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DECLARATION (37 CFR 1.63) FOR UTILITY OR DESIGN APPLICATION USING AN APPLICATION DATA SHEET (37 CFR 1.76)

Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof

As the below named inventor, I hereby declare that:

This declaration is directed to:

- [x] The attached application, or
- [ ] United States application or PCT international application number _____ filed on _____.

The above-identified application was made or authorized to be made by me.

I believe that I am the original inventor or an original joint inventor of a claimed invention in the application.

I hereby acknowledge that any willful false statement made in this declaration is punishable under 18 U.S.C. 1001 by fine or imprisonment of not more than five (5) years, or both.

WARNING:

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LEGAL NAME OF INVENTOR

Inventor: Jinzi Jason Wu Date (Optional): 2024.09.06

Signature: DocuSigned by: Jason Wu 08F881AB8F84BF...

Note: An application data sheet (PTO/AIA/14 or equivalent), including naming the entire inventive entity, must accompany this form or must have been previously filed. Use an additional PTO/AIA/01 form for each additional inventor.

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LEGAL NAME OF INVENTOR

Inventor: Bin Liang Date (Optional): 2024.09.06

Signature: 签署人： Bin Liang 29C0788CEC3F42E...

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I hereby revoke all previous powers of attorney given in the application identified in the attached statement under 37 CFR 3.73(c).

I hereby appoint:

[X] Practitioners associated with Customer Number: 83682

OR

[ ] Practitioner(s) named below (if more than ten patent practitioners are to be named, then a customer number must be used):

Name	Registration Number

Name	Registration Number

As attorney(s) or agent(s) to represent the undersigned before the United States Patent and Trademark Office (USPTO) in connection with any and all patent applications assigned only to the undersigned according to the USPTO assignment records or assignment documents attached to this form in accordance with 37 CFR 3.73(c).

Please change the correspondence address for the application identified in the attached statement under 37 CFR 3.73(c) to:

[X] The address associated with Customer Number: 83682

OR

[ ]

Firm or individual name		
Address		
City	State	Zip
Country		
Telephone	Email	

Assignee name and address: Ascletis Pharma (China) Co., Limited
Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue, Causeway Bay
Hong Kong
China

A copy of this form, together with a statement under 37 CFR 3.73(c) (Form PTO/AIA/96 or equivalent) is required to be filed in each application in which this form is used. The statement under 37 CFR 3.73(c) may be completed by one of the practitioners appointed in this form, and must identify the application in which this Power of Attorney is to be filed.

SIGNATURE of Assignee of Record

The individual whose signature and title is supplied below is authorized to act on behalf of the assignee.

Signature DocuSigned by: Jinzi Jason Wu 060F881ABBE84BF...	Date 2024.09.06
Name Jinzi Jason Wu	Telephone
Title CEO	

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GLP-1R Agonist and Therapeutic Method Thereof

Cross-reference to related applications

The present disclosure claims the benefits of the Chinese patent application No. 202311189557.X entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed September 14, 2023 with the China National Intellectual Property Administration, the U.S. provisional application No. 63/538,892 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed September 18, 2023 with the U.S. Patent and Trademark Office, the Chinese patent application No. 202311371725.7 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed October 23, 2023 with the China National Intellectual Property Administration, the U.S. provisional application No. 63/545,615 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed October 25, 2023 with the U.S. Patent and Trademark Office, the Chinese patent application No. 202311582240.2 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed November 24, 2023 with the China National Intellectual Property Administration, the U.S. provisional application No. 63/603,854 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed November 29, 2023 with the U.S. Patent and Trademark Office, the Chinese patent application No. 202311686034.6 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed December 8, 2023, No. 202410142451.2 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed January 31, 2024, No. 202410202078.5 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed February 22, 2024, No. 202410398964.X entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed April 2, 2024, No. 202410584679.7 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed May 11, 2024, No. 202410963587.X entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed July 17, 2024 with the China National Intellectual Property Administration, the U.S. provisional application No. 63/673,453 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed July 19, 2024 with the U.S. Patent and Trademark Office, and the Chinese patent application No. 202411237048.4 entitled "GLP-1R Agonist and Therapeutic Method Thereof" filed September 4, 2024 with the China National Intellectual Property Administration, which are incorporated herein by their entireties.

