, 2H).	352.9 1.78 QQ
3	 4-chloro-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}-phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (700 MHz, DMSO) 5.29 (s, 2H), 7.00 (ddd, J = 8.3, 2.6, 0.9 Hz, 1H), 7.08 (dt, J = 7.5, 1.3 Hz, 1H), 7.13 (dd, J = 2.5, 1.6 Hz, 1H), 7.33 (t, J = 7.9 Hz, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.60 (d, J = 2.4 Hz, 1H), 7.69 (d, J = 8.1 Hz, 2H), 7.77 (d, J = 8.1 Hz, 2H), 8.00 (d, J = 5.6 Hz, 1H), 12.09 (s, 1H).	403 1.9 QQ

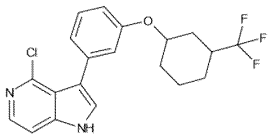
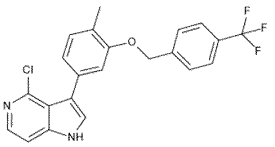
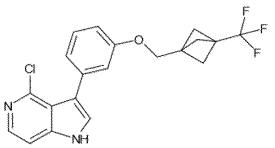
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
4	 4-chloro-3-{3-[(4,4-difluorocyclohexyl)-methoxy]phenyl}-1H-pyrrolo[3,2-c]-pyridinec	1H NMR (300 MHz, DMSO) 12.11 (s, 1H), 8.03 (d, J = 5.6 Hz, 1H), 7.63 (s, 1H), 7.50 (d, J = 5.6 Hz, 1H), 7.33 (t, J = 7.9 Hz,–1H), 7.12 - 7.02 (m,–2H), 6.96 - 6.89 (m, 1H), 3.93 (d, J = 6.0 Hz, –H), 2.21 - 1.69 (m, 7H), 1.36 (q, J = 11.1 Hz, 2H).	377 4.16 II
5	 4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.13 (s, 1H), 8.00-7.99 (d, J=5.6 Hz, 1H), 7.75-7.73 (d, J=8.4 Hz, 2H), 7.65-7.64 (d, J=2.4 Hz, 1H), 7.47-7.46 (d, J=5.6 Hz, 1H), 7.19-7.17 (m, 3H), 7.00-6.95 (m, 2H), 2.38 (s, 3H).	403.0 - 405.0 2.4 HHH
6	 4-chloro-3-{3-methyl-5-[3-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine		403 3.26 FFF

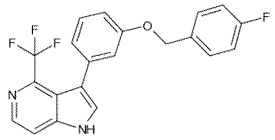
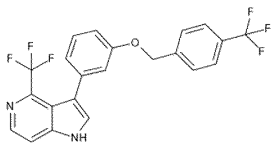
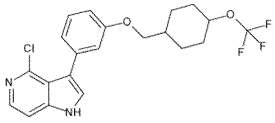
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
7	 4-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-1-(trifluoromethyl)-1H-pyrazole	1H NMR (400 MHz, CDCl3) 8.69 (s, 1H), 8.14-8.13 (d, J=6.0 Hz, 1H), 7.90 (s, 1H), 7.84 (s, 1H), 7.37-7.31 (m, 2H), 7.26 (s, 3H), 7.16-7.12 (m, 2H), 6.98-6.96 (m, 1H), 5.05 (s, 2H).	393 2.76 QQQ
8	 4-chloro-3-{3-[3-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (500 MHz, DMSO) 9.45 (s, 1H), 8.14 (d, J = 5.8 Hz, 1H), 8.08 (t, J = 1.6 Hz, 1H), 8.07 – 8.00 (m, 1H), 8.00 (s, 1H), 7.87 (d, 2H), 7.60 (d, J = 5.8 Hz, 1H), 7.23 (t, J = 7.8 Hz, 1H), 7.01 – 6.95 (m, 2H), 6.82 – 6.76 (m, 1H).	389 1.82 QQ
9	 4-chloro-3-{3-[(3,3-difluorocyclobutyl)-methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.86 (s, 1H), 8.14-8.12 (d, J=5.6 Hz, 1H), 7.35-7.30 (m, 2H), 7.28-7.27 (d, J=2.4 Hz, 1H), 7.13-7.11 (m, 1H), 7.07-7.06 (t, J=2.0 Hz, 1H), 6.94-6.91 (m, 1H), 4.06-4.05 (d, J=6.0 Hz, 2H), 2.77-2.71 (m, 2H), 2.71-2.68 (m, 1H), 2.57-2.49 (m, 2H).	349.0 - 351.0 2.99 FFF

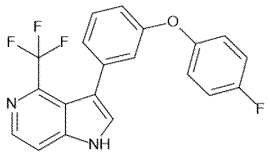
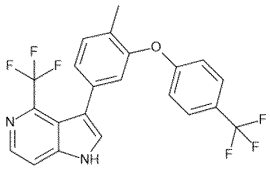
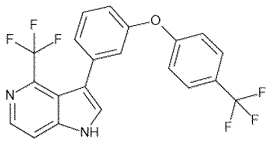
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
10	 4-chloro-3-{3-[(4,4-difluorocyclohexyl)oxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.92 (s, 1H), 8.19-8.17 (d, J=6 Hz, 1H), 7.37-7.33 (m, 2H), 7.15-7.11 (m, 2H), 6.98-6.96 (d, J=7.6 Hz, 1H), 4.59 (m, 1H), 2.25-2.21 (m, 4H), 1.95 (m, 4H).	363 4.1 RRR
11	 4-chloro-3-(3-{[4-(trifluoromethyl)-cyclohexyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine		408 3.13 - 3.25 VV
12	 4-chloro-3-{3-[(4,4-difluorocyclohexyl)-methoxy]-5-methylphenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO) 12.09 (s, 1H), 8.02 (d, J = 5.6 Hz, 1H), 7.61 (s, 1H), 7.49 (d, J = 5.6 Hz, 1H), 6.88 (d, J = 11.0 Hz, 2H), 6.76 (s, 1H), 3.91 (d, J = 6.0 Hz, 2H), 2.35 (s, 3H), 1.98 (dd, J = 5 9.8, 22.7 Hz, 7H), 1.38 (t, J = 12.3 Hz, 2H).	391 3.6 GG

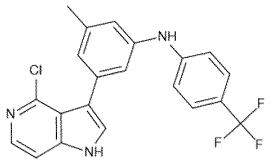
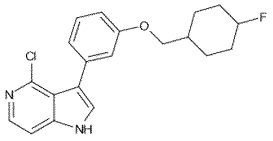
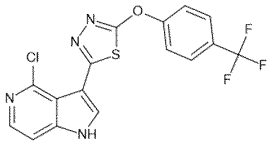
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
13	 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1H-pyrazolo[4,3-c]pyridine	1H NMR (400 MHz, DMSO) 8.17 (d, J = 5.9 Hz, 1H), 7.63 (d, J = 5.9 Hz, 1H), 7.40 (t, J = 7.9 Hz, 1H), 7.25 (d, J = 7.5 Hz, 2H), 7.10 ¨C 7.01 (m, 1H), 3.93 (d, J = 6.0 Hz, 2H), 2.13 ¨C 1.71 (m, 8H), 1.3 6 (t, J = 11.6 Hz, 2H).	378.2 2.98 FFF
14	 4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]-4-fluorophenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.13 (s, 1H), 8.01 (d, J = 5.6 Hz, 1H), 7.62 (d, J = 2.3 Hz, 1H), 7.49 (d, J = 5.6 Hz,–1H), 7.32 - 7.16 (m,–2H), 7.12 - 6.94 (m, 1H), 3.98 (d, J = 6.2 Hz,–2H), 2.11 - 1.74 (m,7H), 1.34 (q, J = 10.8 Hz, 2H).	395.1 4.2 FFF
15	 3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.89 (br. s, 1H), 8.45-8.43 (d, J=6 Hz, 1H), 7.55-7.54 (d, J=6 Hz, 1H), 7.32-7.29 (m, 2H), 7.00-6.99 (d, J=7.6 Hz, 1H), 6.95 (s, 1H), 6.94-6.92 (m, 1H), 3.85-3.83 (d, J=6 Hz, 2H), 2.14 (m, 2H), 1.98-1.90 (m, 3H), 1.83-1.68 (m, 2H), 1.47-1.38 (m, 2H).	411 3.67 RRR

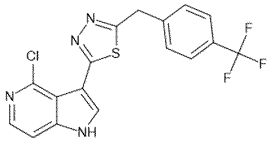
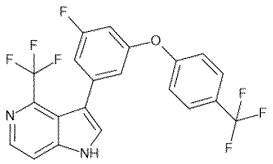
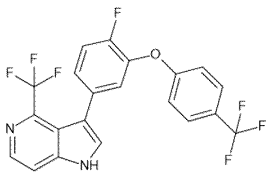
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
16	 4-chloro-3-(3-{[4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.10 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.60 (d, J = 2.4 Hz, 1H), 7.48 (d, J = 5.6 Hz, 1H), 7.28 (t, J = 7.9 Hz, 1H), 7.14-6.92 (m, 2H), 6.92-6.87 (m, 1H), 4.47-4.24 (m, 1H), 2.40-2.25 (m, 1H), 2.22-2.11 (m, 2H), 1.97-1.85 (m, 2H), 1.52-1.36 (m, 4H).	395.1 3.72 YY
17	 4-chloro-3-(3-{[3-(trifluoromethyl)cyclobutyl]-methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.73 (br. s, 1H), 8.14-8.12 (dd, J=1.2 Hz, 6 Hz, 1H), 7.34-7.29 (m,2H), 7.27-7.26 (m, 1H), 7.11-7.04 (m, 2H), 6.94-6.89 (td, J=2 Hz, 8 Hz,1H), 4.03-4.02 (d, J=6 Hz, 1H), 3.97-3.96 (d, J=6 Hz, 1H), 3.00-2.72(m, 2H), 2.40-2.07 (m, 4H).	381 3.09 RRR
18	 4-chloro-3-(3-methyl-5-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.10-8.08 (d, J=6 Hz, 1H), 7.62-7.60 (d, J=8.4 Hz, 2H), 7.25-7.23 (m, 2H), 7.14-7.13 (m, 2H), 6.87 (s, 1H), 6.82 (s, 1H), 6.71 (s, 1H), 6.04 (s, 1H), 5.39 (s, 2H), 2.35 (s, 3–) .	417.0 - 419.0 3.65 FFF

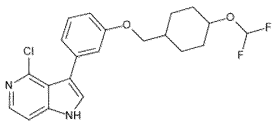
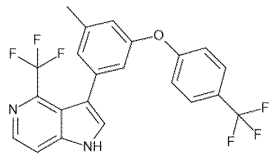
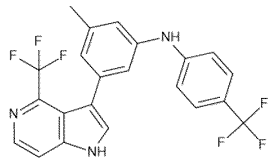
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
19	 4-chloro-3-(3-{[3-(trifluoromethyl)-cyclohexyl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.08 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.60 (d, J = 4.8 Hz, 1H), 7.47 (d, J = 5.6 Hz,–1H), 7.37 - 7.17 (m, 1H), 7.15 ¨C 6.99 (m, 2H), 6.92 (dd, J = 8.2, 1.7 Hz, 1H), 4.56 -4.34 (m, 1H), 2.28 (d, J = 12.1 Hz, 1H), 2.12 (d, J = 11.4 Hz, 1H), 1.84 (t, J = 12.5 Hz,–2H), 1.74 - 1.06 (m, 5H).	395 4.3 GG
20	 4-chloro-3-(4-methyl-3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 9.29 (s, 1H), 8.02 (d, J = 5.7 Hz, 1H), 7.72 (t, J = 4.0 Hz, 3H), 7.63 (d, J = 5.7 Hz, 1H), 7.46 (d, J = 8.1 Hz, 2H), 7.07 (d, J = 7.6 Hz, 1H), 6.90 (s, 1H), 6.80 (d, J = 7.5 Hz, 1H), 5.64 (s, 2H), 2.16 (s, 3H).	417 4.2 GG
21	 4-chloro-3-(3-{[3-(trifluoromethyl)-bicyclo[1.1.1]pentan-1-yl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.10 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.61 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.30 (t, J = 7.9 Hz,–1H), 7.10 - 7.00 (m,–2H), 6.98 - 6.82 (m, 1H), 4.14 (s, 2H), 2.01 (s, 6H).	393 3.7 GG

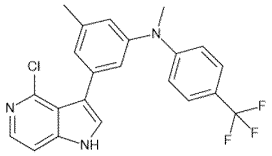
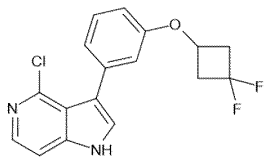
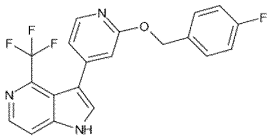
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
22	 3-{3-[(4-fluorophenyl)methoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.89 (br. s, 1H), 8.45-8.43 (d, J=5.6 Hz, 1H), 7.55-7.54 (d, J=6 Hz, 1H), 7.42-7.41 (d, J=6 Hz, 2H), 7.31-7.31 (m, 2H), 7.06-7.01 (m, 5H), 5.04 (s, 1H).	387 4.21 RRR
23	 4-(trifluoromethyl)-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.93 (s, 1H), 8.46-8.44 (d, J=5.6 Hz, 1H), 7.65-7.63 (d, J=8 Hz, 2H), 7.57-7.55 (m, 3H), 7.36-7.32 (m, 2H), 7.05-6.99 (m, 3H), 5.16 (s, 2H).	437.0 - 438.0 3.82 OOO
24	 4-chloro-3-(3-{[4-(trifluoromethoxy)-cyclohexyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.90 (s, 1H), 8.13-8.12 (d, J=5.2 Hz, 1H), 7.33-7.29 (m, 2H), 7.27 (s, 1H), 7.10-7.05 (m, 2H), 6.92-6.89 (m, 1H), 4.54-4.12 (m, 1H), 3.87-3.82 (m, 2H), 2.18-1.75 (m, 5H), 1.57-1.50 (m, 2H), 1.26-1.14 (m, 2H).	425.0 - 427.0 3.19 - 3.46 FFF

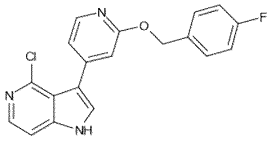
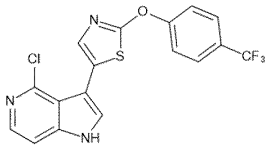
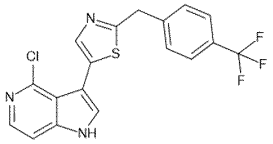
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
25	 3-[3-(4-fluorophenoxy)phenyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.83 (s, 1H), 8.44-8.23 (d, J=5.6 Hz, 1H), 7.54-7.52 (d, J=5.6 Hz, 1H), 7.38-7.34 (t, J=8 Hz, 1H), 7.31-7.30 (d, J=2.4 Hz, 1H), 7.15-7.13 (d, J=7.6 Hz, 1H), 7.04-6.99 (m, 6H).	373.0 - 374.0 3.43 FFF
26	 3-{4-methyl-3-[4-(trifluoromethyl)-phenoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.94 (br. s, 1H), 8.43-8.41 (d, J=5.6 Hz, 1H), 7.55-7.52 (m, 3H), 7.32-7.29 (m, 2H), 7.19-7.17 (m, 1H), 7.01-6.98 (m, 3H), 2.26 (s, 3H).	437 3.9 RRR
27	 4-(trifluoromethyl)-3-{3-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.30 (s, 1H), 8.33 (d, J = 5.5 Hz, 1H), 7.74 (dd, J = 7.8, 4.3 Hz, 4H), 7.49 (t, J = 7.9 Hz, 1H), 7.25 (d, J = 7.5 Hz, 1H), 7.16 (t, J = 9.8 Hz, 3H), 7.08 (s, 1H).	423 3.9 XX

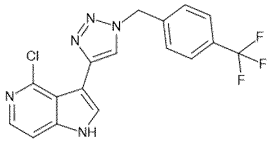
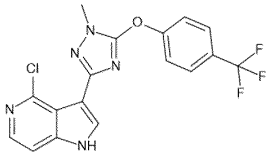
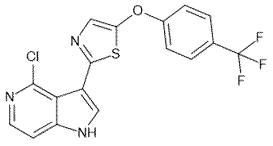
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
28	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methyl-N-[4-(trifluoromethyl)phenyl]aniline	1H NMR (400 MHz, DMSO) 12.06 (s, 1H), 8.65 (s, 1H), 8.00-7.99 (d, J=5.6 Hz, 1H), 7.59 (s, 1H), 7.52-7.46 (m, 3H), 7.20-7.17 (d, J=8.4 Hz, 2H), 7.06(s, 1H), 6.96(s, 1H), 6.92 (s, 1H), 2.34 (s, 3H).	402.0 - 404.0 3.67 FFF
29	 4-chloro-3-{3-[(4-fluorocyclohexyl)-methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.72 (br. s, 1H), 8.13-8.12 (d, J=2 Hz, 1H), 7.33-7.29 (m, 3H), 7.09-7.04 (m, 2H), 6.93-6.90 (m, 1H), 4.92-4.44 (m, 1H),3.86-3.82 (m, 2H), 2.07 (m, 2H), 1.74 (m, 2H), 1.49 (m,3H), 1.25 (m, 2H).	359 3.12 RRR
30	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-[4-(trifluoromethyl)phenoxy]-1,3,4-thiadiazole	1H NMR (400 MHz, –MSO) 8.17 - 8.06 (m, 2H), 7.92 (d, J = 8.6 Hz, 2H), 7.71 (d, J = 8.5 Hz, 2H), 7.56 (d, J = 5.6 Hz, 1H).	396.95 0.95 O

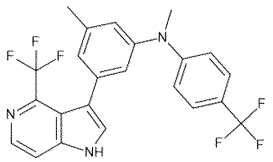
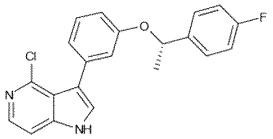
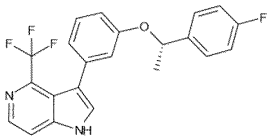
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
31	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-{[4-(trifluoromethyl)phenyl]methyl}-1,3,4-thiadiazole	1H NMR (300 MHz, DMSO) 12.58 (s,–1H), 8.12 - 8.06 (m, 2H), 7.76 (d, J = 8.1 Hz, 2H), 7.64 (d, J = 8.1 Hz, 2H), 7.55 (d, J = 5.6 Hz, 1H), 4.66 (s, 2H).	395 1.09 H
32	 3-{3-fluoro-5-[4-(trifluoromethyl)-phenoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.84 (br. s, 1H), 8.46-8.44 (d, J=5.2 Hz, 1H), 7.61-7.59 (d, J=7.2 Hz, 2H), 7.55-7.53 (d, J=5.6 Hz, 1H), 7.33 (d, J=2.4 Hz, 1H), 7.11-7.13 (d, J=8.4 Hz, 2H), 6.96-6.93 (m, 1H), 6.86 (m, 1H), 6.83-6.83 (m, 1H).	441 4.1 RRR
33	 3-{4-fluoro-3-[4-(trifluoromethyl)-phenoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.81 (br. s, 1H), 8.45-8.44 (d, J=5.6 Hz, 1H), 7.59-7.57 (d, J=8.4 Hz, 2H), 7.55-7.53 (d, J=5.6 Hz, 1H), 7.32 (d, J=2 Hz, 1H), 7.24-7.21 (m, 2H), 7.18-7.16 (m, 1H), 7.08-7.06 (m, 2H).	441 3.99 RRR

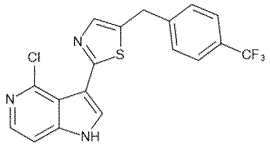
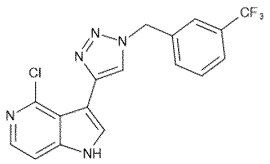
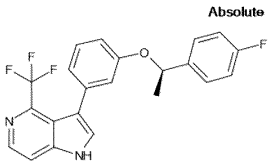
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
34	 4-chloro-3-(3-{[4-(difluoromethoxy)-cyclohexyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.11-8.09 (d, J=6 Hz, 1H), 7.29-7.25 (m, 1H), 7.22-7.18 (m, 1H), 7.10-7.03 (m, 2H), 7.00 (m, 1H), 6.88-6.86 (m, 1H), 6.39-6.02 (m, 1H), 5.94 (br. s, 1H), 4.22-3.97 (m, 3H), 2.08-1.73 (m, 5H), 1.49-1.36 (m, 3H), 1.15 (m, 1H).	407 2.94 RRR
36	 3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.28 (s, 1H), 8.33-8.32 (d, J=5.6 Hz, 1H), 7.75-7.71 (m, 4H), 7.17-7.15 (d, J=8.4 Hz, 2H), 7.08 (s, 1H), 6.97 (s, 1H), 6.87 (s, 1H), 2.36 (s,3H).	437.0 - 438.0 4.17 FFF
37	 3-methyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-N-[4-(trifluoromethyl)phenyl]aniline	1H NMR (400 MHz, DMSO) 12.21 (s, 1H), 8.63 (s, 1H), 8.33-8.31 (d, J=5.6 Hz, 1H), 7.74-7.73 (d, J=5.6 Hz, 1H), 7.51-7.48 (d, J=8.8 Hz, 2H), 7.16-7.14 (d, J=8.4 Hz, 2H), 6.98-6.95 (d, J=10.8Hz, 2H), 6.80 (s, 1H), 2.31 (s, 3H).	436.0 - 437.0 3.83 FFF

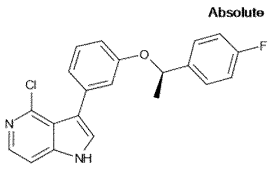
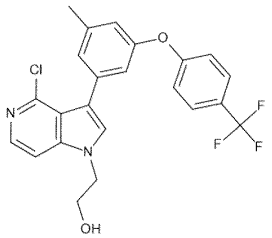
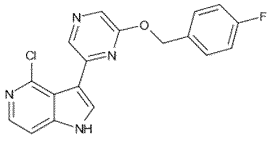
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
38	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-N,5-dimethyl-N-[4-(trifluoromethyl)phenyl]aniline	1H NMR (400 MHz, CDCl3) 8.72 (s, 1H), 8.13-8.12 (d, J=5.6 Hz, 1H), 7.44-7.41 (d, J=8.8 Hz, 2H), 7.31-7.29 (d, J=5.6 Hz, 1H), 7.27 (s, 1H), 7.15-7.14 (d, J=1.6 Hz, 2H), 7.02 (s, 1H), 6.91-6.89 (d, J=8.8 Hz, 2H), 3.38 (s, 1H), 2.41 (s, 3H).	416.0 - 418.0 3.75 FFF
39	 4-chloro-3-[3-(3,3-difluorocyclobutoxy)-phenyl]-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.72(br. s, 1H), 8.14-8.13 (d, J=5.6 Hz, 1H), 7.34-7.26 (m, 3H), 7.14-7.13 (m, 1H), 6.96-6.84 (m, 1H), 6.83 (m, 1H), 4.71 (m, 1H), 3.12 (m, 1H), 2.78 (m, 1H).	335 2.72 RRR
40	 2-[(4-fluorophenyl)methoxy]-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (400 MHz, CDCl3) 8.85 (br. s,1H), 8.48-8.47 (d, J=2.4 Hz, 1H), 8.19-8.18 (d, J=4.2 Hz, 1H), 7.56-7.55 (d, J=4.2 Hz, 1H), 7.45 (m, 2H),7.35 (s, 1H), 7.08-7.04 (m, 2H), 6.98 (m, 1H), 5.41 (s, 2H).	388 3.23 min RRR

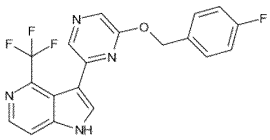
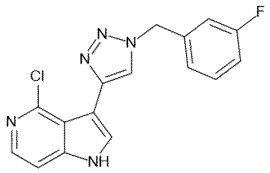
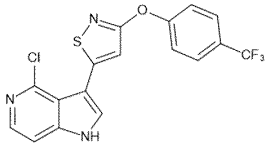
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
41	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-[(4-fluorophenyl)methoxy]pyridine	1H NMR (400 MHz, CDCl3) 8.83 (br. s, 1H), 8.2-8.15 (m, 2H), 7.48-7.45 (m, 2H), 7.33-7.31 (m, 2H), 7.11-7.04 (m, 3H), 6.95 (s, 1H), 5.40 (s, 2H).	354 2.93 min UU
42	 5-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-[4-(trifluoromethyl)phenoxy]-1,3-thiazole	1H NMR (400 MHz, DMSO) 11.94 (s, 1H), 8.04 (d, J = 5.6 Hz,–1H), 7.93 - 7.77 (m, 3H), 7.62 (d, J = 8.3 Hz, 2H), 7.50 (d, J = 5.6 Hz, 1H), 7.35 (s, 1H).	395.85 0.92 min O
43	 5-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-{[4-(trifluoromethyl)phenyl]methyl}-1,3-thiazole	1H NMR (300 MHz, DMSO) 12.27 (s, 1H), 8.02 (d, J = 5.6 Hz,–1H), 7.78 - 7.66 (m, 4H), 7.62 (d, J = 8.1 Hz, 2H), 7.48 (d, J = 5.6 Hz, 1H), 4.49 (s, 2H).	393.95 0.87 min Q

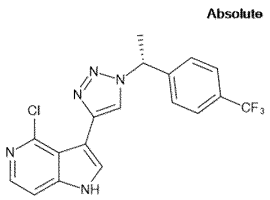
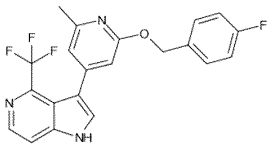
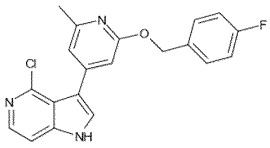
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
44	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-{[4-(trifluoromethyl)phenyl]methyl}-1H-1,2,3-triazole	1H NMR (300 MHz, DMSO) 8.45 (s, 1H), 8.01 (d, J = 5.6 Hz,–1H), 7.88 - 7.73 (m,–3H), 7.66 - 7.43 (m, 3H), 5.82 (s, 2H).	377.95 0.83 min O
45	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-methyl-5-[4-(trifluoromethyl)phenoxy]-1H-1,2,4-triazole	1H NMR (300 MHz, DMSO) 12.89 (s, 1H), 9.53 (s, 1H), 8.68 (d, J = 1.7 Hz,–1H), 8.20 - 7.95 (m, 5H), 7.64 (d, J = 8.7 Hz, 1H).	394 0.85 min BB
46	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-[4-(trifluoromethyl)phenoxy]-1,3-thiazole	1H NMR (300 MHz, DMSO) 8.13 - 7.99 (m,2H), 7.87 - 7.74 (m, 3H), 7.54 (d, J = 5.6 Hz, 1H), 7.39 (d, J = 8.6 Hz, 2H).	395.85 0.93 min U

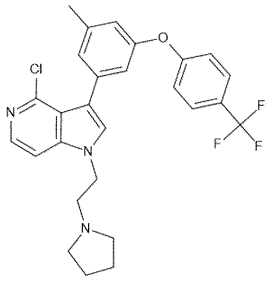
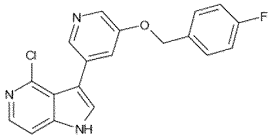
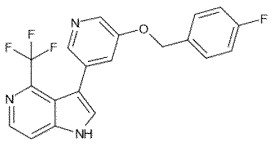
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
47	 N,3-dimethyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-N-[4-(trifluoromethyl)phenyl]aniline	1H NMR (400 MHz, CDCl3) 8.83 (s, 1H), 8.45-8.43 (d, J=6.0 Hz, 1H), 7.54-7.53 (d, J=5.6 Hz, 1H), 7.43-7.41 (d, J=8.8 Hz, 2H), 7.33 (s, 1H), 7.06-7.03 (m, 3H), 6.88-6.86 (d, J=8.4 Hz, 2H), 3.35 (s, 3H), 2.39 (s, 3H).	450.00 - 451.00 3.40 - 3.40 min FFF
48	 4-chloro-3-{3-[(1S)-1-(4-fluorophenyl)ethoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO) ¦Ä 12.10 (s, 1H), 8.02 (d, J = 5.6 Hz, 1H), 7.56 (s, 1H), 7.50 (t, J = 6.7 Hz, 3H), 7.23 (dt, J = 17.7, 8.3 Hz, 3H), 7.02 (d, J = 8.3 Hz, 2H), 6.92 (d, J = 7.7 Hz, 1H), 5.61 (d, J = 6.3 Hz, 1H), 1.58 (d, J = 6.3 Hz, 3H).	367 4.17 min GG
49	 3-{3-[(1S)-1-(4-fluorophenyl)ethoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) ¦Ä 12.18 (s, 1H), 8.31 (d, J = 5.5 Hz, 1H), 7.73 (d, J = 5.5 Hz, 1H), 7.59 (s, 1H), 7.50 ¨C 7.36 (m, 2H), 7.28 ¨C 7.06 (m, 3H), 6.99 ¨C 6.77 (m, 3H), 5.53 (q, J = 6.3 Hz, 1H), 1.54 (d, J = 6.4 Hz, 3H).	401 3.19 min XX

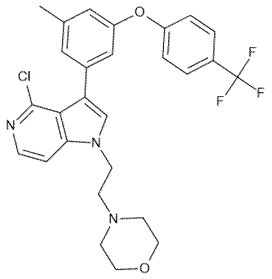
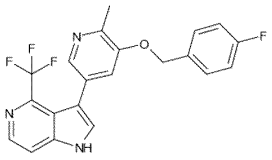
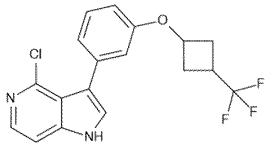
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
50	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-{[4-(trifluoromethyl)phenyl]methyl}-1,3-thiazole	1H NMR (300 MHz, DMSO) 12.36 (s, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.92 (d, J = 2.7 Hz, 1H), 7.79 - 7.67 (m, 3H), 7.56 (d, J = 8.0 Hz, 2H), 7.50 (d, J = 5.6 Hz, 1H), 4.36 (s, 2H).	393.85 0.86 min Q
51	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-{[3-(trifluoromethyl)phenyl]methyl}-1H-1,2,3-triazole	1H NMR (300 MHz, DMSO) 12.18 (s, 1H), 8.45 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.80 (d, J = 2.5 Hz, 1H), 7.76 - 7.59 (m, 4H), 7.48 (d, J = 5.6 Hz, 1H), 5.81 (s, 2H).	377.9 0.73 min Q
52	 3-{3-[(1R)-1-(4-fluorophenyl)ethoxy]phenyl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.21 (s, 1H), 8.31 (d, J = 5.5 Hz, 1H), 7.72 (d, J = 5.5 Hz, 1H), 7.59 (s, 1H), 7.51 - 7.35 (m, 2H), 7.28 - 7.06 (m, 3H), 6.96 - 6.75 (m, 3H), 5.53 (q, J = 6.3 Hz, 1H), 1.54 (d, J = 6.4 Hz, 3H).	401,00 3.38 min GG

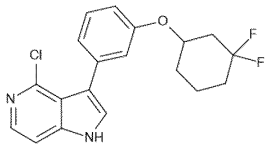
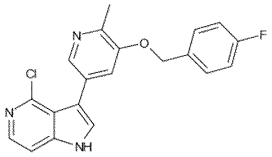
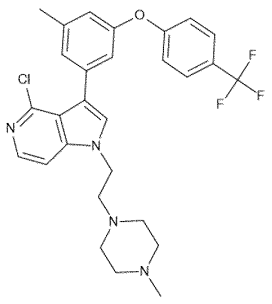
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
53	 4-chloro-3-{3-[(1R)-1-(4-fluoro-phenyl)ethoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.05 (s, 1H), 7.98 (d, J = 5.6 Hz, 1H), 7.51 (t, J = 5.8 Hz, 1H), 7.50 - 7.39 (m, 3H), 7.29 - 7.10 (m, 3H), 7.05 - 6.93 (m, 2H), 6.88 (dd, J = 8.2, 1.7 Hz, 1H), 5.57 (q, J = 6.3 Hz, 1H), 1.55 (d, J = 6.4 Hz, 3H).	367,00 3.50 min GG
54	 2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (400 MHz, DMSO) 8.03-8.02 (d, J=6.0 Hz, 1H), 7.76-7.73 (d, J=8.8 Hz, 1H), 7.66 (s, 1H), 7.64-7.63 (d, J=6 Hz, 1H), 7.20-7.17 (t, J=5.6 Hz, 3H), 6.98-6.96 (d, J=9.2 Hz, 2H), 4.96-4.93 (t, J=5.2Hz, 1H), 4.31-4.28 (t, J=5.2 Hz, 2H), 3.77-3.74 (t, J=5.2Hz, 2H), 2.38 (s, 3H).	447,00 - 450,00 3.36 - 3.36 min FFF
55	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-[(4-fluorophenyl)methoxy]pyrazine	1H NMR (400 MHz, DMSO) 12.38 (s, 1H), 8.48 (s, 1H), 8.27 (s, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.95 (s, 1H), 7.62 - 7.46 (m, 3H), 7.28 - 7.14 (m, 2H), 5.46 (s, 2H).	355,00 3.72 min JJ

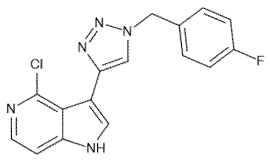
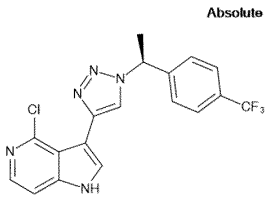
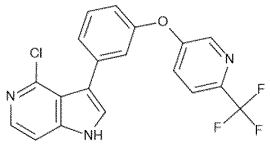
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
56	 2-[(4-fluorophenyl)methoxy]-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrazine	1H NMR (400 MHz, DMSO) 12.52 (s, 1H), 8.41 (d, J = 5.5 Hz, 1H), 8.38 (s, 1H), 8.31 (s, 1H), 8.01 (s, 1H), 7.81 (d, J = 5.5 Hz, 1H), 7.57 - 7.43 (m, 2H), 7.28 - 7.13 (m, 2H), 5.36 (s, 2H).	389,00 3.79 min GG
57	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-[(3-fluorophenyl)methyl]-1H-1,2,3-triazole	1H NMR (300 MHz, DMSO, ppm) 12.09 (s, 1H), 8.30 (d, J = 3.1 Hz, 1H), 7.89 (dd, J = 5.7, 3.0 Hz, 1H), 7.69 (d, J = 2.9 Hz, 1H), 7.41 - 7.26 (m, 2H), 7.13 - 7.01 (m, 3H), 5.59 (d, J = 3.0 Hz, 2H).	327,90 0.64 min R
58	 5-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-3-[4-(trifluoromethyl)phenoxy]-1,2-thiazole	1H NMR (300 MHz, DMSO, ppm) 7.27 (s, 1H), 7.49-7.59 (m, 3H), 7.84 (d, J = 8.6 Hz, 2H), 8.03 (d, J = 2.5 Hz, 1H), 8.10 (d, J = 5.6 Hz, 1H), 12.57 (s, 1H).	395,50 1.07 min O

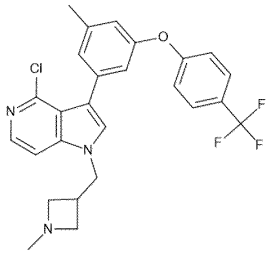
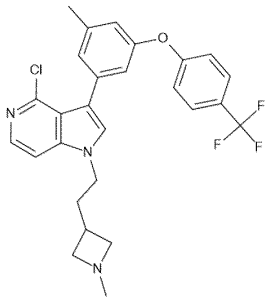
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
59	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-[(1R)-1-[4-(trifluoromethyl)phenyl]ethyl]-1H-1,2,3-triazole	1H NMR (400 MHz, DMSO) 12.21 - 12.16 (m, 1H), 8.45 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.77 (d, J = 8.9 Hz, 3H), 7.58 (d, J = 8.0 Hz, 2H), 7.48 (d, J = 5.6 Hz, 1H), 6.16 (q, J = 7.0 Hz, 1H), 1.98 (d, J = 7.1 Hz, 3H).	392,00 0.77 min R
60	 2-[(4-fluorophenyl)methoxy]-6-methyl-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (400 MHz, CDCl3) 9.00 (br. s, 1H), 8.46-8.45 (d, J=6.0 Hz, 1H), 7.55-7.54 (d, J=6.0 Hz, 1H), 7.48-7.44 (m, 2H), 7.33-7.32 (d, J=2.8 Hz, 1H), 7.07-7.03 (m, 2H), 6.83 (s, 1H), 6.64 (s, 1H), 5.38(s, 2H), 2.50 (s, 3H).	402,00 4.27 min RRR
61	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-[(4-fluorophenyl)methoxy]-6-methylpyridine	1H NMR (400 MHz, CDCl3) 8.91 (br. s, 1H), 8.15-8.14 (d, J=6 Hz, 1H), 7.49-7.46 (m, 2H), 7.32-7.30 (m, 2H), 7.07-7.03 (m, 2H), 6.94 (s, 1H), 6.75 (m, 1H), 5.38 (s, 2H), 2.52 (s, 3H).	368,00 3.42 min SSS

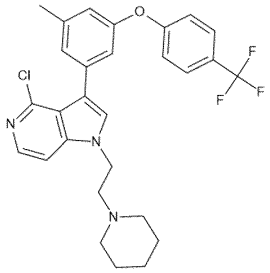
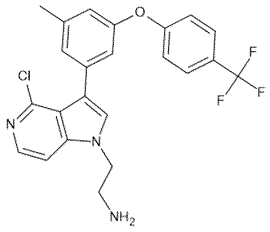
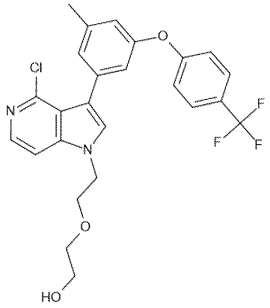
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
62	 1-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]pyrrolidine	1H NMR (400 MHz, DMSO) 8.04-8.02 (d, J=5.6 Hz, 1H), 7.76-7.73 (d, J=8.8 Hz, 1H), 7.71 (s, 1H), 7.66-7.64 (d, J=5.6 Hz, 1H), 7.20-7.18 (m, 3H), 6.97-6.96 (d, J=4.4 Hz, 2H), 4.37-4.33 (t, J=6.4 Hz, 2H), 2.84-2.81 (t, J=6 Hz, 2H), 2.50-2.48 (m, 4H), 2.38 (s, 3H), 1.64-1.62 (m, 4H).	500,00 - 503,00 4.06 - 4.06 min FFF
63	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-[(4-fluorophenyl)methoxy]pyridine	1H NMR (300 MHz, DMSO) 12.27 (s, 1H), 8.34 (d, J = 5.4 Hz, 2H), 8.06 (d, J = 5.6 Hz, 1H), 7.76 (s, 1H), 7.56 (dd, J = 11.6, 7.4 Hz, 4H), 7.27 (t, J = 8.8 Hz, 2H), 5.26 (s, 2H).	354,00 3.31 min I
64	 3-[(4-fluorophenyl)methoxy]-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (400 MHz, DMSO) 12.39 (s, 1H), 8.36 (d, J = 3.0 Hz, 2H), 8.17 (s, 1H), 7.78 (t, J = 2.7 Hz, 2H), 7.52 (dd, J = 8.6, 5.6 Hz, 2H), 7.45 (s, 1H), 7.23 (dd, J = 12.3, 5.5 Hz, 2H), 5.18 (s, 2H).	388,00 3.22 min EEE

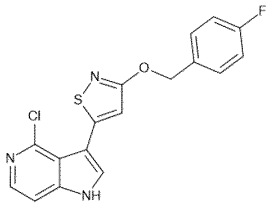
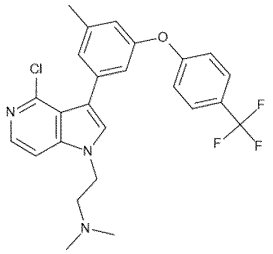
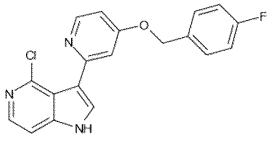
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
65	 4-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoro-methyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]morpholine	1H NMR (400 MHz, DMSO) d 8.03 (d, J = 5.8 Hz, 1H), 7.75 (d, J = 8.5 Hz, 2H), 7.71 (s, 1H), 7.66 (d, J = 5.8 Hz, 1H), 7.19 (d, J = 8.8 Hz, 3H), 6.97 (t, J = 2.1 Hz, 2H), 4.36 (t, J = 6.3 Hz, 2H), 3.50 (t, J = 4.6 Hz, 4H), 2.69 (t, J = 6.4 Hz, 2H), 2.46 – 2.40 (m, 4H), 2.38 (s, 3H).	516,00 - 519,00 3.78 - 3.78 min FFF
66	 3-[(4-fluorophenyl)methoxy]-2-methyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (400 MHz, DMSO) d 12.35 (s, 1H), 8.35 (d, J = 5.6 Hz, 1H), 8.02 (d, J = 1.2 Hz, 1H), 7.77 (t, J = 8.3 Hz, 1H), 7.73 (d, J = 2.4 Hz, 1H), 7.56 – 7.46 (m, 2H), 7.41 (s, 1H), 7.27 – 7.17 (m, 2H), 5.13 (s, 2H), 2.45 (s, 3H).	402,00 3.18 min GG
67	 4-chloro-3-{3-[3-(trifluoromethyl)-cyclobutoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.09 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.60 (d, J = 2.3 Hz, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.30 (t, J = 7.9 Hz, 1H), 7.07 (d, J = 7.8 Hz, 1H), 7.03 - 6.88 (m, 1H), 6.83 (m, 1H), 5.02 - 4.64 (m, 1H), 3.28 - 2.87 (m, 1H), 2.70 (m, 2H), 2.47 - 2.03 (m, 2H).	367,00 3.01 - 3.06 min ZZ

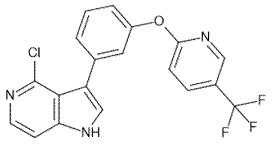
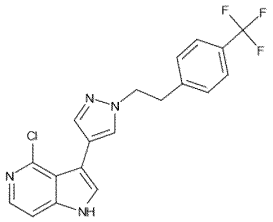
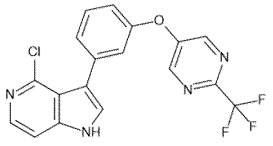
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
68	 4-chloro-3-{3-[(3,3-difluorocyclohexyl)oxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.75 (br. s, 1H), 8.13-8.12 (m, 1H), 7.33-7.26 (m, 2H), 7.10-6.91 (m, 3H), 4.49 (m, 1H), 2.97 (m, 1H), 2.20 (m, 1H), 2.05-1.63 (m, 6H).	363,00 3.71 min RRR
69	 5-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-3-[(4-fluorophenyl)methoxy]-2-methylpyridine	1H NMR (400 MHz, DMSO) 12.18 (s, 1H), 8.14 (d, J = 1.6 Hz, 1H), 8.02 (d, J = 5.6 Hz, 1H), 7.67 (s, 1H), 7.60 - 7.39 (m, 4H), 7.33 - 7.12 (m, 2H), 5.21 (s, 2H), 2.45 (s, 3H).	368,00 3.52 min GG
70	 1-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-4-methylpiperazine	1H NMR (400 MHz, DMSO) d 8.03 (d, J = 5.8 Hz, 1H), 7.78 – 7.70 (m, 2H), 7.69 (s, 1H), 7.65 (d, J = 5.8 Hz, 1H), 7.19 (d, J = 6.0 Hz, 2H), 7.18 (s, 1H), 6.97 (t, J = 1.9 Hz, 2H), 4.34 (t, J = 6.4 Hz, 2H), 2.67 (t, J = 6.4 Hz, 2H), 2.44 (s, 4H), 2.38 (s, 3H), 2.23 (s, 4H), 2.10 (s, 3H).	529,00 - 531,00 3.23 - 3.23 min FFF

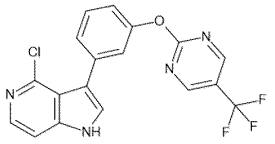
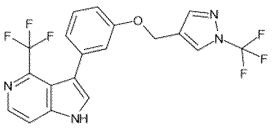
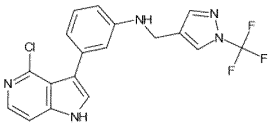
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
71	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-[(4-fluorophenyl)methyl]-1H-1,2,3-triazole	1H NMR (400 MHz, DMSO) 12.09 (s, 1H),8.37 (s, 1H), 8.01 (d, J = 5.6 Hz, 1H), 7.79 (s, 1H), 7.48 - 7.42 (m, 2H), 7.28 - 7.19 (m, 2H), 5.67 (s, 2H).	327,90 0.66 min R
72	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-[(1S)-1-[4-(trifluoromethyl)phenyl]ethyl]-1H-1,2,3-triazole	1H NMR (300 MHz, DMSO) 12.19 (s, 1H), 8.46 (s, 1H), 8.01 (d, J = 5.6 Hz, 1H), 7.78 (d, J = 9.0 Hz, 3H), 7.59 (d, J = 8.1 Hz, 2H), 7.49 (d, J = 5.6 Hz, 1H), 6.17 (q, J = 7.1 Hz, 1H), 1.99 (d, J = 7.2 H z, 3H).	391,90 0.79 min R
73	 5-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)-2-(trifluoromethyl)pyridine	1H NMR (300 MHz, DMSO) 12.19 (s, 1H), 8.62 (s, 1H), 8.02 (t, J = 7.9 Hz, 1H), 7.95 (d, J = 8.7 Hz, 1H), 7.71 (s, 1H), 7.63 (d, J = 8.1 Hz, 1H), 7.54 (dd, J = 17.0, 6.8 Hz, 2H), 7.44 (d, J = 7.2 Hz, 1H), 7.31 (s, 1H), 7.22 (d, J = 7.1 Hz, 1H).	390,00 3.18 min JJ

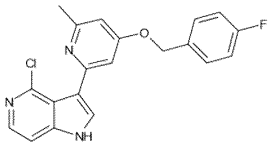
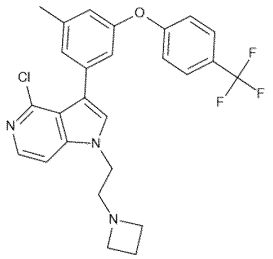
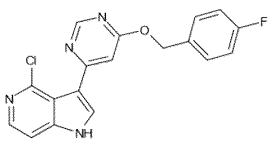
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
74	 3-[(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)methyl]-1-methylazetidine	1H NMR (400 MHz, CD3OD) 8.02-8.01 (d, J=4 Hz, 1H), 7.64-7.48 (m, 3H), 7.48 (s, 1H), 7.17-7.11 (m, 3H), 6.96-6.92 (m, 2H), 4.46-4.44 (d, J=8 Hz, 2H), 3.40-3.38 (t, J=8 Hz, 2H), 3.11-3.09 (t, J=8 Hz, 2H), 2.41 (s, 3H), 2.33 (s, 3H).	486,00 - 488,00 3.63 min NNN
75	 3-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoro-methyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-1-methylazetidine	1H NMR (400 MHz, CD3OD) 8.02-8.00 (d, J=8 Hz, 1H), 7.64-7.62 (d, J=8 Hz, 2H), 7.54-7.52 (d, J=8 Hz, 2H), 7.17 (s, 1H), 7.14-7.12 (d, J=8 Hz, 2H), 6.96 (s, 1H), 6.92 (s, 1H), 4.26-4.23 (t, J=4 Hz, 2H), 3.37-3.31 (t, J=8 Hz, 2H), 2.84-2.82 (t, J=8 Hz, 2H), 2.44-2.39 (m, 4H), 2.27 (s, 3H), 2.13-2.11 (m, 2H).	500,00 - 502,00 3.85 min NNN

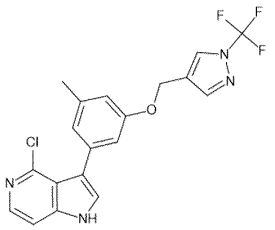
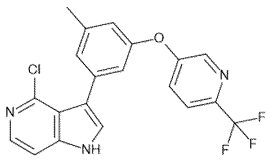
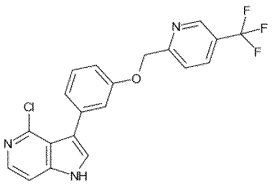
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
76	 1-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]piperidine	1H NMR (400 MHz, DMSO) 8.03-8.02 (d, J=6 Hz, 1H), 7.75-7.73 (d, J=8.4 Hz, 2H), 7.68 (s, 1H), 7.65-7.64 (d, J=6 Hz, 1H), 7.20-7.18 (m, 3H), 6.96 (s, 2H), 4.35-4.32 (t, J=6.4 Hz, 2H), 2.65-2.62 (t, J=6.4 Hz, 2H), 2.38 (s, 7H), 1.41-1.34 (m, 6H).	514,00 - 517,00 4.20 - 4.20 min FFF
77	 2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-amine	1H NMR (400 MHz, DMSO) 8.11-8.10 (d, J=6 Hz, 1H), 7.96 (s, 2H), 7.77-7.70 (m, 4H), 7.20-7.18 (m, 3H), 6.99 (s, 2H), 4.52-4.49 (t, J=6 Hz, 2H), 3.30-3.28 (m, 2H), 2.39 (s, 3H).	446,00 - 449,00 3.37 - 3.37 min MMM
78	 2-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethoxy]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.04-8.03 (d, J=5.6 Hz, 1H), 7.76-7.73 (d, J=8.4 Hz, 2H), 7.70 (s, 1H), 7.68-7.66 (d, J=6 Hz, 2H), 7.20-7.18 (m, 3H), 6.99-6.96 (d, J=12.4 Hz, 2H), 4.57 (s, 3H), 4.43-4.40 (t, J=5.6 Hz, 2H), 3.80-3.77 (t, J=5.2 Hz, 2H), 3.42-3.40 (m, 4H), 2.38 (s, 3H).	491,00 - 494,00 3.82 - 3.82 min MMM

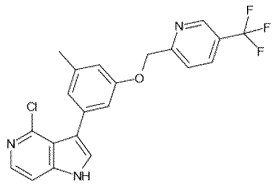
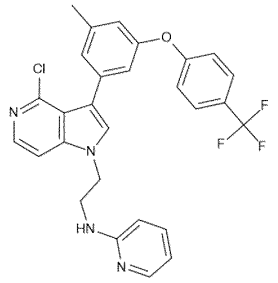
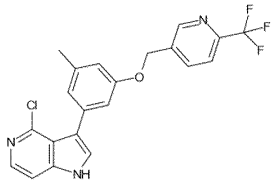
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
79	 5-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-3-[(4-fluorophenyl)methoxy]-1,2-thiazole	1H NMR (300 MHz, DMSO-d6) 12.48 (s, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.92 (s, 1H), 7.61 - 7.49 (m, 3H), 7.24 (t, J = 8.8 Hz, 2H), 6.98 (s, 1H), 5.38 (s, 2H).	359,85 0.92 min U
80	 [2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]dimethylamine	1H NMR (400 MHz, DMSO) 7.86-7.84 (d, J=5.6 Hz, 1H), 7.78-7.75 (d, J=8.8 Hz, 2H), 7.60 (s, 1H), 7.30 (s, 1H), 7.22-7.20 (d, J=8.4 Hz, 2H), 7.15-7.14 (d, J=5.6 Hz, 1H), 7.03 (s, 1H), 6.91 (s, 1H), 4.53-4.51 (t, J=6 Hz, 2H), 4.03-4.00 (t, J=4 Hz, 2H), 2.54 (s, 6H), 2.39 (s, 3H).	474,00 - 476,00 3.94 - 3.95 min FFF
81	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-[(4-fluorophenyl)methoxy]pyridine	1H NMR (400 MHz, DMSO) 12.17 (s, 1H), 8.43 (d, J = 5.7 Hz, 1H), 8.02 (d, J = 5.6 Hz, 1H), 7.76 (s, 1H), 7.53 (dd, J = 8.6, 5.6 Hz, 2H), 7.49 (d, J = 5.6 Hz, 1H), 7.28-7.20 (m, 3H), 6.99 (dd, J = 5.7,2.5 Hz, 1H), 5.23 (s, 2H).	354,00 2.91 min YY

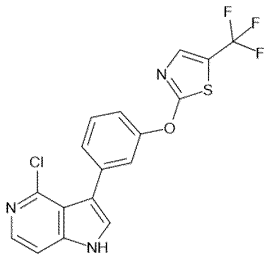
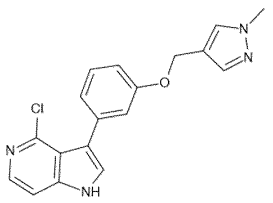
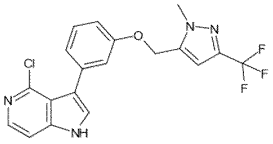
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
82	 2-{3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)-5-(trifluoromethyl)pyridine	1H NMR (400 MHz, DMSO) 12.14 (s, 1H), 8.59 (s, 1H), 8.24 (dd, J = 8.8, 2.4 Hz, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.67 (d, J = 2.5 Hz, 1H), 7.49 (dd, J = 8.3, 6.8 Hz, 2H), 7.40 (d, J = 7.8 Hz, 1H), 7.34 - 7.22 (m, 2H), 7.22 - 7.12 (m, 1H).	390,00 3.30 min J
83	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-{2-[4-(trifluoromethyl)phenyl]ethyl}-1H-pyrazole	1H NMR (400 MHz, DMSO) 11.97 (s, 1H), 7.94 (d, J = 5.6 Hz, 1H), 7.73 (d, J = 0.8 Hz, 1H), 7.62 (d, J = 8.0 Hz, 2H), 7.58 (d, J = 0.8 Hz, 1H), 7.47 (d, J = 2.4 Hz, 1H), 7.44 - 7.36 (m, 3H), 4.44 (t, J = 6.9 Hz, 2H), 3.25 (t, J = 6.9 Hz, 2H).	391,05 0.90 min Z
84	 5-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)-2-(trifluoromethyl)pyrimidine	1H NMR (400 MHz, DMSO) 12.18 (s, 1H), 8.84 (s, 2H), 8.01 (d, J = 5.6 Hz, 1H), 7.69 (d, J = 2.3 Hz, 1H), 7.54 (t, J = 7.9 Hz, 1H), 7.48 (d, J = 5.6 Hz, 1H), 7.43 (d, J = 7.8 Hz, 1H), 7.39 ¨C 7.37 (m, 1 H), 7.27 (dd, J = 7.7, 2.1 Hz, 1H).	391,00 3.95 min KKK

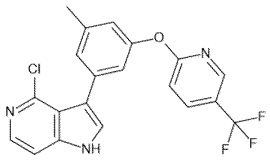
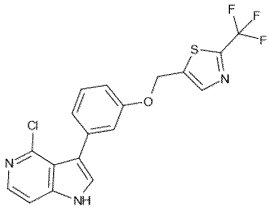
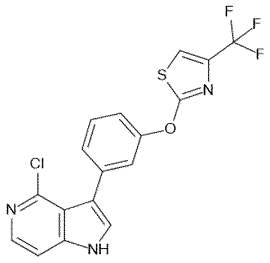
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
85	 2-{3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)-5-(trifluoromethyl)pyrimidine	1H NMR (400 MHz, CD3OD) 8.92 (s, 2H), 7.98-7.96 (d, J=8 Hz, 1H), 7.48-7.44 (m, 4H), 7.35-7.34 (t, J=4 Hz, 1H), 7.21-7.19 (m, 1H).	391,00 - 393,00 3.33 min FFF
86	 1-(trifluoromethyl)-4-({3-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]phenoxy}methyl)-1H-pyrazole	1H NMR (400 MHz, CDCl3) 8.99 (br. s, 1H), 8.45-8.44 (d, J=6 Hz, 1H), 7.88 (s, 1H), 7.82 (s, 1H), 7.56-7.54 (d, J=6 Hz, 1H), 7.32 (m, 2H), 7.01 (m, 3H), 5.02 (s, 2H).	527,00 3.96 min SSS
87	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-N-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methyl}aniline	1H NMR (400 MHz, CDCl3) 8.76 (br. s, 1H), 8.12-8.11 (d, J=5.6 Hz, 1H), 7.79 (s, 1H), 7.76 (s, 1H), 7.30-7.29 (d, J=6 Hz, 1H), 7.23 (m, 2H), 6.92-6.90 (d, J=7.6 Hz, 1H), 6.81 (m, 1H), 6.66 (m, 1H), 4.31 (s, 2H).	392,00 3.66 min RRR

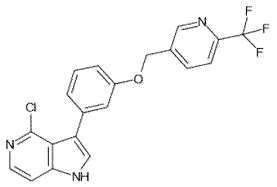
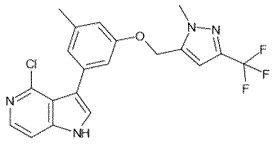
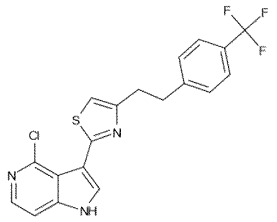
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
88	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-[(4-fluorophenyl)methoxy]-6-methylpyridine	1H NMR (400 MHz, CDCl3) 10.19 (br. s, 1H), 8.03-8.02 (d, J=6 Hz, 1H), 7.42-7.35 (m, 2H), 7.35 (m, 1H), 7.15-7.14 (d, J=6 Hz, 1H), 7.11-7.06 (m, 2H), 7.04-7.03 (m, 1H), 6.76-6.75 (m, 1H), 5.12 (s, 2H), 2.57 (s, 3H).	368,00 3.17 min UU
89	 1-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]azetidine	1H NMR (400 MHz, DMSO) 8.04-8.02 (d, J=5.6 Hz, 1H), 7.76-7.74 (d, J=8.4 Hz, 2H), 7.67 (s, 1H), 7.63-7.62 (d, J=5.6 Hz, 1H), 7.20-7.18 (m, 3H), 6.98-6.96 (d, J=8 Hz, 2H), 4.19-4.16 (t, J=6 Hz, 2H), 3.06-3.03 (m, 4H), 2.76-2.73 (t, J=6 Hz, 2H), 2.38 (s, 3H), 1.91-1.87 (t, J=7.2 Hz, 2H).	486,00 - 489,00 3.70 - 3.70 min MMM
90	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-[(4-fluorophenyl)methoxy]pyrimidine	1H NMR (400 MHz, DMSO) 12.40 (s, 1H), 8.82 (d, J = 1.0 Hz, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.99 (s, 1H), 7.55 (ddd, J = 14.7, 7.3, 3.8 Hz, 3H), 7.36 - 7.09 (m, 3H), 5.46 (s, 2H).	355,00 3.69 min YY

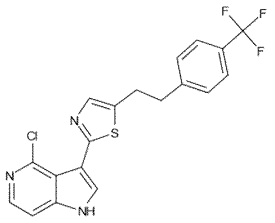
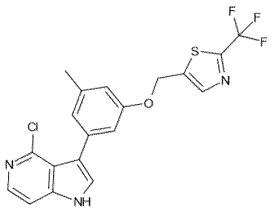
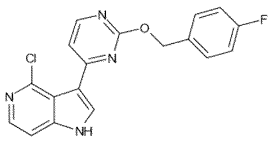
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
91	 4-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)methyl]-1-(trifluoromethyl)-1H-pyrazole	1H NMR (400 MHz, CDCl3) 8.76 (br. s, 1H), 8.14-8.12 (d, J=5.6 Hz, 1H), 7.89 (s, 1H), 7.83 (s, 1H), 7.32-7.31 (d, J=6 Hz, 1H), 7.26 (m,1H), 6.97 (s, 1H), 6.93 (m, 1H) 6.80 (s, 1H), 5.03 (s, 2H), 2.39 (s, 3H).	407,00 3.01 min RRR
92	 5-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-2-(trifluoromethyl)pyridine	1H NMR (400 MHz, DMSO) 12.13 (s, 1H), 8.57 (d, J = 2.7 Hz, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.66 (s, 1H), 7.59 (dd, J = 8.6, 2.6 Hz, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.23 (d, J = 0.5 Hz, 1H), 7.05 (d, J = 17.6 Hz, 2H), 2.39 (s, 3H).	404,00 3.50 min MMM
93	 2-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-5-(trifluoromethyl)-pyridine	1H NMR (400 MHz, DMSO) 12.10 (s, 1H), 8.99 (s, 1H), 8.27 (dd, J = 8.3, 2.1 Hz, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.77 (d, J = 8.2 Hz, 1H), 7.61 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.34 (t, J = 7.9 Hz, 1H), 7.13 (t, J = 5.2 Hz, 1H), 7.10 (d, J = 7.6 Hz, 1H), 7.02 (dd, J = 8.0, 2.2 Hz, 1H), 5.37 (s, 2H).	404,00 2.70 min XX

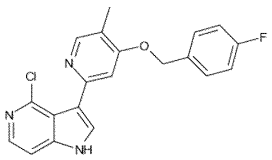
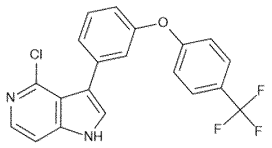
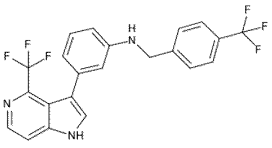
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
94	 2-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)methyl]-5-(trifluoromethyl)-pyridine	1H NMR (400 MHz, DMSO) 12.06 (s, 1H), 8.98 (s, 1H), 8.26 (dd, J = 8.3, 2.1 Hz, 1H), 7.99 (d, J = 5.6 Hz, 1H), 7.75 (d, J = 8.3 Hz, 1H), 7.58 (d, J = 2.4 Hz, 1H), 7.46 (d, J = 5.6 Hz, 1H), 6.92 (s, 2H), 6.87 (s, 1H), 5.34 (s, 2H), 2.33 (s, 3H).	418,00 3.40 min FFF
95	 N-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo-[3,2-c]pyridin-1-yl)ethyl]pyridin-2-amine	1H NMR (400 MHz, DMSO) 8.00-7.99 (d, J=5.6 Hz, 1H), 7.96-7.95 (m, 1H), 7.76-7.74 (d, J=8.8 Hz, 2H), 7.61 (s, 1H), 7.54-7.53 (d, J=5.6 Hz, 1H), 7.33-7.28 (m, 1H), 7.19-7.17 (d, J=8.4 Hz, 2H), 7.13 (s,1H), 6.95-6.94 (d, J=6.8 Hz, 2H), 6.64-6.61 (t, J=5.6 Hz, 1H), 6.47-6.44 (m, 1H), 6.38-6.36 (d, J=8.4 Hz, 1H), 4.43-4.00 (t, J=6 Hz, 2H), 3.68-3.64 (m, 2H), 2.37 (s, 3H).	523,00 - 526,00 3.90 - 3.90 min FFF
96	 5-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)methyl]-2-(trifluoromethyl)pyridine	1H NMR (400 MHz, DMSO) 12.06 (s, 1H), 8.86 (s, 1H), 8.15 (d, J = 8.0 Hz, 1H), 7.97 (dd, J = 16.1, 6.8 Hz, 2H), 7.52 (dd, J = 44.2, 3.8 Hz, 2H), 7.16 - 6.55 (m, 3H), 5.33 (s, 2H), 2.34 (s, 3H).	418,00 2.93 min EEE

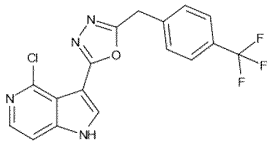
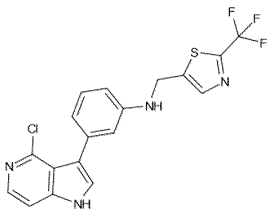
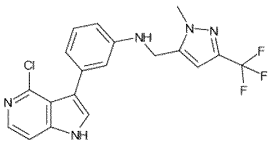
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
97	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)-5-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, CDCl3) 12.1 (br. s, 1H), 8.02-8.01 (m, 2H), 7.70 (s, 1H), 7.56-7.48 (m, 4H), 7.44-7.41) m, 1H).	396,00 3.54 min TT
98	 4-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-1-methyl-1H-pyrazole	1H NMR (400 MHz, DMSO) 12.08 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.79 (s, 1H), 7.59 (d, J = 2.1 Hz, 1H), 7.49 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.30 (t, J = 7.9 Hz, 1H), 7.15-7.00 (m, 2H), 6.95 (dd, J = 8.2, 1.9 Hz, 1H), 4.98 (s, 2H), 3.82 (s, 3H).	339,00 3.23 min RRR
99	 5-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-1-methyl-3-(trifluoromethyl)-1H-pyrazole	1H NMR (400 MHz, DMSO) 12.11 (s, 1H), 8.15 - 7.92 (m, 1H), 7.61 (s, 1H), 7.55 - 7.42 (m, 1H), 7.42 - 7.28 (m, 1H), 7.27 - 6.97 (m, 3H), 6.87 (s, 1H), 5.28 (s, 2H), 3.95 (s, 3H).	407,00 3.57 min III

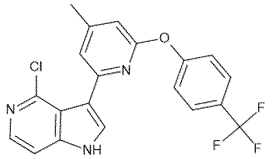
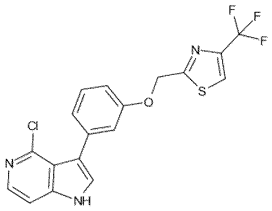
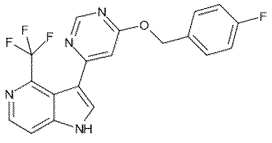
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
100	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)pyridine	1H NMR (400 MHz, DMSO) 12.12 (s, 1H), 12.12 (s, 1H), 8.59 (s, 1H), 8.23 (d, J = 8.7 Hz, 1H), 7.99 (dd, J = 5.6, 2.8 Hz, 1H), 7.64 (d, J = 2.5 Hz, 1H), 7.47 (dd, J = 5.6, 2.9 Hz, 1H), 7.23 (d, J = 8.6Hz, 2H), 7.08 (s, 1H), 7.00 (s, 1H), 2.38 (s, 3H).	404,00 3.39 min LLL
101	 5-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-2-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, DMSO) d 12.11 (s, 1H), 8.23 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.61 (d, J = 2.4 Hz, 1H), 7.48 (d, J = 5.6 Hz, 1H), 7.35 (t, J = 7.9 Hz, 1H), 7.18 – 7.08 (m, 2H), 7.04 (dd, J = 8.0, 2.2 Hz, 1H), 5.55 (s, 2H).	410,00 3.42 min FFF
102	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)-4-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, CDCl3) 9.98 (br. s, 1H), 8.14-8.12 (d, J=6 Hz, 1H), 7.50-7.44 (m, 3H), 7.33-7.31 (m, 2H), 7.28 (m, 2H).	396,00 3.06 min SSS

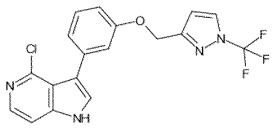
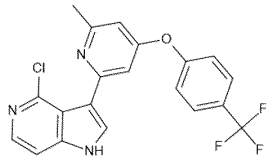
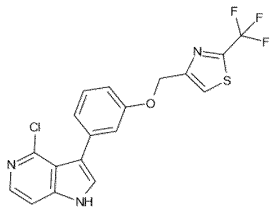
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
103	 5-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-2-(trifluoromethyl)-pyridine	1H NMR (400 MHz, DMSO) 12.10 (s, 1H), 8.87 (s, 1H), 8.17 (d, J = 8.0 Hz, 1H), 7.98 (dd, J = 18.7, 6.8 Hz, 2H), 7.60 (d, J = 2.4 Hz, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.35 (t, J = 7.9 Hz, 1H), 7.16 (s, 1H), 7.10 (d, J = 7.6 Hz, 1H), 7.03 (dd, J = 8.0, 2.1 Hz, 1H), 5.35 (s, 2H).	404,00 3.12 min BBB
104	 5-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)methyl]-1-methyl-3-(trifluoromethyl)-1H-pyrazole	1H NMR (400 MHz, DMSO) 12.24 - 12.02 (s, 1H), 8.01 (d, J = 5.6 Hz, 1H), 7.59 (d, J = 2.4 Hz, 1H), 7.48 (d, J = 5.6 Hz, 1H), 6.98 (s, 1H), 6.94 (s, 1H), 6.87 (s, 1H), 6.85 (s, 1H), 5.25 (s, 2H), 3.94 (s, 3H), 2.34 (s, 3H)	421,00 3.71 min III
105	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazole	1H NMR (300 MHz, DMSO) 8.06 (d, J = 5.6 Hz, 1H), 7.95 (s, 1H), 7.64 (d, J = 8.0 Hz, 2H), 7.56 - 7.43 (m, 3H), 7.32 (s, 1H), 3.12 (m, 4H).	407,90 0.90 min Q

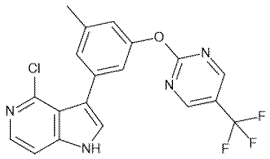
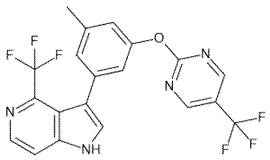
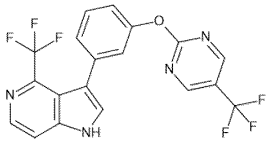
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
106	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazole	1H NMR (400 MHz, DMSO) 12.36 (s, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.90 (s, 1H), 7.65 (d, J = 8.0 Hz, 2H), 7.59 (d, J = 1.2 Hz, 1H), 7.51 (dd, J = 7.0, 4.9 Hz, 3H), 3.27-3.20 (m, 2H), 3.08 (t, J = 7.6 Hz, 2H).	407,95 0.99 min O
107	 5-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)methyl]-2-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, DMSO) 12.08 (s, 1H), 8.22 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.58 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 6.94 (d, J = 7.8 Hz, 2H), 6.87 (s, 1H), 5.53 (s, 2H), 2.34 (s, 3H).	424,00 3.80 min FFF
108	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-[(4-fluorophenyl)methoxy]pyrimidine	1H NMR (400 MHz, DMSO) 12.44 (s, 1H), 8.60 (d, J = 5.1 Hz, 1H), 8.18 - 8.00 (m, 2H), 7.54 (td, J = 5.5, 2.7 Hz, 3H), 7.44 (d, J = 5.1 Hz, 1H), 7.28 - 7.12 (m, 2H), 5.46 (s, 2H).	355,00 3.57 min MMM

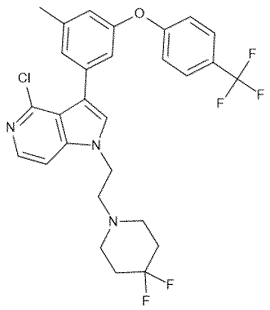
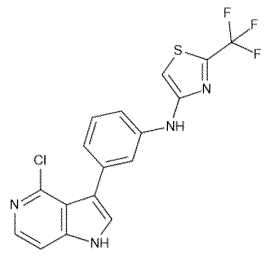
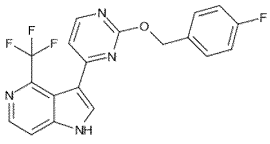
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
109	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-[(4-fluorophenyl)methoxy]-5-methylpyridine	1H NMR (400 MHz, DMSO) 12.14 (s, 1H), 8.28 (s, 1H), 8.01 (d, J = 5.6 Hz, 1H), 7.72 (d, J = 2.5 Hz, 1H), 7.58 - 7.44 (m, 3H), 7.33 - 7.15 (m, 3H), 5.26 (s, 2H), 2.20 (s, 3H).	368,00 2.06 min XX
110	 4-chloro-3-{3-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.19 (s, 1H), 8.03 (d, J = 5.6 Hz, 1H), 7.76 (d, J = 8.7 Hz, 2H), 7.69 (d, J = 2.5 Hz, 1H), 7.57 - 7.44 (m, 2H), 7.45 - 7.34 (m, 1H), 7.32 - 7.17 (m, 3H), 7.17 - 7.08 (m, 1H).	389,00 3.21 min AAA
111	 3-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-N-{[4-(trifluoromethyl)phenyl]methyl}aniline	1H NMR (400 MHz, DMSO) 12.13 (s, 1H), 8.30-8.28 (d, J=5.6 Hz, 1H), 7.71-7.66 (m, 3H), 7.58-7.53 (m, 3H), 7.07-7.03 (t, J=7.6 Hz, 1H), 6.56-6.53 (m, 1H), 6.35 (s, 1H), 4.38 (s, 3H).	436,00 - 437,00 2.97 - 2.97 min MMM

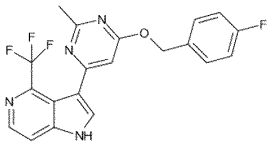
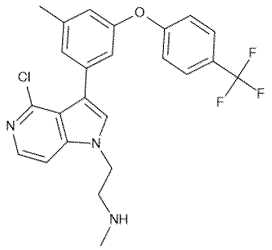
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
112	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-{[4-(trifluoromethyl)phenyl]methyl}-1,3,4-oxadiazole	1H NMR (400 MHz, DMSO) 12.69 (s, 1H), 8.22 (s, 1H), 8.09 (d, J = 5.6 Hz, 1H), 7.76 (d, J = 8.1 Hz, 2H), 7.62 (d, J = 8.0 Hz, 2H), 7.55 (d, J = 5.6 Hz, 1H), 4.50 (s, 2H).	379,00 0.90 min PPP
113	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-N-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]methyl}aniline	1H NMR (400 MHz, DMSO) 12.03 (d, J = 34.7 Hz, 1H), 8.05 (s, 1H), 7.98 (t, J = 6.8 Hz, 1H), 7.48 (t, J = 3.9 Hz, 1H), 7.44 (d, J = 5.6 Hz, 1H), 7.12 (t, J = 7.8 Hz, 1H), 6.73 (dd, J = 10.8, 4.7 Hz, 2H), 6.65 (dd, J = 8.1, 1.6 Hz, 1H), 6.47 (t, J = 6.2 Hz, 1H), 4.65 (d, J = 6.1 Hz, 2H).	409,00 3.52 min FFF
114	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-N-{[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]methyl}aniline	1H NMR (400 MHz, MeOD) ¦Ä 7.94 (d, J = 5.8 Hz, 1H), 7.42 (d, J = 5.8 Hz, 1H), 7.35 (s, 1H), 7.17 (t, J = 7.8 Hz, 1H), 6.89-6.76 (m, 2H), 6.76-6.66 (m, 1H), 6.53 (s, 1H), 4.43 (s, 2H), 3.92 (s, 3H).	406,00 3.36 min GGG

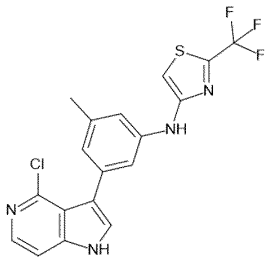
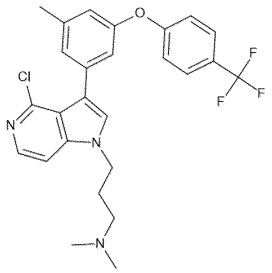
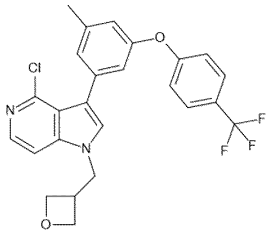
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
115	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-methyl-6-[4-(trifluoromethyl)phenoxy]pyridine	1H NMR (400 MHz, DMSO) 12.13 (br. s, 1H), 7.99-7.98 (d, J=6 Hz, 1H), 7.75-7.73 (d, J=8.4 Hz, 2H), 7.67 (d, J=2.4 Hz, 1H), 7.46-7.45 (d, J=6 Hz, 1H), 7.37-7.34 (d, J=8.8 Hz, 2H), 7.28 (s, 1H), 6.92 (s, 1H), 2.41 (s, 3H).	404,00 3.78 min SSS
116	 2-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-4-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, DMSO) 11.99 - 11.94 (m, 1H), 8.56 (d, J = 0.5 Hz, 1H), 8.01 (d, J = 5.6 Hz, 1H), 7.62 (s, 1H), 7.48 (d, J = 5.6 Hz, 1H), 7.37 (t, J = 7.9 Hz, 1H), 7.24 - 7.11 (m, 2H), 7.06 (dd, J = 8.2, 2.4 Hz, 1H), 5.57 (s, 2H).	410,00 3.73 min BBB
117	 4-[(4-fluorophenyl)methoxy]-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (400 MHz, MeOD) 8.76 (d, J = 0.8 Hz, 1H), 8.35 (d, J = 5.6 Hz, 1H), 7.83 (s, 1H), 7.75 (d, J = 5.6 Hz, 1H), 7.58 - 7.47 (m, 2H), 7.20 - 7.07 (m, 2H), 7.03 (s, 1H), 5.51 (s, 2H).	389,00 3.54 min GGG

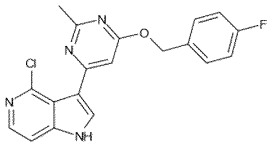
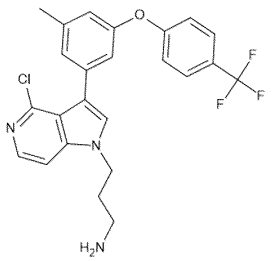
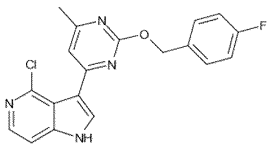
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
118	 3-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-1-(trifluoromethyl)-1H-pyrazole	1H NMR (400 MHz, CDCl3) 8.80 (br. s, 1H), 8.13-8.12 (d, J=6 Hz, 1H), 7.81-7.80 (d, J=2.8 Hz, 1H), 7.35-7.30 (m, 2H), 7.25-7.24 (d, J=2.8 Hz, 1H), 7.14-7.12 (m, 2H), 7.01-6.99 (m, 1H), 6.60-6.59 (d, J=2.4 Hz, 1H), 5.19 (s, 2H).	393,00 3.16 min SSS
119	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-[4-(trifluoromethyl)phenoxy]pyridine	1H NMR (400 MHz, CDCl3) 9.6 (br. s, 1H), 8.06-8.04 (d, J=6 Hz, 1H), 7.69 (s, 1H), 7.67 (s, 1H), 7.44-7.43 (d, J=2.4 Hz, 1H), 7.24 (s, 1H), 7.22 (s, 1H), 7.20-7.18 (d, J=6 Hz, 1H), 7.00-6.99 (m, 1H), 6 .79-6.78 (d, J=2.0 Hz, 1H), 2.60 (s, 3H).	404,00 2.96 min SSS
120	 4-[(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenoxy)methyl]-2-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, DMSO) 8.80 (s, 1H), 8.14-8.13 (d, J=6 Hz, 1H), 7.64 (s, 1H), 7.37-7.31 (m, 2H), 7.16-7.15 (m, 2H), 7.02-6.99 (m, 1H), 5.34 (s, 2H).	410,00 - 413,00 4.08 min HHH

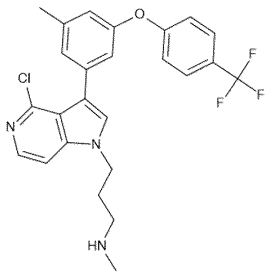
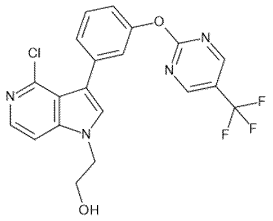
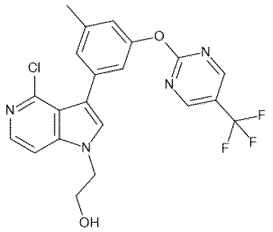
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
121	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)pyrimidine	1H NMR (400 MHz, CDCl3) 8.99 (br. s, 1H), 8.80 (s, 2H), 8.12-8.11 (d, J=6 Hz, 1H), 7.31-7.30 (m, 2H), 7.27 (m, 1H), 7.18 (m, 1H), 7.03 (m, 1H), 2.45 (s, 3H).	405,00 3.30 min SSS
122	 2-{3-methyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]phenoxy}-5-(trifluoromethyl)pyrimidine	1H NMR (400 MHz, CDCl3) 8.95(br. s, 1H), 8.80 (s, 2H), 8.44-8.43 (d, J=2.8 Hz, 1H), 7.54-7.53 (d, J=2.8 Hz, 1H), 7.36 (m, 1H), 7.17 (s, 1H), 7.03 (s, 2H), 2.44 (s, 3H).	439,00 3.54 min SSS
123	 5-(trifluoromethyl)-2-{3-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]phenoxy}pyrimidine	1H NMR (400 MHz, CDCl3) 9.01 (br. s, 1H), 8.80 (s, 2H), 8.45-8.44 (d, J=6 Hz, 1H), 7.56-7.54 (d, J=5.6 Hz, 1H), 7.51-7.47 (m, 1H), 7.38-7.34 (m, 2H), 7.25-7.23 (m, 2H).	425,00 3.19 min SSS

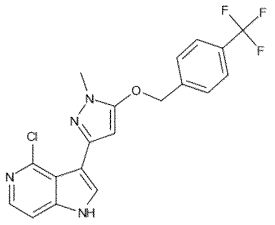
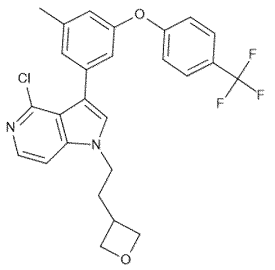
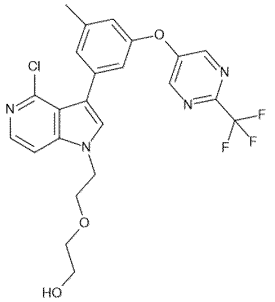
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
124	 1-[2-(4-chloro-3-{3-methyl-5-[4-(trifluoro-methyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-4,4-difluoropiperidine	1H NMR (400 MHz, CDCl3) d 8.11 (d, J = 5.8 Hz, 1H), 7.58 (d, J = 8.5 Hz, 2H), 7.22 (d, J = 5.8 Hz, 1H), 7.17 (s, 1H), 7.12 (s, 1H), 7.11 (d, J = 8.5 Hz, 2H), 6.98 (t, J = 2.0 Hz, 1H), 6.90 (d, J = 2.0 Hz, 1H), 4.23 (t, J = 6.4 Hz, 2H), 2.82 (t, J = 6.5 Hz, 2H), 2.59 (t, J = 5.7 Hz, 4H), 1.96 (tt, J = 13.3, 5.8 Hz, 4H), 1.56 (s, 3H).	550,00 - 553,00 3.65 - 3.68 min JJ
125	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenyl)-2-(trifluoromethyl)-1,3-thiazol-4-amine	1H NMR (400 MHz, CD3OD) 8.13 (d, J = 6.3 Hz, 1H), 7.72 (d, J = 6.3 Hz, 1H), 7.68 (s, 1H), 7.40 (s, 1H), 7.38 ¨C 7.26 (m, 2H), 7.05 (d, J = 7.3 Hz, 1H), 6.89 (s, 1H).	395,00 3.35 min NNN
126		1H NMR (400 MHz, CD3OD) 8.56 (d, J = 5.1 Hz, 1H), 8.38 (d, J = 5.6 Hz, 1H), 7.90 (s, 1H), 7.76 (d, J = 5.6 Hz, 1H), 7.49 (dd, J = 8.6, 5.5 Hz, 2H), 7.26 (d, J = 5.1 Hz, 1H), 7.15 - 7.00 (m, 2H), 5.47 (s, 2H).	389,00 3.37 min XX

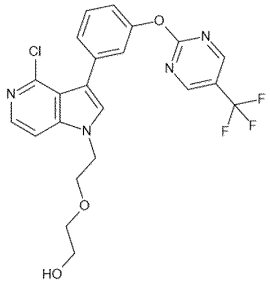
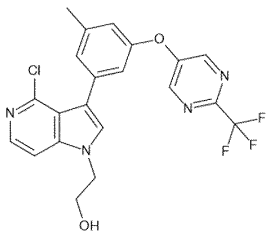
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
	2-[(4-fluorophenyl)methoxy]-4-[4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine		
127	 4-[(4-fluorophenyl)methoxy]-2-methyl-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (400 MHz, CD3OD) 8.35 (d, J = 5.7 Hz, 1H), 7.81 (s, 1H), 7.74 (d, J = 5.6 Hz, 1H), 7.52 (dd, J = 8.6, 5.5 Hz, 2H), 7.11 (t, J = 8.8 Hz, 2H), 6.83 (s, 1H), 5.48 (s, 2H), 2.61 (s, 3H).	403,00 3.19 min GGG
128	 [2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl](methyl)amine	1H NMR (400 MHz, CDCl3) d 8.11 (d, J = 5.8 Hz, 1H), 7.57 (d, J = 8.6 Hz, 2H), 7.28 (d, 1H), 7.19 (s, 1H), 7.14 (s, 1H), 7.10 (d, J = 8.5 Hz, 2H), 6.99 (t, J = 2.0 Hz, 1H), 6.89 (d, J = 2.4 Hz, 1H), 4.27 (t, J = 6.0 Hz, 2H), 3.03 (t, J = 6.0 Hz, 2H), 2.43 (d, J = 12.7 Hz, 6H).	460,00 - 461,00 3.34 - 3.35 min KK

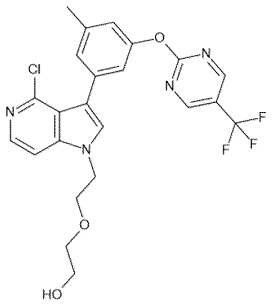
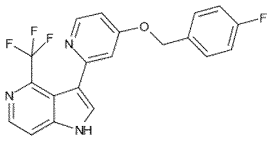
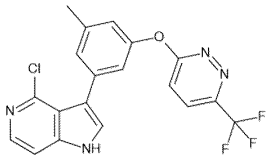
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
129	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenyl)-2-(trifluoromethyl)-1,3-thiazol-4-amine	1H NMR (400 MHz, DMSO) 12.06 (s, 1H), 9.34 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.58 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.14 (s, 2H), 7.03 (s, 1H), 6.83 (s, 1H), 2.33 (s, 3H).	409,00 3.55 min AAA
130	 [3-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)propyl]dimethylamine	1H NMR (400 MHz, DMSO) 8.04-8.02 (d, J=5.6 Hz, 1H) ,7.75-7.73 (m, 2H), 7.69 (s, 1H), 7.64-7.62 (d, J=6 Hz, 1H), 7.19-7.17 (m, 3H), 6.98-6.96 (m, 2H), 2.37 (s, 3H), 2.15 (m, 2H), 2.12 (m, 6H), 1.89 (m, 2H).	488,00 3.48 min SSS
131	 4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1-[(oxetan-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CD3OD) 8.01 (d, J = 5.9 Hz, 1H), 7.60 (dd, J = 13.8, 7.3 Hz, 3H), 7.49 (s, 1H), 7.13 (dd, J = 18.1, 4.5 Hz, 3H), 6.92 (d, J = 17.8 Hz, 2H), 4.78 (dd, J = 7.6, 6.4 Hz, 2H), 4.57 (t, J = 7.6 Hz, 2H), 4.53 (t, J = 6.1 Hz, 2H), 3.67 - 3.45 (m, 1H), 2.39 (s, 3H).	473,00 4.23 min NNN

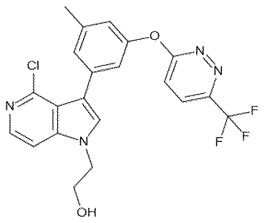
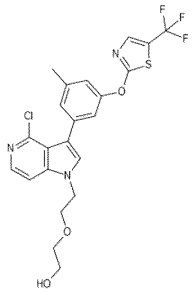
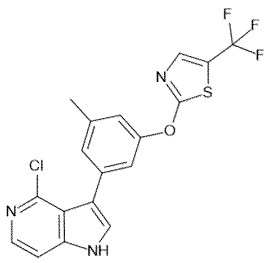
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
132	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-[(4-fluorophenyl)methoxy]-2-methylpyrimidine	1H NMR (400 MHz, CDCl3) 9.16 (s, 1H), 8.14 (d, J = 5.7 Hz, 1H), 7.63 (d, J = 2.5 Hz, 1H), 7.47 (dd, J = 8.5, 5.5 Hz, 2H), 7.29 (d, J = 5.7 Hz, 1H), 7.08 (t, J = 8.7 Hz, 2H), 6.95 (s, 1H), 5.44 (s, 2H) , 2.70 (s, 3H).	369,00 3.50 min GGG
133	 3-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)propan-1-amine	1H NMR (400 MHz, CD3OD) 8.00 (d, J = 5.9 Hz, 1H), 7.63 (d, J = 8.6 Hz, 2H), 7.56 (d, J = 5.9 Hz, 1H), 7.50 (s, 1H), 7.17 (s, 1H), 7.12 (d, J = 8.6 Hz, 2H), 6.94 (d, J = 20.1 Hz, 2H), 4.33 (t, J = 7.1 Hz, 2H), 2.66 (t, J = 7.1 Hz, 2H), 2.41 (s, 3H), 2.08 - 1.94 (m, 2H).	460,00 3.50 min NNN
134	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-[(4-fluorophenyl)methoxy]-6-methylpyrimidine	1H NMR (400 MHz, DMSO) 12.40 (s, 1H), 8.07 (d, J = 5.6 Hz, 1H), 8.01 (s, 1H), 7.59 - 7.44 (m, 3H), 7.31 (s, 1H), 7.27 - 7.14 (m, 2H), 5.44 (s, 2H), 2.45 (s, 3H).	369,00 3.07 min XX

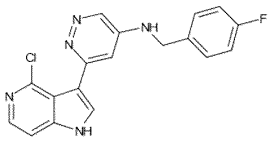
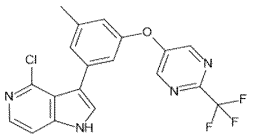
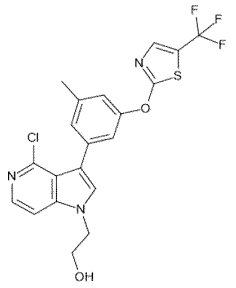
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
135	 [3-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)propyl](methyl)amine	1H NMR (400 MHz, DMSO) 8.04-8.02 (d, J=5.6 Hz, 1H), 7.75-7.73 (m, 2H), 7.69 (s, 1H), 7.65-7.63 (d, J=6 Hz, 1H), 7.19-7.17 (m, 3H), 6.98-6.95 (m, 2H), 4.29 (t, J=6 Hz, 1H), 2.39 (m, 5H), 2.23 (s, 3H), 1.89 (m, 2H).	474,00 3.40 min SSS
136	 2-[4-chloro-3-(3-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 9.12 (s, 2H), 8.05-8.03 (d, J=6 Hz, 1H), 7.69 (s, 1H), 7.65-7.64 (d, J=5.6 Hz, 1H), 7.53-7.49 (t, J=7.6 Hz, 1H), 7.44-7.41 (m, 1H), 7.37-7.36 (t, J=4 Hz, 1H), 7.27-7.24 (m, 1H), 4.96-4.93 (t, J=5.6 Hz, 1H), 4.31-4.29 (t, J=5.2 Hz, 2H), 3.77-3.73 (d, d=5.2 Hz, 2H).	435,00 - 437,00 3.26 - 3.27 min HHH
137	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 9.11 (s, 2H), 8.04-8.03 (d, J=6 Hz, 1H), 7.67 (s, 1H), 7.64-7.63 (d, J=5.6 Hz, 1H), 7.24 (s, 1H), 7.15 (s, 1H), 7.07 (s, 1H), 4.96-4.93 (t, J=5.6 Hz, 1H), 4.32-4.29 (t, J=5.2 Hz , 2H), 3.78-3.74 (d, d=5.4 Hz, 2H), 2.39 (s, 3H).	449,00 - 452,00 3.21 - 3.21 min NNN

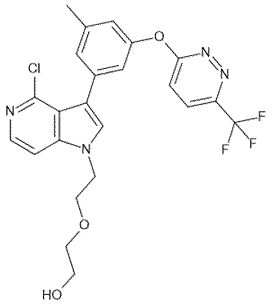
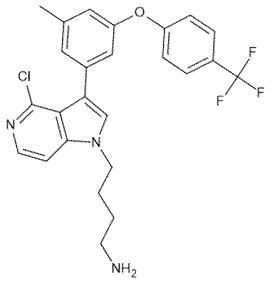
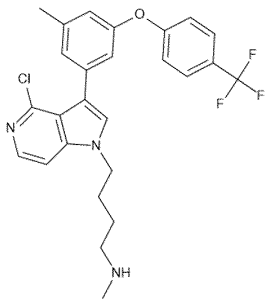
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
138	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-1-methyl-5-{[4-(trifluoromethyl)phenyl]methoxy}-1H-pyrazole	1H NMR (300 MHz, DMSO-d6) 12.02 (s, 1H), 7.96 (d, J = 5.6 Hz, 1H), 7.76 (m, 4H), 7.57 (s, 1H), 7.43 (d, J = 5.6 Hz, 1H), 5.92 (s, 1H), 5.34 (s, 2H), 3.65 (s, 3H).	407,00 0.88 min P
139	 4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-1-[2-(oxetan-3-yl)ethyl]-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 8.05 (d, J = 5.7 Hz, 1H), 7.79 - 7.69 (m, 3H), 7.65 (d, J = 5.8 Hz, 1H), 7.24 - 7.12 (m, 3H), 6.98 (d, J = 11.5 Hz, 2H), 4.52 (dd, J = 7.8, 5.9 Hz, 2H), 4.31 - 4.16 (m, 2H), 4.1 4 (t, J = 6.1 Hz, 2H), 2.97 - 2.80 (m, 1H), 2.38 (s, 3H), 2.24 - 2.07 (m, 2H).	487,00 4.22 min XX
140	 2-{2-[4-chloro-3-(3-methyl-5-{[2-(trifluoromethyl)pyrimidin-5-	1H NMR (400 MHz, DMSO) 8.83 (s, 2H), 8.04 (d, J = 5.7 Hz, 1H), 7.70 (d, J = 12.3 Hz, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.24 (d, J = 0.6 Hz, 1H), 7.14 (d, J = 14.1 Hz, 1H), 7.11 (s, 1H), 4.55 (dd, J = 7.5 , 3.1 Hz, 1H), 4.42 (t, J = 5.2 Hz, 2H), 3.78 (t, J = 5.2 Hz, 2H), 3.48 - 3.36 (m, 4H), 2.40 (s, 3H).	493,00 3.40 min NNN

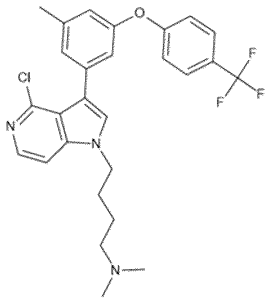
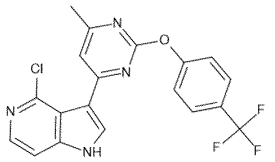
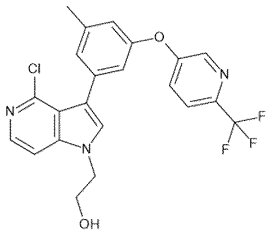
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
	yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol		
141	 2-{2-[4-chloro-3-(3-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.80 (s, 2H), 8.13-8.11 (d, J=5.6 Hz, 1H), 7.49-7.44 (m, 2H), 7.36 (m, 1H), 7.28 (m, 2H), 7.21 (m, 1H), 4.35 (m, 2H), 3.86 (m, 2H), 3.68 (m, 2H), 3.53 (m, 2H), 1.69 (br. s, 1H).	479,00 3.07 min SSS
142	 2-[4-chloro-3-(3-methyl-5-{[2-(trifluoromethyl)-pyrimidin-5-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.83 (s, 2H), 8.03 (d, J = 5.7 Hz, 1H), 7.71 - 7.61 (m, 2H), 7.23 (d, J = 1.7 Hz, 1H), 7.13 (dt, J = 16.4, 2.2 Hz, 2H), 4.95 (t, J = 5.3 Hz, 1H), 4.30 (t, J = 5.2 Hz, 2H), 3.75 (q, J = 5.3 Hz, 2H), 2.40 (s, 3H).	449,00 0.96 min L

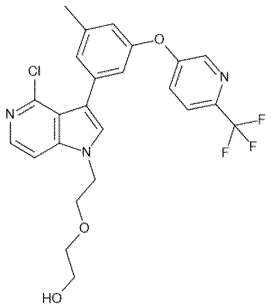
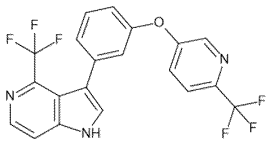
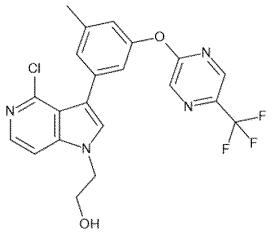
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
143	 2-{2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, DMSO) 9.12 (s, 2H), 8.05-8.03 (d, J=5.6 Hz, 1H), 7.70 (s, 1H), 7.68-7.66 (d, J=5.6 Hz, 1H), 7.24 (s, 1H), 7.16 (s, 1H), 7.07 (s, 1H), 4.57 (s, 1H), 4.44-4.41 (t, J=4.8 Hz, 2H), 3.80-3.78 (t, J=4.8 Hz, 2H), 3.42 (s, 4H), 2.39 (s, 3H).	493,00 - 495,00 3.24 - 3.24 min HHH
144	 4-[(4-fluorophenyl)methoxy]-2-[4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (400 MHz, CD3OD) 8.38 (d, J = 5.9 Hz, 1H), 8.32 (d, J = 5.7 Hz, 1H), 7.74 (d, J = 5.7 Hz, 1H), 7.68 (s, 1H), 7.49 (dd, J = 8.5, 5.4 Hz, 2H), 7.24-7.00 (m, 4H), 5.20 (s, 2H).	388,00 3.64 min III
145	 3-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-6-(trifluoromethyl)pyridazine	1H NMR (400 MHz, DMSO) 8.30 (d, J = 9.3 Hz, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.71 (t, J = 11.8 Hz, 1H), 7.66 (s, 1H), 7.48 (d, J = 5.6 Hz, 1H), 7.27 (s, 1H), 7.20 (s, 1H), 7.11 (s, 1H), 2.41 (s, 3H).	405,00 3.28 min XX

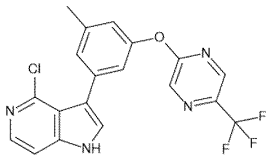
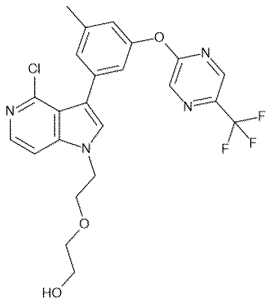
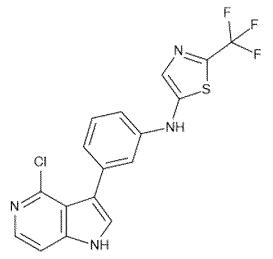
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
146	 2-[4-chloro-3-(3-methyl-5-{[6-(trifluoromethyl)pyridazin-3-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.29 (d, J = 9.3 Hz, 1H), 8.03 (d, J = 5.7 Hz, 1H), 7.73 (d, J = 9.2 Hz, 1H), 7.67 (d, J = 9.6 Hz, 1H), 7.64 (d, J = 5.8 Hz, 1H), 7.26 (d, J = 0.6 Hz, 1H), 7.18 (s, 1H), 7.11 (s , 1H), 4.94 (t, J = 5.3 Hz, 1H), 4.30 (t, J = 5.3 Hz, 2H), 3.76 (q, J = 5.3 Hz, 2H), 2.41 (s, 3H).	449,00 3.56 min XX
147	 2-{2-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)-1,3-thiazol-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.13-8.11 (d, J=2.4 Hz, 1H), 7.56 (s, 1H), 7.28 (m, 2H), 7.24 (m, 2H), 7.09 (s, 1H), 4.35 (m, 2H), 3.86 (m, 2H), 3.68 (m, 2H), 3.53 (m, 2H), 2.45 (s, 3H), 1.71 (br. s, 1H).	498,00 3.71 min SSS
148	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, CDCl3) 8.97 (br. s, 1H), 8.14-8.12 (d, J=5.6 Hz, 1H), 7.56 (m, 1H), 7.32 (m, 1H), 7.28 (m, 2H), 7.25 (m, 1H), 7.11 (s, 2H), 2.45 (s, 3H).	410,00 3.77 min SS