FIELD

The present disclosure generally relates to compounds that bind to and act as agonists or modulators of the glucagon-like peptide-1 receptor (GLP-1R), as well as the use of such compounds for the treatment and/or prevention of GLP-1R-mediated diseases and conditions.

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BACKGROUND

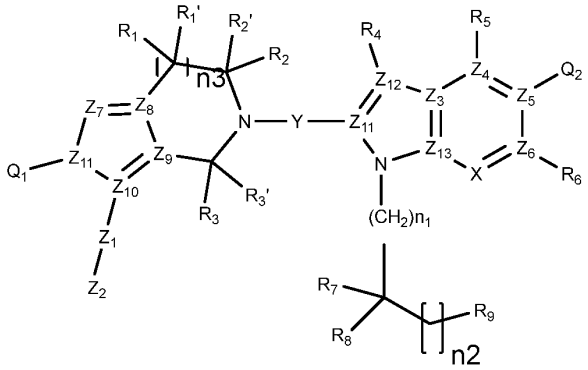
Glucagon-like peptide-1 (GLP-1) is a peptide hormone secreted from the enteroendocrine cells in the gut in response to a meal. GLP-1 is believed to play a role in regulation of post-prandial glycemia, via directly augmenting meal-induced insulin secretion from the pancreatic beta-cells, as well as in promoting satiety by delaying the transit of food through the gut. GLP-1 mediates intracellular signaling via the GLP-1 receptor (GLP-1R), which belongs to a family of G-protein coupled receptors that are present on the cell membrane and can result in the accumulation of the secondary messenger cyclic adenosine monophosphate (cAMP) upon activation. Non-alcoholic steatohepatitis (NASH) can be associated with features of metabolic syndrome, including obesity, type 2 diabetes, insulin resistance, and cardiovascular disease.

GLP-1R agonists are currently being investigated in connection with diabetes, obesity, and NASH. GLP-1R agonists include peptides, such as exenatide, liraglutide, and dulaglutide, that have been approved for the management of type 2 diabetes. Such peptides are predominantly administered by subcutaneous injection. Oral GLP-1 agonists are also under investigation for treatment of type 2 diabetes. Some GLP-1R agonists, such as liraglutide, dulaglutide, and exenatide, are resistant to rapid degradation by dipeptidyl peptidase 4, resulting in longer half-lives than endogenous GLP-1.

There remains a need for compounds, such as agonists of GLP-1R, with desirable therapeutic properties, metabolic properties, and/or easy administration in the treatment of GLP-1R-mediated diseases and conditions.

SUMMARY

One aspect of the present disclosure provides a compound of Formula (I), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



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Formula (I)

wherein:

X is selected from the group consisting of N and -CR^a; wherein R^a is selected from the group consisting of hydrogen, halogen, and C_{1-6} alkyl;

wherein Y is -C(=O)-;

wherein each of Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9, Z_{10}, Z_{11}, Z_{12} and Z_{13} is independently selected from the group consisting of N and C;

wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10- membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and -$NR^{Qa}R^{Qb}$, or wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

wherein each of R_1, R_2, R_3, R_1', R_2' and R_3' is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl; or

wherein R_2 and R_3 together with the carbon atom to which they are attached form 4- to 8-membered heterocycloalkyl;

each of R_4, R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{3-15} cycloalkyl;

or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, hydroxyl, -$NR^{7a}R^{7b}$, C_{1-6} alkoxy

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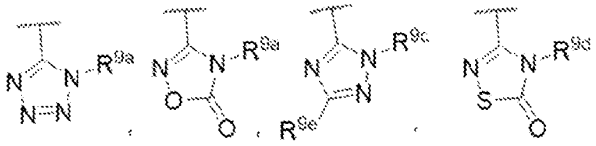
and 3- to 12-membered heterocyclic, and R^{7a} and R^{7b} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

n1 is 0, 1, 2 or 3;

n2 is 0, 1, 2, 3, 4 or 5;

n3 is 0 or 1;

R_9 is selected from the group consisting of:



, $-CO_2R^{9f}$ and $-C(=O)-NR^{9g}R^{9h}$;

and each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{1-6} alkoxy;

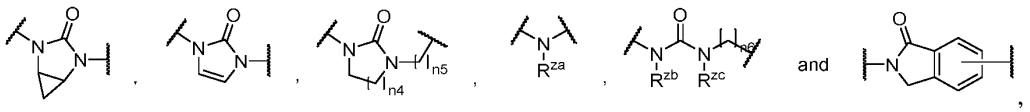
R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen;

R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;

R^{9i} is C_{1-6} alkyl;

Z_1 is selected from the group consisting of:



,

wherein R^{za} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, and each of R^{zb} and R^{zc} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

n4 is 1, 2 or 3;

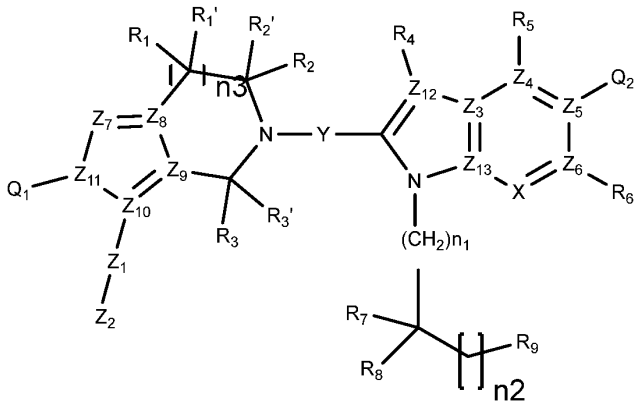
each of n5 and n6 is independently an integer selected from 0 to 10;

Z_2 is 5- to 10- membered heteroaryl, and Z_2 is substituted with one halogen and one of C_3-C_{15} cycloalkyl and C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein the cycloalkyl or alkyl is optionally substituted with one or more substituents independently selected from the group

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consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted with halogen.

Another aspect of the present disclosure provides a compound of Formula (III), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (III)

wherein:

X is $-CR^a$; R^a is H;

Y is -C(=O)-;

Z_3, Z_4, Z_5, Z_6, Z_8, Z_9, Z_{10}, Z_{12} and Z_{13} are C;

Z_7 and Z_{11} are N;

Q_1 is C_{6-10} aryl, wherein C_{6-10} aryl is optionally substituted with one to five substituents independently selected from the group consisting of halogen and C_{1-6} alkyl;

Q_2 is 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally substituted with 1-3 substituents independently selected from the group consisting of halogen and C_{1-6} alkyl, or wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring;

wherein each of R_1, R_2, R_1', and R_2' is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

R_3 and R_3' are independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

R_4, R_5 and R_6 are H;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl; or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three

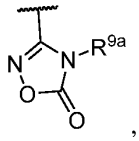
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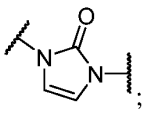
C_{1-6} alkyl;

n_1 is 0 or 1;

n2 is 0 or 1;