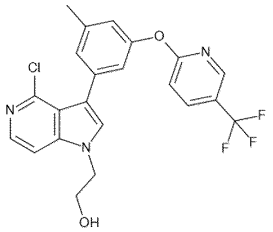
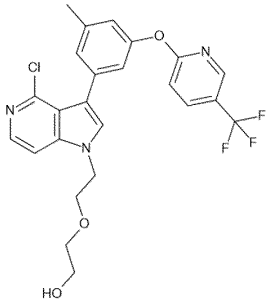
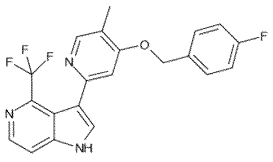
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
149	 6-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-N-[(4-fluorophenyl)methyl]pyridazin-4-amine	1H NMR (400 MHz, DMSO) 12.20 (s, 1H), 8.62-8.61 (d, J=2.8 Hz, 1H), 8.01-8.00 (d, J=5.6 Hz, 1H), 7.76-7.75 (d, J=2.4 Hz, 1H), 7.55-7.52 (t, J=6 Hz, 1H), 7.49-7.48 (d, J=6 Hz, 1H), 7.41-7.37 (m, 2H), 7.19-7.15 (m, 2H), 6.77-6.76 (d, J=2.8 Hz, 1H), 4.41-4.39 (d, J=6 Hz, 2H).	354,00 - 356,00 3.04 - 3.04 min HHH
150	 5-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-2-(trifluoromethyl)pyrimidine	1H NMR (400 MHz, DMSO) 12.13 (s, 1H), 8.83 (s, 2H), 8.00-7.99 (d, J=5.6 Hz, 1H), 7.66 (s, 1H), 7.48-7.47 (d, J=5.6 Hz, 1H), 7.24 (s, 1H), 7.16 (s, 1H), 7.10 (s, 1H), 2.40 (s, 3H).	405,00 - 408,00 3.02 - 3.02 min MMM
151	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)-1,3-thiazol-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.06-8.04 (d, J=5.6 Hz, 1H), 7.56 (s, 1H), 7.26 (m, 3H), 7.19 (s, 1H), 7.08 (s, 1H), 4.31 (m, 2H), 4.04 (m, 2H), 2.44 (s, 3H), 2.11 (br. s, 1H).	454,00 3.22 min RRR

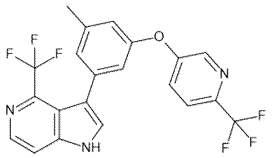
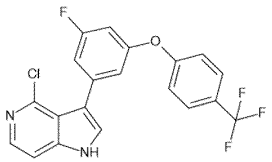
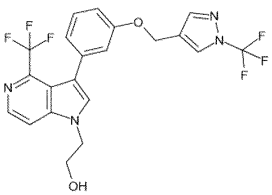
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
152	 2-{2-[4-chloro-3-(3-methyl-5-{[6-(trifluoromethyl)pyridazin-3-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, DMSO) 8.29 (d, J = 9.3 Hz, 1H), 8.04 (d, J = 5.7 Hz, 1H), 7.73 (d, J = 10.3 Hz, 2H), 7.67 (d, J = 5.8 Hz, 1H), 7.26 (d, J = 0.6 Hz, 1H), 7.19 (s, 1H), 7.11 (s, 1H), 4.56 (s, 1H), 4.43 (t, J = 5.2 Hz, 2H), 3.79 (t, J = 5.2 Hz, 2H), 3.41 (d, J = 6.8 Hz, 4H), 2.41 (s, 3H).	493,00 3.42 min FFF
153	 4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butan-1-amine	1H NMR (400 MHz, DMSO) 8.03 (d, J = 5.7 Hz, 1H), 7.81 - 7.68 (m, 3H), 7.65 (d, J = 5.8 Hz, 1H), 7.18 (d, J = 8.3 Hz, 3H), 6.98 (d, J = 14.2 Hz, 2H), 4.25 (t, J = 7.1 Hz, 2H), 2.56 - 2.51 (m, 3H), 1.92 - 1.72 (m, 2H), 1.31 (dd, J = 15.0, 7.2 Hz, 2H).	474,00 3.57 min AAA
154	 [4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butyl](methyl)amine	1H NMR (400 MHz, DMSO) 8.04 (d, J = 5.7 Hz, 1H), 7.83 - 7.69 (m, 1H), 7.65 (d, J = 5.8 Hz, 1H), 7.24 - 7.12 (m, 1H), 6.97 (d, J = 12.7 Hz, 1H), 2.43 (t, J = 7.0 Hz, 1H), 2.38 (s, 1H), 2.21 (s, 1H), 1. 81 (p, J = 7.3 Hz, 1H), 1.36 (dt, J = 14.4, 7.1 Hz, 1H).	488,00 3.73 min BBB

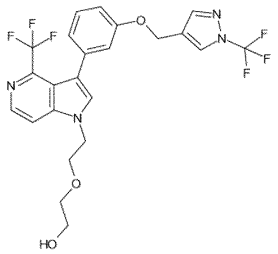
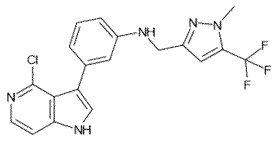
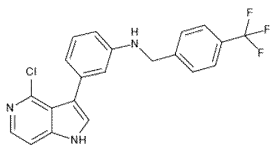
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
155	 [4-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)butyl]dimethylamine	1H NMR (400 MHz, DMSO) 8.04 (d, J = 5.7 Hz, 1H), 7.79 - 7.69 (m, 3H), 7.66 (d, J = 5.8 Hz, 1H), 7.24 - 7.13 (m, 3H), 6.97 (d, J = 9.1 Hz, 2H), 4.26 (t, J = 7.1 Hz, 2H), 2.38 (s, 3H), 2.16 (dd, J = 13. 1, 5.9 Hz, 3H), 2.05 (s, 6H), 1.89 - 1.69 (m, 2H), 1.36 (dt, J = 14.7, 7.3 Hz, 2H).	502,00 3.56 min AAA
156	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-2-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (400 MHz, CD3OD) 8.04 (d, J = 5.7 Hz, 1H), 7.83 (s, 1H), 7.74 (d, J = 8.6 Hz, 2H), 7.55 - 7.46 (m, 2H), 7.43 (d, J = 8.5 Hz, 2H), 2.52 (s, 3H).	405,00 3.43 min AAA
157	 2-[4-chloro-3-(3-methyl-5-{[6-(trifluoromethyl)pyridin-3-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.58 (s, 1H), 8.04-8.02 (d, J=6 Hz, 1H), 7.93-7.91 (d, J=8.8 Hz, 1H), 7.68 (s, 1H), 7.64-7.63 (d, J=6 Hz, 1H), 7.60-7.57 (d, d=2.6 Hz, 1H), 7.22 (s, 1H), 7.06-7.03 (t, J=8.4 Hz, 2H), 4.95-4.92 (t, J=5.2 Hz, 1H), 4.31-4.29 (m, 2H), 3.77-3.77 (m, 2H), 2.39 (s, 3H).	448,00 - 450,00 3.53 - 3.53 min HHH

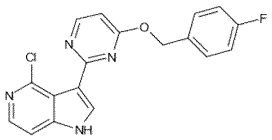
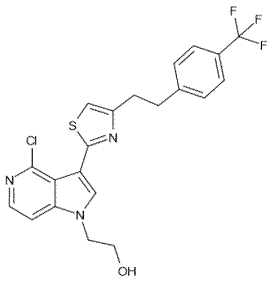
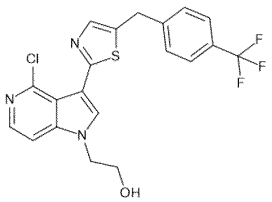
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
158	 2-{2-[4-chloro-3-(3-methyl-5-{[6-(trifluoromethyl)pyridin-3-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, DMSO) 8.58 (s, 1H), 8.04-8.03 (d, J=6 Hz, 1H), 7.93-7.91 (d, J=8.4 Hz, 1H), 7.71 (s, 1H), 7.68-7.66 (d, J=5.6 Hz, 1H), 7.60-7.58 (d, d=2.8 Hz, 1H), 7.22 (s, 1H), 7.06-7.03 (m, 2H), 4.56-4.55 (d, J=5.2 Hz, 1H), 4.43-4.41 (d, J=4.8 Hz, 2H), 3.80-3.77 (d, J=5.2 Hz, 2H), 3.44-3.40 (m, 4H), 2.39 (s, 3H).	492,00 - 495,00 3.23 - 3.23 min FFF
159	 2-(trifluoromethyl)-5-{3-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]phenoxy}pyridine	1H NMR (400 MHz, CD3OD) 8.44 (s, 1H), 8.29-8.28 (d, J=5.6 Hz, 1H), 7.80-7.78 (d, J=8.8 Hz, 1H), 7.72-7.71 (d, J=5.2 Hz, 1H), 7.56 (s, 1H), 7.53-7.49 (m, 2H), 7.33-7.31 (d, J=7.6Hz, 1H), 7.18-7.17 (m,2H).	424,00 - 425,00 3.20 - 3.20 min FFF
160	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.74 (s, 2H), 8.03 (d, J = 5.7 Hz, 1H), 7.69 - 7.61 (m, 2H), 7.25 (q, J = 1.3 Hz, 1H), 7.18 (d, J = 2.0 Hz, 1H), 7.09 (d, J = 2.1 Hz, 1H), 4.96 (t, J = 5.3 Hz, 1H), 4.30 (t, J = 5.3 Hz, 2H), 3.75 (q, J = 5.2 Hz, 2H), 2.39 (s, 3H).	449,00 1.57 min DD

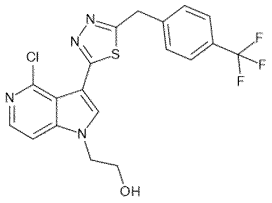
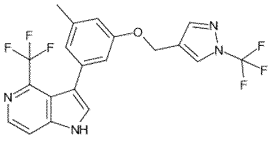
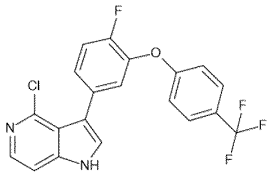
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
161	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)pyrazine	1H NMR (400 MHz, CDCl3) 9.01 (s, 1H), 8.51 (s, 1H), 8.47 (s, 1H), 8.13 (d, J = 5.7 Hz, 1H), 7.36 - 7.29 (m, 2H), 7.27 (s, 1H), 7.16 (s, 1H), 7.01 (s, 1H), 2.46 (s, 3H).	405,00 4.37 min GGG
162	 2-{2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.50 (s, 1H), 8.47 (s, 1H), 8.12 (d, J = 5.8 Hz, 1H), 7.28 (s, 1H), 7.25 (m, 2H), 7.14 (s, 1H), 6.99 (s, 1H), 4.35 (t, J = 5.2 Hz, 2H), 3.86 (t, J = 5.2 Hz,2H), 3.77 - 3.60 (m , 2H), 3.60 - 3.41 (m, 2H), 2.45 (s, 3H).	493,00 3.62 min GGG
163	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenyl)-2-(trifluoromethyl)-1,3-thiazol-5-amine	1H NMR (400 MHz, CD3OD) 7.98 (d, J = 5.8 Hz, 1H), 7.52 (s, 1H), 7.49 ¨C 7.42 (m, 2H), 7.35 (t, J = 7.8 Hz, 1H), 7.23 (s, 1H), 7.13 (dd, J = 11.4, 8.5 Hz, 2H).	395,00 3.30 min NNN

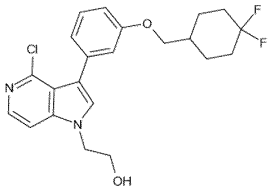
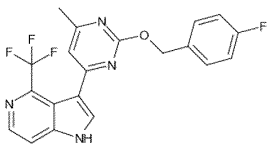
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
164	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyridin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.60 (s, 1H), 8.24 (d, J = 8.6 Hz, 1H), 8.03 (d, J = 5.7 Hz, 1H), 7.75 - 7.54 (m, 2H), 7.31 - 7.15 (m, 2H), 7.08 (s, 1H), 7.01 (s, 1H), 4.95 (t, J = 5.0 Hz, 1H), 4.30 (t, J = 4.9 Hz, 2H), 3.76 (d, J = 4.9 Hz, 2H), 2.39 (s, 3H).	448,00 3.56 min AAA
165	 2-{2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyridin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.48 (s, 1H), 8.11 (d, J = 5.8 Hz, 1H), 7.89 (dd, J = 8.7, 2.4 Hz, 1H), 7.27 (s, 1H), 7.24 (s, 1H), 7.21 (s, 1H), 7.11 (s, 1H), 7.03 (d, J = 8.7 Hz, 1H), 6.98 (s, 1H), 4.34 (t, J = 5.2 Hz, 2H), 3.85 (t, J = 5.2 Hz, 2H), 3.68 (s, 2H), 3.60 - 3.45 (m, 2H), 2.44 (s, 3H), 1.59 (s, 6H).	492,00 3.58 min AAA
166	 4-[(4-fluorophenyl)methoxy]-5-methyl-2-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (400 MHz, CD3OD) 8.32 (d, J = 5.7 Hz, 1H), 8.24 (s, 1H), 7.74 (d, J = 5.6 Hz, 1H), 7.67 (s, 1H), 7.49 (dd, J = 8.5, 5.5 Hz, 2H), 7.19 (s, 1H), 7.12 (t, J = 8.8 Hz, 2H), 5.19 (s, 2H), 2.29 (s, 3 H).	402,00 3.43 min III

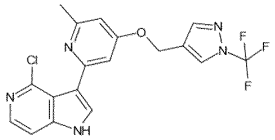
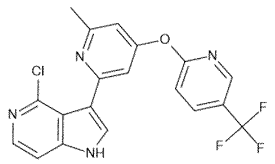
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
167	 5-{3-methyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]phenoxy}-2-(trifluoromethyl)pyridine	1H NMR (400 MHz, DMSO) 2.37 (s, 3H), 6.95 (s, 1H), 7.05 (s, 1H), 7.12 (s, 1H), 7.54-7.57 (m, 1H) 7.73-7.75 (t, J=4.4 Hz, 2H), 7.92-7.94 (d, J=8.8 Hz, 1H), 8.32-8.33 (d, J=5.6 Hz, 1H), 8.53-8.54 (d, J= 2.8 Hz, 1H).	438,00 - 439,00 3.58 - 3.58 min HH
168	 4-chloro-3-{3-fluoro-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, CDCl3) 8.92 (d, J = 0.8 Hz, 1H), 8.14 (d, J = 5.7 Hz, 1H), 7.62 (d, J = 8.6 Hz, 2H), 7.32 (d, J = 5.7 Hz, 1H), 7.29 (d, J = 2.3 Hz, 1H), 7.15 (d, J = 8.6 Hz, 2H), 7.05 (d, J = 9.0 Hz, 1H), 6.98 (s, 1H), 6.80 (dt, J = 9.6, 2.2 Hz, 1H).	407,00 4.22 min RRR
169	 2-[4-(trifluoromethyl)-3-(3-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.42-8.41 (d, J=6 Hz, 1H), 7.87 (s, 1H), 7.81 (s, 1H), 7.53-7.52 (d, J=5.6 Hz, 1H), 7.32-7.26 (m, 2H), 7.04-6.98 (m, 3H), 5.01 (s, 2H), 4.36 (m, 2H), 4.05 (m, 2H), 1.55 (br. s, 1H).	471,00 3.73 min SS

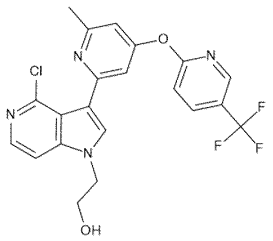
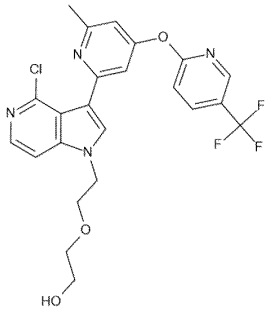
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
170	 2-{2-[4-(trifluoromethyl)-3-(3-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methoxy}-phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.42-8.41 (d, J=5.6 Hz, 1H), 7.88 (s, 1H), 7.82 (s, 1H), 7.52-7.51 (d, J=5.6 Hz, 1H), 7.32-7.26 (m, 2H), 7.04-6.96 (m, 3H), 5.02 (s, 2H), 4.40 (m, 2H), 3.88 (m, 2H), 3.68 (m, 2 H), 3.54 (m, 2H), 1,67 (br. s, 1H).	515,00 3.72 min SS
171	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-N-{[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]methyl}aniline	1H NMR (400 MHz, MeOD) 7.97 (d, J = 5.9 Hz, 1H), 7.47 (d, J = 5.8 Hz, 1H), 7.39 (s, 1H), 7.16 (s, 1H), 6.90 - 6.77 (m, 2H), 6.76 - 6.70 (m, 1H), 6.67 (s, 1H), 4.34 (s, 2H), 3.94 (s, 3H).	406,00 3.00 min NNN
172	 3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-N-{[4-(trifluoromethyl)phenyl]-methyl}aniline	1H NMR (400 MHz, DMSO) 7.96 (d, J = 5.6 Hz, 1H), 7.68 (d, J = 8.2 Hz, 2H), 7.58 (d, J = 8.1 Hz, 2H), 7.53 - 7.34 (m, 2H), 7.07 (t, J = 7.8 Hz, 1H), 6.66 (dd, J = 12.3, 4.7 Hz, 2H), 6.54 (dd, J = 8.1, 1.6 Hz, 1H), 6.39 (t, J = 6.2 Hz, 1H), 4.41 (d, J = 6.0 Hz, 2H).	402,00 3.47 min AAA

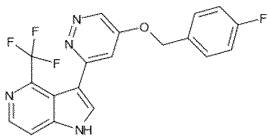
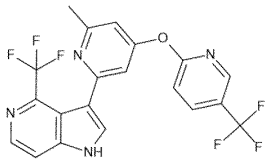
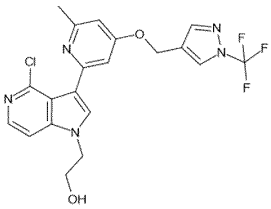
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
173	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-[(4-fluorophenyl)methoxy]pyrimidine	1H NMR (400 MHz, MeOD) 8.58 - 8.52 (m, 1H), 8.32 (d, J = 5.7 Hz, 1H), 8.19 (dd, J = 8.7, 2.6 Hz, 1H), 7.73 (t, J = 2.8 Hz, 2H), 7.29 (d, J = 8.6 Hz, 1H), 7.18 (d, J = 2.1 Hz, 1H), 7.14 (d, J = 2.1 Hz, 1H), 2.57 (s, 3H).	355,00 - 357,00 3.83 - 3.83 min HHH
174	 2-[4-chloro-3-(4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazol-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (700 MHz, DMSO) 8.10 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.70 (d, J = 5.8 Hz, 1H), 7.64 (d, J = 8.0 Hz, 2H), 7.48 (d, J = 8.0 Hz, 2H), 7.34 (s, 1H), 4.98 (t, J = 5.3 Hz, 1H), 4.35 (t, J = 5.3 Hz , 2H), 3.77 (q, J = 5.2 Hz, 2H), 3.16 – 3.08 (m, 4H).	452,00 - 454,00 1.23 min LL
175	 2-[4-chloro-3-(5-{[4-(trifluoromethyl)-phenyl]methyl}-1,3-thiazol-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) 8.09 (d, J = 5.7 Hz, 1H), 7.94 (s, 1H), 7.74 (d, J = 1.0 Hz, 1H), 7.74 – 7.70 (m, 2H), 7.68 (d, J = 5.7 Hz, 1H), 7.60 – 7.54 (m, 2H), 4.94 (t, J = 5.3 Hz, 1H), 4.37 (s, 2H), 4.3 2 (t, J = 5.2 Hz, 2H), 3.75 (q, J = 5.3 Hz, 2H).	438,00 - 440,00 1.23 min LL

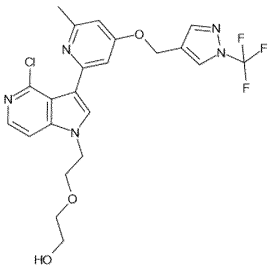
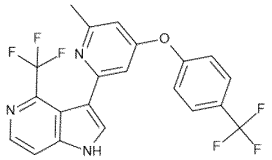
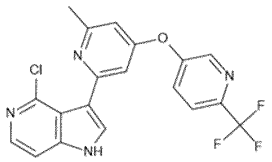
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
176	 2-[4-chloro-3-(5-{[4-(trifluoromethyl)-phenyl]methyl}-1,3,4-thiadiazol-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) 8.13 (d, J = 5.8 Hz, 1H), 8.11 (s, 1H), 7.79 – 7.75 (m, 2H), 7.74 (d, J = 5.8 Hz, 1H), 7.67 – 7.62 (m, 2H), 4.96 (t, J = 5.3 Hz, 1H), 4.67 (s, 2H), 4.35 (t, J = 5.2 Hz, 2H), 3.76 (q, J = 5.3 Hz, 2H).	439,00 - 441,00 1.21 min LL
177	 4-({3-methyl-5-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]phenoxy}methyl)-1-(trifluoromethyl)-1H-pyrazole	1H NMR (400 MHz, CDCl3) 8.80 (br. s, 1H), 8.45-8.44 (d, J=5.6 Hz, 1H), 7.88 (s, 1H), 7.82 (s, 1H), 7.55-7.54 (d, J=5.6Hz, 1H), 7.32-7.31 (d, J=2.4 Hz, 1H), 6.88 (s, 1H), 6.82 (m, 2H), 5.00 (s, 2H), 2.38 (s, 3H).	441,00 3.72 min SS
178	 4-chloro-3-{4-fluoro-3-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.15 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.83 - 7.72 (m, 2H), 7.67 (d, J = 2.4 Hz, 1H), 7.57 - 7.45 (m, 2H), 7.45 - 7.34 (m, 2H), 7.20 (d, J = 8.6 Hz, 2H).	407,00 3.63 min RRR

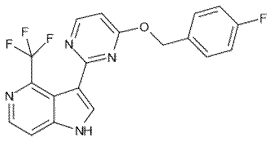
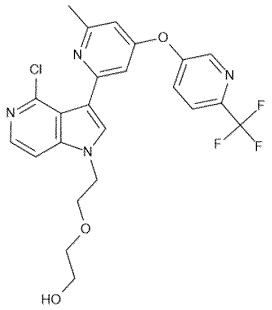
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
179	 2-(4-chloro-3-{3-[(4,4-difluorocyclohexyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO) 8.04 (d, J = 5.7 Hz, 1H), 7.64 (d, J = 5.7 Hz, 1H), 7.62 (s, 1H), 7.31 (t, J = 7.9 Hz, 1H), 7.06 – 7.01 (m, 2H), 6.91 (ddd, J = 8.3, 2.6, 1.0 Hz, 1H), 4.96 (t, J = 5.2 Hz, 1H), 4.31 (t, J = 5.3 Hz, 2H), 3.91 (d, J = 6.0 Hz, 2H), 3.77 (q, J = 5.2 Hz, 2H), 2.05 (d, J = 6.5 Hz, 2H), 1.90 (m, 4H), 1.87 – 1.74 (m, 1H), 1.40 – 1.28 (m, 2H).	421,10 - 423,10 1.22 min MM
180	 2-[(4-fluorophenyl)methoxy]-4-methyl-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (400 MHz, MeOD) 8.36 (d, J = 5.6 Hz, 1H), 7.86 (s, 1H), 7.75 (d, J = 5.6 Hz, 1H), 7.48 (dd, J = 8.5, 5.5 Hz, 2H), 7.15 (s, 1H), 7.07 (t, J = 8.8 Hz, 2H), 5.45 (s, 2H), 2.50 (s, 3H).	403,00 3.61 min DDD

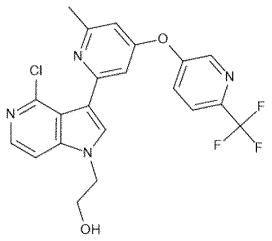
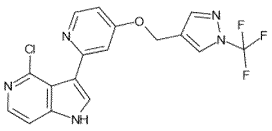
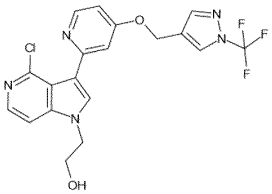
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
181	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methoxy}pyridine	1H NMR (400 MHz, CDCl3) 8.55 (br. s, 1H), 8.09-8.08 (d, J=5.6 Hz, 1H), 7.92 (s, 1H), 7.84 (s, 1H), 7.45 (d, J=2.4 Hz, 1H), 7.23-7.21 (m, 1H), 6.74-6.73 (m, 1H), 5.09 (s, 2H), 2.59 (s, 3H).	408,00 3.37 min SS
182	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyridine	1H NMR (400 MHz, MeOD) 8.54 (s, 1H), 8.19 (dd, J = 8.7, 2.5 Hz, 1H), 8.01 (d, J = 5.8 Hz, 1H), 7.68 (s, 1H), 7.49 (d, J = 5.8 Hz, 1H), 7.29 (dd, J = 11.1, 5.3 Hz, 2H), 7.12 (d, J = 2.0 Hz, 1H), 2.60 (s, 3H).	405,00 2.70 min XX

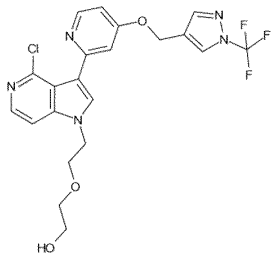
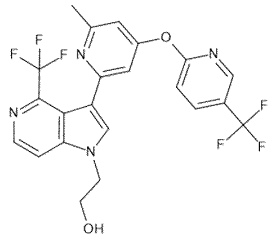
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
183	 2-[4-chloro-3-(6-methyl-4-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.66 (d, J = 0.7 Hz, 1H), 8.32 (dd, J = 8.7, 2.5 Hz, 1H), 8.05 (d, J = 5.7 Hz, 1H), 7.84 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 7.39 (d, J = 8.7 Hz, 1H), 7.24 (d, J = 1.9 Hz, 1H), 7 .08 (d, J = 2.0 Hz, 1H), 4.95 (t, J = 5.2 Hz, 1H), 4.33 (t, J = 5.2 Hz, 2H), 3.76 (q, J = 5.2 Hz, 2H), 2.53 (s, 3H).	449,00 2.60 min NNN
184	 2-{2-[4-chloro-3-(6-methyl-4-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, DMSO) 8.73 -8.60 (m, 1H), 8.36 - 8.26 (m, 1H), 8.06 (d, J = 5.7 Hz, 1H), 7.86 (s, 1H), 7.69 (d, J = 5.8 Hz, 1H), 7.40 (d, J = 8.7 Hz, 1H), 7.25 (d, J = 1.9 Hz, 1H), 7.09 (t, J = 3.9 H z, 1H), 4.57 (s, 1H), 4.45 (t, J = 5.2 Hz, 2H), 3.79 (t, J = 5.2 Hz, 2H), 3.42 (d, J = 6.3 Hz, 4H), 2.52 (d, J = 5.4 Hz, 3H).	493,00 3.50 min NNN

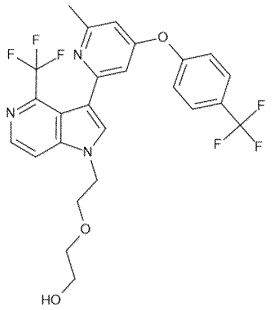
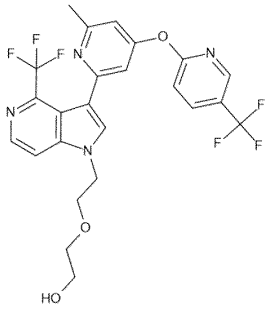
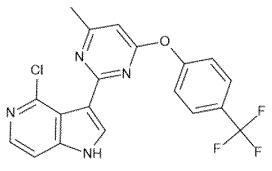
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
185	 5-[(4-fluorophenyl)methoxy]-3-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridazine	1H NMR (400 MHz, MeOD) 8.97 (d, J = 2.8 Hz, 1H), 8.37 (d, J = 5.7 Hz, 1H), 7.84 (s, 1H), 7.78 (d, J = 5.7 Hz, 1H), 7.52 (dd, J = 8.5, 5.4 Hz, 2H), 7.47 (d, J = 2.8 Hz, 1H), 7.14 (t, J = 8.8 Hz, 2H), 5 .27 (s, 2H).	389,00 3.92 min FFF
186	 2-methyl-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-4-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyridine	1H NMR (400 MHz, MeOD) 8.58 - 8.52 (m, 1H), 8.32 (d, J = 5.7 Hz, 1H), 8.19 (dd, J = 8.7, 2.6 Hz, 1H), 7.73 (t, J = 2.8 Hz, 2H), 7.29 (d, J = 8.6 Hz, 1H), 7.18 (d, J = 2.1 Hz, 1H), 7.14 (d, J = 2.1 Hz, 1H), 2.57 (s, 3H).	439,00 3.18 min WW
187	 2-[4-chloro-3-(6-methyl-4-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methoxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.13-8.11 (d, J=5.6 Hz, 1H), 7.92 (s, 1H), 7.84 (s, 1H), 7.56 (s, 1H), 7.27 (s, 1H), 7.05 (m, 1H), 6.72 (m, 1H), 5.09 (s, 2H), 4.29-4.27 (m, 2H), 3.98-3.95 (m, 2H), 2.57 (s, 3H).	452,00 3.00 min RRR

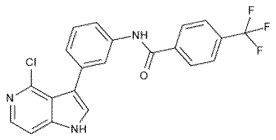
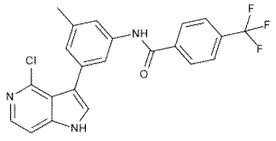
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
188	 2-{2-[4-chloro-3-(6-methyl-4-{[1-(trifluoro-methyl)-1H-pyrazol-4-yl]methoxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.14-8.13 (d, J=5.6 Hz, 1H), 7.92 (s, 1H), 7.84 (s, 1H), 7.65 (s, 1H), 7.28-7.27 (d, J=5.6 Hz, 1H), 7.09-7.08 (m, 1H), 6.71 (m, 1H), 5.09 (s, 2H), 4.36-4.33 (m, 2H), 3.84-3.81 (m, 2H), 3.63-3.40 (m, 2H), 3.49-3.46 (m, 2H), 2.57 (s, 1H).	496,00 3.02 min RRR
189	 2-methyl-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-4-[4-(trifluoromethyl)phenoxy]pyridine	1H NMR (400 MHz, CDCl3) 10.07 (d, J = 0.9 Hz, 1H), 8.33 (d, J = 5.6 Hz, 1H), 7.68 (d, J = 8.5 Hz, 2H), 7.29 (dd, J = 6.6, 2.7 Hz, 2H), 7.23 (d, J = 8.5 Hz, 2H), 7.00 - 6.60 (m, 2H), 2.58 (s, 3H).	438,00 3.61 min CCC
190	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (400 MHz, MeOD) 8.61 (d, J = 2.5 Hz, 1H), 8.00 (d, J = 5.7 Hz, 1H), 7.91 (d, J = 8.6 Hz, 1H), 7.82 (dd, J = 8.6, 2.5 Hz, 1H), 7.68 (s, 1H), 7.48 (d, J = 5.7 Hz, 1H), 7.11 (d, J = 2.1 Hz, 1H), 6 .99 (d, J = 2.1 Hz, 1H), 2.58 (s, 3H).	405,00 3.77 min BBB

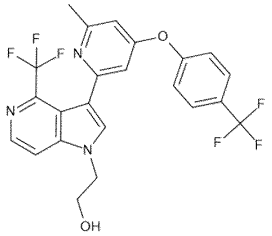
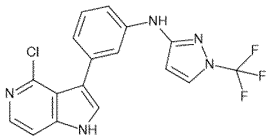
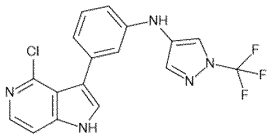
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
191	 4-[(4-fluorophenyl)methoxy]-2-[4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (400 MHz, CDCl3) 8.51 (d, J = 5.9 Hz, 1H), 8.36 (d, J = 5.6 Hz, 1H), 7.92 (s, 1H), 7.76 (d, J = 5.6 Hz, 1H), 7.57 - 7.36 (m, 2H), 7.08 (t, J = 8.8 Hz, 2H), 6.84 (d, J = 5.9 Hz, 1H), 5.46 (s, 2H).	389,00 3.79 min WW
192	 2-{2-[4-chloro-3-(6-methyl-4-{[6-(tri-fluoromethyl)pyridin-3-yl]oxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, MeOD) 8.61 (d, J = 2.5 Hz, 1H), 8.03 (d, J = 5.9 Hz, 1H), 7.91 (d, J = 8.6 Hz, 1H), 7.82 (dd, J = 8.6, 2.6 Hz, 1H), 7.76 (s, 1H), 7.62 (d, J = 5.9 Hz, 1H), 7.10 (d, J = 2.1 Hz, 1H), 7 .00 (d, J = 2.1 Hz, 1H), 4.47 (t, J = 5.0 Hz, 2H), 3.85 (t, J = 5.0 Hz, 2H), 3.61 - 3.53 (m, 2H), 3.53 - 3.42 (m, 2H), 2.58 (s, 3H).	493,00 3.60 min BBB

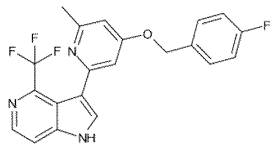
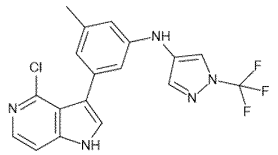
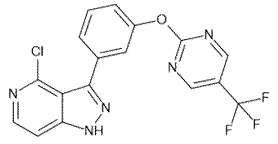
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
193	 2-[4-chloro-3-(6-methyl-4-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, MeOD) 8.63 (d, J = 2.7 Hz, 1H), 8.14 - 7.99 (m, 1H), 7.90 (t, J = 15.6 Hz, 2H), 7.75 (d, J = 2.8 Hz, 1H), 7.67 - 7.52 (m, 1H), 7.10 (d, J = 37.6 Hz, 2H), 4.39 (s, 2H), 3.91 (s, 2H), 2 .60 (d, J = 2.7 Hz, 3H).	449,00 3.17 min BBB
194	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methoxy}pyridine	1H NMR (400 MHz, CDCl3) 8.94 (br. s, 1H), 8.51-8.50 (d, J=5.6 Hz, 1H), 8.10-8.09 (d, J=5.6 Hz, 1H), 7.93 (s, 1H), 7.85 (s, 1H), 7.46 (d, J=2.4 Hz, 1H), 7.26-7.23 (m, 2H), 6.89-6.86 (m, 1H), 5.12 (s, 2 H).	394,00 3.10 min RRR
195	 2-[4-chloro-3-(4-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methoxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.43-8.41 (d, J=6.0 Hz, 1H), 8.12-8.11 (d, J=6.0 Hz, 1H), 7.93 (s, 1H), 7.85 (s, 1H), 7.57 (s, 1H), 7.28-7.27 (m, 1H), 7.24-7.23 (m, 1H), 6.84-6.82 (m, 1H), 5.11 (s, 2H), 4.28- 4.26 (m, 2H), 3.96-3.93 (m, 2H).	438,00 3.06 min SS

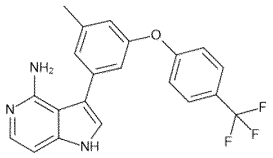
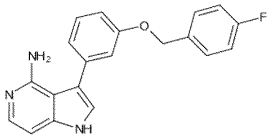
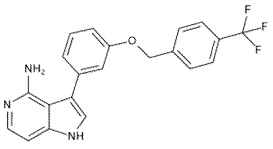
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
196	 2-{2-[4-chloro-3-(4-{[1-(trifluoromethyl)-1H-pyrazol-4-yl]methoxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, DMSO) 8.65 (s, 1H), 8.44-8.43 (d, J=5.6 Hz, 1H), 8.10 (s, 1H), 8.06-8.05 (d, J=5.6 Hz, 1H), 7.81 (s, 1H), 7.69-7.68 (d, J=6 Hz, 1H), 7.25-7.24 (d, J=2.4 Hz, 1H), 7.01-6.99 (m, 1H), 5. 18 (s, 2H), 4.57 (m, 1H), 4.46-4.43 (m, 2H), 3.80-3.78 (m, 2H), 3.42 (m, 4H).	482,00 2.61 min SS
197	 2-[3-(6-methyl-4-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyridin-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, MeOD) 8.55 (s, 1H), 8.35 (d, J = 5.8 Hz, 1H), 8.19 (dd, J = 8.7, 2.4 Hz, 1H), 7.86 (d, J = 5.8 Hz, 1H), 7.77 (s, 1H), 7.30 (d, J = 8.6 Hz, 1H), 7.18 (d, J = 1.8 Hz, 1H), 7.14 (d, J = 2.0 Hz, 1H), 4.44 (t, J = 5.1 Hz, 2H), 3.93 (t, J = 5.1 Hz, 2H), 2.57 (s, 3H).	483,00 3.30 min NNN

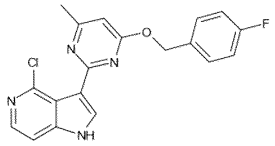
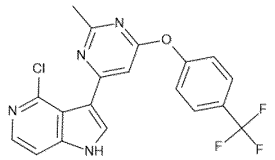
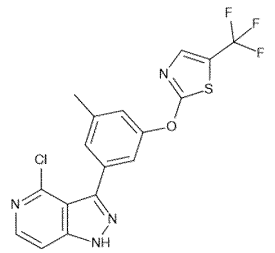
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
198	 2-[2-(3-{6-methyl-4-[4-(trifluoromethyl)-phenoxy]pyridin-2-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)ethoxy]ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.44 (d, J = 5.7 Hz, 1H), 7.67 (d, J = 8.4 Hz, 2H), 7.54 (s, 1H), 7.49 (d, J = 5.7 Hz, 1H), 7.22 (d, J = 8.4 Hz, 2H), 6.84 (d, J = 2.2 Hz, 1H), 6.78 (d, J = 2.2 Hz, 1H), 4.38 (t, J = 5.1 Hz, 2H), 3.83 (t, J = 5.1 Hz, 2H), 3.63 (dd, J = 5.3, 3.6 Hz, 2H), 3.49 (dd, J = 5.2, 3.6 Hz, 2H), 2.57 (s, 3H).	525,00 - 527,00 3.30 - 3.30 min HHH
199	 2-{2-[3-(6-methyl-4-{[5-(trifluoromethyl)-pyridin-2-yl]oxy}pyridin-2-yl)-4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (400 MHz, MeOD) 8.55 (s, 1H), 8.35 (d, J = 5.8 Hz, 1H), 8.19 (dd, J = 8.6, 2.4 Hz, 1H), 7.89 (d, J = 5.8 Hz, 1H), 7.82 (s, 1H), 7.30 (d, J = 8.6 Hz, 1H), 7.16 (dd, J = 19.5, 1.9 Hz, 2H), 4.54 (t, J = 5.0 Hz, 2H), 3.87 (t, J = 5.0 Hz, 2H), 3.62 - 3.51 (m, 2H), 3.51 - 3.42 (m, 2H), 2.57 (s, 3H).	527,00 3.30 min XX
200		1H NMR (400 MHz, MeOD) 7.98 (d, J = 5.7 Hz, 1H), 7.81 (s, 1H), 7.74 (d, J = 8.6 Hz, 2H), 7.44 (t, J = 7.1 Hz, 3H), 6.89 (s, 1H), 2.57 (s, 3H).	405,00 3.23 min BBB

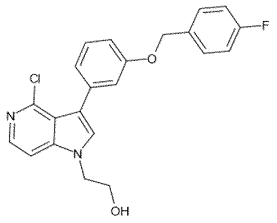
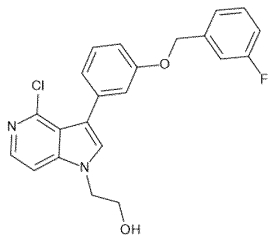
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
	2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidine		
201	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenyl)-4-(trifluoromethyl)benzamide	1H NMR (400 MHz, DMSO) 12.09 (br. s, 1H), 10.50 (br. s, 1H), 8.17-8.15 (m, 2H), 8.02-8.00 (d, J=5.6 Hz, 1H), 7.93-7.91 (m, 3H), 7.79-7.77 (m, 1H), 7.60 (s, 1H), 7.49-7.48 (m, 1H), 7.42-7.38 (m, 1H), 7 .25-7.23 (m, 1H).	416,00 3.26 min RRR
202	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenyl)-4-(trifluoromethyl)benzamide	1H NMR (400 MHz, DMSO) 12.06 (br. s, 1H), 10.42 (br. s, 1H), 8.16-8.14 (m, 2H), 8.01-7.99 (d, J=5.6 Hz, 1H), 7.92-7.90 (m, 2H), 7.71 (m, 1H), 7.63 (m, 1H), 7.58 (s, 1H), 7.48-7.47 (d, J=5.2 Hz, 1H), 7 .07 (m, 1H), 2.37 (s, 3H).	430,00 3.57 min RRR

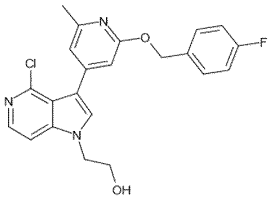
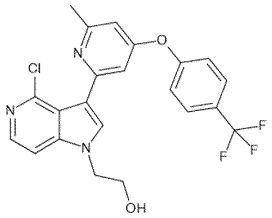
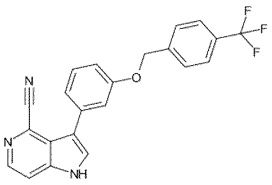
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
203	 2-(3-{6-methyl-4-[4-(trifluoromethyl)-phenoxy]pyridin-2-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (400 MHz, CDCl3) 8.42 (d, J = 5.7 Hz, 1H), 7.71 - 7.64 (m, 2H), 7.48 (s, 1H), 7.46 (d, J = 5.7 Hz, 1H), 7.22 (d, J = 8.5 Hz, 2H), 6.83 (d, J = 2.2 Hz, 1H), 6.79 (d, J = 2.2 Hz, 1H), 4.29 (t, J = 5.1 Hz, 2H), 3.93 (t, J = 5.1 Hz, 2H), 2.57 (s, 3H).	482,00 - 483,00 3.25 - 3.25 min HHH
204	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenyl)-1-(trifluoromethyl)-1H-pyrazol-3-amine	1H NMR (400 MHz, MeOD) 7.96 (d, J = 5.8 Hz, 1H), 7.89 (d, J = 2.9 Hz, 1H), 7.55 (dd, J = 8.2, 1.5 Hz, 1H), 7.51 (t, J = 1.8 Hz, 1H), 7.45 (d, J = 5.8 Hz, 1H), 7.41 (s, 1H), 7.29 (t, J = 7.9 Hz, 1H), 7 .01 (d, J = 7.6 Hz, 1H), 6.16 (d, J = 2.9 Hz, 1H).	378,00 3.20 min XX
205	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}phenyl)-1-(trifluoromethyl)-1H-pyrazol-4-amine	1H NMR (400 MHz, MeOD) 8.02 - 7.92 (m, 2H), 7.78 (s, 1H), 7.45 (d, J = 5.8 Hz, 1H), 7.41 (s, 1H), 7.25 (t, J = 7.8 Hz, 1H), 7.04 - 6.99 (m, 1H), 6.96 - 6.88 (m, 2H).	378,00 3.06 min HHH

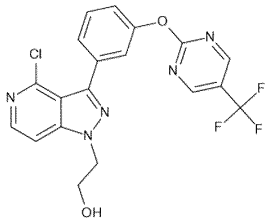
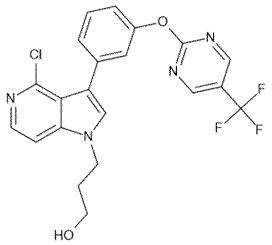
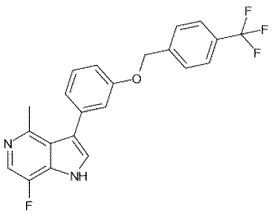
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
206	 4-[(4-fluorophenyl)methoxy]-2-methyl-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (400 MHz, MeOD) 8.31 (d, J = 5.7 Hz, 1H), 7.72 (d, J = 5.7 Hz, 1H), 7.66 (s, 1H), 7.48 (dd, J = 8.7, 5.4 Hz, 2H), 7.12 (t, J = 8.8 Hz, 2H), 6.97 (d, J = 2.2 Hz, 1H), 6.92 (d, J = 2.2 Hz, 1H), 5 .17 (s, 2H), 2.50 (s, 3H).	402,00 2.88 min AAA
207	 N-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenyl)-1-(trifluoromethyl)-1H-pyrazol-4-amine	1H NMR (400 MHz, CDCl3) 8.73 (s, 1H), 8.12 (d, J = 5.7 Hz, 1H), 7.75 (s, 2H), 7.30 (d, J = 5.7 Hz, 1H), 7.24 (d, J = 2.4 Hz, 1H), 6.83 (d, J = 19.0 Hz, 2H), 6.68 (s, 1H), 5.25 (s, 1H), 2.36 (s, 3H).	392,00 3.15 min XX
208	 2-(3-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}phenoxy)-5-(trifluoromethyl)pyrimidine	1H NMR (300 MHz, CDCl3) 11.04 (s, 1H), 8.86 (s, 2H), 8.29 (d, J = 5.9 Hz, 1H), 7.81 - 7.72 (m, 1H), 7.67 (s, 1H), 7.65 (t, 1H), 7.40 - 7.35 (m, 1H), 7.31 (s, 1H).	392,00 - 394,00 3.78 - 3.78 min HHH

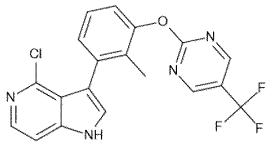
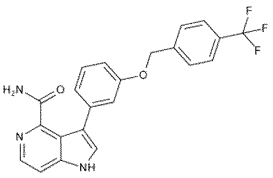
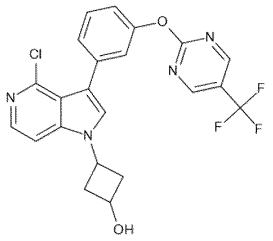
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
209	 3-{3-methyl-5-[4-(trifluoromethyl)phenoxy-]phenyl}-1H-pyrrolo[3,2-c]pyridin-4-amine	1H NMR (400 MHz, CDCl3) 8.46 (s, 1H), 7.81 (d, J = 5.9 Hz, 1H), 7.62 – 7.55 (m, 2H), 7.16 (s, 1H), 7.10 (d, J = 8.5 Hz, 2H), 7.05 (s, 1H), 7.00 (t, J = 2.0 Hz, 1H), 6.87 (t, J = 2.0 Hz, 1H), 6.78 (d, J = 5.9 Hz, 1H), 4.76 - 4.71 (m, 2H), 2.41 (s, 3H).	384,00 - 385,00 3.40 - 3.40 min HHH
210	 3-{3-[(4-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-4-amine	1H NMR (400 MHz, CDCl3) 8.39 (br. s, 1H), 7.82-7.80 (d, J=6.0 Hz, 1H), 7.43-7.34 (m, 3H), 7.13-7.04 (m, 5H), 6.99-6.97 (m, 1H), 6.79-6.77 (d, J=6.0 Hz, 1H), 5.07 (s, 2H), 4.71 (br. s, 2H).	334,00 3.09 min SSS
211	 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}-phenyl)-1H-pyrrolo[3,2-c]pyridin-4-amine	1H NMR (400 MHz, CDCl3) 8.36 (br. s, 1H), 7.82-7.80 (d, J=6.0 Hz, 1H), 7.66-7.64 (m, 2H), 7.58-7.56 (m, 2H), 7.39-7.35 (t, 1H), 7.15-7.13 (m, 2H), 7.04 (s, 1H), 6.99-6.97 (m, 1H), 6.79-6.78 (d, J=6.0 Hz, 1H), 5.18 (s, 2H), 4.71 (br. s, 2H).	384,00 3.27 min SSS

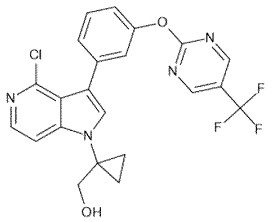
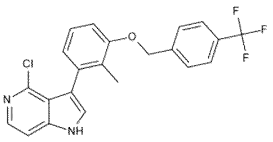
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
212	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-[(4-fluorophenyl)methoxy]-6-methylpyrimidine	1H NMR (400 MHz, MeOD) 8.04 (d, J = 5.7 Hz, 1H), 7.87 (s, 1H), 7.61 - 7.31 (m, 3H), 7.23 - 6.90 (m, 2H), 6.69 (s, 1H), 5.52 (s, 2H), 2.49 (s, 3H).	369,00 2.99 min KKK
213	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidine	1H NMR (400 MHz, MeOD) 8.06 (d, J = 5.7 Hz, 1H), 7.89 (s, 1H), 7.79 (d, J = 8.6 Hz, 2H), 7.52 (d, J = 5.7 Hz, 1H), 7.45 (s, 2H), 7.13 (s, 1H), 2.58 (s, 3H).	405,00 3.31 min JJJ
214	 2-(3-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)-1,3-thiazole	1H NMR (400 MHz, CDCl3) 8.25 (d, J = 5.9 Hz, 1H), 7.58 (d, J = 1.5 Hz, 1H), 7.54 (s, 1H), 7.51 (d, J = 2.1 Hz, 1H), 7.38 (d, J = 5.9 Hz, 1H), 7.25 (d, J = 2.0 Hz, 1H), 2.49 (s, 3H).	411,00 - 414,00 3.65 - 3.65 min HHH

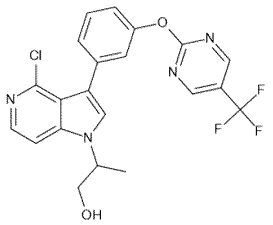
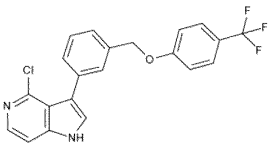
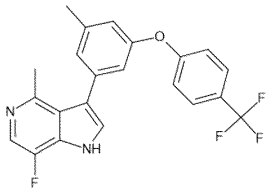
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
215	 2-{4-chloro-3-{3-[(4-fluorophenyl)methoxy]-phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (700 MHz, DMSO) 8.04 (d, J = 5.7 Hz, 1H), 7.64 (d, J = 5.8 Hz, 1H), 7.62 (s, 1H), 7.55 – 7.49 (m, 2H), 7.33 (t, J = 7.9 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.11 (t, J = 2.1 Hz, 1H), 7.07 (dt, J = 7.5 , 1.3 Hz, 1H), 7.00 (dd, J = 8.2, 2.6 Hz, 1H), 5.14 (s, 2H), 4.95 (s, 1H), 4.31 (t, J = 5.3 Hz, 2H), 3.77 (t, J = 5.3 Hz, 2H).	397,30 - 399,30 0.79 min NN
216	 2-{4-chloro-3-{3-[(3-fluorophenyl)methoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (700 MHz, DMSO) 8.04 (d, J = 5.8 Hz, 1H), 7.64 (d, J = 5.8 Hz, 1H), 7.62 (s, 1H), 7.45 (td, J = 7.9, 6.0 Hz, 1H), 7.34 (d, J = 7.9 Hz, 1H), 7.32 (d, J = 3.8 Hz, 1H), 7.31 – 7.27 (m, 2H), 7.16 (td, J = 8.6, 2.7 Hz, 1H), 7.14 – 7.10 (m, 1H), 7.07 (dt, J = 7.5, 1.3 Hz, 1H), 7.01 (dd, J = 8.2, 2.6 Hz, 1H), 5.19 (s, 2H), 4.96 (s, 1H), 4.31 (t, J = 5.3 Hz, 2H), 3.77 (t, J = 5.4 Hz, 2H).	397,30 - 399,30 0.79 min OO

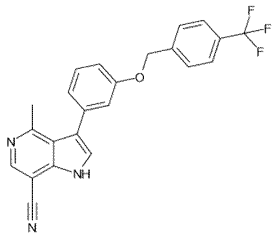
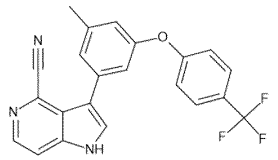
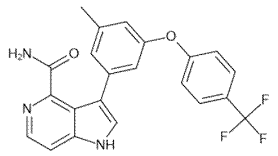
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
217	 2-(4-chloro-3-{2-[(4-fluorophenyl)methoxy]-6-methylpyridin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (700 MHz, DMSO) 8.07 (d, J = 5.7 Hz, 1H), 7.78 (s, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.57 – 7.51 (m, 2H), 7.25 – 7.19 (m, 2H), 7.00 (d, J = 1.2 Hz, 1H), 6.75 (d, J = 1.2 Hz, 1H), 5.37 (s, 2H), 4.9 5 (s, 1H), 4.31 (t, J = 5.3 Hz, 2H), 3.76 (t, J = 5.3 Hz, 2H), 2.46 (s, 3H).	412,20 - 414,20 0.75 min OO
218	 2-(4-chloro-3-{6-methyl-4-[4-(trifluoromethyl)-phenoxy]pyridin-2-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (700 MHz, DMSO) 8.13 – 8.01 (m, 1H), 7.86 (d, J = 8.3 Hz, 3H), 7.69 – 7.63 (m, 1H), 7.42 (s, 2H), 6.98 (d, J = 36.2 Hz, 2H), 4.95 (s, 1H), 4.34 (t, J = 5.2 Hz, 2H), 3.75 (t, J = 5.3 Hz, 2H), 2. 53 (m, 2H).	448,30 - 450,30 0.54 min OO
219	 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}-phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile	1H NMR (300 MHz, DMSO) 12.36 (s, 1H), 8.40 (d, J = 5.6 Hz, 1H), 7.90 (s, 1H), 7.84 - 7.66 (m, 5H), 7.38 (t, J = 7.9 Hz, 1H), 7.32 - 7.24 (m, 1H), 7.23 - 7.13 (m, 1H), 7.03 (dd, J = 8.0, 2.5 Hz, 1H), 5 .33 (s, 2H).	394,10 1.24 min T

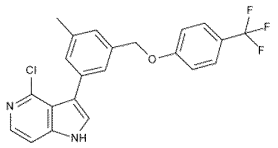
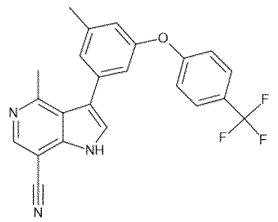
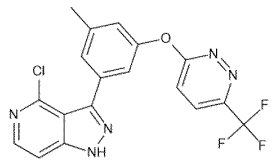
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
220	 2-[4-chloro-3-(3-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO) 9.14 (d, J = 1.0 Hz, 2H), 8.21 (d, J = 6.0 Hz, 1H), 7.80 (d, J = 6.0 Hz, 1H), 7.70 - 7.56 (m, 3H), 7.42 (dt, J = 7.3, 2.1 Hz, 1H), 4.54 (t, J = 5.2 Hz, 2H), 3.84 (t, J = 5.2 Hz, 2H), 1.03 (d, J = 6.5 Hz, 1H).	436,07 0.84 min L
221	 3-[4-chloro-3-(3-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (400 MHz, DMSO) 9.12 (s, 2H), 8.06 (d, J = 5.7 Hz, 1H), 7.72 (s, 1H), 7.64 (d, J = 5.8 Hz, 1H), 7.51 (t, J = 7.9 Hz, 1H), 7.43 (dt, J = 7.8, 1.4 Hz, 1H), 7.38 (t, J = 2.0 Hz, 1H), 7.29 - 7.22 (m, 1H), 4.66 (t, J = 4.9 Hz, 1H), 4.33 (t, J = 6.9 Hz, 2H), 3.38 (q, J = 5.8 Hz, 2H), 1.94 (p, J = 6.5 Hz, 2H).	449,10 1.07 min S
222	 7-fluoro-4-methyl-3-(3-{[4-(trifluoromethyl)-phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 8.02 (d, J = 2.5 Hz, 1H), 7.77 (d, J = 8.2 Hz, 2H), 7.68 (d, J = 8.0 Hz, 2H), 7.49 (s, 1H), 7.36 (t, J = 7.9 Hz, 1H), 7.11 - 7.01 (m, 3H), 5.30 (s, 2H), 2.36 (s, 3H).	401,10 0.92 min T

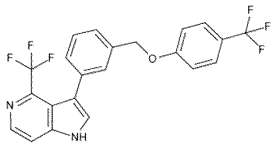
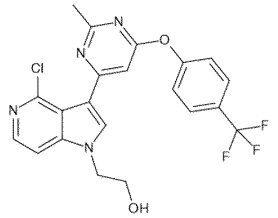
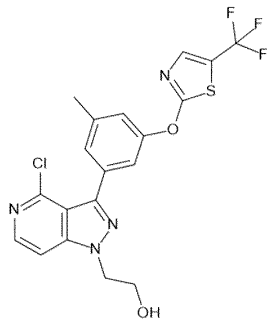
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
223	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methylphenoxy)-5-(trifluoromethyl)pyrimidine	1H NMR (300 MHz, DMSO) 9.13 (d, J = 1.0 Hz, 2H), 7.99 (d, J = 5.6 Hz, 1H), 7.57 (s, 1H), 7.48 (d, J = 5.7 Hz, 1H), 7.37 - 7.27 (m, 1H), 7.27 - 7.18 (m, 2H), 1.88 (s, 3H).	404,95 0.80 min DD
224	 3-(3-{[4-(trifluoromethyl)phenyl]methoxy}-phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carboxamide	1H NMR (300 MHz, DMSO) 11.90 (s, 1H), 8.20 (s, 1H), 7.84 - 7.65 (m, 5H), 7.63 (d, J = 4.7 Hz, 1H), 7.52 (s, 1H), 7.39 (s, 1H), 7.24 (d, J = 6.9 Hz, 1H), 7.06 (d, J = 5.6 Hz, 2H), 6.88 (s, 1H), 5.26 (d , J = 4.9 Hz, 2H).	412,15 1.20 min FF
225	 3-[4-chloro-3-(3-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]cyclobutan-1-ol	1H NMR (300 MHz, DMSO) 9.12 (d, J = 4.9 Hz, 2H), 8.05 (s, 1H), 7.88 (d, J = 4.9 Hz, 1H), 7.61 (d, J = 7.9 Hz, 1H), 7.50 (d, J = 14.2 Hz, 2H), 7.42 (s, 1H), 7.26 (s, 1H), 5.31 (s, 1H), 4.65 - 4.57 (m, 1H), 4.03 (s, 1H), 2.81 (s, 2H), 2.34 (s, 2H).	461,05 1.45 min DD

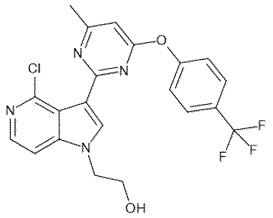
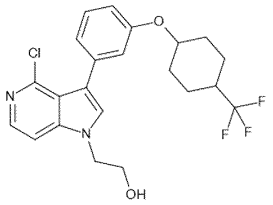
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
226	 {1-[4-chloro-3-(3-{[5-(trifluoromethyl)-pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]cyclopropyl}methanol	1H NMR (300 MHz, DMSO) 9.13 (d, J = 1.0 Hz, 2H), 8.46 - 8.03 (m, 1H), 7.73 - 7.59 (m, 2H), 7.59 - 7.33 (m, 3H), 7.25 (ddd, J = 7.9, 2.5, 1.3 Hz, 1H), 5.07 (s, 1H), 3.61 (s, 2H), 1.18 (q, J = 2.3 Hz, 4 H).	461,09 0.83 min L
227	 4-chloro-3-(2-methyl-3-{[4-(trifluoromethyl)-phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 7.97 (d, J = 5.7 Hz, 1H), 7.79 (d, J = 8.2 Hz, 2H), 7.71 (d, J = 8.1 Hz, 2H), 7.47 (d, J = 15.3 Hz, 2H), 7.17 (t, J = 7.9 Hz, 1H), 7.06 (d, J = 8.2 Hz, 1H), 6.87 (d, J = 7.4 Hz, 1H), 5.32 (d, J = 13.2 Hz, 1H), 5.26 (d, J = 12.8 Hz, 2H), 2.02 (s, 3H).	417,10 1.32 min T

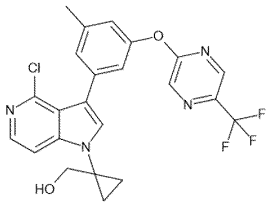
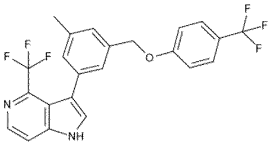
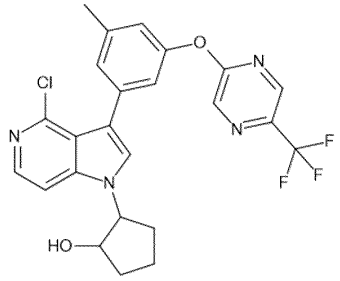
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
228	 2-[4-chloro-3-(3-{[5-(trifluoromethyl)pyrimidin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (300 MHz, DMSO) 9.12 (d, J = 0.9 Hz, 2H), 8.03 (d, J = 5.8 Hz, 1H), 7.82 (s, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.51 (t, J = 7.8 Hz, 1H), 7.44 (dt, J = 7.7, 1.5 Hz, 1H), 7.39 (t, J = 2.0 Hz, 1H), 7 .25 (ddd, J = 7.9, 2.4, 1.3 Hz, 1H), 4.99 (t, J = 5.4 Hz, 1H), 4.72 (p, J = 6.5 Hz, 1H), 3.71 (t, J = 5.7 Hz, 2H), 1.46 (d, J = 6.8 Hz, 3H).	449,09 0.79 min L
229	 4-chloro-3-(3-{[4-(trifluoromethyl)phenoxy]-methyl}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO) 12.12 (s, 1H), 8.00 (dd, J = 5.6, 1.1 Hz, 1H), 7.71 - 7.60 (m, 3H), 7.58 (s, 1H), 7.52 - 7.39 (m, 4H), 7.22 (d, J = 8.4 Hz, 2H), 5.27 (s, 2H).	403,00 1.39 min FF
230	 7-fluoro-4-methyl-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO) 12.28 (s, 1H), 8.03 (d, J = 2.6 Hz, 1H), 7.79 - 7.70 (m, 2H), 7.53 (s, 1H), 7.25 - 7.13 (m, 3H), 6.97 (d, J = 1.5 Hz, 2H), 2.41 - 2.35 (m, 6H).	401,12 0.86 min L

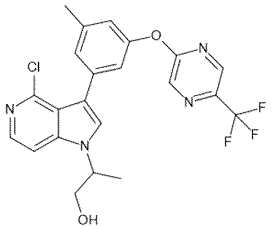
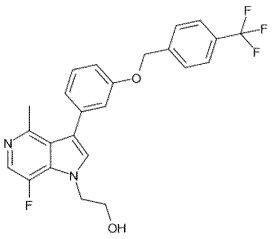
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
231	 4-methyl-3-(3-{[4-(trifluoromethyl)-phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile	1H NMR (300 MHz, DMSO) 12.63 (s, 1H), 8.55 (s, 1H), 7.77 (d, J = 8.1 Hz, 2H), 7.68 (d, J = 8.1 Hz, 2H), 7.57 (s, 1H), 7.37 (t, J = 7.8 Hz, 1H), 7.13 - 7.01 (m, 3H), 5.30 (s, 2H), 2.38 (s, 3H).	408,10 0.94 min T
232	 3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile	1H NMR (300 MHz, DMSO) 8.39 (d, J = 5.6 Hz, 1H), 7.92 (s, 1H), 7.83 - 7.68 (m, 3H), 7.33 - 7.19 (m, 3H), 7.14 (t, J = 2.0 Hz, 1H), 6.96 (t, J = 1.9 Hz, 1H), 2.39 (s, 3H).	394,05 1.05 min L
233	 3-{3-methyl-5-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine-4-carboxamide	1H NMR (300 MHz, DMSO) 11.93 (s, 1H), 8.19 (d, J = 5.6 Hz, 1H), 7.83 - 7.70 (m, 3H), 7.64 (s, 1H), 7.52 (d, J = 5.6 Hz, 1H), 7.23 (t, J = 9.2 Hz, 3H), 7.12 (d, J = 1.8 Hz, 1H), 6.84 (dt, J = 12.7, 2.1 Hz, 2H), 2.35 (s, 3H).	411,95 0.78 min L

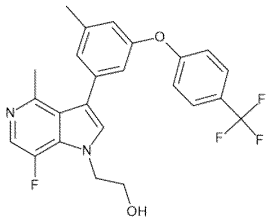
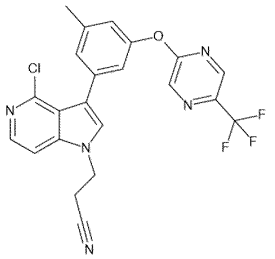
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
234	 4-chloro-3-(3-methyl-5-{[4-(trifluoro-methyl)phenoxy]methyl}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO) 12.07 (s, 1H), 8.00 (s, 1H), 7.65 (s, 2H), 7.59 (s, 1H), 7.47 (s, 1H), 7.37 (s, 1H), 7.23 (t, J = 9.2 Hz, 4H), 5.23 (d, J = 4.2 Hz, 2H), 2.37 (d, J = 4.6 Hz, 3H).	417,10 1.84 min FF
235	 4-methyl-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile	1H NMR (300 MHz, DMSO) 12.67 (s, 1H), 8.56 (s, 1H), 7.81 - 7.69 (m, 2H), 7.62 (s, 1H), 7.25 - 7.14 (m, 3H), 7.00 (h, J = 1.8 Hz, 2H), 2.52 - 2.50 (m, 3H), 2.39 (s, 3H).	408,10 1.00 min T
236	 3-(3-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-methylphenoxy)-6-(trifluoromethyl)pyridazine	1H NMR (300 MHz, DMSO) 14.03 (s, 1H), 8.32 (d, J = 9.3 Hz, 1H), 8.17 (d, J = 5.9 Hz, 1H), 7.78 (d, J = 9.3 Hz, 1H), 7.63 (d, J = 5.9 Hz, 1H), 7.51 - 7.38 (m, 2H), 7.28 (d, J = 2.2 Hz, 1H), 2.45 (s, 3H).	406,05 1.10 min T

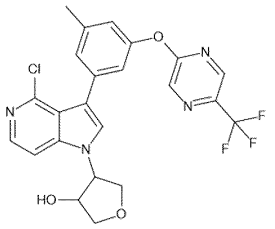
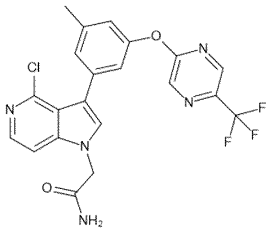
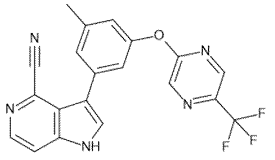
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
237	 4-(trifluoromethyl)-3-(3-{[4-(trifluoro-methyl)phenoxy]methyl}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO) 12.27 (s, 1H), 8.33 (d, J = 5.5 Hz, 1H), 7.77 - 7.63 (m, 4H), 7.49 - 7.31 (m, 4H), 7.21 (d, J = 8.6 Hz, 2H), 5.24 (s, 2H).	437,00 1.04 min L
238	 2-(4-chloro-3-{2-methyl-6-[4-(trifluoro-methyl)phenoxy]pyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (700 MHz, DMSO) 8.12 (d, J = 5.8 Hz, 1H), 8.09 (s, 1H), 7.86 (d, J = 8.5 Hz, 2H), 7.72 (d, J = 5.7 Hz, 1H), 7.51 (d, J = 8.4 Hz, 2H), 7.16 (s, 1H), 4.97 (s, 1H), 4.37 (t, J = 5.2 Hz, 2H), 3.77 (t, J = 5.2 Hz, 2H), 2.52 (s, 3H).	449,30 - 451,30 0.75 min NN
239	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)-1,3-thiazol-2-yl]oxy}phenyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]ethan-1-ol	1H NMR (700 MHz, DMSO) d 8.21 (d, J = 6.0 Hz, 1H), 8.02 (q, J = 1.4 Hz, 1H), 7.80 (d, J = 6.0 Hz, 1H), 7.57 (t, J = 2.1 Hz, 1H), 7.54 (d, J = 2.3 Hz, 1H), 7.43 (dd, J = 2.6, 1.3 Hz, 1H), 4.92 (s, 1H), 4.55 (t, J = 5.3 Hz, 2H), 3.85 (t, J = 5.4 Hz, 2H), 2.46 (s, 3H).	455,20 - 457,20 0.84 min NN

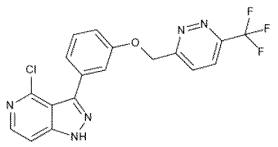
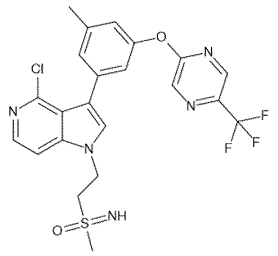
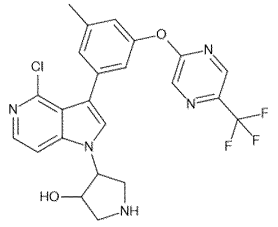
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
240	 2-{4-chloro-3-{4-methyl-6-[4-(trifluoro-methyl)phenoxy]pyrimidin-2-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (700 MHz, DMSO) 8.03 (d, J = 5.7 Hz, 1H), 7.96 (s, 1H), 7.82 (d, J = 8.5 Hz, 2H), 7.62 (d, J = 5.7 Hz, 1H), 7.49 (d, J = 8.4 Hz, 2H), 6.97 (s, 1H), 4.94 (t, J = 5.3 Hz, 1H), 4.32 (t, J = 5.2 Hz , 2H), 3.72 (q, J = 5.2 Hz, 2H), 2.52 (s, 3H).	449,30 - 451,30 0.74 min NN
241	 2-[4-chloro-3-(3-{[4-(trifluoromethyl)cyclohexyl]-oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.04 (d, J = 5.8 Hz, 1H), 7.64 (d, J = 5.8 Hz, 1H), 7.61 (s, 1H), 7.30 (t, J = 7.9 Hz, 1H), 7.08 – 7.05 (m, 1H), 7.03 (dt, J = 7.5, 1.2 Hz, 1H), 6.93 (ddd, J = 8.3, 2.5, 1.0 Hz, 1H), 4.94 (s, 1H), 4.39 (dd, J = 10.1, 5.6 Hz, 1H), 4.31 (t, J = 5.3 Hz, 2H), 3.77 (d, J = 4.9 Hz, 2H), 2.34 (s, 1H), 2.18 (d, J = 8.4 Hz, 2H), 1.93 (d, J = 9.0 Hz, 2H), 1.54 – 1.37 (m, 4H).	439,30 - 441,30 0.84 min OO

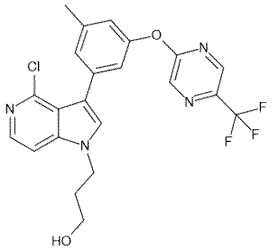
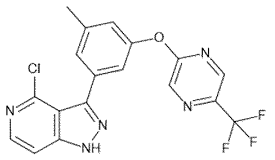
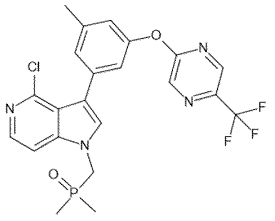
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
242	 {1-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]cyclopropyl}methanol	1H NMR (300 MHz, DMSO) 8.74 (s, 2H), 8.05 (d, J = 5.7 Hz, 1H), 7.68 - 7.59 (m, 2H), 7.34 - 7.16 (m, 2H), 7.09 (d, J = 2.1 Hz, 1H), 5.05 (t, J = 5.8 Hz, 1H), 3.61 (d, J = 5.8 Hz, 2H), 2.39 (s, 3H), 1.17 (s, 4H).	475,10 1.04 min L
243	 3-(3-methyl-5-{[4-(trifluoromethyl)phenoxy]r 4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (400 MHz, DMSO) 12.25 (s, 1H), 8.33 (d, J = 5.6 Hz, 1H), 7.75 (d, J = 5.5 Hz, 1H), 7.66 (d, J = 9.5 Hz, 3H), 7.24 (dd, J = 23.0, 7.4 Hz, 5H), 7.16 (s, 1H), 5.20 (s, 2H), 2.37 (s, 3H).	451,15 1.77 min Y
244	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]cyclopentan-1-ol	1H NMR (400 MHz, DMSO) 8.75 (s, 2H), 8.04 (d, J = 5.8 Hz, 1H), 7.83 (s, 1H), 7.69 (d, J = 5.8 Hz, 1H), 7.28 (s, 1H), 7.21 (t, J = 2.0 Hz, 1H), 7.10 (d, J = 1.9 Hz, 1H), 5.16 (d, J = 5.2 Hz, 1H), 4.65 (q, J = 8.1 Hz, 1H), 4.33 - 4.22 (m, 1H), 2.40 (s, 3H), 2.24 (m, 1H), 1.99 (m, 2H), 1.84 (p, J = 7.5 Hz, 2H), 1.62 (m, , 1H).	489,10 0.92 min DD

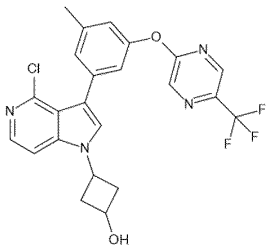
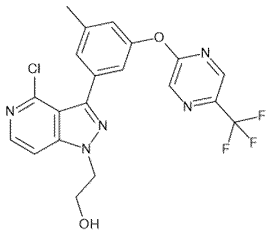
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
245	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)-pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (400 MHz, DMSO) 8.75 (d, J = 2.7 Hz, 2H), 8.03 (d, J = 5.7 Hz, 1H), 7.80 (s, 1H), 7.66 (d, J = 5.8 Hz, 1H), 7.27 (s, 1H), 7.20 (d, J = 1.9 Hz, 1H), 7.09 (t, J = 2.0 Hz, 1H), 4.99 (t, J = 5.4 Hz , 1H), 4.73 (h, J = 6.5 Hz, 1H), 3.72 (t, J = 5.7 Hz, 2H), 2.40 (s, 3H), 1.47 (d, J = 6.8 Hz, 3H).	463,15 0.90 min L
246	 2-[7-fluoro-4-methyl-3-(3-{[4-(trifluoro-methyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) 8.02 (d, J = 3.7 Hz, 1H), 7.77 (d, J = 8.1 Hz, 2H), 7.69 (d, J = 8.0 Hz, 2H), 7.47 (s, 1H), 7.40 – 7.34 (m, 1H), 7.06 (ddt, J = 5.2, 2.8, 1.0 Hz, 2H), 7.02 (dt, J = 7.5, 1.2 Hz, 1H), 5.30 (s, 2H), 4.97 (t, J = 5.3 Hz, 1H), 4.35 (t, J = 5.5 Hz, 2H), 3.77 (q, J = 5.7 Hz, 2H), 2.26 – 2.22 (m, 3H).	445,40 0.56 min NN

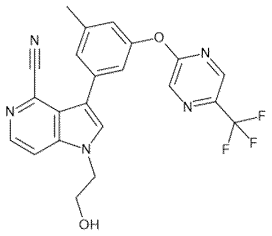
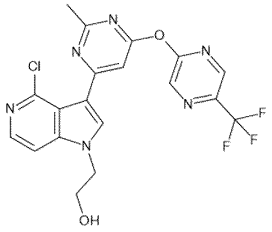
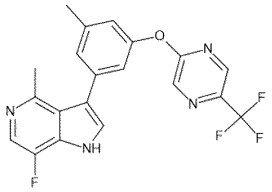
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
247	 2-(7-fluoro-4-methyl-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO) 8.03 (d, J = 3.7 Hz, 1H), 7.79 – 7.72 (m, 2H), 7.51 (s, 1H), 7.23 – 7.17 (m, 2H), 7.14 (q, J = 1.0 Hz, 1H), 6.99 (qd, J = 1.4, 0.8 Hz, 1H), 6.94 (t, J = 2.0 Hz, 1H), 4.96 (t, J = 5.3 Hz, 1H), 4.34 (t, J = 5.5 Hz, 2H), 3.79 – 3.72 (m, 2H), 2.39 (s, 3H), 2.36 (d, J = 0.9 Hz, 3H).	445,40 0.59 min NN
248	 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propanenitrile	1H NMR (300 MHz, DMSO) 8.74 (s, 2H), 8.09 (d, J = 5.7 Hz, 1H), 7.84 ¨C 7.73 (m, 2H), 7.28 - 7.21 (m, 1H), 7.19 (d, J = 2.2 Hz, 1H), 7.12 (d, J = 2.1 Hz, 1H), 4.60 (t, J = 6.5 Hz, 2H), 3.13 (t, J = 6.5 Hz, 2H), 2.40 (s, 3H).	458,05 0.95 min DD

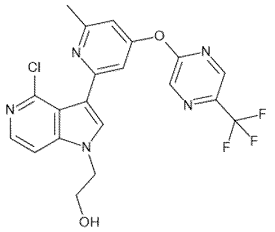
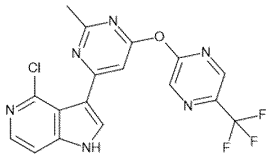
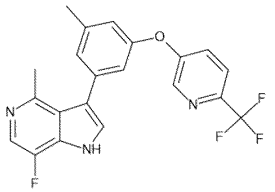
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
249	 4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol	1H NMR (300 MHz, DMSO) 8.74 (s, 2H), 8.10 (d, J = 5.8 Hz, 1H), 7.76 (d, J = 5.8 Hz, 1H), 7.62 (s, 1H), 7.27 (q, J = 1.3 Hz, 1H), 7.24 - 7.17 (m, 1H), 7.10 (s, 1H), 5.76 (d, J = 4.0 Hz, 1H), 4.97 (dt, J = 5.7, 2.9 Hz, 1H), 4.40 (s, 1H), 4.28 - 4.07 (m, 3H), 3.67 - 3.54 (m, 1H), 2.40 (s, 3H).	491,05 0.92 min DD
250	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetamide	1H NMR (400 MHz, DMSO) 8.74 (s, 2H), 8.04 (d, J = 5.7 Hz, 1H), 7.69 (s, 1H), 7.62 (s, 1H), 7.51 (d, J = 5.7 Hz, 1H), 7.36 (s, 1H), 7.24 (d, J = 1.9 Hz, 1H), 7.18 (t, J = 2.1 Hz, 1H), 7.10 (d, J = 2.1 Hz, 1H), 4.93 (s, 2H), 2.40 (s, 3H).	462,10 5.14 min K
251	 3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile	1H NMR (400 MHz, DMSO) 12.39 (s, 1H), 8.75 (d, J = 1.5 Hz, 2H), 8.40 (d, J = 5.5 Hz, 1H), 7.94 (s, 1H), 7.80 (d, J = 5.5 Hz, 1H), 7.39 (d, J = 1.7 Hz, 1H), 7.32 (t, J = 2.0 Hz, 1H), 7.13 (t, J = 2.0 H z, 1H), 2.41 (s, 3H).	396,00 0.92 min L

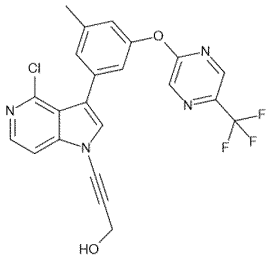
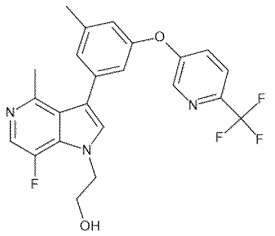
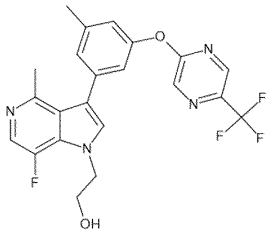
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
252	 3-[(3-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}phenoxy)methyl]-6-(trifluoromethyl)-pyridazine	1H NMR (400 MHz, DMSO) 9.22 (s, 1H), 8.35 (d, J = 8.8 Hz, 1H), 8.22 - 8.11 (m, 2H), 7.63 (d, J = 5.9 Hz, 1H), 7.47 (t, J = 7.9 Hz, 1H), 7.43 - 7.39 (m, 1H), 7.39 - 7.30 (m, 1H), 7.22 (dd, J = 8.2, 2.6 Hz, 1H), 5.66 (s, 2H).	406,00 0.83 min L
253	 {2-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(imino)-methyl-λ⁶-sulfanone	1H NMR (300 MHz, DMSO) 8.75 (s, 2H), 8.09 (d, J = 5.7 Hz, 1H), 7.80 - 7.67 (m, 2H), 7.25 (s, 1H), 7.14 (d, J = 23.2 Hz, 2H), 4.68 (t, J = 7.2 Hz, 2H), 3.96 (s, 1H), 3.64 (d, J = 8.1 Hz, 2H), 2.83 (s, 3H), 2.40 (s, 3H).	510,09 0.81 min L
254	 4-[4-chloro-3-(3-methyl-5-{[5-(tri-fluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]pyrrolidin-3-ol	1H NMR (300 MHz, DMSO-d6) 8.72 (s, 2H), 8.27 (s, 1H), 8.11 (d, J = 5.7 Hz, 1H), 7.90 (s, 1H), 7.80 (s, 1H), 7.72 (d, J = 5.8 Hz, 1H), 7.29 (s, 1H), 7.20 (s, 1H), 7.11 (s, 1H), 4.97 (s, 1H), 4.40 (d, J = 4.9 Hz, 1H), 3.46 - 3.26 (m, 3H), 2.97 (dd, J = 11.9, 4.5 Hz, 1H), 2.41 (s, 3H).	490,15 0.71 min T

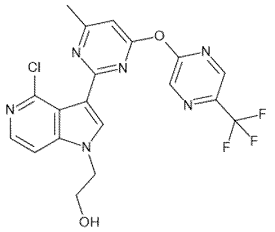
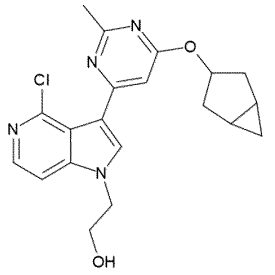
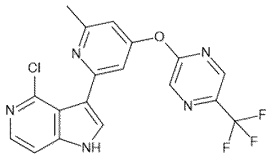
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
255	 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (300 MHz, DMSO) 8.74 (q, J = 1.5 Hz, 2H), 8.05 (d, J = 5.7 Hz, 1H), 7.70 (s, 1H), 7.64 (d, J = 5.8 Hz, 1H), 7.25 (d, J = 1.7 Hz, 1H), 7.19 (t, J = 2.1 Hz, 1H), 7.09 (d, J = 2.1 Hz, 1H), 4.67 (t , J = 4.9 Hz, 1H), 4.32 (t, J = 6.9 Hz, 2H), 3.38 (q, J = 5.8 Hz, 2H), 2.39 (s, 3H), 1.93 (p, J = 6.5 Hz, 2H).	463,05 1.19 min FF
256	 2-(3-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)pyrazine	1H NMR (300 MHz, DMSO) 8.76 (d, J = 4.7 Hz, 2H), 8.17 (d, J = 5.9 Hz, 1H), 7.63 (d, J = 5.9 Hz, 1H), 7.49 - 7.37 (m, 2H), 7.25 (d, J = 2.1 Hz, 1H), 2.43 (s, 3H).	406,10 1.66 min Y
257	 2-(3-{4-chloro-1-[(dimethylphosphoryl)-methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)pyrazine	1H NMR (300 MHz, DMSO) 8.73 (s, 2H), 8.07 (d, J = 5.8 Hz, 1H), 7.74 (d, J = 5.8 Hz, 1H), 7.62 (s, 1H), 7.24 (d, J = 1.8 Hz, 1H), 7.18 (m, 1H), 7.09 (m 1H), 4.80 (d, J = 6.5 Hz, 2H), 2.38 (s, 3H), 1.44 (d, J = 13.2 Hz, 6H).	495,15 1.98 min B

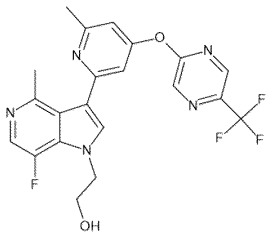
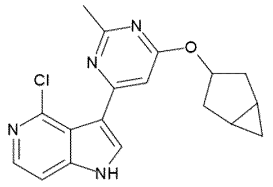
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
258	 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]cyclobutan-1-ol	1H NMR (300 MHz, DMSO) 8.77 - 8.72 (m, 2H), 8.04 (dd, J = 5.8, 3.2 Hz, 1H), 7.87 (s, 1H), 7.60 (dd, J = 10.3, 5.8 Hz, 1H), 7.29 (td, J = 1.5, 0.7 Hz, 1H), 7.22 (dd, J = 2.4, 1.5 Hz, 1H), 7.10 (td, J = 2.1, 1.0 Hz, 1H), 5.34 - 5.19 (m, 1H), 4.70 - 4.42 (m, 1H), 4.03 (h, J = 7.2 Hz, 1H), 2.91 (m, 1H), 2.71 (dt, J = 13.1, 6.7 Hz, 1H), 2.45 (d, J = 3.9 Hz, 1H), 2.42 - 2.27 (m, 4H).	475,00 0.88 min L
259	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO) 8.80 - 8.72 (m, 2H), 8.20 (d, J = 6.0 Hz, 1H), 7.78 (d, J = 6.0 Hz, 1H), 7.50 - 7.38 (m, 2H), 7.25 (q, J = 1.4, 0.8 Hz, 1H), 4.96 - 4.87 (m, 1H), 4.54 (t, J = 5.2 Hz, 2H), 3.84 (d, J = 5.1 Hz, 2H), 2.44 (s, 3H).	450,10 1.04 min T

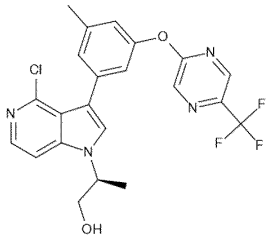
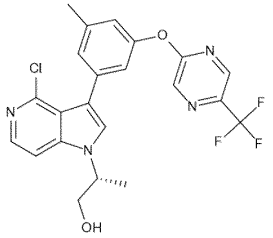
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
260	 1-(2-hydroxyethyl)-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile	1H NMR (300 MHz, DMSO) 8.76 (d, J = 1.7 Hz, 2H), 8.44 (dd, J = 5.7, 1.7 Hz, 1H), 8.03 - 7.92 (m, 2H), 7.38 (s, 1H), 7.31 (d, J = 2.1 Hz, 1H), 7.14 (d, J = 2.2 Hz, 1H), 4.99 (dt, J = 5.7, 2.8 Hz, 1H), 4.37 (t, J = 5.4 Hz, 2H), 3.78 (q, J = 5.2 Hz, 2H), 2.42 (s, 3H).	440,00 0.86 min L
261	 2-[4-chloro-3-(2-methyl-6-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO) 8.98 (s, 2H), 8.18 - 8.09 (m, 2H), 7.74 (d, J = 5.8 Hz, 1H), 7.48 (s, 1H), 5.00 (t, J = 5.2 Hz, 1H), 4.39 (t, J = 5.1 Hz, 2H), 3.77 (q, J = 5.1 Hz, 2H), 2.59 (s, 3H).	451,00 0.75 min L
263	 2-(3-{7-fluoro-4-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-5-(trifluoromethyl)pyrazine	1H NMR (300 MHz, DMSO) 12.29 (s, 1H), 8.74 (q, J = 1.6, 1.1 Hz, 2H), 8.04 (d, J = 2.6 Hz, 1H), 7.55 (s, 1H), 7.23 (td, J = 1.5, 0.8 Hz, 1H), 7.19 (d, J = 2.2 Hz, 1H), 7.12 (tt, J = 1.5, 0.7 Hz, 1H), 2 .45 - 2.38 (m, 6H).	403,00 0.89 min L

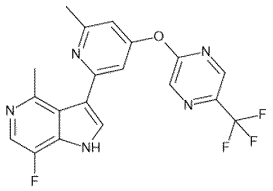
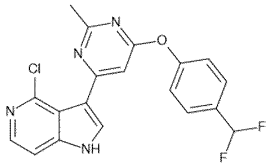
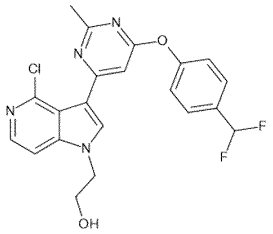
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
264	 2-[4-chloro-3-(6-methyl-4-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.83 (d, J = 9.7 Hz, 2H), 7.85 (s, 1H), 7.69 - 7.63 (m, 1H), 7.38 (d, J = 2.1 Hz, 1H), 7.19 (d, J = 2.1 Hz, 1H), 4.98 (s, 1H), 4.34 (d, J = 6.1 Hz, 2H), 3.82 ¨C 3.64 (m, 2H), 2. 55 (s, 3H).	450,15 1.40 min Y
265	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 12.33 (s, 1H), 9.05 - 8.94 (m, 2H), 8.12 - 8.02 (m, 2H), 7.58 - 7.46 (m, 2H), 2.57 (s, 3H).	407,00 1.04 min FF
266	 5-(3-{7-fluoro-4-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-2-(trifluoromethyl)pyridine	1H NMR (400 MHz, DMSO) 12.31 (s, 1H), 8.58 (d, J = 2.8 Hz, 1H), 8.03 (d, J = 2.5 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.60 (dd, J = 8.7, 2.8 Hz, 1H), 7.56 (s, 1H), 7.21 (s, 1H), 7.06 (dt, J = 8.9, 2.1 H z, 2H), 2.39 (d, J = 3.2 Hz, 6H).	402,05 1.25 min FF

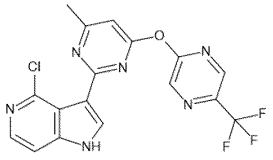
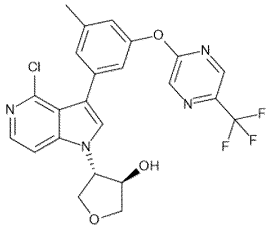
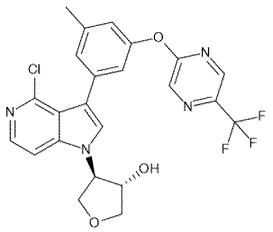
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
267	 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]prop-2-yn-1-ol	1H NMR (300 MHz, DMSO) 8.75 (s, 2H), 8.29 (d, J = 5.6 Hz, 1H), 7.96 (s, 1H), 7.70 (d, J = 5.6 Hz, 1H), 7.27 (d, J = 9.9 Hz, 2H), 7.17 (s, 1H), 5.49 (t, J = 5.9 Hz, 2H), 4.45 (d, J = 5.9 Hz, 2H), 2.40 (s, 3H), 1.24 (s, 1H).	459,10 1.09 min AA
268	 2-[7-fluoro-4-methyl-3-(3-methyl-5-{[6-(trifluoromethyl)pyridin-3-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.58 (d, J = 2.8 Hz, 1H), 8.03 (d, J = 3.7 Hz, 1H), 7.92 (d, J = 8.7 Hz, 1H), 7.60 (dd, J = 8.7, 2.8 Hz, 1H), 7.52 (s, 1H), 7.18 (s, 1H), 7.09 - 6.98 (m, 2H), 4.97 (t, J = 5.3 H z, 1H), 4.34 (t, J = 5.5 Hz, 2H), 3.76 (q, J = 5.4 Hz, 2H), 2.38 (d, J = 13.3 Hz, 6H).	446,10 1.19 min FF
269	 2-[7-fluoro-4-methyl-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.75 (s, 2H), 8.03 (d, J = 3.7 Hz, 1H), 7.52 (s, 1H), 7.20 (s, 1H), 7.15 (dt, J = 14.9, 2.2 Hz, 2H), 4.97 (t, J = 5.3 Hz, 1H), 4.35 (t, J = 5.5 Hz, 2H), 3.76 (q, J = 5.4 Hz, 2H) , 2.40 (d, J = 5.5 Hz, 6H).	447,00 1.64 min N

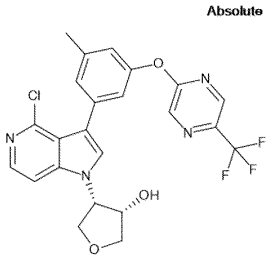
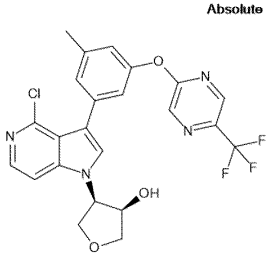
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
270	 2-[4-chloro-3-(4-methyl-6-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}pyrimidin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO) 9.04 - 8.94 (m, 2H), 8.11 - 8.01 (m, 2H), 7.65 (dd, J = 5.7, 2.7 Hz, 1H), 7.21 (d, J = 2.7 Hz, 1H), 4.96 (dt, J = 7.9, 3.7 Hz, 1H), 4.34 (s, 2H), 3.73 (s, 2H), 2.57 (d, J = 2.6 Hz, 3H).	451,00 0.73 min L
271	 2-[3-(6-{bicyclo[3.1.0]hexan-3-yloxy}-2-methylpyrimidin-4-yl)-4-chloro-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO) 8.10 (d, J = 5.7 Hz, 1H), 7.98 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.82 (d, J = 0.6 Hz, 1H), 5.56 (t, J = 6.7 Hz, 1H), 4.97 (t, J = 5.2 Hz, 1H), 4.35 (t, J = 5.1 Hz, 2H), 3.76 (q , J = 5.2 Hz, 2H), 2.54 (s, 3H), 2.28 (t, J = 11.4 Hz, 2H), 1.89 (d, J = 14.6 Hz, 2H), 1.45 - 1.29 (m, 2H), 0.61 - 0.39 (m, 2H).	385,15 0.78 min DD
272	 2-[(2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methylpyridin-4-yl)oxy]-5-(trifluoromethyl)pyrazine	1H NMR (400 MHz, CD3OD) 8.66 (d, J = 16.5 Hz, 2H), 8.04 (d, J = 5.8 Hz, 1H), 7.71 (d, J = 0.9 Hz, 1H), 7.51 (dd, J = 5.7, 1.1 Hz, 1H), 7.42 (s, 1H), 7.24 (s, 1H), 2.64 (s, 3H).	406,00 1.07 min T

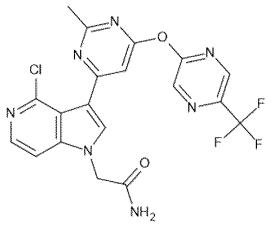
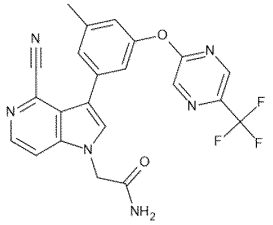
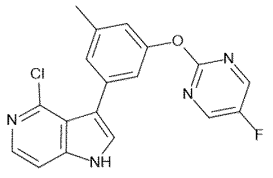
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
273	 2-[7-fluoro-4-methyl-3-(6-methyl-4-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) 8.89 - 8.83 (m, 2H), 8.26 - 8.06 (m, 1H), 7.73 (s, 1H), 7.40 (d, J = 2.1 Hz, 1H), 7.21 (d, J = 2.1 Hz, 1H), 4.98 (s, 1H), 4.36 (t, J = 5.4 Hz, 2H), 3.76 (t, J = 5.5 Hz, 2H), 2.57 (d, J = 10.3 Hz, 6H).	448,00 0.61 min L
274	 4-{bicyclo[3.1.0]hexan-3-yloxy}-6-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methylpyrimidine	1H NMR (300 MHz, DMSO) 12.32 (s, 1H), 8.06 (dd, J = 5.8, 3.3 Hz, 1H), 7.94 (d, J = 3.4 Hz, 1H), 7.51 (dd, J = 5.9, 3.3 Hz, 1H), 6.83 (d, J = 3.1 Hz, 1H), 5.56 (q, J = 6.2 Hz, 1H), 2.50 (s, 3H), 2.28 (d, J = 15.4 Hz, 2H), 1.89 (dd, J = 14.7, 3.2 Hz, 2H), 1.36 (dd, J = 7.5, 4.0 Hz, 2H), 0.50 (q, J = 4.8 Hz, 2H).	341,00 0.70 min DD

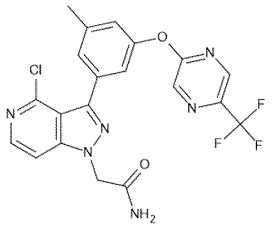
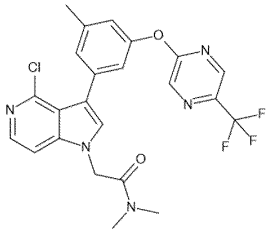
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
275	 (2S)-2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (700 MHz, DMSO) 1.46 (d, J = 6.8 Hz, 3H), 2.40 (s, 3H), 3.71 (t, J = 4.7 Hz, 2H), 4.72 (td, J = 6.9, 5.4 Hz, 1H), 4.99 (t, J = 5.4 Hz, 1H), 7.08 (dd, J = 2.4, 1.3 Hz, 1H), 7.19 (t, J = 2.0 Hz, 1H), 7.26 (t, J = 1.7 Hz, 1H), 7.66 (d, J = 5.8 Hz, 1H), 7.80 (s, 1H), 8.02 (d, J = 5.8 Hz, 1H), 8.73 (d, J = 1.2 Hz, 1H), 8.74 (d, J = 1.3 Hz, 1H).	463,00 - 465,10 1.59 min F
276	 (2R)-2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (700 MHz, DMSO) 1.46 (d, J = 6.8 Hz, 3H), 2.40 (s, 3H), 3.69 – 3.73 (m, 2H), 4.70 – 4.75 (m, 1H), 4.99 (s, 1H), 7.08 (t, J = 2.0 Hz, 1H), 7.19 (t, J = 2.1 Hz, 1H), 7.26 (d, J = 2.0 Hz, 1H), 7.66 (d, J = 5.8 Hz, 1H), 7.80 (s, 1H), 8.02 (d, J = 5.8 Hz, 1H), 8.73 (d, J = 1.3 Hz, 1H), 8.74 (s, 1H).	463,00 - 465,10 1.59 min F

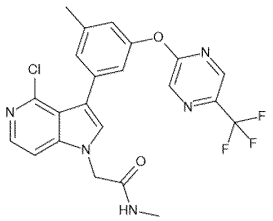
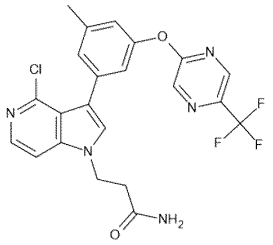
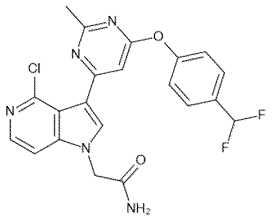
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
277	 2-[(2-{7-fluoro-4-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methylpyridin-4-yl)oxy]-5-(trifluoromethyl)pyrazine	1H NMR (300 MHz, DMSO) 8.84 (s, 2H), 8.06 (d, J = 2.4 Hz, 1H), 7.77 (s, 1H), 7.45 (d, J = 2.1 Hz, 1H), 7.18 (d, J = 2.1 Hz, 1H), 2.63 (s, 3H), 2.55 (s, 3H),.	404,05 0.68 min T
278	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-[4-(difluoromethyl)phenoxy]-2-methylpyrimidine	1H NMR (300 MHz, DMSO-d6) 12.45 (s, 1H), 8.11 - 7.99 (m, 2H), 7.69 (d, J = 8.2 Hz, 2H), 7.52 (d, J = 5.6 Hz, 1H), 7.41 (d, J = 8.3 Hz, 2H), 7.31 - 6.88 (m, 2H), 2.50 (s, 3H).	387,05 0.83 min DD
279	 2-(4-chloro-3-{6-[4-(difluoromethyl)phenoxy]-2-methylpyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	HALO,3.0*30mm,2um;Column Oven:40C;Mobile Phase A:H2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA; Flow rate: 1.2ml/min; Gradient:5%B to 100%B in 1.2min, hold 0.6 min; 254nm	430,95 0.74 min M