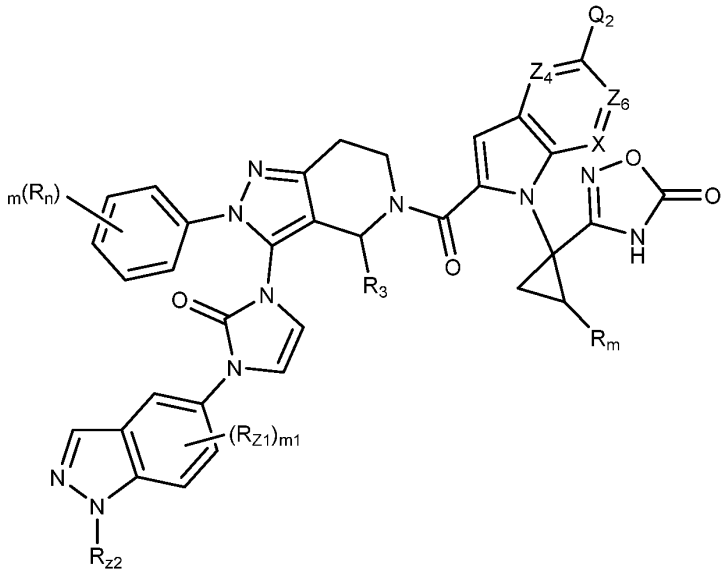
n3 is 1;

R_9 is  , and R^{9a} is H;

Z_1 is  ;

Z_2 is 5- to 10- membered heteroaryl, and Z_2 is substituted with one halogen and one of C_3-C_{15} cycloalkyl and C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein the cycloalkyl or alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted with halogen.

Still another aspect of the present disclosure provides a compound of Formula (IV), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (IV)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

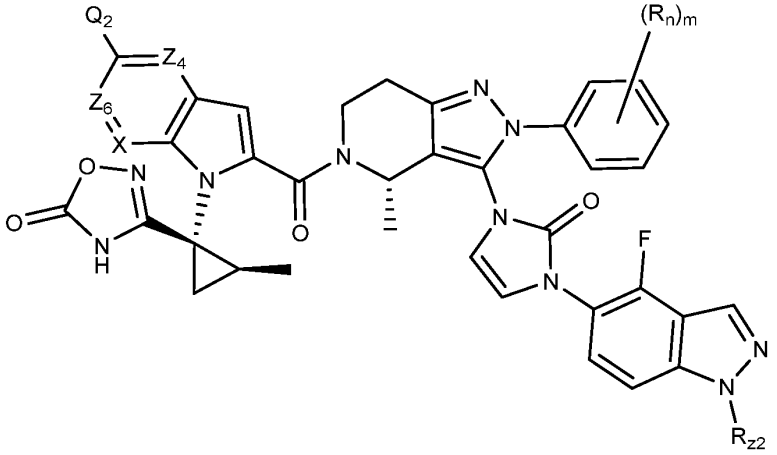
R_{z1} is selected from the group consisting of halogen, C_{1-3} alkyl, and C_{3-8} cycloalkyl;

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R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl; and R_{z2} is optionally substituted with substituents independently selected from the group consisting of halogen, -OH, C_{1-6} alkyl, and C_{1-6} alkoxy;

m1 is 0, 1, 2 or 3.

Yet still another aspect of the present disclosure provides a compound of Formula (V), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

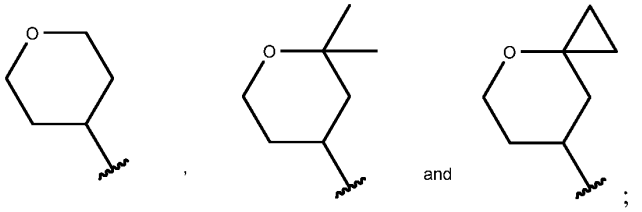


Formula (V)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

Q_2 is selected from the group consisting of



;

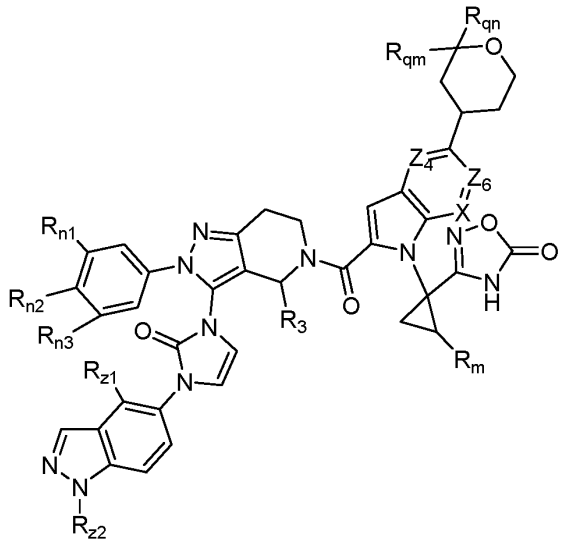
R_n is selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

m is 0, 1, 2 or 3;

R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl; and R_{z2} is optionally substituted with substituents independently selected from the group consisting of halogen, hydroxyl, C_{1-6} alkyl and C_{1-6} alkoxy.

Yet still another aspect of the present disclosure provides a compound of Formula (VIII), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

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Formula(VIII)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

R_m is selected from the group consisting of H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy;

R_{n1} and R_{n3} are each independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and C_{1-6} haloalkoxy; R_{n2} is selected from halogen;

R_3 is selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy;

R_{z1} is selected from the group consisting of hydrogen, halogen, C_{1-3} alkyl and C_{3-8} cycloalkyl;

R_{z2} Selected from the group consisting of

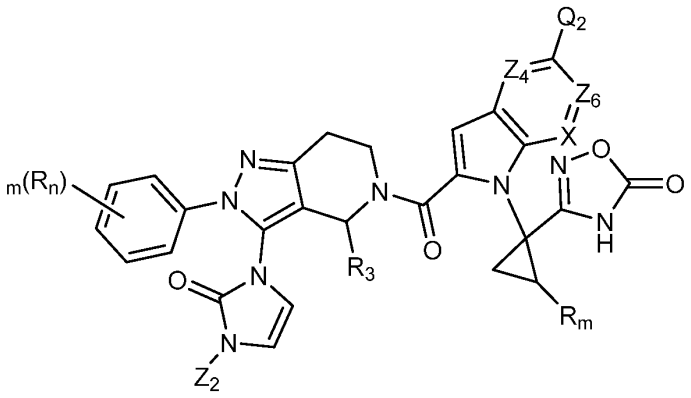


;

R_{qm} and R_{qn} are each independently selected from the group consisting of H, methyl, and ethyl, or R_{qm} and R_{qn} together with the carbon atom to which they are attached to form a C_{3-6} cycloalkyl.

Yet still another aspect of the present disclosure provides a compound of Formula (IX), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

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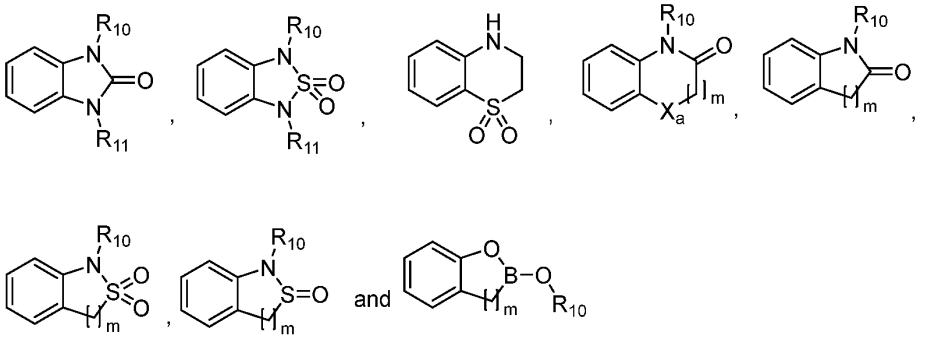


Formula (IX)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

Z_2 is selected from the group consisting of



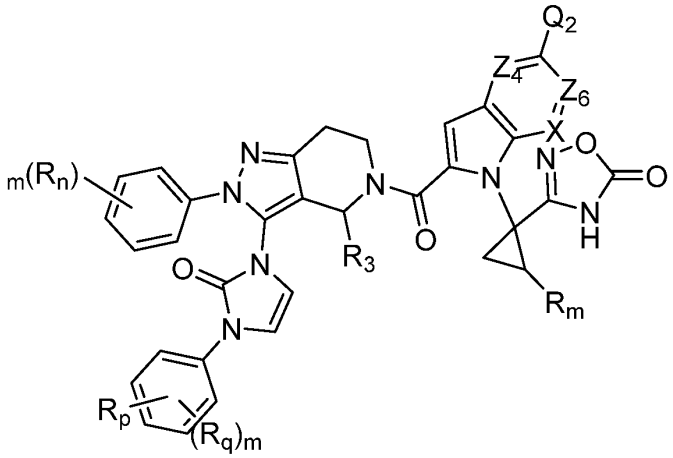
;

R_n is selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

m is 0, 1, 2 or 3.