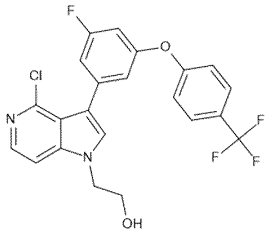
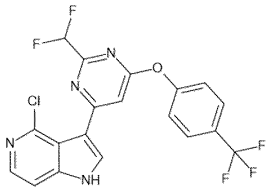
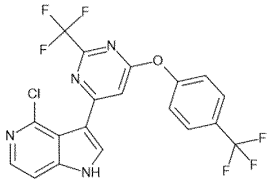
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
280	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-methyl-6-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}pyrimidine	1H NMR (400 MHz, DMSO-d6) 9.00 (d, J = 11.2 Hz, 2H), 8.05 - 7.98 (m, 2H), 7.47 (d, J = 5.5 Hz, 1H), 7.20 (s, 1H), 2.57 (s, 3H).	407,05 0.82 min T
281	Absolute  (3R,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol	1H NMR (300 MHz, DMSO-d6) 8.75 (s, 2H), 8.11 (d, J = 5.8 Hz, 1H), 7.77 (d, J = 5.8 Hz, 1H), 7.62 (s, 1H), 7.28 (s, 1H), 7.21 (s, 1H), 7.10 (s, 1H), 5.77 (d, J = 3.9 Hz, 1H), 4.98 (s, 1H), 4.40 (s, 1H) , 4.18 (m, , 3H), 3.62 (dd, J = 9.6, 3.3 Hz, 1H), 2.40 (s, 3H).	490,95 0.93 min DD
282	Absolute  (3S,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol	1H NMR (300 MHz, DMSO-d6) 8.75 (s, 2H), 8.11 (d, J = 5.8 Hz, 1H), 7.77 (d, J = 5.8 Hz, 1H), 7.62 (s, 1H), 7.32 - 7.25 (m, 1H), 7.21 (t, J = 2.1 Hz, 1H), 7.10 (m, 1H), 5.77 (d, J = 3.9 Hz, 1H), 5.02 - 4.94 (m, 1H), 4.40 (s, 1H), 4.18 (m, 3H), 3.62 (dd, J = 9.6, 3.3 Hz, 1H), 2.40 (s, 3H).	491,00 0.93 min DD

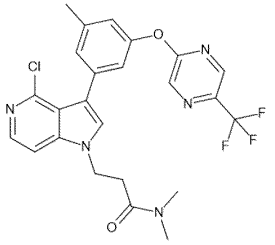
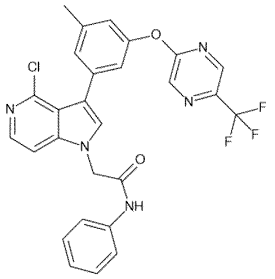
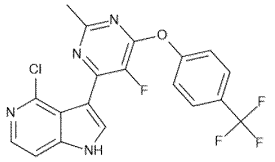
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
283	 (3S,4S)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol	1H NMR (300 MHz, DMSO-d6) 8.74 (s, 2H), 8.06 (d, J = 5.8 Hz, 1H), 7.75 (d, J = 5.8 Hz, 1H), 7.68 (s, 1H), 7.26 (s, 1H), 7.19 (t, J = 1.9 Hz, 1H), 7.09 (s, 1H), 5.30 (d, J = 5.1 Hz, 1H), 5.22 (q, J = 6 .7 Hz, 1H), 4.50 (dd, J = 5.4, 3.6 Hz, 1H), 4.23 (dd, J = 9.0, 7.0 Hz, 1H), 4.10 (m, 2H), 3.73 (dd, J = 9.4, 3.4 Hz, 1H), 2.40 (s, 3H).	490,95 0.90 min DD
284	 (3R,4R)-4-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]oxolan-3-ol	1H NMR (300 MHz, DMSO-d6) 8.74 (s, 2H), 8.06 (d, J = 5.8 Hz, 1H), 7.75 (d, J = 5.8 Hz, 1H), 7.68 (s, 1H), 7.26 (s, 1H), 7.19 (d, J = 2.5 Hz, 1H), 7.09 (s, 1H), 5.39 - 5.10 (m, 2H), 4.51 (d, J = 4.8 Hz , 1H), 4.23 (dd, J = 9.0, 6.9 Hz, 1H), 4.09 (m, m, 2H), 3.73 (dd, J = 9.4, 3.3 Hz, 1H), 2.40 (s, 3H).	490,95 0.90 min DD

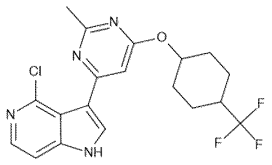
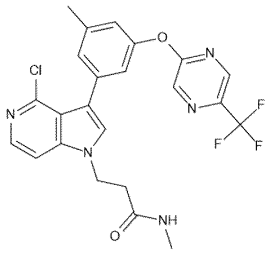
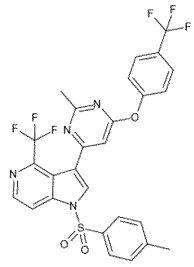
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
285	 2-[4-chloro-3-(2-methyl-6-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetamide	1H NMR (500 MHz, DMSO-d6) d 8.98 (q, J = 1.5 Hz, 2H), 8.14 (d, J = 5.7 Hz, 1H), 8.10 (s, 1H), 7.74 (s, 1H), 7.58 (d, J = 5.7 Hz, 1H), 7.49 (s, 1H), 7.39 (s, 1H), 5.02 (s, 2H), 2.59 (s, 3H).	464,00 1.45 min C
286	 2-[4-cyano-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetamide	1H NMR (500 MHz, DMSO-d6) d 8.75 (s, 2H), 8.45 (d, J = 5.7 Hz, 1H), 7.90 (s, 1H), 7.85 (d, J = 5.7 Hz, 1H), 7.71 (s, 1H), 7.38 (d, J = 1.8 Hz, 2H), 7.30 (t, J = 2.1 Hz, 1H), 7.15 (t, J = 1.6 Hz, 1H), 5.76 (s, 1H), 5.01 (s, 2H), 2.42 (s, 3H).	453,10 1.62 min C
287	 2-(3-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methylphenoxy)-5-fluoropyrimidine	1H NMR (700 MHz, DMSO-d6) d 12.12 (s, 1H), 8.74 (s, 2H), 8.00 (d, J = 5.6 Hz, 1H), 7.64 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.20 (d, J = 1.7 Hz, 1H), 7.08 (t, J = 1.9 Hz, 1H), 6.99 (t, J = 2.1 Hz, 1H), 2.38 (s, 3H).	355,10 1.54 min E

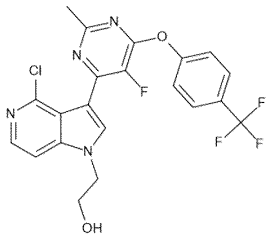
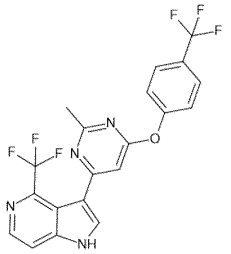
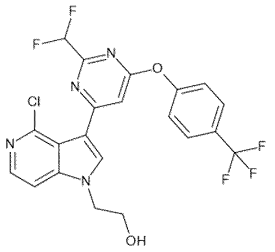
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
288	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoromethyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]acetamide	1H NMR (500 MHz, Chloroform-d) d 8.53 (d, J = 1.3 Hz, 1H), 8.46 (d, J = 1.3 Hz, 1H), 8.29 (d, J = 6.0 Hz, 1H), 7.52 – 7.49 (m, 1H), 7.39 (t, J = 2.0 Hz, 1H), 7.33 (d, J = 6.0 Hz, 1H), 7.16 – 7.13 (m, 1H), 5.95 (s, 1H), 5.58 (s, 1H), 5.09 (s, 2H), 2.50 (s, 3H).19F NMR (471 MHz, Chloroform-d) d -66.79.	462,70 1.66 min E
289	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N,N-dimethylacetamide	1H NMR (700 MHz, DMSO-d6) d 8.75 (q, J = 1.4 Hz, 2H), 8.03 (d, J = 5.7 Hz, 1H), 7.54 (d, J = 5.4 Hz, 2H), 7.23 (d, J = 1.7 Hz, 1H), 7.18 (t, J = 2.0 Hz, 1H), 7.10 (t, J = 2.0 Hz, 1H), 5.29 (s, 2H), 3. 12 (s, 3H), 2.87 (s, 3H), 2.40 (s, 3H).	490,00 - 493,00 1.76 min E

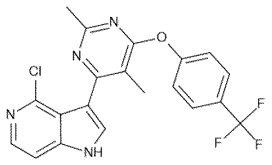
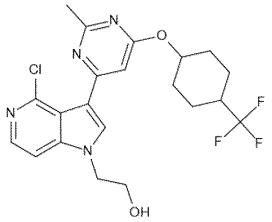
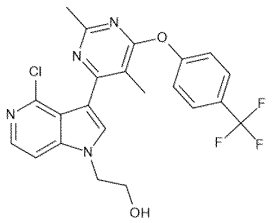
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
290	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-methylacetamide	1H NMR (700 MHz, DMSO-d6) d 8.75 (t, J = 2.0 Hz, 2H), 8.15 (q, J = 4.7 Hz, 1H), 8.05 (d, J = 5.7 Hz, 1H), 7.63 (s, 1H), 7.52 (d, J = 5.7 Hz, 1H), 7.25 (d, J = 1.7 Hz, 1H), 7.18 (t, J = 2.0 Hz, 1H), 7. 10 (t, J = 2.1 Hz, 1H), 4.95 (s, 2H), 2.64 (d, J = 4.6 Hz, 3H), 2.40 (s, 3H).	476,00 - 479,10 1.71 min E
291	 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propanamide	1H NMR (700 MHz, DMSO-d6) d 8.77 – 8.72 (m, 2H), 8.05 (d, J = 5.8 Hz, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.64 (s, 1H), 7.41 – 7.35 (m, 1H), 7.23 (d, J = 1.7 Hz, 1H), 7.17 (t, J = 2.0 Hz, 1H), 7.09 (t, J = 2.0 Hz, 1H), 6.96 – 6.86 (m, 1H), 4.47 (t, J = 6.7 Hz, 2H), 2.63 (t, J = 6.7 Hz, 2H), 2.40 (s, 3H).	476,10 - 479,00 1.67 min E
292	 2-(4-chloro-3-{6-[4-(difluoromethyl)phenoxy]-2-methylpyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)acetamide	1H NMR (700 MHz, DMSO) d 8.11 (d, J = 5.7 Hz, 1H), 8.05 (s, 1H), 7.72 (s, 1H), 7.69 (d, J = 8.2 Hz, 2H), 7.55 (d, J = 5.7 Hz, 1H), 7.42 (d, J = 8.4 Hz, 2H), 7.38 (s, 1H), 7.18 – 7.00 (m, 2H), 5.00 (s, 2H), 2.51 (s, 3H).	444,10 - 447,10 1.43 min E

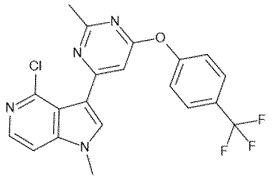
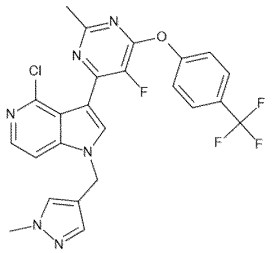
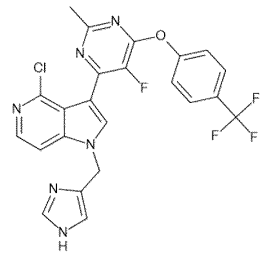
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
293	 2-(4-chloro-3-{3-fluoro-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO-d6) d 8.04 (d, J = 5.7 Hz, 1H), 7.78 (d, J = 8.5 Hz, 2H), 7.74 (s, 1H), 7.65 (d, J = 5.8 Hz, 1H), 7.30 – 7.24 (m, 2H), 7.19 (ddd, J = 9.6, 2.4, 1.4 Hz, 1H), 7.07 (dt, J = 9.9, 2.3 Hz, 1H), 7.02 (t, J = 1.7 Hz, 1H), 4.93 (t, J = 5.3 Hz, 1H), 4.30 (t, J = 5.2 Hz, 2H), 3.75 (q, J = 5.2 Hz, 2H).	451,00 1.68 min D
294	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-(difluoromethyl)-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (700 MHz, DMSO-d6) d 12.62 (d, J = 2.8 Hz, 1H), 8.18 (d, J = 2.9 Hz, 1H), 8.11 (dd, J = 5.5, 1.2 Hz, 1H), 7.90 (d, J = 8.5 Hz, 2H), 7.59 (d, J = 8.5 Hz, 2H), 7.57 (d, J = 5.6 Hz, 1H), 7.54 (s, 1H), 6.85 (t, J = 53.9 Hz, 1H).	440,90 - 444,00 1.68 min D
295	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-(trifluoromethyl)-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (700 MHz, DMSO) d 12.67 (s, 1H), 8.24 (s, 1H), 8.12 (d, J = 5.6 Hz, 1H), 7.91 (d, J = 8.4 Hz, 2H), 7.66 (s, 1H), 7.61 (d, J = 8.6 Hz, 2H), 7.57 (d, J = 5.5 Hz, 1H)	459,00 - 461,00 1.80 min D

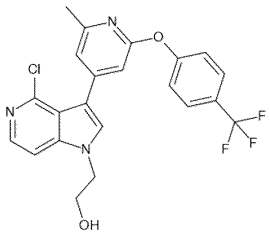
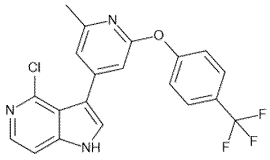
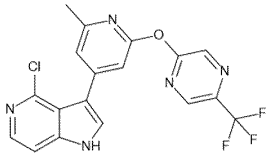
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
296	 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N,N-dimethylpropanamide	1H NMR (400 MHz, DMSO) d 8.74 (d, J = 1.4 Hz, 1H), 8.73 (d, J = 1.3 Hz, 1H), 8.04 (d, J = 5.7 Hz, 1H), 7.71 (s, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.25 – 7.22 (m, 1H), 7.16 (t, J = 2.0 Hz, 1H), 7.12 – 7.07 (m, 1H), 4.47 (t, J = 6.8 Hz, 2H), 2.88 (s, 5H), 2.79 (s, 3H), 2.39 (s, 3H).	504,10 - 507,00 1.79 min E
297	 2-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-phenylacetamide	1H NMR (400 MHz, DMSO) d 10.40 (s, 1H), 8.86 – 8.64 (m, 2H), 8.06 (d, J = 5.7 Hz, 1H), 7.70 (s, 1H), 7.61 (d, J = 5.8 Hz, 1H), 7.59 (dd, J = 8.6, 1.2 Hz, 2H), 7.36 – 7.28 (m, 2H), 7.26 (dq, J = 1.5, 0 .8 Hz, 1H), 7.20 (t, J = 2.0 Hz, 1H), 7.13 – 7.03 (m, 2H), 5.20 (s, 2H), 2.45 – 2.38 (m, 3H).	538.1 1.772 min A
298	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO-d6) d 12.52 (d, J = 2.8 Hz, 1H), 8.10 (d, J = 5.6 Hz, 1H), 7.99 (d, J = 2.8 Hz, 1H), 7.89 (d, J = 8.4 Hz, 2H), 7.59 (d, J = 8.4 Hz, 2H), 7.57 (d, J = 5.6 Hz, 1H), 2.47 (s, 3H).	423,00 - 425,00 1.78 min E

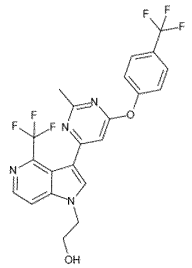
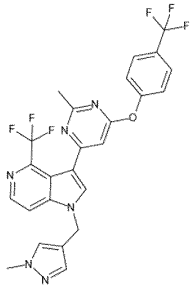
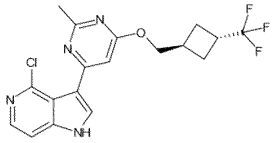
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
299	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.39 (s, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.97 (d, J = 2.4 Hz, 1H), 7.52 (d, J = 5.6 Hz, 1H), 6.95 (s, 1H), 5.08 (dq, J = 10.4, 4.8 Hz, 1H), 2.57 (s, 3H), 2.44 – 2.33 (m, 1H), 2.21 (dd, J = 8.9, 4.7 Hz, 2H), 1.96 (dt, J = 10.1, 3.1 Hz, 2H), 1.58 – 1.41 (m, 4H).	411,10 - 414,00 1.63 min E
300	 3-[4-chloro-3-(3-methyl-5-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-methylpropanamide	1H NMR (500 MHz, DMSO) d 8.78 – 8.71 (m, 2H), 8.05 (d, J = 5.7 Hz, 1H), 7.82 (q, J = 4.7 Hz, 1H), 7.64 (d, J = 5.8 Hz, 1H), 7.62 (s, 1H), 7.22 (q, J = 1.2 Hz, 1H), 7.15 (t, J = 2.1 Hz, 1H), 7.09 (d, J = 2.0 Hz, 1H), 4.48 (t, J = 6.7 Hz, 2H), 2.62 (t, J = 6.7 Hz, 2H), 2.52 (s, 3H), 2.39 (s, 3H).	490,00 - 493,10 1.71 min E
301	 2-methyl-4-[1-(4-methylbenzenesulfonyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 8.69 (d, J = 5.7 Hz, 1H), 8.59 (s, 1H), 8.37 (d, J = 5.7 Hz, 1H), 8.12 (d, 2H), 7.87 (d, J = 8.5 Hz, 2H), 7.53 – 7.46 (m, 4H), 7.39 (s, 1H), 2.44 (s, 3H), 2.37 (s, 3H). Spektr um	593,00 - 595,00 2.16 min E

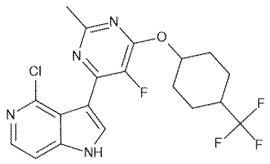
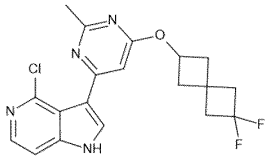
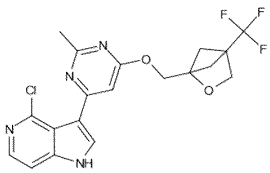
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
302	 2-{4-chloro-3-{5-fluoro-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, CDCl3) d 8.18 (d, J = 5.8 Hz, 1H), 7.72 (d, J = 8.4 Hz, 2H), 7.62 (s, 1H), 7.39 (d, J = 8.4 Hz, 2H), 7.32 (d, J = 5.8 Hz, 1H), 4.36 (t, J = 5.0 Hz, 2H), 4.04 (t, J = 5.0 Hz, 2H), 2.57 (d, J = 1.1 Hz, 3H).	467,00 - 469,00 1,74 min. E
303	 2-methyl-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (400 MHz, DMSO) d 12.54 (s, 1H), 8.41 (d, J = 5.5 Hz, 1H), 8.14 (s, 1H), 7.88 – 7.81 (m, 2H), 7.79 (d, J = 5.5 Hz, 1H), 7.52 – 7.46 (m, 2H), 7.13 (s, 1H), 2.46 (s, 3H). Spektrum	439,00 1,77 min. E
304	 2-{4-chloro-3-[2-(difluoromethyl)-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl]-1H-pyrrolo[3,2-c]pyridin-1-yl}ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.18 (s, 1H), 8.14 (d, J = 5.7 Hz, 1H), 7.89 (d, J = 8.5 Hz, 2H), 7.74 (d, J = 5.7 Hz, 1H), 7.58 (d, J = 8.4 Hz, 2H), 7.48 (s, 1H), 6.85 (t, J = 54.0 Hz, 1H), 4.97 (t, J = 5.3 Hz, 1H), 4.39 (t, J = 5.1 Hz, 2H), 3.86 – 3.71 (m, 2H), 3.31 (s, 3H), 3.29 (s, 2H).	485,00 - 488,00 1,77 min. E

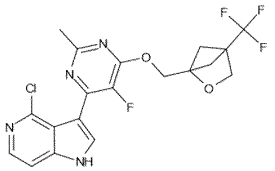
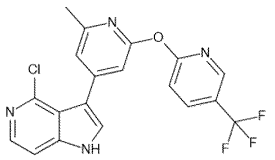
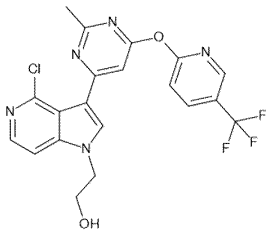
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
305	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2,5-dimethyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 12.30 – 12.26 (m, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.88 – 7.83 (m, 2H), 7.77 (d, J = 2.7 Hz, 1H), 7.54 (d, J = 5.6 Hz, 1H), 7.52 – 7.46 (m, 2H), 2.42 (s, 3H), 2.17 (s, 3H), 1.24 (s, 1H).	419,00 - 421,00 1,72 min. E
306	 2-[4-chloro-3-(2-methyl-6-{[4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.68 (d, J = 5.7 Hz, 1H), 7.66 – 7.52 (m, 0H), 6.88 (s, 1H), 5.06 (d, J = 4.5 Hz, 0H), 4.95 (t, J = 5.3 Hz, 1H), 4.34 (t, J = 5.2 Hz, 2 H), 3.74 (t, J = 5.8 Hz, 2H), 2.55 (s, 3H), 2.45 – 2.32 (m, 1H), 2.20 (t, J = 6.6 Hz, 2H), 1.95 (dd, J = 9.2, 5.7 Hz, 2H), 1.49 (q, J = 13.3 Hz, 4H).	455,10 - 457,10 1,61 min. E
307	 2-(4-chloro-3-{2,5-dimethyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.85 (d, J = 8.5 Hz, 2H), 7.78 (s, 1H), 7.70 (d, J = 5.8 Hz, 1H), 7.49 (d, J = 8.4 Hz, 2H), 4.99 (t, J = 5.2 Hz, 1H), 4.37 (t, J = 5.3 Hz, 2H), 3.91 – 3.70 (m, 2H), 2.42 (s, 3H), 2.19 (s, 3H).	463,10 - 465,10 1,69 min. E

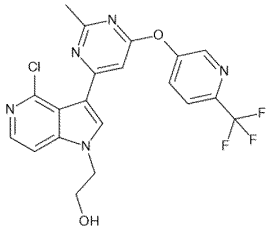
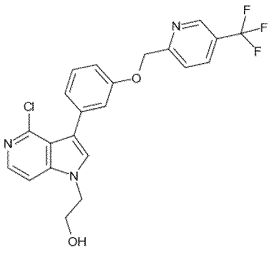
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
308	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 8.14 (d, J = 5.7 Hz, 1H), 8.09 (s, 1H), 7.85 (d, J = 8.5 Hz, 2H), 7.67 (d, J = 5.7 Hz, 1H), 7.50 (d, J = 8.4 Hz, 2H), 7.16 (s, 1H), 3.92 (s, 3H), 2.51 (s, 3H).	419,00 1,57 D
309	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (400 MHz, DMSO) d 8.15 (d, J = 5.8 Hz, 1H), 8.07 (s, 1H), 7.94 – 7.84 (m, 3H), 7.79 (s, 1H), 7.58 (d, J = 8.4 Hz, 2H), 7.53 (d, J = 0.8 Hz, 1H), 5.42 (s, 2H), 3.78 (s, 3H), 2.46 (d, J = 1.0 Hz, 3H).	517,10 - 520,00 1,82 min. E
310	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.8 Hz, 1H), 7.99 (s, 1H), 7.92 – 7.83 (m, 3H), 7.62 (d, J = 1.3 Hz, 1H), 7.58 (d, J = 8.5 Hz, 2H), 7.24 (s, 1H), 5.43 (s, 2H), 2.45 (s, 3H).	503,00 - 505,10 1,47 min. E

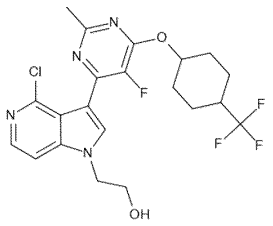
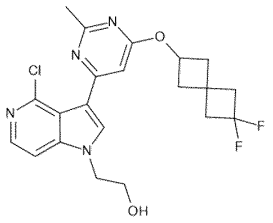
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
311	 2-{4-chloro-3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyridin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.09 (d, J = 5.7 Hz, 1H), 7.87 (s, 1H), 7.79 (d, J = 8.5 Hz, 2H), 7.70 (d, J = 5.7 Hz, 1H), 7.36 (d, J = 8.4 Hz, 2H), 7.24 (d, J = 1.2 Hz, 1H), 7.00 (s, 1H), 5.02 (s, 1H), 4. 34 (t, J = 5.2 Hz, 2H), 3.77 (t, J = 5.2 Hz, 2H), 2.41 (s, 3H).	448,10 1,64 min. W
312	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyridine	1H NMR (400 MHz, DMSO-d6) 12.35 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.86 (s, 1H), 7.79 (d, J = 8.4 Hz, 2H), 7.52 (d, J = 5.6 Hz, 1H), 7.35 (d, J = 8.3 Hz, 2H), 7.26 (s, 1H), 7.03 (s, 1H), 2.41 (s, 3H).	404,15 2,35 min. X
313	 2-[(4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methylpyridin-2-yl)oxy]-5-(trifluoro-methyl)pyrazine	1H NMR (300 MHz, DMSO-d6) d 8.89 - 8.78 (m, 2H), 7.90 (d, J = 5.5 Hz, 1H), 7.83 (s, 1H), 7.52 (d, J = 5.5 Hz, 1H), 7.37 (d, J = 1.3 Hz, 1H), 7.20 (s, 1H), 2.43 (s, 3H).	406,10 1,50 min. CC

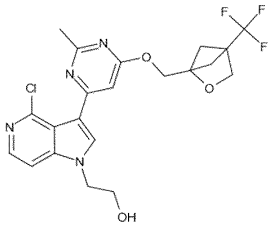
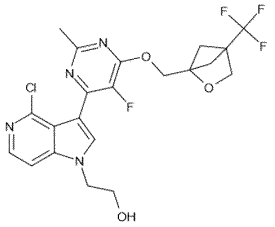
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
314	 2-(3-{2-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol Trifluoroacetate salt	1H NMR (500 MHz, DMSO) d 8.44 (d, J = 5.6 Hz, 1H), 8.15 (s, 1H), 7.97 (d, J = 5.6 Hz, 1H), 7.86 (d, J = 8.5 Hz, 2H), 7.50 (d, J = 8.4 Hz, 2H), 7.07 (s, 1H), 4.41 (t, J = 5.2 Hz, 2H), 3.78 (t, J = 5.2 Hz, 2H), 2.47 (s, 3H). Spektrum	483,00 1,73 min. E
315	 2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-[4-(trifluoromethyl)phenoxy]pyrimidine Trifluoroacetate salt	1H NMR (500 MHz, DMSO) d 8.46 (d, J = 5.6 Hz, 1H), 8.24 (s, 1H), 8.10 (d, J = 5.7 Hz, 1H), 7.85 (d, J = 8.5 Hz, 2H), 7.78 (s, 1H), 7.54 (s, 1H), 7.49 (d, J = 8.4 Hz, 2H), 7.10 (s, 1H), 5.43 (s, 2H), 3 .76 (s, 3H), 2.45 (s, 3H). Spektrum	533,10 1,81 min. E
316	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,3r)-3-(trifluoromethyl)-cyclobutyl]methoxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.41 (s, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.98 (d, J = 2.6 Hz, 1H), 7.53 (d, J = 5.6 Hz, 1H), 7.02 (s, 1H), 4.46 (d, J = 6.9 Hz, 2H), 3.33 – 3.18 (m, 1H), 2.84 – 2.75 (m, 1H), 2.59 (s, 3H), 2.31 – 2.21 (m, 2H), 2.17 – 2.08 (m, 2H).	397,00 1,58 min E

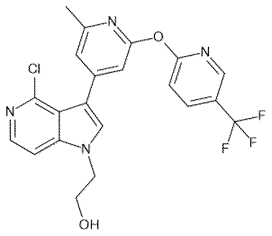
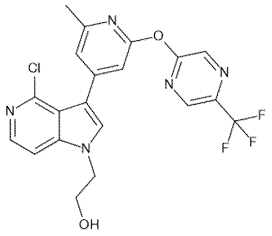
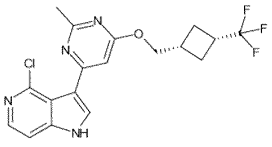
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
317	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.41 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.89 (s, 1H), 7.53 (d, J = 5.6 Hz, 1H), 5.16 (tt, J = 10.8, 4.4 Hz, 1H), 2.56 – 2.53 (m, 3H), 2.46 – 2.33 (m, 1H), 2.28 – 2.21 (m, 2H), 2.01 – 1.93 (m, 2H), 1.65 – 1.54 (m, 2H), 1.54 – 1.43 (m, 2H).	429,10 - 431,00 1,82 min E
318	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-({6,6-difluorospiro[3.3]heptan-2-yl}oxy)-2-methylpyrimidine	1H NMR (500 MHz, DMSO) d 12.35 (s, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.93 (s, 1H), 7.51 (d, J = 5.6 Hz, 1H), 6.89 (s, 1H), 5.19 (p, J = 7.2 Hz, 1H), 2.77 – 2.69 (m, 2H), 2.68 – 2.60 (m, 4H), 2.53 (s, 3H), 2.34 – 2.26 (m, 2H).	391,10 - 394,10 1,52 min E
319	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[4-(trifluoromethyl)-2-oxabicyclo[2.1.1]hexan-1-yl]methoxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.35 (d, J = 2.8 Hz, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.96 (d, J = 2.6 Hz, 1H), 7.51 (d, J = 5.6 Hz, 1H), 6.97 (s, 1H), 4.67 (s, 2H), 3.89 (s, 2H), 2.56 (s, 3H), 2.18 (dd, J = 4.6, 1.7 Hz, 2H), 1.88 (dd, J = 4.6, 1.7 Hz, 2H).	425,00 - 428,00 1,49 min E

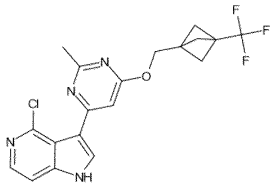
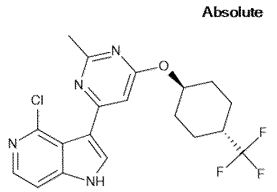
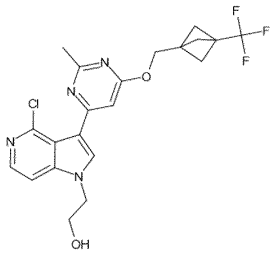
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
320	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[4-(trifluoromethyl)-2-oxa-bicyclo[2.1.1]hexan-1-yl]methoxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.45 – 12.41 (m, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.90 (d, J = 2.8 Hz, 1H), 7.54 (d, J = 5.6 Hz, 1H), 4.78 (s, 2H), 3.91 (s, 2H), 2.56 (s, 3H), 2.20 (dd, J = 4.6, 1.7 Hz, 2H), 1.90 (dd, J = 4.6, 1.7 Hz, 2H).	443,00 - 446,00 1,63 min E
321	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) d 12.34 (s, 1H), 8.65 (s, 1H), 8.28 (d, J = 8.7 Hz, 1H), 8.05 (dd, J = 5.5, 2.2 Hz, 1H), 7.85 (s, 1H), 7.51 (dd, J = 5.6, 2.3 Hz, 1H), 7.33 (d, J = 5.0 Hz, 2H), 7.14 (s, 1H), 2.44 (d, J = 2.2 Hz, 3H).	405,15 1,08 min. Y
322	 2-[4-chloro-3-(2-methyl-6-{[5-(trifluoro-methyl)pyridin-2-yl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) d 8.64 (d, J = 2.3 Hz, 1H), 8.18 - 8.07 (m, 3H), 7.86 - 7.71 (m, 2H), 6.74 (d, J = 9.7 Hz, 1H), 4.99 (t, J = 5.2 Hz, 1H), 4.39 (t, J = 5.1 Hz, 2H), 3.77 (q, J = 5.2 Hz, 2H), 2.74 (s, 3H).	450,00 0,78 min. G

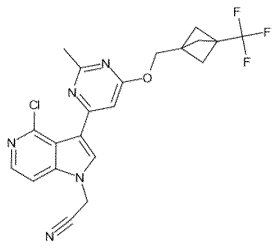
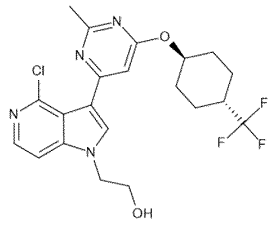
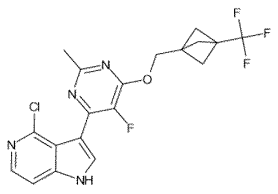
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
323	 2-[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) d 8.81 (d, J = 2.2 Hz, 1H), 8.17 - 8.01 (m, 4H), 7.72 (d, J = 5.7 Hz, 1H), 7.29 (s, 1H), 4.98 (t, J = 5.2 Hz, 1H), 4.38 (t, J = 5.1 Hz, 2H), 3.77 (q, J = 5.2 Hz, 2H), 2.51 (s , 3H).	450,15 1,39 min. Y
324	 2-[4-chloro-3-(3-{[5-(trifluoromethyl)pyridin-2-yl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 9.00 – 8.96 (m, 1H), 8.27 (dd, J = 8.4, 2.4 Hz, 1H), 8.03 (d, J = 5.8 Hz, 1H), 7.77 (d, J = 8.3 Hz, 1H), 7.67 – 7.61 (m, 2H), 7.35 (t, J = 7.9 Hz, 1H), 7.13 (dd, J = 2.6, 1.5 Hz, 1H), 7.09 (dt, J = 7.5, 1.3 Hz, 1H), 7.03 (ddd, J = 8.3, 2.7, 1.0 Hz, 1H), 5.37 (s, 2H), 4.31 (t, J = 5.3 Hz, 2H), 3.76 (t, J = 5.3 Hz, 2H). Spektrum	448,00 1,66 min. E

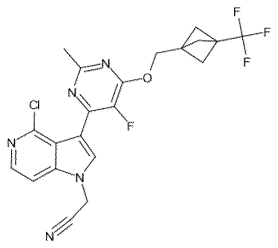
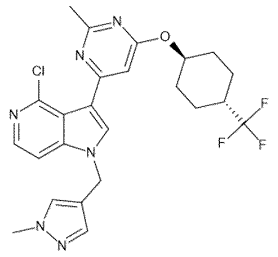
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
325	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1s,4s)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.8 Hz, 1H), 7.90 (s, 1H), 7.70 (d, J = 5.8 Hz, 1H), 5.17 (ddd, J = 10.9, 6.4, 4.4 Hz, 1H), 4.98 (t, J = 5.2 Hz, 1H), 4.36 (t, J = 5.2 Hz, 2H), 3.76 (t, J = 5.8 Hz, 2H), 2.55 (s, 3H), 2.46 – 2.35 (m, 1H), 2.24 (dd, J = 12.6, 4.2 Hz, 2H), 2.05 – 1.90 (m, 2H), 1.70 – 1.41 (m, 5H).	473,10 - 475,10 1,77 min. E
326	 2-{4-chloro-3-[6-({6,6-difluoro-spiro[3.3]heptan-2-yl}oxy)-2-methylpyrimidin-4-yl]-1H-pyrrolo[3,2-c]pyridin-1-yl}ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.88 (s, 1H), 5.76 (s, 0H), 5.20 (t, J = 7.2 Hz, 1H), 4.96 (t, J = 5.3 Hz, 1H), 4.35 (t, J = 5.2 Hz, 2H), 3.75 (t, J = 5.1 Hz, 2H), 2.81 – 2.59 (m, 6H), 2.54 (s, 4H), 2.36 – 2.27 (m, 2H).	435,10 - 438,10 1,49 min. E

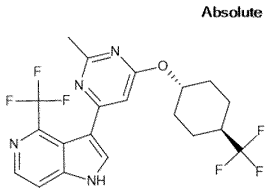
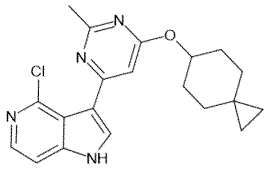
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
327	 2-[4-chloro-3-(2-methyl-6-{[4-(trifluoro-methyl)-2-oxabicyclo[2.1.1]hexan-1-yl]methoxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.96 (s, 1H), 5.76 (s, 0H), 4.96 (t, J = 5.3 Hz, 1H), 4.68 (s, 2H), 4.35 (t, J = 5.2 Hz, 2H), 3.90 (s, 2H), 3 .76 (t, J = 5.1 Hz, 2H), 2.57 (s, 3H), 2.19 (dd, J = 4.6, 1.7 Hz, 2H), 1.89 (dd, J = 4.6, 1.7 Hz, 2H).	469,10 - 472,00 1,47 min. E
328	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[4-(trifluoromethyl)-2-oxabicyclo[2.1.1]hexan-1-yl]methoxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.8 Hz, 1H), 7.92 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 4.99 (t, J = 5.2 Hz, 1H), 4.78 (s, 2H), 4.37 (t, J = 5.2 Hz, 2H), 3.91 (s, 2H), 3.85 – 3.70 (m, 2H), 2.56 (d, J = 1.0 Hz, 3H), 2.20 (dd, J = 4.6, 1.7 Hz, 2H), 1.90 (dd, J = 4.6, 1.7 Hz, 2H).	487,10 - 490,00 1,60 min. E

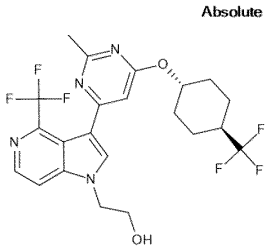
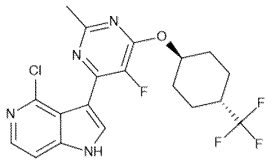
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
329	 2-[4-chloro-3-(2-methyl-6-{[5-(trifluoro-methyl)pyridin-2-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) ¦Ä 8.69 ¨C 8.61 (m, 1H), 8.29 (dd, J = 8.7, 2.6 Hz, 1H), 8.09 (d, J = 5.7 Hz, 1H), 7.87 (s, 1H), 7.69 (d, J = 5.8 Hz, 1H), 7.34 (d, J = 9.3 Hz, 2H), 7.12 (s, 1H), 4.96 (t, J = 5.3 Hz, 1H), 4.33 (t, J = 5.2 Hz, 2H), 3.77 (q, J = 5.2 Hz, 2H), 2.45 (s, 3H).	449,20 1,05 min. Y
330	 2-[4-chloro-3-(2-methyl-6-{[5-(trifluoro-methyl)pyrazin-2-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) ¦Ä 8.87 ¨C 8.79 (m, 2H), 8.09 (dd, J = 5.9, 2.9 Hz, 1H), 7.88 (d, J = 3.2 Hz, 1H), 7.69 (dd, J = 6.1, 2.8 Hz, 1H), 7.38 (d, J = 1.3 Hz, 1H), 7.24 (s, 1H), 4.97 (t, J = 5.2 Hz , 1H), 4.34 (t, J = 5.2 Hz, 2H), 3.77 (q, J = 5.2 Hz, 2H), 2.46 (d, J = 3.5 Hz, 3H).	450,15 1,06 min. Y
331	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1s,3s)-3-(trifluoromethyl)-cyclobutyl]methoxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.45 (s, 1H), 8.08 (d, J = 5.6 Hz, 1H), 8.01 (d, J = 2.7 Hz, 1H), 7.54 (d, J = 5.6 Hz, 1H), 7.02 (s, 1H), 4.37 (d, J = 6.2 Hz, 2H), 3.19 – 3.08 (m, 1H), 2.85 – 2.72 (m, 1H), 2.59 (s, 3H), 2.31 – 2.21 (m, 2H), 2.03 – 1.93 (m, 2H).	397,00 1,53 - 1,55 min E

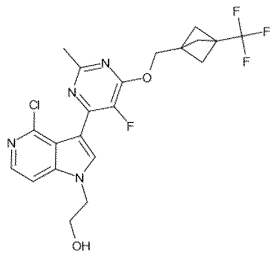
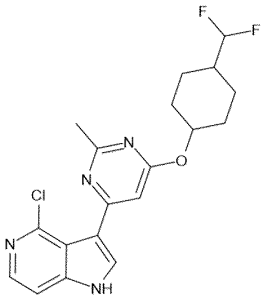
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
332	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[3-(trifluoromethyl)bicyclo-[1.1.1]pentan-1-yl]methoxy}pyrimidine	1H NMR (400 MHz, DMSO) d 12.46 (s, 1H), 8.09 (d, J = 5.6 Hz, 1H), 8.02 (d, J = 2.7 Hz, 1H), 7.54 (d, J = 5.6 Hz, 1H), 7.06 (s, 1H), 4.54 (s, 2H), 2.60 (s, 3H), 2.01 (s, 6H). Spektrum	409,00 1,66 min. E
333	Absolute  4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.33 (s, 1H), 8.05 (dd, J = 5.6, 0.8 Hz, 1H), 7.94 (d, J = 2.5 Hz, 1H), 7.50 (d, J = 5.6 Hz, 1H), 6.89 (s, 1H), 5.07 (dd, J = 7.6, 3.2 Hz, 1H), 2.54 (s, 3H), 2.45 – 2.31 (m, 1H), 2.20 (t, J = 6.6 Hz, 2H), 2.05 – 1.87 (m, 2H), 1.59 – 1.41 (m, 4H).	411,10 - 413,00 1,62 min. E
334	 2-[4-chloro-3-(2-methyl-6-{[3-(trifluoro-methyl)bicyclo[1.1.1]pentan-1-yl]methoxy}-pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 6.99 (s, 1H), 4.51 (s, 2H), 4.35 (t, J = 5.2 Hz, 2H), 4.10 (s, 24H), 3.76 (t, J = 5.2 Hz, 2H), 2.57 (s, 3H), 2.01 (s, 6H). Spektrum	453,10 1,63 min E

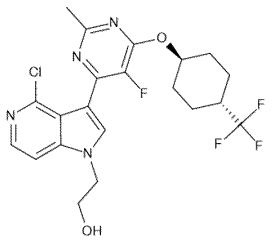
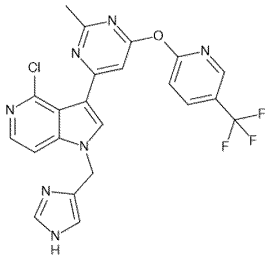
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
335	 2-[4-chloro-3-(2-methyl-6-{[3-(trifluoro-methyl)bicyclo[1.1.1]pentan-1-yl]methoxy}-pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetonitrile	1H NMR (500 MHz, DMSO) d 8.25 (d, J = 5.7 Hz, 1H), 8.09 (s, 1H), 7.83 (d, J = 5.7 Hz, 1H), 7.03 (s, 1H), 5.70 (s, 2H), 4.73 (s, 13H), 4.52 (s, 2H), 2.57 (s, 3H), 2.01 (s, 6H). Spektrum	448,10 1,79 min E
336	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(tri-fluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 7.98 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.88 (s, 1H), 5.12 – 5.03 (m, 1H), 4.95 (d, J = 5.4 Hz, 1H), 4.35 (t, J = 5.2 Hz, 2H), 3.76 (q, J = 5.2 Hz, 2 H), 2.55 (s, 3H), 2.41 – 2.37 (m, 1H), 2.24 – 2.18 (m, 2H), 2.00 – 1.93 (m, 2H), 1.58 – 1.50 (m, 2H), 1.50 – 1.42 (m, 2H).	455,10 - 458,10 1,46 min. E
337	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[3-(trifluoro-methyl)bicyclo[1.1.1]pentan-1-yl]methoxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.43 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.90 (d, J = 2.6 Hz, 1H), 7.53 (d, J = 5.6 Hz, 1H), 4.59 (s, 2H), 2.54 (d, J = 0.9 Hz, 3H), 2.01 (s, 6H). Spektrum	427,00 1,78 min E

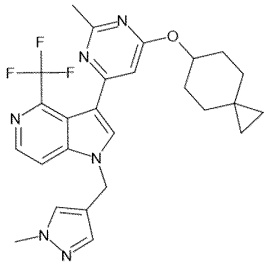
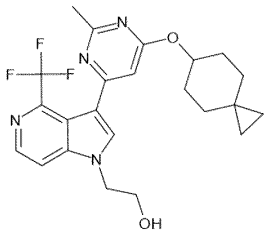
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
338	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]-methoxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetonitrile	1H NMR (500 MHz, DMSO) d 8.24 (d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.84 (d, J = 5.8 Hz, 1H), 5.71 (s, 2H), 4.61 (s, 2H), 2.54 (s, 3H), 2.02 (s, 6H). Spektrum	466,00 1,85 min E
339	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.7 Hz, 1H), 8.06 (s, 1H), 7.81 (d, J = 5.7 Hz, 1H), 7.77 (s, 1H), 7.57 – 7.48 (m, 1H), 6.88 (s, 1H), 5.37 (s, 2H), 5.07 (dt, J = 10.6, 5.3 Hz, 1H), 3.76 (s, 3H), 2.55 (s, 3H), 2.45 – 2.32 (m, 1H), 2.20 (t, J = 6.6 Hz, 2H), 2.06 – 1.88 (m, 2H), 1.50 (qd, J = 14.9, 8.1 Hz, 4H).	505,10 - 508,20 1,52 min. E

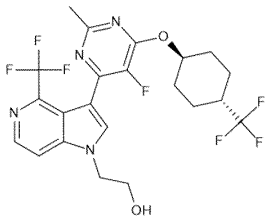
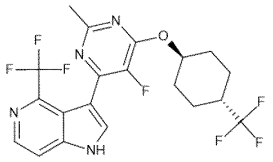
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
340	 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (500 MHz, DMSO) d 12.44 (s, 1H), 8.38 (d, J = 5.5 Hz, 1H), 8.02 (s, 1H), 7.76 (d, J = 5.5 Hz, 1H), 6.80 (s, 1H), 5.12 – 5.03 (m, 1H), 2.50 (s, 3H), 2.44 – 2.26 (m, 1H), 2.26 – 2.15 (m, 2H), 2.04 – 1.93 (m, 2H), 1.58 – 1.49 (m, 2H), 1.49 – 1.41 (m, 2H).	445,10 1,57 min. D
341	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{spiro[2.5]octan-6-yloxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.38 – 12.34 (m, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.94 (d, J = 2.6 Hz, 1H), 7.50 (d, J = 5.6 Hz, 1H), 6.89 (s, 1H), 5.25 – 5.17 (m, 1H), 2.54 (s, 3H), 2.01 – 1.93 (m, 2H), 1.73 – 1.62 (m, 2H), 1.58 – 1.46 (m, 2H), 1.31 – 1.22 (m, 2H), 0.36 – 0.23 (m, 4H).	369,10 1,51 min. D

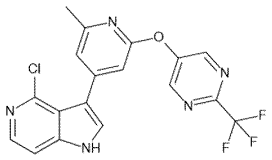
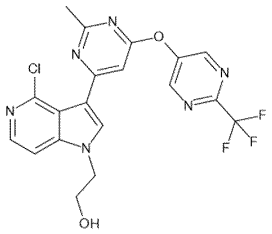
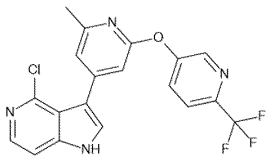
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
342	 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.42 (d, J = 5.6 Hz, 1H), 8.04 (s, 1H), 7.94 (d, J = 5.7 Hz, 1H), 6.76 (s, 1H), 5.12 – 5.03 (m, 1H), 4.96 (t, J = 5.3 Hz, 1H), 4.38 (t, J = 5.2 Hz, 2H), 3.77 (q, J = 5.3 Hz, 2 H), 2.50 (s, 3H), 2.40 – 2.32 (m, 1H), 2.25 – 2.15 (m, 2H), 2.04 – 1.93 (m, 2H), 1.57 – 1.48 (m, 2H), 1.51 – 1.42 (m, 2H).	489,10 1,55 min. D
343	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.85 – 12.18 (m, 1H), 8.05 (dd, J = 5.6, 0.9 Hz, 1H), 7.88 (d, J = 2.9 Hz, 1H), 7.52 (d, J = 5.6 Hz, 1H), 5.25 – 5.04 (m, 1H), 2.54 (d, J = 1.0 Hz, 3H), 2.46 – 2.33 (m, 1H), 2.23 (dd, J = 10.7, 4.9 Hz, 2H), 1.97 (dt, J = 12.7, 3.1 Hz, 2H), 1.66 – 1.40 (m, 4H).	429,10 - 432,10 1,81 min. E

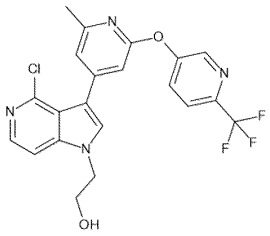
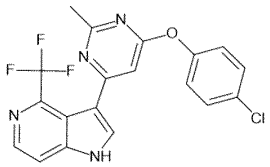
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
344	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[3-(trifluoromethyl)bicyclo[1.1.1]pentan-1-yl]methoxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol Trifluoroacetate Salt	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.8 Hz, 1H), 7.91 (s, 1H), 7.70 (d, J = 5.8 Hz, 1H), 4.60 (s, 2H), 4.36 (t, J = 5.2 Hz, 2H), 3.76 (t, J = 5.2 Hz, 2H), 2.54 (s, 3H), 2.01 (s, 6H), . Spektrum	471,1 1,74 min E
345	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[4-(difluoromethyl)cyclohexyl]oxy}-2-methylpyrimidine Trifluoroacetate Salt	1H NMR (500 MHz, DMSO) d 12.51 (s, 1H), 8.10 (d, J = 5.6 Hz, 1H), 8.03 (d, J = 2.7 Hz, 1H), 7.55 (d, J = 5.6 Hz, 1H), 7.06 (s, 1H), 5.92 (td, J = 56.8, 4.1 Hz, 1H), 5.10 (tt, J = 10.9, 4.3 Hz, 1H), 2.64 (d, J = 1.9 Hz, 2H), 2.62 (s, 3H), 2.23 – 2.16 (m, 2H), 1.87 – 1.86 (m, 1H), 1.92 – 1.82 (m, 2H), 1.56 – 1.42 (m, 2H), 1.41 – 1.29 (m, 2H).	393,00 1,47 - 1,50 min E

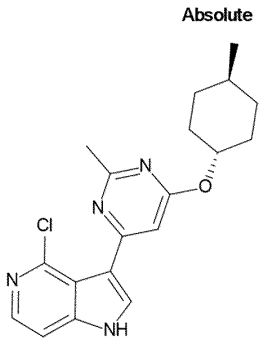
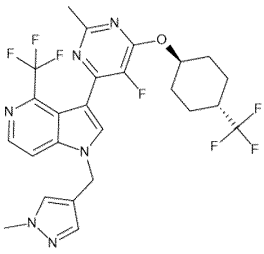
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
346	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.90 (s, 1H), 7.70 (d, J = 5.8 Hz, 1H), 5.17 (tt, J = 10.9, 4.4 Hz, 1H), 4.98 (t, J = 5.2 Hz, 1H), 4.36 (t, J = 5.2 Hz, 2H), 3.80 – 3.73 (m, 2H), 2.57 – 2.53 (m, 3H), 2.46 – 2.34 (m, 1H), 2.28 – 2.21 (m, 2H), 2.01 – 1.94 (m, 2H), 1.65 – 1.43 (m, 4H).	473,10 - 476,00 1,77 min. E
347	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyrimidine	1H NMR (400 MHz, DMSO-d6) ¦Ä 12.04 (s, 1H), 8.62 (d, J = 2.3 Hz, 1H), 8.15 (q, J = 5.7, 4.7 Hz, 2H), 8.09 (s, 1H), 7.88 ¨C 7.78 (m, 2H), 7.59 (s, 1H), 7.25 (s, 1H), 6.73 (d, J = 9.7 Hz, 1H), 5.42 (s, 2H), 2.73 (s, 3H).	486,00 0,71 min. G

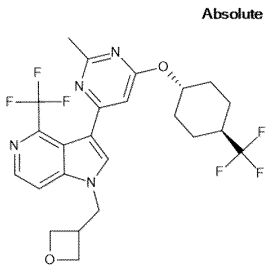
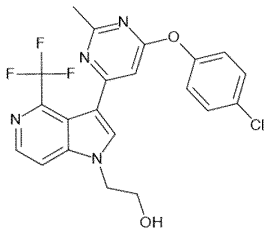
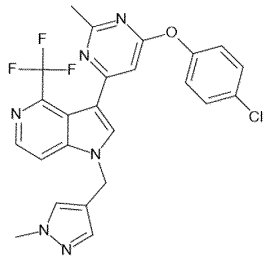
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
348	 2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{spiro[2.5]octan-6-yloxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.44 (d, J = 5.6 Hz, 1H), 8.16 (s, 1H), 8.08 (d, J = 5.7 Hz, 1H), 7.78 (s, 1H), 7.54 (d, J = 0.8 Hz, 1H), 6.79 (s, 1H), 5.40 (s, 2H), 5.23 (tt, J = 8.5, 3.6 Hz, 1H), 3.76 (s, 3H), 2.49 (s, 3H), 2.02 – 1.93 (m, 2H), 1.72 – 1.61 (m, 2H), 1.57 – 1.49 (m, 2H), 1.33 – 1.19 (m, 2H), 0.36 – 0.29 (m, 2H), 0.29 – 0.23 (m, 2H).	497,20 1,64 min. D
349	 2-[3-(2-methyl-6-{spiro[2.5]octan-6-yloxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.42 (d, J = 5.6 Hz, 1H), 8.06 (s, 1H), 7.95 (d, J = 5.7 Hz, 1H), 6.77 (s, 1H), 5.23 (tt, J = 8.5, 3.7 Hz, 1H), 4.99 (t, J = 5.3 Hz, 1H), 4.38 (t, J = 5.2 Hz, 2H), 3.77 (q, J = 5.3 Hz, 2H), 2.50 (s, 3H), 2.02 – 1.93 (m, 2H), 1.72 – 1.62 (m, 2H), 1.54 (t, J = 11.0 Hz, 2H), 1.28 (d, J = 15.5 Hz, 2H), 0.36 – 0.29 (m, 2H), 0.29 – 0.23 (m, 2H).	447,20 1,57 min. D

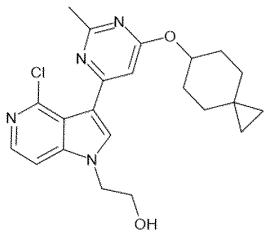
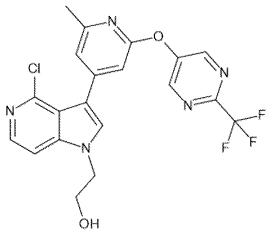
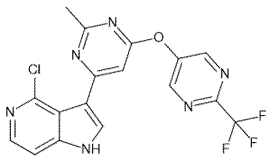
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
350	 2-[3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, CDCl3) d 8.48 (d, J = 5.7 Hz, 1H), 7.60 (s, 1H), 7.53 (d, J = 5.7 Hz, 1H), 5.41 – 5.30 (m, 1H), 5.21 (dq, J = 11.0, 5.1 Hz, 1H), 4.37 (t, J = 5.0 Hz, 2H), 4.00 (t, J = 5.0 Hz, 2H), 2. 59 (d, J = 1.0 Hz, 3H), 2.36 (d, J = 5.1 Hz, 2H), 2.19 – 2.04 (m, 3H), 1.67 – 1.48 (m, 6H).	507,10 - 508,20 1,85 min. E
351	 5-fluoro-2-methyl-4-{[(1r,4r)-4-(trifluoro-methyl)cyclohexyl]oxy}-6-[4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (500 MHz, CDCl3) d 9.02 (s, 1H), 8.42 (d, J = 5.5 Hz, 1H), 7.54 (d, J = 2.5 Hz, 1H), 7.48 (d, J = 5.6 Hz, 1H), 5.15 (tq, J = 10.0, 4.3 Hz, 1H), 2.54 (d, J = 1.1 Hz, 3H), 2.33 – 2.26 (m, 2H), 2. 10 – 1.98 (m, 3H), 1.58 – 1.45 (m, 4H), 1.37 (s, 1H), 1.28 – 1.20 (m, 1H), 1.21 (s, 3H), 1.18 (s, 3H), 0.80 (dt, J = 11.8, 6.1 Hz, 1H).	463,10 - 464,10 1,90 min. E

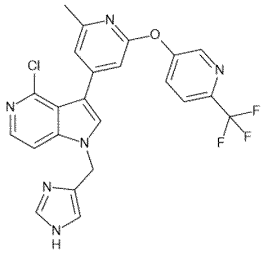
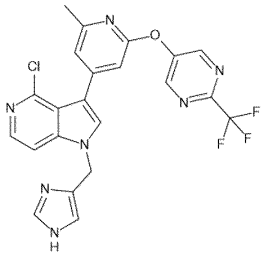
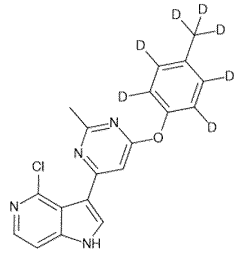
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
352	 5-[(4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methylpyridin-2-yl)oxy]-2-(trifluoromethyl)pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.38 (s, 1H), 9.12 (s, 2H), 8.08 (d, J = 5.6 Hz, 1H), 7.88 (s, 1H), 7.54 (d, J = 5.6 Hz, 1H), 7.32 (s, 1H), 7.20 (s, 1H), 2.42 (s, 3H).	406,00 0,94 min. G
353	 2-[4-chloro-3-(2-methyl-6-{[2-(trifluoromethyl)pyrimidin-5-yl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 9.22 (s, 2H), 8.13 (d, J = 7.9 Hz, 2H), 7.73 (d, J = 5.7 Hz, 1H), 7.39 (s, 1H), 4.99 (t, J = 5.0 Hz, 1H), 4.38 (t, J = 5.1 Hz, 2H), 3.77 (q, J = 5.0 Hz, 2H), 2.54 (s, 3H).	451,05 0,78 min. Y
354	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 12.34 (s, 1H), 8.69 (d, J = 2.6 Hz, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.98 (d, J = 8.6 Hz, 1H), 7.94 - 7.83 (m, 2H), 7.51 (d, J = 5.6 Hz, 1H), 7.27 (d, J = 1.2 Hz, 1H), 7.11 (d , J = 1.2 Hz, 1H), 2.38 (s, 3H).	405,05 0,88 min. DD

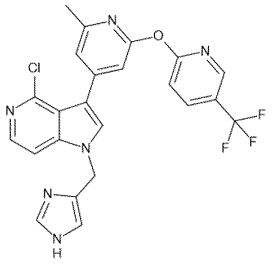
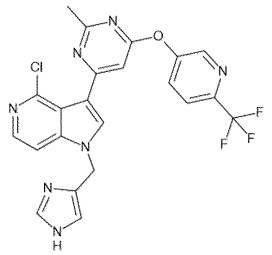
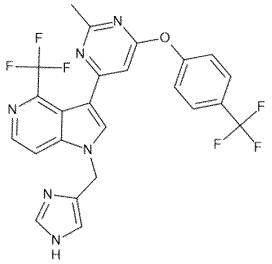
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
355	 2-[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) ¦Ä 8.69 (d, J = 2.5 Hz, 1H), 8.08 (d, J = 5.7 Hz, 1H), 8.01 ¨C 7.84 (m, 3H), 7.69 (d, J = 5.8 Hz, 1H), 7.25 (t, J = 0.9 Hz, 1H), 7.09 (t, J = 1.0 Hz, 1H), 5.02 ¨C 4.91 (m, 1H), 4.33 (t, J = 5.2 Hz, 2H), 3.76 (q, J = 5.2 Hz, 2H), 2.38 (s, 3H).	449,15 0,91 min. DD
356	 4-(4-chlorophenoxy)-2-methyl-6-[4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (500 MHz, DMSO) d 12.54 (s, 1H), 8.40 (d, J = 5.5 Hz, 1H), 8.12 (s, 1H), 7.78 (d, J = 5.5 Hz, 1H), 7.56 – 7.49 (m, 2H), 7.33 – 7.26 (m, 2H), 7.04 (s, 1H), 2.45 (s, 3H).	405,00 1,56 min. D

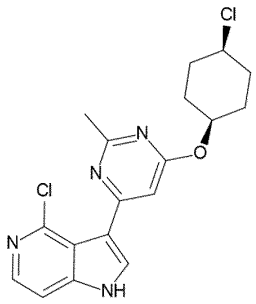
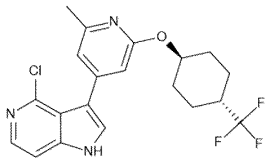
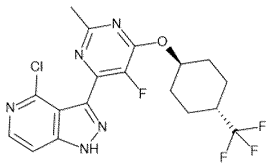
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
357	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-methylcyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.39 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.96 (d, J = 2.7 Hz, 1H), 7.52 (d, J = 5.6 Hz, 1H), 6.91 (s, 1H), 5.05 (ddt, J = 11.0, 8.6, 4.3 Hz, 1H), 2.56 (s, 3H), 2.09 (dd, J = 12.7, 4.1 Hz, 2H), 1.78 – 1.71 (m, 2H), 1.43 (dtt, J = 14.5, 7.7, 3.5 Hz, 2H), 1.10 (qd, J = 13.4, 3.3 Hz, 2H), 0.91 (d, J = 6.5 Hz, 3H). Spektrum	357,10 1,65 min. E
358	 5-fluoro-2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.47 (d, J = 5.6 Hz, 1H), 8.14 (s, 1H), 8.12 (d, J = 5.7 Hz, 1H), 7.78 (s, 1H), 7.53 (s, 1H), 5.44 (s, 2H), 5.17 (tt, J = 10.8, 4.4 Hz, 1H), 3.77 (s, 3H), 2.50 (s, 3H), 2.45 – 2.37 (m, 1H), 2.27 – 2.20 (m, 2H), 1.98 (dt, J = 12.6, 2.9 Hz, 2H), 1.64 – 1.44 (m, 4H).	557,10 - 558,10 1,93 min. D

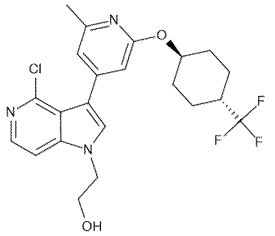
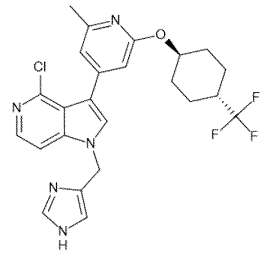
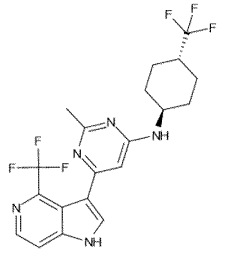
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
359	 2-methyl-4-{1-[(oxetan-3-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.44 (d, J = 5.7 Hz, 1H), 8.12 (s, 1H), 8.04 (d, J = 5.7 Hz, 1H), 6.79 (s, 1H), 5.12 – 5.05 (m, 1H), 4.69 – 4.63 (m, 2H), 4.64 (t, 2H), 4.44 (t, J = 6.1 Hz, 2H), 3.58 – 3.45 (m, 1H), 2.50 (s, 3H), 2.45 – 2.31 (m, 1H), 2.20 (t, J = 6.2 Hz, 2H), 2.02 – 1.93 (m, 2H), 1.57 – 1.42 (m, 4H).	515,10 1,60 min. D
360	 2-{3-[6-(4-chlorophenoxy)-2-methylpyrimidin-4-yl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl}ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.43 (d, J = 5.6 Hz, 1H), 8.12 (s, 1H), 7.96 (d, J = 5.6 Hz, 1H), 7.56 – 7.49 (m, 2H), 7.33 – 7.27 (m, 2H), 6.97 (s, 1H), 4.97 (t, J = 5.3 Hz, 1H), 3.78 (q, J = 5.2 Hz, 2H), 4 .40 (t, J = 5.2 Hz, 2H), 2.46 (s, 3H).	449,00 1,54 min. D
361	 4-(4-chlorophenoxy)-2-methyl-6-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}pyrimidine	1H NMR (500 MHz, DMSO) d 8.45 (d, J = 5.6 Hz, 1H), 8.21 (s, 1H), 8.08 (d, J = 5.7 Hz, 1H), 7.77 (s, 1H), 7.53 (s, 1H), 7.55 – 7.49 (m, 2H), 7.33 – 7.26 (m, 2H), 7.00 (s, 1H), 5.42 (s, 2H), 3.76 (s, 3H), 2.44 (s, 3H).	499,10 1,64 min. D

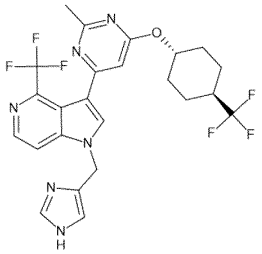
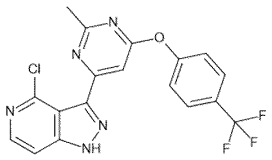
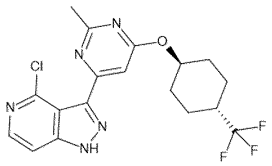
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
362	 2-[4-chloro-3-(2-methyl-6-{spiro[2.5]octan-6-yloxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, MeOD) d 8.07 (d, J = 5.9 Hz, 1H), 7.80 (s, 1H), 7.61 (d, J = 5.9 Hz, 1H), 6.86 (s, 1H), 5.29 (tt, J = 8.4, 3.7 Hz, 1H), 4.39 (t, J = 5.2 Hz, 2H), 3.92 (t, J = 5.2 Hz, 2H), 2.61 (s, 3H), 2.09 – 1.99 (m, 2H), 1.85 – 1.73 (m, 2H), 1.62 – 1.53 (m, 2H), 1.41 – 1.31 (m, 2H), 0.38 – 0.32 (m, 2H), 0.32 – 0.27 (m, 2H).	413,10 1,48 min. D
363	 2-[4-chloro-3-(2-methyl-6-{[2-(trifluoro-methyl)pyrimidin-5-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 9.12 (s, 2H), 8.11 (d, J = 5.8 Hz, 1H), 7.89 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 7.29 (s, 1H), 7.17 (s, 1H), 4.97 (t, J = 5.3 Hz, 1H), 4.34 (t, J = 5.2 Hz, 2H), 3.78 (q, J = 5 .2 Hz, 2H), 2.41 (s, 3H).	450,20 1,57 min. Y
364	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[2-(trifluoromethyl)pyrimidin-5-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) ¦Ä 12.50 (s, 1H), 9.22 (s, 2H), 8.10 (t, J = 2.8 Hz, 2H), 7.55 (d, J = 5.6 Hz, 1H), 7.41 (s, 1H), 2.53 (s, 3H).	407,10 1,46 min. Y

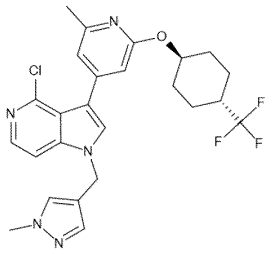
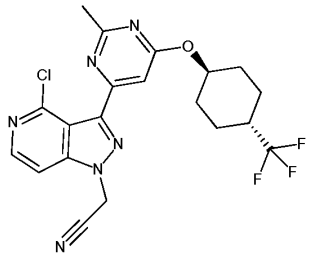
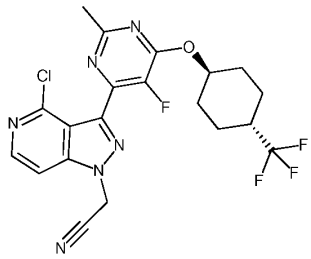
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
365	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (400 MHz, DMSO-d6) 12.11 (s, 1H), 8.70 (d, J = 2.6 Hz, 1H), 8.10 (d, J = 5.7 Hz, 1H), 7.99 (d, J = 8.6 Hz, 1H), 7.92 (d, J = 9.4 Hz, 2H), 7.82 (d, J = 5.7 Hz, 1H), 7.61 (s, 1H), 7.23 (d, J = 11.1 Hz, 2H), 7.09 (s, 1H), 5.39 (s, 2H), 2.39 (s, 3H)	485.05 0.73 WWW
366	 5-[(4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methylpyridin-2-yl)oxy]-2-(trifluoromethyl)pyrimidine	^{1}H NMR (300 MHz, DMSO) δ 9.11 (s, 2H), 8.11 (d, J = 5.7 Hz, 1H), 7.92 (s, 1H), 7.83 (d, J = 5.8 Hz, 1H), 7.61 (d, J = 1.2 Hz, 1H), 7.28 (s, 1H), 7.19 (d, J = 16.3 Hz, 2H), 5.40 (s, 2H), 2.41 (s, 3H).	486.15 0.62 XXX
367	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-[4-($^{2}H_3$)methyl(2,3,5,6-$^{2}H_4$)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 12.51 (s, 1H), 8.07 (d, J = 5.6 Hz, 1H), 8.04 (d, J = 2.7 Hz, 1H), 7.53 (d, J = 5.6 Hz, 1H), 7.03 (s, 1H), 2.53 (s, 3H). Spektrum	358,00 1,53 min. PP

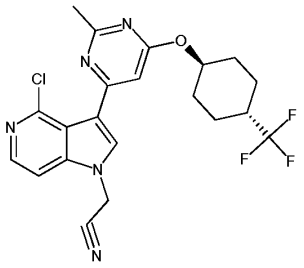
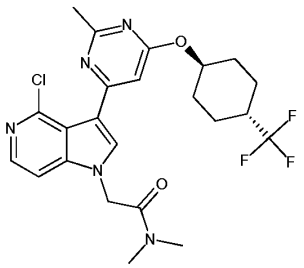
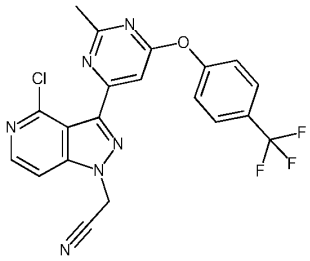
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
368	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 12.02 (s, 1H), 8.68 - 8.61 (m, 1H), 8.28 (dd, J = 8.6, 2.6 Hz, 1H), 8.08 (d, J = 5.7 Hz, 1H), 7.89 (s, 1H), 7.80 (d, J = 5.8 Hz, 1H), 7.58 (s, 1H), 7.38 - 7.27 (m, 2H), 7.21 (s, 1H), 7.10 (s, 1H), 5.36 (s, 2H), 2.44 (s, 3H).	485,20 1,41 min. Y
369	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (400 MHz, DMSO-d6) 12.06 (s, 1H), 8.80 (d, J = 2.3 Hz, 1H), 8.15 - 8.07 (m, 4H), 7.85 (d, J = 5.7 Hz, 1H), 7.60 (s, 1H), 7.27 (d, J = 16.7 Hz, 2H), 5.41 (s, 2H).	486,00 0,97 min. G
370	 4-{1-[(1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 12.03 (s, 1H), 8.44 (d, J = 5.6 Hz, 1H), 8.17 (s, 1H), 8.09 (d, J = 5.7 Hz, 1H), 7.85 (d, J = 8.6 Hz, 2H), 7.59 (d, J = 1.3 Hz, 1H), 7.52 – 7.46 (m, 2H), 7.24 (s, 1H), 7.07 (s , 1H), 5.44 (s, 2H), 2.45 (s, 3H).	519,10 1,51 min. D

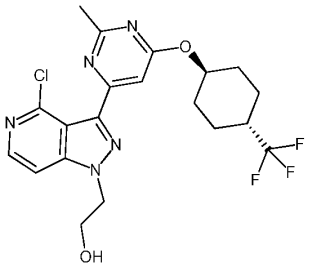
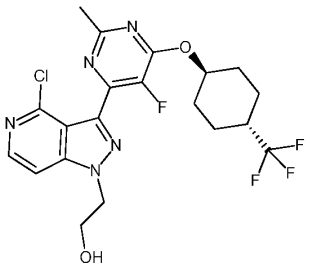
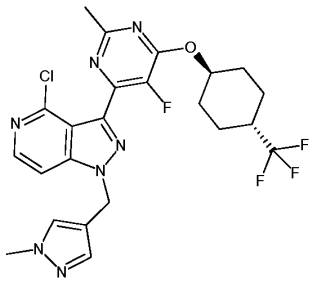
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
371	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1s,4s)-4-chlorocyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO) d 12.33 (s, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.95 (d, J = 2.6 Hz, 1H), 7.50 (d, J = 5.6 Hz, 1H), 6.93 (s, 1H), 5.22 (d, J = 3.6 Hz, 1H), 4.40 (d, J = 4.6 Hz, 1H), 2.54 (d, J = 2.5 Hz, 3H), 2.06 – 1.84 (m, 4H). Spektrum	377,00 1,50 min. PP
372	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (400 MHz, DMSO-d6) ¦Ä 12.24 (s, 1H), 8.03 (d, J = 5.6 Hz, 1H), 7.75 (s, 1H), 7.49 (d, J = 5.6 Hz, 1H), 6.95 (d, J = 1.2 Hz, 1H), 6.65 (s, 1H), 4.98 (dt, J = 10.6, 5.6 Hz, 1H), 2.41 (s, 3H), 2.2 4 ¨C 2.18 (m, 2H), 1.95 (d, J = 6.9 Hz, 2H), 1.45 (d, J = 10.1 Hz, 3H).	410,00 0,76 min. G
373	 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 14.37 (s, 1H), 8.23 (d, J = 5.9 Hz, 1H), 7.70 (d, J = 5.9 Hz, 1H), 5.29 - 5.13 (m, 1H), 2.58 (d, J = 1.1 Hz, 3H), 2.41 (d, J = 8.8 Hz, 1H), 2.32 ¨C 2.21 (m, 2H), 2.04 - 1.93(m, 2H), 1.70 - 1.40 (m, 4H).	430.00 1.07 G

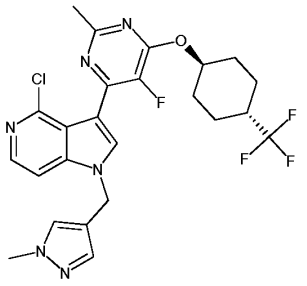
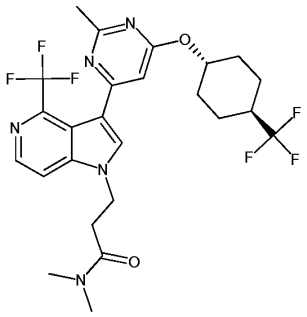
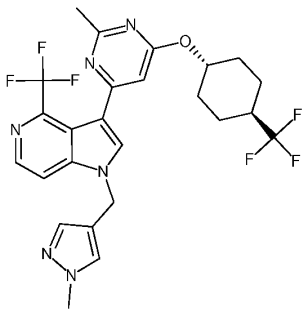
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
374	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.07 (dd, J = 5.8, 1.1 Hz, 1H), 7.76 (t, J = 1.4 Hz, 1H), 7.66 (dd, J = 5.7, 1.0 Hz, 1H), 6.94 (s, 1H), 6.64 (s, 1H), 4.95 (t, J = 5.2 Hz, 2H), 4.35 - 4.26 (m, 2H), 3.75 (q,J = 5.4 Hz, 2H), 2.42 (s, 3H), 2.20 (s, 2H), 1.95 (s, 2H), 1.57 - 1.40 (m, 4H).	454.00 0.81 G
375	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 12.03 (s, 1H), 8.06 (d, J = 5.7 Hz, 1H), 7.82 - 7.74 (m, 2H), 7.59 (d, J = 1.2 Hz, 1H), 7.20 (s, 1H), 6.92 (d, J = 1.3 Hz, 1H), 6.61 (s, 1H), 5.34 (s, 2H), 5.00 - 4.94 (m, 1H), 2.41 (s, 4H), 2.19 (s, 2H), 1.94 (d, J = 7.1 Hz, 2H), 1.51 - 1.41 (m, 4H).	490.00 0.74 G
376	 2-methyl-N-[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidin-4-amine	1H NMR (500 MHz, DMSO) d 12.27 (s, 1H), 8.35 (d, J = 5.5 Hz, 1H), 7.85 (s, 1H), 7.73 (d, J = 5.5 Hz, 1H), 7.11 (d, J = 7.8 Hz, 1H), 6.37 (s, 1H), 2.64 (t, J = 1.9 Hz, 0H), 2.64 (d, J = 7.5 Hz, 0H), 2.33 (s, 3H), 2.30 – 2.22 (m, 1H), 2.04 (d, J = 10.7 Hz, 2H), 1.94 – 1.88 (m, 2H), 1.46 – 1.34 (m, 2H), 1.34 – 1.22 (m, 3H).	444.10 1.38 PP

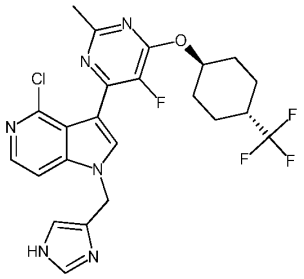
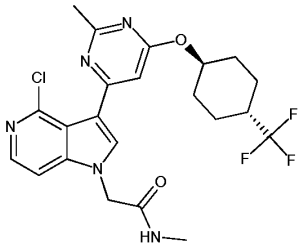
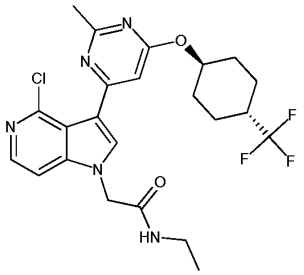
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
377	 4-{1-[(1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.02 (s, 1H), 8.42 (d, J = 5.6 Hz, 1H), 8.08 – 8.04 (m, 2H), 7.58 (s, 1H), 7.22 (s, 1H), 6.75 (s, 1H), 5.41 (s, 2H), 5.11 – 5.03 (m, 1H), 2.50 – 2.49 (m, 3H), 2.39 – 2.37 (m, 1H), 2.23 – 2.17 (m, 2H), 1.98 – 1.92 (m, 2H), 1.57 – 1.41 (m, 4H).	444.10 1.38 PP
378	 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidine	1H NMR (400 MHz, DMSO-d6) 14.33 (s, 1H), 8.24 (d, J = 5.9 Hz, 1H), 7.88 (d, J = 8.4 Hz, 2H), 7.70 (d, J = 5.9 Hz, 1H), 7.55 (d, J = 8.4 Hz, 2H), 7.38 (s, 1H), 2.55 (s, 3H).	406.00 0.97 VVV
379	 4-{4-chloro-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.20 (d, J = 5.9 Hz, 1H), 7.67 (d, J = 5.9 Hz, 1H), 7.05 (s, 1H), 5.12 (dd, J = 10.3, 6.0 Hz, 1H), 2.60 (s, 3H), 2.30 (m, 1H), 2.23 (d, J = 9.0 Hz, 2H), 1.97 (d, J = 9.6 Hz, 2H), 1.51 (q, J = 11.2, 10.7 Hz, 4H).	412.10 0.91 WWW

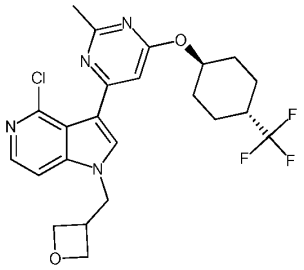
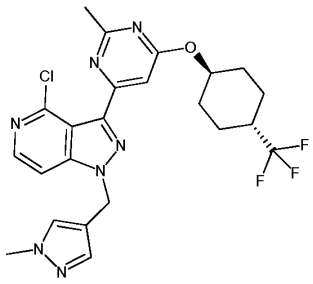
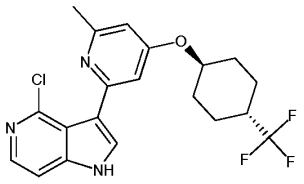
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
380	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 8.08 (d, J = 5.7 Hz, 1H), 7.85 (s, 1H), 7.82-7.73 (m, 2H), 7.51 (d, J = 0.8 Hz, 1H), 6.93 (d, J = 1.3 Hz, 1H), 6.67-6.60 (m, 1H), 5.32 (s, 2H), 4.98 (d, J = 4.2 Hz, 1H), 3.76 (s, 3H), 2.41 (s, 3H), 2.20 (s, 2H), 1.99-1.90 (m, 2H), 1.46 (td, J = 9.1, 2.4 Hz, 4H).	504.00 1.88 W
381	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]acetonitrile	1H NMR (300 MHz, DMSO-d6) 8.39 (d, J = 6.0 Hz, 1H), 7.97 (d, J = 6.0 Hz, 1H), 7.09 (d, J = 0.6 Hz, 1H), 5.98 (s, 2H), 5.17-5.11 (m, 1H), 2.61 (s, 3H), 2.39 (d, J = 3.2 Hz, 1H), 2.23 (d, J = 9.5 Hz, 2H), 1.97 (d, J = 9.9 Hz, 2H), 1.51 (q, J = 11.3 Hz, 4H).	451.20 1.82 YYY
382	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]acetonitrile	1H NMR (300 MHz, DMSO-d6) 8.41 (d, J = 6.0 Hz, 1H), 8.01 (d, J = 6.0 Hz, 1H), 6.01 (s, 2H), 5.22 (dt, J = 10.7, 6.2 Hz, 1H), 2.59 (d, J = 1.0 Hz, 3H), 2.40 (s, 1H), 2.26 (d, J = 10.2 Hz, 2H), 1.98 (d, J = 11.8 Hz, 2H), 1.70 - 1.40 (m, 4H).	469.00 1.13 TTT

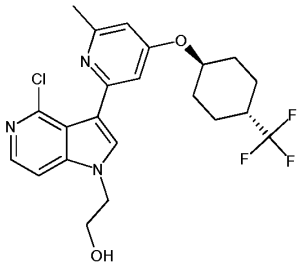
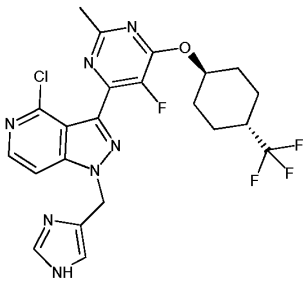
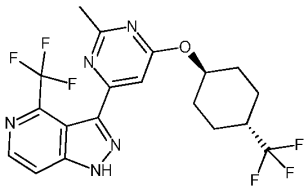
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
383	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]acetonitrile	1H NMR (500 MHz, DMSO) d 8.24 (d, J = 5.7 Hz, 1H), 8.06 (s, 1H), 7.82 (d, J = 5.7 Hz, 1H), 6.94 (s, 1H), 5.69 (s, 2H), 5.15 – 5.03 (m, 1H), 2.56 (s, 3H), 2.41 – 2.33 (m, 1H), 2.21 (dd, J = 9.3, 4.8 Hz, 2H), 1.97 (dd, J = 9.2, 4.1 Hz, 2H), 1.59 – 1.42 (m, 4H).	
384	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N,N-dimethylacetamide	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.89 (s, 1H), 7.58 (d, J = 5.7 Hz, 1H), 6.90 (s, 1H), 5.33 (s, 2H), 5.12 – 5.03 (m, 1H), 3.12 (s, 2H), 2.88 (s, 2H), 2.55 (s, 3H), 2.37 (t, J = 10.9Hz, 1H), 2.21 (t, J = 6.7 Hz, 2H), 2.01 – 1.93 (m, 2H), 1.59 – 1.42 (m, 4H).	
385	 2-(4-chloro-3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-1H-pyrazolo[4,3-c]pyridin-1-yl)acetonitrile	1H NMR (300 MHz, DMSO-d6) 8.41 (d, J = 6.0 Hz, 1H), 7.99 (d, J = 6.0 Hz, 1H), 7.88 (d, J = 8.7 Hz, 2H), 7.59 - 7.52 (m, 2H), 7.41 (d, J = 0.7 Hz, 1H),6.008 (d, J = 0.7 Hz, 2H), 2.56 (s, 3H).	445,00 1.57 PPP

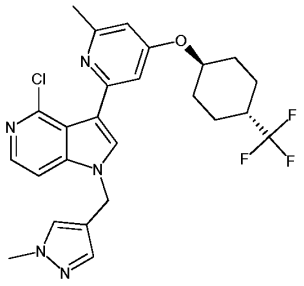
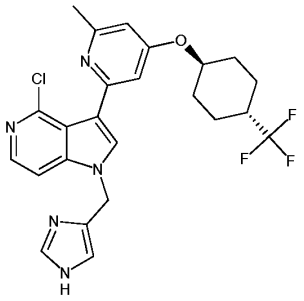
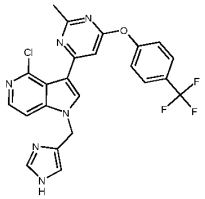
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
386	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.23 (d, J = 5.9 Hz, 1H), 7.82 (d, J = 6.0 Hz, 1H), 7.03 (s, 1H), 5.11 (d, J = 5.4 Hz, 1H), 4.94 (t, J = 5.4 Hz, 1H), 4.56 (t, J = 5.2 Hz, 2H), 3.84 (q, J = 5.2 Hz, 2H), 2.60 (s, 3H), 2.38 (s, 1H), 2.21 (s, 2H), 1.96 (d, J = 9.3 Hz, 2H), 1.52 (p, J = 12.0, 11.0 Hz, 4H).	456.20 1.57 Y
387	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.25 (d, J = 6.0 Hz, 1H), 7.85 (d, J = 6.0 Hz, 1H), 5.20 (dq, J = 10.7, 5.5, 4.5 Hz, 1H), 4.98 (t, J = 5.4 Hz, 1H), 4.59 (t, J = 5.1 Hz, 2H), 3.84 (q, J = 5.2 Hz, 2H), 2.58 (d, J = 1.1 Hz, 3H), 2.38 (d, J = 8.6 Hz, 1H), 2.31-2.20 (m, 2H), 1.98 (d, J = 12.3 Hz, 2H), 1.70-1.40 (m, 4H).	474.00 1.03 TTT
388	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.29 (d, J = 6.0 Hz, 1H), 8.02 (d, J = 6.0 Hz, 1H), 7.76 (s, 1H), 7.47 (d, J = 0.8 Hz, 1H), 5.64 (s, 2H), 5.20 (dq, J = 10.5, 5.3, 4.4 Hz, 1H), 3.76 (s, 3H), 2.57 (d, J = 1.1 Hz, 3H), 2.37 (d, J = 11.2 Hz, 1H), 2.23 (s, 2H), 1.98 (d, J = 12.0 Hz, 2H), 1.68-1.33 (m, 4H).	524.00 1.09 TTT

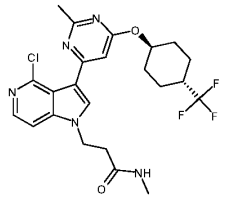
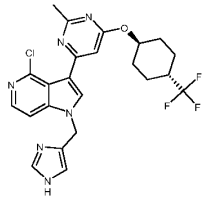
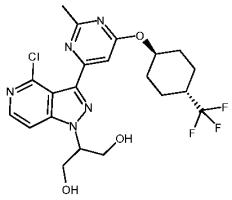
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
389	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.8 Hz, 1H), 7.98 (s, 1H), 7.83 (d, J = 5.8 Hz, 1H), 7.78 (s, 1H), 7.52 (d, J = 0.8 Hz, 1H), 5.38 (s, 2H), 5.16 (tt, J = 10.8, 4.4 Hz, 1H), 3.77 (s, 3H), 2.54 (d, J = 0.9 Hz, 3H), 2.46 – 2.34 (m, 1H), 2.23 (dd, J = 12.4, 4.6 Hz, 2H), 2.03 – 1.93 (m, 2H), 1.65 – 1.42 (m, 4H).	
390	 N,N-dimethyl-3-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propanamide	1H NMR (500 MHz, DMSO) d 8.42 (d, J = 5.6 Hz, 1H), 8.08 (s, 1H), 7.98 (d, J = 5.7 Hz, 1H), 6.75 (s, 1H), 5.13 – 5.03 (m, 1H), 4.53 (t, J = 6.8 Hz, 2H), 2.93 (t, J = 6.8 Hz, 2H), 2.89 (s, 3H), 2.79 (s, 3H), 2.51 – 2.49 (m, 3H), 2.42 – 2.31 (m, 1H), 2.23 – 2.15 (m, 2H), 1.99 – 1.93 (m, 2H), 1.57 – 1.41 (m, 4H).	544.10 1.70-1.80 PP
391	 2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.43 (d, J = 5.6 Hz, 1H), 8.13 (s, 1H), 8.07 (d, J = 5.7 Hz, 1H), 7.77 (s, 1H), 7.53 (d, J = 0.9 Hz, 1H), 6.78 (s, 1H), 5.40 (s, 2H), 5.13 – 5.03 (m, 1H), 3.76 (s, 3H), 2.49 (s, 3H), 2.41 – 2.37 (m, 1H), 2.23 – 2.17 (m, 2H), 1.99 – 1.93 (m, 2H), 1.57 – 1.41 (m, 4H).	539.10 1.83 PP

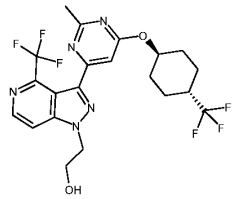
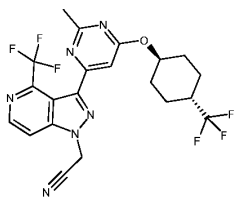
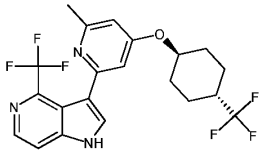
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
392	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidine	^{1}H NMR (500 MHz, DMSO) δ 12.05 (s, 1H), 8.09 (d, *J* = 5.7 Hz, 1H), 7.90 (s, 1H), 7.82 (d, *J* = 5.8 Hz, 1H), 7.60 (d, *J* = 1.3 Hz, 1H), 7.24 (s, 1H), 5.39 (s, 2H), 5.16 (tt, *J* = 10.9, 4.4 Hz, 1H), 2.54 (d, *J* = 0.9 Hz, 3H), 2.47 – 2.32 (m, 1H), 2.27 – 2.20 (m, 2H), 1.97 (dt, *J* = 12.8, 3.0 Hz, 2H), 1.64 – 1.43 (m, 4H).	
393	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-methylacetamide	^{1}H NMR (500 MHz, DMSO) δ 8.18 (q, *J* = 4.6 Hz, 1H), 8.11 (d, *J* = 5.7 Hz, 1H), 7.95 (s, 1H), 7.55 (d, *J* = 5.7 Hz, 1H), 6.89 (s, 1H), 5.12 – 5.03 (m, 1H), 4.99 (s, 2H), 2.64 (d, *J* = 4.6 Hz, 3H), 2.56 (s, 3H), 2.39 (m, 1H), 2.21 (dd, *J* = 9.0, 4.9 Hz, 2H), 2.00 – 1.92 (m, 2H), 1.58 – 1.42 (m, 4H).	482.10 1.21 UUU
394	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-ethylacetamide	^{1}H NMR (500 MHz, DMSO) δ 8.28 (t, *J* = 5.5 Hz, 1H), 8.10 (d, *J* = 5.7 Hz, 1H), 7.94 (s, 1H), 7.53 (d, *J* = 5.8 Hz, 1H), 6.90 – 6.87 (m, 1H), 5.07 (tt, *J* = 10.4, 4.4 Hz, 1H), 4.97 (s, 2H), 3.19 – 3.08 (m, 2H), 2.55 (s, 3H), 2.38 (d, *J* = 9.2 Hz, 1H), 2.20 (t, *J* = 6.7 Hz, 2H), 1.96 (dd, *J* = 10.9, 3.3 Hz, 2H), 1.58 – 1.41 (m, 4H), 1.05 (t, *J* = 7.2 Hz, 3H).	496.10 1.24 UUU

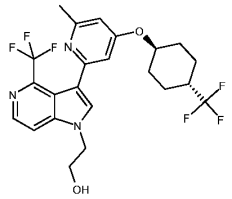
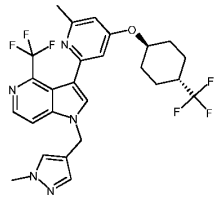
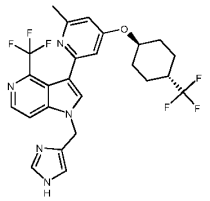
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
395	 4-{4-chloro-1-[(oxetan-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine	^{1}H NMR (500 MHz, DMSO) δ 8.11 (d, *J* = 5.8 Hz, 1H), 8.04 (s, 1H), 7.77 (d, *J* = 5.8 Hz, 1H), 6.90 – 6.86 (m, 1H), 5.07 (dt, *J* = 10.5, 5.5 Hz, 1H), 4.62 (dd, *J* = 7.7, 6.1 Hz, 4H), 4.42 (t, *J* = 6.1 Hz, 2H), 3.50 (tt, *J* = 7.6, 6.0 Hz, 1H), 2.55 (s, 3H), 2.40 – 2.35 (m, 1H), 2.20 (t, *J* = 6.6 Hz, 2H), 1.95 (d, *J* = 10.9 Hz, 2H), 1.57 – 1.41 (m, 4H).	481.10 1.50 D
396	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.26 (d, J = 6.0 Hz, 1H), 7.97 (d, J = 6.0 Hz, 1H), 7.75 (s, 1H), 7.48 (d, J = 0.8 Hz, 1H), 7.05 (s, 1H), 5.61 (s, 2H), 5.14 - 5.08 (m, 1H), 3.75 (s, 3H), 2.59 (s, 4H), 2.21 (s, 2H), 1.96 (d, J = 9.0 Hz, 2H), 1.50 (q, J = 10.9, 10.0 Hz, 4H).	506,30 1.71 CCCC
397	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 12.13 (s, 1H), 8.01 (d, J = 5.6 Hz, 1H), 7.72 (s, 1H), 7.48 (d, J = 5.6 Hz, 1H), 7.00 (d, J = 2.3 Hz, 1H), 6.79 (d, J = 2.3 Hz, 1H), 4.53 (dt, J = 10.5, 4.9 Hz, 1H), 2.43 (s, 3H), 2.36 (d, J = 8.3 Hz, 1H), 2.18 (d, J = 6.5 Hz, 2H), 1.92 (d, J = 8.9 Hz, 2H), 1.56- 1.39 (m, 4H).	410.20 1.55 EEEE

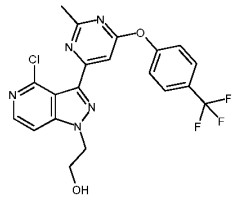
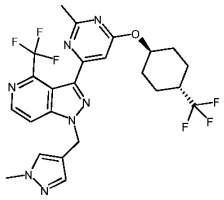
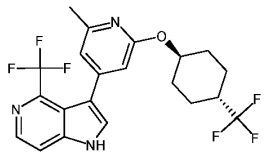
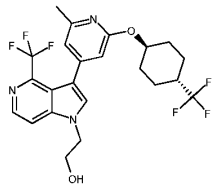
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
398	 2-[4-chloro-3-(6-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.04 (d, J = 5.7 Hz, 1H), 7.75 (s, 1H), 7.64 (d, J = 5.7 Hz, 1H), 6.99 (d, J = 2.2 Hz, 1H), 6.80 (d, J = 2.1 Hz, 1H), 4.96 (t, J = 5.2 Hz, 1H), 4.52 (dd, J = 10.0, 4.5 Hz, 1H), 4.32 (t, J = 5.3 Hz, 2H), 3.75 (q, J = 5.2 Hz, 2H), 2.43 (s, 3H), 2.37 (s, 1H), 2.16 (d, J = 8.9 Hz, 2H), 1.93 (d, J = 11.3 Hz, 2H), 1.47 (q, J = 9.1, 8.6 Hz, 4H).	454,25 1.50 CCCC
399	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.06 (s, 1H), 8.26 (d, J = 6.0 Hz, 1H), 7.96 (d, J = 6.0 Hz, 1H), 7.58 (s, 1H), 7.24 (d, J = 1.8 Hz, 1H), 5.64 (s, 2H), 5.20 (dq, J = 10.7, 5.2, 4.5 Hz, 1H), 2.57 (d, J = 1.1 Hz, 3H), 2.37 (d, J = 11.4 Hz, 1H), 2.31-2.19 (m, 2H), 2.03-1.93 (m, 2H), 1.55 (ddd, J = 23.2, 14.0, 8.1 Hz, 4H).	510.00 1,56 AAAA
400	 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 14.41 (s, 1H), 8.56 (d, J = 5.8 Hz, 1H), 7.97 (d, J = 5.9 Hz, 1H), 7.01 (s, 1H), 5.25 - 5.00 (m, 1H), 2.56 (s, 3H), 2.42 - 2.31 (m, 1H), 2.27 - 2.16 (m, 2H), 2.03 - 1.90 (m, 2H), 1.64 - 1.41 (m, 4H).	446.00 1.09 BBBB

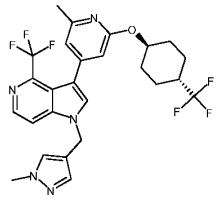
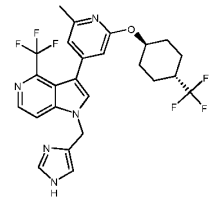
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
401	 2-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 8.06 (d, J = 5.7 Hz, 1H), 7.86 - 7.73 (m, 3H), 7.53 - 7.47 (m, 1H), 6.99 (d, J = 2.3 Hz, 1H), 6.80 (d, J = 2.2 Hz, 1H), 5.34 (s, 2H), 4.56-4.47 (m, 1H), 3.76 (s, 3H), 2.42 (s, 3H), 2.37 -2.31 (m, 1H), 2.16 (s, 2H), 1.92 (d, J = 9.0 Hz, 2H), 1.48 (dd, J = 14.7, 4.6 Hz, 4H).	504.50 1.59 CCCC
402	 2-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 8.05 (d, J = 5.7 Hz, 1H), 7.77 (d, J = 5.6 Hz, 2H), 7.61 (d, J = 1.2 Hz, 1H), 7.25 (s, 1H), 6.98 (d, J = 2.2 Hz, 1H), 6.80 (d, J = 2.2 Hz, 1H), 5.36 (s, 2H), 4.55 -4.46 (m, 1H), 2.43 (s, 3H), 2.38 - 2.29 (m, 1H), 2.21- 2.14 (m, 2H), 1.92 (s, 2H), 1.58 -1.40 (m, 4H).	490.25 1.45 CCCC
403	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO-d6) d 12.02 (s, 1H), 8.13 – 8.08 (m, 2H), 7.88 – 7.82 (m, 3H), 7.59 (s, 1H), 7.50 (d, J = 8.5 Hz, 2H), 7.24 (t, J = 1.6 Hz, 1H), 7.15 (s, 1H), 5.40 (s, 2H).	