Yet still another aspect of the present disclosure provides a compound of Formula (X), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

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Formula (X)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

m is 0, 1, 2 or 3;

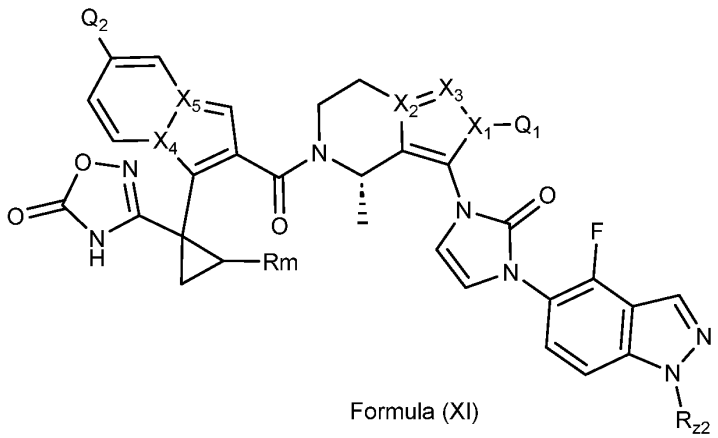
R_p is selected from the group consisting of $-CH_2-P(=O)R^{zm}R^{zn}$ and $-P(=O)OR^{zm}OR^{zn}$;

R_q is selected from the group consisting of halogen, $-NH-C_{1-6}$ alkyl, $-C_{1-6}$ alkyl, $-O-C_{1-6}$ alkyl, 3- to 12-membered heterocyclic, $-C_3-C_{15}$ cycloalkyl and C_1-C_6 alkyl-C_3-C_{15} cycloalkyl;

wherein each of R^{zm} and R^{zn} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_{6-10} aryl; or R^{zm} and R^{zn} together with the phosphorous atom to which they are attached form 5- to 8- membered heterocycloalkyl, wherein the heterocycloalkyl is optionally substituted with 1-3 C_{1-6} alkyl.

Yet still another aspect of the present disclosure provides a compound of Formula (XI), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

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Formula (XI)

wherein:

Q_1 is C_{6-10} aryl, and the C_{6-10} aryl is optionally substituted with one to five substituents selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy, wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form a C_{3-8} carbocyclic ring;

X_1, X_2, and X_3 are independently selected from the group consisting of N and C;

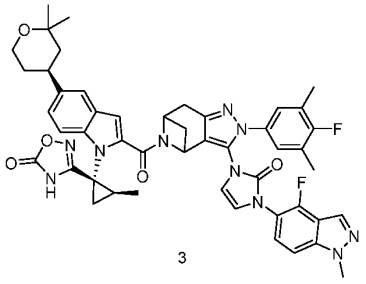
X_4, and X_5 are independently selected from the group consisting of N and C;

R_m is selected from the group consisting of H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy;

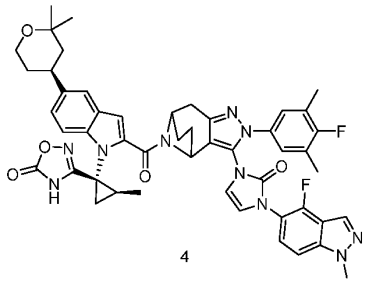
R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl.