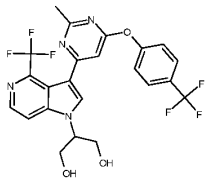
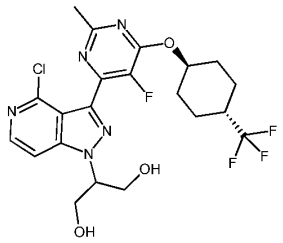
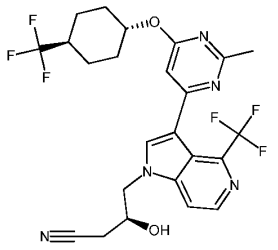
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
404	 3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoro-methyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-methylpropanamide	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.7 Hz, 1H), 7.93 (s, 1H), 7.84 (d, J = 4.8 Hz, 1H), 7.69 (d, J = 5.8 Hz, 1H), 6.87 (s, 1H), 5.07 (dt, J = 10.5, 5.6 Hz, 1H), 4.51 (t, J = 6.7 Hz, 2H), 2.64 (t, J = 6.6 Hz, 2H), 2.55 (s, 2H), 2.39 (d, J = 10.8 Hz, 1H), 2.21 (t, J = 6.8 Hz, 2H), 2.03 – 1.90 (m, 2H), 1.58 – 1.42 (m, 4H), 1.24 (s, 1H).	496.10 1.45 ZZZ
405	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidine	^{1}H NMR (500 MHz, DMSO) δ 12.03 (s, 1H), 8.10 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.81 (d, J = 5.7 Hz, 1H), 7.59 (d, J = 1.2 Hz, 1H), 7.22 (s, 1H), 6.88 (s, 1H), 5.39 (s, 2H), 5.06 (tt, J = 10.4, 4.3 Hz, 1H), 2.54 (s, 3H), 2.39 (s, 1H), 2.20 (t, J = 6.6 Hz, 2H), 1.96 (d, J = 11.4 Hz, 2H), 1.57 – 1.42 (m, 4H).	491.10 1.36 ZZZ
406	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]propane-1,3-diol	1H NMR (300 MHz, DMSO-d6) 8.21 (d, J = 6.0 Hz, 1H), 7.80 (d, J = 6.1 Hz, 1H), 7.03 (s, 1H), 5.13 (dt, J = 10.5, 5.7 Hz, 1H), 4.94 (s, 1H), 4.88 -4.78 (m, 1H), 3.86 (q, J = 7.4, 6.7 Hz, 4H), 2.60 (s, 3H), 2.39 (t, J = 6.7 Hz, 2H), 2.23 (d, J = 9.3 Hz, 2H), 2.03- 1.89 (m, 2H), 1.50 (hept, J = 12.5 Hz, 4H).	486.10 0.84 Q

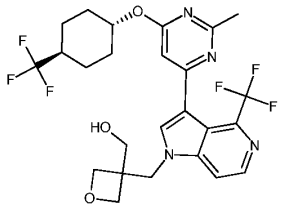
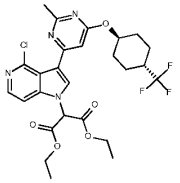
Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
407	 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoro-methyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.58 (d, J = 6.0 Hz, 1H), 8.13 (d, J = 6.0 Hz, 1H), 6.98 (s, 1H), 5.16 C 5.11 (m, 1H), 4.94 (t, J = 5.5 Hz, 1H), 4.63 (d, J = 5.1 Hz, 2H), 3.87 - 3.83 (m, 2H), 2.56 (s, 4H),2.22 (d, J = 9.3 Hz, 2H), 1.97 (d, J = 10.5 Hz, 2H), 1.52 (t, J = 10.3 Hz, 4H).	490.00 6.96 K
408	 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoro-methyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]acetonitrile	1H NMR (400 MHz, DMSO-d6) 8.74 (d, J = 6.0 Hz, 1H), 8.28 (d, J = 6.0 Hz, 1H), 7.03 (s, 1H), 6.03 (s, 2H), 5.19 - 5.12 (m, 1H), 2.57 (s, 4H), 2.22 (d, J = 10.3 Hz, 2H), 1.97 (d, J = 10.6 Hz, 2H), 1.51(q, J = 13.2, 12.3 Hz, 4H).	485.00 7.82 K
409	 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (300 MHz, DMSO-d6) 12.26 (s, 1H), 8.34 (d, J = 5.5 Hz, 1H), 7.83 (s, 1H), 7.73 (d, J = 5.5 Hz, 1H), 6.90 (d, J = 2.2 Hz, 1H), 6.80 (d, J = 2.2 Hz, 1H), 4.69 - 4.30 (m, 1H), 2.39 (s, 3H), 2.38 (s, 1H), 2.18 (s, 2H), 1.93 (d, J = 9.5 Hz, 2H), 1.47 (q, J = 9.9, 8.8 Hz, 4H).	444.15 0.81 DDDD

Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
410	 2-[3-(6-methyl-4-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyridin-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.38 (d, J = 5.6 Hz, 1H), 7.91 (d, J = 5.7 Hz, 1H), 7.85 (s, 1H), 6.91 - 6.78 (m, 2H), 4.99 (s, 1H), 4.59-4.47 (m, 1H), 4.37 (t, J = 5.2 Hz, 2H), 3.78 (s, 2H), 2.40 (s, 4H), 2.30 (d, J = 8.7 Hz, 1H), 2.16 (d, J = 8.9 Hz, 2H), 1.93 (d, J = 9.1 Hz, 2H), 1.48 (q, J = 9.1, 8.3 Hz, 4H).	488.00 0.83 G
411	 2-methyl-6-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 8.39 (d, J = 5.7 Hz, 1H), 8.04 (d, J = 5.7 Hz, 1H), 7.93 (s, 1H), 7.77 (s, 1H), 7.53 (d, J = 0.8 Hz, 1H), 6.87 (d, J = 2.2 Hz, 1H), 6.81 (d, J = 2.2 Hz, 1H), 5.39 (s, 2H), 4.51 (s, 1H), 3.76 (s, 3H), 2.38 (s, 4H), 2.16 (s, 2H), 1.91 (s, 2H), 1.48 (t, J = 9.6 Hz, 4H).	538.30 1.65 CCCC
412	 2-{1-[(1H-imidazol-4-yl)methyl]-4-(trifluoro-methyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 12.03 (s, 1H), 8.37 (d, J = 5.7 Hz, 1H), 8.03 (d, J = 5.7 Hz, 1H), 7.86 (s, 1H), 7.61- 7.55 (m, 1H), 7.22 (s, 1H), 6.86 (d, J = 2.2 Hz, 1H), 6.80 (d, J = 2.1 Hz, 1H), 5.40 (s, 2H), 4.51 (s, 1H), 2.44 (s, 1H), 2.38 (s, 3H), 2.15 (s, 2H), 1.91 (s, 2H), 1.49 (d, J = 13.1 Hz, 4H).	524.30 1.52 CCCC

Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
413	 2-{4-chloro-3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-1H-pyrazolo[4,3-c]pyridin-1-yl)ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.25 (d, J = 6.0 Hz, 1H), 7.93 - 7.82 (m, 3H), 7.55 (d, J = 8.4 Hz, 2H), 7.35 (s, 1H), 4.93 (s, 1H), 4.59 (t, J = 5.1 Hz, 2H), 3.85 (t, J = 5.2 Hz, 2H), 2.55 (s, 3H).	450.00 0.95 BBBB
414	 2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO-d6) 8.62 (d, J = 5.9 Hz, 1H), 8.29 (d, J = 5.9 Hz, 1H), 7.77 (s, 1H), 7.50 (d, J = 0.8 Hz, 1H), 7.01 (s, 1H), 5.68 (s, 2H), 5.21 - 5.09 (m, 1H), 3.75 (s, 3H), 2.55 (s, 3H), 2.38 (s, 1H), 2.22 (d, J = 9.6 Hz, 2H), 1.97 (d, J = 9.9 Hz, 2H), 1.51 (q, J = 12.0, 11.6 Hz, 4H).	540.00 1.14 K
415	 2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyridine	1H NMR (300 MHz, DMSO-d6) 12.39 (s, 1H), 8.36 (d, J = 5.5 Hz, 1H), 7.82-7.72 (m, 2H), 6.82 (s, 1H), 6.53 (s, 1H), 4.99 (d, J = 4.3 Hz, 1H), 2.40 (s, 3H), 2.20 (s, 2H), 1.95 (d, J = 7.1 Hz, 2H), 1.57-1.36 (m, 4H).	444.00 1.08 BBBB
416	 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-4-	1H NMR (300 MHz, DMSO-d6) 8.40 (d, J = 5.6 Hz, 1H), 7.95 (d, J = 5.6 Hz, 1H), 7.81 (s, 1H), 6.81 (s, 1H), 6.52 (s, 1H), 5.05-4.95 (m, 2H), 4.37 (t, J = 5.3 Hz, 2H), 3.78 (t, J = 5.2 Hz, 2H), 2.41 (s, 3H), 2.20 (s, 2H), 1.95 (d, J = 6.7 Hz, 2H), 1.48 (q, J = 11.1, 9.7 Hz, 4H).	488.00 1.77 AAAA

Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
	(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol		
417	 2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 8.41 (d, J = 5.7 Hz, 1H), 8.07 (d, J = 5.7 Hz, 1H), 7.90 (d, J = 1.8 Hz, 1H), 7.78 (s, 1H), 7.53 (s, 1H), 6.81 (s, 1H), 6.53 (s, 1H), 5.39 (s, 2H), 4.99 (s, 1H), 3.77 (d, J = 1.8 Hz, 3H), 2.40 (s, 4H), 2.20 (s, 2H), 1.95 (s, 2H), 1.48 (q, J = 11.1, 9.4 Hz, 4H).	538.00 1.87 AAAA
418	 4-{1-[(1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (300 MHz, DMSO-d6) 12.04 (s, 1H), 8.40 (dd, J = 5.7, 2.4 Hz, 1H), 8.07 (dd, J = 5.8, 2.4 Hz, 1H), 7.82 (d, J = 2.5 Hz, 1H), 7.60 (d, J = 1.8 Hz, 1H), 7.22 (s, 1H), 6.79 (s, 1H), 6.50 (s, 1H), 5.44 - 5.37 (m, 2H), 4.98 (s, 1H), 2.40 (d, J = 2.4 Hz, 4H), 2.19 (s, 2H), 1.95 (s, 2H), 1.46 (t, J = 9.4 Hz, 4H).	524.00 1.69 AAAA

Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
419	 2-{3-{2-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)propane-1,3-diol	1H NMR (500 MHz, DMSO) d 8.43 (d, J = 5.7 Hz, 1H), 8.25 (s, 1H), 7.97 (d, J = 5.8 Hz, 1H), 7.89 – 7.83 (m, 2H), 7.53 – 7.47 (m, 2H), 7.11 (s, 1H), 5.02 (t, J = 5.4 Hz, 2H), 4.74 (p, J = 6.0 Hz, 1H), 3.86 (t, J = 5.7 Hz, 4H), 2.46 (s, 3H).	513,00 1,64 PP
420	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]propane-1,3-diol	1H NMR (300 MHz, DMSO-d6) 8.23 (d, J = 6.0 Hz, 1H), 7.84 (d, J = 6.1 Hz, 1H), 5.19 (d, J = 10.4 Hz, 1H), 4.97 (t, J = 5.3 Hz, 2H), 4.86 (d, J = 4.6 Hz, 1H), 3.93 -3.73 (m, 4H), 2.59 (s, 3H), 2.50 (p, J = 1.9 Hz, 1H), 2.26 (d, J = 10.8 Hz, 2H), 1.98 (d, J = 11.7 Hz, 2H), 1.57 (dq, J = 22.6, 12.7, 12.3 Hz, 4H).	504,25 1.50 CCCC
421	 (3S)-3-hydroxy-4-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]butanenitrile	1H NMR (300 MHz, DMSO-d6) 8.46 (d, J = 5.7 Hz, 1H), 8.28 (s, 1H), 8.08 (d, J = 5.8 Hz, 1H), 6.79 (s, 1H), 5.31 (t, J = 5.2 Hz, 1H), 5.15 (dt, J = 24.7, 5.8 Hz, 2H), 3.80 (d, J = 5.5 Hz, 2H), 3.28 (d, J = 5.9 Hz, 2H), 2.49 (s, 3H), 2.38 (s, 1H), 2.20 (s, 2H), 1.97 (s, 2H), 1.49 (q, J = 8.1, 6.6 Hz, 4H).	528,45 1.63 CCCC

Cpd. No.	Structure & Name	NMR	LCMS [M+H] LCMS RT [min] LCMS Method
422	 (3-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-yl)methanol	1H NMR (300 MHz, DMSO-d6) 8.45 (d, J = 5.7 Hz, 1H), 8.09 (s, 1H), 8.02 (d, J = 5.7 Hz, 1H), 6.82 (s, 1H), 5.25 (t, J = 4.7 Hz, 1H), 5.14 -5.04 (m, 1H), 4.61 (s, 2H), 4.51 (d, J = 6.3 Hz, 2H), 4.29 (d, J = 6.2 Hz, 2H), 3.52 (d, J = 4.9 Hz, 2H), 2.50 (s, 3H), 2.38 (s, 1H), 2.21 (s, 2H), 1.96 (s, 2H), 1.54-1.45 (m, 4H).	543,35 1.62 CCCC
423	 1,3-diethyl 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propanedioate		569,10 1.70 D

LCMS Methods/Conditions (as given in Table 1):

A A: H2O + 0,1% TFA | B: MeCN + 0,1% TFA T: 40 °C | Flow: 3,3 ml/min | MS: 85-800 amu positive Column: Chromolith SpeedRod RP-18e 5,0 µm 50-4,6 mm 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min)

B Agilent HPH 50 mm x 3.0 mm;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10) Mobile Phase B: Acetonitrile

C Chromolith HR C18 5,0 ìm 50-4,6 mm; A : H2O + 0 ,05% HCOOH | B: MeC N + 0,04% HCOOH; 1% -> 9 9% B: 0 -> 1 ,0 min | 99% B: 1 ,0 -> 1,3 min; DAD: 220 nm

D Chromolith HR C18 5,0 ìm 50-4,6 mm; A: H2O + 0,1% TFA | B: MeCN + 0,1% TFA; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min; T: 40 °C | Flow: 3,3 ml/min | MS: 61-1000 amu positive; DAD: 220 nm

E Chromolith HR RP-18e 50-4,6 mm; A: H2O + 0,05% HCOOH | B: MeCN + 0,04% HCOOH + 1% H2O; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min; DAD: 220 nm

F Chromolith® HR RP-18 5.0µm 50-4.6mm; A: H2O+0.1% TFA; B: MeCN+0.1% TFA; 1%->99% B: 0->2.0min; 99% B: 2.0->2.5min; T: 40°C; Flow: 3.3 ml/min; MS: 85-1000 amu p

G Column: HALO C18, 2.0 µm, 3.0 x 30 mm; Mobile Phase A: H_2O/0.05% TFAMobile Phase B: Acetonitrile/0.05% TFA

H Column: Shim-Pack C18, 3 um, 3.0 x 33 mm; Solvent A:H_2O/5mM NH4HCO3; Solvent B:Acetonitrile

I Column: Waters XBridge C18 3.5um, 50*4.6mm; LCMS28,100-1000; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 5-95 %"in 3.0 min

J "Column: XBridge C8, 3.5 µm, 4.6 x 50 mm; LCMS11: Flow Rate:2.0 ml/min; Analysis Time:3.0 min; MS scan range: 61-800; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.1 min: 5 % B, 1.5 min: 95 % B, 2.5 min: 95% B, 2.6 min: 5% B, 3.0 min: 5% B;"

K Column: XBridge C8, 3.5 µm, 4.6 x 50 mm; Solvent A: H_2O + 0.1 % TFA; Solvent B: ACN + 0.1 % TFA; Flow: 2 ml/min; Gradient: 0 min: 5 % B, 8 min: 100 % B, 8.1 min: 100 % B, 8.5 min: 5% B, 10 min 5% B.

L Column: HALO C18,3.0*30mm,2.0 um particles; Column Oven: 40C;Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA;

M Column: HALO C18,3.0*30mm,2.0 um particles; Column Oven: 40C;Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA; Flow rate: 1,5ml/min; Gradient: 5%B to 95%B in 1,2 min, hold 0,6 min; PDA: 25
4 nm;

N Column: HALO C18,3.0*30mm,2.0 um particles; Column Oven: 40C;Mobile Phase A:H_2O/6.5 mM NH4HCO3+Ammonia Hydroxide(pH=10), Mobile Phase B: Acetonitrile;

O Column: HALO C18,3.0*30mm,2.0um; Column Oven: 40C;Mobile phase A:H_2O/0.1% FA,Mobile phase B:Acetonitrile/0.1% FA; 254nm

P Column: Halo C18,100 mm,4.6 mm;;Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA

Q Column: HALO C18,3.0*30mm,2.0um;;Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA

R Column:HALO,3.0*30mm,2um;Column Oven:40C; Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: Acetonitrile/0.05% TFA;

S Column: HALO,3.0*30mm,2um;Column Oven:40C;;Mobile Phase A: H_2O/5 mM NH4HCO3; Mobile Phase B: Acetonitrle; Flow rate: 1.2 ml/min; Gradient:10%B to 100%B in 1.2 min, hold 0.6 min; 254nm

T Column: HALO,3.0*30mm,2um; Column Oven:40C;;Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA; Flow rate: 1.2ml/min; Gradient:5%B to 100%B in 1.2min, hold 0.6 min; 254nm

U Column: HALO,3.0*30mm,2um;Column Oven:40C;Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA;

W Column: Poroshell HPH C18,3.0*50 mm,2.7um; Column Oven: 40C; Mobile Phase A:6.5mMNH4HCO3+NH4OH(PH=10), Mobile Phase B: Acetonitrile

X Column: Poroshell HPH C18,3.0*50 mm,2.7um; Column Oven: 40C; Mobile Phase A:6.5mMNH4HCO3+NH4OH(PH=10), Mobile Phase B: Acetonitrile;

Y Column: Poroshell HPH C18,3.0*50 mm,2.7um; Column Oven: 40C;;Mobile Phase A:6.5mMNH4HCO3+NH4OH(PH=10), Mobile Phase B: Acetonitrile; Flow rate: 1.2 ml/min; Gradient:10%B to 95%B in 1.8 min, hold 0.9 min;254nm

Z HALO C18,30mm,3.0mm,2um particles;Solvent A: H_2O + 0.1 % TFA; Solvent B: ACN + 0.1 % TFA; Flow: 2 ml/min; Gradient: 0 min: 5 % B, 8 min: 100 % B, 8.1 min: 100 % B, 8.5 min: 5% B, 10 min 5% B.

AA HALO C18;30 mm,3.0 mm; Mobile phase A:H_2O/0.1%FA;Mobile phase B:Acetonitrile/0.1%FA

BB HALO C18; Mobile phase A:H_2O/0.1%FA;Mobile phase B:Acetonitrile/0.1%FA

CC HALO,3.0*30mm,2um; Column Oven:40C;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10),Mobile Phase B: Acetonitrile; Flow rate: 1.2 ml/min; Gradient:10%B to 95%B in1.9min, hold 0.8 min; 254nm

DD HALO,3.0*30mm, 2um; Column Oven:40C;Mobile Phase A:H_2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA; Flow rate: 1.2ml/min; Gradient:5%B to 100%B in 1.2min, hold 0.6 min; 254nm

FF HALO,3.0*30mm,2um; Column Oven:40C; Mobile Phase A:H_2O/5mM NH4HCO3,Mobile Phase B:Acetonitrile; Flow rate: 1.2 ml/min; Gradient:10%B to 95%B in2.1min, hold 0.6 min; 254nm

GG HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;10-95%: Analysis Time:6.5 min; Mobil Phase A:0.02 NH4OAc in H_2O; Mobil Phase B: acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

HH HPLC_MS 24; Column: H_2Os XBridge C18 3.5um, 50*4.6mm;5-95%: Analysis Time:6.5 min; Mobil Phase A:0.1% TFA in H_2O; Mobil Phase B: acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

II HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;MS scan range: 100-1000; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 5-95 % in 3.0 min

JJ HPLC_MS 3; Column 1: waters XBridge C18 5um, 50*4.6mm;10-95%:Analysis Time:6.5 min; Mobil Phase A:0.02 NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

KK HPLC_MS 3; Column 1: waters XBridge C18 5um, 50*4.6mm;5-95%: Analysis Time:6.5 min;Mobil Phase A:0.1% TFA in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

LL Kinetex EVO C18 5,0µm 50-4.6mm; A: H2O+0.1% TFA B: MeCN+0.1% TFA ; 1%->99% B: 0->1.8 min ; 99% B: 1.8->2.1 min ; T: 40°C ; Flow: 3.3 ml/min ;

MM Kinetex EVO C18 5,0µm 50-4.6mm; A: H2O+0.1% TFA B: MeCN+0.1% TFA ; 1%->99% B: 0->1.8 min ; 99% B: 1.8->2.1 min ; T: 40°C ; Flow: 3.3 ml/min ;

NN Kinetex EVO-C18 1,7µm 50-2.1mm; A: H2O+0.05% HCOOH B: MeCN+0.04% HCOOH ; T:40 °C ; Flow: 0.9 ml/min ; 1% -> 99% B: 0 -> 1.0 min ; 99% B: 1.0 -> 1.3 min

OO Kinetex EVO-C18 1,7µm 50-2.1mm; A: H2O+0.05% HCOOH B: MeCN+0.04% HCOOH ; T:40 °C ; Flow: 0.9 ml/min ; 1% -> 99% B: 0 -> 1.0 min ; 99% B: 1.0 -> 1.3 min / (Kinetex UPLC)

PP LC-MS Agilent 1200 Series Chromolith RP-18e 50-4,6mm; 3.3 ml/min solvent A: H_2O + 0.05% HCOOH solvent B: Acetonitrile + 0.04% HCOOH 220 nm 0 to 2.0 min: 1% B to 99% B 2.0 to 2.5 min: 99%

QQ LC-MS Agilent 1200 Series Chromolith RP-18e 50-4,6mm; 3.3 ml/min solvent A: H_2O + 0.05% HCOOH solvent B: Acetonitrile + 0.04% HCOOH 220 nm 0 to 2.0 min:0%B to 100%B 2.0 to 2.5 min: 100%B

RR LC-MS Agilent 1200 Series Chromolith RP-18e 50-4,6mm;3.3 ml/min solvent A: H_2O + 0.05% HCOOH solvent B: Acetonitrile + 0.04% HCOOH 220 nm 0 to 2.0 min:0%B to 100%B 2.0 to 2.5 min: 100%B

SS LCMS basic ; Column: Waters Xbridge C18, 3.5¦Ìm, 3.0*30mm;0-98: Flow Rate:1.5 ml/min; Analysis Time:1.8 min; MS scan range: 61-800; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.1 min: 5 % B, 1.55 min: 98 % B, 1.56 min: 5% B, 1.8 min: 5% B;

TT LCMS basic ; Column: Waters Xbridge C18, 3.5¦Ìm, 3.0*30mm;10-95%: Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range: 100-1000; Mobil Phase A:0.02 NH4OAc in H_2O; Mobil Phase B:acetonitrile;Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

UU LCMS basic ; Column: Waters Xbridge C18, 3.5¦Ìm, 3.0*30mm;LCMS5-95%: Flow Rate:1.5 ml/min; Analysis Time:2.5 min; MS scan range: 100-1000; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.1 min: 5 % B, 1.0 min: 95 % B, 2.1 min: 95 % B, 2.2 min: 5% B, 2.5 min: 5% B;

VV LCMS-14; Chromolith HR RP-18e 50-4, 6 mm.;LCMS14: 0-100%: Flow Rate:3.3 ml/min; Analysis Time:2.5 min; MS scan range: 61-800; Mobil Phase A:0.05% HCOOH in H_2O; Mobil Phase B:0.04% HCOOH and 1% H2Oin acetonitrile; Gradient: 0 min: 0 % B, 2.0. min: 100 % B,2.5 min: 100% B;

WW LCMS-20; basic ; Column: Waters Xbridge C18, 3.5¦Ìm, 3.0*30mm;LCMS20; 30-98%; Flow Rate:2.0 ml/min; Analysis Time:2.5 min; MS scan range; 100-1500; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient; 0.1 min; 30 % B, 1.0 min; 98 % B, 2.0 min; 98% B;

XX LCMS-20; basic ; Column: Waters Xbridge C18, 3.5um, 3.0*30mm;LCMS20: 0-100%: Flow Rate:2.0 ml/min; Analysis Time:3.0 min; MS scan range: 61-800; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.1 min: 5 % B, 1.5 min: 95 % B, 2.5 min: 95% B, 2.6 min: 5% B, 3.0 min: 5% B;

YY QC: column: Agilent EC-C18;column size:4.6*50mm,4.0um;NHA: 40-85%; Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range; 100-1000; Mobil Phase A:0.02 NH4OAc in H2O; Mobil Phase B:acetonitrile.

ZZ QC: column: Agilent EC-C18;column size:4.6*50mm,4.0um;NHA: 5-95%; Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range; 100-1000; Mobil Phase A:0.02 NH4OAc in H_2O; Mobil Phase B:acetonitrile.

AAAQC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;10-95%: Mobil Phase A:0.1% TFA in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

BBB QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;10-95%:Mobil Phase A:0.02 NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

CCC QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;LCMS20; 30-98%; Flow Rate:2.0 ml/min; Analysis Time:2.5 min; MS scan range; 100-1500; Mobil Phase A:0.02% NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient; 0.1 min; 30 % B, 1.0 min; 98 % B, 2.0 min; 98% B;

DDD QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;LCMS20; 5-98%; Flow Rate:2.0 ml/min; Analysis Time:2.5 min; MS scan range; 100-1500; Mobil Phase A:0.02% NH4OAc in H_2O; Mobil Phase B:acetonitrile; Gradient; 0.1 min; 5 % B, 1.0 min; 98 % B, 2.0 min; 98% B;

EEE QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;LCMS28,100-1000; Mobil Phase A:0.02% NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient: 5-95 % in 3.0 min

FFF QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;NH4AC, MS scan range: 100-1000; Mobil Phase A:0.02% NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient: 5-95 % in 3.0 min

GGG QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;NHA: 5-95%; Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range; 100-1000; Mobil Phase A:0.02 NH4OAc in H2O; Mobil Phase B:acetonitrile.

HHH QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;TFA, MS scan range: 100-1000; Mobil Phase A:0.1% TFA in H2O; Mobil Phase B:acetonitrile; Gradient: 5-95 % in 3.0 min

III QC: HPLC_MS 24; Column: Waters XBridge C18 3.5um, 50*4.6mm;TFA: 20-70%; Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range; 100-1000; Mobil Phase A:0.1% TFA in H2O; Mobil Phase B:acetonitrile

JJJ QC: HPLC_MS 3; Column 1: waters XBridge C18 5um, 50*4.6mm;10-95%: Mobil Phase A:0.1% TFA in H2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

KKK QC: HPLC_MS 3; Column 1: waters XBridge C18 5um, 50*4.6mm;10-95%:Mobil Phase A:0.02 NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

LLL QC: HPLC_MS 3; Column 1: waters XBridge C18 5um, 50*4.6mm;LCMS11: Flow Rate:2.0 ml/min; Analysis Time:3.0 min; MS scan range: 61-800; Mobil Phase A:0.02% NH4OAc in H2O; Mobil Phase B:acetonitrile;Gradient: 0.1 min: 5 % B, 1.5 min: 95 % B, 2.5 min: 95% B, 2.6 min: 5% B, 3.0 min: 5% B;

MMMQC: HPLC_MS 3; Column 1: waters XBridge C18 5um, 50*4.6mm;NH4AC, MS scan range: 100-1000; Mobil Phase A:0.02% NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient: 5-95 % in 3.0 min

NNN QC: HPLC_MS 3; Column 1: waters XBridge C18 5um, 50*4.6mm;TFA, MS scan range: 100-1000; Mobil Phase A:0.1% TFA in H2O; Mobil Phase B:acetonitrile; Gradient: 5-95 % in 3.0 min

OOO QC: HPLC_MS 5; Column 1: waters XBridge C18 5um, 50*4.6mm;NH4AC, MS scan range: 100-1000; Mobil Phase A:0.02% NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient: 5-95 % in 3.0 min

PPP Shim-Pack C18, 3 um, 3.0 mm x 33 mm;Solvent A: H2O + 0.1 % FA; Solvent B: ACN + 0.1 % FA

QQQ Waters XBridge C18 5um, 50*4.6mm;10-80%: Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range: 100-1000; Mobil Phase A:0.02 NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10% B, 4.5 min: 80 % B, 4.6 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

RRR Waters XBridge C18 5um, 50*4.6mm;10-95%: Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range: 100-1000; Mobil Phase A:0.02 NH4OAc in H2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10% B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

SSS Waters XBridge C18 5um, 50*4.6mm;10-95%: Flow Rate:1.5 ml/min; Analysis Time:6.5 min; MS scan range: 100-1000; Mobil Phase A:0.1% TFA in H2O; Mobil Phase B:acetonitrile; Gradient: 0.15 min: 10 % B, 4.5 min: 95 % B, 6.0 min: 95 % B, 6.1 min: 5% B, 6.5 min: 5% B;

TTT Column: P C18, 2.0 µm, 3.0 x 30 mm;Mobile phase A:H2O/0.1%FA;Mobile phase B:Acetonitrile/0.1%FA

UUU Kinetex EV O -C 18 1 ,7 ìm 5 0-2 ,1 mm; A: H2O + 0,1% TFA | B: MeCN + 0,1% TFA; 1% -> 99% B: 0 -> 1,8 min | 99% B: 1,8 -> 2,1 min; T: 40 °C | Flow: 3,3 ml/min | MS: 61-1000 amu positive; DAD: 220 nm

VVV HALO 90A C18,3.0*30mm, 2.0um; Column Oven: 40C;Mobile phase A:H2O/0.1%FA;Mobile phase B:Acetonitrile/0.1%FA;254nm

WWW HALO C18,3.0*30mm,2um;Column Oven:40C;Mobile Phase A:H2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA; Flow rate: 1.2ml/min; Gradient:5%B to 100%B in 1.2min, hold 0.6 min; 254nm

XXX Column: HALO;Mobile Phase A:H2O/0.05% TFA, Mobile Phase B: Acetonitrile/0.05% TFA; Flow rate: 1.2mL/min; Gradient:5%B to 100%B in 0.7min, hold 0.4 min; 254nm

YYY Column: Poroshell HPH C18,3.0*50 mm,2.7um; Column Oven: 40C;;Mobile Phase A: H2O/5 mM NH4HCO3; Mobile Phase B: Acetonitrle; Flow rate: 1.2 mL/min; Gradient:10%B to 100%B in 1.2 min, hold 0.6 min; 254nm

ZZZ Chromolith HR C18 5,0 ìm 50-4,6 mm; A: H2O + 0,1% TFA | B: MeCN + 0,1% TFA; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min; T: 40 °C | Flow: 3,3 ml/min | MS: 61-1000 amu positive; DAD: 220 nm

AAAA Column: Poroshell HPH C18,3.0*50 mm,2.7um;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10)Mobile Phase B: Acetonitrile

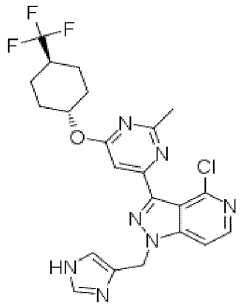
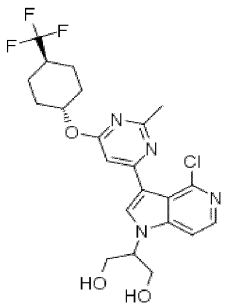
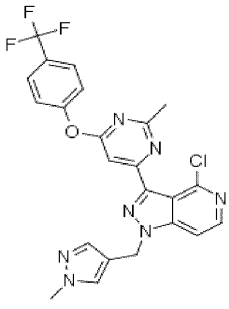
BBBB Column HALO 90A C 18, 2 um, 3.0 mm x 30 mm;Solvent A: H2O + 0.1 % FA; Solvent B: ACN + 0.1 % FA

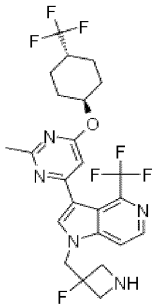
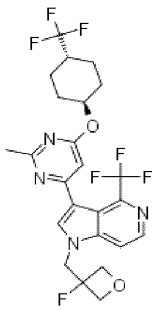
CCCC Column: Poroshell HPH C18,3.0*50 mm,2.7um; Column Oven: 40C;;Mobile Phase A:6.5mMNH4HCO3+NH4OH(PH=10), Mobile Phase B: Acetonitrile; Flow rate: 1.2 mL/min; Gradient:10%B to 95%B in 1.8 min, hold 0.9 min;254nm

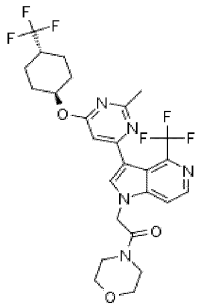
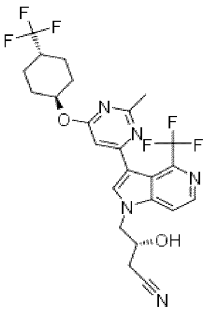
DDDD HALO C18,3.0*30mm,2um ;Column Oven:40C;Mobile Phase A:H2O/0.05% TFA,Mobile Phase B: ACN/0.05% TFA; Flow rate: 1.2mL/min; Gradient:5%B to 100%B in 1.2min, hold 0.6 min; 254nm

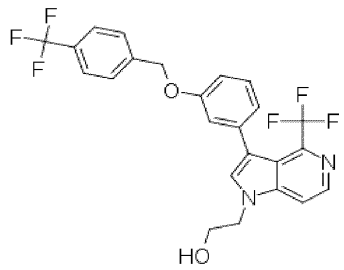
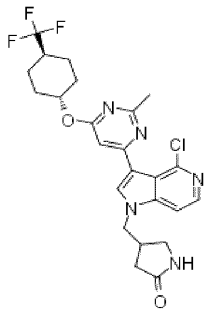
EEEE Column: Poroshell HPH-C18;Mobile Phase A:6.5 mM NH4HCO3+NH4OH (PH=10), Mobile Phase B: Acetonitrile; Flow rate: 1.2 mL/min; Gradient:10%B to 95%B in 1.0 min, hold 0.7 min;254nm

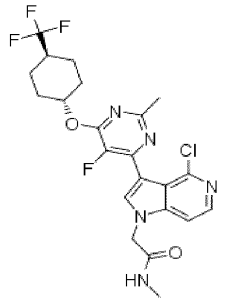
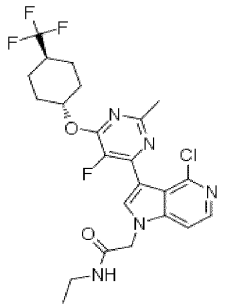
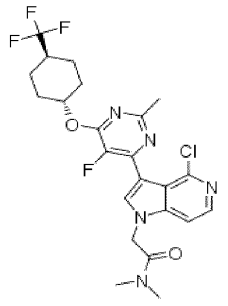
Table 1A

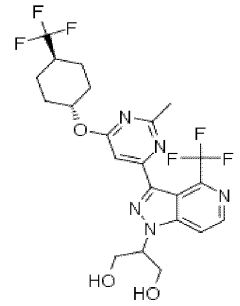
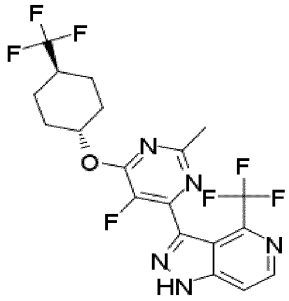
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
424	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.04 (s, 1H), 8.24 (d, J = 6.0 Hz, 1H), 7.92 (d, J = 6.0 Hz, 1H), 7.57 (s, 1H), 7.21 (s, 1H), 7.02 (s, 1H), 5.62 (s, 2H), 5.16-5.07 (m, 1H), 2.58 (s, 3H), 2.37 (s, 1H), 2.20 (s, 2H), 1.96 (d, J = 9.3 Hz, 2H), 1.50 (q, J = 11.3, 10.4 Hz, 4H).	0.82 min 492,10 LCMS method 25
425	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,3-diol	1H NMR (500 MHz, DMSO) 8.10 (d, J = 5.5 Hz, 2H), 7.70 (d, J = 5.7 Hz, 1H), 6.95 (s, 1H), 5.15 – 5.05 (m, 1H), 4.70 – 4.61 (m, 1H), 3.83 (d, J = 5.9 Hz, 4H), 2.58 (s, 3H), 2.44 – 2.34 (m, 1H), 2.24 – 2.18 (m, 2H), 2.01 – 1.93 (m, 2H), 1.59 – 1.42 (m, 4H).	1.50 min 485.00 LCMS method 39
426	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.29 (d, J = 6.0 Hz, 1H), 8.00 (d, J = 6.0 Hz, 1H), 7.87 (d, J = 8.5 Hz, 2H), 7.76 (s, 1H), 7.59 - 7.45 (m, 3H), 7.38 (d, J = 0.7 Hz, 1H), 5.64 (s, 2H), 3.76 (s, 3H), 2.53 (s, 3H).	1.03 min 500.00 LCMS method 18

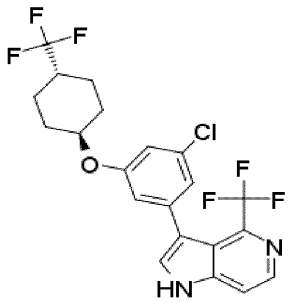
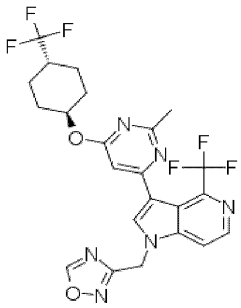
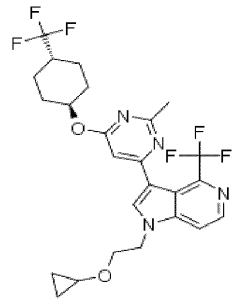
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
427	 4-{1-[(3-fluoroazetidin-3-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO-d6) 8.46 (d, J = 5.7 Hz, 1H), 8.07 (s, 1H), 8.01 (d, J = 5.7 Hz, 1H), 6.81 (s, 1H), 5.09 (s, 1H), 4.88 (d, J = 23.2 Hz, 2H), 3.60 (d, J = 16.9 Hz, 4H), 2.50 (s, 3H), 2.38 (s, 1H), 2.20 (s, 2H), 1.97 (s, 2H), 1.49 (q, J = 10.3 Hz, 4H).	0.85 min 532.25 LCMS method 36
428	 4-{1-[(3-fluorooxetan-3-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.47 (d, J = 5.7 Hz, 1H), 8.13 (s, 1H), 8.02 (dd, J = 5.7, 1.4 Hz, 1H), 6.81 (s, 1H), 5.04 (t, J = 19.7 Hz, 3H), 4.89- 4.73 (m, 2H), 4.64 (dd, J = 20.6, 8.4 Hz, 2H), 2.49 (d, J = 1.9 Hz, 3H), 2.39 (d, J = 10.2 Hz, 1H), 2.24- 2.17 (m, 2H), 1.96 (s, 2H), 1.50 (t, J = 4.6 Hz, 4H).	1.80 min 533.25 LCMS method 29

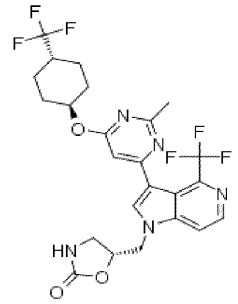
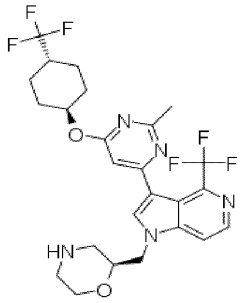
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
429	 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-1-(morpholin-4-yl)ethan-1-one	1H NMR (300 MHz, DMSO-d6) 8.42 (d, J = 5.3 Hz, 1H), 7.94 (d, J = 2.8 Hz, 1H), 7.84 (d, J = 5.6 Hz, 1H), 6.74 (d, J = 2.8 Hz, 1H), 5.41 (s, 2H), 5.07 (s, 1H), 3.71 (d, J = 4.9 Hz, 2H), 3.60 (d, J = 5.0 Hz, 4H), 3.44 (d, J = 5.0 Hz, 2H), 2.51 (s, 3H), 2.36 (s, 1H), 2.23- 2.16 (m, 2H), 1.96 (s, 2H), 1.54- 1.45 (m, 4H).	1.63 min 527.35 LCMS method 29
430	 (3R)-3-hydroxy-4-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]butanenitrile Absolute configuration known	1H NMR (300 MHz, DMSO-d6) 8.46 (d, J = 5.7 Hz, 1H), 8.27 (s, 1H), 8.08 (d, J = 5.8 Hz, 1H), 6.78 (s, 1H), 5.36 - 5.27 (m, 1H), 5.15 (dt, J = 24.4, 5.9 Hz, 2H), 3.80 (d, J = 6.1 Hz, 2H), 3.28 (s, 2H), 2.50 (s, 3H), 2.38 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.49 (d, J = 5.2 Hz, 4H).	1.64 min 528.30 LCMS method 29

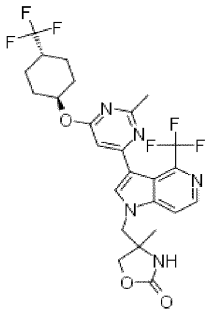
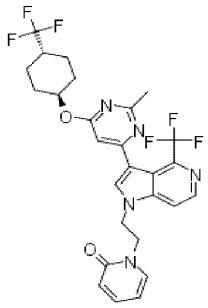
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
431	 2-[4-(trifluoromethyl)-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) 8.36 (d, J = 5.7 Hz, 1H), 7.91 (d, J = 5.7 Hz, 1H), 7.75 (d, J = 8.1 Hz, 2H), 7.70 – 7.65 (m, 3H), 7.32 (t, J = 7.8, 7.8 Hz, 1H), 7.06 – 6.99 (m, 2H), 6.96 (d, J = 7.5 Hz, 1H), 5.25 (s, 2H), 4.94 (t, J = 5.3, 5.3 Hz, 1H), 4.36 (t, J = 5.3, 5.3 Hz, 2H), 3.78 (q, J = 5.3, 5.3, 5.2 Hz, 2H), 2.08 (s, 1H).	1.90 min 481.10 - 482.10 LCMS method 17
432	 4-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Racemic mixture	1H NMR (700 MHz, DMSO) 8.12 (d, J = 5.6 Hz, 1H), 8.06 (s, 1H), 7.77 (d, J = 5.8 Hz, 1H), 7.56 (s, 1H), 6.90 (s, 1H), 5.08 (tt, J = 10.6, 4.4 Hz, 1H), 4.41 – 4.33 (m, 2H), 3.25 (dd, J = 9.5, 7.5 Hz, 1H), 3.04 – 2.93 (m, 2H), 2.56 (s, 3H), 2.40 – 2.36 (m, 1H), 2.24 – 2.17 (m, 3H), 2.04 – 1.94 (m, 3H), 1.56 – 1.44 (m, 4H).	1.59 min 508.10 - 511.10 LCMS method 17

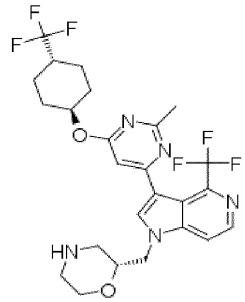
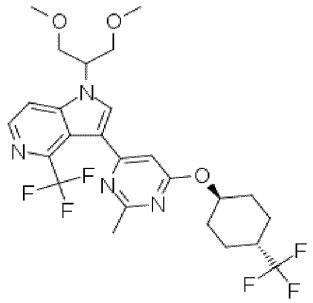
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
433	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-methylacetamide	1H NMR (500 MHz, DMSO) 8.33 (s, 1H), 8.10 (d, J = 5.7 Hz, 1H), 7.87 (s, 1H), 7.55 (d, J = 5.7 Hz, 1H), 5.18 (m, 1H), 4.99 (s, 2H), 3.13 (m, 2H), 2.57 – 2.53 (m, 3H), 2.41 (m, 1H), 2.25 (m, 2H), 2.01 – 1.94 (m, 2H), 1.65 – 1.43 (m, 4H).	1.60 min 500.10 LCMS method 8
434	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N-ethylacetamide	1H NMR (500 MHz, DMSO) 8.33 (t, J = 5.5, 1H), 8.10 (d, J = 5.7 Hz, 1H), 7.87 (s, 1H), 7.55 (d, J = 5.7 Hz, 1H), 5.17 (tt, J = 10.9, 4.4 Hz, 1H), 4.99 (s, 2H), 3.13 (m, 2H), 2.57 – 2.53 (m, 3H), 2.41 (m, 1H), 2.25 (dd, J = 11.9, 4.4 Hz, 2H), 2.01 – 1.94 (m, 2H), 1.65 – 1.43 (m, 4H), 1.24 (s, 1H), 1.06 (t, J = 7.2, 3H).	1.63 min 514.10 LCMS method 8
435	 2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-N,N-dimethylacetamide	1H NMR (500 MHz, DMSO) 8.08 (d, J = 5.8 Hz, 1H), 7.79 (s, 1H), 7.59 (d, J = 5.7 Hz, 1H), 5.35 (s, 2H), 5.17 (m, 1H), 3.12 (s, 3H), 2.88 (s, 3H), 2.57 – 2.51 (m, 3H), 2.28 – 2.21 (m, 2H), 1.98 (d, J = 14.9 Hz, 2H), 1.65 – 1.44 (m, 4H).	1.62 min 514.10 LCMS method 8

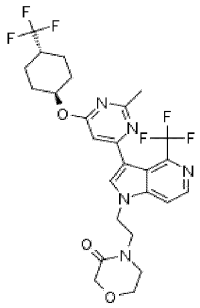
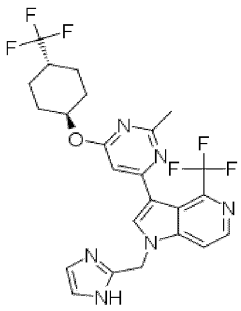
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
436	 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]propane-1,3-diol	1H NMR (400 MHz, DMSO-d6) 8.58 (d, J = 6.0 Hz, 1H), 8.09 (d, J = 5.9 Hz, 1H), 6.98 (s, 1H), 5.10 (dd, J = 24.0, 7.0 Hz, 2H), 4.87 (t, J = 5.5 Hz, 1H), 4.63 (dd, J = 14.2, 3.5 Hz, 1H), 4.52 (dd, J = 14.2, 7.9 Hz, 1H), 3.94 (s, 1H), 3.43 (dt, J = 8.6, 5.7 Hz, 2H), 2.56 (s, 3H), 2.22 (d, J = 9.4 Hz, 2H), 1.97 (d, J = 10.5 Hz, 2H), 1.52 (t, J = 10.5 Hz, 4H).	1.00 min 520.00 LCMS method 24
437	 5-fluoro-2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-[4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 14.60 (s, 1H), 8.59 (d, J = 5.9 Hz, 1H), 8.02 (d, J = 5.9 Hz, 1H), 5.22 (m, 1H), 2.55 (d, J = 1.1 Hz, 3H), 2.39 (s, 1H), 2.26 (d, J = 10.5 Hz, 2H), 1.98 (d, J = 12.0 Hz, 2H), 1.55 (m, 4H).	1.15 min 464.00 LCMS method 18

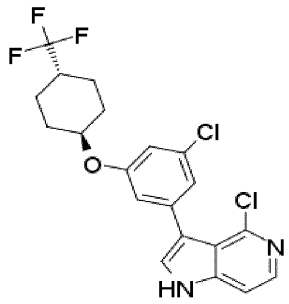
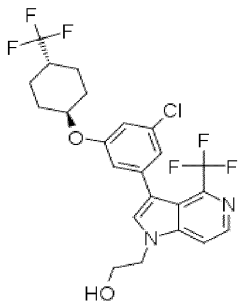
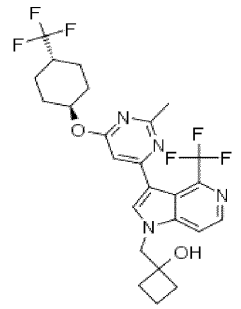
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
438	 3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO-d6) ¦Ä 12.32 (s, 1H), 8.34 (d, J = 5.4 Hz, 1H), 7.74 (d, J = 3.3 Hz, 2H), 7.05 (dd, J = 3.7, 2.0 Hz, 1H), 6.94 (dd, J = 7.5, 2.8 Hz, 2H), 4.43 (t, J = 9.8 Hz, 1H), 2.41 -2.24 (m, 1H), 2.20 -2.05 (m, 2H), 1.90 (d, J = 9.6 Hz, 2H), 1.44 (p, J = 8.3 Hz, 4H).	1.94 min 463.15 LCMS method 29
439	 2-methyl-4-{1-[(1,2,4-oxadiazol-3-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 9.62 (s, 1H), 8.47 (d, J = 5.6 Hz, 1H), 8.14 (s, 1H), 7.97 (d, J = 5.7 Hz, 1H), 6.77 (s, 1H), 5.92 (s, 2H), 5.08 (t, J = 5.0 Hz, 1H), 2.49 (s, 3H), 2.38 (d, J = 10.2 Hz, 1H), 2.20 (s, 2H), 1.96 (s, 2H), 1.49 (m, 4H).	1.76 min 527.30 LCMS method 29
440	 4-[1-(2-cyclopropoxyethyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.43 (d, J = 5.7 Hz, 1H), 8.04 (s, 1H), 7.95 (d, J = 5.7 Hz, 1H), 6.76 (s, 1H), 5.08 (d, J = 4.5 Hz, 1H), 4.48 (t, J = 5.1 Hz, 2H), 3.82 (t, J = 5.2 Hz, 2H), 3.30 - 3.21 (m, 1H), 2.51 (s, 3H), 2.37 (s, 1H), 2.21 (s, 2H), 1.96 (s, 2H), 1.50 (s, 4H), 0.35 (m, 4H).	1.03 min 529.25 LCMS method 36

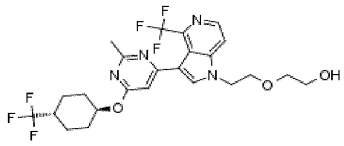
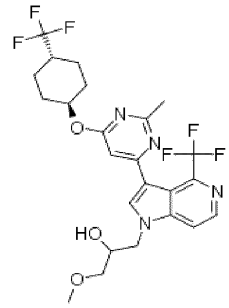
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
441	 (5R)-5-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one	1H NMR (300 MHz, DMSO-d6) 8.47 (d, J = 5.7 Hz, 1H), 8.10 - 8.00 (m, 2H), 7.60 (s, 1H), 6.78 (s, 1H), 5.20-5.06 (m, 1H), 5.02-4.88 (m, 1H), 4.68-4.60 (m, 2H), 3.64 (t, J = 9.0 Hz, 1H), 3.30-3.23 (m, 1H), 2.55 (d, J = 1.0 Hz, 3H), 2.43-2.34 (m, 1H), 2.21 (s, 2H), 1.98 (s, 2H), 1.50 (m, 4H).	1.56 min 544.00 LCMS method 31
442	 (2S)-2-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}morpholine Absolute configuration assigned arbitrarily	1H NMR (300 MHz, DMSO-d6) 8.43 (d, J = 5.6 Hz, 1H), 8.03- 7.90 (m, 2H), 6.77 (s, 1H), 5.07 (s, 1H), 4.46 -4.25 (m, 2H), 3.78-3.63 (m, 2H), 3.35 (td, J = 10.7, 3.5 Hz, 1H), 2.87 (dd, J = 12.2, 2.3 Hz, 1H), 2.59 (d, J = 13.5 Hz, 2H), 2.53 (d, J = 1.9 Hz, 3H), 2.39 (dd, J = 12.1, 10.0 Hz, 2H), 2.20 (s, 2H), 1.97 (s, 2H), 1.61-1.40 (m, 4H).	1.65 min 544.35 LCMS method 29

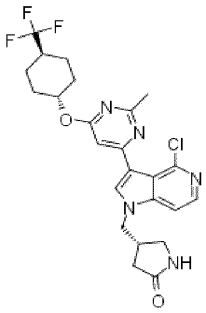
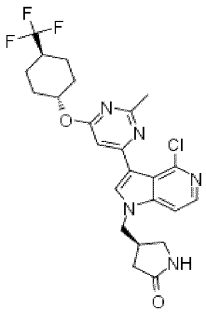
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
443	 4-methyl-4-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Racemic mixture	1H NMR (400 MHz, DMSO) 8.47 (d, J = 5.6 Hz, 1H), 8.08 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.96 (s, 1H), 6.79 (s, 1H), 5.14 – 5.03 (m, 1H), 4.54 (d, J = 14.8 Hz, 1H), 4.36 (d, J = 14.9 Hz, 1H), 4.22 (d, J = 9.2 Hz, 1H), 4.05 (d, J = 9.2 Hz, 1H), 2.51 (s, 6H), 2.21 (d, J = 8.8 Hz, 1H), 2.08 (s, 1H), 1.96 (d, J = 9.9 Hz, 1H), 1.59 – 1.41 (m, 3H), 1.33 (s, 3H).	1.51 min 558.00 LCMS method 31
444	 1-{2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}-1,2-dihydropyridin-2-one	1H NMR (300 MHz, DMSO-d6) 8.38 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.76 (d, J = 5.7 Hz, 1H), 7.35 (m, 1H), 7.26 (dd, J = 6.8, 2.1 Hz, 1H), 6.73 (s, 1H), 6.38 (d, J = 9.1 Hz, 1H), 6.03 (td, J = 6.7, 1.5 Hz, 1H), 5.10 (s, 1H), 4.67 (t, J = 6.0 Hz, 2H), 4.33 (t, J = 6.1 Hz, 2H), 2.62 - 2.56 (m, 3H), 2.28 (s, 1H), 2.21 (s, 2H), 1.98 (s, 2H), 1.57-1.44 (m, 4H).	1.63 min 566.00 LCMS method 31

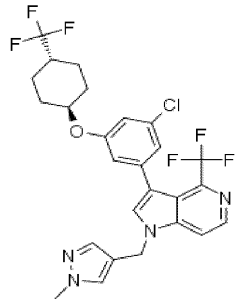
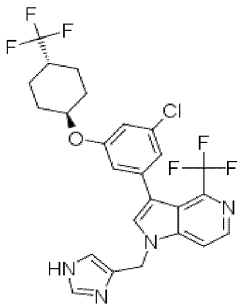
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
445	 (2R)-2-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}morpholine Absolute configuration assigned arbitrarily	1H NMR (300 MHz, DMSO-d6) 8.43 (d, J = 5.7 Hz, 1H), 8.00- 7.91 (m, 2H), 6.77 (s, 1H), 5.11- 5.02 (m, 1H), 4.46-4.25 (m, 2H), 3.75 (s, 2H), 3.35 (td, J = 10.8, 3.6 Hz, 1H), 2.87 (dd, J = 12.3, 2.4 Hz, 1H), 2.67- 2.59 (m, 2H), 2.59 - 2.53 (m, 3H), 2.46- 2.32 (m, 2H), 2.24 - 2.17 (m, 2H), 1.98 (s, 2H), 1.61-1.37 (m, 4H).	1.65 min 544.30 LCMS method 29
446	 4-[1-(1,3-dimethoxypropan-2-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.42 (d, J = 5.7 Hz, 1H), 8.18 (s, 1H), 8.04 (d, J = 5.8 Hz, 1H), 6.80 (s, 1H), 5.19-5.06 (m, 2H), 3.85 (dd, J = 10.5, 7.6 Hz, 2H), 3.75 (dd, J = 10.5, 4.5 Hz, 2H), 3.23 (s, 6H), 2.62 -2.56 (m, 3H), 2.40 (s, 1H), 2.21 (s, 2H), 1.98 (s, 2H), 1.50 (d, J = 5.1 Hz, 3H).	1.90 min 547.00 LCMS method 31

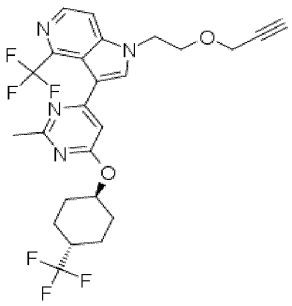
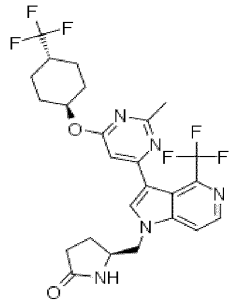
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
447	 4-{2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}morpholin-3-one	1H NMR (300 MHz, DMSO-d6) 8.46 (d, J = 5.6 Hz, 1H), 8.09 (s, 1H), 7.95 (d, J = 5.7 Hz, 1H), 6.75 (s, 1H), 5.09 (s, 1H), 4.53 (t, J = 5.8 Hz, 2H), 3.92 (s, 2H), 3.70 (m, 4H), 3.17 (t, J = 5.1 Hz, 2H), 2.49 (s, 3H), 2.37 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.51 (s, 4H).	0.91 min 572.30 LCMS method 36
448	 4-{1-[(1H-imidazol-2-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.19 (s, 1H), 8.48 - 8.40 (m, 1H), 8.06 (d, J = 2.6 Hz, 1H), 8.01- 7.92 (m, 1H), 7.11 (s, 1H), 6.85 (s, 1H), 6.77 (d, J = 2.6 Hz, 1H), 5.58 (d, J = 2.5 Hz, 2H), 5.08 (s, 1H), 2.49 (s, 5H), 2.28 (s, 3H), 2.20 (s, 3H), 1.97 (s, 2H), 1.49 (d, J = 7.1 Hz, 4H).	1.60 min 525.35 LCMS method 29

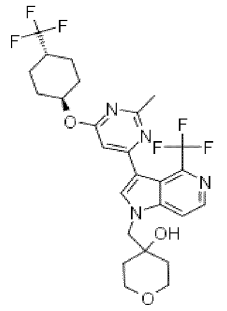
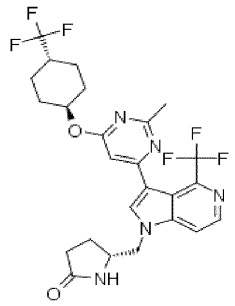
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
449	 4-chloro-3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO-d6) 12.17 (s, 1H), 8.02 (d, J = 5.6 Hz, 1H), 7.69 (s, 1H), 7.49 (d, J = 5.6 Hz, 1H), 7.11 - 6.99 (m, 3H), 4.46 (s, 1H), 2.37 - 2.28 (m, 1H), 2.17 (s, 2H), 1.90 (s, 2H), 1.46 (m, 4H).	1.40 min 429.10 LCMS method 36
450	 2-[3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.38 (d, J = 5.6 Hz, 1H), 7.93 (d, J = 5.6 Hz, 1H), 7.76 (s, 1H), 7.11-7.03 (m, 1H), 6.93 (d, J = 9.2 Hz, 2H), 4.96 (t, J = 5.2 Hz, 1H), 4.43 (s, 1H), 4.36 (t, J = 5.1 Hz, 2H), 3.78 (q, J = 5.3 Hz, 2H), 2.34 (s, 1H), 2.14 (s, 2H), 1.90 (s, 2H), 1.44 (s, 4H).	1.87 min 507.20 LCMS method 29
451	 1-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-	1H NMR (300 MHz, DMSO-d6) 8.41 (d, J = 5.7 Hz, 1H), 8.07 ¨C 7.98 (m, 2H), 6.77 (s, 1H), 5.51 (s, 1H), 5.09 (s, 1H), 4.40 (s, 2H), 2.50 (s, 3H), 2.37 (s, 1H), 2.20 (s, 2H), 2.06 - 1.90 (m, 6H), 1.75 (m, 1H), 1.49 (d, J = 9.4 Hz, 1H), 1.49 (s, 4H).	1.08 min 529.20 LCMS method 37

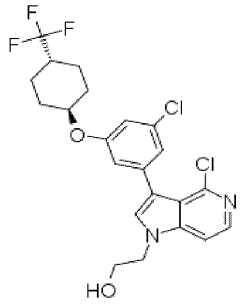
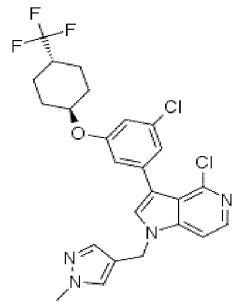
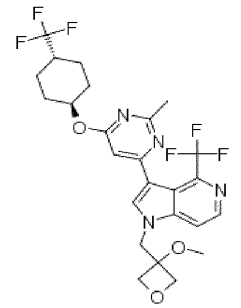
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}cyclobutan-1-ol		
452	 2-{2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethoxy}ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.43 (d, J = 5.7 Hz, 1H), 8.08 (s, 1H), 7.99 (d, J = 5.7 Hz, 1H), 6.78 (s, 1H), 5.13 - 5.07 (m, 1H), 4.58 (d, J = 5.4 Hz, 1H), 4.51 (t, J = 5.1 Hz, 2H), 3.81 (t, J = 5.0 Hz, 2H), 3.43 (d, J = 2.6 Hz, 3H), 2.62 -2.56 (m, 3H), 2.28 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.55 - 1.38 (m, 4H).	1.63 min 533.00 LCMS method 31
453	 1-methoxy-3-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-2-ol Racemic mixture	1H NMR (300 MHz, DMSO-d6) 8.42 (d, J = 5.7 Hz, 1H), 7.98-7.85 (m, 2H), 6.77 (s, 1H), 5.06 (s, 1H), 4.41 (dd, J = 14.5, 3.7 Hz, 1H), 4.27 (dd, J = 14.5, 7.4 Hz, 1H), 4.01 (d, J = 5.7 Hz, 1H), 3.39-3.22 (m, 5H), 2.51 (s, 3H), 2.35 (s, 1H), 2.20 (s, 2H), 1.99 (s, 2H), 1.49 (q, J = 9.9, 8.6 Hz, 4H).	1.71 min 533.00 LCMS method 31

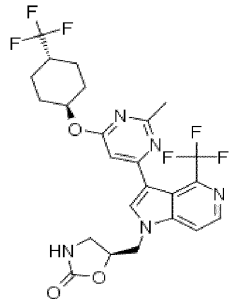
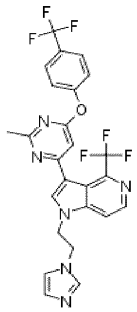
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
454	 (4S)-4-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.7 Hz, 1H), 8.07 (s, 1H), 7.78 (d, J = 5.8 Hz, 1H), 7.58 (s, 1H), 6.90 (s, 1H), 5.07 (m, 1H), 4.43 – 4.31 (m, 2H), 3.29 – 3.22 (m, 1H), 3.05 – 2.91 (m, 2H), 2.56 (s, 3H), 2.41 (d, J = 17.5 Hz, 1H), 2.20 (dd, J = 16.6, 8.7 Hz, 3H), 2.05 – 1.93 (m, 3H), 1.58 – 1.36 (m, 4H).	1.44 min 508.10 - 510.00 LCMS method 8
455	 (4R)-4-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.7 Hz, 1H), 8.07 (s, 1H), 7.78 (d, J = 5.8 Hz, 1H), 7.58 (s, 1H), 6.90 (s, 1H), 5.07 (m, 1H), 4.43 – 4.31 (m, 2H), 3.29 – 3.22 (m, 1H), 3.05 – 2.91 (m, 2H), 2.56 (s, 3H), 2.41 (d, J = 17.5 Hz, 1H), 2.20 (dd, J = 16.6, 8.7 Hz, 3H), 2.05 – 1.93 (m, 3H), 1.58 – 1.36 (m, 4H).	1.44 min 508.10 - 510.00 LCMS method 8

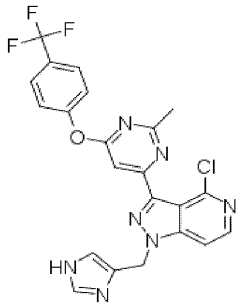
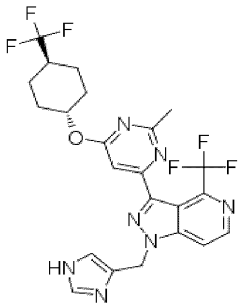
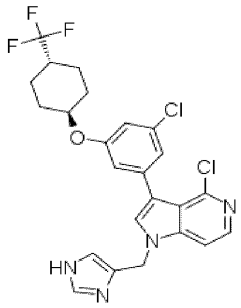
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
456	 4-{[3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1-methyl-1H-pyrazole	1H NMR (400 MHz, DMSO-d6) 8.39 (d, J = 5.7 Hz, 1H), 8.05 (d, J = 5.6 Hz, 1H), 7.86 (d, J = 1.3 Hz, 1H), 7.78 (s, 1H), 7.53 (d, J = 0.9 Hz, 1H), 7.07 (t, J = 2.0 Hz, 1H), 6.93 (m, 2H), 5.38 (s, 2H), 4.45 -4.38 (m, 1H), 3.76 (d, J = 1.2 Hz, 3H), 2.50 (m, 1H), 2.33 (m, 2H), 2.12 (d, J = 9.4 Hz, 2H), 1.90 (d, J = 10.0 Hz, 2H), 1.44 (m, 4H).	1.97 min 577.20 LCMS method 29
457	 4-{[3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1H-imidazole	1H NMR (300 MHz, DMSO-d6) 12.03 (s, 1H), 8.37 (d, J = 5.7 Hz, 1H), 8.05 (d, J = 5.7 Hz, 1H), 7.78 (s, 1H), 7.59 (s, 1H), 7.22 (s, 1H), 7.06 (t, J = 2.1 Hz, 1H), 6.91 (d, J = 7.0 Hz, 2H), 5.39 (s, 2H), 4.42 (s, 1H), 2.33 (s, 1H), 2.13 (s, 2H), 1.89 (s, 2H), 1.45 (d, J = 13.5 Hz, 4H).	1.79 min 543.25 LCMS method 29

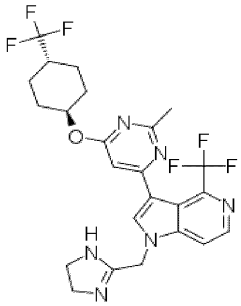
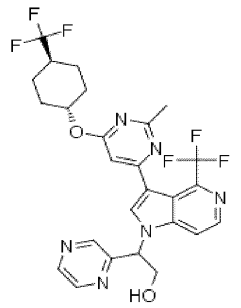
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
458	 2-methyl-4-{1-[2-(prop-2-yn-1-yloxy)ethyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.43 (d, J = 5.6 Hz, 1H), 8.05 (s, 1H), 7.97 (d, J = 5.7 Hz, 1H), 6.76 (s, 1H), 5.08 (d, J = 4.4 Hz, 1H), 4.54 (t, J = 5.0 Hz, 2H), 4.14 (d, J = 2.4 Hz, 2H), 3.84 (t, J = 5.1 Hz, 2H), 3.42 (t, J = 2.4 Hz, 1H), 2.51 (s, 3H), 2.37 (s, 1H), 2.20 (s, 2H), 1.97 (s, 2H), 1.54-1.37 (m, 4H).	1.87 min 527.00 LCMS method 31
459	 (5S)-5-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (400 MHz, DMSO-d6) 8.45 (d, J = 5.6 Hz, 1H), 8.08 (s, 1H), 8.02 (d, J = 5.7 Hz, 1H), 7.79 (s, 1H), 6.78 (s, 1H), 5.09 (m, 1H), 4.38 (d, J = 5.6 Hz, 2H), 4.02 (m, 1H), 2.51 (s, 3H), 2.37 (s, 1H), 2.21 (d, J = 8.6 Hz, 2H), 2.17 - 2.06 (m, 1H), 2.04 - 1.93 (m, 4H), 1.74 (m, 1H), 1.58- 1.40 (m, 4H).	1.59 min 542.35 LCMS method 29

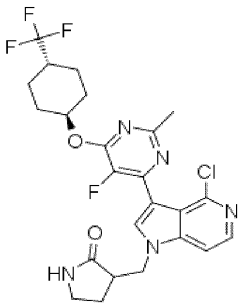
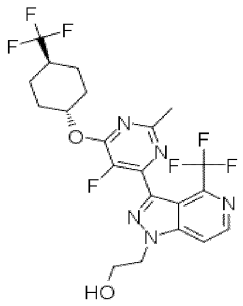
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
460	 4-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxan-4-ol	1H NMR (500 MHz, DMSO) d 8.42 (d, J = 5.7 Hz, 1H), 8.05 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 6.80 (s, 1H), 5.09 (m, 1H), 4.29 (s, 2H), 3.73 – 3.38 (m, 4H), 2.52 (s, 3H), 2.38 (q, J = 10.7 Hz, 1H), 2.20 (t, J = 6.6 Hz, 2H), 2.04 – 1.92 (m, 2H), 1.74 – 1.65 (m, 2H), 1.57 – 1.40 (m, 4H), 1.31 – 1.22 (m, 2H).	1.76 min 559.20 - 560.20 LCMS method 8
461	 (5R)-5-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (400 MHz, DMSO-d6) 8.45 (d, J = 5.6 Hz, 1H), 8.08 (s, 1H), 8.02 (d, J = 5.7 Hz, 1H), 7.79 (s, 1H), 6.78 (s, 1H), 5.08 (m, 1H), 4.38 (d, J = 5.5 Hz, 2H), 4.02 (m, 1H), 2.51 (s, 3H), 2.37 (s, 1H), 2.21 (d, J = 8.8 Hz, 2H), 2.16- 2.08 (m, 1H), 1.99 (m, 4H), 1.77 - 1.70 (m, 1H), 1.57-1.45 (m, 4H).	1.59 min 542.30 LCMS method 29

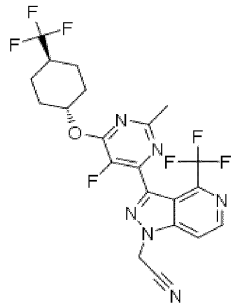
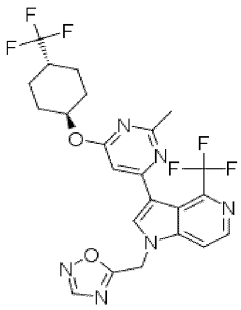
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
462	 2-[4-chloro-3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.05 (d, J = 5.7 Hz, 1H), 7.71 (s, 1H), 7.65 (d, J = 5.8 Hz, 1H), 7.05 (dd, J = 10.4, 1.7 Hz, 3H), 4.95 (t, J = 5.2 Hz, 1H), 4.46 (d, J = 5.7 Hz, 1H), 4.30 (t, J = 5.3 Hz, 2H), 3.76 (q, J = 5.2 Hz, 2H), 2.38 (s, 1H), 2.16 (d, J = 9.5 Hz, 2H), 1.91 (d, J = 10.5 Hz, 2H), 1.51-1.39 (m, 4H).	1.85 min 473.00 LCMS method 31
463	 4-{[4-chloro-3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1-methyl-1H-pyrazole	1H NMR (300 MHz, DMSO-d6) 8.06 (d, J = 5.7 Hz, 1H), 7.83-7.73 (m, 3H), 7.51 (s, 1H), 7.10-7.00 (m, 3H), 5.32 (s, 2H), 4.45 (s, 1H), 3.76 (s, 3H), 2.34 (s, 1H), 2.16 (s, 2H), 1.91 (d, J = 9.8 Hz, 2H), 1.45 (m, 4H).	1.92 min 523.00 LCMS method 31
464	 4-{1-[(3-methoxyoxetan-3-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-	1H NMR (300 MHz, DMSO-d6) ¦Ä 8.45 (d, J = 5.7 Hz, 1H), 8.09-7.97 (m, 2H), 6.80 (s, 1H), 5.08 (d, J = 4.2 Hz, 2H), 4.81 (s, 2H), 4.65 (d, J = 7.4 Hz, 2H), 4.52 (d, J = 7.4 Hz, 2H), 3.37 (s, 3H), 2.57 (s, 3H), 2.37 (s, 1H), 2.20 (s, 2H), 1.97 (s, 2H), 1.55-1.43 (m, 4H).	1.80 min 545.00 LCMS method 31

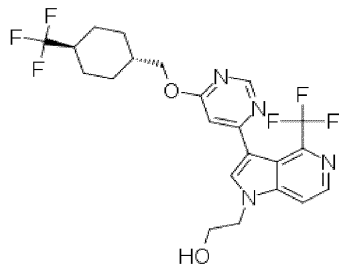
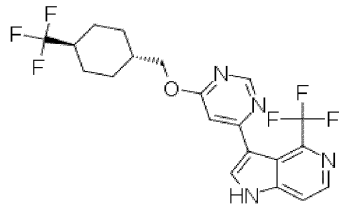
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine		
465	 (5S)-5-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration known	1H NMR (300 MHz, DMSO-d6) 8.47 (d, J = 5.7 Hz, 1H), 8.10-8.00 (m, 2H), 7.60 (s, 1H), 6.78 (s, 1H), 5.08 (dd, J = 9.7, 5.3 Hz, 1H), 4.99 (t, J = 6.8 Hz, 1H), 4.72-4.55 (m, 2H), 3.64 (t, J = 9.0 Hz, 1H), 3.30-3.21 (m, 1H), 2.57 (s, 3H), 2.38 (s, 1H), 2.21 (s, 2H), 1.98 (s, 2H), 1.50 (m, 4H).	1.58 min 544.00 LCMS method 31
466	 4-{1-[2-(1H-imidazol-1-yl)ethyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 8.40 (d, J = 5.6 Hz, 1H), 7.92 (s, 1H), 7.86 (d, J = 8.4 Hz, 2H), 7.80 (d, J = 5.7 Hz, 1H), 7.50 (d, J = 8.4 Hz, 2H), 7.36 (s, 1H), 7.10 (s, 1H), 7.00 (s, 1H), 6.80 (s, 1H), 4.73 (t, J = 5.9 Hz, 2H), 4.46 (t, J = 5.9 Hz, 2H), 2.46 (s, 3H). Spektrum	1.40 - 1.41 min 533.10 LCMS method 39

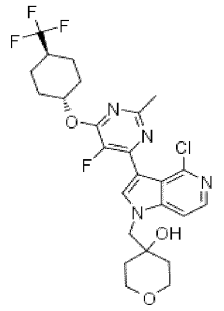
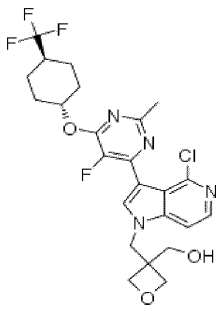
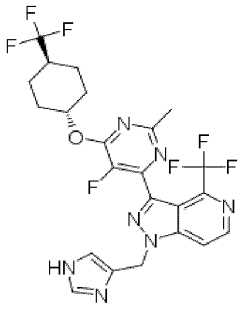
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
467	 4-{4-chloro-1-[(1H-imidazol-4-yl)methyl]-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.13 (s, 1H), 8.26 (d, J = 6.0 Hz, 1H), 7.95 (d, J = 6.0 Hz, 1H), 7.87 (d, J = 8.5 Hz, 2H), 7.61 (s, 1H), 7.54 (d, J = 8.4 Hz, 2H), 7.35 (s, 1H), 7.24 (s, 1H), 5.67 (s, 2H), 2.54 (s, 3H).	0.78 min 486.00 LCMS method 18
468	 4-{1-[(1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO-d6) 12.10 (s, 1H), 8.60 (d, J = 5.9 Hz, 1H), 8.25 (d, J = 5.9 Hz, 1H), 7.57 (s, 1H), 7.23 (s, 1H), 6.97 (s, 1H), 5.70 (s, 2H), 5.12 (tt, J = 10.6, 4.7 Hz, 1H), 2.39 (s, 3H), 2.21 (t, J = 6.2 Hz, 2H), 1.96 (d, J = 10.2 Hz, 2H), 1.50 (m, 4H).	0.91 min 526.00 LCMS method 24
469		1H NMR (300 MHz, DMSO-d6) 12.01 (s, 1H), 8.05 (d, J = 5.7 Hz, 1H), 7.81-7.70 (m, 2H), 7.58 (s, 1H), 7.19 (s, 1H), 7.07-6.99 (m, 3H), 5.33 (s, 2H), 4.45 (s, 1H), 2.34 (s, 1H), 2.16 (s, 2H), 1.89 (s, 2H), 1.52-1.40 (m, 4H).	1.77 min 509.00 LCMS method 31

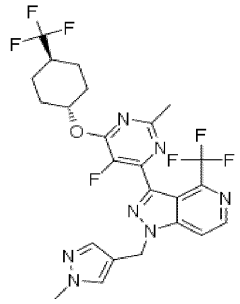
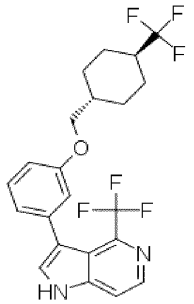
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	4-{[4-chloro-3-(3-chloro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1H-imidazole		
470	 4-{1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 9.84 (s, 1H), 8.48 (d, J = 5.6 Hz, 1H), 8.18 (s, 1H), 8.06 (d, J = 5.7 Hz, 1H), 6.79 (s, 1H), 5.46 (s, 2H), 5.15 -5.04 (m, 1H), 3.68 (s, 4H), 2.49 (d, J = 1.9 Hz, 3H), 2.40 (s, 1H), 2.21 (d, J = 10.3 Hz, 2H), 1.93 (d, J = 12.6 Hz, 2H), 1.58 -1.41 (m, 4H).	0.79 min 527.05 LCMS method 29
471	 2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-(pyrazin-2-yl)ethan-1-ol Racemic mixture	1H NMR (300 MHz, DMSO-d6) 8.85 (s, 1H), 8.62 (s, 2H), 8.42 (d, J = 5.7 Hz, 1H), 8.31 (s, 1H), 8.04 (d, J = 5.7 Hz, 1H), 6.83 (s, 1H), 6.16 (t, J = 6.5 Hz, 1H), 5.41 (s, 1H), 5.10 (s, 1H), 4.33 (d, J = 20.7 Hz, 2H), 2.57 (s, 3H), 2.42 (s, 1H), 2.21 (s, 2H), 1.96 (s, 2H), 1.50 (s, 4H).	0.99 min 567.00 LCMS method 18

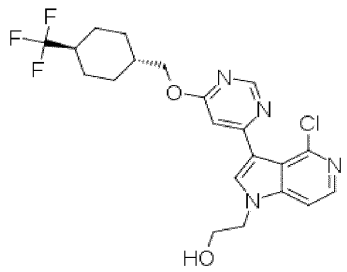
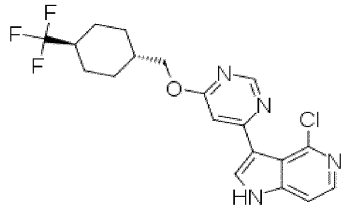
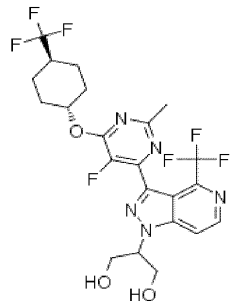
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
472	 3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Racemic mixture	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.8 Hz, 1H), 7.90 (s, 1H), 7.80 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 5.21 – 5.11 (m, 1H), 4.56 (dd, J = 14.4, 5.1 Hz, 1H), 4.46 (dd, J = 14.4, 7.0 Hz, 1H), 3.15 – 3.01 (m, 2H), 2.93 – 2.83 (m, 1H), 2.54 (s, 3H), 2.46 – 2.34 (m, 1H), 2.26 – 2.20 (m, 2H), 2.08 – 1.89 (m, 3H), 1.70 – 1.43 (m, 6H).	1.74 min 526.20 LCMS method 39
473	 2-[3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.61 (d, J = 6.0 Hz, 1H), 8.17 (d, J = 6.0 Hz, 1H), 5.22 (s, 1H), 4.98 (t, J = 5.4 Hz, 1H), 4.66 (t, J = 5.0 Hz, 2H), 3.85 (d, J = 5.3 Hz, 2H), 2.55 - 2.54 (m, 3H), 2.39 (s, 1H), 2.24 (s, 2H), 1.98 (d, J = 11.9 Hz, 2H), 1.59 (m, 4H).	1.07 min 508.00 LCMS method 18

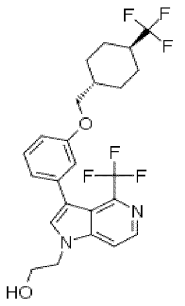
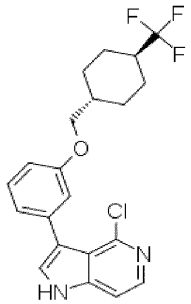
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
474	 2-[3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]acetonitrile	1H NMR (300 MHz, DMSO-d6) 8.76 (d, J = 6.0 Hz, 1H), 8.32 (d, J = 6.0 Hz, 1H), 6.07 (s, 2H), 5.23 (s, 1H), 2.56 (d, J = 1.1 Hz, 3H), 2.39 (s, 1H), 2.25 (s, 2H), 1.99 (d, J = 12.0 Hz, 2H), 1.54 (m, 4H).	1.18 min 503.00 LCMS method 18
475	 2-methyl-4-{1-[(1,2,4-oxadiazol-5-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.39 (d, J = 5.6 Hz, 1H), 7.93 (s, 1H), 7.72 (d, J = 5.7 Hz, 1H), 7.67 - 7.56 (m, 1H), 6.75 (s, 1H), 5.05 (dd, J = 9.9, 5.6 Hz, 1H), 4.81 (s, 2H), 2.51 (s, 3H), 2.37 (d, J = 9.6 Hz, 1H), 2.20 (s, 2H), 1.97 (d, J = 9.6 Hz, 2H), 1.49 (m, 4H).	1.20 min 527.10 LCMS method 29

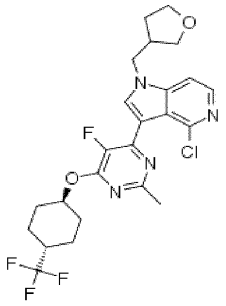
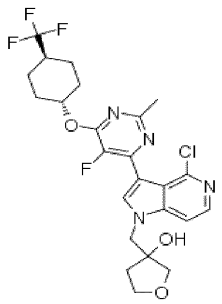
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
476	 2-[3-(6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.76 (d, J = 1.1 Hz, 1H), 8.43 (d, J = 5.7 Hz, 1H), 8.07 (s, 1H), 7.96 (d, J = 5.7 Hz, 1H), 6.99 (d, J = 1.1 Hz, 1H), 4.99 (t, J = 5.3 Hz, 1H), 4.39 (t, J = 5.1 Hz, 2H), 4.23 (d, J = 6.3 Hz, 2H), 3.78 (q, J = 5.2 Hz, 2H), 2.23 (s, 1H), 1.92 (d, J = 11.3 Hz, 4H), 1.81 (s, 1H), 1.23 (m, 4H).	1.02 min 489.20 LCMS method 36
477	 4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.36 (s, 1H), 8.76 (d, J = 1.1 Hz, 1H), 8.39 (d, J = 5.5 Hz, 1H), 8.05 (s, 1H), 7.78 (d, J = 5.5 Hz, 1H), 7.02 (d, J = 1.1 Hz, 1H), 4.23 (d, J = 6.4 Hz, 2H), 2.25 (d, J = 11.2 Hz, 1H), 2.00 - 1.86 (m, 4H), 1.81 (s, 1H), 1.39 - 1.04 (m, 4H).	1.03 min 445.15 LCMS method 36

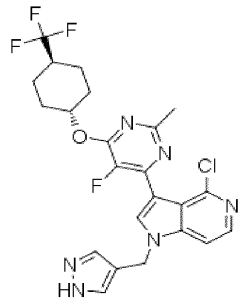
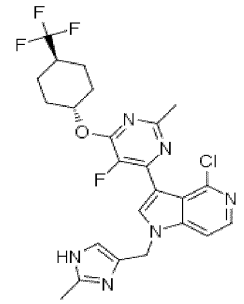
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
478	 4-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxan-4-ol	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.8 Hz, 1H), 7.84 (s, 1H), 7.80 (d, J = 5.8 Hz, 1H), 5.16 (m, 1H), 4.87 (s, 1H), 4.27 (s, 2H), 3.64 (m, 2H), 3.55 (m, 2H), 2.55 (s, 3H), 2.43 – 2.36 (m, 1H), 2.24 (dd, J = 12.6, 4.6 Hz, 2H), 1.98 (m, , 2H), 1.68 (m, 2H), 1.64 – 1.41 (m, 4H), 1.32 – 1.22 (m, 2H).	1.79 min 543.10 - 546.10 LCMS method 8
479	 (3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-yl)methanol	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.8 Hz, 1H), 7.96 (s, 1H), 7.78 (d, J = 5.8 Hz, 1H), 5.25 (s, 1H), 5.17 (m, 1H), 4.59 (s, 2H), 4.48 (d, J = 6.2 Hz, 2H), 4.29 (d, J = 6.2 Hz, 2H), 3.52 (s, 2H), 2.55 (s, 3H), 2.46 – 2.36 (m, 1H), 2.24 (dd, J = 12.2, 4.6 Hz, 2H), 1.98 (d, J = 14.4 Hz, 2H), 1.65 – 1.44 (m, 4H).	1.75 min 529.10 - 532.20 LCMS method 8
480	 5-fluoro-4-{1-[(1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl}-	1H NMR (300 MHz, DMSO-d6) 12.07 (s, 1H), 8.63 (d, J = 6.0 Hz, 1H), 8.30 (d, J = 6.0 Hz, 1H), 7.57 (s, 1H), 7.26 (s, 1H), 5.71 (s, 2H), 5.36 - 5.10 (m, 1H), 2.53 (d, J = 1.0 Hz, 3H), 2.39 (s, 1H), 2.23 (s, 2H), 1.98 (d, J = 11.6 Hz, 2H), 1.68 - 1.46 (m, 4H).	0.85 min 544.00 LCMS method 18

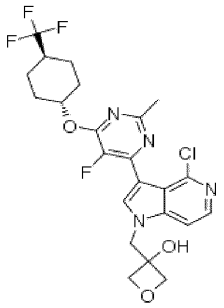
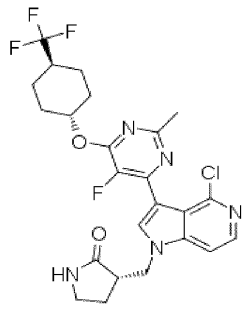
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine		
481	 5-fluoro-2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO-d6) 8.65 (d, J = 6.0 Hz, 1H), 8.34 (d, J = 5.9 Hz, 1H), 7.78 (s, 1H), 7.49 (s, 1H), 5.70 (s, 2H), 5.21 (tt, J = 10.7, 4.5 Hz, 1H), 3.76 (s, 3H), 2.53 (s, 3H), 2.39 (s, 1H), 2.24 (dd, J = 11.4, 4.5 Hz, 2H), 2.05 C 1.92 (m, 2H), 1.65 C 1.40 (m, 4H).	1.15 min 558.00 LCMS method 24
482	 3-(3-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO-d6) 12.26 (s, 1H), 8.33 (d, J = 5.5 Hz, 1H), 7.75 (d, J = 5.5 Hz, 1H), 7.67 (s, 1H), 7.29 (dd, J = 9.1, 7.3 Hz, 1H), 6.97 - 6.88 (m, 3H), 3.81 (d, J = 6.3 Hz, 2H), 2.23 (s, 1H), 1.92 (d, J = 12.5 Hz, 4H), 1.76 (s, 1H), 1.38 - 1.04 (m, 4H).	1.58 min 443.20 LCMS method 36

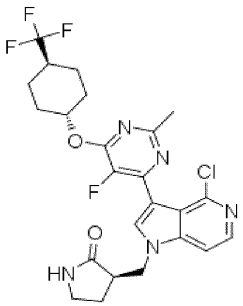
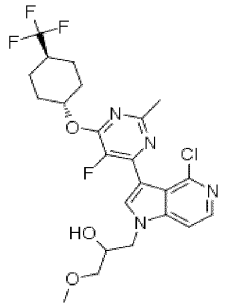
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
483	 2-[4-chloro-3-(6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.78 (d, J = 1.1 Hz, 1H), 8.10 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.70 (d, J = 5.7 Hz, 1H), 7.12 (d, J = 1.1 Hz, 1H), 4.97 (t, J = 5.2 Hz, 1H), 4.35 (t, J = 5.2 Hz, 2H), 4.22 (d, J = 6.3 Hz, 2H), 3.76 (q, J = 5.1 Hz, 2H), 2.23 (s, 1H), 1.91 (d, J = 11.6 Hz, 4H), 1.82 (s, 1H), 1.24 (m, 4H).	1.57 min 455.00 LCMS method 31
484	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.40 (s, 1H), 8.78 (d, J = 1.1 Hz, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.99 (s, 1H), 7.52 (d, J = 5.6 Hz, 1H), 7.13 (d, J = 1.1 Hz, 1H), 4.22 (d, J = 6.3 Hz, 2H), 2.23 (s, 1H), 1.97-1.86 (m, 4H), 1.81 (s, 1H), 1.39-1.15 (m, 4H), 1.10 (d, J = 11.8 Hz, 1H).	1.64 min 411.00 LCMS method 31
485	 2-[3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-	1H NMR (300 MHz, DMSO-d6) 8.61 (d, J = 6.0 Hz, 1H), 8.14 (d, J = 6.0 Hz, 1H), 5.27 - 5.18 (m, 1H), 5.12 (d, J = 5.4 Hz, 1H), 4.87 (t, J = 5.5 Hz, 1H), 4.70 - 4.52 (m, 2H), 3.93 (s, 1H), 3.43 (q, J = 5.4 Hz, 2H), 2.55 (d, J = 1.1 Hz, 3H), 2.24 (s, 2H), 1.98 (d, J = 12.3 Hz, 2H), 1.64 - 1.46 (m, 4H), 1.24 (s, 1H).	1.03 min 538.00 LCMS method 24

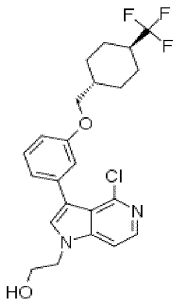
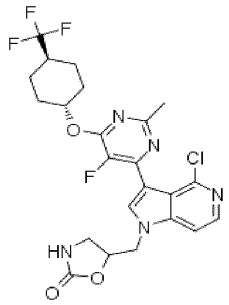
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]propane-1,3-diol		
486	 2-[3-(3-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}phenyl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.36 (d, J = 5.7 Hz, 1H), 7.92 (d, J = 5.7 Hz, 1H), 7.68 (s, 1H), 7.29 (t, J = 7.7 Hz, 1H), 6.92 (d, J = 8.0 Hz, 3H), 4.97 (t, J = 5.2 Hz, 1H), 4.36 (t, J = 5.2 Hz, 2H), 3.79 (dd, J = 9.0, 5.7 Hz, 4H), 2.36 - 2.08 (m, 1H), 1.96 - 1.87 (m, 4H), 1.76 (s, 1H), 1.38 - 1.03 (m, 4H).	11.14 min 487.20 LCMS method 36
487	 4-chloro-3-(3-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (300 MHz, DMSO-d6) 12.09 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.60 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.29 (t, J = 7.8 Hz, 1H), 7.04 (dd, J = 8.1, 1.6 Hz, 2H), 6.94-6.84 (m, 1H), 3.85 (d, J = 6.3 Hz, 2H), 2.30-2.17 (m, 1H), 2.00-1.85 (m, 4H), 1.82-1.71 (m, 1H), 1.36-1.09 (m, 4H).	1.67 min 409.00 LCMS method 1

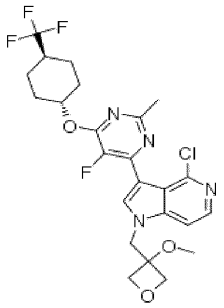
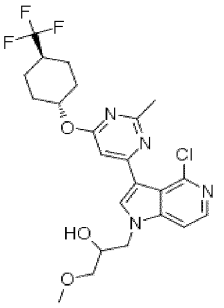
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
488	 4-{4-chloro-1-[(oxolan-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-oxy}pyrimidine Racemic mixture	1H NMR (500 MHz, DMSO) 8.12 (d, J = 5.8 Hz, 1H), 8.02 (s, 1H), 7.79 (d, J = 5.8 Hz, 1H), 5.17 (m, 1H), 4.38 – 4.26 (m, 2H), 3.83 (m, 1H), 3.70 – 3.60 (m, 2H), 3.46 (m, 1H), 2.80 (m, 1H), 2.55 (s, 2H), 2.55 (s, 1H), 2.24 (m, 2H), 1.98 (m, 2H), 1.88 (m, 5.5 Hz, 1H), 1.66 – 1.43 (m, 6H).	1.72 min 513.10 LCMS method 8
489	 3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Racemic mixture	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.89 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 5.29 (s, 1H), 5.21 – 5.11 (m, 1H), 4.45 (s, 2H), 3.89 – 3.79 (m, 2H), 3.70 (d, J = 8.9 Hz, 1H), 3.46 (d, J = 8.9 Hz, 1H), 2.54 (d, J = 0.9 Hz, 3H), 2.46 – 2.33 (m, 1H), 2.26 – 2.20 (m, 2H), 2.00 – 1.94 (m, 2H), 1.77 – 1.69 (m, 1H), 1.64 – 1.30 (m, 5H).	1.76 min 529.10 LCMS method 39

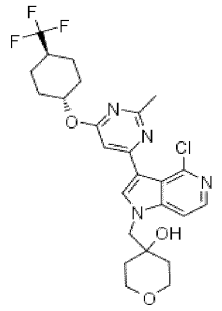
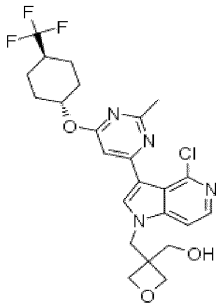
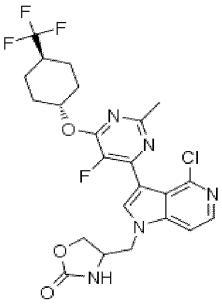
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
490	 4-{4-chloro-1-[(1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.83 (s, 1H), 8.11 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.86 (s, 1H), 7.83 (d, J = 5.8 Hz, 1H), 7.57 (s, 1H), 5.40 (s, 2H), 5.20 – 5.10 (m, 1H), 2.53 (d, J = 0.9 Hz, 3H), 2.44 – 2.32 (m, 1H), 2.23 (dd, J = 12.4, 4.2 Hz, 2H), 2.00 – 1.94 (m, 2H), 1.63 – 1.42 (m, 4H).	1.766 min 509.10 LCMS method 39
491	 4-{4-chloro-1-[(2-methyl-1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 11.66 (s, 1H), 8.09 (d, J = 5.7 Hz, 1H), 7.88 (s, 1H), 7.79 (d, J = 5.7 Hz, 1H), 5.31 (s, 2H), 5.16 (m, 1H), 2.54 (s, 3H), 2.24 (dd, J = 11.5, 4.2 Hz, 2H), 2.20 (s, 3H), 1.98 (m, 2H), 1.64 – 1.43 (m, 5H).	1.53 min 523.20 LCMS method 8

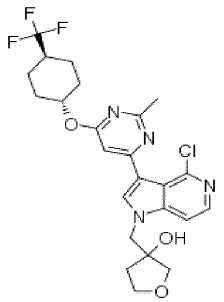
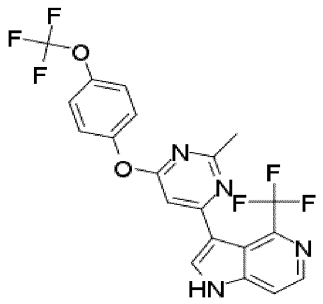
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
492	 3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-ol	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 7.95 (s, 1H), 7.77 (d, J = 5.8 Hz, 1H), 6.26 (s, 1H), 5.21 – 5.11 (m, 1H), 4.64 (s, 2H), 4.54 (d, J = 6.7 Hz, 2H), 4.43 (d, J = 6.7 Hz, 2H), 2.54 (s, 3H), 2.46 – 2.30 (m, 1H), 2.27 – 2.20 (m, 2H), 2.01 – 1.94 (m, 2H), 1.69 – 1.23 (m, 4H).	1.74 min 515.10 LCMS method 39
493	 (3S)-3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.8 Hz, 1H), 7.90 (s, 1H), 7.80 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 5.21 – 5.11 (m, 1H), 4.56 (dd, J = 14.4, 5.1 Hz, 1H), 4.46 (dd, J = 14.4, 7.0 Hz, 1H), 3.15 – 3.01 (m, 2H), 2.93 – 2.83 (m, 1H), 2.54 (s, 3H), 2.46 – 2.34 (m, 1H), 2.26 – 2.20 (m, 2H), 2.08 – 1.89 (m, 3H), 1.70 – 1.43 (m, 6H).	1.74 min 526.20 LCMS method 39

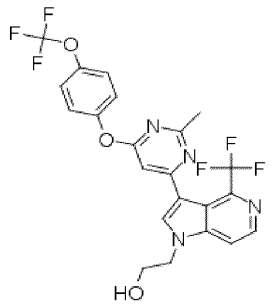
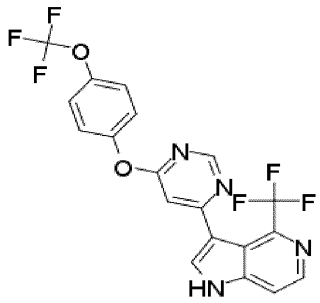
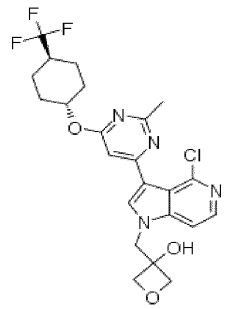
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
494	 (3R)-3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}pyrrolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.8 Hz, 1H), 7.90 (s, 1H), 7.80 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 5.21 – 5.11 (m, 1H), 4.57 (m, 1H), 4.46 (dd, J = 14.4, 7.0 Hz, 1H), 3.15 – 3.01 (m, 2H), 2.93 – 2.83 (m, 1H), 2.54 (s, 3H), 2.46 – 2.34 (m, 1H), 2.26 – 2.20 (m, 2H), 2.08 – 1.89 (m, 3H), 1.70 – 1.42 (m, 6H).	1.74 min 526.20 LCMS method 39
495	 1-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3-methoxypropan-2-ol Racemic mixture	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.8 Hz, 1H), 7.84 (s, 1H), 7.65 (d, J = 5.8 Hz, 1H), 5.27 (d, J = 5.3 Hz, 1H), 5.16 (m, 1H), 4.38 (m, 1H), 4.24 (m, 1H), 4.03 – 3.94 (m, 1H), 3.31 – 3.21 (m, 5H), 2.55 (s, 3H), 2.47 – 2.34 (m, 1H), 2.24 (m, 2H), 2.01 – 1.95 (m, 2H), 1.65 – 1.43 (m, 4H).	2.40 min 517.10 - 520.20 LCMS method 8

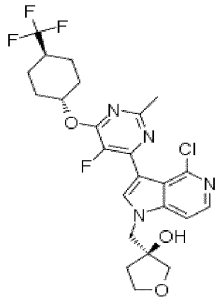
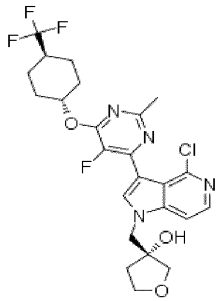
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
496	 2-[4-chloro-3-(3-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.03 (d, J = 5.7 Hz, 1H), 7.68- 7.59 (m, 2H), 7.30 (t, J = 7.9 Hz, 1H), 7.08 - 6.97 (m, 2H), 6.90 (ddd, J = 8.3, 2.6, 1.1 Hz, 1H), 4.95 (t, J = 5.3 Hz, 1H), 4.30 (t, J = 5.3 Hz, 2H), 3.85 (d, J = 6.3 Hz, 2H), 3.76 (q, J = 5.3 Hz, 2H), 2.33 - 2.18 (m, 1H), 1.99 -1.86 (m, 4H), 1.82 - 1.69 (m, 1H), 1.40 - 1.04 (m, 4H).	1.81 min 453.25 LCMS method 31
497	 5-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Racemic mixture	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.8 Hz, 1H), 7.92 (s, 1H), 7.78 (d, J = 5.8 Hz, 1H), 7.60 (s, 1H), 5.21 – 5.11 (m, 1H), 5.00 – 4.92 (m, 1H), 4.67 – 4.55 (m, 2H), 3.63 (t, J = 9.0 Hz, 1H), 3.31 – 3.22 (m, 1H), 2.55 (s, 3H), 2.45 – 2.33 (m, 1H), 2.27 – 2.21 (m, 2H), 2.00 – 1.94 (m, 2H), 1.64 – 1.43 (m, 4H).	1.71 min 528.10 LCMS method 39