Yet still another aspect of the present disclosure provides a compound, a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof, selected from the group consisting of:

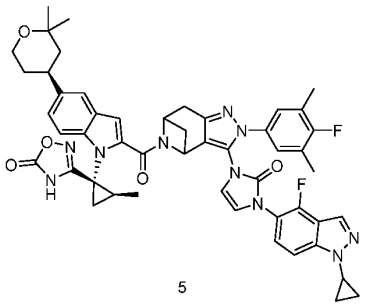
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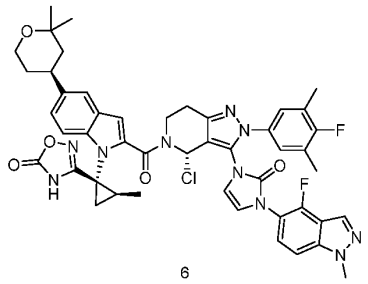
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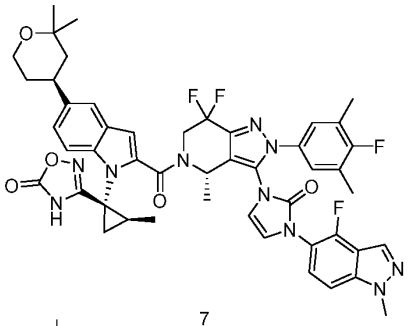


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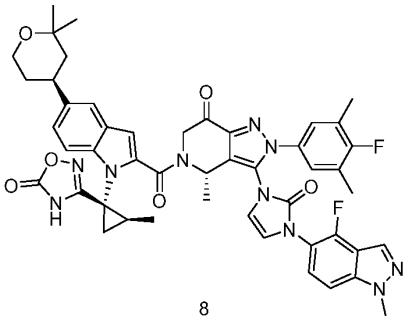


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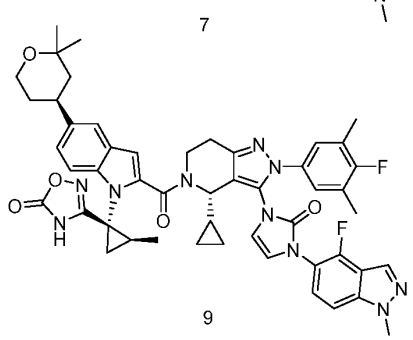
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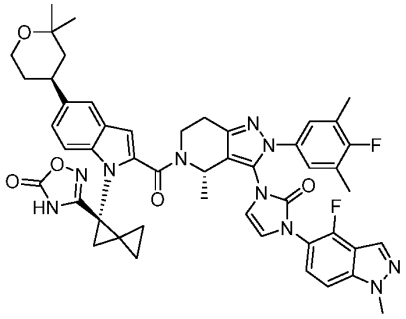
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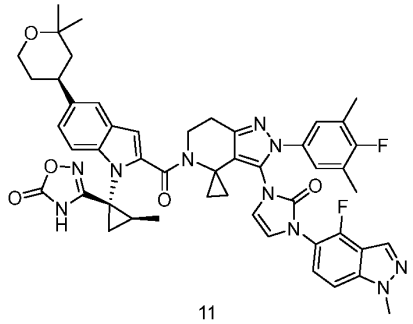
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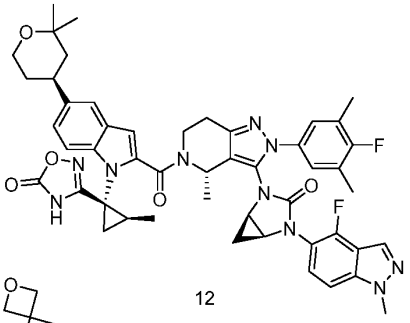
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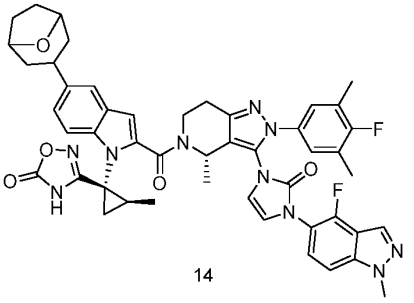
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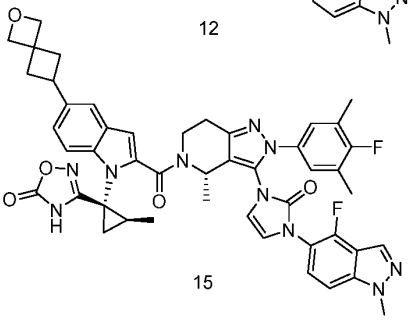
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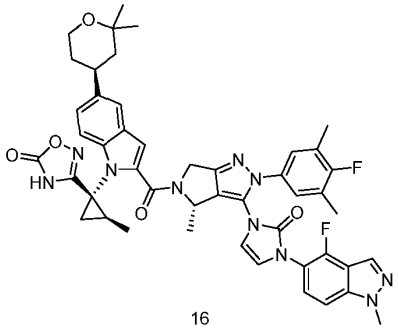