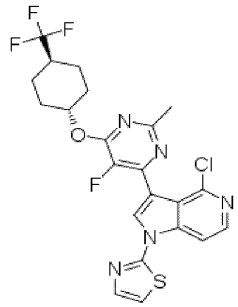
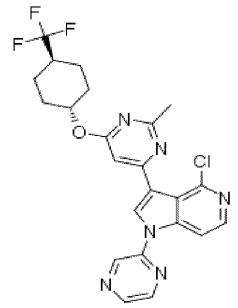
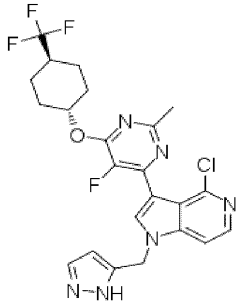
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
498	 4-{4-chloro-1-[(3-methoxyoxetan-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.8 Hz, 1H), 7.85 (s, 1H), 7.81 (d, J = 5.8 Hz, 1H), 5.21 – 5.11 (m, 1H), 4.79 (s, 2H), 4.63 (d, J = 7.4 Hz, 2H), 4.49 (d, J = 7.3 Hz, 2H), 3.37 (s, 3H), 2.54 (s, 3H), 2.43 – 2.35 (m, 1H), 2.27 – 2.20 (m, 2H), 2.01 – 1.94 (m, 2H), 1.64 – 1.43 (m, 4H).	1.87 min 529.10 LCMS method 39
499	 1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3-methoxypropan-2-ol Racemic mixture	1H NMR (500 MHz, DMSO) 8.10 (d, J = 5.7 Hz, 1H), 7.92 (s, 1H), 7.64 (d, J = 5.7 Hz, 1H), 6.89 (s, 1H), 5.25 (d, J = 5.3 Hz, 1H), 5.07 (m, 1H), 4.37 (m, 1H), 4.22 (m, 1H), 4.02 – 3.93 (m, 1H), 3.34 – 3.21 (m, 9H), 2.55 (s, 3H), 2.21 (m, 2H), 1.96 (m, 2H), 1.58 – 1.42 (m, 4H).	1.68 min 499.10 LCMS method 6

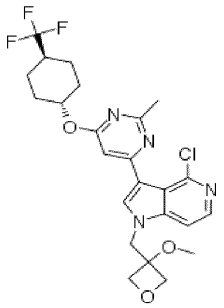
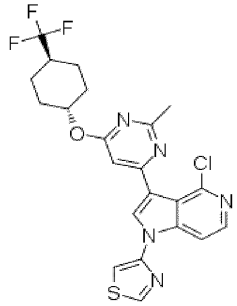
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
500	 4-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxan-4-ol	1H NMR (500 MHz, DMSO) 8.09 (d, J = 5.8 Hz, 1H), 7.92 (s, 1H), 7.79 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.07 (tt, J = 10.4, 4.4 Hz, 1H), 4.85 (s, 1H), 4.25 (s, 2H), 3.64 (ddd, J = 11.5, 4.9, 2.4 Hz, 2H), 3.55 (td, J = 11.4, 2.2 Hz, 2H), 2.55 (s, 3H), 2.38 (dd, J = 14.6, 6.7 Hz, 1H), 2.21 (t, J = 6.5 Hz, 2H), 2.05 – 1.92 (m, 2H), 1.68 (td, J = 12.6, 5.0 Hz, 2H), 1.58 – 1.42 (m, 4H), 1.26 (d, J = 13.0 Hz, 2H).	1.65 min 525.20 - 528.20 LCMS method 6
501	 (3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-yl)methanol	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.77 (d, J = 5.8 Hz, 1H), 6.90 (s, 1H), 5.24 (t, J = 4.9 Hz, 1H), 5.13 – 5.03 (m, 1H), 4.57 (s, 2H), 4.49 (d, J = 6.2 Hz, 2H), 4.29 (d, J = 6.2 Hz, 2H), 3.53 (d, J = 5.0 Hz, 2H), 2.56 (s, 3H), 2.44 – 2.33 (m, 1H), 2.24 – 2.18 (m, 2H), 1.99 – 1.93 (m, 2H), 1.58 – 1.50 (m, 2H), 1.50 – 1.42 (m, 2H).	1.63 min 511.10 LCMS method 6
502		1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.8 Hz, 1H), 7.97 (s, 1H), 7.87 (d, J = 1.5 Hz, 1H), 7.78 (d, J = 5.8 Hz, 1H), 5.21 – 5.11 (m, 1H), 4.46 – 4.41 (m, 2H), 4.44 – 4.37 (m, 1H), 4.34 – 4.25 (m, 1H), 4.09 (dd, J = 8.9, 4.8 Hz, 1H), 2.55 (s, 3H), 2.42 – 2.38 (m, 1H), 2.27 – 2.20 (m, 2H), 2.01 – 1.94	1.73 min 528.00 LCMS method 39

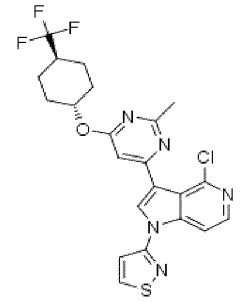
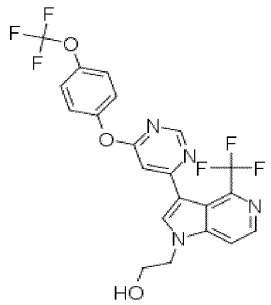
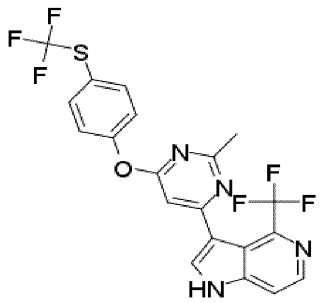
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	4-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Racemic mixture	(m, 2H), 1.64 – 1.42 (m, 4H).	
503	 3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Racemic mixture	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.28 (s, 1H), 5.07 (dp, J = 10.4, 4.3 Hz, 1H), 4.44 (s, 2H), 3.89 – 3.79 (m, 2H), 3.69 (d, J = 8.9 Hz, 1H), 3.45 (d, J = 8.9 Hz, 1H), 2.55 (s, 3H), 2.39 – 2.36 (m, 1H), 2.21 (d, J = 9.5 Hz, 2H), 2.05 – 1.91 (m, 3H), 1.77 – 1.68 (m, 1H), 1.57 – 1.41 (m, 4H).	1.62 min 511.20 LCMS method 39
504	 2-methyl-4-[4-(trifluoromethoxy)phenoxy]-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.32 (s, 1H), 8.40 (d, J = 5.5 Hz, 1H), 8.13 (s, 1H), 7.78 (d, J = 5.5 Hz, 1H), 7.48 (d, J = 8.9 Hz, 2H), 7.45 -7.34 (m, 2H), 7.07 (s, 1H), 2.46 (s, 3H).	1.62 min 455.15 LCMS method 29

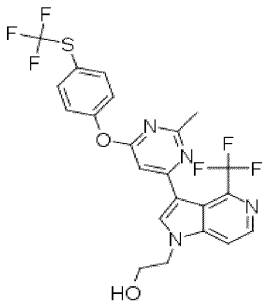
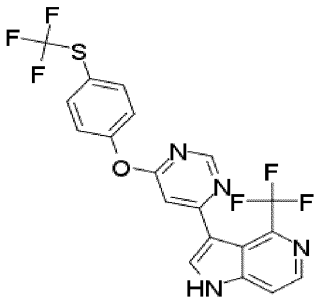
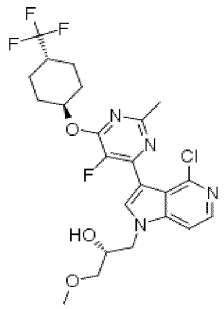
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
505	 2-(3-{2-methyl-6-[4-(trifluoromethoxy)phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.44 (d, J = 5.6 Hz, 1H), 8.12 (s, 1H), 7.96 (d, J = 5.7 Hz, 1H), 7.53 - 7.44 (m, 2H), 7.44-7.34 (m, 2H), 6.99 (s, 1H), 4.41 (t, J = 5.1 Hz, 2H), 3.78 (t, J = 5.1 Hz, 2H), 2.47 (s, 3H).	1.57 min 499.25 LCMS method 29
506	 4-[4-(trifluoromethoxy)phenoxy]-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 11.74 (s, 1H), 8.77 (d, J = 1.1 Hz, 1H), 8.42 (d, J = 5.5 Hz, 1H), 8.16 (s, 1H), 7.81 (d, J = 5.5 Hz, 1H), 7.54 - 7.45 (m, 2H), 7.50 - 7.36 (m, 2H), 7.31 (d, J = 1.1 Hz, 1H).	1.01 min 441.10 LCMS method 36
507	 3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-ol	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 8.01 (s, 1H), 7.77 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 6.28 (s, 1H), 5.12 – 5.03 (m, 1H), 4.63 (s, 2H), 4.55 (d, J = 6.7 Hz, 2H), 4.43 (d, J = 6.7 Hz, 2H), 2.55 (s, 3H), 2.45 – 2.32 (m, 1H), 2.26 – 2.18 (m, 2H), 2.02 – 1.92 (m, 2H), 1.58 – 1.42 (m, 4H).	1.61 min 497.20 LCMS method 7

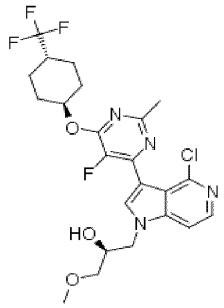
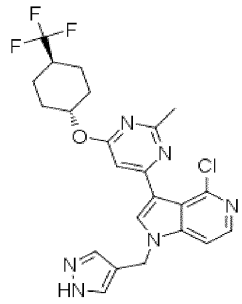
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
508	 (3S)-3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 7.90 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 5.29 (s, 1H), 5.21 – 5.11 (m, 1H), 4.45 (s, 2H), 3.89 – 3.79 (m, 2H), 3.70 (d, J = 8.9 Hz, 1H), 3.46 (d, J = 8.9 Hz, 1H), 2.54 (d, J = 0.9 Hz, 3H), 2.46 – 2.33 (m, 1H), 2.26 – 2.20 (m, 2H), 2.00 – 1.94 (m, 2H), 1.77 – 1.69 (m, 1H), 1.65 – 1.31 (m, 5H).	1.76 min 529.10 LCMS method 39
509	 (3R)-3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.7 Hz, 1H), 7.90 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 5.29 (s, 1H), 5.21 – 5.11 (m, 1H), 4.45 (s, 2H), 3.89 – 3.79 (m, 2H), 3.70 (d, J = 8.9 Hz, 1H), 3.46 (d, J = 8.9 Hz, 1H), 2.54 (d, J = 0.9 Hz, 3H), 2.46 – 2.33 (m, 1H), 2.26 – 2.20 (m, 2H), 2.00 – 1.94 (m, 2H), 1.77 – 1.69 (m, 1H), 1.66 – 1.32 (m, 5H).	1.76 min 529.10 LCMS method 39

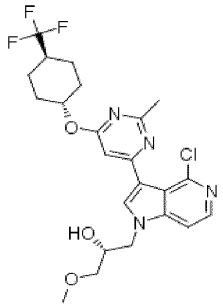
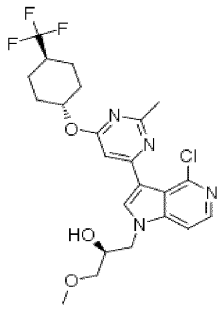
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
510	 4-[4-chloro-1-(1,3-thiazol-2-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.47 (s, 1H), 8.37 (d, J = 5.8 Hz, 1H), 8.35 (d, J = 5.8 Hz, 1H), 7.84 (d, J = 3.5 Hz, 1H), 7.76 (d, J = 3.5 Hz, 1H), 5.25 – 5.16 (m, 1H), 2.59 (s, 3H), 2.47 – 2.38 (m, 1H), 2.30 – 2.22 (m, 2H), 2.02 – 1.95 (m, 2H), 1.67 – 1.56 (m, 2H), 1.56 – 1.45 (m, 2H).	2.09 min 512.10 LCMS method 9
511	 4-[4-chloro-1-(pyrazin-2-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 9.30 (d, J = 1.3 Hz, 1H), 8.76 – 8.73 (m, 1H), 8.73 – 8.70 (m, 1H), 8.66 (s, 1H), 8.41 (d, J = 5.8 Hz, 1H), 8.29 (d, J = 5.8 Hz, 1H), 7.02 (s, 1H), 5.17 – 5.07 (m, 1H), 2.60 (s, 3H), 2.46 – 2.37 (m, 1H), 2.27 – 2.20 (m, 2H), 2.01 – 1.94 (m, 2H), 1.60 – 1.52 (m, 2H), 1.52 – 1.43 (m, 2H).	1.91 min 489.10 LCMS method 6
512	 4-{4-chloro-1-[(1H-pyrazol-5-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-2-methyl-6-	1H NMR (500 MHz, DMSO) d 12.81 (s, 1H), 8.09 (d, J = 5.7 Hz, 1H), 7.95 (s, 1H), 7.74 (d, J = 5.8 Hz, 1H), 7.68 (t, J = 1.8 Hz, 1H), 6.24 (t, J = 2.1 Hz, 1H), 5.51 (s, 2H), 5.20 – 5.11 (m, 1H), 2.53 (s, 3H), 2.38 – 2.34 (m, 1H), 2.26 – 2.20 (m, 2H), 2.00 – 1.93 (m, 2H), 1.64 – 1.42 (m, 4H).	1.80 min 509.00 LCMS method 39

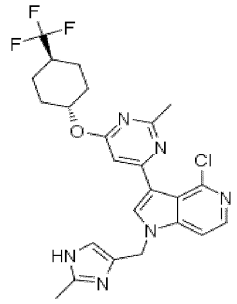
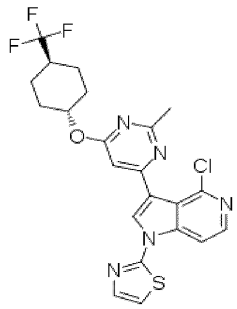
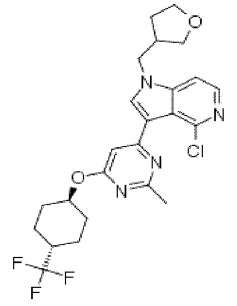
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine		
513	 4-{4-chloro-1-[(3-methoxyoxetan-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.8 Hz, 1H), 7.90 (s, 1H), 7.79 (d, J = 5.8 Hz, 1H), 6.88 (s, 1H), 5.11 – 5.02 (m, 1H), 4.77 (s, 2H), 4.62 (d, J = 7.4 Hz, 2H), 4.49 (d, J = 7.4 Hz, 2H), 3.37 (s, 3H), 2.55 (s, 3H), 2.41 – 2.35 (m, 1H), 2.23 – 2.17 (m, 2H), 1.98 – 1.93 (m, 2H), 1.57 – 1.41 (m, 4H).	1.74 min 511.20 LCMS method 39
514	 4-[4-chloro-1-(1,3-thiazol-4-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 9.35 (d, J = 2.1 Hz, 1H), 8.42 (s, 1H), 8.24 (d, J = 5.7 Hz, 1H), 8.13 (d, J = 3.7 Hz, 1H), 8.12 (s, 1H), 7.01 (s, 1H), 5.15 – 5.06 (m, 1H), 2.59 (s, 3H), 2.42 – 2.36 (m, 1H), 2.26 – 2.19 (m, 2H), 2.00 – 1.93 (m, 2H), 1.59 – 1.51 (m, 2H), 1.51 – 1.43 (m, 2H).	1.94 min 494.10 LCMS method 6

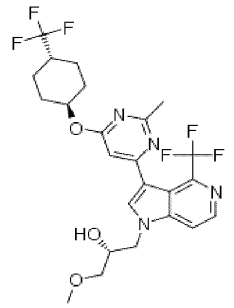
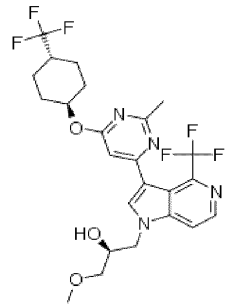
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
515	 4-[4-chloro-1-(1,2-thiazol-3-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 9.32 (d, J = 4.8 Hz, 1H), 8.61 (s, 1H), 8.51 (d, J = 5.7 Hz, 1H), 8.30 (d, J = 5.7 Hz, 1H), 7.97 (d, J = 4.8 Hz, 1H), 7.01 (s, 1H), 5.16 – 5.07 (m, 1H), 2.59 (s, 3H), 2.41 – 2.37 (m, 1H), 2.26 – 2.20 (m, 2H), 2.01 – 1.94 (m, 2H), 1.60 – 1.51 (m, 2H), 1.51 – 1.43 (m, 2H).	2.04 min 494.00 LCMS method 6
516	 2-(3-{6-[4-(trifluoromethoxy)phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.78 (d, J = 1.0 Hz, 1H), 8.45 (d, J = 5.7 Hz, 1H), 8.16 (s, 1H), 7.99 (d, J = 5.7 Hz, 1H), 7.54 - 7.45 (m, 2H), 7.50 ¨C 7.37 (m, 2H), 7.24 (d, J = 1.1 Hz, 1H), 5.00 (s, 1H), 4.42 (t, J = 5.1 Hz, 2H), 3.79 (s, 2H).	0.99 min 485.15 LCMS method 36
517	 2-methyl-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{4-[(trifluoromethyl)sulfanyl]phenoxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.55 (s, 1H), 8.41 (d, J = 5.5 Hz, 1H), 8.15 (s, 1H), 7.86- 7.77 (m, 3H), 7.48-7.41 (m, 2H), 7.13 (s, 1H), 2.46 (s, 3H).	1.72 min 471.10 LCMS method 29

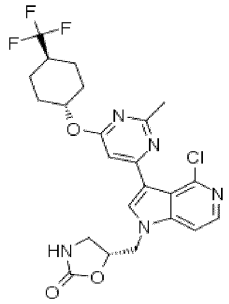
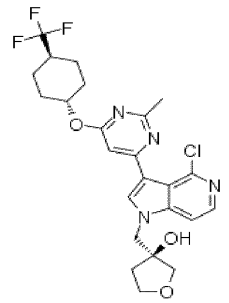
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
518	 2-[3-(2-methyl-6-{4-[(trifluoromethyl)sulfanyl]phenoxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.44 (d, J = 5.6 Hz, 1H), 8.15 (s, 1H), 7.97 (d, J = 5.7 Hz, 1H), 7.88 - 7.77 (m, 2H), 7.50 - 7.39 (m, 2H), 7.06 (s, 1H), 4.99 (t, J = 5.2 Hz, 1H), 4.41 (t, J = 5.2 Hz, 2H), 3.78 (q, J = 5.2 Hz, 2H), 2.47 (s, 3H).	1.67 min 515.20 LCMS method 29
519	 4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{4-[(trifluoromethyl)sulfanyl]phenoxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.59 (s, 1H), 8.79 (d, J = 1.1 Hz, 1H), 8.42 (d, J = 5.5 Hz, 1H), 8.17 (s, 1H), 7.88 - 7.77 (m, 3H), 7.52 - 7.41 (m, 2H), 7.36 (d, J = 1.1 Hz, 1H).	1.06 min 457.10 LCMS method 36
520	 (2R)-1-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-	1H NMR (500 MHz, DMSO) 8.15 (d, J = 5.7 Hz, 1H), 7.89 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 5.22 (m, 1H), 4.44 (dd, J = 14.4, 3.6 Hz, 1H), 4.29 (dd, J = 14.4, 7.5 Hz, 1H), 4.04 (m, 1H), 3.34 (s, 3H), 3.38 – 3.27 (m, 2H), 2.60 (d, J = 0.9 Hz, 3H), 2.30 (dd, J = 12.0, 4.5 Hz, 2H), 2.03 (m, 2H), 1.70 – 1.49 (m, 4H).	2.40 min 517.10 - 520.20 LCMS method 6

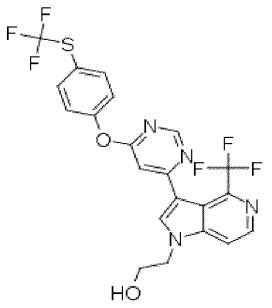
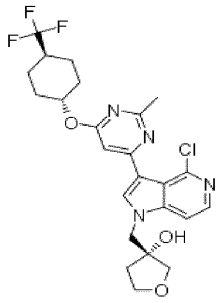
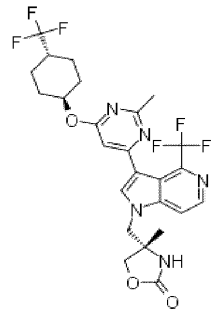
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	1H-pyrrolo[3,2-c]pyridin-1-yl]-3-methoxypropan-2-ol Absolute configuration assigned arbitrarily		
521	 (2S)-1-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3-methoxypropan-2-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) 8.15 (d, J = 5.8 Hz, 1H), 7.89 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 5.22 (m, 1H), 4.44 (dd, J = 14.4, 3.5 Hz, 1H), 4.29 (dd, J = 14.4, 7.4 Hz, 1H), 4.04 (m, 1H), 3.34 (s, 3H), 3.40 – 3.28 (m, 2H), 2.60 (d, J = 0.9 Hz, 3H), 2.46 (s, 1H), 2.30 (dd, J = 11.5, 4.3 Hz, 2H), 2.03 (m, 2H), 1.97 (s, 1H), 1.70 – 1.49 (m, 4H).	2.40 min 517.10 - 520.20 LCMS method 6
522	 4-{4-chloro-1-[(1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.82 (s, 1H), 8.11 (d, J = 5.7 Hz, 1H), 8.05 (s, 1H), 7.82 (d, J = 5.7 Hz, 1H), 7.64 (s, 1H), 6.88 (s, 1H), 5.39 (s, 2H), 5.10 – 5.01 (m, 1H), 2.54 (s, 3H), 2.36 (d, J = 1.8 Hz, 1H), 2.44 – 2.28 (m, 1H), 2.23 – 2.16 (m, 2H), 1.98 – 1.92 (m, 2H), 1.54 – 1.41 (m, 4H).	1.62 min 491.00 LCMS method 39

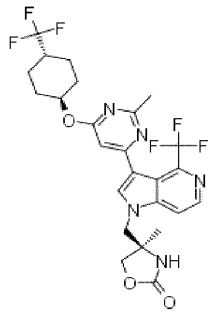
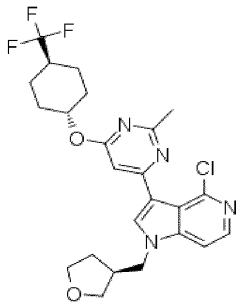
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
523	 (2R)-1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3-methoxypropan-2-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) 8.10 (d, J = 5.7 Hz, 1H), 7.92 (s, 1H), 7.64 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.25 (d, J = 5.4 Hz, 1H), 5.12 – 5.02 (m, 1H), 4.37 (m, 1H), 4.22 (m, 1H), 4.02 – 3.93 (m, 1H), 3.33 – 3.22 (m, 9H), 2.55 (s, 2H), 2.21 (t, J = 6.6 2H), 1.96 (m, 2H), 1.58 – 1.42 (m, 4H).	1.67 min 499.20 LCMS method 6
524	 (2S)-1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3-methoxypropan-2-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) 8.10 (d, J = 5.7 Hz, 1H), 7.92 (s, 1H), 7.64 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.25 (d, J = 5.4 Hz, 1H), 5.12 – 5.02 (m, 1H), 4.37 (dd, J = 14.4, 3.6 Hz, 1H), 4.22 (dd, J = 14.4, 7.4 Hz, 1H), 3.97 (m, 1H), 3.32 – 3.21 (m, 8H), 2.55 (s, 3H), 2.21 (t, J = 6.7, 6.7 Hz, 2H), 1.97 (m, 2H), 1.51 (m, 4H).	1.67 min 499.20 LCMS method 6

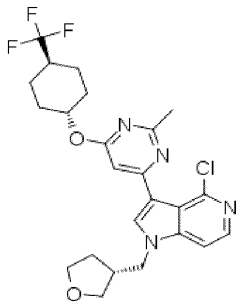
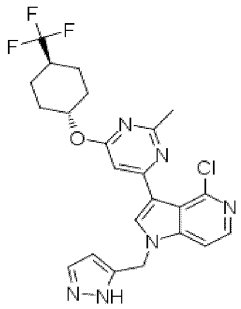
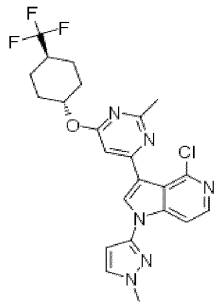
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
525	 4-{4-chloro-1-[(2-methyl-1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 11.65 (s, 1H), 8.10 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.79 (d, J = 5.7 Hz, 1H), 7.08 (s, 1H), 6.88 (s, 1H), 5.30 (s, 2H), 5.06 (m, 1H), 3.30 (s, 4H), 2.55 (s, 2H), 2.39 (s, 1H), 2.21 (d, J = 9.5 Hz, 2H), 2.19 (s, 3H), 1.96 (m, 2H), 1.58 – 1.41 (m, 4H).	1.52 min 505.20 LCMS method 6
526	 4-[4-chloro-1-(1,3-thiazol-2-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.41 (s, 1H), 8.37 (d, J = 5.7 Hz, 1H), 8.34 (d, J = 5.7 Hz, 1H), 7.84 (d, J = 3.5 Hz, 1H), 7.75 (d, J = 3.5 Hz, 1H), 7.04 (s, 1H), 5.15 – 5.07 (m, 1H), 2.59 (s, 3H), 2.41 – 2.37 (m, 1H), 2.26 – 2.20 (m, 2H), 2.00 – 1.94 (m, 2H), 1.60 – 1.51 (m, 2H), 1.51 – 1.43 (m, 2H).	2.05 min 494.10 LCMS method 6
527	 4-{4-chloro-1-[(oxolan-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.7 Hz, 1H), 8.07 (s, 1H), 7.77 (d, J = 5.7 Hz, 1H), 6.90 (s, 1H), 5.12 – 5.03 (m, 1H), 4.36 – 4.24 (m, 2H), 3.87 – 3.79 (m, 1H), 3.69 – 3.58 (m, 2H), 3.49 – 3.42 (m, 1H), 2.85 – 2.74 (m, 1H), 2.56 (s, 3H), 2.37 – 2.34 (m, 1H), 2.24 – 2.18 (m, 2H), 2.02 – 1.92 (m, 2H), 1.88 (m, 1H), 1.67 – 1.57 (m, 1H), 1.57 – 1.50	1.79 min 495.10 LCMS method 6

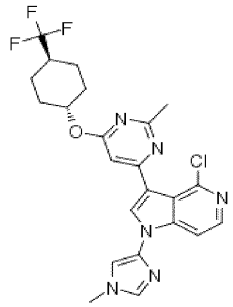
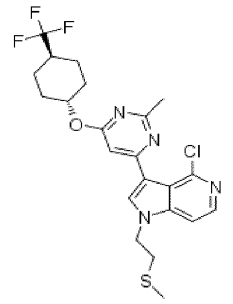
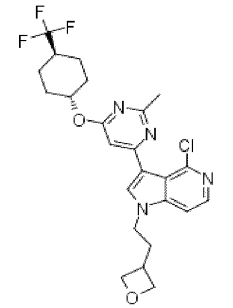
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	Racemic mixture	(m, 2H), 1.50 – 1.42 (m, 2H).	
528	 (2R)-1-methoxy-3-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-2-ol Absolute configuration assigned arbitrarily	1H NMR (300 MHz, DMSO-d6) 8.42 (d, J = 5.7 Hz, 1H), 7.98-7.85 (m, 2H), 6.77 (s, 1H), 5.06 (s, 1H), 4.41 (dd, J = 14.5, 3.7 Hz, 1H), 4.27 (dd, J = 14.5, 7.4 Hz, 1H), 4.01 (d, J = 5.7 Hz, 1H), 3.39-3.22 (m, 5H), 2.51 (s, 3H), 2.35 (s, 1H), 2.20 (s, 2H), 1.99 (s, 2H), 1.49 (m, 4H).	1.71 min 533.00 LCMS method 31
529	 (2S)-1-methoxy-3-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-2-ol Absolute configuration assigned arbitrarily	1H NMR (300 MHz, DMSO-d6) 8.42 (d, J = 5.7 Hz, 1H), 7.98-7.85 (m, 2H), 6.77 (s, 1H), 5.06 (s, 1H), 4.41 (dd, J = 14.5, 3.7 Hz, 1H), 4.27 (dd, J = 14.5, 7.4 Hz, 1H), 4.01 (d, J = 5.7 Hz, 1H), 3.39-3.22 (m, 5H), 2.51 (s, 3H), 2.35 (s, 1H), 2.20 (s, 2H), 1.99 (s, 2H), 1.49 (m, 4H).	1.71 min 533.00 LCMS method 31

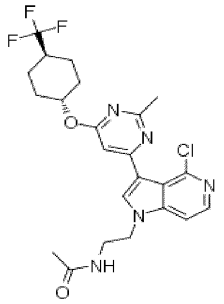
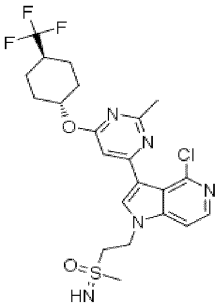
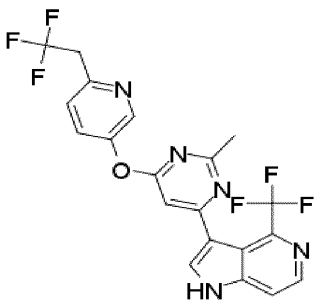
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
530	 (5R)-5-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Racemic mixture	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.8 Hz, 1H), 8.00 (s, 1H), 7.77 (d, J = 5.7 Hz, 1H), 7.58 (s, 1H), 6.89 (s, 1H), 5.11 – 5.02 (m, 1H), 5.00 – 4.91 (m, 1H), 4.66 – 4.54 (m, 2H), 3.62 (t, J = 9.0 Hz, 1H), 3.31 – 3.21 (m, 1H), 2.56 (s, 3H), 2.47 – 2.30 (m, 1H), 2.24 – 2.18 (m, 2H), 1.98 – 1.93 (m, 2H), 1.58 – 1.41 (m, 4H).	1.57 min 510.10 LCMS method 39
531	 (3S)-3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 7.97 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.28 (s, 1H), 5.12 – 5.03 (m, 1H), 4.45 (s, 2H), 3.90 – 3.81 (m, 2H), 3.70 (d, J = 8.9 Hz, 1H), 3.46 (d, J = 8.9 Hz, 1H), 2.56 (s, 3H), 2.46 – 2.32 (m, 1H), 2.21 (t, J = 6.6 Hz, 2H), 2.06 – 1.99 (m, 1H), 1.99 – 1.93 (m, 2H), 1.77 – 1.69 (m, 1H), 1.58 – 1.50 (m, 2H), 1.50 – 1.42 (m, 2H).	1.71 min 511.10 LCMS method 4

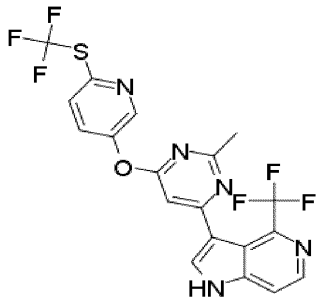
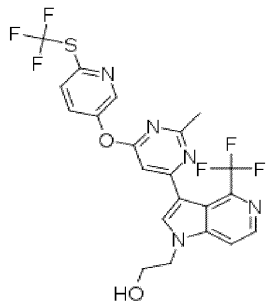
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
532	 2-[4-(trifluoromethyl)-3-(6-{4-[(trifluoromethyl)sulfanyl]phenoxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.80 (d, J = 1.1 Hz, 1H), 8.46 (d, J = 5.6 Hz, 1H), 8.18 (s, 1H), 7.99 (d, J = 5.7 Hz, 1H), 7.88 - 7.78 (m, 2H), 7.52 - 7.41 (m, 2H), 7.30 (d, J = 1.1 Hz, 1H), 5.02 (s, 1H), 4.42 (t, J = 5.1 Hz, 2H), 3.80 (t, J = 5.1 Hz, 2H).	1.04 min 501.15 LCMS method 36
533	 (3R)-3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.16 (d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.81 (d, J = 5.8 Hz, 1H), 6.95 (s, 1H), 5.34 (s, 1H), 5.18 – 5.08 (m, 1H), 4.50 (s, 2H), 3.95 – 3.85 (m, 2H), 3.75 (d, J = 8.9 Hz, 1H), 3.52 (d, J = 8.9 Hz, 1H), 2.61 (s, 3H), 2.50 – 2.38 (m, 1H), 2.33 – 2.23 (m, 2H), 2.11 – 1.99 (m, 3H), 1.83 – 1.75 (m, 1H), 1.64 – 1.47 (m, 4H).	1.71 min 511.10 LCMS method 4
534	 (4S)-4-methyl-4-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-	1H NMR (400 MHz, DMSO) 8.47 (d, J = 5.6 Hz, 1H), 8.08 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.96 (s, 1H), 6.79 (s, 1H), 5.14 – 5.03 (m, 1H), 4.54 (d, J = 14.8 Hz, 1H), 4.36 (d, J = 14.9 Hz, 1H), 4.22 (d, J = 9.2 Hz, 1H), 4.05 (d, J = 9.2 Hz, 1H), 2.49 (d, J = 0.8 Hz, 3H), 2.38 (s, 1H), 2.21 (d, J = 8.8 Hz, 2H), 1.96 (d, J =	1.51 min 558 LCMS method 31

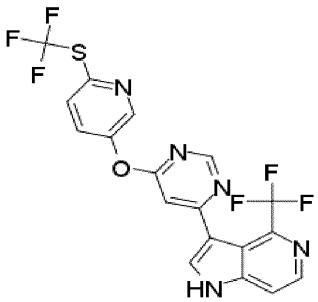
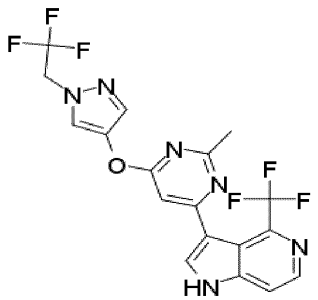
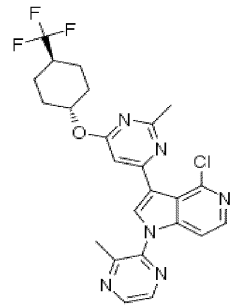
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	9.9 Hz, 2H), 1.56 – 1.44 (m, 4H), 1.33 (s, 3H).	
535	 (4R)-4-methyl-4-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (400 MHz, DMSO) 8.47 (d, J = 5.6 Hz, 1H), 8.08 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.96 (s, 1H), 6.79 (s, 1H), 5.14 – 5.03 (m, 1H), 4.54 (d, J = 14.8 Hz, 1H), 4.36 (d, J = 14.9 Hz, 1H), 4.22 (d, J = 9.2 Hz, 1H), 4.05 (d, J = 9.2 Hz, 1H), 2.49 (d, J = 0.8 Hz, 3H), 2.38 (s, 1H), 2.21 (d, J = 8.8 Hz, 2H), 1.96 (d, J = 9.9 Hz, 2H), 1.56 – 1.44 (m, 4H), 1.33 (s, 3H).	1.51 min 558 LCMS method 31
536	 4-(4-chloro-1-{[(3S)-oxolan-3-yl]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.18 (d, J = 5.7 Hz, 1H), 8.12 (s, 1H), 7.82 (d, J = 5.7 Hz, 1H), 6.96 (s, 1H), 5.18 – 5.08 (m, 1H), 4.42 – 4.30 (m, 2H), 3.93 – 3.85 (m, 1H), 3.75 – 3.65 (m, 2H), 3.54 – 3.48 (m, 1H), 2.91 – 2.79 (m, 1H), 2.61 (s, 3H), 2.49 – 2.37 (m, 1H), 2.31 – 2.23 (m, 2H), 2.05 – 1.99 (m, 2H), 1.99 – 1.89 (m, 1H), 1.72 – 1.63 (m, 1H), 1.63 – 1.56 (m, 2H), 1.56 – 1.47 (m, 2H).	1.79 -1.82 min 495.2 LCMS method 6

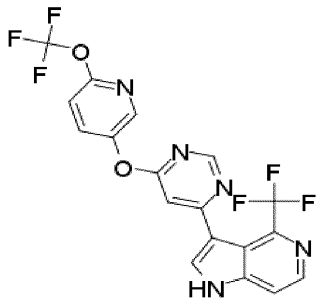
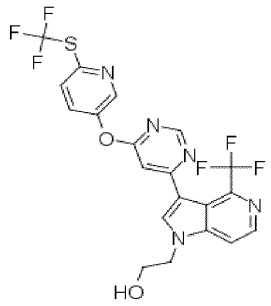
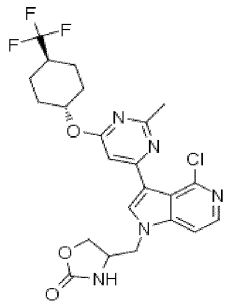
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
537	 4-(4-chloro-1-{[(3R)-oxolan-3-yl]methyl}-1H-pyrrolo[3,2-c]pyridin-3-yl)-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.18 (d, J = 5.7 Hz, 1H), 8.12 (s, 1H), 7.82 (d, J = 5.7 Hz, 1H), 6.96 (s, 1H), 5.18 – 5.08 (m, 1H), 4.42 – 4.30 (m, 2H), 3.93 – 3.85 (m, 1H), 3.75 – 3.62 (m, 2H), 3.54 – 3.48 (m, 1H), 2.91 – 2.79 (m, 1H), 2.61 (s, 3H), 2.48 – 2.37 (m, 0H), 2.31 – 2.23 (m, 2H), 2.05 – 1.98 (m, 2H), 1.98 – 1.89 (m, 1H), 1.72 – 1.62 (m, 1H), 1.62 – 1.55 (m, 2H), 1.55 – 1.47 (m, 2H).	1.79 -1.82 min 495.2 LCMS method 6
538	 4-{4-chloro-1-[(1H-pyrazol-5-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.80 (s, 1H), 8.09 (d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.72 (d, 1H), 7.67 (s, 1H), 6.88 (s, 1H), 6.24 (s, 1H), 5.49 (s, 2H), 5.11 – 5.01 (m, 1H), 2.54 (s, 3H), 2.44 – 2.29 (m, 1H), 2.23 – 2.17 (m, 2H), 1.98 – 1.92 (m, 2H), 1.57 – 1.41 (m, 4H).	1.70 min 491.00 LCMS method 39
539	 4-[4-chloro-1-(1-methyl-1H-pyrazol-3-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, MeOD) 8.06 (d, J = 5.8 Hz, 1H), 8.00 – 7.94 (m, 2H), 7.64 (d, J = 2.4 Hz, 1H), 6.81 (s, 1H), 6.49 (d, J = 2.4 Hz, 1H), 5.12 – 5.03 (m, 1H), 3.88 (s, 3H), 2.54 (s, 3H), 2.22 (p, J = 4.5 Hz, 2H), 2.14 (s, 1H), 2.02 – 1.91 (m, 2H), 1.52 – 1.39 (m, 4H).	1.59 min 491.1 LCMS method 8

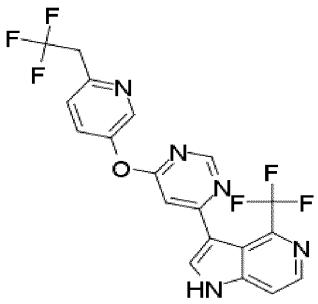
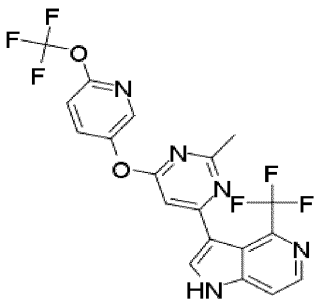
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
540	 4-[4-chloro-1-(1-methyl-1H-imidazol-4-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 8.18 (t, J = 2.8 Hz, 2H), 7.99 (d, J = 5.7 Hz, 1H), 7.78 (s, 1H), 7.69 (d, J = 1.5 Hz, 1H), 6.98 (s, 1H), 5.09 (dq, J = 10.4, 4.7 Hz, 1H), 3.77 (s, 3H), 2.57 (s, 3H), 2.39 (d, J = 10.5 Hz, 1H), 2.22 (dd, J = 8.9, 4.8 Hz, 2H), 2.03 – 1.93 (m, 2H), 1.50 (q, J = 13.5 Hz, 4H).	1.52 min 491.1 LCMS method 6
541	 4-{4-chloro-1-[2-(methylsulfanyl)ethyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.7 Hz, 1H), 8.07 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 6.88 (s, 1H), 5.07 (tt, J = 10.4, 4.4 Hz, 1H), 4.51 (t, J = 6.8 Hz, 2H), 2.94 (t, J = 6.7 Hz, 2H), 2.56 (s, 3H), 2.40 (s, 1H), 2.20 (dd, J = 8.6, 4.9 Hz, 2H), 2.06 (s, 3H), 2.03 – 1.91 (m, 2H), 1.58 – 1.41 (m, 4H).	1.89 min 485.10-488. LCMS method 6
542	 4-{4-chloro-1-[2-(oxetan-3-yl)ethyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.72 (d, J = 5.7 Hz, 1H), 6.90 (s, 1H), 5.07 (tt, J = 10.4, 4.4 Hz, 1H), 4.53 (dd, J = 7.8, 5.9 Hz, 2H), 4.27 (t, J = 7.0 Hz, 2H), 4.16 (t, J = 6.1 Hz, 2H), 2.89 (dqd, J = 13.8, 7.6, 6.2 Hz, 1H), 2.56 (s, 3H), 2.39 (d, J = 10.0 Hz, 1H), 2.27 – 2.10 (m, 4H), 2.04 – 1.92 (m, 2H), 1.58 – 1.42 (m, 4H).	1.74 min 495,10 - 498,10 LCMS method 6

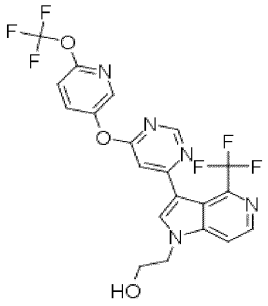
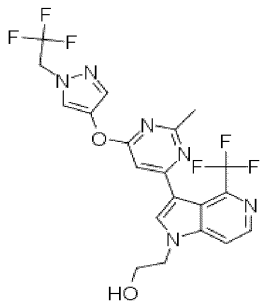
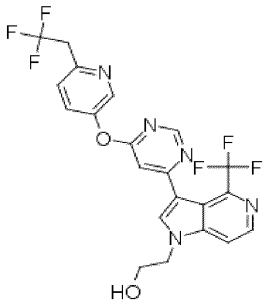
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
543	 N-{2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}acetamide	1H NMR (500 MHz, DMSO) 8.11 (d, J = 5.7 Hz, 1H), 7.97 (s, 2H), 7.62 (d, J = 5.7 Hz, 1H), 6.87 (s, 1H), 5.12 – 5.02 (m, 1H), 4.34 (t, J = 5.9 Hz, 2H), 3.44 (q, J = 5.8 Hz, 2H), 2.55 (s, 3H), 2.37 (dd, J = 14.7, 8.5 Hz, 1H), 2.20 (t, J = 6.6 Hz, 2H), 1.99 – 1.89 (m, 2H), 1.72 (s, 3H), 1.50 (hd, J = 12.2, 2.9 Hz, 4H).	1.59 min 496,10 - 499,00 LCMS method 6
544	 {2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(imino)methyl-λ⁶-sulfanone Racemic mixture	1H NMR (500 MHz, DMSO) 8.15 (d, J = 5.7 Hz, 1H), 8.08 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.13 – 5.03 (m, 1H), 4.78 – 4.65 (m, 2H), 3.97 (s, 1H), 3.73 – 3.59 (m, J = 7.0 Hz, 2H), 2.87 (s, 3H), 2.56 (s, 3H), 2.39 (s, 1H), 2.21 (dd, J = 9.1, 4.9 Hz, 2H), 1.96 (dt, J = 9.6, 3.3 Hz, 2H), 1.58 – 1.42 (m, 4H).	1.56 min 516,10 - 519,10 LCMS method 6
545		1H NMR (300 MHz, DMSO-d6) 12.57 (s, 1H), 8.56 (d, J = 2.8 Hz, 1H), 8.41 (d, J = 5.5 Hz, 1H), 8.16 (d, J = 2.7 Hz, 1H), 7.85 - 7.76 (m, 2H), 7.59 (d, J = 8.5 Hz, 1H), 7.17 (s, 1H), 3.88 (q, J = 11.5 Hz, 2H), 2.45 (s, 3H).	0.98 min 454.00 LCMS method 18

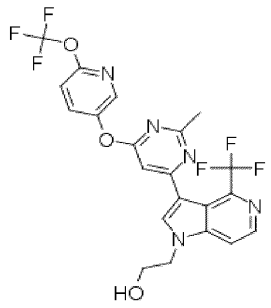
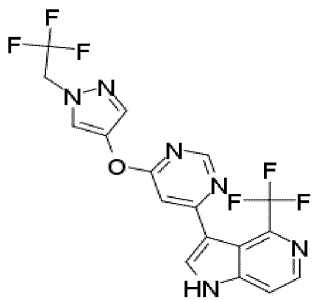
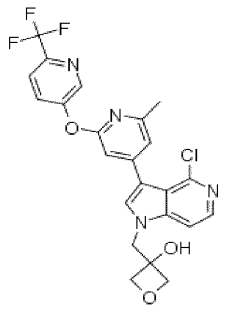
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	2-methyl-4-{[6-(2,2,2-trifluoroethyl)pyridin-3-yl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine		
546	 2-methyl-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-({6-[(trifluoromethyl)sulfanyl]pyridin-3-yl}oxy)pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.43 (s, 1H), 8.71 (d, J = 2.8 Hz, 1H), 8.41 (d, J = 5.5 Hz, 1H), 8.18 (s, 1H), 7.97 (dd, J = 8.4, 2.8 Hz, 1H), 7.90 (d, J = 8.5 Hz, 1H), 7.80 (d, J = 5.5 Hz, 1H), 7.23 (s, 1H), 2.46 (s, 3H).	1.05 min 472.15 LCMS method 36
547	 2-{3-[2-methyl-6-({6-[(trifluoromethyl)sulfanyl]pyridin-3-yl}oxy)pyrimidin-4-yl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl}ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.71 (d, J = 2.7 Hz, 1H), 8.45 (d, J = 5.6 Hz, 1H), 8.18 (s, 1H), 8.02 - 7.93 (m, 2H), 7.90 (d, J = 8.5 Hz, 1H), 7.17 (s, 1H), 4.99 (t, J = 5.2 Hz, 1H), 4.41 (t, J = 5.1 Hz, 2H), 3.79 (d, J = 5.4 Hz, 2H), 2.47 (s, 3H).	0.90 min 516.10 LCMS method 36

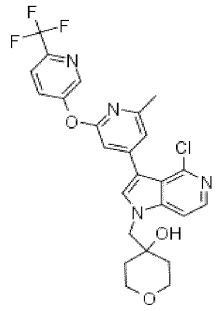
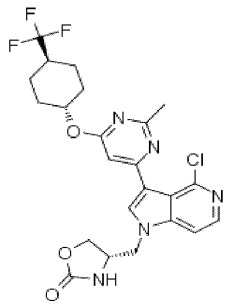
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
548	 4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-({6-[(trifluoromethyl)sulfanyl]pyridin-3-yl}oxy)pyrimidine	1H NMR (400 MHz, DMSO-d6) 12.62 (s, 1H), 8.79 (s, 1H), 8.72 (d, J = 2.8 Hz, 1H), 8.42 (d, J = 5.5 Hz, 1H), 8.19 (s, 1H), 7.99 (dd, J = 8.5, 2.9 Hz, 1H), 7.92 (d, J = 8.5 Hz, 1H), 7.81 (d, J = 5.5 Hz, 1H), 7.45 (s, 1H).	1.08 min 458.15 LCMS method 30
549	 2-methyl-4-{[1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.54 (s, 1H), 8.40 (d, J = 5.5 Hz, 1H), 8.14 (d, J = 18.4 Hz, 2H), 7.83 - 7.72 (m, 2H), 7.06 (s, 1H), 5.14 (q, J = 9.1 Hz, 2H), 2.53 (s, 3H).	0.92 min 443.00 LCMS method 18
550	 4-[4-chloro-1-(3-methylpyrazin-2-yl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, MeOD) d 8.62 (d, J = 2.5 Hz, 1H), 8.49 – 8.45 (m, 1H), 8.05 (d, J = 5.8 Hz, 1H), 7.96 (s, 1H), 7.33 (d, J = 5.8 Hz, 1H), 6.84 (s, 1H), 5.08 (s, 1H), 2.55 (s, 3H), 2.44 (s, 3H), 2.26 – 2.19 (m, 2H), 2.18 – 2.10 (m, 0H), 2.02 – 1.92 (m, 2H), 1.53 – 1.46 (m, 2H), 1.46 – 1.40 (m, 2H).	1.56 min 503.10 LCMS method 6

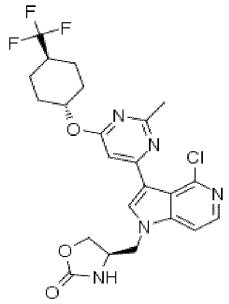
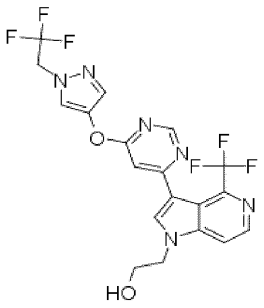
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
551	 4-{[6-(trifluoromethoxy)pyridin-3-yl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (400 MHz, DMSO-d6) 12.63 (s, 1H), 8.78 (d, J = 1.1 Hz, 1H), 8.45-8.39 (m, 2H), 8.18 (s, 1H), 8.07 (dd, J = 8.8, 2.9 Hz, 1H), 7.81 (d, J = 5.5 Hz, 1H), 7.46 (d, J = 8.8 Hz, 1H), 7.41 (d, J = 1.0 Hz, 1H).	1.06 min 442.10 LCMS method 32
552	 2-[4-(trifluoromethyl)-3-[6-({6-[(trifluoromethyl)sulfanyl]pyridin-3-yl}oxy)pyrimidin-4-yl]-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.83 - 8.68 (m, 2H), 8.46 (dd, J = 5.7, 2.0 Hz, 1H), 8.19 (d, J = 2.1 Hz, 1H), 8.04-7.94 (m, 2H), 7.91 (dd, J = 8.5, 2.1 Hz, 1H), 7.39 (d, J = 2.0 Hz, 1H), 5.01 (td, J = 5.2, 2.0 Hz, 1H), 4.50- 4.31 (m, 2H), 3.80 (q, J = 5.1 Hz, 2H).	1.03 min 502.10 LCMS method 32
553	 4-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-	1H NMR (500 MHz, DMSO) 8.14 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.89 (s, 1H), 7.79 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.07 (dt, J = 10.6, 5.7 Hz, 1H), 4.45 – 4.36 (m, 2H), 4.28 (t, J = 7.1 Hz, 1H), 4.08 (dd, J = 9.0, 4.7 Hz, 1H), 3.28 (s, 1H), 2.56 (s, 2H), 2.21 (d, J = 10.4 Hz, 1H), 1.95 (d, J = 11.0 Hz, 1H), 1.63 – 1.37 (m, 4H).	1.63 min 510.10 LCMS method 39

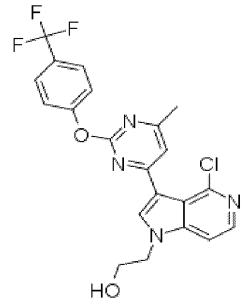
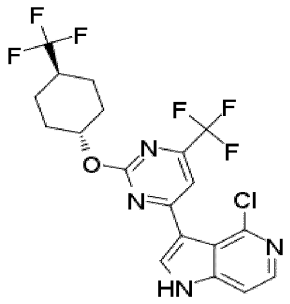
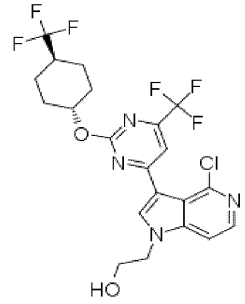
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Racemic mixture		
554	 4-{[6-(2,2,2-trifluoroethyl)pyridin-3-yl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (400 MHz, DMSO-d6) 12.61 (s, 1H), 8.77 (d, J = 1.2 Hz, 1H), 8.58 (d, J = 2.8 Hz, 1H), 8.42 (d, J = 5.6 Hz, 1H), 8.17 (s, 1H), 7.85 - 7.79 (m, 2H), 7.60 (d, J = 8.4 Hz, 1H), 7.39 (d, J = 1.2 Hz, 1H), 3.89 (q, J = 11.4 Hz, 2H).	0.95 min 438.05 LCMS method 33
555	 2-methyl-4-{[6-(trifluoromethoxy)pyridin-3-yl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.56 (s, 1H), 8.45 - 8.37 (m, 2H), 8.16 (s, 1H), 8.05 (dd, J = 8.8, 2.9 Hz, 1H), 7.79 (d, J = 5.5 Hz, 1H), 7.44 (d, J = 8.8 Hz, 1H), 7.20 (s, 1H), 2.46 (s, 3H).	0.91 min 456.05 LCMS method 36

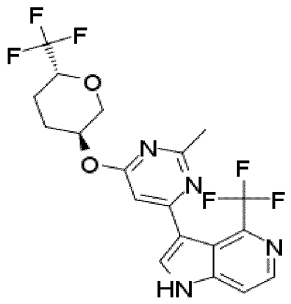
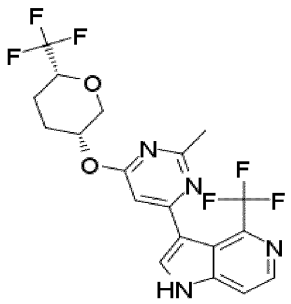
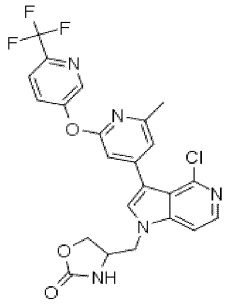
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
556	 2-[3-(6-{[6-(trifluoromethoxy)pyridin-3-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.79 (d, J = 1.2 Hz, 1H), 8.44 (dd, J = 15.6, 4.2 Hz, 2H), 8.19 (s, 1H), 8.07 (dd, J = 8.8, 2.9 Hz, 1H), 8.00 (d, J = 5.7 Hz, 1H), 7.46 (d, J = 8.8 Hz, 1H), 7.36 (d, J = 1.2 Hz, 1H), 5.01 (t, J = 5.3 Hz, 1H), 4.42 (t, J = 5.1 Hz, 2H), 3.80 (q, J = 5.2 Hz, 2H)	1.02 min 486.20 LCMS method 34
557	 2-[3-(2-methyl-6-{[1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.44 (d, J = 5.6 Hz, 1H), 8.15 (d, J = 20.3 Hz, 2H), 7.97 (d, J = 5.7 Hz, 1H), 7.75 (s, 1H), 7.01 (s, 1H), 5.14 (q, J = 9.1 Hz, 2H), 4.99 (t, J = 5.3 Hz, 1H), 4.40 (t, J = 5.1 Hz, 2H), 3.78 (q, J = 5.2 Hz, 2H).	0.90 min 487.00 LCMS method 24
558	 2-[3-(6-{[6-(2,2,2-trifluoroethyl)pyridin-3-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.76 (d, J = 1.1 Hz, 1H), 8.56 (d, J = 2.7 Hz, 1H), 8.45 (d, J = 5.7 Hz, 1H), 8.14 (s, 1H), 7.97 (d, J = 5.7 Hz, 1H), 7.86 - 7.81 (m, 1H), 7.60 (d, J = 8.5 Hz, 1H), 7.32 (d, J = 1.1 Hz, 1H), 4.41 (t, J = 5.1 Hz, 2H), 3.93 - 3.71 (m, 5H).	0.92 min 484.20 LCMS method 34

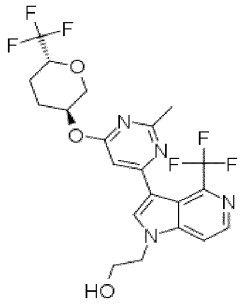
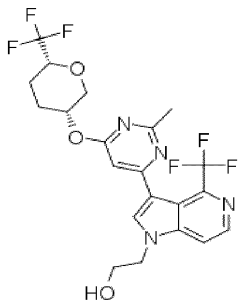
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
559	 2-[3-(2-methyl-6-{[6-(trifluoromethoxy)pyridin-3-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.48 - 8.38 (m, 2H), 8.17 (s, 1H), 8.05 (dd, J = 7.9, 2.6 Hz, 1H), 7.98 (d, J = 5.7 Hz, 1H), 7.45 (d, J = 8.9 Hz, 1H), 7.14 (s, 1H), 4.99 (t, J = 5.5 Hz, 1H), 4.41 (t, J = 5.2 Hz, 2H), 3.79 (q, J = 5.3 Hz, 2H), 2.46 (s, 3H).	0.89 min 500.05 LCMS method 36
560	 4-{[1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.59 (s, 1H), 8.83 (d, J = 1.1 Hz, 1H), 8.41 (d, J = 5.5 Hz, 1H), 8.16 (s, 1H), 8.13 (s, 1H), 7.80 (d, J = 5.5 Hz, 1H), 7.75 (s, 1H), 7.27 (d, J = 1.1 Hz, 1H), 5.14 (q, J = 9.1 Hz, 2H).	0.79min 429.00 LCMS method 18
561	 3-{[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-ol	1H NMR (500 MHz, DMSO) d 8.71 (d, J = 2.6 Hz, 1H), 8.11 (d, J = 5.7 Hz, 1H), 8.00 (d, J = 8.6 Hz, 1H), 7.95 (s, 1H), 7.93 (dd, J = 8.6, 2.7 Hz, 1H), 7.78 (d, J = 5.8 Hz, 1H), 7.27 (d, J = 1.3 Hz, 1H), 7.11 (d, J = 1.3 Hz, 1H), 6.27 (s, 1H), 4.63 (s, 2H),	1.62 min 491.10 LCMS method 6

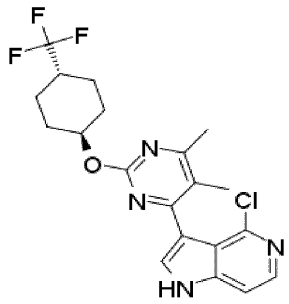
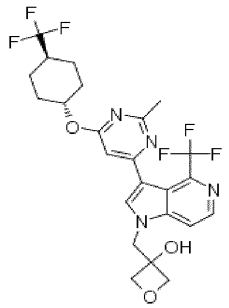
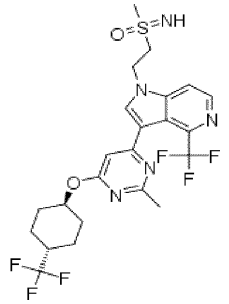
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
562	 4-{[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxan-4-ol	1H NMR (700 MHz, DMSO) d 8.71 (d, J = 2.6 Hz, 1H), 8.10 (d, J = 5.7 Hz, 1H), 8.00 (d, J = 8.6 Hz, 1H), 7.93 (dd, J = 8.5, 2.7 Hz, 1H), 7.81 (d, 1H), 7.80 (s, 1H), 7.28 – 7.26 (m, 1H), 7.12 – 7.09 (m, 1H), 4.85 (s, 1H), 4.25 (s, 2H), 3.67 – 3.62 (m, 2H), 3	1.66 min 519.10 - 522.10 LCMS method 6
563	 (4S)-4-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.15 (d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.86 (d, J = 1.4 Hz, 1H), 7.78 (d, J = 5.8 Hz, 1H), 6.91 (s, 1H), 5.12 – 5.03 (m, 1H), 4.45 – 4.37 (m, 3H), 4.32 – 4.23 (m, 1H), 4.08 (dd, J = 9.0, 4.8 Hz, 1H), 2.56 (s, 3H), 2.39 – 2.35 (m, 1H), 2.24 – 2.18 (m, 2H), 2.01 – 1.93 (m, 2H), 1.58 – 1.41 (m, 4H).	1.64 min 510.2 LCMS method 39

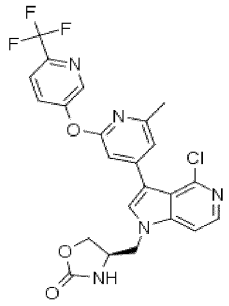
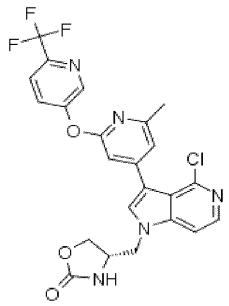
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
564	 (4R)-4-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.154(d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.86 (d, J = 1.4 Hz, 1H), 7.78 (d, J = 5.8 Hz, 1H), 6.91 (s, 1H), 5.12 – 5.03 (m, 1H), 4.45 – 4.37 (m, 3H), 4.32 – 4.23 (m, 1H), 4.08 (dd, J = 9.0, 4.8 Hz, 1H), 2.56 (s, 3H), 2.39 – 2.35 (m, 1H), 2.24 – 2.18 (m, 2H), 2.01 – 1.93 (m, 2H), 1.58 – 1.40 (m, 4H).	1.64 min 510.2 LCMS method 39
565	 2-[3-(6-{[1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.84 (d, J = 1.0 Hz, 1H), 8.45 (d, J = 5.7 Hz, 1H), 8.16 (s, 1H), 8.15 (s, 1H), 7.99 (d, J = 5.7 Hz, 1H), 7.75 (s, 1H), 7.23 (d, J = 1.1 Hz, 1H), 5.15 (q, J = 9.1 Hz, 2H), 5.00 (t, J = 5.3 Hz, 1H), 4.41 (t, J = 5.1 Hz, 2H), 3.79 (q, J = 5.2 Hz, 2H).	0.77 min 498.00 LCMS method 18

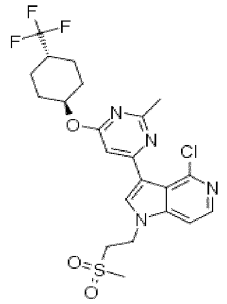
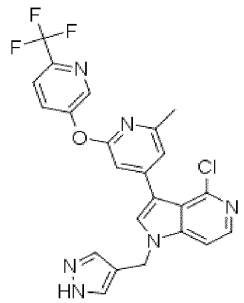
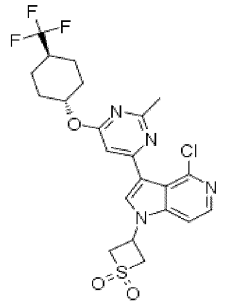
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
566	 2-(4-chloro-3-{6-methyl-2-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO) 8.10 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.81 (d, J = 8.5 Hz, 2H), 7.70 (d, J = 5.8 Hz, 1H), 7.51 – 7.44 (m, 3H), 5.00 (t, J = 5.1 Hz, 1H), 4.34 (t, J = 5.1 Hz, 2H), 3.74 (q, J = 5.0 Hz, 2H), 2.46 (s, 3H).	1.64 min 449.00 - 452.00 LCMS method 6
567	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-(trifluoromethyl)pyrimidine	1H NMR (400 MHz, DMSO-d6) 12.70 (s, 1H), 8.31 (s, 1H), 8.13 (d, J = 5.6 Hz, 1H), 7.87 (s, 1H), 7.58 (d, J = 5.6 Hz, 1H), 5.15 (tt, J = 10.9, 4.3 Hz, 1H), 2.40 (s, 1H), 2.29 - 2.19 (m, 2H), 1.97 (d, J = 12.4 Hz, 2H), 1.57 (qd, J = 12.2, 11.2, 3.1 Hz, 2H), 1.45 (dt, J = 13.7, 10.6 Hz, 2H).	1.80 min 465.00 LCMS method 18
568	 2-[4-chloro-3-(2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-(trifluoromethyl)pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.33 (s, 1H), 8.17 (d, J = 5.7 Hz, 1H), 7.84 (s, 1H), 7.77 (d, J = 5.7 Hz, 1H), 5.24 - 5.06 (m, 1H), 5.00 (t, J = 5.3 Hz, 1H), 4.39 (t, J = 5.1 Hz, 2H), 3.78 (q, J = 5.0 Hz, 2H), 2.40 (s, 1H), 2.24 (dd, J = 12.2, 4.0 Hz, 2H), 2.04 - 1.88 (m, 2H), 1.58 (qd, J = 12.4, 11.4, 3.2 Hz, 2H), 1.51 - 1.38 (m, 2H).	1.71 min 509.00 LCMS method 18

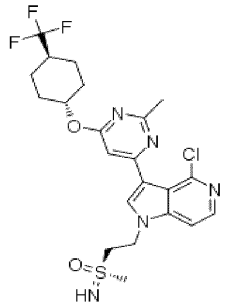
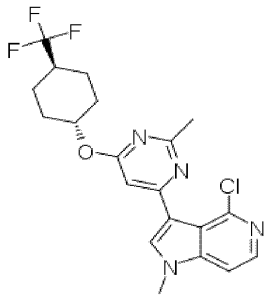
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
569	 2-methyl-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[(3S,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.54 (s, 1H), 8.40 (d, J = 5.5 Hz, 1H), 8.07 (d, J = 2.4 Hz, 1H), 7.78 (d, J = 5.5 Hz, 1H), 6.91 (s, 1H), 4.66 - 4.16 (m, 4H), 2.54 (s, 3H), 2.33 - 1.94 (m, 3H), 1.94 - 1.68 (m, 1H).	0.89 min 447.00 LCMS method 18
570	 2-methyl-4-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[(3R,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.54 (s, 1H), 8.40 (d, J = 5.5 Hz, 1H), 8.07 (d, J = 2.4 Hz, 1H), 7.78 (d, J = 5.5 Hz, 1H), 6.91 (s, 1H), 4.66 - 4.16 (m, 4H), 2.54 (s, 3H), 2.33 - 1.94 (m, 3H), 1.94 - 1.68 (m, 1H).	0.89 min 447.00 LCMS method 18
571	 4-{[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-	1H NMR (500 MHz, DMSO) d 8.72 (d, J = 2.6 Hz, 1H), 8.16 (d, J = 5.7 Hz, 1H), 8.01 (d, J = 8.6 Hz, 1H), 7.95 (dd, J = 8.6, 2.6 Hz, 1H), 7.92 (s, 1H), 7.91 – 7.88 (m, 1H), 7.82 (d, J = 5.8 Hz, 1H), 7.29 (d, J = 1.4 Hz, 1H), 7.13 (d, J = 1.3 Hz, 1H), 4.50 – 4.39 (m, 3H), 4.31 (dt, J = 7.7, 4.9 Hz, 1H), 4.13 (dd, J = 9.0, 4.7 Hz, 1H), 2.42 (s, 3H).	1.60 min 504.10 - 507.00 LCMS method 6

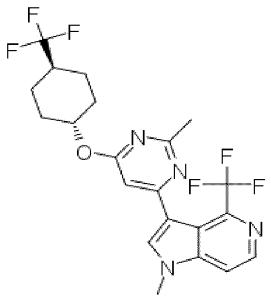
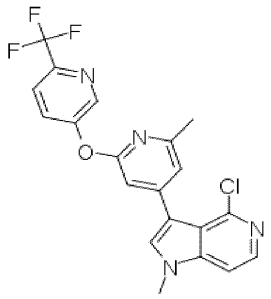
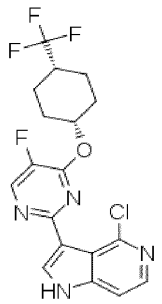
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Racemic mixture		
572	 2-[3-(2-methyl-6-{[(3S,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.43 (d, J = 5.6 Hz, 1H), 8.07 (s, 1H), 7.96 (d, J = 5.7 Hz, 1H), 6.82 (s, 1H), 5.00 (d, J = 5.3 Hz, 1H), 4.40 (ddt, J = 34.2, 29.0, 6.5 Hz, 6H), 3.78 (d, J = 5.8 Hz, 2H), 2.54 (s, 3H), 2.24 (s, 1H), 2.11 (s, 2H), 1.82 (d, J = 10.7 Hz, 1H).	0.82 min 491.00 LCMS method 18
573	 2-[3-(2-methyl-6-{[(3R,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO-d6) 8.43 (d, J = 5.6 Hz, 1H), 8.07 (s, 1H), 7.96 (d, J = 5.7 Hz, 1H), 6.82 (s, 1H), 5.00 (d, J = 5.3 Hz, 1H), 4.40 (ddt, J = 34.2, 29.0, 6.5 Hz, 6H), 3.78 (d, J = 5.8 Hz, 2H), 2.54 (s, 3H), 2.24 (s, 1H), 2.11 (s, 2H), 1.82 (d, J = 10.7 Hz, 1H).	0.82 min 491.00 LCMS method 18

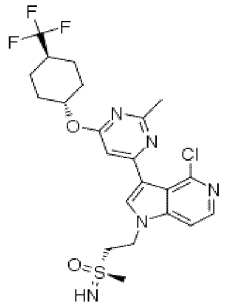
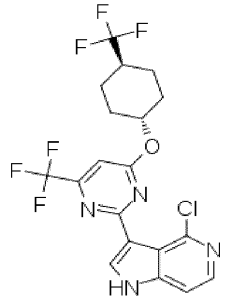
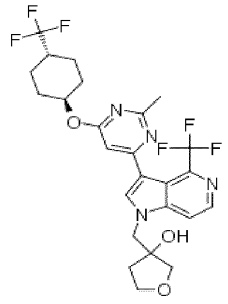
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
574	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5,6-dimethyl-2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.22 (s, 1H), 8.03 (d, J = 5.6 Hz, 1H), 7.70 (s, 1H), 7.52 (d, J = 5.6 Hz, 1H), 4.89 (tt, J = 10.8, 4.3 Hz, 1H), 2.44 (s, 3H), 2.41 – 2.28 (m, 1H), 2.22 – 2.16 (m, 2H), 2.03 (s, 3H), 1.96 – 1.89 (m, 2H), 1.53 – 1.43 (m, 2H), 1.43 – 1.32 (m, 2H).	1,71 min 425.10 LCMS method 7
575	 3-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-ol	1H NMR (500 MHz, DMSO) d 8.43 (d, J = 5.7 Hz, 1H), 8.10 (s, 1H), 8.02 (d, J = 5.7 Hz, 1H), 6.79 (s, 1H), 6.24 (s, 1H), 5.13 – 5.04 (m, 1H), 4.67 (s, 2H), 4.59 (d, J = 6.7 Hz, 2H), 4.44 (d, J = 6.7 Hz, 2H), 2.45 – 2.33 (m, 0H), 2.25 – 2.18 (m, 2H), 2.02 – 1.94 (m, 2H), 1.58 – 1.50 (m, 2H), 1.50 – 1.42 (m, 2H).	1.85 min 459.20 LCMS method 6
576	 Imino(methyl){2-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-	1H NMR (500 MHz, DMSO) 8.48 (d, J = 5.6 Hz, 1H), 8.15 (s, 1H), 8.02 (d, J = 5.7 Hz, 1H), 6.77 (s, 1H), 5.09 (dt, J = 10.4, 6.0 Hz, 1H), 4.75 (td, J = 7.1, 3.3 Hz, 2H), 3.98 (s, 1H), 3.67 (hept, J = 7.2 Hz, 2H), 2.88 (s, 3H), 2.46 – 2.30 (m, 1H), 2.29 (s, 1H), 2.38 (m, 1H), 2.21 (d, J = 9.6 Hz, 2H), 2.05 – 1.94 (m, 2H), 1.63 –	1.51 min 550.10 LCMS method 8

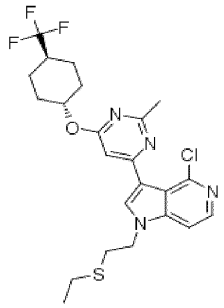
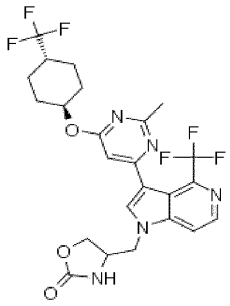
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}-λ⁶-sulfanone Racemic mixture	1.38 (m, 5H), 1.00 – 0.82 (m, 1H).	
577	 (4R)-4-{[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) 8.71 (d, J = 2.6 Hz, 1H), 8.14 (d, J = 5.7 Hz, 1H), 8.00 (d, J = 8.6 Hz, 1H), 7.93 (dd, J = 8.5, 2.6 Hz, 1H), 7.90 (s, 1H), 7.88 (s, 1H), 7.80 (d, J = 5.8 Hz, 1H), 7.27 (d, J = 1.3 Hz, 1H), 7.12 (d, J = 1.3 Hz, 1H), 4.48 – 4.37 (m, 3H), 4.28 (dq, J = 10.2, 5.1 Hz, 1H), 4.11 (dd, J = 9.0, 4.7 Hz, 1H), 2.40 (s, 3H).	1.60 min 504.1 LCMS method 2
578	 (4S)-4-{[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) 8.71 (d, J = 2.6 Hz, 1H), 8.14 (d, J = 5.8 Hz, 1H), 8.00 (dd, J = 8.7, 0.7 Hz, 1H), 7.93 (dd, J = 8.6, 2.7 Hz, 1H), 7.90 (s, 1H), 7.88 (d, J = 1.3 Hz, 1H), 7.80 (d, J = 5.8 Hz, 1H), 7.27 (d, J = 1.3 Hz, 1H), 7.11 (t, J = 1.0 Hz, 1H), 4.49 – 4.37 (m, 3H), 4.33 – 4.24 (m, 1H), 4.11 (dd, J = 9.0, 4.7 Hz, 1H), 2.40 (s, 2H).	1.60 min 504.1 LCMS method 2

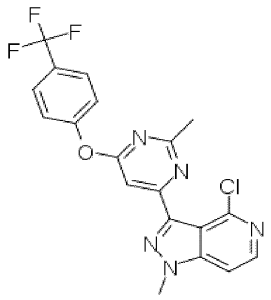
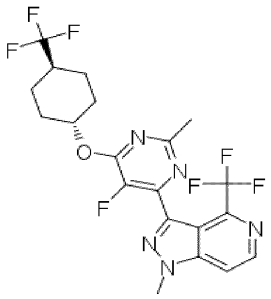
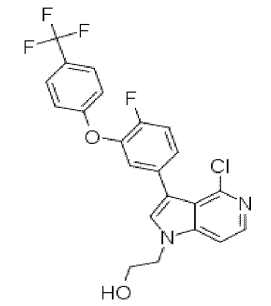
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
579	 4-[4-chloro-1-(2-methanesulfonylethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.15 (d, J = 5.7 Hz, 1H), 8.08 (s, 1H), 7.76 (d, J = 5.7 Hz, 1H), 6.88 (s, 1H), 5.08 (dp, J = 10.3, 4.3 Hz, 1H), 4.75 (t, J = 6.9 Hz, 2H), 3.78 (t, J = 6.9 Hz, 2H), 3.01 (s, 3H), 2.56 (s, 3H), 2.39 (d, J = 12.7 Hz, 1H), 2.21 (dd, J = 8.3, 4.8 Hz, 2H), 1.96 (d, J = 11.2 Hz, 2H), 1.58 – 1.42 (m, 4H).	1.66 min 517.00 - 520.00 LCMS method 8
580	 4-{4-chloro-1-[(1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (500 MHz, DMSO) 12.81 (s, 1H), 8.69 (d, J = 2.6 Hz, 1H), 8.11 (d, J = 5.7 Hz, 1H), 8.01 – 7.88 (m, 3H), 7.83 (d, J = 5.7 Hz, 1H), 7.73 (s, 2H), 7.26 (d, J = 1.3 Hz, 1H), 7.11 (s, 1H), 5.39 (s, 2H), 2.39 (s, 3H), 1.28 – 1.22 (m, 1H).	1.65 min 485.10 - 488.10 LCMS method 8
581	 3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-1λ⁶-thietane-1,1-dione	1H NMR (500 MHz, DMSO) d 8.36 (s, 1H), 8.23 (d, J = 5.7 Hz, 1H), 7.79 (d, J = 5.8 Hz, 1H), 6.97 (s, 1H), 5.72 (tt, J = 9.2, 5.3 Hz, 1H), 5.15 (td, J = 10.4, 4.4 Hz, 1H), 5.06 – 4.96 (m, 2H), 4.95 – 4.86 (m, 2H), 2.63 (s, 3H), 2.47 (d, J = 29.2 Hz, 1H), 2.27 (dd, J = 8.5, 4.7 Hz, 2H), 2.10 – 1.98 (m, 2H), 1.64 – 1.48 (m, 4H).	1.74 min 515,10 - 518,10 LCMS method 8

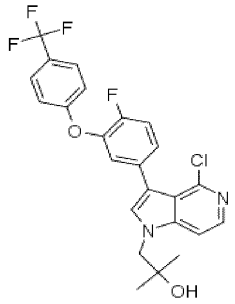
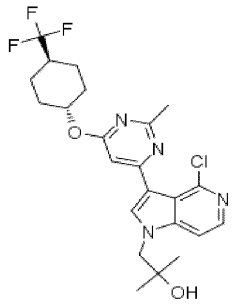
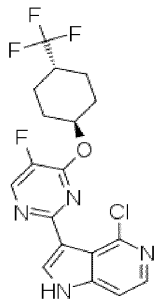
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
582	 (S)-{2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(imino)methyl-λ^6-sulfanone Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.21 (d, J = 5.7 Hz, 1H), 8.13 (s, 1H), 7.81 (d, J = 5.7 Hz, 1H), 6.94 (s, 1H), 5.18 – 5.09 (m, 1H), 4.83 – 4.70 (m, 2H), 4.03 (s, 1H), 3.78 – 3.64 (m, 2H), 2.92 (s, 3H), 2.61 (s, 3H), 2.45 (s, 1H), 2.30 – 2.21 (m, 2H), 2.10 – 1.99 (m, 2H), 1.64 – 1.55 (m, 2H), 1.56 – 1.47 (m, 2H).	1.42 min 516.20 LCMS method 8
583	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.7 Hz, 1H), 7.98 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 6.89 (s, 1H), 5.11 – 5.02 (m, 1H), 3.91 (s, 3H), 2.55 (s, 3H), 2.45 – 2.31 (m, 1H), 2.26 – 2.18 (m, 2H), 2.05 – 1.93 (m, 2H), 1.58 – 1.50 (m, 2H), 1.50 – 1.42 (m, 2H).	1.53 min 425.10 LCMS method 8

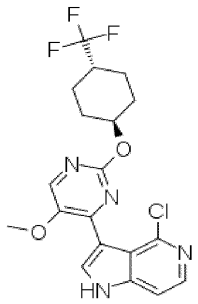
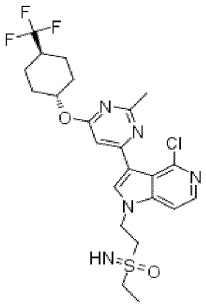
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
584	 2-methyl-4-[1-methyl-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.45 (d, J = 5.6 Hz, 1H), 8.05 (s, 1H), 7.91 (d, J = 5.6 Hz, 1H), 6.77 (s, 1H), 5.14 – 5.04 (m, 1H), 3.94 (s, 3H), 2.51 (s, 3H), 2.42 – 2.31 (m, 1H), 2.21 (t, J = 6.3 Hz, 2H), 2.05 – 1.93 (m, 2H), 1.58 – 1.50 (m, 2H), 1.50 – 1.42 (m, 2H).	1.62 min 459.10 LCMS method 8
585	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (500 MHz, DMSO) d 8.71 (d, J = 2.6 Hz, 1H), 8.13 (d, J = 5.7 Hz, 1H), 8.00 (d, J = 8.4 Hz, 1H), 7.93 (dd, J = 8.7, 2.6 Hz, 1H), 7.88 (s, 1H), 7.67 (d, J = 5.7 Hz, 1H), 7.28 – 7.24 (m, 1H), 7.12 – 7.08 (m, 1H), 3.91 (s, 3H), 2.40 (s, 3H).	1.62 min 459.10 LCMS method 8
586	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-4-{[(1s,4s)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (700 MHz, MeOD) d 6.81 (d, J = 3.0 Hz, 1H), 6.40 (d, J = 5.7 Hz, 1H), 6.24 (s, 1H), 5.86 (d, J = 5.6 Hz, 1H), 3.81 – 3.74 (m, 1H), 0.71 – 0.66 (m, 2H), 0.65 – 0.55 (m, 1H), 0.45 – 0.38 (m, 2H), 0.04 – -0.07 (m, 2H), -0.07 – -0.17 (m, 2H).	1.74 min 415.00 LCMS method 7

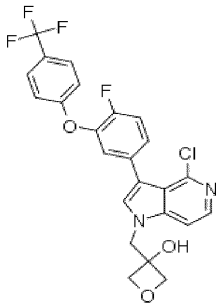
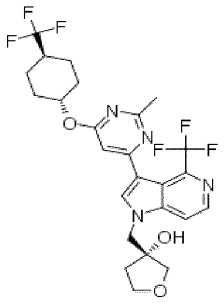
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
587	 (R)-{2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(imino)methyl-λ⁶-sulfanone Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.21 (d, J = 5.7 Hz, 1H), 8.13 (s, 1H), 7.81 (d, J = 5.7 Hz, 1H), 6.94 (s, 1H), 5.18 – 5.09 (m, 1H), 4.84 – 4.70 (m, 2H), 4.10 (s, 1H), 3.79 – 3.65 (m, 2H), 2.93 (s, 3H), 2.61 (s, 3H), 2.43 (s, 1H), 2.30 – 2.21 (m, 2H), 2.10 – 1.99 (m, 2H), 1.63 – 1.55 (m, 2H), 1.55 – 1.47 (m, 2H).	1.42 min 516.10 LCMS method 8
588	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-(trifluoromethyl)pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.48 (s, 1H), 8.22 (d, J = 2.6 Hz, 1H), 8.09 (d, J = 5.6 Hz, 1H), 7.54 (d, J = 5.6 Hz, 1H), 7.23 (s, 1H), 5.37 (dt, J = 10.7, 6.2 Hz, 1H), 2.39 (s, 1H), 2.23 (d, J = 11.4 Hz, 2H), 1.97 (d, J = 12.3 Hz, 2H), 1.52 (ddd, J = 24.2, 14.3, 8.0 Hz, 4H).	1.16 min 465.00 LCMS method 18
589	 3-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-	1H NMR (500 MHz, DMSO) d 8.42 (d, J = 5.6 Hz, 1H), 8.04 – 7.98 (m, 2H), 6.77 (s, 1H), 5.27 (s, 1H), 5.09 (dp, J = 10.4, 4.5 Hz, 1H), 4.48 (s, 2H), 3.90 – 3.79 (m, 2H), 3.72 (d, J = 9.0 Hz, 1H), 3.48 (d, J = 8.9 Hz, 1H), 2.51 (s, 3H), 2.39 (s, 1H), 2.24 – 2.15 (m, 2H), 2.11 – 1.92 (m, 3H), 1.75 (ddd, J = 12.5, 6.6, 4.2 Hz, 1ffH), 1.57 – 1.36 (m, 4H).	1.74 min 545.20 - 546.20 LCMS method 8

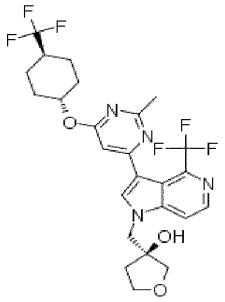
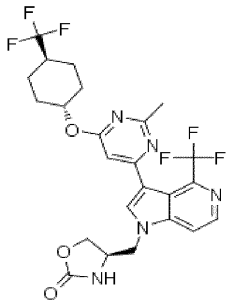
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Racemic mixture		
590	 4-{4-chloro-1-[2-(ethylsulfanyl)ethyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.17 (d, J = 5.7 Hz, 1H), 8.12 (s, 1H), 7.80 (d, J = 5.7 Hz, 1H), 6.94 (s, 1H), 5.13 (dq, J = 10.4, 4.7 Hz, 1H), 4.55 (t, J = 6.8 Hz, 2H), 3.03 (t, J = 6.8 Hz, 2H), 2.60 (d, J = 11.3 Hz, 5H), 2.44 (d, J = 13.1 Hz, 1H), 2.26 (dd, J = 9.2, 4.5 Hz, 2H), 2.10 – 1.98 (m, 2H), 1.64 – 1.47 (m, 4H), 1.20 (t, J = 7.4 Hz, 3H).	1.95 min 499,10 - 502,10 LCMS method 8
591	 4-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)-cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Racemic mixture	1H NMR (700 MHz, DMSO) d 8.47 (d, J = 5.6 Hz, 1H), 8.09 (s, 1H), 8.04 (d, J = 5.6 Hz, 1H), 7.88 (d, J = 1.4 Hz, 1H), 6.80 (s, 1H), 5.13 – 5.06 (m, 1H), 4.51 – 4.40 (m, 3H), 4.30 (m, 1H), 4.13 (dd, J = 9.0, 4.8 Hz, 1H), 2.52 (s, 3H), 2.38 (t, J = 10.1 Hz, 1H), 2.22 (m, 2H), 1.97 (m, 2H), 1.56 – 1.43 (m, 4H).	1.73 min 544,20 - 545,20 LCMS method 8

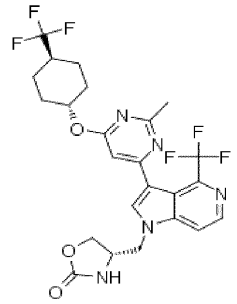
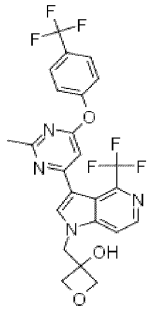
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
592	 4-{4-chloro-1-methyl-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (700 MHz, DMSO) d 8.29 (d, J = 6.0 Hz, 1H), 7.90 – 7.83 (m, 4H), 7.58 – 7.53 (m, 3H), 7.36 (s, 1H), 4.19 (s, 4H), 2.58 (s, 1H), 1.27 – 1.22 (m, 1H).	1.80min 420,10 LCMS method 10
593	 5-fluoro-2-methyl-4-[1-methyl-4-(trifluoromethyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (700 MHz, DMSO) d 8.64, 1H,26 d 8.19, 1H, 27 d 4.25 (s, 1H, 33), 2.55 (d, J = 0.9 Hz, 1H, 9, 10), 2.28 – 2.23 (m, 1H, 13), 1.98 (dq, J = 12.8, 3.3 Hz, 1H, 11', 12, 14, 15'), 1.64 – 1.56 (m, 1H), 1.55 – 1.46 (m, 1H).	1.99 min 478,10 LCMS method 10
594	 2-(4-chloro-3-{4-fluoro-3-[4-(trifluoromethyl)-phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.04 (d, J = 5.7 Hz, 1H), 7.81 – 7.74 (m, 2H), 7.69 (s, 1H), 7.65 (d, J = 5.8 Hz, 1H), 7.51 (dd, J = 10.7, 8.4 Hz, 1H), 7.45 – 7.36 (m, 2H), 7.20 (d, J = 8.6 Hz, 2H), 4.94 (t, J = 5.3 Hz, 1H), 4.31 (t, J = 5.3 Hz, 2H), 3.76 (q, J = 5.3 Hz, 2H).	1.68 min 451,10 LCMS method 40

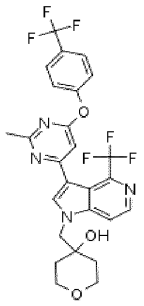
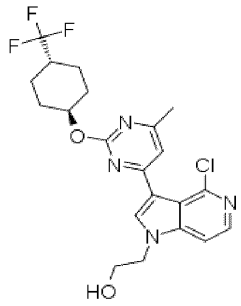
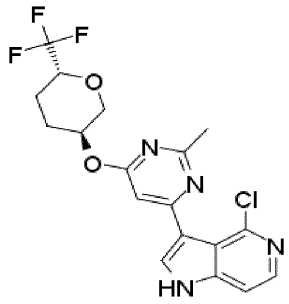
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
595	 1-(4-chloro-3-{4-fluoro-3-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)-2-methylpropan-2-ol	1H NMR (500 MHz, DMSO) d 8.03 (d, J = 5.7 Hz, 1H), 7.80 – 7.75 (m, 2H), 7.71 (d, J = 5.8 Hz, 1H), 7.61 (s, 1H), 7.50 (dd, J = 10.7, 8.4 Hz, 1H), 7.45 – 7.37 (m, 2H), 7.21 (d, J = 8.5 Hz, 2H), 4.74 (s, 1H), 4.17 (s, 2H), 1.12 (s, 6H).	1.76 min 479,10 LCMS method 40
596	 1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-2-ol	1H NMR (500 MHz, DMSO) d 8.08 (d, J = 5.8 Hz, 1H), 7.92 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 6.89 (s, 1H), 5.76 (s, 1H), 5.12 – 5.03 (m, 1H), 4.80 (s, 1H), 4.21 (s, 2H), 3.17 (d, J = 5.1 Hz, 1H), 2.55 (s, 3H), 2.39 (s, 1H), 2.21 (dd, J = 8.6, 4.8 Hz, 2H), 1.99 – 1.93 (m, 2H), 1.52 (d, J = 12.6 Hz, 2H), 1.50 – 1.42 (m, 2H), 1.11 (s, 6H).	1.69 min 483,10 LCMS method 5
597	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 7.66 (d, J = 3.1 Hz, 1H), 7.25 (d, J = 5.9 Hz, 1H), 7.09 (s, 1H), 6.71 (d, J = 5.7 Hz, 1H), 4.67 – 4.61 (m, 1H), 1.56 – 1.41 (m, 2H), 1.30 – 1.23 (m, 2H), 0.88 – 0.79 (m, 2H), 0.78 – 0.69 (m, 2H).	1.74 min 415.10 LCMS method 7

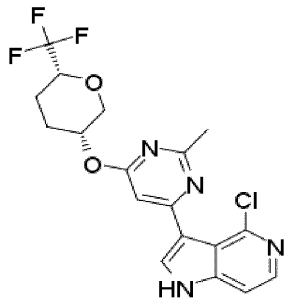
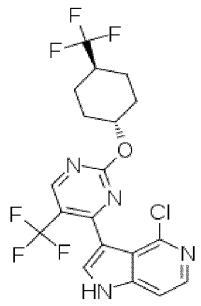
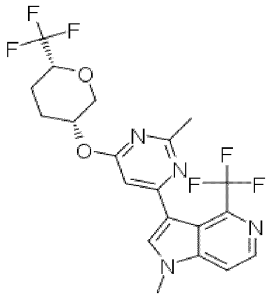
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
598	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methoxy-2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, MeOD) d 8.07 (s, 1H), 7.92 (d, J = 5.7 Hz, 1H), 7.67 (s, 1H), 7.39 (d, J = 5.7 Hz, 1H), 5.26 (tt, J = 11.0, 4.4 Hz, 1H), 3.86 (s, 3H), 2.24 – 2.17 (m, 2H), 2.17 – 2.07 (m, 1H), 1.97 – 1.89 (m, 2H), 1.56 – 1.45 (m, 2H), 1.45 – 1.33 (m, 2H).	1.58 min 427,10 LCMS method 7
599	 {2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(ethyl)imino-λ⁶-sulfanone Racemic mixture	1H NMR (400 MHz, DMSO) 8.14 (d, J = 5.7 Hz, 1H), 8.08 (s, 1H), 7.73 (d, J = 5.8 Hz, 1H), 6.87 (s, 1H), 5.07 (dt, J = 10.5, 5.8 Hz, 1H), 4.71 (td, J = 6.9, 2.1 Hz, 2H), 3.95 (s, 1H), 3.58 (t, J = 7.0 Hz, 2H), 2.96 (qd, J = 7.4, 1.8 Hz, 2H), 2.55 (s, 3H), 2.44 – 2.31 (m, 1H), 2.21 (d, J = 9.8 Hz, 2H), 1.96 (d, J = 10.6 Hz, 2H), 1.59 – 1.40 (m, 4H), 1.18 (t, J = 7.4 Hz, 3H).	1.60 min 530,20 - 533,20 LCMS method 7

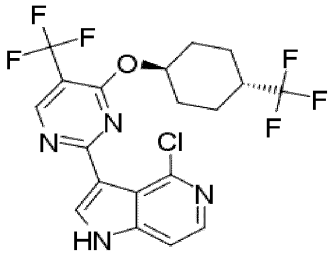
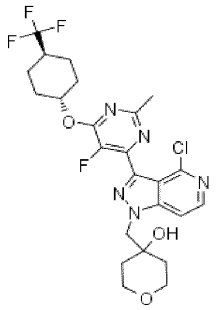
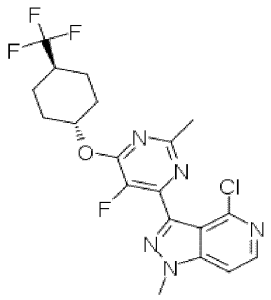
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
600	 3-[(4-chloro-3-{4-fluoro-3-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)methyl]oxetan-3-ol	1H NMR (500 MHz, DMSO) d 8.05 (d, J = 5.8 Hz, 1H), 7.80 – 7.74 (m, 3H), 7.72 (d, J = 5.8 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.41 (ddt, J = 8.9, 6.0, 2.2 Hz, 2H), 7.20 (d, J = 8.5 Hz, 2H), 6.20 (s, 1H), 4.61 – 4.54 (m, 4H), 4.43 (d, J = 6.7 Hz, 2H).	1.70 min 493,00 LCMS method 40
601	 (3R)-3-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.42 (d, J = 5.6 Hz, 1H), 8.05 – 7.98 (m, 2H), 6.77 (s, 1H), 5.27 (s, 1H), 5.08 (tt, J = 10.4, 4.3 Hz, 1H), 4.48 (s, 2H), 3.90 – 3.79 (m, 2H), 3.72 (d, J = 9.0 Hz, 1H), 3.48 (d, J = 8.9 Hz, 1H), 2.51 (s, 3H), 2.37 (s, 1H), 2.20 (t, J = 6.4 Hz, 2H), 2.03 (dt, J = 12.6, 8.6 Hz, 1H), 1.96 (d, J = 11.0 Hz, 2H), 1.75 (m, 1H), 1.57 – 1.41 (m, 4H).	1.74 min 545,20 - 546,20 LCMS method 7

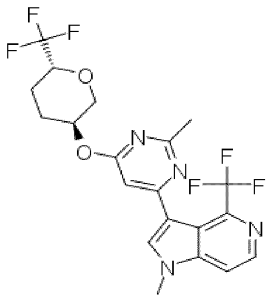
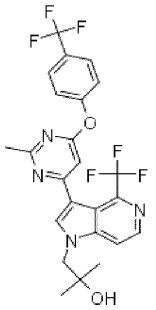
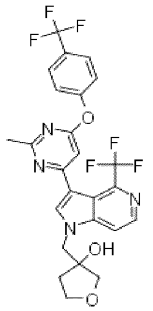
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
602	 (3S)-3-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.43 (d, J = 5.6 Hz, 1H), 8.05 – 7.99 (m, 2H), 6.78 (s, 1H), 5.28 (s, 1H), 5.09 (ddt, J = 14.8, 10.4, 4.4 Hz, 1H), 4.48 (s, 2H), 3.90 – 3.80 (m, 2H), 3.73 (d, J = 9.0 Hz, 1H), 3.48 (d, J = 9.0 Hz, 1H), 2.40 (s, 1H), 2.21 (t, J = 6.5 Hz, 2H), 2.05 (dd, J = 12.6, 8.6 Hz, 1H), 2.00 – 1.93 (m, 2H), 1.76 (m, 1H), 1.58 – 1.42 (m, 4H).	1.74 min 545,20 - 546,20 LCMS method 7
603	 (4R)-4-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) 8.36 (s, 1H), 8.23 (d, J = 5.7 Hz, 1H), 7.79 (d, J = 5.8 Hz, 1H), 6.97 (s, 1H), 5.72 (tt, J = 9.2, 5.3 Hz, 1H), 5.15 (td, J = 10.4, 4.4 Hz, 1H), 5.06 – 4.96 (m, 2H), 4.95 – 4.86 (m, 2H), 2.63 (s, 3H), 2.47 (d, J = 29.2 Hz, 1H), 2.27 (dd, J = 8.5, 4.7 Hz, 2H), 2.10 – 1.98 (m, 2H), 1.64 – 1.48 (m, 4H).	1.73 min 544,20 - 545,20 LCMS method 7

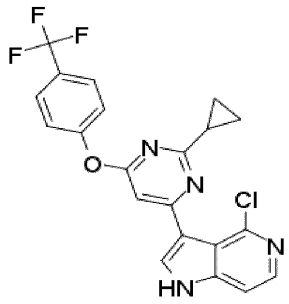
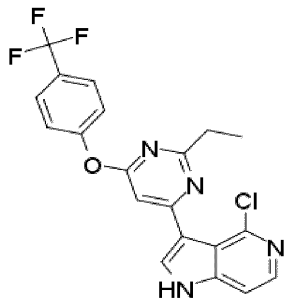
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
604	 (4S)-4-{[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) 8.36 (s, 1H), 8.23 (d, J = 5.7 Hz, 1H), 7.79 (d, J = 5.8 Hz, 1H), 6.97 (s, 1H), 5.72 (tt, J = 9.2, 5.3 Hz, 1H), 5.15 (td, J = 10.4, 4.4 Hz, 1H), 5.06 – 4.96 (m, 2H), 4.95 – 4.86 (m, 2H), 2.63 (s, 3H), 2.47 (d, J = 29.2 Hz, 1H), 2.27 (dd, J = 8.5, 4.7 Hz, 2H), 2.10 – 1.98 (m, 2H), 1.64 – 1.48 (m, 4H).	1.73 min 544,20 - 545,20 LCMS method 7
605	 3-[(3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)methyl]oxetan-3-ol	1H NMR (500 MHz, DMSO) d 8.45 (d, J = 5.7 Hz, 1H), 8.20 (s, 1H), 8.05 (d, J = 5.7 Hz, 1H), 7.88 – 7.83 (m, 2H), 7.53 – 7.47 (m, 2H), 7.12 (s, 1H), 6.26 (s, 1H), 4.70 (s, 2H), 4.59 (d, 2H), 4.44 (d, J = 6.7 Hz, 2H), 2.46 (s, 3H).	1.73 min 525,10 LCMS method 39

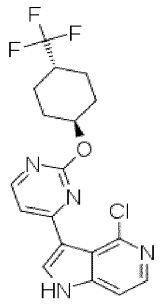
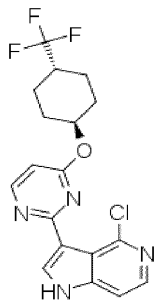
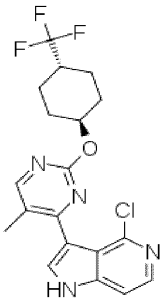
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
606	 4-[(3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)methyl]oxan-4-ol	1H NMR (500 MHz, DMSO) d 8.44 (d, J = 5.7 Hz, 1H), 8.10 – 8.04 (m, 2H), 7.86 (d, 2H), 7.50 (d, J = 8.4 Hz, 2H), 7.08 (s, 1H), 4.87 (s, 1H), 4.31 (s, 2H), 3.68 – 3.61 (m, 2H), 3.59 – 3.51 (m, 2H), 2.47 (s, 3H), 1.76 – 1.66 (m, 2H), 1.31 – 1.25 (m, 2H).	1.76 min 553,10 LCMS method 39
607	 2-[4-chloro-3-(6-methyl-2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.04 (d, J = 5.7 Hz, 1H), 7.96 (s, 1H), 7.63 (d, J = 5.8 Hz, 1H), 7.16 (s, 1H), 5.02 – 4.93 (m, 1H), 4.90 (t, J = 5.3 Hz, 1H), 4.28 (t, J = 5.2 Hz, 2H), 3.69 (m, 2H), 2.36 (s, 3H), 2.32 – 2.27 (m, 1H), 2.18 – 2.11 (m, 2H), 1.92 – 1.86 (m, 2H), 1.45 (m, 2H), 1.40 – 1.30 (m, 2H).	1.48 min 455,00 LCMS method 8
608	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(3S,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.36 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.96 (s, 1H), 7.51 (d, J = 5.7 Hz, 1H), 6.95 (s, 1H), 4.57 - 4.36 (m, 3H), 4.31 (dd, J = 10.7, 6.2 Hz, 1H), 2.55 (s, 3H), 2.12 (ddd, J = 32.5, 13.7, 7.6 Hz, 3H), 1.86 - 1.74 (m, 1H).	0.75 min 413,00 LCMS method 18

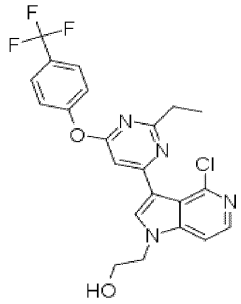
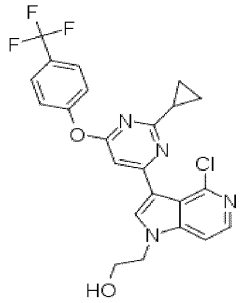
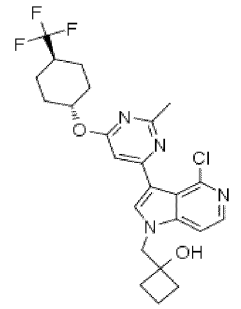
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
609	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(3R,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.35 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.95 (s, 1H), 7.51 (d, J = 5.6 Hz, 1H), 6.98 (s, 1H), 4.59 (td, J = 7.7, 5.7 Hz, 1H), 4.51 - 4.39 (m, 2H), 4.35 (dd, J = 11.3, 6.4 Hz, 1H), 2.55 (s, 3H), 2.36 - 2.23 (m, 1H), 2.15 (dq, J = 12.6, 6.5, 6.1 Hz, 1H), 2.05 - 1.78 (m, 2H).	0.79 min 413,00 LCMS method 22
610	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-5-(trifluoromethyl)pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.34 (s, 1H), 9.07 (s, 1H), 8.06 (d, J = 5.7 Hz, 1H), 7.80 (s, 1H), 7.54 (d, J = 5.6 Hz, 1H), 5.03 (dt, J = 11.0, 6.2 Hz, 1H), 2.36 (s, 1H), 2.27 - 2.16 (m, 2H), 1.93 (d, J = 12.7 Hz, 2H), 1.56 (q, J = 11.4 Hz, 2H), 1.40 (q, J = 12.7 Hz, 2H).	1.04 min 465,00 LCMS method 18
611	 2-methyl-4-[1-methyl-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[(3R,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.45 (d, J = 5.6 Hz, 1H), 8.06 (s, 1H), 7.91 (d, J = 5.6 Hz, 1H), 6.87 (s, 1H), 4.59 (td, J = 7.8, 5.8 Hz, 1H), 4.53 - 4.40 (m, 2H), 4.35 (dd, J = 11.4, 6.5 Hz, 1H), 3.94 (s, 3H), 2.55 (s, 3H), 2.38 - 2.22 (m, 1H), 2.15 (dq, J = 12.5, 6.4 Hz, 1H), 2.06 - 1.94 (m, 1H), 1.87 (tt, J = 14.4, 7.1 Hz, 1H).	0.94 min 461,00 LCMS method 19

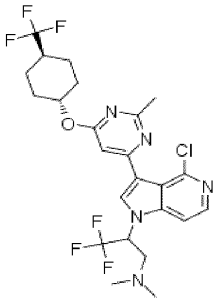
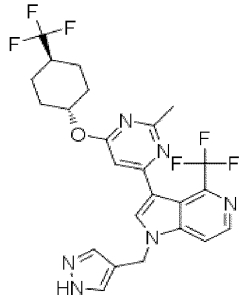
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
612	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-5-(trifluoromethyl)pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.54 (s, 1H), 8.90 (s, 1H), 8.27 (d, J = 2.7 Hz, 1H), 8.10 (d, J = 5.6 Hz, 1H), 7.55 (d, J = 5.6 Hz, 1H), 5.50 (s, 1H), 2.36 (s, 1H),2.19 (s, 2H), 1.97 (d, J = 12.4 Hz, 2H), 1.66 - 1.54 (m, 2H), 1.44 (d, J = 12.7 Hz, 2H).	1.04 min 465,00 LCMS method 19
613	 4-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl}oxan-4-ol	1H NMR (300 MHz, DMSO-d6) ¦ 8.26 (d, J = 6.0 Hz, 1H), 7.88 (d, J = 6.0 Hz, 1H), 5.20 (dq, J = 10.4, 5.1, 4.4 Hz, 1H), 4.85 (s, 1H), 4.50 (s, 2H), 3.60 (qd, J = 12.7, 11.9, 7.7 Hz, 4H), 2.58 (s, 3H), 2.42 (d, J = 8.6 Hz, 1H), 2.26 (dd, J = 9.7, 5.0 Hz, 2H), 1.99 (dd, J = 10.7, 4.3 Hz, 2H), 1.74 - 1.45 (m, 6H), 1.30 (d, J = 41.6 Hz, 2H).	1.16 min 544.30 LCMS method 32
614	 4-{4-chloro-1-methyl-1H-pyrazolo[4,3-c]pyridin-3-yl}-5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) ¦ 8.32 - 8.25 (m, 1H), 7.87 (dd, J = 6.1, 1.5 Hz, 1H), 5.21 (dt, J = 10.7, 6.2 Hz, 1H), 4.20 (s, 3H), 2.58 (d, J = 1.2 Hz, 3H), 2.39 (t, J = 8.8 Hz, 1H), 2.33 - 2.18 (m, 2H), 1.98 (d, J = 12.0 Hz, 2H), 1.67 - 1.41 (m, 4H).	1.39 min 444.00 LCMS method 32

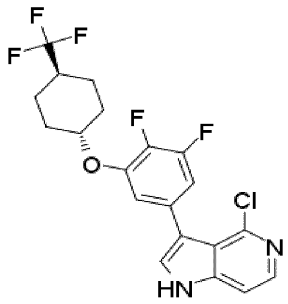
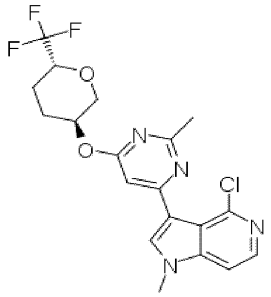
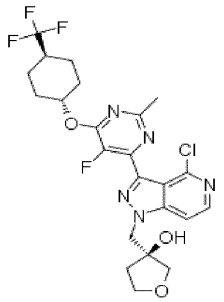
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
615	 2-methyl-4-[1-methyl-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[(3S,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.45 (d, J = 5.6 Hz, 1H), 8.06 (s, 1H), 7.91 (d, J = 5.6 Hz, 1H), 6.82 (s, 1H), 4.60 - 4.36 (m, 3H), 4.30 (dd, J = 10.9, 6.3 Hz, 1H), 3.94 (s, 3H), 2.55 (s, 3H), 2.33 - 1.99 (m, 3H), 1.94 - 1.69 (m, 1H).	0.91 min 461.00 LCMS method 18
616	 2-methyl-1-(3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)propan-2-ol	1H NMR (500 MHz, DMSO) d 8.03 (d, J = 5.7 Hz, 1H), 8.43 (d, J = 5.6 Hz, 1H), 8.06 (s, 1H), 7.88 – 7.83 (m, 2H), 7.53 – 7.47 (m, 2H), 7.07 (s, 1H), 4.81 (s, 1H), 4.27 (s, 2H), 2.47 (s, 3H), 1.13 (s, 6H).	1.82 min 511,20 LCMS method 39
617		1H NMR (500 MHz, DMSO) d 8.45 (d, J = 5.7 Hz, 1H), 8.13 (s, 1H), 8.04 (d, J = 5.7 Hz, 1H), 7.89 – 7.82 (m, 2H), 7.53 – 7.47 (m, 2H), 7.10 (d, J = 0.7 Hz, 1H), 5.30 (s, 1H), 4.51 (s, 2H), 3.91 – 3.80 (m, 2H), 3.74 (d, J = 9.0 Hz, 1H), 3.48 (d, J = 8.9 Hz, 1H), 2.47 (s, 3H), 2.09 – 2.00 (m, 1H), 1.80 – 1.71 (m, 1H).	1.74 min 539,10 LCMS method 39

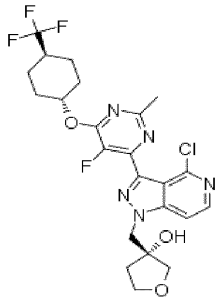
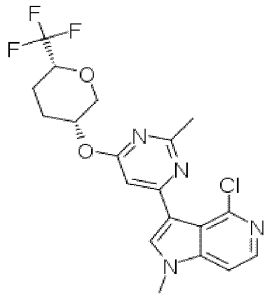
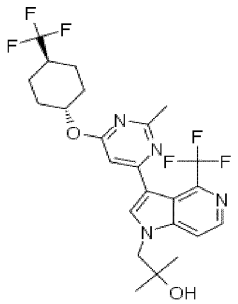
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	3-[(3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)methyl]oxolan-3-ol Racemic mixture		
618	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-cyclopropyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 12.36 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.97 (s, 1H), 7.81 – 7.76 (m, 2H), 7.46 (d, J = 5.6 Hz, 1H), 7.45 – 7.40 (m, 2H), 7.03 (s, 1H), 2.03 – 1.89 (m, 1H), 0.96 – 0.86 (m, 4H).	1.63 min 431,10 LCMS method 8
619	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-ethyl-6-[4-(trifluoromethyl)phenoxy]pyrimidine	1H NMR (500 MHz, DMSO) d 12.45 (s, 1H), 8.08 (d, J = 5.6 Hz, 1H), 8.06 (d, J = 2.7 Hz, 1H), 7.89 – 7.83 (m, 2H), 7.54 (d, J = 5.7 Hz, 1H), 7.53 – 7.49 (m, 2H), 7.19 (s, 1H), 2.78 (q, J = 7.6 Hz, 2H), 1.24 (t, J = 7.6 Hz, 3H).	1.60 min 419,10 LCMS method 8

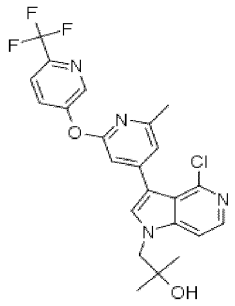
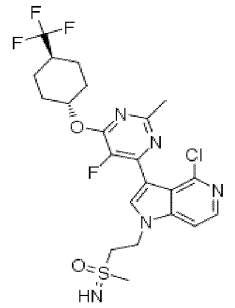
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
620	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, MeOD) d 8.46 (d, J = 5.3 Hz, 1H), 8.06 (d, J = 5.9 Hz, 1H), 7.99 (s, 1H), 7.55 (d, J = 5.9 Hz, 1H), 7.37 (d, J = 5.3 Hz, 1H), 5.15 – 5.05 (m, 1H), 2.31 – 2.21 (m, 2H), 2.21 – 2.08 (m, 1H), 2.01 – 1.92 (m, 2H), 1.57 – 1.47 (m, 2H), 1.47 – 1.37 (m, 2H).	1.66 min 397,10 LCMS method 6
621	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, MeOD) d 8.38 (d, J = 5.9 Hz, 1H), 7.96 (d, J = 5.7 Hz, 1H), 7.80 (s, 1H), 7.41 (d, J = 5.7 Hz, 1H), 6.63 (d, J = 5.9 Hz, 1H), 5.31 – 5.22 (m, 1H), 2.24 – 2.14 (m, 2H), 2.14 – 2.10 (m, 1H), 2.00 – 1.90 (m, 2H), 1.56 – 1.44 (m, 2H), 1.44 – 1.35 (m, 2H).	1.61 min 397,10 LCMS method 6
622	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methyl-2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, MeOD) d 8.34 (s, 1H), 7.94 (d, J = 5.7 Hz, 1H), 7.52 (s, 1H), 7.42 (d, J = 5.8 Hz, 1H), 4.97 – 4.87 (m, 1H), 2.27 – 2.20 (m, 2H), 2.18 – 2.06 (m, 1H), 2.05 (s, 3H), 1.97 – 1.89 (m, 2H), 1.52 – 1.43 (m, 2H), 1.43 – 1.33 (m, 2H).	2.12 min 411,10 LCMS method 6

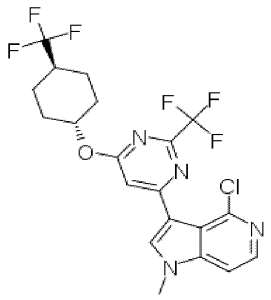
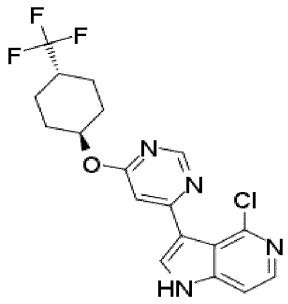
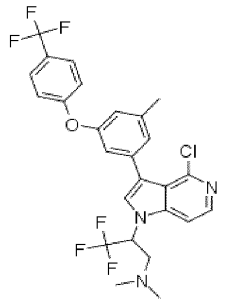
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
623	 2-(4-chloro-3-{2-ethyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.12 (d, J = 5.7 Hz, 1H), 8.08 (s, 1H), 7.86 (d, J = 8.6 Hz, 2H), 7.71 (d, J = 5.7 Hz, 1H), 7.52 (d, J = 8.4 Hz, 2H), 7.15 (s, 1H), 4.97 (t, J = 5.3 Hz, 1H), 4.37 (t, J = 5.2 Hz, 2H), 3.77 (q, J = 5.2 Hz, 2H), 2.83 – 2.75 (m, 2H), 1.24 (t, J = 7.6 Hz, 3H).	1.58 min 463,10 LCMS method 8
624	 2-(4-chloro-3-{2-cyclopropyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-1H-pyrrolo[3,2-c]pyridin-1-yl)ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.04 (d, J = 5.7 Hz, 1H), 7.99 (s, 1H), 7.78 (d, J = 8.6 Hz, 2H), 7.63 (d, J = 5.8 Hz, 1H), 7.43 (d, J = 8.4 Hz, 2H), 6.99 (s, 1H), 4.89 (t, J = 5.3 Hz, 1H), 4.29 (t, J = 5.2 Hz, 2H), 3.69 (q, J = 5.2 Hz, 2H), 2.04 – 1.95 (m, 1H), 0.94 – 0.87 (m, 4H).	1.16 min 475,10 LCMS method 8
625	 1-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}cyclobutan-1-ol	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.8 Hz, 0H, 9), 8.02 (s, 0H, 12), 7.80 (d, J = 5.8 Hz, 0H, 8), 6.99 (s, 0H, 3), 5.10 (dq, J = 10.4, 4.9, 4.4 Hz, 0H, 18), 4.38 (s, 1H, 29, 34), 2.59 (s, 1H, 19), 2.21 (d, J = 10.9 Hz, 1H, 20', 22, 24', 31', 32'), 1.99	1.52 - 1.56 min 495,20 LCMS method 10

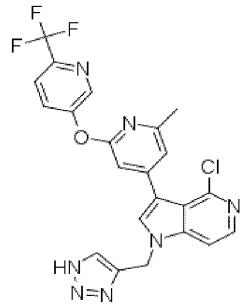
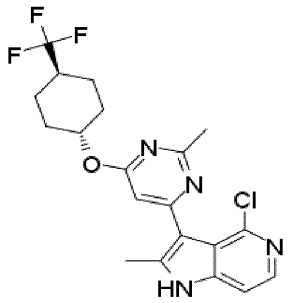
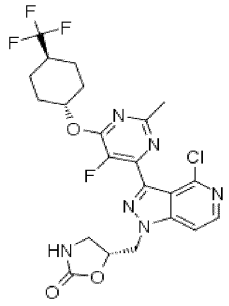
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
626	 {2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3,3,3-trifluoropropyl}dimethylamine Racemic mixture	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.8 Hz, 1H), 8.06 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 6.88 (d, J = 0.7 Hz, 1H), 5.13 – 5.04 (m, 1H), 4.67 (dd, J = 14.8, 9.7 Hz, 1H), 4.52 (dd, J = 14.8, 4.7 Hz, 1H), 4.06 (m, 1H), 2.56 (s, 3H), 2.40 (s, 7H), 2.21 (t, J = 6.5 Hz, 2H), 2.03 – 1.92 (m, 2H), 1.58 – 1.38 (m, 4H).	1.73 min 550,20 LCMS method 40
627	 2-methyl-4-{1-[(1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.83 (s, 1H), 8.44 (d, J = 5.6 Hz, 1H), 8.14 (s, 1H), 8.09 (d, J = 5.7 Hz, 1H), 7.89 (s, 1H), 7.62 – 7.58 (m, 1H), 6.79 (s, 1H), 5.43 (s, 2H), 5.13 – 5.04 (m, 1H), 2.51 (dd, J = 3.9, 2.0 Hz, 3H), 2.38 (s, 1H), 2.20 (dd, J = 7.8, 4.7 Hz, 2H), 2.05 – 1.93 (m, 2H), 1.57 – 1.42 (m, 4H).	1.56 min 525,10 LCMS method 40

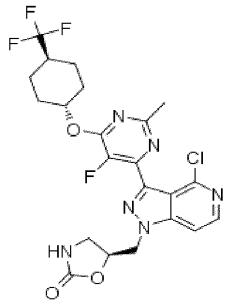
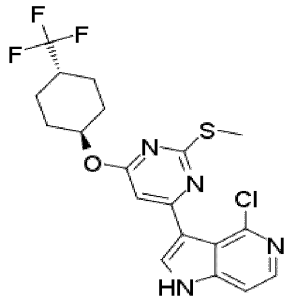
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
628	 4-chloro-3-(3,4-difluoro-5-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}phenyl)-1H-pyrrolo[3,2-c]pyridine	1H NMR (500 MHz, DMSO) d 12.19 – 12.15 (m, 1H), 8.02 (d, J = 5.6 Hz, 1H), 7.67 (d, J = 2.5 Hz, 1H), 7.66 – 7.59 (m, 0H), 7.59 – 7.54 (m, 0H), 7.49 (d, J = 5.6 Hz, 1H), 7.25 – 7.19 (m, 1H), 7.12 – 7.04 (m, 1H), 4.56 – 4.46 (m, 1H), 2.44 – 2.26 (m, 1H), 2.22 – 2.16 (m, 2H), 1.95 – 1.89 (m, 2H), 1.56 – 1.38 (m, 4H).	1.74 min 431,10 LCMS method 40
629	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(3S,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.12 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 6.94 (s, 1H), 4.59 - 4.36 (m, 3H), 4.31 (dd, J = 10.9, 6.1 Hz, 1H), 3.91 (s, 3H), 2.55 (s, 3H), 2.31 - 2.19 (m, 1H), 2.19 - 1.99 (m, 2H), 1.91 - 1.70 (m, 1H).	0.82 min 427,00 LCMS method 18
630	 (3S)-3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (300 MHz, DMSO-d6) 8.26 (d, J = 6.0 Hz, 1H), 7.88 (d, J = 6.0 Hz, 1H), 5.28 - 5.15 (m, 2H), 4.69 (d, J = 3.3 Hz, 2H), 3.83 (dt, J = 8.5, 2.8 Hz, 3H), 3.48 (d, J = 9.0 Hz, 1H), 2.59 (d, J = 1.1 Hz, 3H), 2.40 (t, J = 8.6 Hz, 1H), 2.32 - 2.22 (m, 2H), 2.11 (dt, J = 12.7, 8.6 Hz, 1H), 2.02 - 1.93 (m, 2H), 1.81 (dt, J = 12.1, 5.5 Hz, 1H), 1.69 - 1.43 (m, 4H).	1.15 min 530,25 LCMS method 34

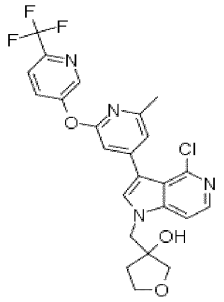
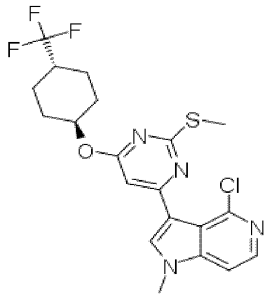
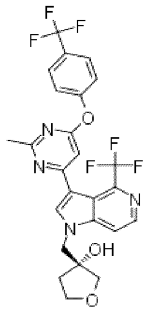
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
631	 (3R)-3-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (300 MHz, DMSO-d6) ¦8.26 (d, J = 6.0 Hz, 1H), 7.87 (d, J = 6.0 Hz, 1H), 5.30 -5.13 (m, 2H), 4.69 (d, J = 3.3 Hz, 2H), 3.83 (dt, J = 8.5, 3.0 Hz, 3H), 3.49 (d, J = 9.1 Hz, 1H), 2.41 (d, J = 9.2 Hz, 1H), 2.32 -2.22 (m, 2H), 2.11 (dt, J = 12.6, 8.6 Hz, 1H), 2.03 -1.91 (m, 2H), 1.87 -1.76 (m, 1H), 1.57 (ddt, J = 24.1, 14.1, 7.9 Hz, 4H).	1.14 min 530,25 LCMS method 34
632	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(3R,6R)-6-(trifluoromethyl)oxan-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.12 (dd, J = 5.7, 2.2 Hz, 1H), 7.99 (d, J = 2.3 Hz, 1H), 7.65 (dd, J = 5.7, 2.2 Hz, 1H), 6.97 (d, J = 2.3 Hz, 1H), 4.59 (tdd, J = 7.7, 5.6, 2.2 Hz, 1H), 4.54 - 4.29 (m, 3H), 3.90 (d, J = 2.3 Hz, 3H), 2.55 (d, J = 2.3 Hz, 3H), 2.37 - 2.21 (m, 1H), 2.15 (dq, J = 13.0, 6.7, 6.2 Hz, 1H), 2.06 - 1.92 (m, 1H), 1.85 (m, 1H).	0.86 min 427,00 LCMS method 19
633	 2-methyl-1-[3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-2-ol	1H NMR (400 MHz, DMSO) d 8.40 (d, J = 5.7 Hz, 1H), 8.00 (d, J = 5.7 Hz, 1H), 7.95 (s, 1H), 6.75 (s, 1H), 5.08 (dt, J = 10.5, 5.7 Hz, 1H), 4.77 (s, 1H), 4.24 (s, 2H), 2.51 (s, 3H), 2.38 (d, J = 10.5 Hz, 1H), 2.21 (d, J = 9.0 Hz, 2H), 1.96 (d, J = 9.4 Hz, 2H), 1.49 (m, 4H), 1.13 (s, 6H).	1.81 min 517,20 - 518,20 LCMS method 40

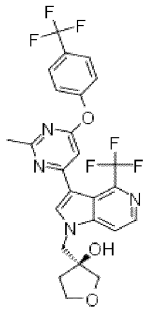
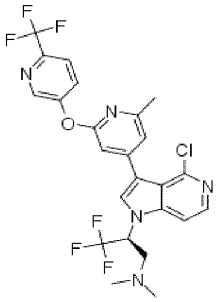
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
634	 1-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-2-ol	1H NMR (400 MHz, DMSO) d 8.70 (d, J = 2.6 Hz, 1H), 8.08 (d, J = 5.7 Hz, 1H), 7.98 (d, J = 8.6 Hz, 1H), 7.92 (dd, J = 8.6, 2.6 Hz, 1H), 7.78 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 7.26 (d, J = 1.3 Hz, 1H), 7.12 – 7.07 (m, 1H), 4.76 (s, 1H), 4.20 (s, 2H), 2.40 (s, 3H), 1.13 (s, 6H).	1.72 min 477,00 - 480,10 LCMS method 40
635	 {2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(imino)methyl-λ^6-sulfanone Racemic mixture	11H NMR (500 MHz, DMSO) d 8.00 (s, 1H, 9), 7.77 (d, J = 5.8 Hz, 1H, 8), 5.16 (tt, J = 11.0, 4.5 Hz, 1H, 3), 4.79 – 4.66 (m, 2H, 30), 3.67 (hept, J = 7.1 Hz, 2H, 29), 3.17 (d, J = 5.1 Hz, 1H, 17), 2.86 (s, 3H, 18), 2.54 (d, J = 0.9 Hz, 3H, 32), 2.23 (dd, J	1,58 - 1,51 min. 534,10 - 532,10 LCMS method 10

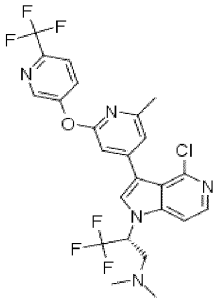
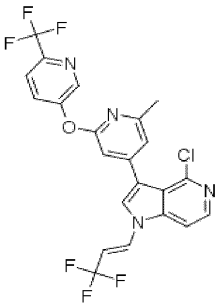
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
636	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-2-(trifluoromethyl)pyrimidine	1H NMR (500 MHz, DMSO) d 8.17 (d, J = 5.7 Hz, 1H), 8.15 (s, 1H), 7.70 (d, J = 5.7 Hz, 1H), 7.40 (s, 1H), 5.13 – 5.04 (m, 1H), 3.94 (s, 3H), 2.47 – 2.38 (m, 1H), 2.28 – 2.21 (m, 2H), 2.02 – 1.95 (m, 2H), 1.64 – 1.54 (m, 2H), 1.54 – 1.44 (m, 2H).	2.06 m in 479,10 LCMS method 6
637	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.40 (s, 1H), 8.79 (d, J = 1.1 Hz, 1H), 8.07 (d, J = 5.6 Hz, 1H), 7.99 (d, J = 2.7 Hz, 1H), 7.52 (d, J = 5.6 Hz, 1H), 7.11 (d, J = 1.1 Hz, 1H), 5.13 – 5.03 (m, 1H), 2.43 – 2.34 (m, 1H), 2.00 – 1.92 (m, 2H), 2.27 – 2.19 (m, 2H), 1.59 – 1.41 (m, 4H).	1.69 min 397,10 LCMS method 39
638	 [2-(4-chloro-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridin-1-yl)-3,3,3-trifluoropropyl]dimethylamine Racemic mixture	1H NMR (500 MHz, DMSO) d 8.07 (d, J = 5.8 Hz, 1H), 7.79 – 7.73 (m, 3H), 7.72 (d, J = 5.8 Hz, 1H), 7.22 – 7.17 (m, 3H), 7.01 – 6.96 (m, 2H), 4.67 – 4.59 (m, 1H), 4.50 – 4.43 (m, 1H), 4.13 – 4.02 (m, 1H), 2.42 – 2.38 (m, 9H).	2.08 min 542,10 LCMS method 40

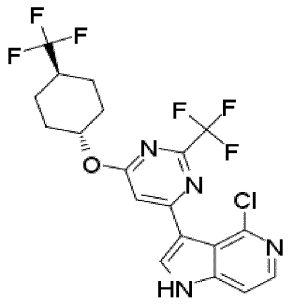
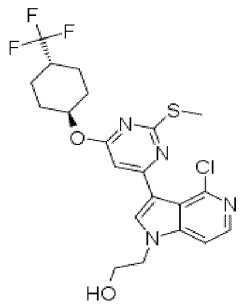
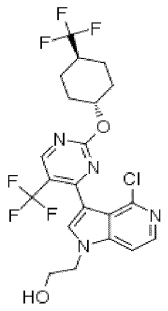
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
639	 4-{4-chloro-1-[(1H-1,2,3-triazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (400 MHz, DMSO) d 15.05 (s, 1H), 8.70 (d, J = 2.6 Hz, 1H), 8.13 (d, J = 5.7 Hz, 1H), 7.99 (d, J = 8.6 Hz, 1H), 7.96 (s, 1H), 7.95 (s, 1H), 7.92 (dd, J = 8.6, 2.7 Hz, 1H), 7.79 (d, J = 5.7 Hz, 1H), 7.29 – 7.24 (m, 1H), 7.13 – 7.08 (m, 1H), 5.65 (s, 2H), 2.40 (s, 3H).	1.63 min 486,10 - 489,00 LCMS method 6
640	 4-{4-chloro-2-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO) d 12.40 (s, 0H, 4), 8.03 (d, J = 5.5 Hz, 0H, 9), 7.63 – 7.43 (m, 1H, 8), 7.01 (s, 0H, 12), 2.64 (s, 1H, 29), 2.45 (s, 1H, 18), 2.24 (d, J = 11.3 Hz, 1H, 21), 1.97 (d, J = 11.5 Hz, 1H), 1.53 (dp, J = 26.8, 14.1, 13.0 Hz, 1H, 19'', 20	1.46 min 425.1 LCMS method 10
641	 (5R)-5-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-	1H NMR (300 MHz, DMSO-d6) ¦ 8.32 (d, J = 6.0 Hz, 1H), 7.93 (d, J = 6.1 Hz, 1H), 7.59 (s, 1H), 5.26 - 5.08 (m, 1H), 5.04 (dt, J = 10.3, 5.0 Hz, 1H), 4.92 - 4.80 (m, 2H), 3.66 (t, J = 9.0 Hz, 1H), 3.38 (dd, J = 9.2, 6.1 Hz, 1H), 2.59 (d, J = 1.1 Hz, 3H), 2.41 (d, J = 8.8 Hz, 1H), 2.32 - 2.21 (m, 2H), 2.04 -1.93 (m, 2H), 1.69 -1.38 (m, 4H).	1.10 min 529.2 LCMS method 34

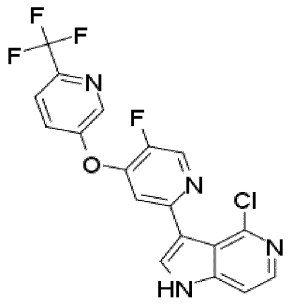
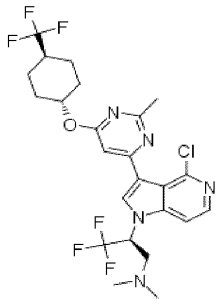
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	1H-pyrazolo[4,3-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily		
642	 (5S)-5-{[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl}-1,3-oxazolidin-2-one Absolute configuration assigned arbitrarily	1H NMR (300 MHz, DMSO-d6) ¦ 8.32 (d, J = 6.1 Hz, 1H), 7.93 (d, J = 6.0 Hz, 1H), 7.59 (s, 1H), 5.21 (dq, J = 9.9, 5.0, 3.8 Hz, 1H), 5.09 ¨-4.96 (m, 1H), 4.93 - 4.80 (m, 2H), 3.66 (t, J = 9.0 Hz, 1H), 3.41 - 3.35 (m, 1H), 2.41 (d, J = 9.0 Hz, 1H), 2.32 - 2.21 (m, 2H), 2.05 ¨C 1.85 (m, 2H), 1.71 - 1.44 (m, 4H).	6.92 min 529.2 LCMS method 34
643	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.38 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.99 (d, J = 2.5 Hz, 1H), 7.51 (d, J = 5.6 Hz, 1H), 6.79 (s, 1H), 5.09 – 5.00 (m, 1H), 2.55 (s, 3H), 2.41 – 2.35 (m, 1H), 2.25 – 2.18 (m, 2H), 1.99 – 1.92 (m, 2H), 1.58 – 1.42 (m, 4H).	1.92 min 443.1 LCMS method 39

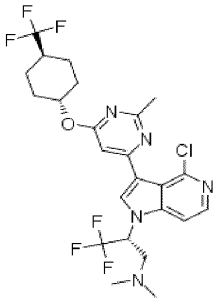
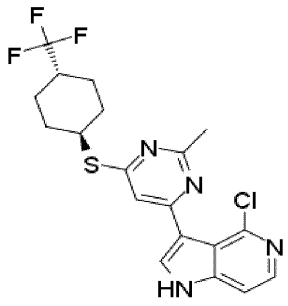
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
644	 3-{[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Racemic mixture	1H NMR (500 MHz, DMSO) d 8.71 (d, J = 2.6 Hz, 1H), 8.11 (d, J = 5.8 Hz, 1H), 8.00 (d, J = 8.6 Hz, 1H), 7.93 (dd, J = 8.6, 2.7 Hz, 1H), 7.87 (s, 1H), 7.77 (d, J = 5.8 Hz, 1H), 7.28 (d, J = 1.3 Hz, 1H), 7.11 (s, 1H), 5.27 (s, 1H), 4.44 (s, 2H), 3.91 – 3.80 (m, 2H), 3.73 (d, J = 9.0 Hz, 1H), 3.49 (d, J = 9.0 Hz, 1H), 2.41 (s, 3H), 2.12 – 1.97 (m, 1H), 1.85 – 1.72 (m, 1H).	1.63 min 505.1 LCMS method 6
645	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 6.77 (s, 1H), 5.09 – 5.00 (m, 1H), 3.90 (s, 3H), 2.55 (s, 3H), 2.39 – 2.35 (m, 1H), 2.25 – 2.18 (m, 2H), 1.99 – 1.92 (m, 2H), 1.62 – 1.36 (m, 4H).	2.03 min 457.1 LCMS method 39
646		1H NMR (500 MHz, DMSO) d 8.45 (d, J = 5.7 Hz, 1H), 8.13 (s, 1H), 8.04 (d, J = 5.7 Hz, 1H), 7.89 – 7.82 (m, 2H), 7.53 – 7.47 (m, 2H), 7.10 (d, J = 0.7 Hz, 1H), 5.30 (s, 1H), 4.51 (s, 2H), 3.91 – 3.80 (m, 2H), 3.74 (d, J = 9.0 Hz, 1H), 3.48 (d, J = 8.9 Hz, 1H), 2.47 (s, 3H), 2.09 – 2.00 (m, 1H), 1.80 – 1.71 (m, 1H). Spektrum	1.74 min 539.1 LCMS method 39

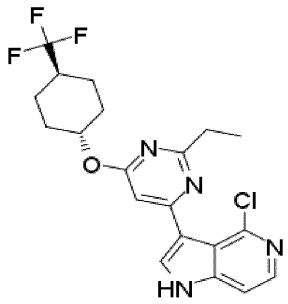
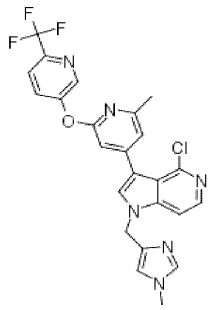
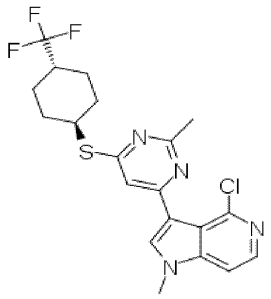
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	(3R)-3-[(3-{2-methyl-6-[4-(trifluoromethyl)-phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)methyl]oxolan-3-ol Absolute configuration assigned arbitrarily		
647	 (3S)-3-[(3-{2-methyl-6-[4-(trifluoromethyl)phenoxy]pyrimidin-4-yl}-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl)methyl]oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.45 (d, J = 5.7 Hz, 1H), 8.13 (s, 1H), 8.04 (d, J = 5.7 Hz, 1H), 7.89 – 7.82 (m, 2H), 7.53 – 7.47 (m, 2H), 7.10 (d, J = 0.7 Hz, 1H), 5.30 (s, 1H), 4.51 (s, 2H), 3.91 – 3.80 (m, 2H), 3.74 (d, J = 9.0 Hz, 1H), 3.48 (d, J = 8.9 Hz, 1H), 2.47 (s, 3H), 2.09 – 2.00 (m, 1H), 1.80 – 1.71 (m, 1H). Spektrum	1.74 min 539.1 LCMS method 39
648	 [(2S)-2-[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3,3,3-trifluoro-propyl]dimethylamine Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.71 (d, J = 2.6 Hz, 1H), 8.14 (d, J = 5.7 Hz, 1H), 8.03 – 7.96 (m, 2H), 7.94 (dd, J = 8.5, 2.6 Hz, 1H), 7.78 (d, J = 5.8 Hz, 1H), 7.31 – 7.26 (m, 1H), 7.12 (t, J = 1.0 Hz, 1H), 4.67 (dd, J = 14.8, 9.7 Hz, 1H), 4.51 (dd, J = 14.8, 4.7 Hz, 1H), 4.08 (qd, J = 8.8, 4.7 Hz, 1H), 2.41 (s, 9H).	1.89 min 544.1 LCMS method 40

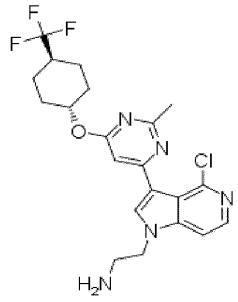
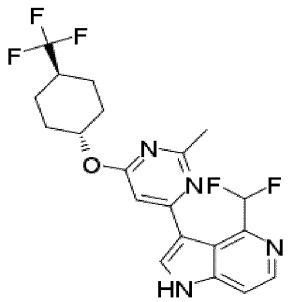
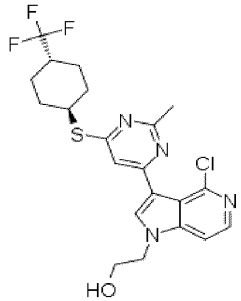
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
649	 [(2R)-2-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3,3,3-trifluoropropyl]dimethylamine Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.71 (d, J = 2.6 Hz, 1H), 8.14 (d, J = 5.8 Hz, 1H), 8.03 – 7.96 (m, 2H), 7.94 (dd, J = 8.6, 2.7 Hz, 1H), 7.78 (d, J = 5.8 Hz, 1H), 7.28 (d, J = 1.3 Hz, 1H), 7.12 (d, J = 1.3 Hz, 1H), 4.67 (dd, J = 14.8, 9.7 Hz, 1H), 4.51 (dd, J = 14.8, 4.7 Hz, 1H), 4.09 (td, J = 9.0, 4.6 Hz, 1H), 2.41 (s, 8H).	1.89 min 544.1 LCMS method 40
650	 4-{4-chloro-1-[(1E)-3,3,3-trifluoroprop-1-en-1-yl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (500 MHz, DMSO) d 8.72 (d, J = 2.6 Hz, 1H), 8.48 (s, 1H), 8.34 (dt, J = 14.1, 2.2 Hz, 1H), 8.29 (d, J = 5.8 Hz, 1H), 8.21 (d, J = 5.8 Hz, 1H), 8.01 (d, J = 8.6 Hz, 1H), 7.95 (dd, J = 8.6, 2.6 Hz, 1H), 7.34 (d, J = 1.3 Hz, 1H), 7.21 (d, J = 1.2 Hz, 1H), 6.78 (dq, J = 14.0, 7.0 Hz, 1H), 2.42 (s, 3H).	1.96 min 499.2 LCMS method 40

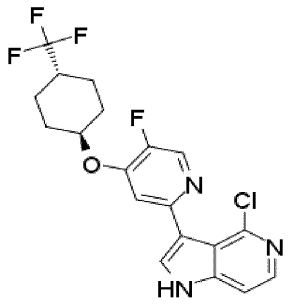
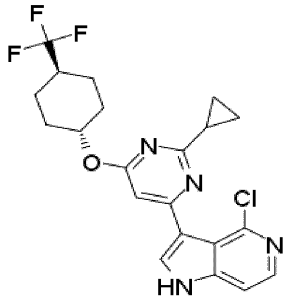
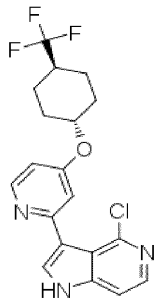
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
651	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-2-(trifluoromethyl)pyrimidine	1H NMR (500 MHz, DMSO) d 12.49 – 12.45 (m, 1H), 8.05 (d, J = 2.7 Hz, 1H), 8.03 (d, J = 5.6 Hz, 1H), 7.48 (d, J = 5.6 Hz, 1H), 7.34 (s, 1H), 5.06 – 4.97 (m, 1H), 2.39 – 2.29 (m, 1H), 2.21 – 2.14 (m, 2H), 1.97 – 1.87 (m, 2H), 1.56 – 1.36 (m, 4H).	1.83 min 465 LCMS method 8
652	 2-{4-chloro-3-[2-(methylsulfanyl)-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl]-1H-pyrrolo[3,2-c]pyridin-1-yl}ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.77 (s, 1H), 5.10 – 5.00 (m, 1H), 4.96 (t, J = 5.3 Hz, 1H), 4.34 (t, J = 5.2 Hz, 2H), 3.75 (q, J = 5.3 Hz, 2H), 2.55 (s, 3H), 2.46 – 2.30 (m, 1H), 2.25 – 2.18 (m, 2H), 1.99 – 1.93 (m, 2H), 1.58 – 1.41 (m, 4H).	1.87 min 487.1 LCMS method 39
653	 2-[4-chloro-3-(2-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-5-(trifluoromethyl)pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 9.07 (s, 1H), 8.10 (d, J = 5.8 Hz, 1H), 7.81 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 5.13 - 4.93 (m, 2H), 4.37 (t, J = 5.2 Hz, 2H), 3.75 (q, J = 4.7 Hz, 2H), 2.42 - 2.27 (m, 1H), 2.22 (dd, J = 12.7, 4.1 Hz, 2H), 1.93 (d, J = 12.0 Hz, 2H), 1.68 - 1.29 (m, 4H).	1.01 min 509 LCMS method 18

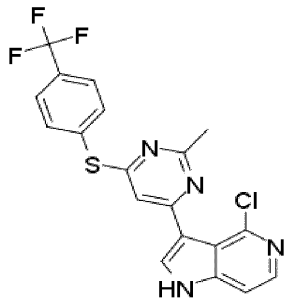
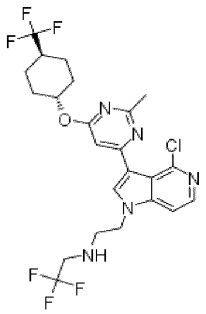
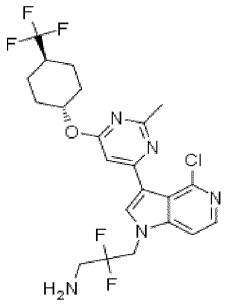
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
654	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-4-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (500 MHz, DMSO) d 12.27 – 12.23 (m, 1H), 8.79 (d, J = 2.6 Hz, 2H), 8.06 – 7.95 (m, 3H), 7.81 (d, J = 2.6 Hz, 1H), 7.49 (d, J = 5.6 Hz, 1H), 7.43 (d, J = 6.6 Hz, 1H).	1.41 min 409 LCMS method 40
655	 [(2S)-2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3,3,3-trifluoropropyl]dimethylamine Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.7 Hz, 1H), 8.06 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 6.88 (s, 1H), 5.13 – 5.04 (m, 1H), 4.67 (dd, J = 14.8, 9.7 Hz, 1H), 4.52 (dd, J = 14.8, 4.7 Hz, 1H), 4.07 (td, J = 8.9, 4.7 Hz, 1H), 2.56 (s, 3H), 2.42 – 2.36 (m, 7H), 2.24 – 2.18 (m, 2H), 2.05 – 1.92 (m, 2H), 1.58 – 1.45 (m, 4H).	1.72 min 550.1 LCMS method 40

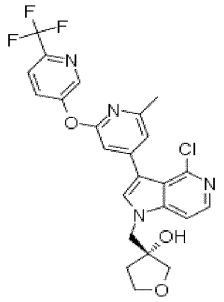
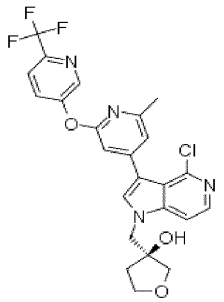
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
656	 [(2R)-2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3,3,3-trifluoropropyl]dimethylamine Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.13 (d, J = 5.7 Hz, 1H), 8.06 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 6.88 (s, 1H), 5.13 – 5.04 (m, 1H), 4.67 (dd, J = 14.8, 9.7 Hz, 1H), 4.52 (dd, J = 14.8, 4.7 Hz, 1H), 4.13 – 4.01 (m, 1H), 2.56 (s, 3H), 2.42 – 2.36 (m, 7H), 2.21 (dd, J = 8.8, 4.8 Hz, 2H), 2.05 – 1.93 (m, 2H), 1.58 – 1.42 (m, 4H).	1.72 min 550.1 LCMS method 40
657	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]sulfanyl}pyrimidine	1H NMR (500 MHz, DMSO) d 12.40 (s, 1H), 8.06 (d, J = 5.6 Hz, 1H), 7.99 (d, J = 2.6 Hz, 1H), 7.52 (d, J = 5.6 Hz, 1H), 7.43 (s, 1H), 4.41 (p, J = 3.6 Hz, 1H), 2.59 (s, 3H), 2.43 – 2.40 (m, 1H), 2.09 – 1.90 (m, 4H), 1.86 – 1.78 (m, 2H), 1.61 – 1.49 (m, 2H).	1.80 min 427.1 LCMS method 39

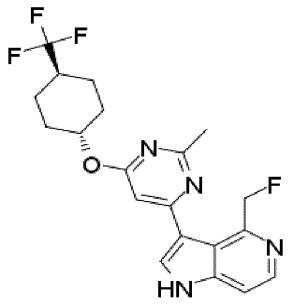
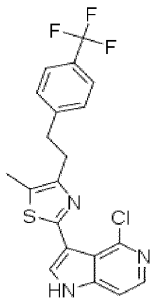
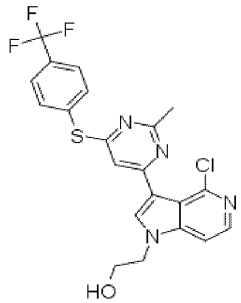
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
658	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-ethyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 12.33 (s, 0H, 4), 8.05 (d, J = 5.6 Hz, 1H, 9), 7.97 – 7.92 (m, 1H, 12), 7.50 (d, J = 5.7 Hz, 1H, 8), 6.89 (s, 1H, 3), 5.06 (dq, J = 10.5, 4.8 Hz, 1H, 18), 2.81 (q, J = 7.6 Hz, 1H, 28), 2.23 (d, J = 9.7 Hz, 1H, 19'', 21, 23''), 1.9	1.58 min 425.1 LCMS method 10
659	 4-{4-chloro-1-[(1-methyl-1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (500 MHz, DMSO) 8.75 (d, J = 2.6 Hz, 1H), 8.16 (d, J = 5.7 Hz, 1H), 8.05 (d, J = 8.6 Hz, 1H), 7.97 (d, J = 10.3 Hz, 2H), 7.86 (d, J = 5.7 Hz, 1H), 7.58 (d, J = 1.3 Hz, 1H), 7.30 (d, J = 1.3 Hz, 1H), 7.23 (d, J = 1.3 Hz, 1H), 7.14 (d, J = 1.3 Hz, 1H), 5.40 (s, 2H), 3.65 (s, 3H), 2.45 (s, 3H).	1.43 min 499 LCMS method 2
660	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]sulfanyl}pyrimidine	1H NMR (400 MHz, DMSO) d 8.13 (d, J = 5.7 Hz, 1H), 8.02 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 7.42 (d, J = 0.6 Hz, 1H), 4.43 – 4.37 (m, 1H), 3.91 (s, 3H), 2.59 (s, 3H), 2.46 – 2.30 (m, 1H), 2.02 – 1.91 (m, 4H), 1.86 – 1.77 (m, 2H), 1.63 – 1.48 (m, 2H).	1.91 min 441.1 LCMS method 39

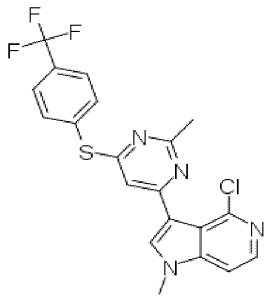
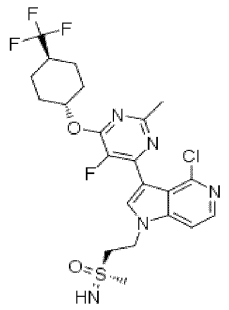
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
661	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-amine	1H NMR (400 MHz, DMSO) d 8.17 – 8.11 (m, 3H), 8.01 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 6.88 (s, 1H), 5.11 – 5.02 (m, 1H), 4.43 (t, J = 6.1 Hz, 2H), 3.19 (t, J = 6.1 Hz, 2H), 2.55 (s, 3H), 2.41 – 2.34 (m, 1H), 2.24 – 2.17 (m, 2H), 2.00 – 1.93 (m, 2H), 1.51 (p, J = 12.3 Hz, 4H).	1.37 min 454.1 LCMS method 39
662	 4-[4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.45 - 8.00 (m, 3H), 7.63 (d, J = 5.5 Hz, 1H), 7.08 (s, 1H), 5.09 (t, J = 4.8 Hz, 1H), 2.58 (s, 3H), 2.37 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.49 (m, 4H).	1.16 min 472.2 LCMS method 43
663	 2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]sulfanyl}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (400 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 8.01 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 7.41 (s, 1H), 4.94 (t, J = 5.3 Hz, 1H), 4.40 (t, J = 3.6 Hz, 1H), 4.35 (t, J = 5.2 Hz, 2H), 3.76 (q, J = 5.2 Hz, 2H), 2.59 (s, 3H), 2.46 – 2.27 (m, 1H), 2.06 – 1.89 (m, 4H), 1.86 – 1.77 (m, 2H), 1.63 – 1.48 (m, 2H).	1.75 min 471.1 LCMS method 39

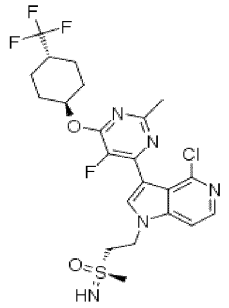
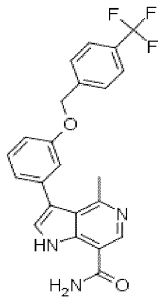
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
664	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-fluoro-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	-	1.44 min 414.1 LCMS method 40
665	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-cyclopropyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (700 MHz, DMSO) d 8.05 (d, J = 5.5 Hz, 1H, 9), 6.81 (s, 1H, 3), 5.06 – 5.00 (m, 1H, 18), 2.20 (dd, J = 9.1, 4.6 Hz, 2H, 21), 2.11 (tt, J = 8.1, 4.6 Hz, 1H, 19', 23', 28), 1.96 (dt, J = 12.7, 2.8 Hz, 2H, 20', 22'), 1.54 – 1.43 (m, 4H, 19'', 20'', 23	1.56 min 437.1 LCMS method 10
666	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (700 MHz, DMSO) 12.21 (s, 1H), 8.41 (d, J = 5.9 Hz, 1H), 8.03 (d, J = 5.6 Hz, 1H), 7.78 (d, J = 2.5 Hz, 1H), 7.50 (d, J = 5.6 Hz, 1H), 7.24 (s, 1H), 6.96 (s, 1H), 4.59 (ddd, J = 10.8, 7.4, 4.4 Hz, 1H), 2.39 – 2.33 (m, 1H), 2.23 – 2.16 (m, 2H), 1.96 – 1.89 (m, 2H), 1.54 – 1.44 (m, 4H).	1.77 min 396.1 LCMS method 47

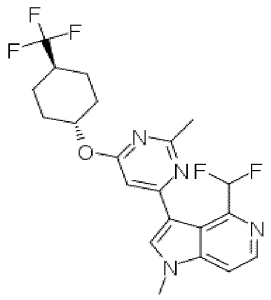
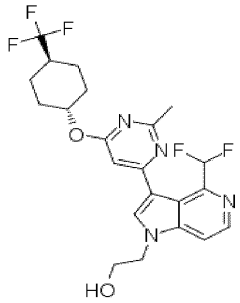
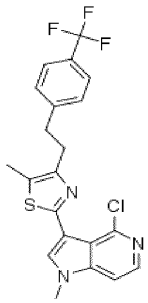
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
667	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[4-(trifluoromethyl)phenyl]sulfanyl}pyrimidine	1H NMR (500 MHz, DMSO) d 12.46 (s, 1H), 8.05 – 8.00 (m, 2H), 7.95 – 7.86 (m, 4H), 7.49 (d, J = 5.6 Hz, 1H), 7.10 (s, 1H), 2.58 (s, 3H). Spektrum	1.73 min 421 LCMS method 39
668	 {2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(2,2,2-trifluoroethyl)amine	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.72 (d, J = 5.8 Hz, 1H), 6.87 (s, 1H), 5.11 – 5.02 (m, 1H), 4.34 (t, J = 6.2 Hz, 2H), 3.30 – 3.22 (m, 2H), 3.02 (q, J = 6.3 Hz, 2H), 2.63 – 2.54 (m, 1H), 2.55 (s, 3H), 2.39 – 2.35 (m, 1H), 2.23 – 2.17 (m, 2H), 1.99 – 1.93 (m, 2H), 1.57 – 1.40 (m, 4H).	1.87 min 536.2 LCMS method 39
669	 3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2,2-difluoropropan-1-amine	1H NMR (500 MHz, DMSO) d 8.17 (d, J = 5.7 Hz, 1H), 7.93 (s, 1H), 7.72 (d, J = 5.8 Hz, 1H), 6.92 (s, 1H), 5.11 – 5.03 (m, 1H), 4.95 (t, J = 14.9 Hz, 2H), 3.15 (t, J = 14.9 Hz, 2H), 2.55 (s, 3H), 2.45 – 2.31 (m, 1H), 2.24 – 2.17 (m, 2H), 1.99 – 1.93 (m, 2H), 1.58 – 1.41 (m, 4H).	1.41 min 504.1 LCMS method 39

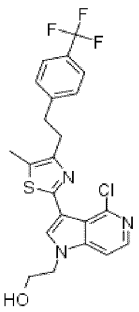
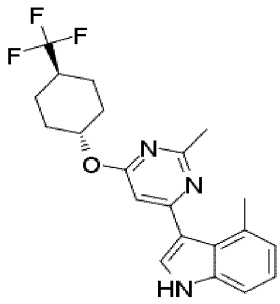
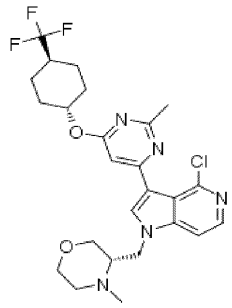
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
670	 (3R)-3-{[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.70 (d, J = 2.6 Hz, 1H), 8.10 (d, J = 5.8 Hz, 1H), 7.99 (d, J = 8.4 Hz, 1H), 7.92 (dd, J = 8.6, 2.6 Hz, 1H), 7.86 (s, 1H), 7.77 (d, J = 5.8 Hz, 1H), 7.27 (d, J = 1.3 Hz, 1H), 7.11 (t, J = 0.9 Hz, 1H), 5.26 (s, 1H), 4.44 (s, 2H), 3.90 – 3.78 (m, 2H), 3.72 (d, J = 9.0 Hz, 1H), 3.48 (d, J = 9.0 Hz, 1H), 2.40 (s, 3H), 2.03 (dt, J = 12.7, 8.6 Hz, 1H), 1.76 (ddd, J = 12.5, 6.4, 4.5 Hz, 1H).	1.63 min 505.1 LCMS method 2
671	 (3S)-3-{[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxolan-3-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.77 (d, J = 2.6 Hz, 1H), 8.17 (d, J = 5.7 Hz, 1H), 8.05 (d, J = 8.6 Hz, 1H), 7.99 (dd, J = 8.6, 2.6 Hz, 1H), 7.92 (s, 1H), 7.83 (d, J = 5.8 Hz, 1H), 7.33 (d, J = 1.3 Hz, 1H), 7.17 (t, J = 1.0 Hz, 1H), 5.33 (s, 1H), 4.50 (s, 2H), 3.96 – 3.86 (m, 2H), 3.78 (d, J = 9.0 Hz, 1H), 3.54 (d, J = 8.9 Hz, 1H), 2.46 (s, 3H), 2.09 (dt, J = 12.8, 8.6 Hz, 1H), 1.82 (ddd, J = 12.6, 6.4, 4.5 Hz, 1H).	1.63 min 505.1 LCMS method 2

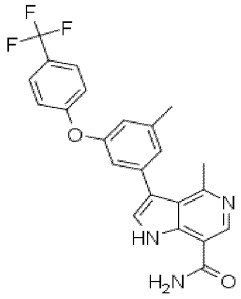
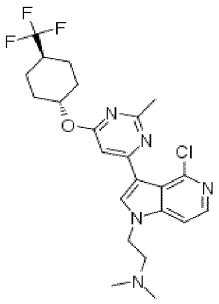
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
672	 4-[4-(fluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.19 (s, 1H), 8.27 (d, J = 5.6 Hz, 1H), 8.12 (s, 1H), 7.50 (dd, J = 5.6, 1.6 Hz, 1H), 6.99 (s, 1H), 6.11 (s, 1H), 5.95 (s, 1H), 5.13 ¨C 5.04 (m, 1H), 2.58 (s, 3H), 2.37 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.48 m, 4H).	1.11 min 409.25 LCMS method 44
673	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methyl-4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazole	1H NMR (300 MHz, DMSO-d6) 12.38 (s, 1H), 8.04 (d, J = 5.6 Hz, 1H), 7.86 (s, 1H), 7.64 (d, J = 8.0 Hz, 2H), 7.53-7.43 (m, 3H), 3.14 (t, J = 7.2 Hz, 2H), 3.00 (t, J = 7.4 Hz, 2H), 2.22 (s, 3H).	0.91 min 422 LCMS method 35
674	 2-[4-chloro-3-(2-methyl-6-{[4-(trifluoromethyl)phenyl]sulfanyl}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) d 8.08 – 8.04 (m, 2H), 7.96 – 7.86 (m, 4H), 7.67 (d, J = 5.8 Hz, 1H), 7.06 (d, J = 0.7 Hz, 1H), 4.92 (t, J = 5.3 Hz, 1H), 4.33 (t, J = 5.1 Hz, 2H), 3.72 (q, J = 5.4 Hz, 2H), 2.58 (s, 3H).	1.69 min 465.1 LCMS method 39

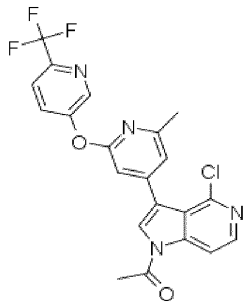
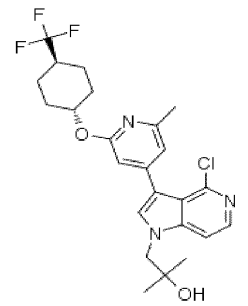
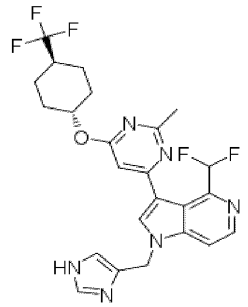
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
675	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[4-(trifluoromethyl)phenyl]sulfanyl}pyrimidine	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 8.07 (s, 1H), 7.95 – 7.84 (m, 4H), 7.63 (d, J = 5.7 Hz, 1H), 7.08 (d, J = 0.7 Hz, 1H), 3.89 (s, 3H), 2.58 (s, 3H).	1.83 min 435 LCMS method 39
676	 (S)-{2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(imino)methyl-λ⁶-sulfanone Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.14 (d, J = 5.7 Hz, 0H, 27), 8.00 (s, 0H, 33), 5.21 – 5.10 (m, 0H, 15), 4.79 – 4.66 (m, 1H, 7), 3.96 (s, 0H, 6), 3.65 (dd, J = 13.5, 6.7 Hz, 1H, 19), 2.86 (s, 1H, 4), 1.97 (dd, J = 11.3, 4.8 Hz, 1H, 13', 17'), 1.57 – 1.43 (m, 1H,	1.58 min 534.1 LCMS method 10

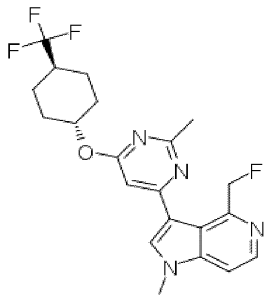
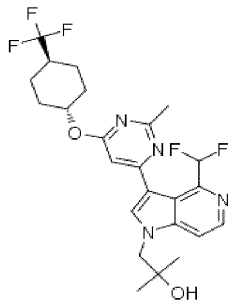
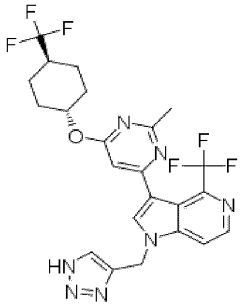
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
677	 (R)-{2-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}(imino)methyl-λ^6-sulfanone Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.14 (d, J = 5.7 Hz, 0H, 27), 8.00 (s, 0H, 33), 5.21 – 5.10 (m, 0H, 15), 4.79 – 4.66 (m, 1H, 7), 3.96 (s, 0H, 6), 3.65 (dd, J = 13.5, 6.7 Hz, 1H, 19), 2.86 (s, 1H, 4), 1.97 (dd, J = 11.3, 4.8 Hz, 1H, 13', 17'), 1.57 – 1.43 (m, 1H,	1.57 min 534.1 LCMS method 10
678	 4-methyl-3-(3-{[4-(trifluoromethyl)phenyl]methoxy}phenyl)-1H-pyrrolo[3,2-c]pyridine-7-carboxamide	1H NMR (500 MHz, DMSO) d 12.76 (s, 1H), 11.55 (s, 1H), 8.63 (s, 1H), 8.15 (s, 1H), 8.14 (s, 1H), 7.77 (d, J = 8.1 Hz, 2H), 7.69 (d, J = 8.1 Hz, 2H), 7.48 (s, 1H), 7.35 (t, J = 7.9 Hz, 1H), 7.31 (s, 1H), 7.10 – 7.06 (m, 1H), 7.06 – 7.00 (m, 2H), 5.30 (s, 2H), 2.35 (s, 3H).	1.44 min 426.1 LCMS method 39

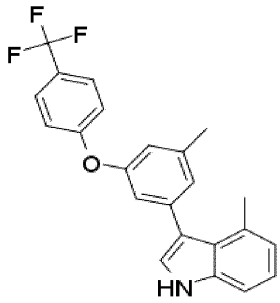
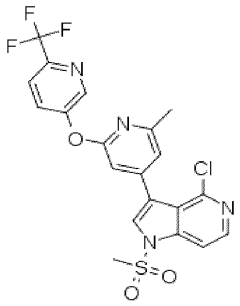
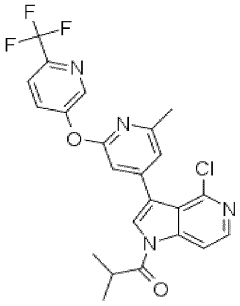
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
679	 4-[4-(difluoromethyl)-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.49 - 8.03 (m, 3H), 7.77 (d, J = 5.6 Hz, 1H), 7.01 (s, 1H), 5.09 (d, J = 4.5 Hz, 1H), 3.91 (s, 3H), 2.58 (s, 3H), 2.37 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.49 (m, 4H).	1.57 min 441.1 LCMS method 43
680	 2-[4-(difluoromethyl)-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.50 - 7.98 (m, 3H), 7.81 (d, J = 5.7 Hz, 1H), 7.02 (s, 1H), 5.18 - 4.91 (m, 2H), 4.35 (t, J = 5.2 Hz, 2H), 3.78 (q, J = 5.3 Hz, 2H), 2.58 (s, 3H), 2.38 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.49 (dd, J = 10.8, 6.8 Hz, 4H).	1.54 min 471.3 LCMS method 26
681	 2-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-5-methyl-4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazole	1H NMR (300 MHz, DMSO-d6) 8.10 (d, J = 5.7 Hz, 1H), 7.91 (s, 1H), 7.68-7.60 (m, 3H), 7.47 (d, J = 8.0 Hz, 2H), 3.88 (s, 3H), 3.14 (t, J = 7.3 Hz, 2H), 3.00 (t, J = 7.4 Hz, 2H), 2.22 (s, 3H).	0.96 min 436.05 LCMS method 35

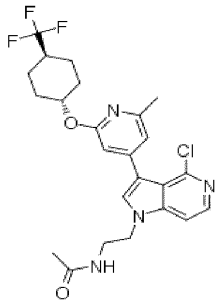
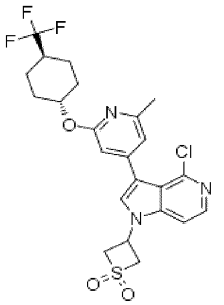
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
682	 2-[4-chloro-3-(5-methyl-4-{2-[4-(trifluoromethyl)phenyl]ethyl}-1,3-thiazol-2-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO-d6) 8.07 (d, J = 5.7 Hz, 1H), 7.89 (s, 1H), 7.71-7.59 (m, 3H), 7.47 (d, J = 8.0 Hz, 2H), 4.98 (s, 1H), 4.32 (t, J = 5.1 Hz, 2H), 3.74 (t, J = 5.2 Hz, 2H), 3.14 (t, J = 7.7 Hz, 2H), 3.01 (t, J = 7.3 Hz, 2H), 2.22 (s, 3H).	0.89 min 466.05 LCMS method 35
683	 4-methyl-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-indole	-	1.63 min 390.1 LCMS method 40
684	 (3S)-3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-	1H NMR (500 MHz, DMSO) 8.15 – 8.08 (m, 1H), 7.98 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.90 (d, J = 3.6 Hz, 1H), 5.08 (tt, J = 10.0, 4.1 Hz, 1H), 4.59 (dd, J = 14.6, 4.2 Hz, 1H), 4.30 (dd, J = 14.6, 7.7 Hz, 1H), 3.64 (dt, J = 11.3, 3.6 Hz, 1H), 3.50 – 3.37 (m, 2H), 3.08 (dd, J = 11.3, 8.4 Hz, 1H), 2.75 (dt, J = 12.2, 3.1 Hz, 1H), 2.56 (s, 3H), 2.42 (s, 2H), 2.30 – 2.15 (m, 3H), 2.05 – 1.93	1.39 min 546.1 LCMS method 3

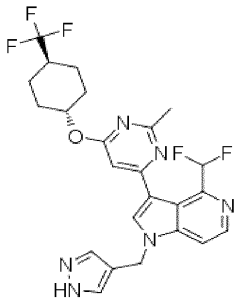
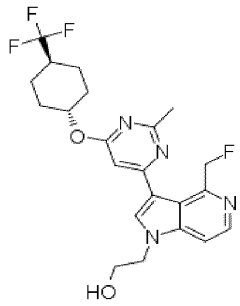
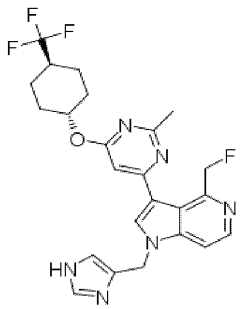
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-4-methylmorpholine Absolute configuration known	(m, 2H), 1.58 – 1.42 (m, 4H), 1.25 (s, 1H).	
685	 4-methyl-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-pyrrolo[3,2-c]pyridine-7-carboxamide	1H NMR (500 MHz, DMSO) d 12.76 (s, 1H), 11.58 (s, 1H), 8.63 (s, 1H), 8.15 (s, 1H), 8.13 (s, 1H), 7.77 – 7.72 (m, 2H), 7.48 (s, 1H), 7.35 (s, 1H), 7.23 – 7.14 (m, 3H), 6.96 (d, J = 1.6 Hz, 2H), 2.45 (s, 3H), 2.38 (s, 3H).	1.48 min 426.1 LCMS method 39
686	 {2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}dimethylamine	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 6.87 (s, 1H), 5.11 – 5.02 (m, 1H), 4.38 (t, J = 6.2 Hz, 2H), 2.65 (t, J = 6.2 Hz, 2H), 2.55 (s, 3H), 2.41 – 2.33 (m, 1H), 2.23 – 2.17 (m, 2H), 2.17 (s, 6H), 1.99 – 1.92 (m, 2H), 1.57 – 1.41 (m, 4H).	1.39 min 482.1 LCMS method 39

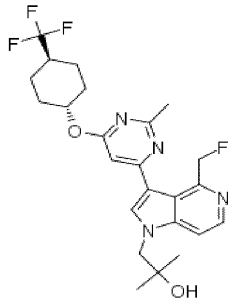
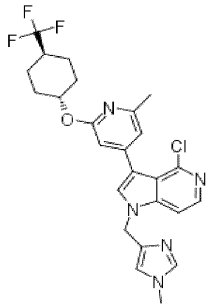
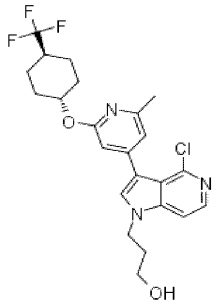
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
687	 1-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-one	1H NMR (500 MHz, DMSO) 8.77 (d, J = 2.6 Hz, 1H), 8.45 – 8.39 (m, 3H), 8.07 (d, J = 8.6 Hz, 1H), 7.99 (dd, J = 8.6, 2.6 Hz, 1H), 7.39 (dd, J = 1.2, 0.7 Hz, 1H), 7.29 – 7.25 (m, 1H), 2.81 (s, 3H), 2.48 (s, 3H).	1.84 min 447 LCMS method 12
688	 1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-2-ol	1H NMR (500 MHz, DMSO) d 8.05 (d, J = 5.7 Hz, 1H), 7.72 (d, J = 5.8 Hz, 1H), 7.67 (s, 1H), 6.94 (d, J = 1.3 Hz, 1H), 6.64 (d, J = 1.3 Hz, 1H), 4.98 (dq, J = 10.6, 5.5 Hz, 1H), 4.75 (s, 1H), 4.17 (s, 2H), 2.42 (s, 3H), 2.36 (s, 1H), 2.21 (dd, J = 8.3, 3.9 Hz, 2H), 1.95 (dt, J = 6.3, 2.9 Hz, 2H), 1.53 – 1.39 (m, 5H), 1.11 (s, 6H).	1.87 min 482.1 LCMS method 2
689	 4-[4-(difluoromethyl)-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 12.10 (s, 1H), 8.45 - 8.31 (m, 2H), 8.15 (s, 1H), 8.00 - 7.87 (m, 1H), 7.60 (d, J = 1.2 Hz, 1H), 7.20 (d, J = 1.2 Hz, 1H), 7.00 (s, 1H), 5.40 (s, 2H), 5.13 - 5.04 (m, 1H), 2.57 (s, 3H), 2.37 (d, J = 13.3 Hz, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.50 (p, J = 7.4 Hz, 4H).	0.68 min 507.2 LCMS method 13

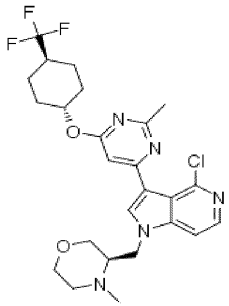
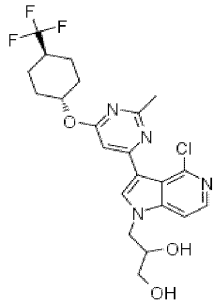
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
690	 4-[4-(fluoromethyl)-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO) 8.34 (d, J = 5.6 Hz, 1H), 8.14 (d, J = 1.6 Hz, 1H), 7.63 (dd, J = 5.8, 1.6 Hz, 1H), 6.94 (s, 1H), 6.09 (s, 1H), 5.97 (s, 1H), 5.07 (m, 1H), 3.89 (d, J = 1.5 Hz, 3H), 2.58 (s, 3H), 2.39 (d, J = 14.4 Hz, 1H), 2.20 (s, 2H), 1.96 (d, J = 9.0 Hz, 2H), 1.51 (m, 4H).	1.18 min 423.1 LCMS method 44
691	 1-[4-(difluoromethyl)-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-2-ol	1H NMR (400 MHz, DMSO) 8.40 (d, J = 5.7 Hz, 1H), 8.23 (s, 2H), 7.87 (d, J = 5.7 Hz, 1H), 7.00 (s, 1H), 5.09 (dt, J = 10.7, 5.9 Hz, 1H), 4.79 (s, 1H), 4.21 (s, 2H), 2.58 (s, 3H), 2.39 (d, J = 9.7 Hz, 1H), 2.25 - 2.18 (m, 2H), 2.00 - 1.92 (m, 2H), 1.59 - 1.41 (m, 4H), 1.12 (s, 6H).	1.16 min 499.2 LCMS method 45
692	 2-methyl-4-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}-6-{1-[(1H-1,2,3-triazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}pyrimidine	1H NMR (500 MHz, DMSO) d 14.96 (s, 0H), 8.38 (d, J = 5.6 Hz, 1H), 8.06 (s, 1H), 7.97 (d, J = 5.6 Hz, 1H), 7.87 (s, 1H), 6.71 (s, 1H), 5.61 (s, 2H), 5.06 – 4.96 (m, 1H), 2.43 (s, 3H), 2.30 (d, J = 17.5 Hz, 1H), 2.12 (td, J = 12.6, 4.7 Hz, 2H), 1.97 – 1.85 (m, 2H), 1.50 – 1.34 (m, 4H).	1.73 min 526.2 LCMS method 15

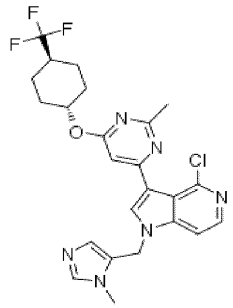
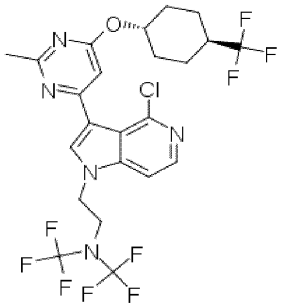
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
693	 4-methyl-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-indole	1H NMR (500 MHz, DMSO) d 11.29 – 11.24 (m, 1H), 7.74 (d, J = 8.5 Hz, 2H), 7.32 (d, J = 2.5 Hz, 1H), 7.26 (d, J = 8.1 Hz, 1H), 7.19 (d, J = 8.5 Hz, 2H), 7.12 (d, J = 1.2 Hz, 1H), 7.01 (dd, J = 8.2, 7.1 Hz, 1H), 6.93 – 6.87 (m, 2H), 6.79 – 6.74 (m, 1H), 2.38 (s, 3H), 2.25 (s, 3H).	2.13 min 382.1 LCMS method 40
694	 4-{4-chloro-1-methanesulfonyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridine	1H NMR (500 MHz, DMSO) 8.71 (d, J = 2.6 Hz, 1H), 8.38 (d, J = 5.8 Hz, 1H), 8.05 (s, 1H), 8.03 – 7.96 (m, 2H), 7.93 (dd, J = 8.6, 2.7 Hz, 1H), 7.34 (s, 1H), 7.22 (s, 1H), 3.72 (s, 3H), 2.42 (s, 3H).	1.84 min 483 LCMS method 12
695	 1-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-1-one	1H NMR (500 MHz, DMSO) 8.71 (d, J = 2.6 Hz, 1H), 8.49 (s, 1H), 8.42 – 8.34 (m, 2H), 8.01 (d, J = 8.6 Hz, 1H), 7.94 (dd, J = 8.6, 2.6 Hz, 1H), 7.35 (d, J = 1.4 Hz, 1H), 7.23 (d, J = 1.4 Hz, 1H), 3.68 (hept, J = 6.8 Hz, 1H), 2.43 (s, 3H), 1.27 (d, J = 6.7 Hz, 6H).	2.01 min 475.1 LCMS method 12

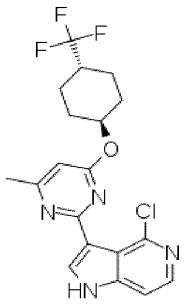
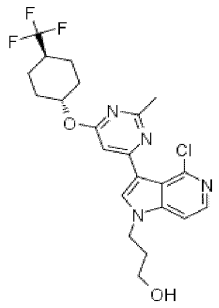
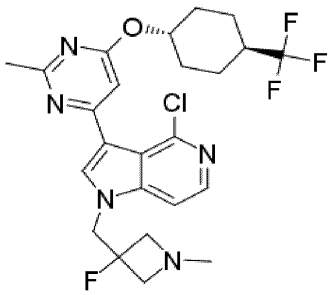
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
696	 N-{2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}acetamide	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.96 (t, J = 5.8 Hz, 1H), 7.76 (s, 1H), 7.60 (d, J = 5.7 Hz, 1H), 6.96 – 6.92 (m, 1H), 6.66 – 6.62 (m, 1H), 4.98 (tq, J = 10.0, 4.7 Hz, 1H), 4.31 (t, J = 5.9 Hz, 2H), 3.44 (q, J = 5.9 Hz, 2H), 2.42 (s, 3H), 2.39 – 2.32 (m, 1H), 2.35 (s, 1H), 2.29 (s, 4H), 2.21 (dd, J = 8.9, 4.3 Hz, 2H), 1.95 (h, J = 3.6 Hz, 2H), 1.72 (s, 3H), 1.46 (td, J = 8.7, 3.5 Hz, 4H).	1.68 min 495.1 LCMS method 6
697	 3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-1λ⁶-thietane-1,1-dione	1H NMR (500 MHz, DMSO) d 8.19 – 8.12 (m, 2H), 7.72 (d, J = 5.8 Hz, 1H), 6.99 (d, J = 1.4 Hz, 1H), 6.70 (d, J = 1.4 Hz, 1H), 5.65 (tt, J = 9.1, 5.5 Hz, 1H), 5.00 (dd, J = 9.8, 5.0 Hz, 1H), 4.96 – 4.89 (m, 2H), 4.89 – 4.80 (m, 2H), 2.43 (s, 3H), 2.40 – 2.33 (m, 1H), 2.21 (d, J = 6.4 Hz, 2H), 2.01 – 1.92 (m, 2H), 1.52 – 1.41 (m, 4H).	1.86 min 514 LCMS method 15

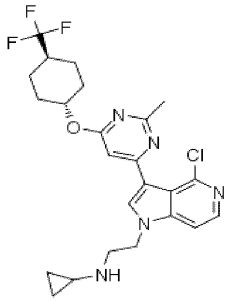
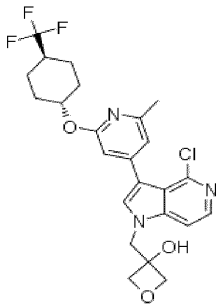
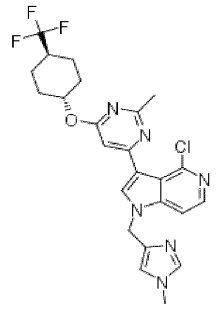
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
698	 4-[4-(difluoromethyl)-1-[(1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 12.81 (s, 1H), 8.43 (d, J = 5.4 Hz, 2H), 7.97 (s, 1H), 7.94 (d, J = 5.6 Hz, 1H), 7.87 (s, 1H), 7.59 (s, 1H), 7.04 (s, 1H), 5.40 (s, 2H), 5.09 (s, 1H), 2.57 (s, 3H), 2.39(s,1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.50 (s, 4H).	1.10 min 507.2 LCMS method 44
699	 2-[4-(fluoromethyl)-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO) 8.30 (d, J = 5.7 Hz, 1H), 8.13 (s, 1H), 7.67 (dd, J = 5.8, 1.6 Hz, 1H), 6.94 (s, 1H), 6.09 (s, 1H), 5.94 (s, 1H), 5.07 (q, J = 5.5 Hz, 1H), 4.96 (t, J = 5.3 Hz, 1H), 4.32 (t, J = 5.3 Hz, 2H), 3.77 (q, J = 5.3 Hz, 2H), 2.58 (s, 3H), 2.38 (t, J = 6.2 Hz, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.65 - 1.36 (m, 4H).	1.39 min 453.1 LCMS method 14
700	 4-[4-(fluoromethyl)-1-[(1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 12.01 (s, 1H), 8.29 (d, J = 5.7 Hz, 1H), 8.16 (s, 1H), 7.77 (dd, J = 5.8, 1.5 Hz, 1H), 7.57 (d, J = 1.2 Hz, 1H), 7.19 (s, 1H), 6.92 (s, 1H), 5.98 (d, J = 47.2 Hz, 2H), 5.35 (s, 2H), 5.08 (t, J = 4.9 Hz, 1H), 2.56 (s, 3H), 2.37 (t, J = 8.2 Hz, 1H), 2.20 (s, 2H), 1.96 (s, 2H), 1.48 (q, J = 6.6 Hz, 4H).	1.14 min 489.1 LCMS method 14

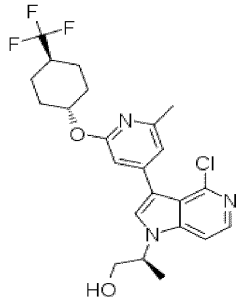
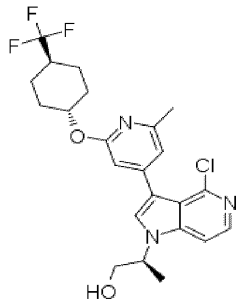
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
701	 1-[4-(fluoromethyl)-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-2-methylpropan-2-ol	1H NMR (300 MHz, DMSO) 8.29 (d, J = 5.8 Hz, 1H), 8.03 (s, 1H), 7.73 (dd, J = 5.8, 1.5 Hz, 1H), 6.92 (s, 1H), 6.08 (s, 1H), 5.92 (s, 1H), 5.08 (s, 1H), 4.77 (s, 1H), 4.18 (s, 2H), 2.58 (s, 3H), 2.20 (s, 2H), 1.97 (s, 2H), 1.47 (d, J = 15.5 Hz, 4H), 1.12 (s, 6H).	1.26 min 481.1 LCMS method 14
702	 4-{4-chloro-1-[(1-methyl-1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridine	1H NMR (500 MHz, MeOD) d 8.05 (d, J = 5.9 Hz, 1H), 7.65 (d, J = 5.8 Hz, 1H), 7.60 (d, J = 4.3 Hz, 2H), 7.18 (d, J = 1.3 Hz, 1H), 6.95 (d, J = 1.3 Hz, 1H), 6.69 (d, J = 1.3 Hz, 1H), 5.36 (s, 2H), 4.98 – 4.89 (m, 1H), 3.70 (s, 3H), 2.47 (s, 3H), 2.32 (dd, J = 8.2, 4.5 Hz, 2H), 2.06 (dt, J = 11.1, 2.8 Hz, 2H), 1.61 – 1.45 (m, 5H).	1.54 min 504.2 LCMS method 15
703	 3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (500 MHz, DMSO) d 7.15 (d, J = 5.9 Hz, 1H), 6.69 (d, J = 6.2 Hz, 2H), 6.07 – 6.01 (m, 1H), 5.78 (s, 1H), 4.09 – 3.99 (m, 1H), 3.50 (t, J = 6.9 Hz, 2H), 2.65 (t, J = 5.9 Hz, 2H), 1.56 (s, 3H), 1.40 (dd, J = 7.8, 4.6 Hz, 2H), 1.31 (tt, J = 12.1, 3.4 Hz, 1H), 1.21 – 1.08 (m, 4H), 0.69 – 0.54 (m, 4H).	1.79 min 468.2 LCMS method 6

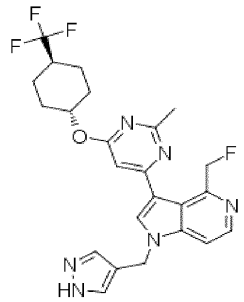
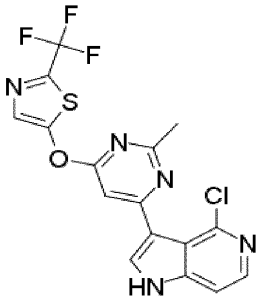
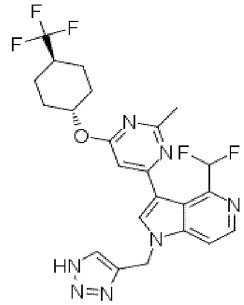
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
704	 (3R)-3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}-4-methylmorpholine Absolute configuration known	1H NMR (500 MHz, DMSO) 8.18 (d, J = 5.7 Hz, 1H), 8.03 (s, 1H), 7.75 (d, J = 5.8 Hz, 1H), 6.96 (s, 1H), 5.13 (tt, J = 10.3, 4.3 Hz, 1H), 4.64 (dd, J = 14.6, 4.2 Hz, 1H), 4.36 (dd, J = 14.6, 7.8 Hz, 1H), 3.70 (dt, J = 11.2, 3.5 Hz, 1H), 3.55 – 3.43 (m, 2H), 3.14 (dd, J = 11.3, 8.4 Hz, 1H), 2.81 (dt, J = 12.1, 3.1 Hz, 1H), 2.61 (s, 4H), 2.47 (s, 4H), 2.36 – 2.21 (m, 3H), 2.10 – 1.98 (m, 2H), 1.56 (qd, J = 14.8, 8.0 Hz, 4H).	1.50 min 524.2 LCMS method 15
705	 3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Racemic mixture	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.92 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 6.88 (s, 1H), 5.09 – 5.06 (m, 2H), 4.85 (s, 1H), 4.40 (dd, 1H), 4.23 – 4.15 (m, 1H), 3.81 (s, 1H), 3.43 – 3.36 (m, 1H), 3.32 – 3.27 (m, 1H), 2.55 (s, 3H), 2.39 – 2.35 (m, 1H), 2.23 – 2.17 (m, 2H), 1.98 – 1.93 (m,	1.54 min 485.1 LCMS method 39

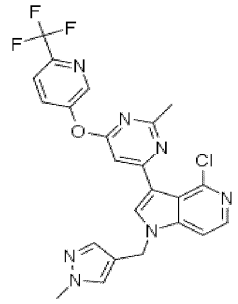
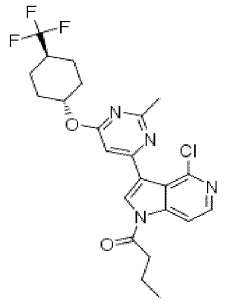
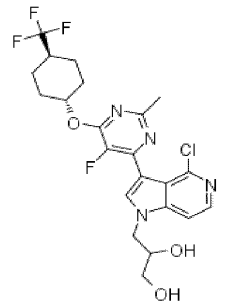
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
		2H), 1.57 – 1.42 (m, 4H). Spektrum	
706	 4-{4-chloro-1-[(1-methyl-1H-imidazol-5-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.7 Hz, 1H), 7.93 (s, 1H), 7.79 (d, J = 5.7 Hz, 1H), 7.58 (d, J = 1.0 Hz, 1H), 7.05 (d, J = 1.1 Hz, 1H), 6.88 (s, 1H), 5.57 (s, 2H), 5.10 – 5.00 (m, 1H), 3.49 (s, 3H), 2.52 (s, 3H), 2.37 – 2.34 (m, 1H), 2.21 – 2.15 (m, 2H), 1.97 – 1.90 (m, 2H), 1.56 – 1.47 (m, 2H), 1.47 – 1.39 (m, 2H).	1.47 min 505.1 LCMS method 7
707	 {2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}bis(trifluoromethyl)amine	1H NMR (500 MHz, DMSO) d 8.16 (d, J = 5.7 Hz, 1H), 8.10 (s, 1H), 7.67 (d, J = 5.8 Hz, 1H), 6.88 (s, 1H), 5.14 – 5.05 (m, 1H), 4.54 (t, J = 5.8 Hz, 2H), 3.90 (t, J = 5.9 Hz, 2H), 2.58 (s, 3H), 2.42 – 2.36 (m, 1H), 2.24 – 2.18 (m, 2H), 2.00 – 1.93 (m, 2H), 1.64 – 1.50 (m, 2H), 1.50 – 1.43 (m, 2H).	2.04 min 590.1 LCMS method 7

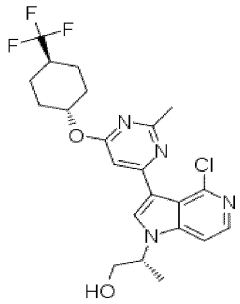
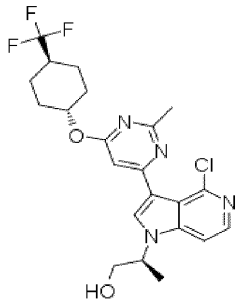
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
708	 2-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-4-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (700 MHz, DMSO) 12.27 (s, 1H), 8.05 (d, J = 5.4 Hz, 1H), 8.01 (d, J = 1.7 Hz, 1H), 7.50 (d, J = 5.4 Hz, 1H), 6.61 (s, 1H), 5.24 (tt, J = 11.0, 4.3 Hz, 1H), 2.41 (s, 3H), 2.37 (s, 1H), 2.21 – 2.15 (m, 2H), 1.95 (dp, J = 13.0, 3.5 Hz, 2H), 1.55 – 1.47 (m, 2H), 1.41 (qd, J = 13.2, 3.3 Hz, 2H).	1.59 min 411.1 LCMS method 12
709	 3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol	1H NMR (700 MHz, DMSO) d 8.11 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.68 (d, J = 5.7 Hz, 1H), 6.90 (s, 1H), 5.11 – 5.04 (m, 1H), 4.67 (t, J = 4.9 Hz, 1H), 4.36 (t, J = 6.9 Hz, 2H), 3.40 – 3.35 (m, 2H), 2.55 (s, 3H), 2.41 – 2.35 (m, 1H), 2.23 – 2.19 (m, 2H), 1.98 – 1.95 (m, 2H), 1.93 (p, J = 6.6 Hz, 2H), 1.56 – 1.49 (m, 2H), 1.49 – 1.44 (m, 2H).	1.63 min 469.2 LCMS method 7
710	 4-{4-chloro-1-[(3-fluoro-1-methylazetidin-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (700 MHz, DMSO) d 8.13 (d, J = 5.8 Hz, 1H), 7.98 (s, 1H), 7.70 (dd, J = 5.8, 1.3 Hz, 1H), 6.91 (s, 1H), 5.11 – 5.04 (m, 1H), 4.80 (d, J = 23.4 Hz, 2H), 3.53 – 3.47 (m, 2H), 3.12 – 3.05 (m, 2H), 2.55 (s, 3H), 2.40 – 2.35 (m, 1H), 2.32 (s, 3H), 2.23 – 2.19 (m, 2H), 1.99 – 1.93 (m, 2H), 1.56 – 1.50 (m, 2H), 1.47 (td, J = 12.5, 2.5 Hz, 2H).	1.47 min 512.2 LCMS method 7

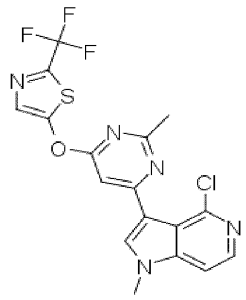
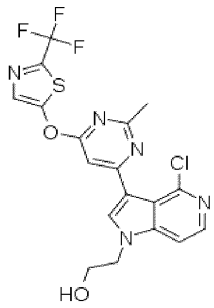
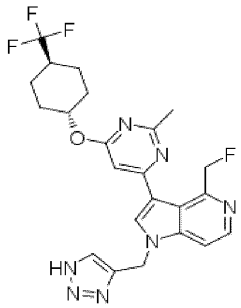
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
711	 N-{2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethyl}cyclopropanamine	1H NMR (700 MHz, DMSO) d 8.15 (s, 1H), 8.09 (d, J = 5.7 Hz, 1H), 7.99 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.88 (s, 1H), 5.10 – 5.03 (m, 1H), 4.32 (t, J = 6.3 Hz, 2H), 2.98 (t, J = 6.2 Hz, 2H), 2.55 (s, 3H), 2.43 – 2.31 (m, 1H), 2.23 – 2.18 (m, 2H), 2.10 – 2.05 (m, 1H), 1.98 – 1.93 (m, 2H), 1.55 – 1.43 (m, 4H), 0.36 – 0.30 (m, 2H), 0.15 – 0.10 (m, 2H).	1.42 min 494.1 LCMS method 39
712	 3-{[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]methyl}oxetan-3-ol	1H NMR (500 MHz, DMSO) d 8.08 (d, J = 5.7 Hz, 1H), 7.83 (s, 1H), 7.73 (d, J = 5.8 Hz, 1H), 6.95 (d, J = 1.3 Hz, 1H), 6.64 (d, J = 1.4 Hz, 1H), 6.20 (s, 1H), 4.99 (dd, J = 9.2, 4.6 Hz, 1H), 4.61 – 4.53 (m, 4H), 4.43 (d, J = 6.7 Hz, 2H), 2.42 (s, 3H), 2.21 (d, J = 6.8 Hz, 2H), 1.95 (qd, J = 6.4, 4.1 Hz, 2H), 1.63 – 1.32 (m, 5H), 0.89 (t, J = 7.1 Hz, 1H).	1.70 min 496.1 LCMS method 6
713	 4-{4-chloro-1-[(1-methyl-1H-imidazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.10 (d, J = 5.7 Hz, 1H), 8.00 (s, 1H), 7.80 (d, J = 5.7 Hz, 1H), 7.52 (d, J = 1.3 Hz, 1H), 7.18 (d, J = 1.3 Hz, 1H), 6.87 (s, 1H), 5.35 (s, 2H), 5.07 (s, 1H), 3.59 (s, 3H), 2.54 (s, 3H), 2.21 (d, J = 10.2 Hz, 2H), 1.96 (d, J = 11.0 Hz, 2H), 1.51 (p, J = 13.0 Hz, 4H).	1.62 min 511.1 LCMS method 2

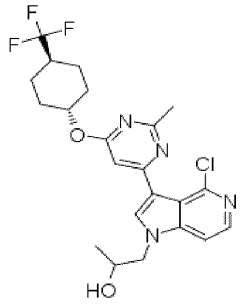
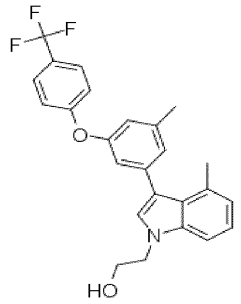
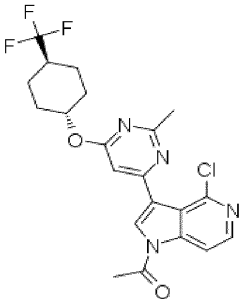
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
714	 (2S)-2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.8 Hz, 1H), 7.95 (s, 1H), 7.74 (d, J = 5.8 Hz, 1H), 7.02 (d, J = 1.3 Hz, 1H), 6.71 (t, J = 1.0 Hz, 1H), 5.04 (q, J = 5.4 Hz, 2H), 4.79 (h, J = 6.6 Hz, 1H), 3.76 (t, J = 5.7 Hz, 2H), 2.48 (s, 3H), 2.41 (s, 1H), 2.26 (p, J = 4.4 Hz, 2H), 2.01 (dt, J = 6.3, 3.1 Hz, 2H), 1.59 – 1.45 (m, 7H).	1.77 min 468.1 LCMS method 16
715	 (2S)-2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.11 (d, J = 5.8 Hz, 1H), 7.96 (s, 1H), 7.74 (d, J = 5.8 Hz, 1H), 7.04 – 7.00 (m, 1H), 6.74 – 6.70 (m, 1H), 5.04 (t, J = 5.4 Hz, 2H), 4.79 (h, J = 6.6 Hz, 1H), 3.77 (t, J = 5.7 Hz, 2H), 2.48 (s, 3H), 2.27 (q, J = 5.2 Hz, 2H), 2.01 (qd, J = 6.7, 4.2 Hz, 2H), 1.59 – 1.44 (m, 7H).	1.77 min 468.1 LCMS method 16

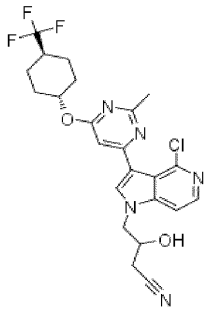
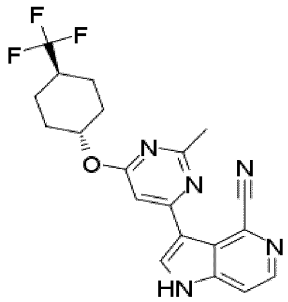
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
716	 4-[4-(fluoromethyl)-1-[(1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclo-hexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 12.80 (s, 1H), 8.31 (d, J = 5.7 Hz, 1H), 8.23 (s, 1H), 7.88 - 7.75 (m, 2H), 7.58 (s, 1H), 6.96 (s, 1H), 6.07 (s, 1H), 5.91 (s, 1H), 5.37 (s, 2H), 5.07 (q, J = 5.5 Hz, 1H), 2.57 (s, 3H), 2.36 (d, J = 6.3 Hz, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.49 (q, J = 7.7 Hz, 4H).	1.06 min 489.2 LCMS method 44
717	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 12.44 (s, 1H), 8.20-8.06 (m, 3H), 7.55 (dd, J = 5.6, 1.7 Hz, 1H), 7.47 (s, 1H), 2.71 (d, J = 1.7 Hz, 3H), 2.08 (d, J = 1.7 Hz, 1H).	0.94 min 412 LCMS method 27
718	 4-[4-(difluoromethyl)-1-[(1H-1,2,3-triazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 8.84 (s, 1H), 8.55 (d, J = 5.6 Hz, 1H), 8.37 - 7.91 (m, 2H), 7.82 (d, J = 13.9 Hz, 1H), 7.18 (s, 1H), 6.41 (dt, J = 14.2, 7.2 Hz, 1H), 5.09 (s, 1H), 4.13 (d, J = 7.2 Hz, 2H), 2.61 (s, 3H), 2.39 (s, 1H), 2.22 (s, 2H), 1.97 (s, 2H), 1.49 (t, J = 9.6 Hz, 4H).	1.63 min 508.3 LCMS method 28

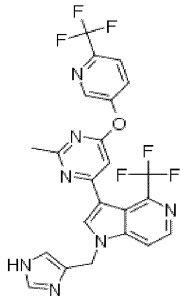
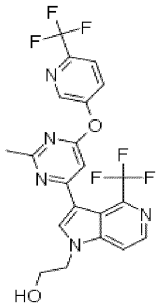
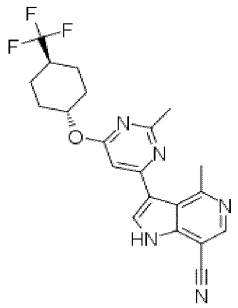
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
719	 4-{4-chloro-1-[(1-methyl-1H-pyrazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 8.73 (d, J = 2.4 Hz, 1H), 8.12 (s, 1H), 8.08 (d, J = 5.7 Hz, 1H), 8.05 – 7.96 (m, 2H), 7.78 (d, J = 5.7 Hz, 1H), 7.71 (s, 1H), 7.46 (d, J = 0.8 Hz, 1H), 7.23 (s, 1H), 5.33 (s, 2H), 3.70 (s, 3H), 2.43 (s, 3H).	1.62 min 500.1 LCMS method 6
720	 1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]butan-1-one	1H NMR (500 MHz, DMSO) 8.41 (s, 1H), 8.38 – 8.31 (m, 2H), 6.97 (s, 1H), 5.11 (dt, J = 10.5, 5.6 Hz, 1H), 3.13 (t, J = 7.2 Hz, 2H), 2.58 (s, 3H), 2.39 (d, J = 11.2 Hz, 1H), 2.21 (dd, J = 8.6, 4.7 Hz, 2H), 2.02 – 1.93 (m, 2H), 1.73 (h, J = 7.3 Hz, 2H), 1.58 – 1.42 (m, 4H), 0.99 (t, J = 7.4 Hz, 3H).	0.97 min 481.2 LCMS method 49
721	 3-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Racemic mixture	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.83 (s, 1H), 7.66 (d, J = 5.8 Hz, 1H), 5.16 (tt, J = 10.9, 4.4 Hz, 1H), 5.10 (d, J = 5.3 Hz, 1H), 4.87 – 4.83 (m, 1H), 4.41 (dd, J = 14.4, 3.4 Hz, 1H), 4.20 (dd, J = 14.4, 7.4 Hz, 1H), 3.82 (dqd, J = 10.9, 5.3, 3.5 Hz, 1H), 3.40 (dt, J = 10.5, 5.1 Hz, 1H), 3.31 – 3.25 (m, 1H), 2.54 (d, J = 1.0 Hz, 3H), 2.48 – 2.33 (m, 1H), 2.24 (dd, J = 12.3, 4.1 Hz, 2H), 2.01 –	0.77 min 503.2 LCMS method 50

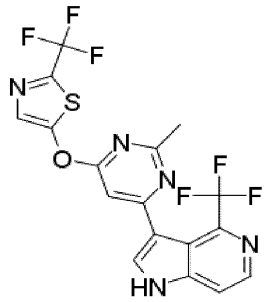
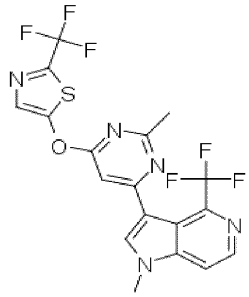
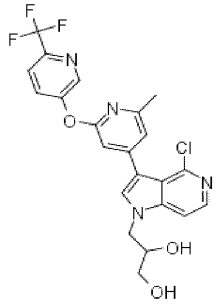
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
		1.93 (m, 2H), 1.63 – 1.43 (m, 4H).	
722	 (2R)-2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.08 (d, J = 5.8 Hz, 1H), 8.06 (s, 1H), 7.71 (d, J = 5.8 Hz, 1H), 6.88 (s, 1H), 5.12 – 5.04 (m, 1H), 5.01 (t, J = 5.4 Hz, 1H), 4.81 – 4.71 (m, 1H), 3.77 – 3.68 (m, 2H), 2.56 (s, 3H), 2.39 (s, 1H), 2.21 (d, J = 10.1 Hz, 2H), 1.96 (d, J = 10.8 Hz, 2H), 1.58 – 1.51 (m, 2H), 1.51 – 1.43 (m, 2H), 1.47 (d, J = 6.9 Hz, 3H).	1.66 min 469.1 LCMS method 7
723	 (2S)-2-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-1-ol Absolute configuration assigned arbitrarily	1H NMR (500 MHz, DMSO) d 8.14 (d, J = 5.8 Hz, 1H), 8.12 (s, 1H), 7.76 (d, J = 5.8 Hz, 1H), 6.94 (s, 1H), 5.17 – 5.09 (m, 1H), 5.07 (t, J = 5.4 Hz, 1H), 4.87 – 4.77 (m, 1H), 3.82 – 3.74 (m, 2H), 2.61 (s, 3H), 2.51 – 2.38 (m, 1H), 2.31 – 2.23 (m, 2H), 2.05 – 1.99 (m, 2H), 1.63 – 1.55 (m, 2H), 1.55 – 1.48 (m, 2H), 1.53 (d, J = 6.9 Hz, 3H).	1.66 min 469.1 LCMS method 7

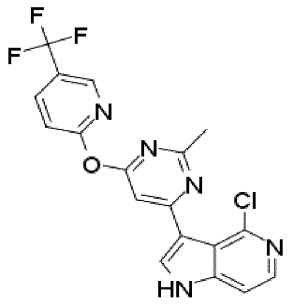
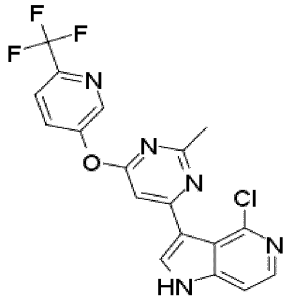
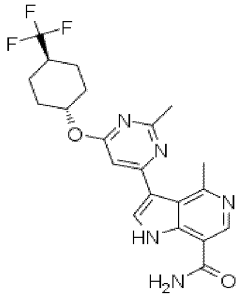
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
724	 4-{4-chloro-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 8.22 -8.12 (m, 3H), 7.70 (dd, J = 5.8, 2.0 Hz, 1H), 7.46 (d, J = 3.2 Hz, 1H), 3.94 (d, J = 1.4 Hz, 3H), 2.71 (d, J = 1.4 Hz, 3H).	1.22 min 426 LCMS method 23
725	 2-[4-chloro-3-(2-methyl-6-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (300 MHz, DMSO) 8.20 - 8.12 (m, 3H), 7.74 (d, J = 5.8 Hz, 1H), 7.46 (s, 1H), 5.01 (t, J = 5.2 Hz, 1H), 4.39 (t, J = 5.1 Hz, 2H), 3.77 (q, J = 5.2 Hz, 2H), 2.72 (s, 3H).	1.07 min 456 LCMS method 23
726	 4-[4-(fluoromethyl)-1-[(1H-1,2,3-triazol-4-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (400 MHz, DMSO) 8.33 (d, J = 5.7 Hz, 1H), 8.23 (s, 1H), 7.83 (s, 1H), 7.77 - 7.72 (m, 1H), 6.95 (s, 1H), 6.04 (s, 1H), 5.92 (s, 1H), 5.62 (s, 2H), 5.08 (dt, J = 10.7, 5.6 Hz, 1H), 2.57 (s, 3H), 2.39 (d, J = 12.1 Hz, 1H), 2.21 (d, J = 8.5 Hz, 2H), 1.96 (d, J = 8.7 Hz, 2H), 1.49 (q, J = 9.3 Hz, 4H).	0.80 min 490.15 LCMS method 42

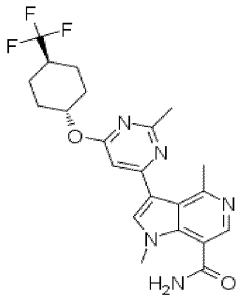
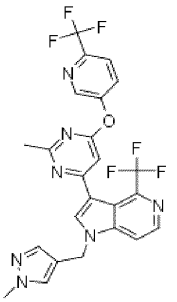
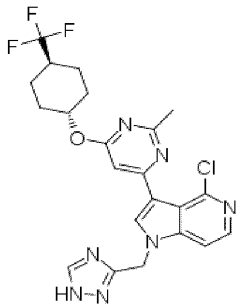
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
727	 1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-2-ol Racemic mixture	1H NMR (400 MHz, DMSO) d 8.08 (d, J = 5.7 Hz, 1H), 7.94 (s, 1H), 7.69 (d, J = 5.7 Hz, 1H), 6.87 (s, 1H), 5.09 – 5.05 (m, 1H), 4.94 (d, J = 4.9 Hz, 1H), 4.27 (dd, J = 14.1, 3.8 Hz, 1H), 4.13 (dd, J = 14.1, 7.4 Hz, 1H), 4.05 – 3.97 (m, 1H), 2.55 (s, 3H), 2.41 – 2.34 (m, 1H), 2.24 – 2.17 (m, 2H), 1.99 – 1.92 (m, 2H), 1.49 (q, J = 11.6 Hz, 4H), 1.10 (d, J = 6.2 Hz, 3H). Spektrum	1.66 min 469.1 LCMS method 39
728	 2-(4-methyl-3-{3-methyl-5-[4-(trifluoromethyl)phenoxy]phenyl}-1H-indol-1-yl)ethan-1-ol	H NMR (400 MHz, DMSO) d 7.74 (d, J = 8.6 Hz, 2H), 7.37 – 7.31 (m, 2H), 7.22 – 7.15 (m, 2H), 7.10 (q, J = 1.3 Hz, 1H), 7.05 (dd, J = 8.4, 7.0 Hz, 1H), 6.89 (dt, J = 13.3, 2.2 Hz, 2H), 6.79 (dt, J = 7.0, 0.9 Hz, 1H), 4.86 (t, J = 5.3 Hz, 1H), 4.21 (t, J = 5.6 Hz, 2H), 3.73 (q, J = 5.6 Hz, 2H), 2.37 (s, 3H), 2.25 (s, 3H), 1.40 (s, 0H). Spektrum	2.15 min 426.10 LCMS method 41
729	 1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-one	1H NMR (400 MHz, DMSO) 8.34 (d, J = 8.7 Hz, 3H), 6.96 (d, J = 0.5 Hz, 1H), 5.10 (dd, J = 10.1, 5.7 Hz, 1H), 2.74 (s, 3H), 2.58 (s, 3H), 2.38 (s, 1H), 2.22 (d, J = 9.5 Hz, 2H), 1.97 (d, J = 10.3 Hz, 2H), 1.60 – 1.40 (m, 4H).	0.89 min 453.20 LCMS method 48

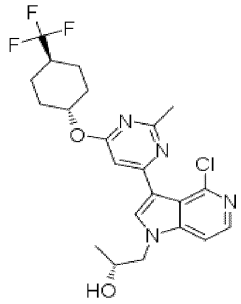
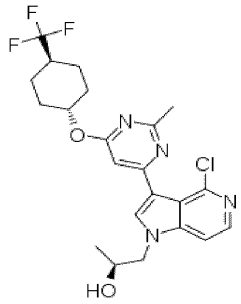
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
730	 4-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]-3-hydroxybutanenitrile Racemic mixture	1H NMR (400 MHz, DMSO) d 8.16 (s, 1H), 8.13 (d, J = 5.8 Hz, 1H), 7.80 (d, J = 5.8 Hz, 1H), 6.88 (d, J = 0.6 Hz, 1H), 5.29 (t, J = 5.3 Hz, 1H), 5.15 – 5.03 (m, 2H), 3.81 (hept, J = 6.1 Hz, 2H), 3.39 – 3.31 (m, 1H), 3.29 – 3.20 (m, 1H), 2.56 (s, 3H), 2.39 – 2.35 (m, 1H), 2.24 – 2.17 (m, 2H), 1.99 – 1.93 (m, 2H), 1.59 – 1.40 (m, 4H). Spektrum	1.65 min 494.1 LCMS method 39
731	 3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-4-carbonitrile	1H NMR (500 MHz, DMSO) 12.67 (s, 1H), 8.51 – 8.47 (m, 2H), 7.87 (d, J = 5.5 Hz, 1H), 7.15 (s, 1H), 5.15 (tt, J = 10.2, 4.5 Hz, 1H), 2.66 (s, 3H), 2.45 (s, 1H), 2.27 (dd, J = 8.3, 4.7 Hz, 2H), 2.02 (dt, J = 9.6, 3.2 Hz, 2H), 1.63 – 1.49 (m, 4H).	1.71 min 402.10 LCMS method 12

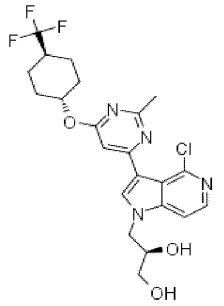
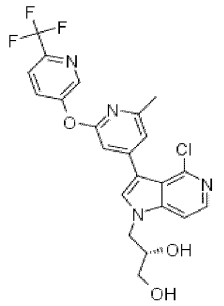
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
732	 4-{1-[(1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 12.07 (s, 1H), 8.80 (d, J = 2.4 Hz, 1H), 8.46 (d, J = 5.6 Hz, 1H), 8.21 (s, 1H), 8.13 – 8.03 (m, 3H), 7.61 (d, J = 1.2 Hz, 1H), 7.25 (s, 1H), 7.21 (s, 1H), 5.47 (s, 2H), 2.46 (s, 3H).	1.41 min 520.10 LCMS method 12
733	 2-[3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]ethan-1-ol	1H NMR (500 MHz, DMSO) 8.87 (d, J = 2.5 Hz, 1H), 8.52 (d, J = 5.6 Hz, 1H), 8.24 (s, 1H), 8.14 (qd, J = 8.6, 1.7 Hz, 2H), 8.05 (d, J = 5.7 Hz, 1H), 7.27 (s, 1H), 5.05 (s, 1H), 4.48 (t, J = 5.2 Hz, 2H), 3.85 (t, J = 5.1 Hz, 2H), 2.53 (s, 3H).	1.60 min 484.10 LCMS method 12
734	 4-methyl-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-7-carbonitrile	1H NMR (300 MHz, DMSO)12.92 (s, 1H), 8.61 (s, 1H), 8.05 (s, 1H), 7.00 (s, 1H), 5.09 (s, 1H), 2.81 (s, 3H), 2.57 (s, 3H), 2.39 (s, 1H), 2.21 (s, 2H), 1.96 (s, 2H), 1.50 (s, 4H).	0.79 min 416.15 LCMS method 27

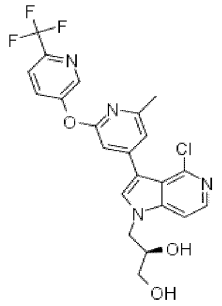
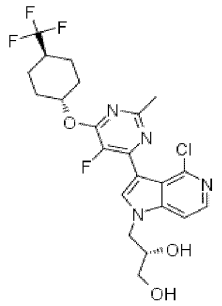
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
735	 2-methyl-4-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]oxy}-6-[4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]pyrimidine	1H NMR (400 MHz, DMSO) 12.62 (s, 1H), 8.43 (d, J = 5.5 Hz, 1H), 8.23 (s, 1H), 8.18 (s, 1H), 7.81 (d, J = 5.5 Hz, 1H), 7.43 (s, 1H), 2.67 (s, 3H).	1.05 min 446.00 LCMS method 27
736	 2-methyl-4-[1-methyl-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 8.49 (d, J = 5.6 Hz, 1H), 8.23 (d, J = 1.3 Hz, 1H), 8.17 (dd, J = 1.9, 1.0 Hz, 1H), 7.98 -7.92 (m, 1H), 7.36 (d, J = 2.5 Hz, 1H), 3.97 (d, J = 1.0 Hz, 3H), 2.67 (s, 3H).	1.23 min 460.05 LCMS method 23
737	 3-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Racemic mixture	1H NMR (700 MHz, DMSO) d 8.70 (d, J = 2.6 Hz, 1H), 8.10 (d, J = 5.8 Hz, 1H), 7.99 (d, J = 8.5 Hz, 1H), 7.92 (dd, J = 8.5, 2.7 Hz, 1H), 7.83 (s, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.28 – 7.25 (m, 1H), 7.10 (t, J = 1.0 Hz, 1H), 5.09 (d, J = 5.3 Hz, 1H), 4.87 (t, J = 5.5 Hz, 1H), 4.40 (dd, J = 14.4, 3.5 Hz, 1H), 4.19 (dd, J = 14.4, 7.5 Hz, 1H), 3.87 – 3.81 (m, 1H), 3.41 (m, 1H), 3.29 - 3.33 (m, 1H), 2.40 (s, 3H).	0.71 min 479,20 LCMS method 50

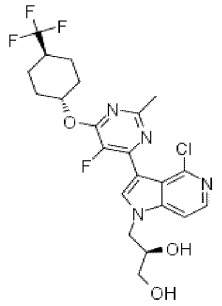
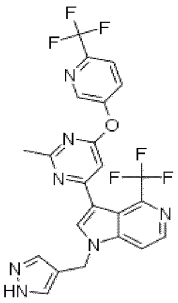
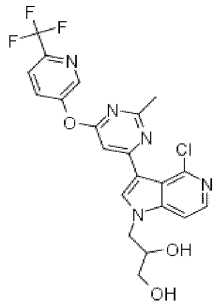
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
738	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[5-(trifluoromethyl)pyridin-2-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 12.55 (s, 1H), 8.63 (s, 1H), 8.10 (t, J = 4.0 Hz, 3H), 7.83 (dd, J = 9.7, 2.8 Hz, 1H), 7.55 (d, J = 5.6 Hz, 1H), 6.74 (d, J = 9.7 Hz, 1H), 2.74 (s, 3H).	0.74 min 406.00 LCMS method 21
739	 4-{4-chloro-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO-d6) 8.78 (s, 1H), 8.12 (d, J = 1.2 Hz, 1H), 8.10 ¨C 7.99 (m, 2H), 7.88 (d, J = 11.9 Hz, 1H), 7.53 ¨C 7.42 (m, 1H), 7.22 (d, J = 7.5 Hz, 1H), 2.48 (d, J = 2.5 Hz, 3H).	1.45 min 406,15 LCMS method 38
740	 4-methyl-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-7-carboxamide	1H NMR (300 MHz, DMSO) 11.84 (s, 1H), 8.68 (s, 1H), 8.21 (s, 1H), 7.77 (d, J = 2.6 Hz, 1H), 7.55 (s, 1H), 6.94 (s, 1H), 5.14 - 4.97 (m, 1H), 2.75 (s, 3H), 2.56 (s, 3H), 2.38 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.60 - 1.40 (m, 4H).	0.75 min 434.25 LCMS method 20

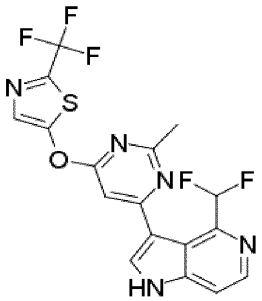
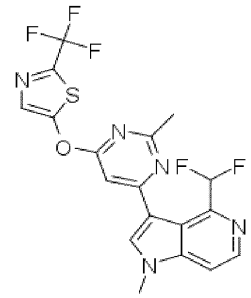
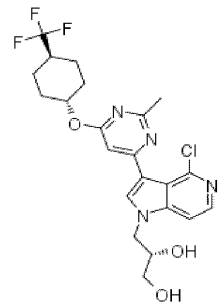
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
741	 1,4-dimethyl-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridine-7-carboxamide	1H NMR (300 MHz, DMSO) 8.28-8.14 (m, 3H), 7.88 (s, 1H), 7.71 (s, 1H), 6.86 (s, 1H), 5.09 (s, 1H), 3.84 (s, 3H), 2.69 (s, 3H), 2.56 (s, 3H), 2.38 (s, 1H), 2.21 (s, 2H), 1.97 (s, 2H), 1.50 (s, 4H).	0.76 min 448,20 LCMS method 27
742	 2-methyl-4-{1-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 8.86 (d, J = 2.3 Hz, 1H), 8.53 (d, J = 5.6 Hz, 1H), 8.33 (s, 1H), 8.19 – 8.09 (m, 3H), 7.85 (s, 1H), 7.61 (d, J = 0.8 Hz, 1H), 7.29 (s, 1H), 5.50 (s, 2H), 3.83 (s, 3H), 2.52 (s, 3H).	1.69 min 534,10 LCMS method 12
743	 4-{4-chloro-1-[(1H-1,2,4-triazol-3-yl)methyl]-1H-pyrrolo[3,2-c]pyridin-3-yl}-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) d 14.03 (s, 0H), 8.51 (s, 1H), 8.10 (d, J = 5.7 Hz, 1H), 8.04 (s, 1H), 7.67 (d, J = 5.7 Hz, 1H), 6.89 (s, 1H), 5.62 (s, 1H), 5.11 – 5.01 (m, 1H), 2.54 (s, 3H), 2.38 (s, 1H), 2.20 (dd, J = 8.9, 4.9 Hz, 2H), 2.04 – 1.91 (m, 2H), 1.58 – 1.38 (m, 4H).	1.58 min 492,10 - 495,10 LCMS method 11

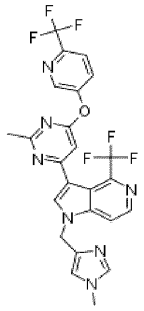
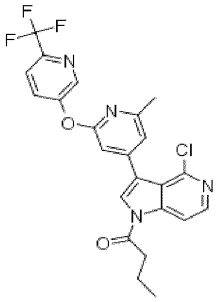
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
744	 (2R)-1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-2-ol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.08 (d, 1H), 7.95 (s, 1H), 7.70 (d, J = 5.7 Hz, 1H), 6.88 (s, 1H), 5.10 – 5.02 (m, 1H), 4.96 (d, J = 5.0 Hz, 1H), 4.28 (dd, J = 14.1, 3.7 Hz, 1H), 4.13 (dd, J = 14.1, 7.4 Hz, 1H), 4.05 – 3.91 (m, 1H), 2.55 (s, 3H), 2.44 – 2.33 (m, 1H), 2.23 – 2.17 (m, 2H), 1.98 – 1.93 (m, 2H), 1.57 – 1.41 (m, 4H), 1.10 (d, J = 6.2 Hz, 3H).	1.66 min 469.2 LCMS method 39
745	 (2S)-1-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propan-2-ol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.08 (d, J = 5.7 Hz, 1H), 7.95 (s, 1H), 7.70 (d, J = 5.8 Hz, 1H), 6.90 – 6.86 (m, 1H), 5.10 – 5.02 (m, 1H), 4.96 (d, J = 5.0 Hz, 1H), 4.28 (dd, J = 14.1, 3.8 Hz, 1H), 4.13 (dd, J = 14.1, 7.4 Hz, 1H), 4.03 – 3.95 (m, 1H), 2.55 (s, 3H), 2.39 – 2.35 (m, 1H), 2.23 – 2.18 (m, 2H), 1.98 – 1.93 (m, 2H), 1.57 – 1.41 (m, 4H), 1.10 (d, J = 6.2 Hz, 3H).	1.66 min 469,10 - 472,10 LCMS method 39

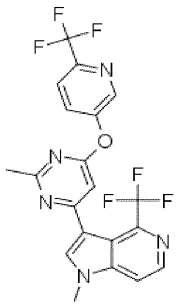
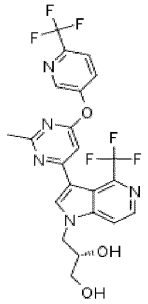
Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
746	 (2R)-3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.92 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 6.88 (s, 1H), 5.11 – 5.02 (m, 2H), 4.85 (t, J = 5.5 Hz, 1H), 4.40 (dd, J = 14.3, 3.4 Hz, 1H), 4.19 (dd, J = 14.4, 7.4 Hz, 1H), 3.86 – 3.77 (m, 1H), 3.44 – 3.36 (m, 1H), 3.31 – 3.24 (m, 1H), 2.55 (s, 3H), 2.43 – 2.32 (m, 1H), 2.23 – 2.18 (m, 2H), 1.98 – 1.93 (m, 2H), 1.57 – 1.41 (m, 4H).	1.54 min 485,10 LCMS method 39
747	 (2S)-3-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.70 (d, J = 2.6 Hz, 1H), 8.10 (d, J = 5.7 Hz, 1H), 7.99 (dd, J = 8.6, 0.7 Hz, 1H), 7.95 – 7.89 (m, 1H), 7.83 (s, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.27 (dd, J = 1.3, 0.6 Hz, 1H), 7.10 (dd, J = 1.3, 0.7 Hz, 1H), 5.09 (d, J = 5.3 Hz, 1H), 4.87 (t, J = 5.4 Hz, 1H), 4.40 (dd, J = 14.4, 3.5 Hz, 1H), 4.19 (dd, J = 14.4, 7.4 Hz, 1H), 3.84 (tt, J = 11.2, 4.6 Hz, 1H), 3.41 (dt, J = 10.4, 5.1 Hz, 1H), 3.34 – 3.23 (m, 1H), 2.40 (s, 3H).	0.71 min 479,10 LCMS method 50

Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
748	 (2R)-3-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.70 (d, J = 2.6 Hz, 1H), 8.10 (d, J = 5.7 Hz, 1H), 7.99 (d, J = 8.6 Hz, 1H), 7.92 (dd, J = 8.6, 2.6 Hz, 1H), 7.83 (s, 1H), 7.67 (d, J = 5.8 Hz, 1H), 7.27 (dd, J = 1.3, 0.6 Hz, 1H), 7.10 (dd, J = 1.3, 0.7 Hz, 1H), 5.09 (d, J = 5.3 Hz, 1H), 4.87 (t, J = 5.4 Hz, 1H), 4.40 (m, 1H), 4.19 (m, 1H), 3.84 (m, 1H), 3.41 (m, 1H), 3.36 - 3.24 (m, 1H), 2.40 (s, 3H).	0.71 min 479,10 LCMS method 50
749	 (2S)-3-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.83 (s, 1H), 7.66 (d, J = 5.8 Hz, 1H), 5.16 (tt, J = 10.9, 4.4 Hz, 1H), 5.10 (d, J = 5.3 Hz, 1H), 4.88 – 4.83 (m, 1H), 4.41 (dd, J = 14.4, 3.4 Hz, 1H), 4.20 (dd, J = 14.4, 7.4 Hz, 1H), 3.85 – 3.77 (m, 1H), 3.40 (dt, J = 10.5, 5.1 Hz, 1H), 3.30 – 3.26 (m, 1H), 2.54 (s, 3H), 2.46 – 2.34 (m, 1H), 2.24 (m, 2H), 1.97 (m, 2H), 1.63 – 1.43 (m, 4H).	0,77 min. 503.20 LCMS method 50

Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
750	 (2R)-3-[4-chloro-3-(5-fluoro-2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Absolute configuration known	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.83 (s, 1H), 7.66 (d, J = 5.8 Hz, 1H), 5.16 (tt, J = 10.8, 4.4 Hz, 1H), 5.10 (d, J = 5.3 Hz, 1H), 4.86 (dd, J = 5.9, 5.1 Hz, 1H), 4.41 (dd, J = 14.4, 3.4 Hz, 1H), 4.21 (dd, J = 14.4, 7.4 Hz, 1H), 3.85 – 3.79 (m, 1H), 3.40 (dt, J = 10.5, 5.1 Hz, 1H), 3.31 – 3.26 (m, 1H), 2.54 (d, J = 1.0 Hz, 3H), 2.46 – 2.35 (m, 1H), 2.28 – 2.20 (m, 2H), 1.97 (m, 2H), 1.64 – 1.41 (m, 4H).	0,77 min. 503.20 LCMS method 50
751	 2-methyl-4-{1-[(1H-pyrazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 12.90 (s, 1H), 8.86 (d, J = 2.4 Hz, 1H), 8.53 (d, J = 5.6 Hz, 1H), 8.33 (s, 1H), 8.18 (d, J = 5.7 Hz, 1H), 8.17 – 8.08 (m, 2H), 7.96 (s, 1H), 7.67 (s, 1H), 7.30 (s, 1H), 5.53 (s, 2H), 2.52 (s, 3H).	1.63 min 520,10 LCMS method 12
752	 3-[4-chloro-3-(2-methyl-6-{[6-(trifluoro-methyl)pyridin-3-yl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol	1H NMR (500 MHz, DMSO) d 8.81 (d, J = 2.5 Hz, 1H), 8.13 (d, J = 5.7 Hz, 1H), 8.10 (dd, J = 8.6, 2.6 Hz, 1H), 8.06 (d, J = 9.2 Hz, 2H), 7.69 (d, J = 5.8 Hz, 1H), 7.30 (s, 1H), 5.11 (d, J = 5.3 Hz, 1H), 4.88 (t, J = 5.5 Hz, 1H), 4.51 – 4.19 (m, 1H), 3.84 (s, 1H), 3.46 – 3.26 (m, 2H), 2.52 (s, 3H).	1.45 min 480,00 LCMS method 7

Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	Racemic mixture		
753	 4-[4-(difluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 8.53 (s, 1H), 8.41 (t, J = 6.3 Hz, 1H), 8.24 - 7.99 (m, 2H), 7.67 (d, J = 6.3 Hz, 2H), 2.73 (s, 3H), 1.23 (s, 1H).	1.12 min 428.00 LCMS method 23
754	 4-[4-(difluoromethyl)-1-methyl-1H-pyrrolo[3,2-c]pyridin-3-yl]-2-methyl-6-{[2-(trifluoromethyl)-1,3-thiazol-5-yl]oxy}pyrimidine	1H NMR (300 MHz, DMSO) 8.53 (s, 1H), 8.50 (d, J = 5.6 Hz, 1H), 8.18 (s, 2H), 7.83 (d, J = 5.5 Hz, 1H), 7.59 (s, 1H), 3.96 (d, J = 1.2 Hz, 3H), 2.74 (s, 3H).	1.19 min 442.10 LCMS method 23
755	 (2S)-3-[4-chloro-3-(2-methyl-6-{[(1r,4r)-4-(trifluoromethyl)cyclohexyl]oxy}pyrimidin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol	1H NMR (500 MHz, DMSO) d 8.09 (d, J = 5.7 Hz, 1H), 7.92 (s, 1H), 7.65 (d, J = 5.7 Hz, 1H), 6.88 (d, J = 0.7 Hz, 1H), 5.11 – 5.02 (m, 2H), 4.85 (t, 1H), 4.40 (dd, J = 14.4, 3.4 Hz, 1H), 4.19 (dd, J = 14.4, 7.4 Hz, 1H), 3.86 – 3.77 (m, 1H), 3.44 – 3.36 (m, 1H), 3.31 – 3.24 (m, 1H), 2.55 (s, 3H), 2.43 – 2.30 (m, 1H), 2.23 – 2.17	1.54 min 485,10 - 488,10 LCMS method 39

Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
	Absolute configuration known	(m, 2H), 1.98 – 1.93 (m, 2H), 1.57 – 1.37 (m, 4H).	
756	 2-methyl-4-{1-[(1-methyl-1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl}-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 8.86 (d, J = 2.4 Hz, 1H), 8.67 (s, 1H), 8.57 (d, J = 5.6 Hz, 1H), 8.36 (s, 1H), 8.22 – 8.13 (m, 1H), 8.16 – 8.10 (m, 1H), 7.66 (s, 1H), 7.28 (s, 1H), 5.72 (s, 2H), 3.81 (s, 4H), 2.53 (s, 3H).	1.97 min 534,10 LCMS method 46
757	 1-[4-chloro-3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyridin-4-yl)-1H-pyrrolo[3,2-c]pyridin-1-yl]butan-1-one	1H NMR (500 MHz, DMSO) 8.71 (d, J = 2.6 Hz, 1H), 8.42 – 8.36 (m, 2H), 8.35 (d, J = 5.7 Hz, 1H), 8.01 (d, J = 8.6 Hz, 1H), 7.93 (dd, J = 8.5, 2.6 Hz, 1H), 7.35 – 7.31 (m, 1H), 7.21 (s, 1H), 4.08 (m, 1H), 3.19 – 3.11 (m, 2H), 2.42 (m, 2H), 1.74 (m, 2H), 1.00 (t, J = 7.4 Hz, 3H).	0.95 min 475,20 LCMS method 0

Cpd. No	Structure & Name	NMR	LCMS RT [M+H] LCMS Method
758	 2-methyl-4-[1-methyl-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidine	1H NMR (500 MHz, DMSO) 8.81 (d, J = 2.4 Hz, 1H), 8.49 (d, J = 5.6 Hz, 1H), 8.19 (s, 1H), 8.12 – 8.05 (m, 2H), 7.95 (d, J = 5.6 Hz, 1H), 7.21 (s, 1H), 3.98 (s, 3H), 2.47 (s, 3H).	1.72 min 454,10 LCMS method 12
759	 (2S)-3-[3-(2-methyl-6-{[6-(trifluoromethyl)pyridin-3-yl]oxy}pyrimidin-4-yl)-4-(trifluoromethyl)-1H-pyrrolo[3,2-c]pyridin-1-yl]propane-1,2-diol Absolute configuration known	1H NMR (500 MHz, DMSO) 8.87 (d, J = 2.4 Hz, 1H), 8.52 (d, J = 5.6 Hz, 1H), 8.19 (s, 1H), 8.18 – 8.10 (m, 2H), 8.01 (d, J = 5.7 Hz, 1H), 7.27 (s, 1H), 5.18 (d, J = 5.3 Hz, 1H), 4.95 (t, J = 5.4, 1H), 4.54 (dd, J = 14.4, 3.4 Hz, 1H), 4.33 (dd, J = 14.4, 7.5 Hz, 1H), 3.93 (m, 1H), 3.49 (m, 1H), 3.40 (m, 1H), 2.53 (s, 3H).	1.54 min 514,00 LCMS method 12

LCMS Methods/Conditions (as given in Table 1A):

LCMS method 0 (D1): UPLC; Kinetex EV O -C 18 1,7 µm 50-2,1 mm; (D1) UPLC: Kinetex (HCOOH); A: H2O+0.05% HCOOH; B: CH3CN + 0.04% HCOOH + 1% water; T:40°C; Flow: 0.9ml/min; 1% -->99% B; 0 --> 1.0min; 99% B 1.0 --> 1.3min; WL:220nm

LCMS method 1 1H NMR (300 MHz, DMSO-d6) ¦Ä 12.09 (s, 1H), 8.00 (d, J = 5.6 Hz, 1H), 7.60 (s, 1H), 7.47 (d, J = 5.6 Hz, 1H), 7.29 (t, J = 7.8 Hz, 1H), 7.04 (dd, J = 8.1, 1.6 Hz, 2H), 6.94-6.84 (m, 1H), 3.85 (d, J = 6.3 Hz, 2H), 2.30-2.17 (m, 1H), 2.00-1.85 (m, 4H), 1.82-1.71 (m, 1H), 1.36-1.09 (m, 4H).

LCMS method 2 A: H2O + 0,05% HCOOH | B: MeCN + 0,04% HCOOH + 1% H2O

T: 40 °C | Flow: 3,3 ml/min | MS: 61-800 amu positive

Column: Chromolith HR RP-18e 50-4,6 mm

1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min

LCMS method 3 A: H2O + 0,1% TFA | B: MeCN + 0,1% TFA

T: 40 °C | Flow: 3,3 ml/min | MS: 85-800 amu positive
Column: Chromolith SpeedRod RP-18e 5,0 mm 50-4,6 mm
1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min
LCMS method 4CORTECS T3 1,6 µm 30-2,1 mm; A: H2O + 0,1% HCOOH | B: MeCN + 0,1% HCOOH; 1% -> 99% B: 0 -> 2,5 min | 99% B: 2,5 -> 2,9 min; T: 40 °C | Flow: 3,5 ml/min | MS: 61-1000 amu positive; DAD: 220 nm
LCMS method 5 Chromolith HR C18 5,0 ìm 50-4,6 mm; A: H2O + 0,05% HCOOH | B: MeCN + 0,04% HCOOH; T: 45 °C | Flow: 0,9 ml/min | 2% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,31 min
LCMS method 6 Chromolith HR C18 5,0 ìm 50-4,6 mm; A: H2O + 0,1% HCOOH | B: MeCN + 0,1% HCOOH; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min; T: 40 °C | Flow: 3,3 ml/min | MS: 61-1000 amu positive; DAD: 220 nm
LCMS method 7 Chromolith HR C18 5,0 ìm 50-4,6 mm; A: H2O + 0,1% HCOOH | B: MeCN + 0,1% HCOOH; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,7 min; T: 40 °C | Flow: 1,4 ml/min | MS: 61-1000 amu positive; DAD: 220 nm
LCMS method 8 Chromolith HR C18 5,0 ìm 50-4,6 mm; A: H2O + 0,1% TFA | B: MeCN + 0,1% TFA; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min; T: 40 °C | Flow: 3,3 ml/min | MS: 61-1000 amu positive; DAD: 220 nm
LCMS method 9 Chromolith HR RP-18e 50-4,6 mm; A: H2O + 0,1% HCOOH | B: MeCN + 0,1% HCOOH; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min; T: 40 °C | Flow: 3,3 ml/min |
LCMS method 10 Chromolith HR RP-18e 50-4; 6mm; CHROMOLITH: eluent A:Water + 0.05% formic acid; eluent B:acetonitrile + 0.04% formic acid + 1 % H2O; WL:220nm; flow rate: 3.3 ml/min; gradient: 0% ->100% B: 0.0 ->2.0min / 100% B: 2.0 ->2.5min
LCMS method 11 Chromolith SpeedROD RP-18e 50-4.6 mm; A: H2O + 0,05% HCOOH | B: MeCN + 0,04% HCOOH + 1% H2O; 1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min; T: 40 °C | Flow: 3,3 ml/min | MS: 61-800 amu positive; DAD: 220 nm
LCMS method 12 Chromolith® HighResolution RP18e 50-4.6nm; (A1): Chromolith (HCOOH): A: H2O+0.05% HCOOH; B: CH3CN + 0.04 % HCOOH + 1% water; T:40°C; Flow: 3.3ml/min; MS:61-1000 amu positive; 1% --> 99% B; 0 --> 2.0 min; 99%B: 2.0 --> 2.5 min; WL 220/254nm
LCMS method 13 Column: CORTECS C18, 2.1*30mm, 2.7um; Column Oven:40C;;Mobile Phase A:Water/0.05% TFA,Mobile Phase B: ACN/0.05% TFA
LCMS method 14 Column: CORTECS C18, 2.1*30mm, 2.7um; Column Oven:40C;Mobile Phase A: Water/0.05% TFA,Mobile Phase B: ACN/0.05% TFA
LCMS method 15 Column: Chromolith HR RP-18e 50-4,6 mm
1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min A: H2O + 0,05% HCOOH | B: MeCN + 0,04% HCOOH + 1% H2O
T: 40 °C | Flow: 3,3 ml/min | MS: 61-800 amu positive
LCMS method 16 Column: Chromolith HR RP-18e 50-4,6 mm
A: H2O + 0,05% HCOOH | B: MeCN + 0,04% HCOOH + 1% H2O
T: 40 °C | Flow: 3,3 ml/min | MS: 61-800 amu positive1% -> 99% B: 0 -> 2,0 min | 99% B: 2,0 -> 2,5 min
LCMS method 17 Column: Chromolith HR RP-18e 50-4,6 mm; Chromolith Method Info : A: H2O + 0,05% HCOOH | B: MeCN + 0,04% HCOOH + 1% H2O | T: 40 °C | Flow: 3,3 ml/min | MS: 61-800 amu positive | 0% -> 100% B: 0 -> 2,0 min | 100% B: 2,0 -> 2,5 min

LCMS method 18 Column: HALO 90A C18, 2 um, 3 x 30 mm;Mobile phase A:Water/0.1%FA; Mobile phase B:Acetonitrile/0.1%FA

LCMS method 19 Column: HALO 90A C18, 2,2 um, 3 x 30 mm;Mobile Phase A: Water/0.05% TFA; Mobile Phase B: Acetonitrile/0.05% TFA

LCMS method 20 Column: HALO 90A C18,3.0*30mm,2.0um; Column Oven: 40C;;Mobile phase A:Water/0.1% FA;Mobile phase B:Acetonitrile/0.1% FA;

LCMS method 21 Column: HALO C18, 2.0 µm, 3.0 x 30 mm;Mobile Phase A: Water/0.05% TFAMobile Phase B: Acetonitrile/0.05% TFA

LCMS method 22 Column: HALO C18, 2.7 µm, 4.6 x 100 mm;Mobile Phase A: Water/0.05% TFA;Mobile Phase B: Acetonitrile/0.05% TFA

LCMS method 23 Column: Shim-pack Scepter C18-120, 3um,3.0*33 mm; Column Oven: 40C;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10)Mobile Phase B: Acetonitrile

LCMS method 24 Column: XBridge C8, 3.5 µm, 4.6 x 50 mm;Solvent A: water + 0.1 % TFA; Solvent B: ACN + 0.1 % TFA; Flow: 2 ml/min; Gradient: 0 min: 5 % B, 8 min: 100 % B, 8.1 min: 100 % B, 8.5 min: 5% B, 10 min 5% B.

LCMS method 25 Column:HALO 90A C18,3.0*30mm,2.0um;Column Oven: 40C;;Mobile Phase A:Water/0.05% TFA,Mobile Phase B: ACN/0.05% TFA

LCMS method 26 Column:HALO 90A C18,3.0*30mm,2.0um;Column Oven: 40C;;Mobile phase A:Water/0.1% FA;Mobile phase B:Acetonitrile/0.1% FA

LCMS method 27 Column:HALO C18,3.0*30mm,2um;Column Oven:40C;;Mobile Phase A: Water/0.05% TFA,Mobile Phase B: ACN/0.05% TFA;

LCMS method 28 Column:Halo C18 4.6*100 mm,,2.7 um; Column Oven: 40C;;Mobile Phase A:Water/0.05% TFA,Mobile Phase B: ACN/0.05% TFA

LCMS method 29 Column:Poroshell HPH C18,3.0*50 mm,2.7um; Column Oven: 40C;;Mobile Phase A:6.5mMNH4HCO3+NH4OH(PH=10), Mobile Phase B: Acetonitrile; Flow rate: 1.2 mL/min; Gradient:10%B to 95%B in 1.8 min, hold 0.9 min;254nm

LCMS method 30 Column:Poroshell HPH C18,3.0*50 mm,2.7um; Column Oven: 40C;Mobile Phase A:6.5mMNH4HCO3+NH4OH(PH=10), Mobile Phase B: Acetonitrile; Flow rate: 1.2 mL/min; Gradient:10%B to 95%B in 1.0 min, hold 0.7 min;254nm

LCMS method 31 Column:Poroshell HPH C18,3.0*50 mm,2.7um;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10)Mobile Phase B: Acetonitrile

LCMS method 32 Column:Shim-pack Scepter C18-120; Column Oven: 40C;Mobile Phase A:6.5mMNH4HCO3+NH4OH(PH=10), Mobile Phase B: Acetonitrile; Flow rate: 1.2 mL/min; Gradient:10%B to 95%B in 1.0 min, hold 0.7 min;254nm

LCMS method 33 Column:Shim-pack Scepter C18-120; Column Oven: 40C;Mobile Phase A:Water/0.05% TFA,Mobile Phase B: Acetonitrile/0.05% TFA; Flow rate: 1.5mL/min; Gradient:5%B to 100%B in 0.7min, hold 0.4 min; 254nm

LCMS method 34 Column:Shim-pack Scepter C18-120; Column Oven: 40C;Mobile phase A:Water/0.05% TFA,Mobile phase B:Acetonitrile/0.05%TFA; Flow rate: 1.0mL/min; Gradient:5%B to 100%B in 0.7min, hold 0.4 min; 254nm

LCMS method 35 HALO C18,3.0*30mm,2um;Column Oven:40C;Mobile Phase A:Water/0.05% TFA,Mobile Phase B: ACN/0.05% TFA

LCMS method 36 HALO C18,3.0*30mm,2um;Column Oven:40C;Mobile Phase A:Water/0.05% TFA,Mobile Phase B: ACN/0.05% TFA; Flow rate: 1.2mL/min; Gradient:5%B to 100%B in 1.2min, hold 0.6 min; 254nm

LCMS method 37 HALO C18,3.0*30mm,2um;Column Oven:40C;Mobile Phase A:Water/0.1% FA,Mobile Phase B: ACN/0.1% FA; Flow rate: 1.5mL/min; Gradient:5%B to 100%B in 1.2min, hold 0.6 min; 254nm

LCMS method 38 HALO,3.0*30mm,2um;Column Oven:40C;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10),Mobile Phase B: Acetonitrile; Flow rate: 1.2 mL/min; Gradient:10%B to 95%B in1.9min, hold 0.8 min; 254nm

LCMS method 39 LC-MS Agilent 1200 Series Chromolith RP-18e 50-4,6mm; 3.3 ml/min solvent A: Water + 0.05% HCOOH solvent B: Acetonitrile + 0.04% HCOOH 220 nm 0 to 2.0 min:1%B to 99%B 2.0 to 2.5 min: 99%B

LCMS method 40 LC-MS chromolith 254nm; Water+0,1%TFA/ACN+0,1%TFA 1:99 in 2,0 min, flow of 3,3 ml/min

LCMS method 41 LCMS (Agilent) Chromolith HR- RP 18e 50-4,6 mm;; chromolith .m, 3.3ml/min,T: 45°C; 220nm, Puffer A 0.05% HCOOH/H2O, Puffer B 0.04% HCOOH/ACN, 0.0-2.0min 0%-99% Puffer B; 2.0-2.5min 99%

LCMS method 42 Poroshell HPH C18,2.7 um, 100mm x 4.6mm;Mobile Phase A:water/5mM NH4HCO3,Mobile Phase B:Acetonitrile

LCMS method 43 Shim-pack Scepter C18-120 33 mm x 3mm 3.0um;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10) Mobile Phase B: Acetonitrile

LCMS method 44 Shim-pack Scepter C18-120 33 mm x 3mm 3.0um;Mobile Phase A:6.5mM NH4HCO3+NH4OH(pH=10), Mobile Phase B: Acetonitrile

LCMS method 45 Shim-pack Scepter C18-120 33 mm x 3mm 3.0um;Solvent A: water + 10Mm NH4HCO3; Solvent B: ACN; Flow: 1 ml/min; Gradient: 0 min: 5 % B, 8 min: 100 % B, 8.1 min: 100 % B, 8.5 min: 5% B, 10 min 5% B.

LCMS method 46 Sunfire C18 5.0µm 100-3mm; (A1): Chromolith (HCOOH): A: H2O+0.05% HCOOH; B: CH3CN + 0.04 % HCOOH + 1% water; T:40°C; Flow: 3.3ml/min; MS:61-1000 amu positive; 1% --> 99% B; 0 --> 2.0 min; 99%B: 2.0 --> 2.5 min; WL 220/254nm

LCMS method 47 Sunfire C18 5.0µm 100-3mm; (C1): Sunfire (HCOOH): A: H2O+0.05% HCOOH; B: CH3CN + 0.04 % HCOOH + 1% water; T:40°C; Flow: 1.4ml/min; MS:61-1000 amu positive; 1% --> 99% B; 0 --> 2.0 min; 99%B: 2.0 --> 2.7 min; WL 220nm

LCMS method 48 UPLC-MS Waters A cquity UP LC (BSM + SM + C M-A + P DA + SQ D2);A : H2O + 0 ,05% HC O O H | B: MeC N + 0,04% HC O O H
T : 40 °C | Flow: 0 ,9 ml/min | Column: Kinetex EV O -C 18 1 ,7 ?m 5 0-2 ,1 mm
1% -> 9 9% B: 0 -> 1 ,0 min | 99% B: 1 ,0 -> 1,3 min

LCMS method 49 UPLC; Kinetex EV O -C 18 1,7 µm 50-2,1 mm; UPLC: Kinetex (HCOOH); A: H2O+0.05% HCOOH; B: CH3CN + 0.04% HCOOH + 1% water; T:40°C; Flow: 0.9ml/min; 1% -->99% B; 0 --> 1.0min; 99% B 1.0 --> 1.3min; WL:220nm

LCMS method 50 UPLC; Kinetex EVO-C18 1.7 µm 50-2.1 mm; (D1) UPLC (Kinetex): A: H2O + 0.05% HCOOH; B: MeCN + 0.04% HCOOH + 1% H2O; T: 40 °C; Flow: 0.9 ml/min; 1% -> 99% B: 0 -> 1.0 min | 99% B: 1.0 -> 1.3 min; WL: 220 nm

The following compounds (provided in Table 1A) being enantiomers have been separated from stereochemical mixtures containing these compounds under the following chromatographical (HPLC or SFC) separation conditions (Rt = retention time):

Cpd. No.	Conditions
442	(2#SHIMADZU (HPLC-01)):Column: CHIRALPAK IE, 2*25 cm, 5 μm; Mobile Phase A: MTBE(0.5% 2M NH3-MeOH), Mobile Phase B: ETOH: DCM=1: 1; Flow rate: 20 mL/min; Gradient: isocratic 5; Wave Length: 220/254 nm; Rt (min): 6.597; Sample Solvent: EtOH; Injection Volume: 1.0 mL; Number Of Runs: 10.
445	(2#SHIMADZU (HPLC-01)):Column: CHIRALPAK IE, 2*25 cm, 5 μm; Mobile Phase A: MTBE(0.5% 2M NH3-MeOH), Mobile Phase B: ETOH: DCM=1: 1; Flow rate: 20 mL/min; Gradient: isocratic 5; Wave Length: 220/254 nm; Rt (min): 8.295; Sample Solvent: EtOH; Injection Volume: 1.0 mL; Number Of Runs: 10.
454	SFC; Method Type: Analytical Separation; Device: Thar SFC; Column: ChiralPak IH; Wavelength (nm): 254; Flow (ml/min): 5; Eluent A: CO2 60%; Eluent B: Ethanol 40%; Modifier: No modifier; Rt: 2.25 min
455	SFC; Method Type: Analytical Separation; Device: Thar SFC; Column: ChiralPak IH; Wavelength (nm): 254; Flow (ml/min): 5; Eluent A: CO2 60%; Eluent B: Ethanol 40%; Modifier: No modifier; Rt: 3.76 min
459	(2#SHIMADZU (HPLC-01)):Column: CHIRALPAK IC, 2*25 cm, 5 μm; Mobile Phase A: HEX(0.5% 2M NH3-MeOH), Mobile Phase B: ETOH; Flow rate: 20 mL/min; Gradient: isocratic 25; Wave Length: 220/254 nm; Rt (min): 9.66; Sample Solvent: EtOH; Injection Volume: 0.6 mL; Number Of Runs: 13 .
461	(2#SHIMADZU (HPLC-01)):Column: CHIRALPAK IC, 2*25 cm, 5 μm; Mobile Phase A: HEX(0.5% 2M NH3-MeOH), Mobile Phase B: ETOH; Flow rate: 20 mL/min; Gradient: isocratic 25; Wave Length: 220/254 nm; Rt (min): 13.658; Sample Solvent: EtOH; Injection Volume: 0.6 mL; Number Of Runs: 13 .
493	SFC ChiralCel OD-H CO2:ethanol 80:20; Rt: 6.64 min
494	SFC ChiralCel OD-H CO2:ethanol 80:20; Rt: 8.23 min
508	SFC ChiralPAK AD-H 12%EtOH 5ml/min 220nm; Rt: 5.35 min
509	SFC ChiralPAK AD-H 12%EtOH 5ml/min 220nm; Rt: 7.64 min
520	Column: YMC Amylose-C; Eluent A: n-Heptan 95%; Eluent B: Ethanol 5%; Flow (ml/min): 42; Rt: 24.155 min
521	Column: YMC Amylose-C; Eluent A: n-Heptan 95%; Eluent B: Ethanol 5%; Flow (ml/min): 42; Rt: 31.410 min
523	Preparative Separation; Device: VWR LaPrep; Column: Lux Cellulose-2; Wavelength (nm): 220; Flow (ml/min): 42; Eluent A: n-Heptan 90%; Eluent B: Ethanol 10%; Modifier: No Modifier; Rt: 19.47 min
524	Preparative Separation; Device: VWR LaPrep; Column: Lux Cellulose-2; Wavelength (nm): 220; Flow (ml/min): 42; Eluent A: n-Heptan 90%; Eluent B: Ethanol 10%; Modifier: No Modifier; Rt: 21.87 min

Cpd. No.	Conditions
528	Lux Cellulose-2; n-heptane 95%/EtOH 5%; Rt: 23.90 min
529	Lux Cellulose-2; n-heptane 95%/EtOH 5%; Rt: 30.10 min
531	ChiralCell OD-H; 15% iPrOH; flow: 5mL/min; 290 nm; Rt: 9.21 min
533	ChiralCell OD-H; 15% iPrOH; flow: 5mL/min; 290 nm; Rt: 11.18 min
534	ChiralPAK IC CO2:MeOH 70:30%; Rt: 2.11 min
535	ChiralPAK IC CO2:MeOH 70:30%; Rt: 3.57 min
536	Preparative Separation; Device: VWR LaPrep; Column: YMC Amylose-C; Wavelength (nm): 220; Flow (ml/min): 1; Eluent A: n-Heptan 90%; Eluent B: Ethanol 10%; Modifier: No Modifier. Rt: 29.46 min
537	Preparative Separation; Device: VWR LaPrep; Column: YMC Amylose-C; Wavelength (nm): 220; Flow (ml/min): 1; Eluent A: n-Heptan 90%; Eluent B: Ethanol 10%; Modifier: No Modifier. Rt: 37.68 min.
563	Cellulose SZ 25% 2-propanol 5ml/min 270 nm; Rt: 4.97 min
564	Cellulose SZ 25% 2-propanol 5ml/min 270 nm; Rt: 5.79 min
577	SFC; Method Type: Analytical Separation; Device: Thar SFC; Column: ChiralPak IH; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 75%; Eluent B: Methanol 25%; Modifier: No modifier. Rt: 2.46 min
578	SFC; Method Type: Analytical Separation; Device: Thar SFC; Column: ChiralPak IH; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 75%; Eluent B: Methanol 25%; Modifier: No modifier. Rt: 3.82 min
582	SFC: Method Type: Preparative Separation; Device: THAR SFC; Column: ChiralART SZ: Wavelength (nm): 290; Flow (ml/min): 6; Rt: 7.58 min
587	SFC: Method Type: Preparative Separation; Device: THAR SFC; Column: ChiralART SZ; Wavelength (nm): 290; Flow (ml/min): 6; Rt: 8.89 min
601	HPLC; Method Type: Analytical Separation; Device: Thermo Ultimate3000 (70311662); Column: ChiralPak AD-H; Wavelength (nm): 220; Flow (ml/min): 1; Eluent A: n-Heptan 95%; Eluent B: Isopropanol 5%; Modifier: No modifier; Rt: 12.04 min
602	HPLC; Method Type: Analytical Separation; Device: Thermo Ultimate3000 (70311662); Column: ChiralPak AD-H; Wavelength (nm): 220; Flow (ml/min): 1; Eluent A: n-Heptan 95%; Eluent B: Isopropanol 5%; Modifier: No modifier; Rt: 13.85 min
603	SFC; Method Type: Analytical Separation; Device: THAR SFC (70205565); Column: YMC Cellulose-SC; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 80%; Eluent B: Methanol 20%; Modifier: No modifier. RT 2.95 min
604	SFC; Method Type: Analytical Separation; Device: THAR SFC (70205565); Column: YMC Cellulose-SC; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 80%; Eluent B: Methanol 20%; Modifier: No modifier. RT 3.74 min
630	CHIRALPAKIK3; Mobile Phase A: Hex(0.1%DEA): EtOH=80: 20; Flow rate: 1mL/min mL/min; Gradient: isocratic ; Injection Volume: 3L mL; Rt: 2.38 min
631	CHIRALPAKIK3; Mobile Phase A: Hex(0.1%DEA): EtOH=80: 20; Flow rate: 1mL/min mL/min; Gradient: isocratic ; Injection Volume: 3L mL; Rt: 2.78 min

Cpd. No.	Conditions
641	Chiral HPLC Flow : 1.0 mL/min CHIRALPAK IA-3 4.6*50mm 3um Mobile phase : Hex(0.1%DEA):EtOH=80:20. Rt: 1.71 min
642	Chiral HPLC Flow : 1.0 mL/min CHIRALPAK IA-3 4.6*50mm 3um Mobile phase : Hex(0.1%DEA):EtOH=80:20. Rt: 2.78 min
646	SFC; Method Type: Analytical Separation; Device: Agilent 1260; Column: YMC Amylose-C; Wavelength (nm): 220; Flow (ml/min): 4; Eluent A: CO2 90%; Eluent B: Ethanol 10%; Modifier: No Modifier. Rt: 3.94 min
647	SFC; Method Type: Analytical Separation; Device: Agilent 1260; Column: YMC Amylose-C RT 4.92 min; Wavelength (nm): 220; Flow (ml/min): 4; Eluent A: CO2 90%; Eluent B: Ethanol 10%; Modifier: No Modifier; Rt: 4.92 min
648	Device: Thermo Ultimate3000; Column: Lux Cellulose-2; Wavelength (nm): 220; Flow (ml/min): 1; Eluent A: n-Heptan 80%; Eluent B: Ethanol 20%; Rt: 8.26 min
649	Device: Thermo Ultimate3000; Column: Lux Cellulose-2; Wavelength (nm): 220; Flow (ml/min): 1; Eluent A: n-Heptan 80%; Eluent B: Ethanol 20%; Rt: 13.37 min
655	SFC: Column: LUX Cellulose-2, Eluent A: CO2, Eluent B: Methanol, flow: 5 ml/min, 220 nm; Rt: 2.53 min
656	SFC: Column: LUX Cellulose-2, Eluent A: CO2, Eluent B: Methanol, flow: 5 ml/min, 220 nm; Rt: 3.53 min
670	SFC; Method Type: Analytical Separation; Device: THAR SFC; Column: ChiralPak IG; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 80%; Eluent B: Methanol 20%; Modifier: No Modifier; Rt: 6.18 min
671	Method: SFC SFC; Method Type: Analytical Separation; Device: THAR SFC; Column: ChiralPak IG; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 80%; Eluent B: Methanol 20%; Modifier: No Modifier; Rt: 7.74 min
676	Column: Lux Amylose-2; Flow (ml/min): 1; Eluent A: n-Heptan 50%; Eluent B: Ethanol 50%: Rt: 6.02 min
677	Column: Lux Amylose-2; Flow (ml/min): 1; Eluent A: n-Heptan 50%; Eluent B: Ethanol 50%: Rt: 7.00 min
714	SFC; Method Type: Analytical Separation; Device: Agilent 1260; Column: YMC Cellulose-SC; Wavelength (nm): 225; Flow (ml/min): 4; Eluent A: CO2 75%; Eluent B: Isopropanol 25%; Modifier: No Modifier. Rt 2.83 min
715	SFC; Method Type: Analytical Separation; Device: Agilent 1260; Column: YMC Cellulose-SC; Wavelength (nm): 225; Flow (ml/min): 4; Eluent A: CO2 75%; Eluent B: Isopropanol 25%; Modifier: No Modifier. Rt 3.59 min
722	SFC; Method Type: Preparative Separation; Device: THAR SFC; Column: ChiralPak IG; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 90%; Eluent B: Methanol 10%; Modifier: No Modifier; Rt: 5.48 min
723	SFC; Method Type: Preparative Separation; Device: THAR SFC; Column: ChiralPak IG; Wavelength (nm): 220; Flow (ml/min): 5; Eluent A: CO2 90%; Eluent B: Methanol 10%; Modifier: No Modifier; Rt: 6.38 min

Biological Data

SK-HEP-1 reporter assay ("reporter assay")

To identify inhibitors of YAP-TEAD interaction, 8x TEAD responsive elements driving the NanoLuc® luciferase gene were stably integrated into SK-HEP-1 cells (ECACC #: 91091816).

For the assay, cells were treated in duplicates with the test compounds in a 10-point dose, with the top concentration starting at 30µM (final concentration in assay). After a 24 hour incubation at 37°C, 95% rH, and 5% CO_2, a luciferase substrate / lysis reagent mix (NanoGlo™, Promega) was added to the cells, allowing the quantification of cellular luciferase activity.

Cell Media: The cells were cultured in the following media: MEM, +10% FBS, +1x GlutaMAX, +1mM Sodium-Pyruvate, + 100µM Non-essential amino acids, +0.1mg/ml Hygromycin. The media used for the assay was: MEM (w/o Phenol Red), +10% FBS, +1x GlutaMAX, +1mM Sodium-Pyruvate, + 100µM Non-essential amino acids, +0.5% Pen/Strep

Reagents: The reagents used are listed below:

Reagent	Manufacturer	Order No.
MEM	Sigma	2279-500ml
MEM (w/o Phenol Red)	Gibco	51200-046
FBS	PAN Biotech	P30-1502
GlutaMAX	Gibco	35050-038
Sodium Pyruvate	Gibco	11360
NEAA	Gibco	11140
Hygromycin	Sigma	10687-010
NanoGlo® Luciferase Assay System	Promega	N1150
Penicillin / Streptomycin	Invitrogen	15140
DPBS (1x)	Gibco	14190

Accutase	PAN Biotech	P10-21500

Cell culture: The cells were examined using an inverted microscope to check for health and cell density. To dissociate adherent cells, the monolayer of cells was washed once with pre-warmed PBS. After removing the PBS, 3 ml pre-warmed Accutase® was added to a F75 flask, dispersed evenly and the flask was allowed to sit in incubator for ~4-5 minutes.

When a single cell suspension was obtained, 7 ml of prewarmed growth media was added and resuspended with the cells. The cell suspension was transferred to a sterile 15 ml conical centrifuge tube, and spun for 5 min at 300xg, RT. The supernatant was discarded and the pellet was resuspended in 10 ml of pre-warmed growth media.

The total cell count was determined, and 20 µl of the desired cell number was added to each well of a 384 well plate using a Multidrop Combi. The plates were then incubated for 24 hours at 37°C, 95% rH, and 5% CO_2.

Compound treatment: 24 hours after seeding, the cells were treated with compounds.

A 1:333 dilution of compounds, diluted in DMSO, was made to get a final concentration of 0.3% DMSO per well. To transfer the compounds to the assay plate,120nl was shot from Labcyte low dead volume plates to the cell plates containing 20µl media/well with the ECHO 555 liquid handling system. After treatment, the cells were fed with 20µl fresh pre-warmed assay media using a Multidrop combi.

The assay plates were then incubated for another 24h at 37°C, 95% rH, and 5% CO_2.

Luciferase readout: 24 h after treatment, the plates were taken out of the incubator and were allowed to equilibrate to RT. 30 µl of NanoGlo® reagent was added to the plates in the dark. Plates were shaken for 20 min on a Teleshake (~1500 rpm) in the dark. The luminescence was then measured

using an EnVision microplate reader. The IC50 values were generated using Genedata Screener®.

Viability assay in H226 (Yap-dependent) and SW620 Yap KO (Yap independent) cells

The ability of YAP-TEAD inhibitors to inhibit tumor cell growth was evaluated using two different cell lines: NCI-H226, which is a YAP dependent cell line, and SW620 cells, where YAP and TAZ were knocked out using CRISPR to generate a YAP independent cell line.

For the assay, cells were treated in duplicates with the test compounds in a 10-point dose, 1:3 dilution steps, with the top concentration starting at 30µM (final concentration in assay). After a 96 hour incubation at 37°C, 95% rH, and 5% CO_2, a cell-permeant DNA-binding dye that stains only healthy cells (CyQUANT®, Promega) was added to the cells, allowing the quantification of cell viability.

Cell Media: The NCI-H226 cells were cultured in the following media: RPMI 1640, +10% FBS, +1x GlutaMAX, +10mM HEPES, + 0.5% Pen/Strep. The SW620-KO cells were cultured in the following media: DMEM/F-12, +10% FBS, +1x GlutaMAX, +10mM HEPES, +0.5% Pen/Strep.

Reagents: The reagents used are listed below:

Reagent	Manufacturer	Order No.
DMEM/F12	Gibco	21331
RPMI 1640	Gibco	31870
FBS	PAN Biotech	P30-1502
GlutaMAX	Gibco	35050-038
HEPES	Gibco	15630
CyQuant®	Promega	C35012
Penicillin / Streptomycin	Invitrogen	15140
DPBS (1x)	Gibco	14190

Accutase	PAN Biotech	P10-21500

Cell culture: The cells were examined using an inverted microscope to check for health, cell density, etc. To dissociate adherent cells, the monolayer of cells was washed once with pre-warmed PBS. After removing the PBS, 3ml pre-warmed Accutase was added to a F75 flask, dispersed evenly and the flask was allowed to sit in incubator for ~4-5 minutes.

When a single cell suspension was obtained, 7ml of prewarmed growth media was added and resuspended with the cells. The cell suspension was transferred to a sterile 15 ml conical centrifuge tube, and spun for 5min at 300xg, RT. The supernatant was discarded and the pellet was resuspended in 10ml of pre-warmed growth media.
The total cell count was determined, and 20µl of the desired cell number was added to each well of a 384 well plate using a Multidrop Combi. The plates were then incubated for 24 hours at 37°C, 95% rH, and 5% CO_2.

Compound treatment: 24 hours after seeding, the cells were treated with compounds.
A 1:333 dilution of compounds, diluted in DMSO, was made to get a final concentration of 0.3% DMSO per well. To transfer the compounds to the assay plate,120nl was shot from Labcyte low dead volume plates to the cell plates containing 20µl media/well with the ECHO 555 liquid handling system. After treatment, the cells were fed with 20µl fresh pre-warmed assay media using a Multidrop combi.
The assay plates were then incubated for 96h at 37°C, 95% rH, and 5% CO_2.

CyQuant® Measurement
96h after treatment 30µl of CyQuant® reagent was added to the assay plates using a Multidrop combi in the dark. The plates were then incubated for 1 hour at 37°C, 95% rH and 5% CO2. Thereafter, the assay plates were removed from the incubator and allowed to equilibrate to RT for 30min in the

dark without lid. Finally, they were measured using an EnVision microplate reader with a FITC bottom read program.

Viability assay in H292 cells ("H292 viability assay")

This assay is used to identify compounds which inhibit cell growth in YAP dependent cells. For the assay, cells were treated in duplicates with the test compounds in a 10-point dose, with the top concentration starting at 30µM (final concentration in assay). After a 96 hour incubation at 37°C, 95% rH, and 5% CO_2, a cell permeant DNA binding dye (CyQUANT®) was added to the cells, allowing the quantification of cellular viability.

Cell Media: The cells were cultured in the following media: RPMI 1640, +10% FBS, +1x GlutaMAX, +1mM Sodium-Pyruvate, +10mM HEPES, +1% Pen/Strep.

Reagents: The reagents used are listed below:

Reagent	Manufacturer	Order No.
RPMI 1640	Invitrogen	31870
FBS	PAN Biotech	P30-3306
GlutaMAX	Gibco	35050-061
Sodium Pyruvate	Gibco	11360
HEPES	Invitrogen	15630
Penicillin / Streptomycin	Invitrogen	15140
DPBS (1x)	Gibco	14190
CyQUANT®	Promega	Kit# C35012

Cell culture: The cells were examined using an inverted microscope to check for health and cell density. To dissociate adherent cells, the monolayer of cells was washed once with pre-warmed PBS. After removing the PBS, 3 ml pre-warmed Accutase® was added to a F75 flask, dispersed evenly and the flask was allowed to sit in incubator for ~4-5 minutes.

When a single cell suspension was obtained, 7 ml of prewarmed growth media was added and resuspended with the cells. The cell suspension was

transferred to a sterile 15 ml conical centrifuge tube, and spun for 5 min at 300xg, RT. The supernatant was discarded and the pellet was resuspended in 10 ml of pre-warmed growth media.

The total cell count was determined, and 20 µl of the desired cell number was added to each well of a 384 well plate using a Multidrop Combi. The plates were then incubated for 24 hours at 37°C, 95% rH, and 5% CO_2.

Compound treatment: 24 hours after seeding, the cells were treated with compounds.

A 1:333 dilution of compounds, diluted in DMSO, was made to get a final concentration of 0.3% DMSO per well. To transfer the compounds to the assay plate,120nl was shot from Labcyte low dead volume plates to the cell plates containing 20µl media/well with the ECHO 555 liquid handling system. After treatment, the cells were fed with 20µl fresh pre-warmed assay media using a Multidrop combi.

The assay plates were then incubated for another 24h at 37°C, 95% rH, and 5% CO_2.

CyQuant® Measurement: 96 h after treatment 30 µl of 2x CyQuant® staining solution, which was prepared in 1:5 ratio of suppressor to stain was added to the plates in the dark. Plates were incubated for 1 h at 37°C, 95% rH, and 5% CO_2. Then the assay plate was equilibrated to RT for 30 minutes in the dark. The fluorescence was then measured using an EnVision microplate reader using a FITC filter. The IC50 values were generated using Genedata Screener®.

Experimental data in SK-HEP-1 reporter assay of the compounds shown in Table 1and Table 1A are shown in Table 2 below and classified in the following groups:

Group A	IC_{50} is in the range of 1 nM to 100 nM
Group B	IC_{50} is in the range of >100 nM to 1000 nM

Group C IC_{50} is in the range of >1000 nM to 10000 nM
Group D IC_{50} is in the range >10000 to 30000 nM
n.d. Not detectable below threshold given in parantheses

Experimental data in the viability assays of the compounds shown in Table 1 and Table 1A are shown in Table 2 below and classified in the following groups:

Group A IC_{50} is in the range of 1 nM to 100 nM
Group B IC_{50} is in the range of >100 nM to 1000 nM
Group C IC_{50} is in the range of >1000 nM to 10000 nM
Group D IC_{50} is in the range >10000 to 30000 nM
n.d. Not detectable below threshold given in parantheses

Table 2

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
1	A	C	A	n.d. (30000)
2	B	C	A	D
3	A	B	A	n.d. (30000)
4	A	D	A	n.d (30000)
5	A	B	A	n.d. (3000)
6	B		B	n.d. (3000)
7	B		A	
8			n.d..(30000)	
9			B	
10	B	D	B	n.d. (3000)
11	B		A	
12	B		B	
13	B		A	n.d. (30000)
14	B		A	n.d. (30000)
15	B		B	
16	B		A	n.d. (30000)
17	B		A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
18	n.d. (3000)	n.d. (9500)	n.d. (10000)	n.d. (3000)
19			B	
20			D	
21	B	D	A	n.d. (30000)
22	B	D	A	D
23	A		A	n.d. (3200)
24	B		B	n.d. (3200)
25			C	
26			B	
27	B	n.d. (9500)	B	n.d. (30000)
28	A		A	n.d. (30000)
29	B		B	n.d. (30000)
30	n.d. (30000)		n.d. (30000)	n.d. (30000)
31	C		C	C
32	B		B	n.d. (3000)
33	B		B	n.d. (3000)
34			n.d. (10000)	
36	B		A	n.d. (3000)
37	A		A	n.d. (3000)
38	C		B	n.d. (3000)
39			C	
40	C		B	n.d. (3000)
41	B	D	A	n.d. (30000)
42	n.d. (30000)		n.d. (0.095)	n.d. (30000)
43			n.d. (30000)	
44			n.d. (30000)	
45			D	
46			C	
47			B	
48			B	
49			C	
50	B		B	C
51			n.d. (30000)	
52	C		B	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
53	C		B	n.d. (30000)
54	A	B	A	n.d. (3000)
55			C	
56			C	
57			n.d. (30000)	
58			C	
59			n.d. (30000)	
60	B		B	n.d. (3000)
61	B	D	A	n.d. (30000)
62	B		B	n.d. (3000)
63			C	
64			C	
65	A	A	A	C
66			C	
67	B		B	
68			B	
69			C	
70	B		B	C
71	D		n.d. (3000)	n.d. (30000)
72	n.d. (30000)		n.d. (30000)	n.d. (30000)
73	B		A	C
74	C		B	n.d. (3000)
75	C		C	n.d. (3000)
76	C		B	
77	B		B	n.d. (3000)
78	A		A	n.d. (30000)
79	n.d. (30000)		n.d. (30000)	n.d. (30000)
80			B	
81			B	
82	B		A	n.d. (30000)
83			D	
84	B		A	B
85	B		A	D
86	A		A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
87	B		B	
88			B	
89			B	
90			B	
91	B		B	
92	A		A	n.d. (3000)
93	B		A	
94	B		B	n.d. (3000)
95	B		B	n.d. (3000)
96	B		B	
97	B		A	n.d. (30000)
98			B	
99	B		A	
100	A	C	A	
101	A	C	A	n.d. (3000)
102	B		B	n.d. (3000)
103	B		A	n.d. (3000)
104	B		B	n.d. (3000)
105	B		B	n.d. (3000)
106			D	
107	A	C	A	n.d. (3000)
108	C	D	B	n.d. (30000)
109	B	n.d. (9500)	B	n.d. (3000)
110	B	C	A	
111	B	n.d. (9500)	A	n.d. (3000)
112	C		n.d. (30000)	n.d. (3000)
113			B	
114			C	
115	B		A	n.d. (30000)
116			B	
117	C	D	B	n.d. (3000)
118			B	
119	A		A	n.d. (30000)
120			B	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
121	A	B	A	n.d. (30000)
122	A		A	n.d. (3200)
123			B	
124			C	
125			C	
126	C	D	B	n.d. (30000)
127			B	
128	B		B	n.d. (30000)
129			C	
130			C	
131	A		A	
132	B	D	B	n.d. (30000)
133	B		B	n.d. (3000)
134	C		C	n.d. (30000)
135	B		B	n.d. (300)
136	A		A	n.d. (30000)
137	A		A	n.d. (30000)
138	B		B	n.d. (30000)
139	A		A	n.d. (3000)
140	B		B	n.d. (30000)
141	B		B	n.d. (30000)
142	A		A	n.d. (3000)
143	B		B	n.d. (30000)
144			B	
145	A		A	n.d. (30000)
146	A		A	n.d. (30000)
147			B	
148	A	C	A	n.d. (30000)
149	n.d. (30000)	C	n.d. (30000)	n.d. (30000)
150	A	C	A	n.d. (3000)
151	A	C	A	n.d. (30000)
152			B	
153	C		B	n.d. (3000)
154			C	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
155			C	
156	C		A	n.d. (30000)
157	A	B	A	n.d. (30000)
158	A		A	n.d. (30000)
159	B		B	n.d. (3000)
160	A	B	A	n.d. (3000)
161	A		A	n.d. (3000)
162	C		C	n.d. (30000)
163			C	
164	A	C	A	n.d. (30000)
165	A	B	A	n.d. (30000)
166			B	
167	B		A	n.d. (3000)
168	B		A	n.d. (3000)
169	A	C	A	n.d. (30000)
170			C	
171			B	
172			B	
173			B	
174			B	
175			n.d. (950)	
176			n.d.(9500)	
177	B		B	n.d. (3000)
178	A	C	A	n.d. (3000)
179	A	C	A	n.d. (30000)
180			B	
181			C	
182	A		A	n.d. (30000)
183	A	C	A	n.d. (30000)
184	B		B	n.d. (30000)
185			D	
186	A		A	n.d. (3000)
187			B	
188			C	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
189	B		A	n.d. (30000)
190	A		A	n.d. (30000)
191			B	
192			B	
193	A	B	A	n.d. (30000)
194			B	
195			B	
196			C	
197	A		A	n.d. (30000)
198			B	
199			C	
200	A		A	n.d. (30000)
201	B		B	n.d. (3000)
202			C	
203	A	C	A	n.d. (30000)
204			n.d. (3000)	
205			C	
206			C	
207			n.d. (30000)	
208			n.d. (950)	
209	B		B	n.d. (300)
210	C		B	n.d. (3000)
211	C		A	n.d. (300)
212			n.d. (30000)	
213	A	A	A	n.d. (30000)
214	A		A	n.d. (3000)
215	A	C	A	n.d. (30000)
216	B		B	n.d. (30000)
217	B		B	n.d. (30000)
218	A	B	A	n.d. (3000)
219	B		A	n.d. (30000)
220	B	n.d. (30000)	B	n.d. (30000)
221	A		A	n.d. (30000)
222	B		B	n.d. (3000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
223	B		B	n.d. (30000)
224			B	
225	A		A	n.d. (30000)
226			C	
227			C	
228	B		A	n.d. (30000)
229	B		B	n.d. (30000)
230	B		B	n.d. (30000)
231	B		B	n.d. (30000)
232	B		A	n.d. (30000)
233	C		B	n.d. (30000)
234	B		B	n.d. (30000)
235	B		B	n.d. (30000)
236	B		B	n.d. (30000)
237	B		B	n.d. (30000)
238	A	A	A	n.d. (30000)
239	A	D	B	n.d. (30000)
240	B		B	n.d. (30000)
241	B		A	n.d. (3000)
242	B		B	n.d. (3000)
243	B		B	n.d. (3000)
244	B		A	n.d. (3000)
245	A	C	A	n.d. (3000)
246	B		B	n.d. (3000)
247	A	C	A	n.d. (3000)
248	A	C	A	n.d. (3000)
249	A	C	A	n.d. (3000)
250	A	C	B	n.d. (30000)
251	A		A	n.d. (3000)
252			B	
253	B	C	B	n.d. (30000)
254	B		B	n.d. (3000)
255	A		A	n.d. (3000)
256	A	n.d. (30000)	A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
257	B		A	n.d. (30000)
258	A	B	A	n.d. (3000)
259	A		A	
260	B		A	n.d. (30000)
261	C		B	n.d. (30000)
263	B		B	B
264	A		B	n.d. (30000)
265	B		A	n.d. (30000)
266	B		B	n.d. (3000)
267	B		B	n.d. (3000)
268	B		B	n.d. (30000)
269			B	
270			B	
271			C	
272	A		A	n.d. (30000)
273			C	
274			C	
275	A	C	A	n.d. (3000)
276			B	
277			C	
278	A		A	n.d. (30000)
279	A	C	B	n.d. (30000)
280			B	
281	A	A	A	n.d. (3000)
282	A	B	B	n.d. (3000)
283	A	B	A	n.d. (3000)
284	A	B	B	n.d. (30000)
285			B	
286	B		B	n.d. (30000)
287			C	
288			B	
289	B		B	n.d. (30000)
290	A	B	A	n.d. (30000)
291	A	B	A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
292	B		B	n.d. (30000)
293	A	C	A	n.d. (3000)
294	B		A	n.d. (3000)
295	A	C	B	n.d. (3000)
296	C		C	n.d. (3000)
297	C		C	D
298	A	A	A	n.d. (3000)
299	A	B	A	n.d. (3000)
300	B		B	n.d. (30000)
301	B		B	n.d. (30000)
302	A	B	A	n.d. (30000)
303	A	B	A	n.d. (30000)
304	A	B	A	n.d. (3000)
305	C		B	n.d. (30000)
306	A	B	A	n.d. (30000)
307	B		B	n.d. (3000)
308	A		A	n.d. (30000)
309	A	A	A	C
310	A	A	A	n.d. (3000)
311	A	B	A	n.d. (30000)
312	A	B	A	n.d. (30000)
313	B		B	n.d. (30000)
314	A	B	A	n.d. (30000)
315	A	B	A	C
316	A	C	A	n.d. (30000)
317	A	A	A	
318	A	B	A	n.d. (30000)
319	B	B	A	n.d. (30000)
320	B	D	B	n.d. (30000)
321	A	C	A	n.d. (3000)
322	C		C	n.d. (30000)
323	A	B	B	n.d. (30000)
324	A	C	A	n.d. (3000)
325	A	B	A	n.d. (3000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
326	A	B	A	D
327	B	D	B	n.d. (3000)
328	B	C	B	n.d. (3000)
329	A	B	A	n.d. (30000)
330	A	B	A	n.d. (30000)
331	A	n.d. (30000)	A	n.d. (30000)
332	A	C	A	n.d. (30000)
333	A	A	A	n.d. (3000)
334	A	C	A	n.d. (30000)
335	A	C	A	n.d. (30000)
336	A	B	A	n.d. (30000)
337	B	C	B	n.d. (300)
338	A	B	A	n.d. (30000)
339	A	B	A	n.d. (3000)
340	A	B	A	n.d. (30000)
341	A	C	A	n.d. (30000)
342	A	B	A	n.d. (30000)
343	A	B	A	n.d. (3000)
344	B	C	B	n.d. (30000)
345	A	B	A	n.d. (30000)
346	A	B	A	n.d. (30000)
347	B	C	B	n.d. (30000)
348	A	B	B	n.d. (3000)
349	A	C	B	n.d. (30000)
350	A	B	A	n.d. (30000)
351	A	B	A	n.d. (30000)
352	A	n.d. (9500)	A	n.d. (30000)
353	B	C	B	n.d. (30000)
354	A	B	A	n.d. (3000)
355	A	B	A	n.d. (3000)
356	B	C	B	n.d. (30000)
357	A	C	A	C
358	A	B	A	n.d. (3000)
359	A	B	A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
360	B	C	B	n.d. (30000)
361	A	C	B	D
362	A	B	A	n.d. (3000)
363	A	B	n.d. (30000)	n.d. (30000)
364	A	B	n.d. (3000)	n.d. (30000)
365	A	B	A	D
366	A	A	n.d. (950)	n.d. (30000)
367	B	D	B	n.d. (30000)
368	A	B	A	D
369	A	B	A	n.d. (30000)
370	A	B	A	n.d. (30000)
371	B	D	B	n.d. (30000)
372	A	B	A	n.d. (3000)
373	A	B	A	n.d. (30000)
374	A	B	A	n.d. (3000)
375	A	A	A	n.d. (3000)
376	B	C	B	n.d. (30000)
377	A	B	A	n.d. (3000)
378	B	D	B	n.d. (30000)
379	B	n.d. (30000)	B	n.d. (30000)
380	A	B	A	n.d. (3000)
381	B	C	A	n.d. (30000)
382	A	C	A	n.d. (30000)
383	A	B	A	n.d. (3000)
384	A	C	A	n.d. (30000)
385	B	C	C	n.d. (30000)
386	A	C	A	n.d. (30000)
387	A	B	A	n.d. (30000)
388	A	B	A	n.d. (30000)
389	A	B	A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
390	B	D	B	n.d. (30000)
391	A	B	A	n.d. (3000)
392	A	B	A	n.d. (30000)
393	A	C	A	n.d. (30000)
394	A	C	A	n.d. (30000)
395	A	B	A	n.d. (30000)
396	A	C	A	n.d. (30000)
397	A	C	A	n.d. (30000)
398	A	C	A	n.d. (30000)
399	A		A	n.d. (30000)
400	B		B	n.d. (30000)
401	A		A	n.d. (30000)
402	A		A	n.d. (3000)
403	A	B	A	n.d. (3000)
404	A	B	A	n.d. (30000)
405	A	B	A	n.d. (3000)
406	B		A	n.d. (30000)
407	B		A	n.d. (30000)
408	A		A	n.d. (3000)
409	B		A	n.d. (30000)
410	A		A	n.d. (30000)
411	A		A	n.d. (3000)
412	A		A	n.d. (3000)
413	B		B	n.d. (30000)
414	A		A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
415	B		A	n.d. (30000)
416	A		A	n.d. (30000)
417	A		A	n.d. (3000)
418	A		A	n.d. (3000)
419	B		A	n.d. (30000)
420	A		A	n.d. (30000)
421	A		A	n.d. (30000)
422	A		A	n.d. (30000)
423	A		A	n.d. (30000)
Cpd. No.	**H226 viability**	**H292 viability**	**reporter**	**SW620 KO**
424	A		A	n.d. (30000)
425	A		A	n.d. (30000)
426	A		B	n.d. (30000)
427	B		B	n.d. (3000)
428	A		A	n.d. (30000)
429	C		C	n.d. (30000)
430	A		A	n.d. (30000)
431	A		A	n.d. (3000)
432	A		A	n.d. (30000)
433	A		A	n.d. (30000)
434	A		A	n.d. (30000)
435	B		B	n.d. (30000)
436	A		B	n.d. (30000)
437	B		B	n.d. (30000)
438	B		A	n.d. (3000)
439	A		A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
440	B		B	n.d. (3000)
441	A		A	n.d. (30000)
442	B		B	n.d. (3000)
443	A		B	n.d. (30000)
444	A		A	n.d. (30000)
445	B		B	n.d. (3000)
446	B		B	C
447	B		B	n.d. (30000)
448	A		A	n.d. (3000)
449	B		A	n.d. (3000)
450	B		A	n.d. (3000)
451	A		A	n.d. (<=30000)
452	B		B	n.d. (30000)
453	A		A	n.d. (30000)
454	A		A	n.d. (30000)
455	A		A	n.d. (30000)
456	B		A	n.d. (3000)
457	A		A	n.d. (3000)
458	A		A	n.d. (3000)
459	A		A	n.d. (30000)
460	A		A	n.d. (30000)
461	B		A	n.d. (30000)
462	A		A	n.d. (<=30000)
463	A		A	n.d. (3000)
464	A		A	n.d. (<=30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
465	A		A	n.d. (30000)
466	B		B	n.d. (>30000)
467	A		A	n.d. (30000)
468	A		A	n.d. (30000)
469	A		A	n.d. (3000)
470	C		C	n.d. (30000)
471	A		A	n.d. (30000)
472	A		A	n.d. (30000)
473	A		A	n.d. (30000)
474	A		A	n.d. (3000)
475	D		C	n.d. (30000)
476	A		B	n.d. (3000)
477	B		B	n.d. (<=30000)
478	A		A	n.d. (<=30000)
479	A		A	n.d. (30000)
480	A		A	n.d. (3000)
481	A		A	n.d. (3000)
482	A		A	n.d. (3000)
483	B		B	n.d. (3000)
484	B		B	n.d. (3000)
485	A		A	n.d. (3000)
486	A		A	n.d. (3000)
487	A		A	n.d. (3000)
488	A		A	n.d. (30000)
489	A		A	n.d. (3000)
490	A		A	n.d. (3000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
491	A		A	n.d. (3000)
492	A		A	n.d. (>30000)
493	A		A	n.d. (<=30000)
494	B		B	n.d. (<=30000)
495	A		A	n.d. (3000)
496	B		A	n.d. (<=30000)
497	A		A	n.d. (30000)
498	A		A	C
499	A		A	n.d. (30000)
500	A		A	n.d. (30000)
501	A		A	n.d. (30000)
502	A		A	n.d. (30000)
503	A		A	n.d. (30000)
504	A		A	n.d. (30000)
505	A		B	n.d. (30000)
506	C		B	n.d. (30000)
507	A		A	n.d. (30000)
508	A		A	n.d. (3000)
509	A		A	n.d. (30000)
510	B		B	n.d. (30000)
511	A		A	n.d. (30000)
512	A		A	n.d. (3000)
513	A		A	n.d. (30000)
514	B		A	n.d. (3000)
515	B		A	n.d. (<=30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
516	B		B	C
517	B		B	n.d. (30000)
518	B		B	n.d. (30000)
519	n.d. (300)		C	n.d. (30000)
520	A		A	n.d. (30000)
521	A		A	n.d. (30000)
522	A		A	n.d. (30000)
523	A		A	n.d. (3000)
524	A		A	n.d. (30000)
525	A		A	n.d. (3000)
526	B		A	n.d. (30000)
527	A		A	n.d. (3000)
528	B		B	n.d. (30000)
529	B		A	n.d. (30000)
530	A		A	n.d. (30000)
531	A		A	n.d. (30000)
532	C		B	n.d. (3000)
533	A		A	n.d. (30000)
534	A		A	n.d. (30000)
535	B		A	n.d. (30000)
536	A		A	n.d. (<=30000)
537	A		A	n.d. (30000)
538	A		A	n.d. (3000)
539	B		B	n.d. (30000)
540	B		A	n.d. (3000)
541	A		A	n.d. (3000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
542	A		A	n.d. (3000)
543	A		A	n.d. (30000)
544	B		A	n.d. (30000)
545	C		C	n.d. (<=30000)
546	B		B	n.d. (30000)
547	B		B	n.d. (30000)
548	D		C	n.d. (<=30000)
549	C		C	n.d. (3000)
550	B		B	D
551	n.d. (>30000)		C	n.d. (30000)
552	D		C	n.d. (30000)
553	A		A	n.d. (30000)
554	C		C	n.d. (<=30000)
555	B		B	n.d. (30000)
556	D		B	n.d. (3000)
557	D		D	n.d. (30000)
558	D		C	C
559	B		B	n.d. (30000)
560	C		D	C
561	A		A	n.d. (30000)
562	A		A	n.d. (30000)
563	C		B	n.d. (30000)
564	A		A	n.d. (30000)
565	C		D	C
566	B		A	n.d. (30000)

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
567	C		B	n.d. (3000)
568	B		B	n.d. (3000)
569	C		B	n.d. (30000)
570	C		B	n.d. (30000)
571			B	
572	B		C	n.d. (30000)
573	B		B	n.d. (30000)
574			B	
575	A		A	n.d. (30000)
576			B	
577			B	
578			B	
579			A	
580	A		A	n.d. (3000)
581			A	
582			A	
583	A		A	n.d. (3000)
584			A	
585			A	
586			C	
587	A		A	n.d. (30000)
588			C	
589			A	
590			A	
591			A	
592			B	
593			A	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
594			A	
595			B	
596	A		A	n.d. (30000)
597			C	
598				
599			A	
600			A	
601			A	
602			A	
603			A	
604			A	
605			A	
606			B	
607			A	
608			A	
609			A	
610			C	
611			C	
612			D	
613			A	
614			A	
615			C	
616			A	
617			A	
618			A	
619			A	
620			B	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
621			C	
622			A	
623			B	
624			B	
625			A	
626			A	
627			A	
628			B	
629			B	
630			B	
631			A	
632			B	
633			A	
634			B	
635			A	
636				
637			A	
638			B	
639			A	
640			B	
641			B	
642			B	
643			A	
644			B	
645			A	
646			B	
647	A		A	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
648			B	
649			C	
650			A	
651			B	
652			A	
653			C	
654			B	
655			B	
656			B	
657			B	
658			A	
659			B	
660			B	
661			B	
662			A	
663			B	
664			A	
665			B	
666			B	
667			B	
668			A	
669			A	
670	A		A	n.d. (30000)
671			B	
672			A	
673			B	
674			B	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
675			B	
676			A	
677			B	
678			B	
679	A		A	n.d. (30000)
680	A		A	n.d. (30000)
681			B	
682			B	
683			B	
684			A	
685			A	
686			A	
687			A	
688	A		A	n.d. (3000)
689	A		A	n.d. (<=30000)
690	B		B	n.d. (<=30000)
691	B		A	n.d. (30000)
692	A		A	n.d. (30000)
693			B	
694			A	
695			A	
696			A	
697			A	
698			A	
699			A	
700			A	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
701			B	
702			A	
703			A	
704			B	
705			A	
706			A	
707			C	
708			B	
709			A	
710			A	
711			A	
712			A	
713			A	
714			A	
715			A	
716			A	
717			B	
718			A	
719			A	
720			A	
721			A	
722			A	
723			A	
724			B	
725			B	
726			A	
727			A	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
728			B	
729			A	
730			A	
731			A	
732			A	
733			B	
734			B	
735			B	
736			B	
737	A		A	n.d. (30000)
738	C		B	n.d. (30000)
739	A		A	n.d. (30000)
740			A	
741			A	
742			A	
743			A	
744			A	
745			A	
746			A	
747			A	
748			A	
749			A	
750			A	
751			A	
752			B	
753			n.d. (30000)	
754			n.d. (30000)	

Cpd. No.	H226 viability	H292 viability	reporter	SW620 KO
755			A	
756			B	

Thermal shift assay

Nano Differential Scanning Fluorimetry. NanoDSF was performed on a Prometheus NT.48 instrument (NanoTemper Technologies GmbH). Protein sample was briefly centrifuged before preparation. The final reaction mixture contained 11.8 µM of hsTEAD1 (209-426), 7.3 µM of hsTEAD2 (217-447)-His, 7.9 µM of hsTEAD3 (216-435) or 11.7 µM of hsTEAD4 (217-434)-Thrb-His diluted in 20 mM Tris pH 8.0, 150 mM NaCl, 0.5 mM TCEP to which 1 µL DMSO 100% (apo) or 100 µM of each compound were added. This incubation was performed at 4°C for 2 h. High sensitivity capillaries (NanoTemper Technologies) were filled with 10 µL of sample and placed on the Prometheus NT.48 sample holder. A temperature gradient of 1°C/min was applied from 25°C to 90°C.The intrinsic protein fluorescence at 330 and 350 nm and light scattering were recorded. Data were analysed with respect to the fluorescence (330 nm) and/or aggregation values. The melting temperatures (Tm) were obtained by calculating the midpoint of each transition, using the PR.ThermControl Software™ version 2.16 and Stability Analysis Software™ version 1.1. The thermal stability and aggregation effect of each compound was calculated by subtracting the Tm values in the presence of each compound to those obtained in the presence of DMSO. A change in the Tm was considered significant when the $|\Delta Tm| \geq 2$ °C. Samples were tested in duplicates or triplicates.

Table 3

Cpd. No.	abs TEAD1 delta T [°C]	abs TEAD2 delta T [°C]	abs TEAD3 delta T [°C]	abs TEAD4 delta T [°C]
1	5.9	10.3	0.9	14.7
3	10	11.7	1.7	18.8
4	5	8.3	0.6	16.3
5	11.3	12	7	18.8
7	5.8	10.3	1.1	14.4
10	3.6	4.8	0.7	9.9
21	7.1	12.5	2.2	17.2
23	11.8	13	3.5	17.5
27	8.3	10.2	4.9	13.0
28	14.6	13.6	7.9	17.9
41	2.5	4.4	-0.3	9.0
54	15.9	11.4	10	17.1
61	6.4	7.7	2.5	11.4
65	8.6	2.2	3.7	7.2
70	10.2	1.5	0.7	6.9
78	11.1	7.8	5.5	14.1
84	2.5	4.8	0.1	7.6
86	5.3	8.2	1.3	9.1
92	11.1	10.8	7.2	16.1
105	3.8	7.5	-0.1	10.0
110	7.8	9.5	5.8	14.6
119	11.4	12	8.8	16.6
121	11.4	12.3	6.9	12.9
128	12.6	11.0	9.3	15.1
130	7.3	3.3	2.7	9.3
131	14.6	10.3	10.3	12.6
133	10.0	8.4	4.8	12.8

Cpd. No.	abs TEAD1 delta T [°C]	abs TEAD2 delta T [°C]	abs TEAD3 delta T [°C]	abs TEAD4 delta T [°C]
135	8.5	5.7	2.1	7.2
137	14	9.1	7.9	12.2
138	6.1	9.6	7.2	12.7
139	9.4	8.4	10.2	12.1
142	11.2	6.4	6.9	10.6
160	13	9	7.6	12.4
174	5.0	6.2	0.1	11.1
178	9.4	14.4	8	15.8
179	8.6	6.4	3.3	14.2
189	7.7	9.7	4.8	18.2
193	7.8	4.3	2.8	7.8
197	8.8	5.4	3.2	8.1
203	9.1	6.4	3.8	9.2
215	7.6	5.6	2.0	12.3
247	8.5	7.6	7.2	9.9
250	8.3	10.2	3.1	9.9
255	12.1	8.8	7.1	13.3
258	12.9	9.1	7.2	10.5
261	9.8	6.6	7.4	8.9
263	3.2	3.7	0.7	4.1
265	5	7.7	2.3	10.7
283	6.3	3.4	0.9	9.9
290	10.7	10.8	2.9	10.3
293	11.3	7.8	8.4	11.9
295	6.4	6.9	1.9	6.5
299	12.1	13.1	11.8	16.3
302	11.3	9.4	7.6	10.1

Cpd. No.	abs TEAD1 delta T [°C]	abs TEAD2 delta T [°C]	abs TEAD3 delta T [°C]	abs TEAD4 delta T [°C]
306	14.3	10.7	10.9	14.2
309	19.7	9.4	6.5	10.3
310	18.1	12.4	11.4	17
315	17.5	4.8	1.4	8
326	11.5	9.4	8.9	13.3
333	12.2	13.2	12.3	17.1
336	14.2	11.2	11.3	14.8
339	20.3	10.5	8.9	16.1
340	10.1	12.3	9.6	15.0
342	13.7	11.3	10.8	14.0
343	12.1	13.1	11.7	15.5
345	9.0	12.2	9.7	13.8
346	13.1	11.3	10.3	12.7
350	15.6	10.6	10.8	14.0
351	12.6	12.8	11.7	15.9
355	11.3	9.1	9.9	11.7
359	16.6	11.4	10.1	15.2
363	10.3	6.3	9.1	10.8
365	14.6	11.4	13.9	18.9
366	12.7	9.4	12.3	16.7
369	10.9	9.4	6.7	15.4
373	7.0	10.6	8.1	12.4
379	5.8	9.5	7.2	12.3
382	11.5	9.9	6.3	13.9
384	8.2	9.6	5.5	12.0
386	8.8	8.8	7.7	12.5
387	10.5	10.2	8.6	12.1

Cpd. No.	abs TEAD1 delta T [°C]	abs TEAD2 delta T [°C]	abs TEAD3 delta T [°C]	abs TEAD4 delta T [°C]
388	12.3	10.1	2.8	10.8
392	19.1	13.0	13.0	19.5
393	13.8	12.6	7.2	14.2
394	9.5	8.7	4.3	11.6
395	16.4	11.5	12.5	15.8
396	10.8	9.1	2.2	10.6
399	12.7	12.8	10.6	16.5
400	4.5	8.9	5.3	10.6
404	11.9	10.9	4.9	13.3
405	19.4	13.3	13.9	21.6
406	6.1	7.2	3.7	12.0
407	8.7	9.1	6.5	11.1
408	10.4	8.4	5.0	13.6
414	8.8	4.8	-0.5	7.8
419	8.9	8.2	4.0	11.2
420	8.7	9.2	6.1	12.7
Cpd. No.	**abs TEAD1 delta T [°C]**	**abs TEAD2 delta T [°C]**	**abs TEAD3 delta T [°C]**	**abs TEAD4 delta T [°C]**
424	12	12	10	17
425	11	10	7	16
430	10	10	4	13
431	12	11	3	15
433	12	13	7	13
441	12	9	2	11
454	12	7	5	14
455	12	7	3	13
457	20	11	13	19
459	11	6	2	12
460	11	12	5	15
465	12	9	2	11

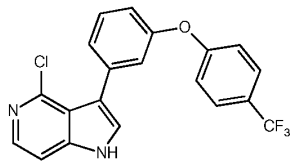
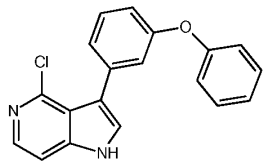
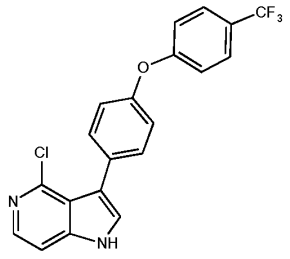
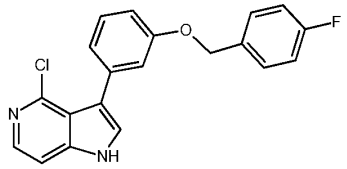
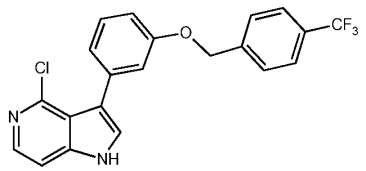
Cpd. No.	abs TEAD1 delta T [°C]	abs TEAD2 delta T [°C]	abs TEAD3 delta T [°C]	abs TEAD4 delta T [°C]
478	7	10	1	10
481	12	10	1	12
485	10	11	8	12
486	13	11	4	18
487	9	13	3	19
490	18	13	12	19
492	16	12	10	16
496	12	11	3	19
500	8	9	1	14
504	5	8	3	9
505	8	6	4	9
506	2	4	1	4
507	16	13	13	18
509	13	13	8	15
511	13	9	8	14
512	14	13	10	15
513	16	12	10	18
514	12	10	11	16
515	10	8	8	12
516	7	4	2	7
517	6	7	4	10
518	10	6	5	9
519	2	1	2	4
522	18	14	13	22
525	18	10	8	14
527	13	11	9	15
530	13	8	3	13
531	15	13	10	18
533	13	12	8	15
534	13	12	8	15
538	15	13	12	17
543	13	11	7	14
544	10	8	6	12
553	10	8	5	14

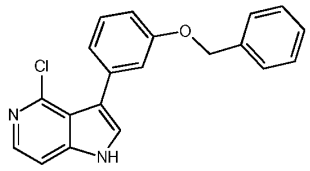
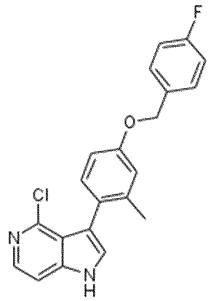
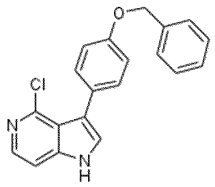
Cpd. No.	abs TEAD1 delta T [°C]	abs TEAD2 delta T [°C]	abs TEAD3 delta T [°C]	abs TEAD4 delta T [°C]
561	13	7	10	16
562	10	7	5	12
563	9	7	3	12
564	13	9	6	16
566	9	4	8	14
574	6	9	2	17
575	17	12	12	17
580	15	11	13	16
582	10	8	7	11
587	9	8	7	10
591	11	8	5	12
596	12	13	11	19
600	14	12	11	22
601	15	11	11	17
608	6	8	4	11
618	7	6	6	8
619	9	8	7	10
620	4	5	3	10
622	5	8	2	14
625	13	13	12	19
633	13	14	11	17
637	8	10	8	13
639	12	11	11	15
643	16	12	8	17
670	11	8	10	12
685	10	12	6	15
697	20	9	14	18
698	15	10	9	15
709	15	12	12	15
712	19	12	13	20
713	14	10	8	14

Comparative Examples

For the purpose of comparison, Table 4 below shows activity data in the H226 viability assay and the reporter assay of compounds according to the present invention and compounds (designated as "Comp-") which are not covered by formula I and not subject to the present invention:

Table 4

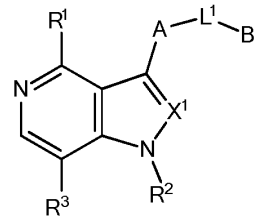
Cpd. No.	Structure	H226 viability [µM]	reporter [µM]
110		0.112	0.063
Comp-1		8.3	1.171
Comp-2			6.1
1		0.096	0.021
3		0.084	0.034

Cpd. No.	Structure	H226 viability [µM]	reporter [µM]
Comp-3		0.88	0.054
Comp-4			n.d. >=30
Comp-5			0.77

Comp-1, Comp-2, Comp-3, Comp-4, and Comp-5 are outside the scope of the present invention and can be obtained by synthetic methods similar to the methods applied for making the compounds of the present invention utilizing appropriate starting material. Comp-3 is disclosed as compound 56 in WO 2006/114180 A1.

Claims

1. Compound of formula I



I

wherein

X^1 denotes N or CR^{X1};

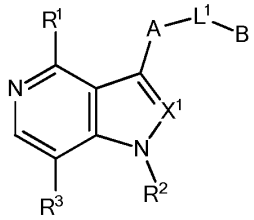
R^{X1} denotes H, halogen, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen, and/or OH;

R^1 denotes halogen, $-NH_2$, -CN, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen;

R^2 denotes H, Alk^2, Ar^2, $Hetar^2$, Cyc^2, $Hetcyc^2$, $-L^2-Ar^{2a}$, $-S(=O)_2R^f$;

R^3 denotes H, -CN, $-C(=O)-NH_2$ or halogen;

A denotes 1,3-phenylen or a monocyclic divalent heteroaryl with 5 or 6 rings atoms, wherein 1, 2, or 3 of said ring atoms are heteroatoms(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that 1,3-phenylen or monocyclic heteroaryl bears the bicyclic



ring system of the compound of formula I in 1-positon and that L^1-B radical of the compound of formula I in 3-position relative to that bicyclic ring system, wherein each of that 1,3-

phenylen or monocyclic hetereoaryl may further be unsubstituted or mono- or disubstituted with independently from each other halogen, straight-chain or branched C_{1-4}-alkyl, OC_{1-4}-alkyl, SC_{1-4}-alkyl, C_{3-7}-cycloalkyl, OC_{3-7}-cycloalkyl or SC_{3-7}-cycloalkyl, which C_{1-4}-alkyl, OC_{1-4}-alkyl, SC_{1-4}-alkyl, C_{3-7}-cycloalkyl, OC_{3-7}-cycloalkyl or SC_{3-7}-cycloalkyl is unsubstituted or substituted with 1, 2, or 3 halogen;

B denotes Ar^1, $Hetar^1$, Cyc^1, $Hetcyc^1$;

L^1 denotes -O-, -S-, $-N(R^4)-$, $-O-CH_2-$, $-O-CH(R^5)-$, $-O-SO_2-$, $-N(R^6)-CH_2-$, $-N(R^6)-C(=O)-$, $-CH_2-$, $-CH(R^7)-$, $-CH_2CH_2-$, $-CH_2-O-$;

R^4 denotes H, straight-chain or branched C_{1-6}-alkyl;

R^5, R^6, R^7 denote independently from each other straight-chain or branched C_{1-6}-alkyl;

L^2 denotes a divalent $-S(=O)_2-$ group;

Alk^2 denotes straight-chain or branched C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1}, R^{2a2} and/or R^{2a3};

Ar^1 denotes a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

Ar^a, Ar^2, Ar^{2a} denote independently from each other a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl may be unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

$Hetar^1$ denotes a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

$Hetar^a$, $Hetar^2$, $Hetar^{2a}$ denote independently from each other a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that

heteroaryl is unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

Cyc^1 denotes a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle may be un-substituted or substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

Cyc^a, Cyc^2, Cyc^{2a} denote independently from each other a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

$Hetcyc^1$ denotes a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

$Hetcyc^a$, $Hetcyc^2$, $Hetcyc^{2a}$ denote independently from each other a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^{2a1}, R^{2a2}, R^{2a3} denote independently from each other halogen, $-CF_3$, $-CN$, $-NH_2$, $-NHR^a$, $-NR^aR^b$, $-OH$, $-OR^c$, $-P(=O)R^dR^e$, $-SH$, $-SR^f$, $-S(=O)R^f$, $-S(=O)_2R^f$, $-S(=O)(=NR^g)R^f$, $-N=S(=O)R^fR^h$, $-C(=O)NH_2$, $-C(=O)NHR^a$, $-C(=O)NR^aR^b$, $-C(=O)OH$, $-C(=O)OR^c$, $-NH-C(=O)-R^i$, Cyc^{2a}, $Hetar^{2a}$, $Hetcyc^{2a}$;

and/or

two of R^{2a1}, R^{2a2}, R^{2a3} which are attached to the same carbon atom form together a divalent oxo (=O) group;

R^a, R^b denote independently from each other straight-chain or branched C_{1-6}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen; Ar^a, Cyc^a, $Hetar^a$, $Hetcyc^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated, partially unsaturated or aromatic heterocycle with 3, 4, 5, 6, 7 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N, O or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^c denotes straight-chain or branched C_{1-4}-alkyl, C_{2-4}-alkenyl or C_{2-4}-alkinyl, each of which may be unsubstituted or substituted with -OH; C_{3-7}-cycloalkyl which may be unsubstituted or substituted with -OH and/or halogen;

R^d, R^e denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^f, R^h denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^g denotes H, straight-chain or branched C_{1-6}-alkyl;

R^i denotes H, straight-chain or branched C_{1-6}-alkyl;

R^{B1}, R^{B2}, R^{B3}, R^{B4}, R^{B5} denote independently from each other halogen; -OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} denote independently from each other halogen; OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which is unsubstituted or substituted with 1 or 2 OH and/or 1, 2, or 3 halogen;

and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same carbon atom of said carbocycle or said heterocycle form a divalent oxo (=O) group;

and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur (S) atom of said heterocycle form a divalent oxo (=O) group while at the same time two further of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur atom form either a divalent oxo group or a divalent =N-H or =N-C_{1-4}-alkyl group, thereby forming an -$S(=O)_2$, -S(=O)(=NH), or -S(=O)(=N-C_{1-4}-alkyl) moiety;

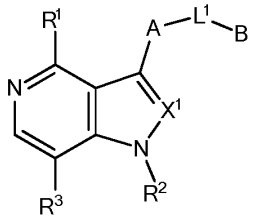
R^{C1}, R^{C2}, R^{C3} denote independently from each other halogen; C_{1-4}-alkyl, -SC_{1-4}-alkyl or -OC_{1-4}-alkyl, each of which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11} denote independently from each other halogen; C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen; -O-C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen;

halogen denotes F, Cl, Br, I;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

2. Compound of formula I



I

wherein

X^1 denotes N or CR^{X1};

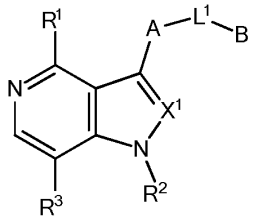
R^{X1} denotes H, halogen, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen, and/or OH;

R^1 denotes halogen, $-NH_2$, -CN, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with independently from each other 1, 2, or 3 halogen;

R^2 denotes H, Alk^2, Ar^2, $Hetar^2$, Cyc^2, $Hetcyc^2$, $-L^2-Ar^{2a}$;

R^3 denotes H, -CN or halogen;

A denotes 1,3-phenylen or a monocyclic divalent heteroaryl with 5 or 6 rings atoms, wherein 1, 2, or 3 of said ring atoms are heteroatoms(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that 1,3-phenylen or monocyclic heteroaryl bears the bicyclic



ring system of the compound of formula I in 1-positon and that L^1-B radical of the compound of formula I in 3-position relative to that bicyclic ring system, wherein each of that 1,3-phenylen or monocyclic hetereoaryl may further be unsubstituted or mono- or disubstituted with independently from each other halogen, straight-chain or branched C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 halogen;

B denotes Ar^1, $Hetar^1$, Cyc^1, $Hetcyc^1$;

L^1 denotes -O-, $-N(R^4)-$, $-O-CH_2-$, $-O-CH(R^5)-$, $-O-SO_2-$, $-N(R^6)-CH_2-$, $-N(R^6)-C(=O)-$, $-CH_2-$, $-CH(R^7)-$, $-CH_2CH_2-$, $-CH_2-O-$;

R^4 denotes H, straight-chain or branched C_{1-6}-alkyl;

R^5, R^6, R^7 denote independently from each other straight-chain or branched C_{1-6}-alkyl;

L^2 denotes a divalent $-S(=O)_2-$ group;

Alk^2 denotes straight-chain or branched C_{1-6}-alkyl, C_{2-6}-alkenyl or C_{2-6}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1}, R^{2a2} and/or R^{2a3};

Ar^1 denotes a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

Ar^a, Ar^2, Ar^{2a} denote independently from each other a mono- or bicyclic aryl with 5, 6, 7, 8, 9, 10 ring carbon atoms, wherein that aryl may be unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

$Hetar^1$ denotes a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is substituted with independently from each other R^{C1}, R^{C2}, and/or R^{C3};

$Hetar^a$, $Hetar^2$, $Hetar^{2a}$ denote independently from each other a mono- or bicyclic heteroaryl with 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is unsubstituted or substituted with independently from each other R^{B1}, R^{B2}, R^{B3}, R^{B4}, and/or R^{B5};

Cyc^1 denotes a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle may be un-substituted or substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

Cyc^2 denotes a saturated or partially unsaturated, mono-, bi- or tricyclic carbocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15 ring carbon atoms, wherein said carbocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

$Hetcyc^1$ denotes a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2,

3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is substituted with independently from each other R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11};

$Hetcyc^a$, $Hetcyc^2$, $Hetcyc^{2a}$ denote independently from each other a saturated or partially unsaturated, mono- or bicylic heterocycle with 3, 4, 5, 6, 7, 8, 9, 10, 11, 12 ring atoms wherein 1, 2, 3, 4, 5 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^{2a1}, R^{2a2}, R^{2a3} denote independently from each other halogen, -CN, -NH_2, -NHR^a, -NR^aR^b, -OH, -OR^c, -$P(=O)R^dR^e$, -SH, -SR^f, -$S(=O)R^f$, -$S(=O)_2R^f$, -$S(=O)(=NR^g)R^f$, -$N=S(=O)R^fR^h$, -$C(=O)NH_2$, -$C(=O)NHR^a$, -$C(=O)NR^aR^b$, -C(=O)OH, -$C(=O)OR^c$, -NH-$C(=O)$-R^i, $Hetar^{2a}$, $Hetcyc^{2a}$;

R^a, R^b denote independently from each other straight-chain or branched C_{1-6}-alkyl, Ar^a, $Hetar^a$, $Hetcyc^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated, partially unsaturated or aromatic heterocycle with 3, 4, 5, 6, 7 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N, O or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, and/or R^{B11};

R^c denotes straight-chain or branched C_{1-4}-alkyl, C_{2-4}-alkenyl or C_{2-4}-alkinyl, each of which may be unsubstituted or substituted with -OH; C_{3-7}-cycloalkyl which may be unsubstituted or substituted with -OH and/or halogen;

R^d, R^e denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^f, R^h denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^g denotes H, straight-chain or branched C_{1-6}-alkyl;

R^i denotes H, straight-chain or branched C_{1-6}-alkyl;

R^{B1}, R^{B2}, R^{B3}, R^{B4}, R^{B5} denote independently from each other halogen; -OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} denote independently from each other halogen; OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which is unsubstituted or substituted with 1 or 2 OH and/or 1, 2, or 3 halogen;

and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same carbon atom of said carbocycle or said heterocycle form a divalent oxo (=O) group;

and/or

two of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur (S) atom of said heterocycle form a divalent oxo (=O) group while at the same time two further of R^{B6}, R^{B7}, R^{B8}, R^{B9}, R^{B10}, R^{B11} which are attached to the same sulfur atom form either a divalent oxo group or a divalent =N-H or =N-C_{1-4}-alkyl group, thereby forming an -$S(=O)_2$, -S(=O)(=NH), or -S(=O)(=N-C_{1-4}-alkyl) moiety;

R^{C1}, R^{C2}, R^{C3} denote independently from each other halogen; C_{1-4}-alkyl or -OC_{1-4}-alkyl, each of which may be unsubstituted or substituted with 1, 2, or 3 halogen;

R^{C6}, R^{C7}, R^{C8}, R^{C9}, R^{C10}, and/or R^{C11}denote independently from each other halogen; C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen; -O-C_{1-4}-alkyl, which is unsubstituted or substituted with 1, 2, or 3 substituents independently from each other selected from halogen;

halogen denotes F, Cl, Br, I;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

3. Compound according to claim 1 or 2
wherein
X^1 denotes N or CR^{X1};
R^{X1} denotes H;
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

4. Compound according to any one of the preceding claims
wherein
X^1 denotes CH;
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

5. Compound according to any one of the preceding claims
wherein
R^1 denotes Cl, -CN or $-CF_3$; and
R^3 denotes H;
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

6. Compound according to any one of the preceding claims
wherein
R^1 denotes Cl or $-CF_3$; and
R^3 denotes H;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

7. Compound according to any one of claims 1 to 4
wherein
R^1 denotes $-CH_3$; and
R^3 denotes F or -CN;
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

8. Compound according to any one of the preceding claims
wherein
R^2 denotes H, Alk^2, Cyc^2, $Hetar^2$, $Hetcyc^2$, $-L^2-Ar^{2a}$, $-S(=O)_2R^f$;
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

9. Compound according to any one of the preceding claims
wherein
R^2 denotes H, Alk^2, Cyc^2, $Hetar^2$, $Hetcyc^2$, $-L^2-Ar^{2a}$, $-S(=O)_2R^f$;
Alk^2 denotes straight-chain or branched C_{1-6}-alkyl, C_{1-6}-alkenyl or C_{2-6}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1}, R^{2a2} and/or R^{2a3};
Cyc^a, Cyc^2, Cyc^{2a} denote independently from each other a saturated monocyclic carbocycle with 3, 4, 5, 6, or 7 ring carbon atoms, wherein said carbocycle is unsubstituted or substituted with independently from each other R^{B6} and/or R^{B7};
$Hetcyc^a$, $Hetcyc^2$, $Hetcyc^{2a}$ denote independently from each other a saturated or partially unsaturated monocylic heterocycle with 3, 4, 5, or 6 ring atoms wherein 1 or 2 of said ring atoms is/are a hetero

atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or substituted with independently from each other R^{B6} and/or R^{B7} and/or R^{B8} and R^{B9} together or R^{B6} and/or R^{B7} and/or R^{B8} and R^{B9} and R^{B10} and R^{B11} together;

L^2 denotes a divalent $-S(=O)_2-$ group;

Ar^a, Ar^{2a} denote independently from each other phenyl which may be unsubstituted or substituted with independently from each other R^{B1} and/or R^{B2};

$Hetar^a$, $Hetar^2$, $Hetar^{2a}$ denotes a monocyclic heteroaryl with 5 or 6 ring atoms wherein 1, 2, or 3 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is unsubstituted or substituted with independently from each other R^{B1} and/or R^{B2};

R^{2a1}, R^{2a2}, R^{2a3} denote independently from each other halogen, $-CF_3$, $-CN$, $-NH_2$, $-NHR^a$, $-NR^aR^b$, $-OH$, $-OR^c$, $-P(=O)R^dR^e$, $-SR^f$, $-S(=O)_2R^f$, $-S(=O)(=NR^g)R^f$, $-N=S(=O)R^fR^h$, $-C(=O)NH_2$, $-C(=O)NHR^a$, $-C(=O)NR^aR^b$, $-C(=O)OH$, $-C(=O)OR^c$, $-NH-C(=O)-R^i$, Cyc^{2a}, $Hetar^{2a}$, $Hetcyc^{2a}$;

and/or

two of R^{2a1}, R^{2a2}, R^{2a3} which are attached to the same carbon atom form together a divalent oxo (=O) group;

R^a, R^b denote independently from each other straight-chain or branched C_{1-6}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen; Ar^a, Cyc^a, $Hetar^a$, $Hetcyc^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated or partially unsaturated heterocycle with 3, 4, 5, 6, 7 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N, O or S and the remaining are carbon atoms, wherein said heterocycle

is unsubstituted or substituted with independently from each other R^{B6} and/or R^{B7} and/or R^{B8} and R^{B9} together;

R^c denotes straight-chain or branched C_{1-4}-alkyl which is unsubstituted or substituted with -OH; straight-chain and unsubstituted C_{2-4}-alkinyl; C_{3-5}-cycloalkyl;

R^d, R^e denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^f, R^h denote independently from each other straight-chain or branched C_{1-6}-alkyl;

R^g denotes H, straight-chain or branched C_{1-6}-alkyl;

R^i denotes H, straight-chain or branched C_{1-6}-alkyl;

R^{B1}, R^{B2} denote independently from each other halogen; C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 halogen; OH;

R^{B6}, R^{B7} denote independently from each other halogen; OH; -OC_{1-4}-alkyl; C_{1-4}-alkyl, which is unsubstituted or substituted with 1 OH or 1, 2, or 3 halogen;

R^{B8} and R^{B9} which are attached to the same carbon atom of said heterocycle form a divalent oxo (=O) group; or

R^{B8}, R^{B9}, R^{B10} and R^{B11} which are attached to the same sulfur (S) atom of said heterocycle form a divalent oxo (=O) group, thereby forming an -S(=O)$_2$ moiety;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

10. Compound according to any one of the preceding claims wherein

R^2 denotes H, Alk^2, Cyc^2, $Hetar^2$, $Hetcyc^2$, -L^2-Ar^{2a}, -S(=O)$_2R^f$;

Alk^2 denotes straight-chain or branched C_{1-4}-alkyl, C_{1-4}-alkenyl or C_{2-4}-alkinyl, each of which may be unsubstituted or substituted with independently from each other R^{2a1} and/or R^{2a2};

Cyc^2, Cyc^{2a} denote independently from each other a saturated monocyclic carbocycle with 3, 4, or 5 ring carbon atoms, wherein said carbocycle is mono-substituted with OH, $-CH_2OH$;

$Hetcyc^2$ denotes a saturated monocylic heterocycle with 5 ring atoms wherein 1 of said ring atoms is a hetero atom(s) selected from N, and O and the remaining are carbon atoms, wherein said heterocycle is mono-substituted with OH, or denotes a saturated monocyclic heterocycle with 4 ring atoms wherein 1 of said ring atoms is a heteroatom(s) selected from S and the remaining are carbon atoms, wherein said heterocycle is substituted with two oxo (=O) groups at the S atom;

$Hetcyc^{2a}$ denotes a saturated monocylic heterocycle with 4 ring atoms wherein 1 of said ring atoms is a hetero atom(s) selected from N or O and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or mono-substituted with halogen, -OH, $-O-C_{1-4}$-alkyl or C_{1-4}-alkyl or disubstituted with halogen and C_{1-4}-alkyl, wherein said C_{1-4}-alkyl in each case may be unsubstituted or mono-substituted with -OH or $-OC_{1-4}$-alkyl; or denotes a saturated moncyclic heterocycle with 5 ring atoms wherein 1 of said ring atoms is a hetero atom selected from N or O or wherein 2 of said ring atoms are hetero atoms selected from N and/or O and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or mono-substituted with -OH, C_{1-4}-alkyl or an oxo (=O) group or disubstituted with C_{1-4}-alkyl and an oxo (=O) group; or denotes a saturated monocyclic heterocycle with 6 ring atoms wherein 1 of said ring atoms is a hetero atom selected from N or O or wherein 2 of said ring atoms are hetero atoms selected from N and/or O and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or mono-substituted with OH, C_{1-4}-alkyl or an oxo (=O) group) or disubstituted with halogen; or denotes a partially unsaturated monocyclic heterocycle with 6 ring atoms wherein 1 of said ring atoms is a hetero atom selected from N

and the remaining are carbon atoms, wherein said heterocycle is mono-substituted with an oxo (=O) group);

L^2 denotes a divalent $-S(=O)_2-$ group;

Ar^{2a} denotes phenyl which is mono-substituted with $-CH_3$;

$Hetar^2$, $Hetar^{2a}$ denote independently from each other a monocyclic heteroaryl with 5 or 6 ring atoms wherein 1, 2, or 3 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is unsubstituted or monosubstituted with C_{1-4}-alkyl;

R^{2a1}, R^{2a2} denote independently from each other $-CF_3$, $-CN$, $-NH_2$, $-NHR^a$, $-NR^aR^b$, $-OH$, $-OR^c$, $-P(=O)R^dR^e$, $-SR^f$, $-S(=O)_2R^f$, $-S(=O)(=NR^g)R^f$, $-C(=O)NH_2$, $-C(=O)NHR^a$, $-C(=O)NR^aR^b$, $-C(=O)OR^c$, $-NH-C(=O)-R^i$, Cyc^{2a}, $Hetar^{2a}$, $Hetcyc^{2a}$;

and/or

R^{2a1} and R^{2a2} which are attached to the same carbon atom form together a divalent oxo (=O) group;

R^a, R^b denote independently from each other straight-chain or branched C_{1-4}-alkyl, which may be unsubstituted or substituted with 1, 2, or 3 F; Ar^a, $Hetar^a$;

or

R^a and R^b form together with the nitrogen atom to which they are attached to a saturated heterocycle with 4, 5, or 6 ring atoms wherein 1 of said ring atoms is said nitrogen atom and no or one further ring atom is a hetero atom selected from N or O and the remaining are carbon atoms, wherein said heterocycle is unsubstituted or monosubstituted with C_{1-4}-alkyl or di-substituted with F;

R^c denotes straight-chain or branched C_{1-4}-alkyl which is unsubstituted or substituted with -OH; unsubstituted C_{2-4}-alkinyl; unsubstituted C_{3-5}-cycloalkyl;

R^d, R^e denote independently from each other straight-chain or branched C_{1-4}-alkyl;

R^f denotes straight-chain or branched C_{1-4}-alkyl;

R^g denotes H;

R^i denotes H, straight-chain or branched C_{1-4}-alkyl;

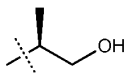
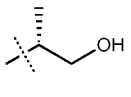
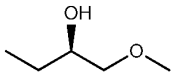
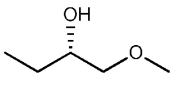
Ar^a denotes phenyl;

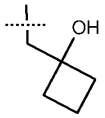
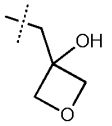
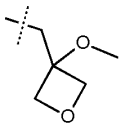
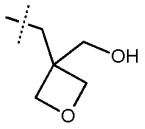
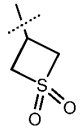
$Hetar^a$ denotes pyridyl;

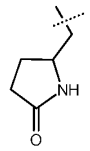
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

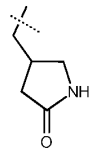
11. Compound according to any one of the preceding claims

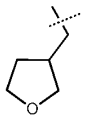
wherein

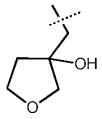
R^2 denotes H; $-CH_3$, $-CH=CH-CF_3$, $-C\equiv C-CH_2-OH$, $-CH_2-CN$, $-(CH_2)_2-CN$, $-(CH_2)_3-CN$, $-CH_2-CH(OH)-CH_2-CN$, $-(CH_2)_2-NH_2$, $-(CH_2)_2-NHCH_3$, $-(CH_2)_2-NHCH_2CF_3$, $-(CH_2)_2-NH$-pyridin-2-yl, $-(CH_2)_2-N(CH_3)_2$, $-(CH_2)_2-N(CF_3)_2$, $-CH_2-CF_2-CH_2-NH_2$, $-CH(CF_3)-CH_2-N(CH_3)_2$, 2-(azetidin-1-yl)ethyl, 2-(pyrrolidin-1-yl)ethyl, 2-(piperidin-1-yl)ethyl, 2-(4,4-difluoropiperidin-1-yl)ethyl, (N-methylmorpholin-3-yl)methyl, 2-(morpholin-1-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, $-(CH_2)_3-NH_2$, $-(CH_2)_3-NHCH_3$, $-(CH_2)_3-N(CH_3)_2$, $-(CH_2)_4-NH_2$, $-(CH_2)_4-NHCH_3$, $-(CH_2)_4-N(CH_3)_2$, $-(CH_2)_2-OH$, $-(CH_2)_2-O-(CH_2)_2-OH$, $-(CH_2)_3-OH$, $-CH_2CH(OH)-CH_3$, $-CH(CH_3)CH_2-OH$,  ,  , $-CH_2-C(CH_3)_2-OH$, $-CH(CH_2OH)_2$, $-CH_2CH(CH_2OH)_2$, $-CH_2-CH(OH)-CH_2OH$, $-CH_2-CH(OH)-CH_2-OCH_3$,  ,  , $-CH(CH_2OCH_3)_2$, $-(CH_2)_2-O-CH_2-C\equiv CH$, 2-hydroxy-1-(pyrazin-2-yl)ethyl, $-(CH_2)_2-S-CH_3$, $-(CH_2)_2-S-CH_2CH_3$, $-(CH_2)_2-S(=O)_2-CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_2CH_3$, $-CH_2-P(=O)(CH_3)_2$, $-CH_2-C(=O)-NH_2$, $-CH_2-C(=O)-NHCH_3$, $-CH_2-$

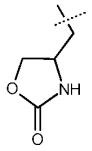
C(=O)-NHCH$_2$CH$_3$, -CH$_2$-C(=O)-N(CH$_3$)$_2$, -CH$_2$-C(=O)-NH-phenyl, -(CH$_2$)$_2$-C(=O)-NH$_2$, -(CH$_2$)$_2$-C(=O)-NHCH$_3$, -(CH$_2$)$_2$-C(=O)-N(CH$_3$)$_2$, -CH(C(=O)OCH$_2$CH$_3$)$_2$, -(CH$_2$)$_2$-NH-C(=O)-CH$_3$, -C(=O)-CH$_3$, -C(=O)-(CH$_2$)$_2$-CH$_3$; (1-hydroxycyclobutyl)methyl (), (1H-imidazol-2-yl)methyl, (1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-5-yl)methyl, (1H-2-methylimidazol-4-yl)methyl, (1H-pyrazol-4-yl)methyl, (1H-pyrazol-5-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl, 1,2-thiazol-3-yl, 1,3-thiazol-2-yl, (1H-1,2,3-triazol-4-yl)methyl, pyrazin-2-yl, (1,2,4-oxadiazol-3-yl)methyl, 2-(2-oxopyridin-1-yl)ethyl, (3-fluoroazetidin-3-yl)methyl, (1-methylazetidin-3-yl)methyl, (oxetan-3-yl)methyl, (3-fluorooxetan-3-yl)methyl (), (3-hydroxyoxetan-3-yl)methyl (), (3-methoxyoxetan-3-yl)methyl (), methyl(oxetan-3-yl)methanol (), (1-methylazetidin-3-yl)ethyl, 2-(oxetan-3-yl)ethyl, 1,1-dioxo-1-lambda-6-thietan-3-yl (), (5-oxo-

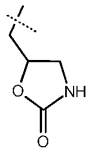
pyrrolidin-2-yl)methyl (

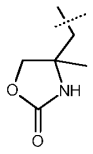
), (5-oxo-pyrrolidin-3-yl)methyl (

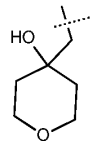
), oxolan-3-ylmethyl (

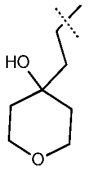
), (3-hydroxyoxolan-3-yl)methyl (

), (2-oxo-1,3-oxazolidin-4-yl)methyl (

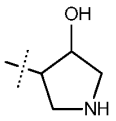
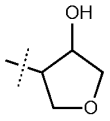
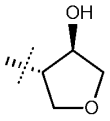
), (2-oxo-1,3-oxazolidin-5-yl)methyl (

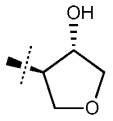
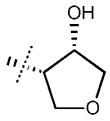
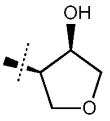
), (4-methyl-2-oxo-1,3-oxazolidin-4-yl)methyl (

), (4-hydroxyoxan-4-yl)methyl (

), 2-(4-hydroxyoxan-4-yl)ethyl (

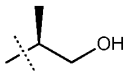
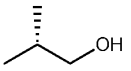
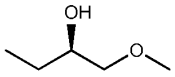
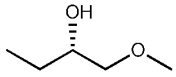
); 1-hydroxymethylcyclopropyl, 3-hydroxycyclobutyl, 2-

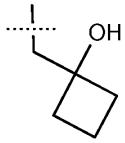
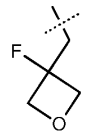
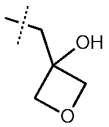
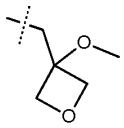
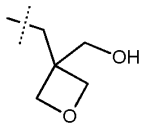
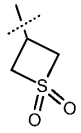
hydroxycyclopentyl;  ,  ,  ,

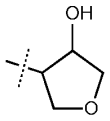
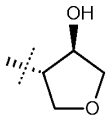
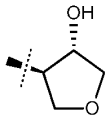
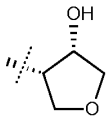
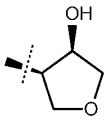
 ,  ,  ; sulfonyl-4-methylphenyl;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

12. Compound according to any one of the preceding claims wherein

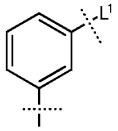
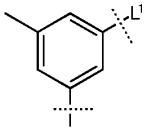
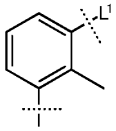
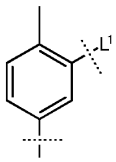
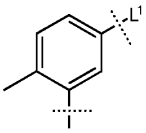
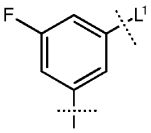
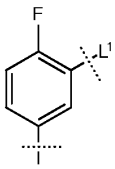
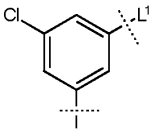
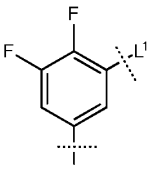
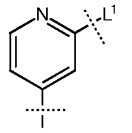
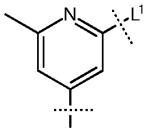
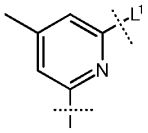
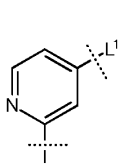
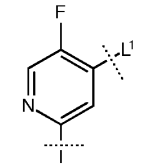
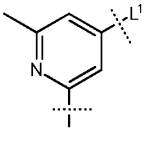
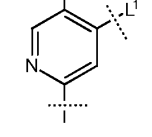
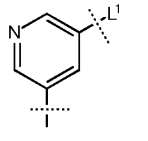
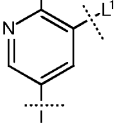
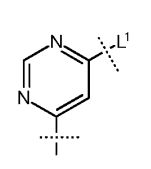
R^2 denotes H; $-CH_3$, $-CH=CH-CF_3$, $-CH_2-CN$, $-(CH_2)_2-CN$, $-(CH_2)_3-CN$, $-CH_2-CH(OH)-CH_2-CN$, $-(CH_2)_2-N(CH_3)_2$, $-CH_2-CF_2-CH_2-NH_2$, $-CH(CF_3)-CH_2-N(CH_3)_2$, (N-methylmorpholin-3-yl)methyl, 2-(morpholin-1-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, $-(CH_2)_2-OH$, $-(CH_2)_2-O-(CH_2)_2-OH$, $-(CH_2)_3-OH$, $-CH(CH_3)CH_2-OH$,  ,  , $-CH_2-C(CH_3)_2-OH$, $-CH(CH_2OH)_2$, $-CH_2CH(CH_2OH)_2$, $-CH_2-CH(OH)-CH_2-OCH_3$,  ,  , $-(CH_2)_2-O-CH_2-C\equiv CH$, 2-hydroxy-1-(pyrazin-2-yl)ethyl, $-(CH_2)_2-S-CH_3$, $-(CH_2)_2-S-CH_2CH_3$, $-(CH_2)_2-S(=O)_2-CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_3$, $-CH_2-C(=O)-NHCH_3$, $-CH_2-C(=O)-NHCH_2CH_3$, $-(CH_2)_2-C(=O)-NH_2$, $-(CH_2)_2-C(=O)-NHCH_3$, $-CH(C(=O)OCH_2CH_3)_2$,

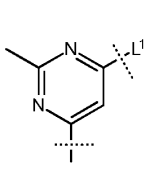
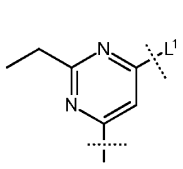
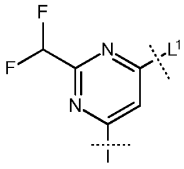
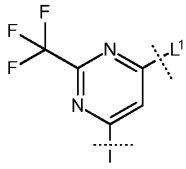
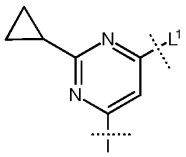
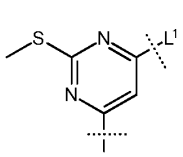
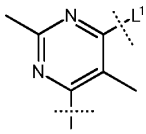
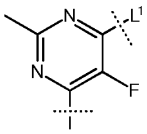
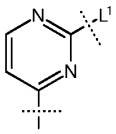
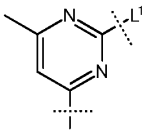
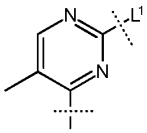
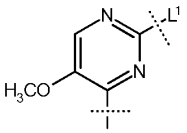
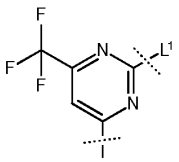
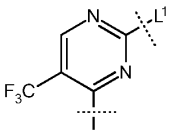
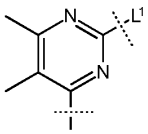
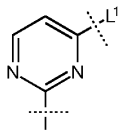
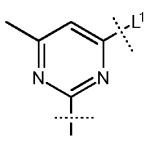
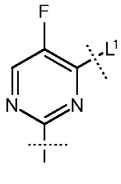
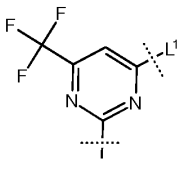
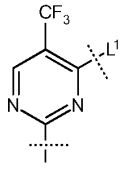
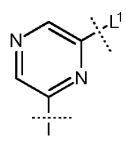
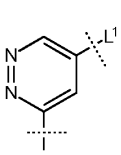
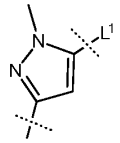
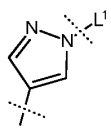
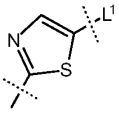
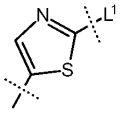
(1-hydroxycyclobutyl)methyl (), -$(CH_2)_2$-NH-C(=O)-CH_3; (1H-imidazol-2-yl)methyl, (1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-5-yl)methyl, (1H-2-methylimidazol-4-yl)methyl, (1H-pyrazol-4-yl)methyl, (1H-pyrazol-5-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl, 1,2-thiazol-3-yl, 1,3-thiazol-2-yl, (1H-1,2,3-triazol-4-yl)methyl, pyrazin-2-yl, 2-(2-oxopyridin-1-yl)ethyl, (1,2,4-oxadiazol-3-yl)methyl, (oxetan-3-yl)methyl, (3-fluorooxetan-3-yl)methyl (), (3-hydroxyoxetan-3-yl)methyl (), (3-methoxyoxetan-3-yl)methyl (), methyl(oxetan-3-yl)methanol (), 2-(oxetan-3-yl)ethyl, 1,1-dioxo-1-lambda-6-thietan-3-yl (), (5-oxo-pyrrolidin-2-yl)methyl, (5-oxo-pyrrolidin-3-yl)methyl, oxolan-3-ylmethyl, (3-hydroxyoxolan-3-yl)methyl, (2-oxo-1,3-oxazolidin-4-yl)methyl, (2-oxo-1,3-oxazolidin-5-yl)methyl, (4-methyl-2-oxo-1,3-oxazolidin-4-yl)methyl, (4-hydroxyoxan-4-yl)methyl, 2-(4-hydroxyoxan-4-yl)ethyl;

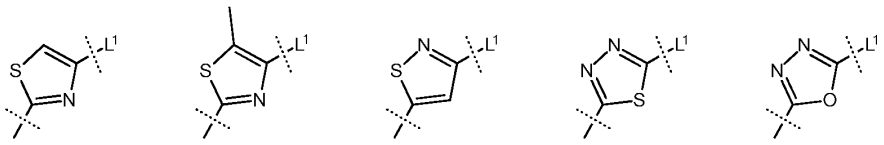
3-hydroxycyclobutyl;  ,  ,  ,  ,  ;

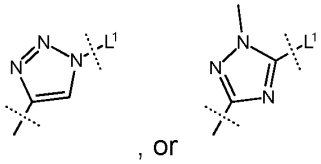
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

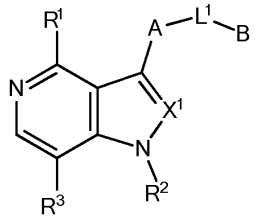
13. Compound according to any one of the preceding claims

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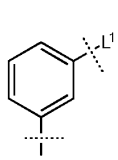
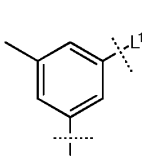
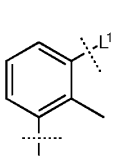
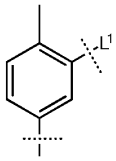
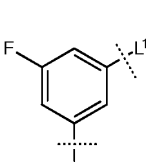
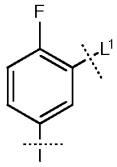
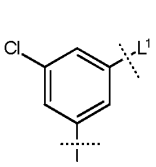
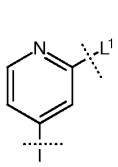
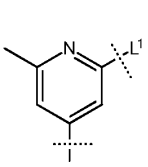
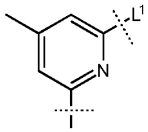
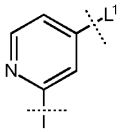
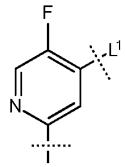
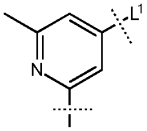
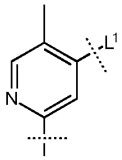
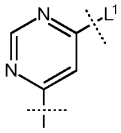
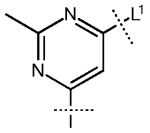
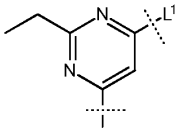
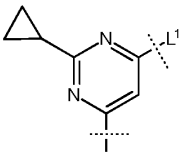
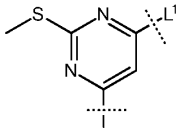
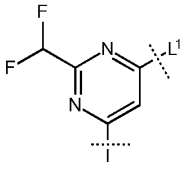
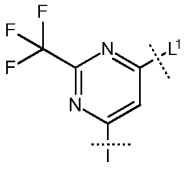
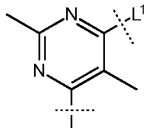
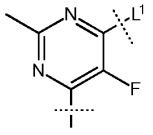
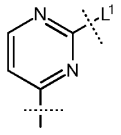
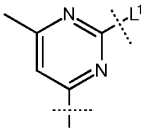
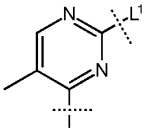

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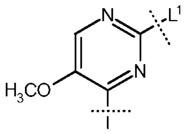
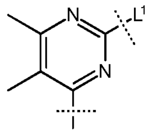
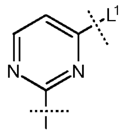
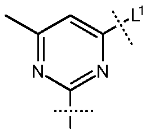
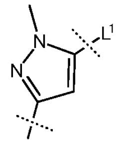
wherein  denotes the point of attachment of the ring A to the bicyclic ring system of the compound of formula I  , and

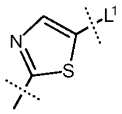
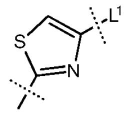
 denotes the point of attachment to the L^1-B radical of the compound of formula I;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

14. Compound according to any one of the preceding claims wherein

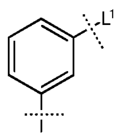
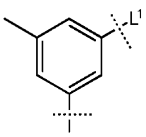
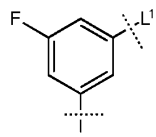
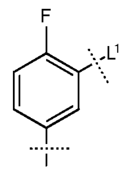
A denotes  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,

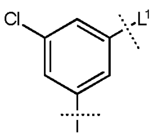
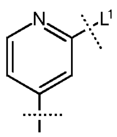
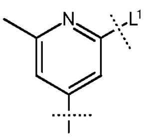
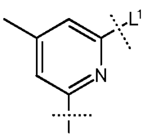
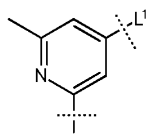
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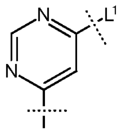
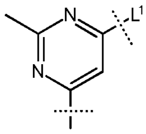
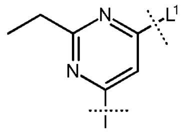
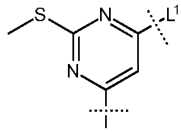
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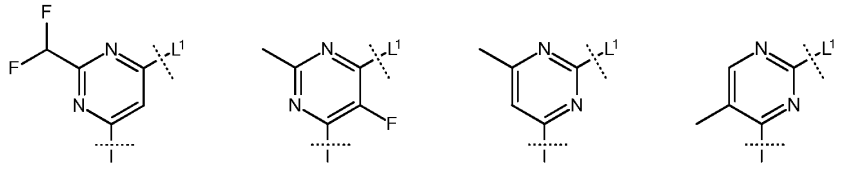
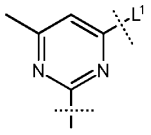
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

15. Compound according to any one of the preceding claims wherein

A denotes  ,  ,  ,  ,

 ,  ,  ,  ,  ,

 ,  ,  ,  ,



;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

16. Compound according to any one of the preceding claims wherein

B denotes Ar^1, $Hetar^1$, Cyc^1, $Hetcyc^1$;

L^1 denotes -O-, -S-, -N(R^4)-, -O-CH_2-, -O-CH(R^5)-, -N(R^6)-CH_2-, -N(R^6)-C(=O)-, -CH_2-, -CH_2CH_2-;

R^4 denotes H or CH_3;

R^5, R^6 denote CH_3;

Ar^1 denotes a phenyl, wherein that phenyl is mono-substituted with R^{C1};

$Hetar^1$ denotes a mono-cyclic heteroaryl with 5 or 6 ring atoms wherein 1 or 2 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein that heteroaryl is monosubstituted with R^{C1} or di-substituted with R^{C1} and R^{C2};

Cyc^1 denotes a saturated, mono- or bi-cyclic carbocycle with 4, 5, 6, or 7 ring carbon atoms, wherein said carbocycle may be un-substituted or mono-substituted with R^{C6} or di-substituted with R^{C6} and R^{C7};

$Hetcyc^1$ denotes a saturated, mono- or bicylic heterocycle with 5, 6, or 7 ring atoms wherein 1 or 2 of said ring atoms is/are a hetero atom(s) selected from N, O and/or S and the remaining are carbon atoms, wherein said heterocycle is substituted mono-substituted with R^{C6} or di-substituted with R^{C6} and R^{C7};

R^{C1} denotes F, Cl, CHF_2, CF_3, CH_2CF_3, OCF_3, or SCF_3;

R^{C2} denotes CH_3 or C_2H_5;

R^{C6} denotes F, Cl; CH_3, CHF_2, CF_3, -OCH_3, -$OCHF_2$, -OCF_3;

R^{C7} denotes F;

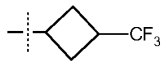
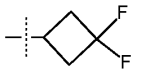
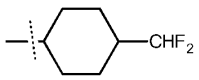
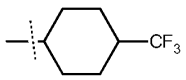
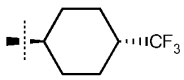
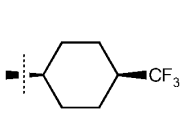
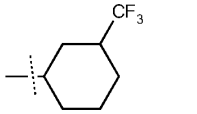
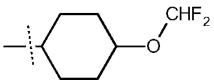
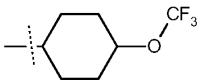
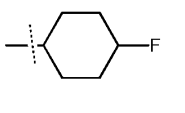
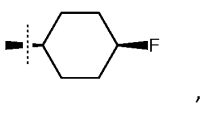
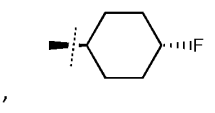
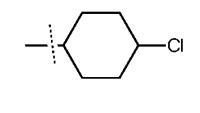
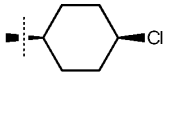
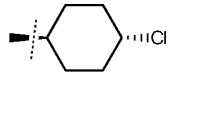
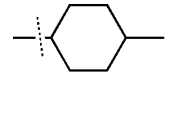
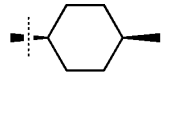
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

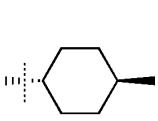
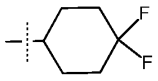
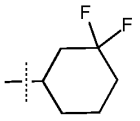
17. Compound according to any one of the preceding claims wherein

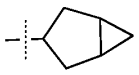
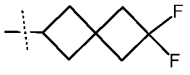
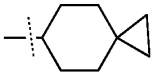
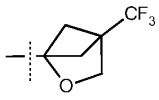
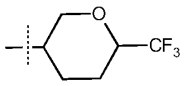
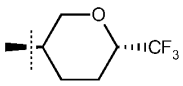
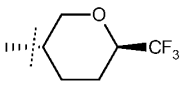
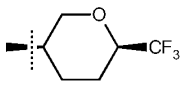
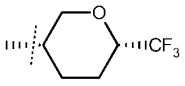
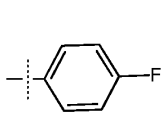
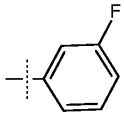
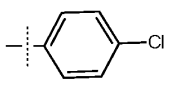
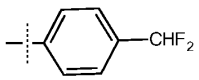
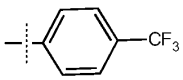
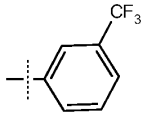
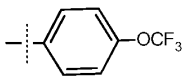
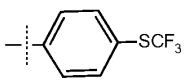
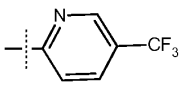
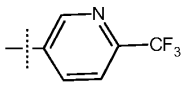
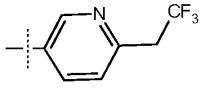
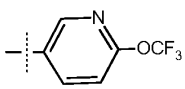
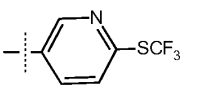
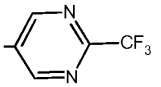
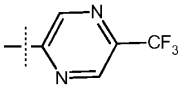
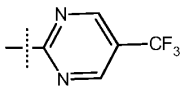
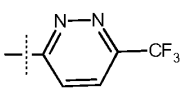
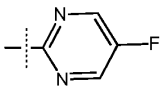
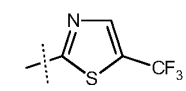
L^1 denotes -O-, -NH- or -O-CH_2-;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

18. Compound according to any one of the preceding claims wherein

B denotes  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , , , , ,


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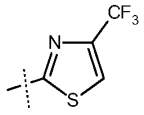
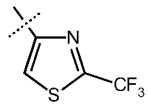
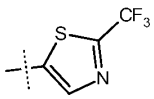
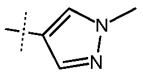
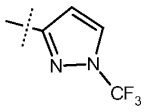
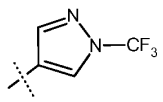
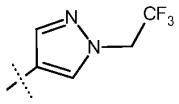
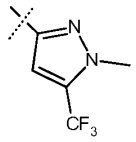
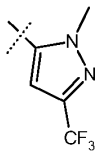
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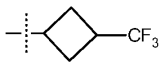
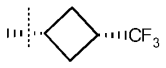
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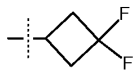
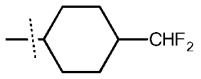
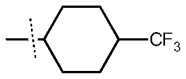
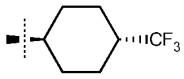
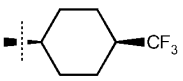
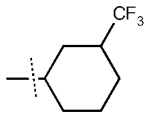
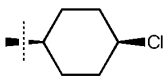
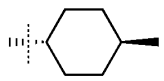
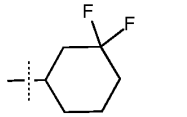

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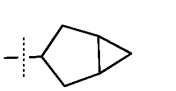
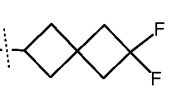
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

19. Compound according to any one of the preceding claims whererin

L^1 denotes -O-;

B denotes

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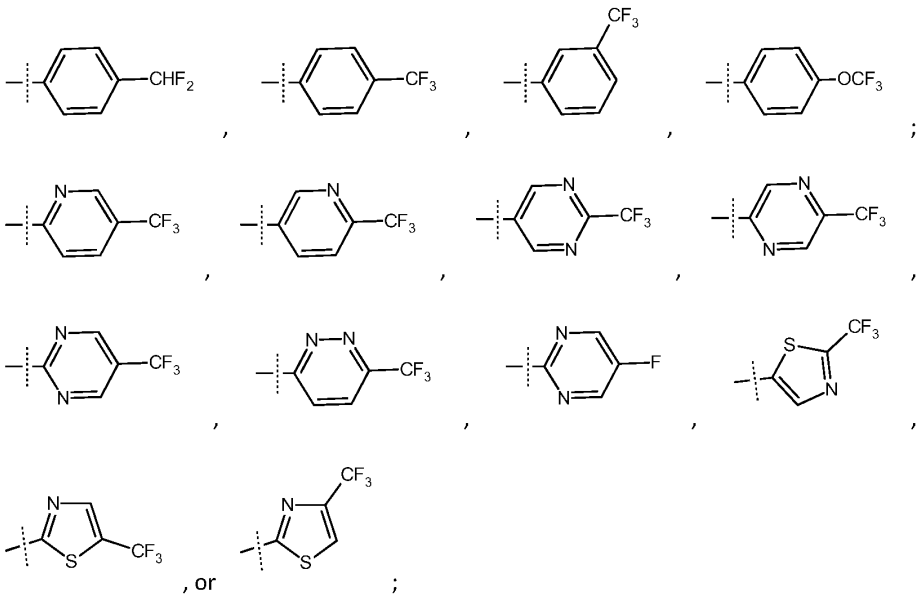
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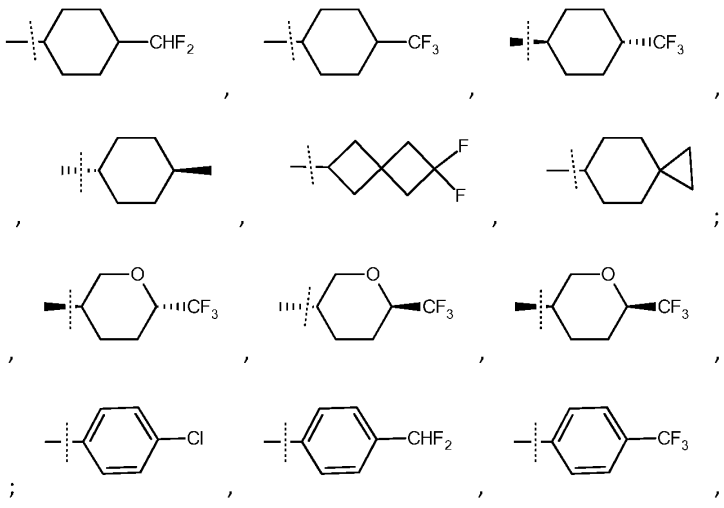
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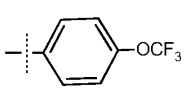
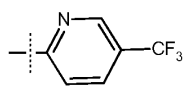
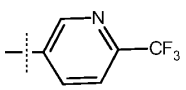
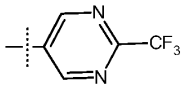
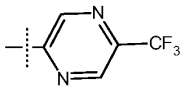
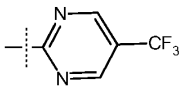
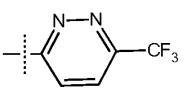
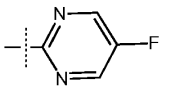
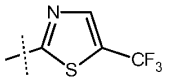


or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

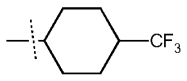
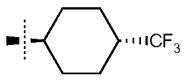
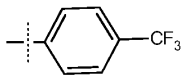
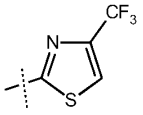
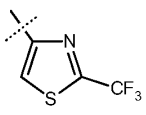
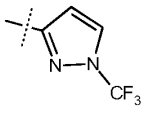
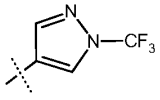
20. Compound according to any one of the preceding claims wherein

L^1 denotes -O-;

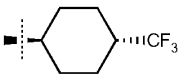
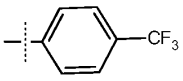
B denotes 


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, or

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or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

21. Compound according to any one of claims 1 to 18
wherein
L^1 denotes -NH--
B denotes

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,

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, or

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or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

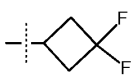
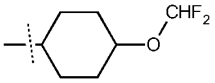
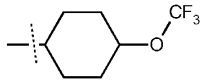
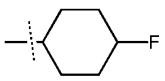
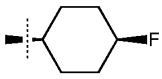
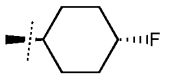
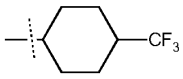
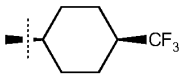
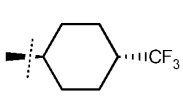
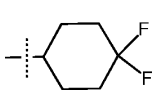
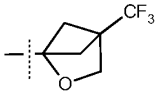
22. Compound according to any one of claims 1 to 18 or 21
wherein
L^1 denotes -NH--
B denotes

; or

;

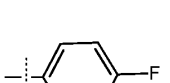
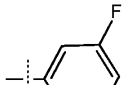
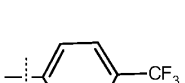
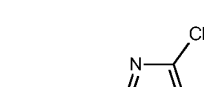
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

23. Compound according to any one of claims 1 to 18

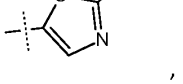
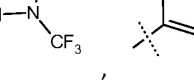
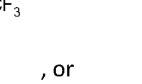
wherein

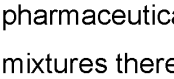
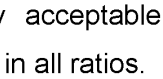
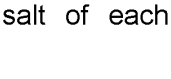
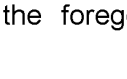
L^1 denotes -O-CH_2-;

B denotes  , , ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  ;  ;

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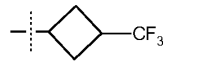
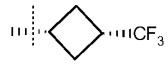
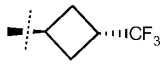
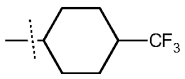
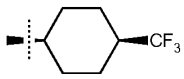
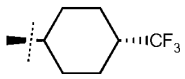
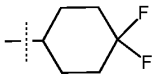
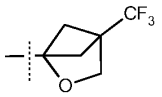
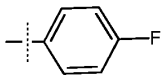
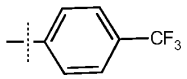
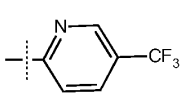
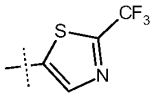
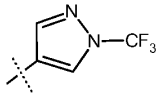
 ,  ,  , ,

 ,  ,  ,  , or ;

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

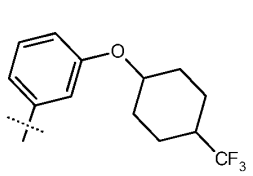
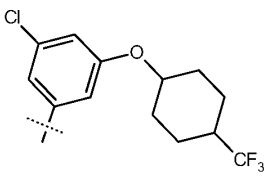
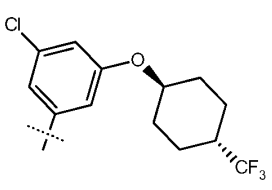
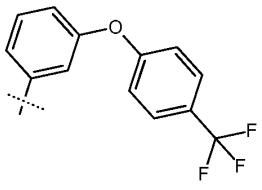
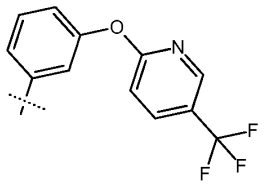
24. Compound according to any one of claims 1 to 18 or 23 wherein

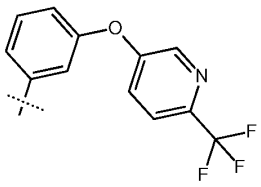
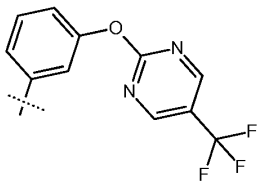
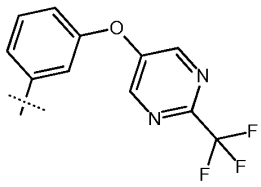
L^1 denotes -O-CH_2-;

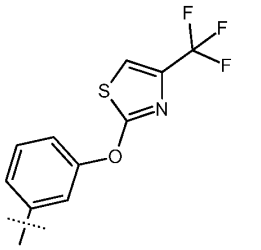
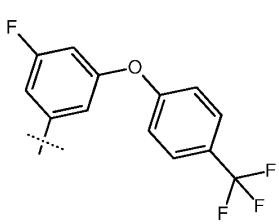
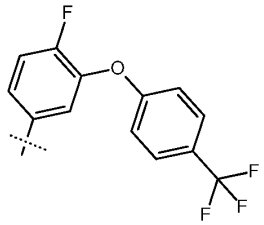
B denotes  ,  ,  ,  ,  ,  ,  ,  ,  ;  ,  ;  ,  , or  ;

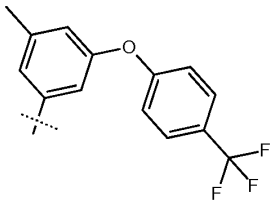
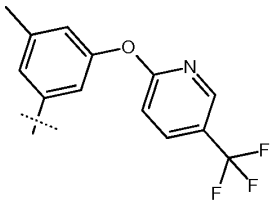
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

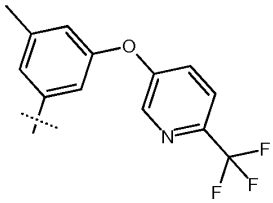
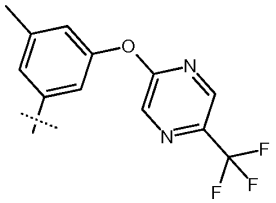
25. Compound according to any one of the preceding claims wherein

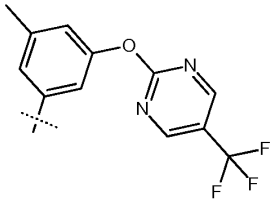
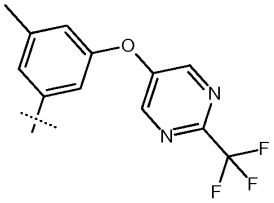
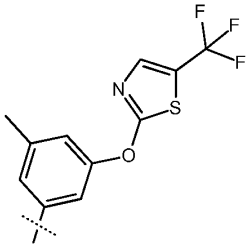
$A\text{-}L^1\text{-}B$ denotes  ,  ,  ,  ,  ,

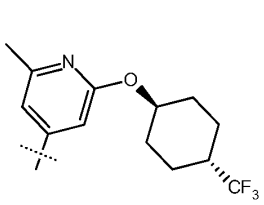
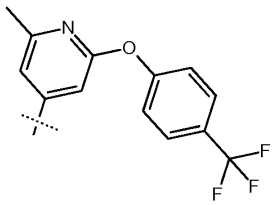
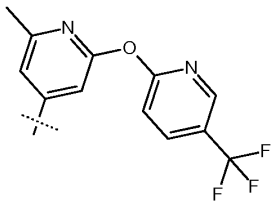
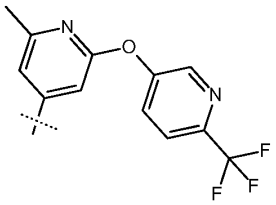
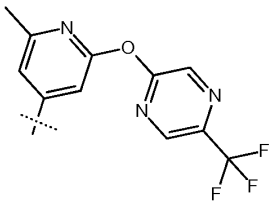
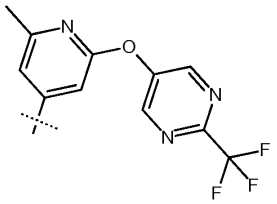
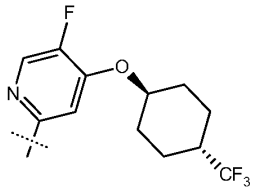
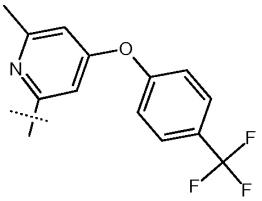
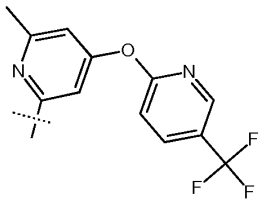
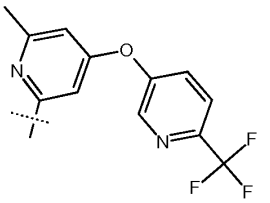
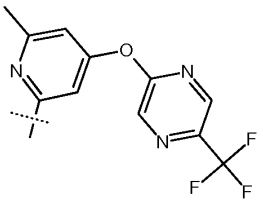
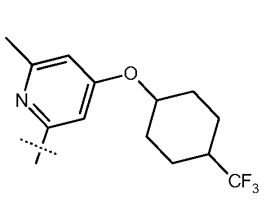
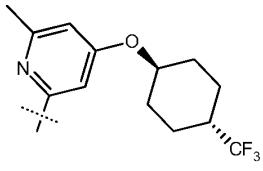
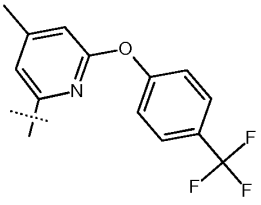
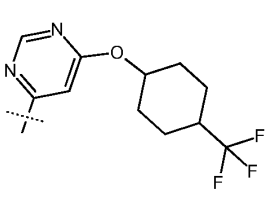
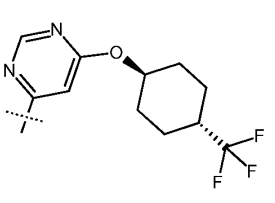
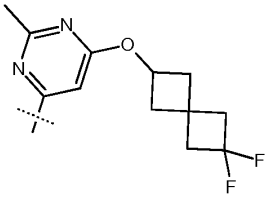

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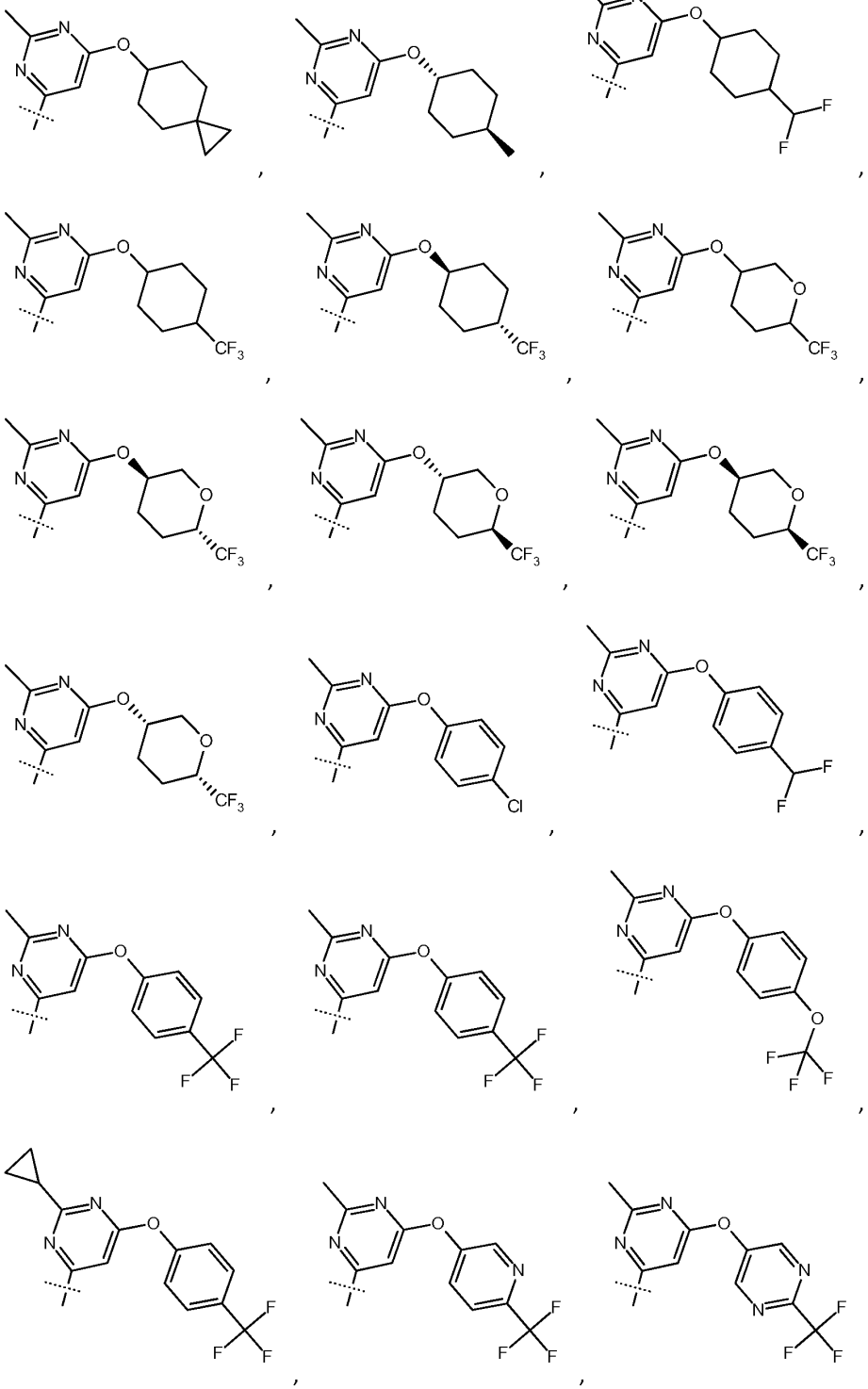

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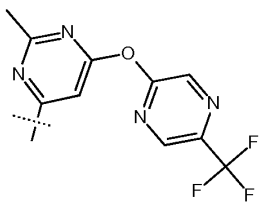
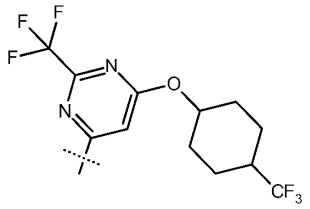

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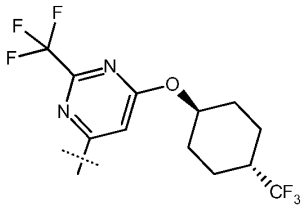
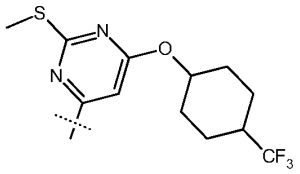

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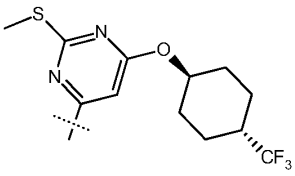
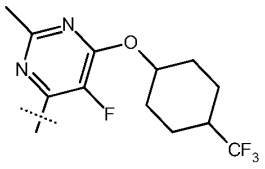

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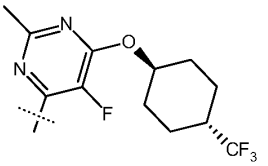
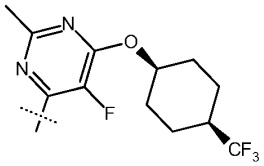
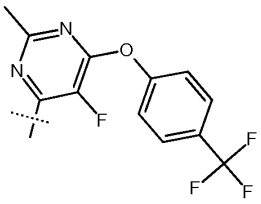

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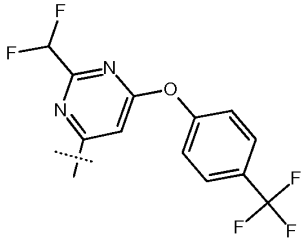
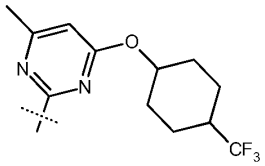


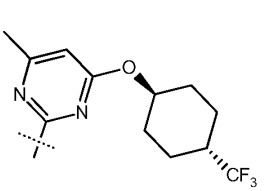
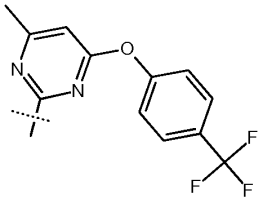
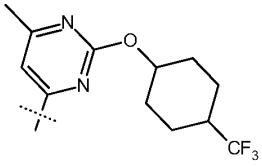

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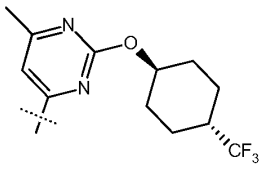
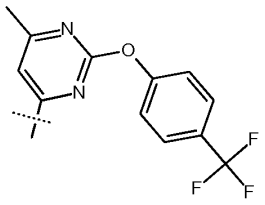

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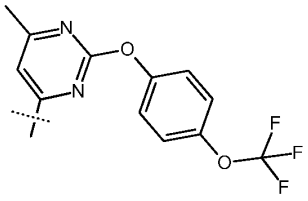
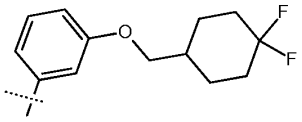

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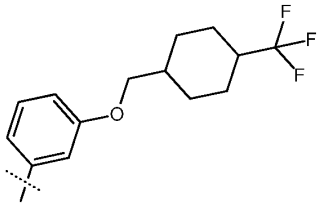
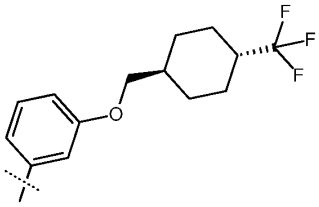

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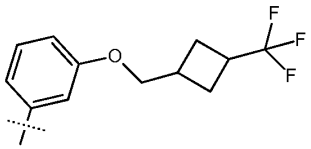
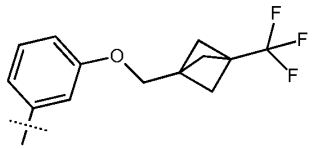

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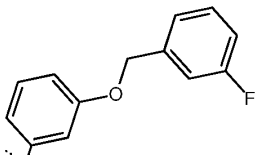
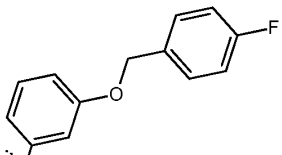

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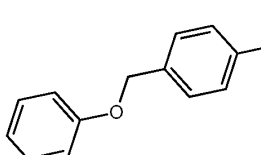
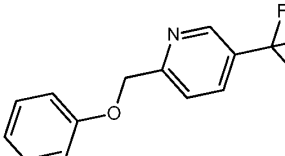

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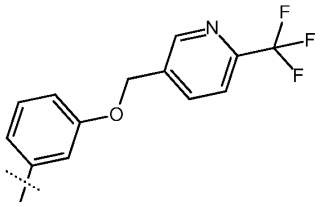
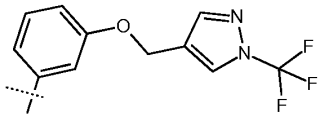

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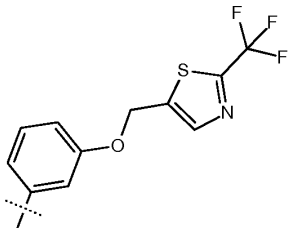
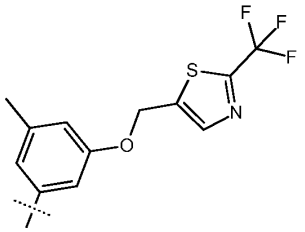

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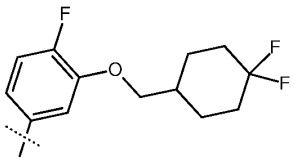
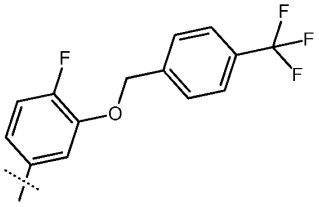

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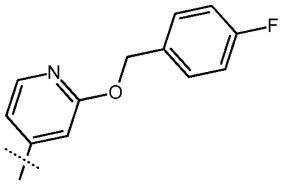
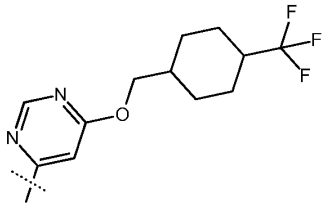
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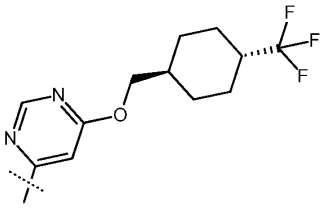
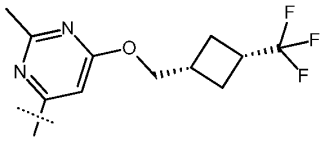
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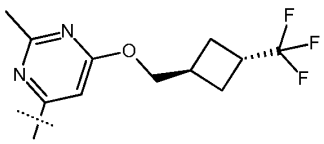
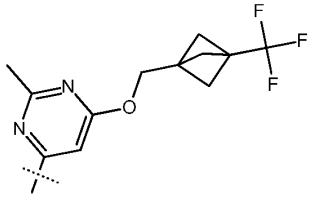

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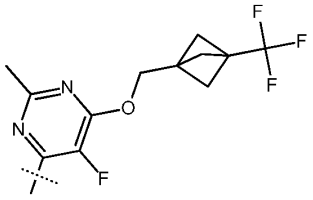
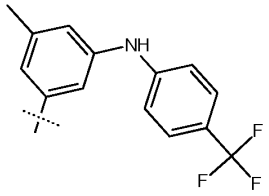

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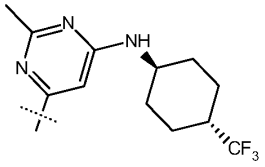
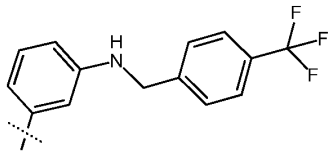

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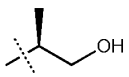
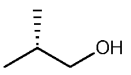
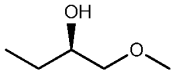
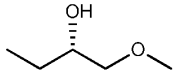


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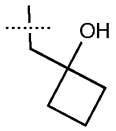
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

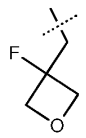
26. Compound of formula I according to any one of the preceding claims wherein

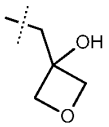
X^1 denotes CH;

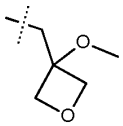
R^1 denotes Cl or $-CF_3$;

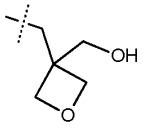
R^2 denotes H; $-CH_3$, $-CH=CH-CF_3$, $-CH_2-CN$, $-(CH_2)_2-CN$, $-(CH_2)_3-CN$, $-CH_2-CH(OH)-CH_2-CN$, $-(CH_2)_2-N(CH_3)_2$, $-CH_2-CF_2-CH_2-NH_2$, $-CH(CF_3)-CH_2-N(CH_3)_2$, (N-methylmorpholin-3-yl)methyl, 2-(morpholin-1-yl)ethyl, 2-(4-methylpiperazin-1-yl)ethyl, $-(CH_2)_2-OH$, $-(CH_2)_2-O-(CH_2)_2-OH$, $-(CH_2)_3-OH$, $-CH(CH_3)CH_2-OH$,  ,  , $-CH_2-C(CH_3)_2-OH$, $-CH(CH_2OH)_2$, $-CH_2CH(CH_2OH)_2$, $CH_2-CH(OH)-CH_2-OCH_3$,  ,  , $-(CH_2)_2-O-CH_2-C\equiv CH$, 2-hydroxy-1-(pyrazin-2-yl)ethyl, $-(CH_2)_2-S-CH_3$, $-(CH_2)_2-S-CH_2CH_3$, $-(CH_2)_2-S(=O)_2-CH_3$, $-(CH_2)_2-S(=O)(=NH)CH_3$, $-CH_2-C(=O)-NHCH_3$, $-CH_2-C(=O)-NHCH_2CH_3$, -

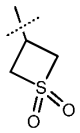
$(CH_2)_2$-C(=O)-NH_2, -$(CH_2)_2$-C(=O)-$NHCH_3$, (1- 

hydroxycyclobutyl)methyl ( ), -$(CH_2)_2$-NH-C(=O)-CH_3; (1H-imidazol-2-yl)methyl, (1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-4-yl)methyl, (1-methyl-1H-imidazol-5-yl)methyl, (1H-2-methylimidazol-4-yl)methyl, (1H-pyrazol-4-yl)methyl, (1H-pyrazol-5-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl, 1,2-thiazol-3-yl, 1,3-thiazol-2-yl, (1H-1,2,3-triazol-4-yl)methyl, pyrazin-2-yl, 2-(2-oxopyridin-1-yl)ethyl, (1,2,4-oxadiazol-3-yl)methyl, (oxetan-3- 

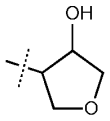
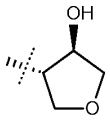
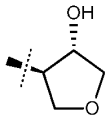
yl)methyl, (3-fluorooxetan-3-yl)methyl ( ), (3-hydroxyoxetan- 

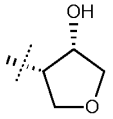
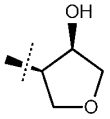
3-yl)methyl ( ), (3-methoxyoxetan-3-yl)methyl (

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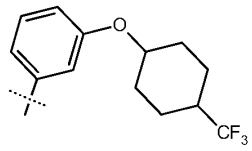
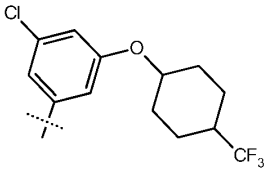
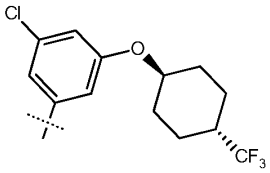
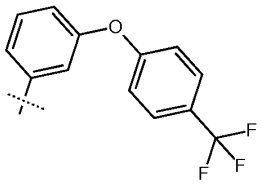
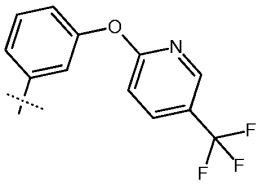
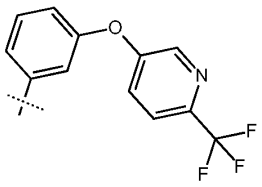
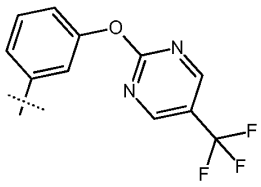
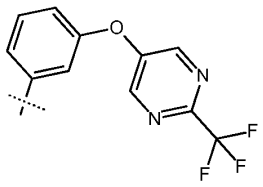
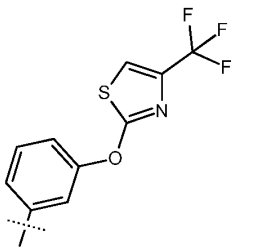
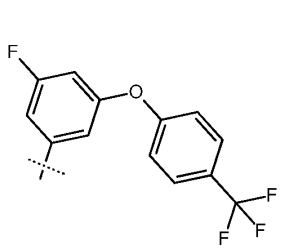
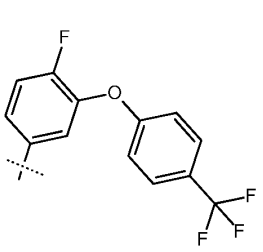
methyl(oxetan-3-yl)methanol ( ), 2-(oxetan-3-yl)ethyl; 

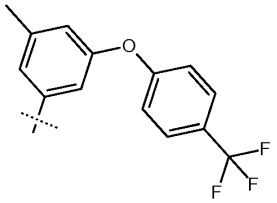
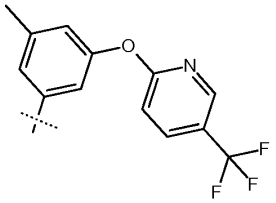
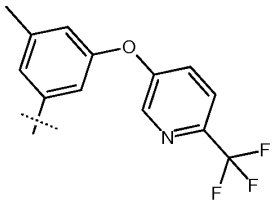
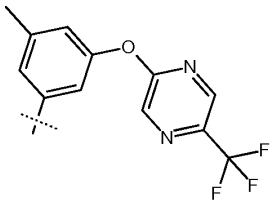
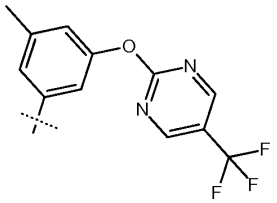
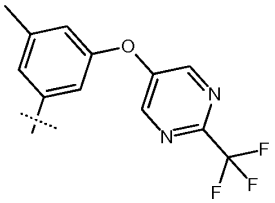
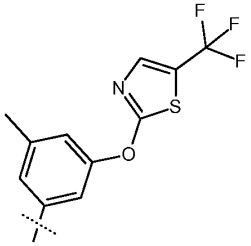
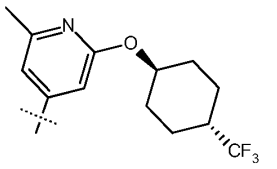
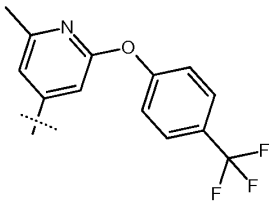
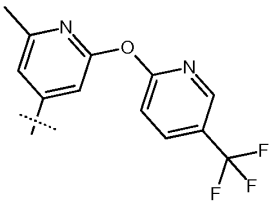
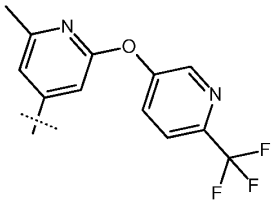
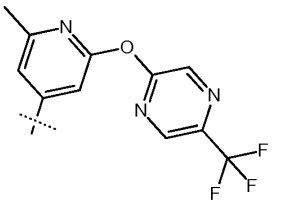
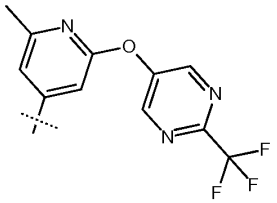
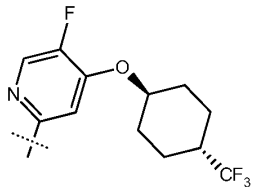
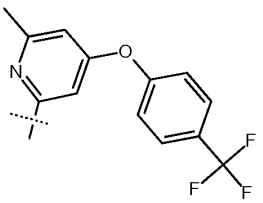
1,1-dioxo-1-lambda-6-thietan-3-yl ( ), (5-oxo-pyrrolidin-2-yl)methyl, (5-oxo-pyrrolidin-3-yl)methyl, oxolan-3-ylmethyl, (3-hydroxyoxolan-3-yl)methyl, (2-oxo-1,3-oxazolidin-4-yl)methyl, (2-oxo-1,3-oxazolidin-5-yl)methyl, (4-methyl-2-oxo-1,3-oxazolidin-4-yl)methyl, (4-hydroxyoxan-4-yl)methyl, 2-(4-hydroxyoxan-4-yl)ethyl;

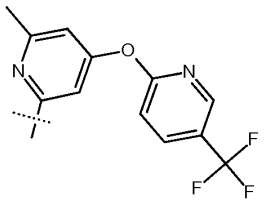
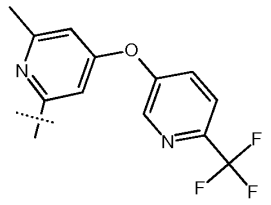
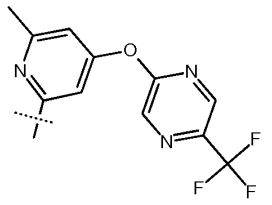
3-hydroxycyclobutyl;  ,  ,  ,

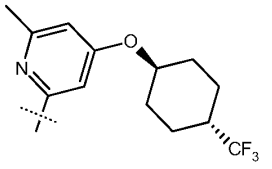
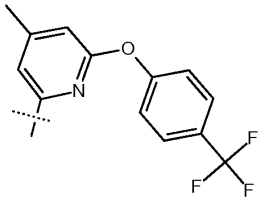
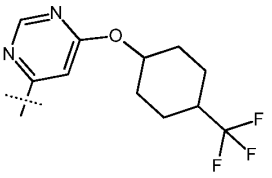
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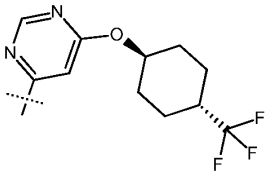
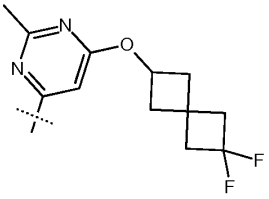
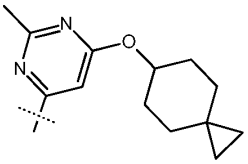
R^3 denotes H;

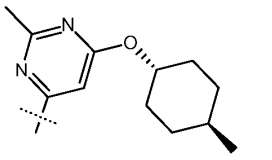
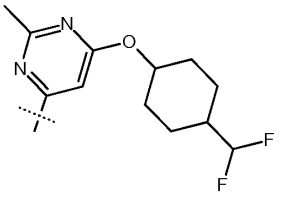
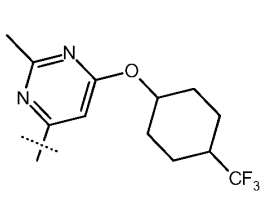
A-L^1-B denotes  ,  ,  ,  ,  ,  ,  ,  ,  ,  ,  , , , ,

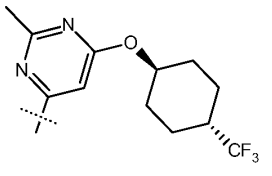
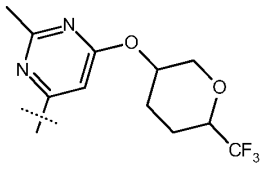
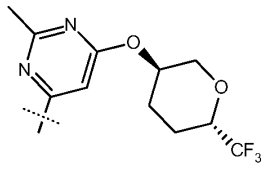

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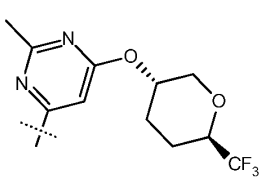
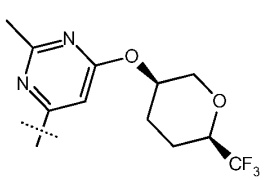
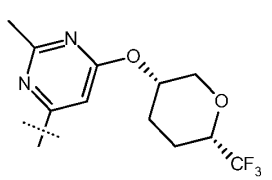
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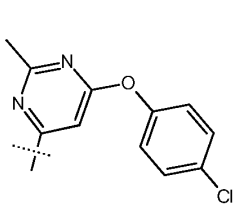
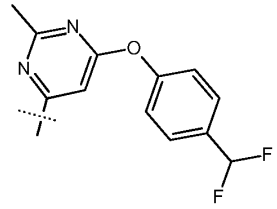
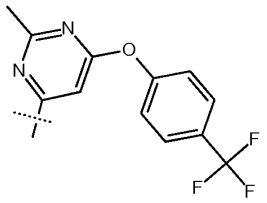
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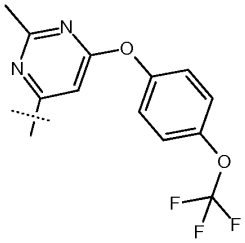
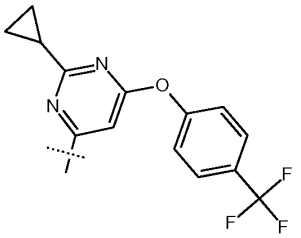
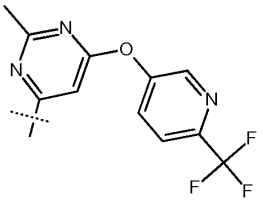
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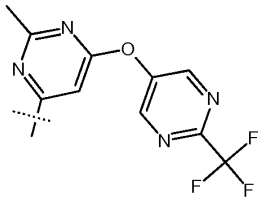
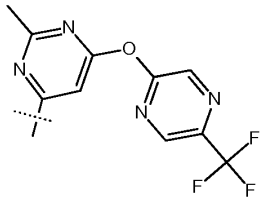
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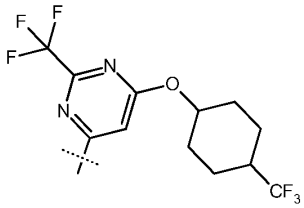
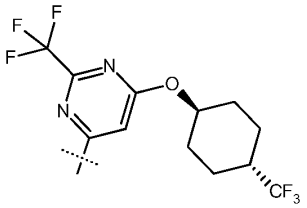
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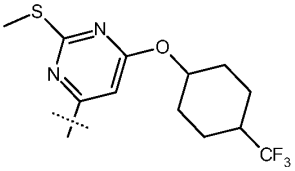
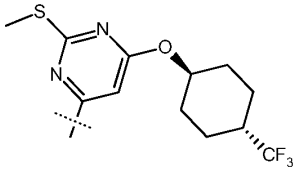
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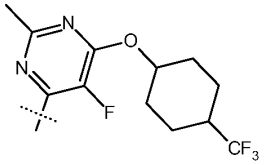
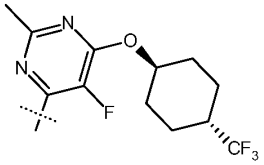
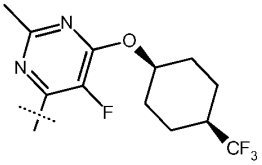

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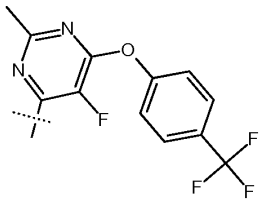
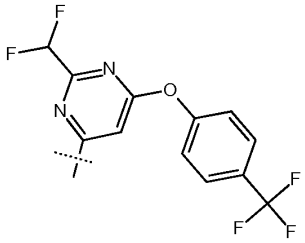

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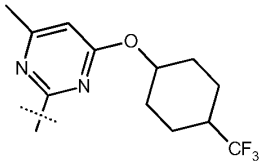
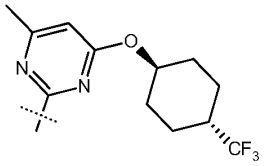
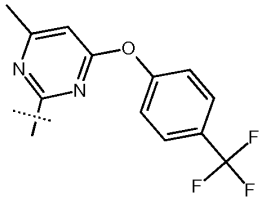

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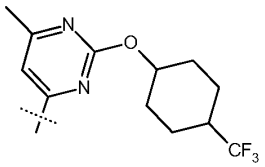
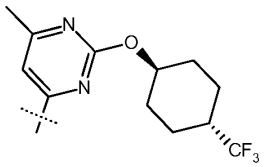
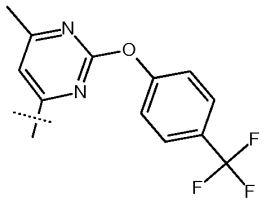

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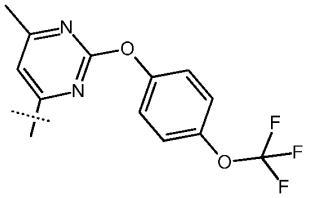
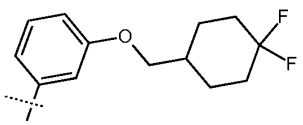

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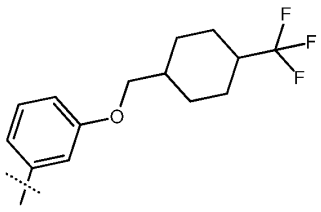
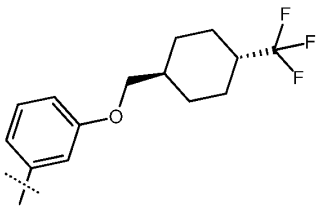

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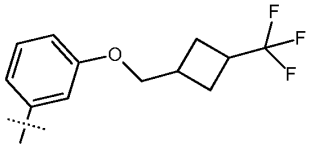
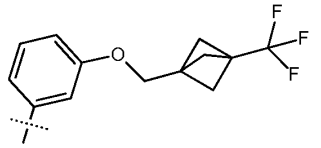

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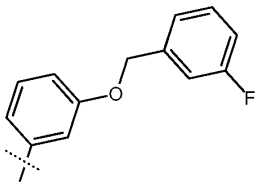
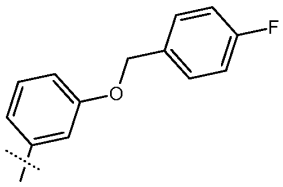
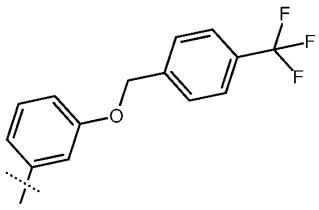
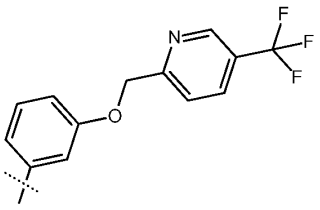
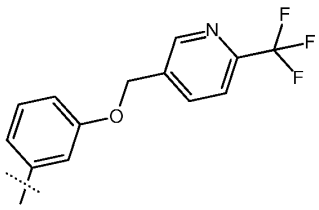
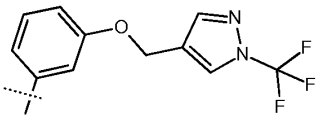
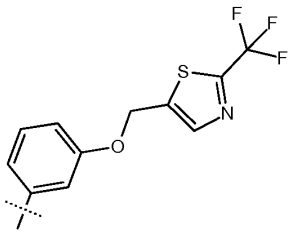
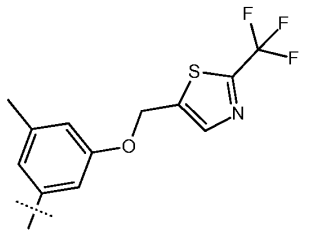
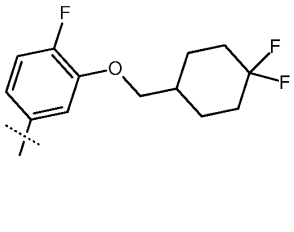
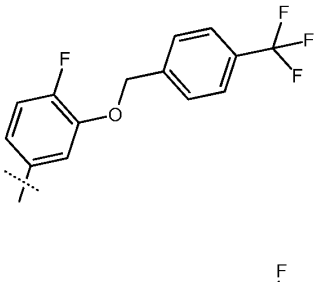
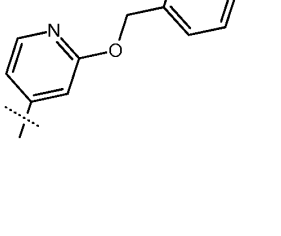
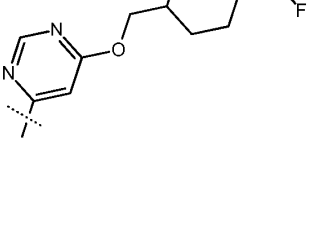

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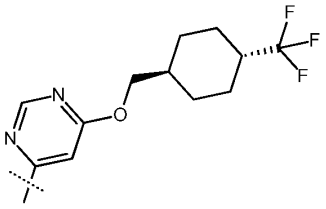
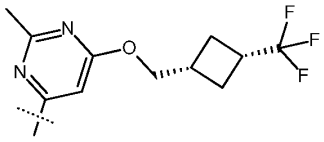
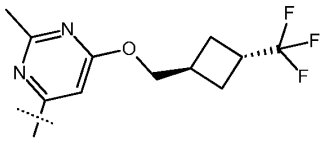
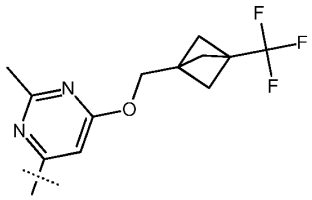
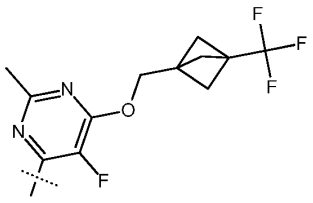
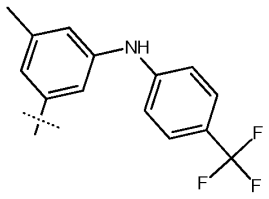
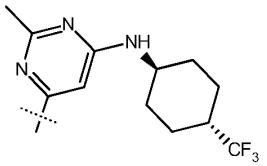

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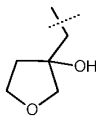
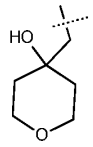
or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

27. Compound of formula I according to any one of claims 1 to 3 or 5 to 26

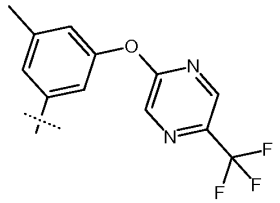
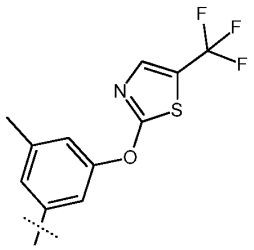
wherein

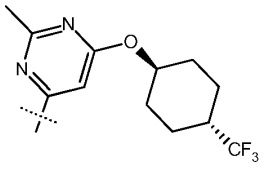
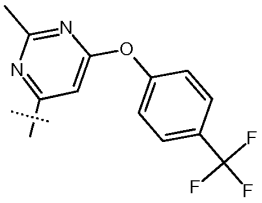
X^1 denotes N;

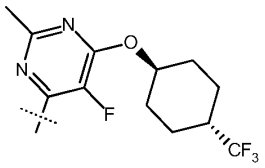
R^1 denotes Cl or $-CF_3$;

R^2 denotes H; CH_3; $-CH_2-CN$, $-(CH_2)_2-OH$, $-CH(CH_2OH)_2$; (1H-imidazol-4-yl)methyl, (1-methyl-1H-pyrazol-4-yl)methyl; (3-hydroxyoxolan-3-yl)methyl (), (4-hydroxyoxan-4-yl)methyl ();

R^3 denotes H;

$A\text{-}L^1\text{-}B$ denotes  ,  ;

 ,  ,

 ,

or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios.

28. Compound according to claim 1, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or any pharmaceutically acceptable salt of each of the foregoing, including mixtures thereof in all ratios, wherein the compound is selected from the compounds depicted in Table 1 and Table 1A.

29. Compound according to any of the preceeding claims, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, for use as a medicament.

30. Compound according to any of claims 1 to 28, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, for use in the prevention and/or treatment of a medical condition or disease that is affected by inhibiting YAP-TEAD and/or TAZ-TEAD interaction.

31. Compound according to any of claims 1 to 28, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, for use in the prevention and/or treatment of a medical condition or disease selected from the group consisting of: cancer, in particular tumors including solid tumors, of breast cancer, lung cancer, liver cancer, ovarian cancer, squamous cancer, renal cancer, gastric cancer, medulloblastoma, colon cancer, pancreatic cancer; cardiovascular diseases and fibrosis, in particular, liver fibrosis.

32. A pharmaceutical composition comprising at least one compound according to any one of claims 1 to 28, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, as active ingredient, together with a pharmaceutically acceptable carrier.

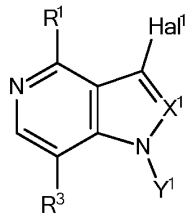
33. A pharmaceutical composition comprising (a) as a first active ingredient a compound according to any one of claims 1 to 28, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios; and (b)

a second active ingredient, wherein that second active ingredient is other than a compound of formula I as defined in any one of claims 1 to 28.

34. Process for manufacturing a compound according to any one of claims 1 to 28, or any N-oxide, solvate, tautomer or stereoisomer thereof and/or the pharmaceutically acceptable salts of each of the foregoing, including mixtures thereof in all ratios, the process being characterized in that

either

in a first reaction step a compound of formula II



II

wherein

R^1, R^3, and X^1 are defined as for formula I in any one of claims 1 to 28;

Y^1 denotes H or a suitable protecting group, PG^1;

Hal^1 denotes Cl, Br, or I;

is reacted under suitable C-C coupling reaction conditions with a compound of formula III

$$Y^2\text{-}A\text{-}L^1\text{-}B$$

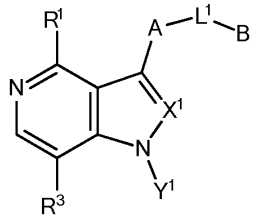
III

wherein

A, L^1, and B are defined as for formula I in any one of claims 1 to 28;

Y^2 denotes a suitable boronate functional group;

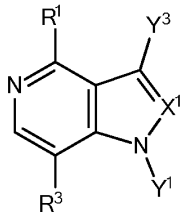
to provide a compound of formula IV



IV;

or

(B) in a first reaction step a compound of formula V



V

wherein

R^1, R^3, and X^1 are defined as for formula I in any one of claims 1 to 28;

Y^1 denotes H or a suitable protecting group, PG^1;

Y^3 denotes a suitable boronate functional group;

is reacted under suitable C-C coupling reaction conditions with a compound of formula VI

$$Hal^2\text{-}A\text{-}L^1\text{-}B$$

VI

wherein

A, L^1, and B are defined as for formula I in any one of claims 1 to 28;

Hal^2 denotes Cl, Br, or I;

to provide a compound of formula IV;

and, optionally, after step (A) or (B)

(C) (1) if in formula IV above Y^1 denotes PG^1, in a second reaction step PG^1 is removed under suitable reaction conditions to provide a compound of formula IV with Y^1 being H, which can also be described as a compound of formula I with R^2 being H; and/or

(C) (2) if in formula IV above Y^1 denotes H, in another reaction step that compound of formula IV is reactied under suitable reaction conditions with a compound of formula VII

$$R^2\text{-}LG^1$$

VII

wherein

R^2 is defined as in any one of claims 1 to 26 with the exception of H; and

LG^1 denotes a suitable leaving group;

to provide a compound of formula I as defined in any one of claims 1 to 28.