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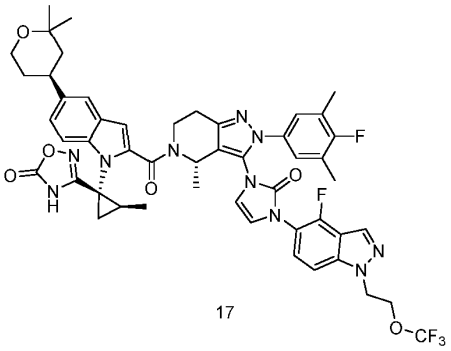


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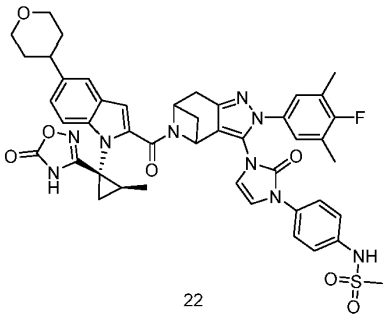
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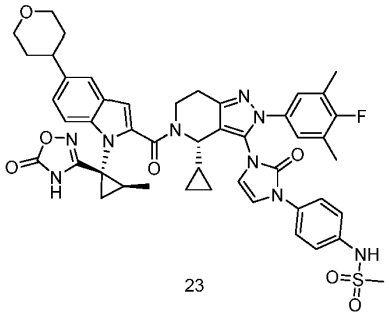
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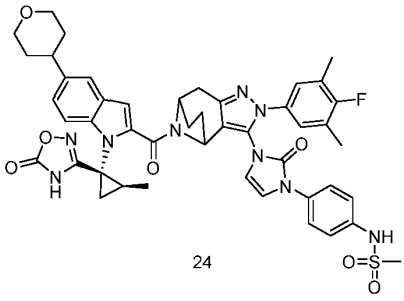
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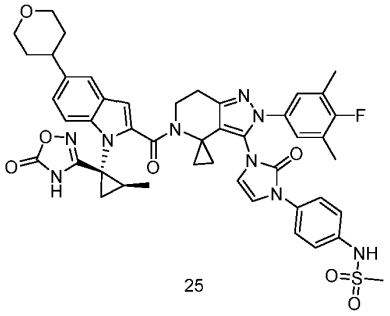
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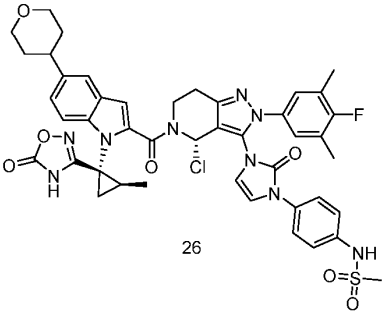
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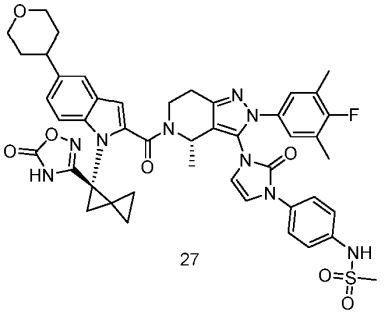
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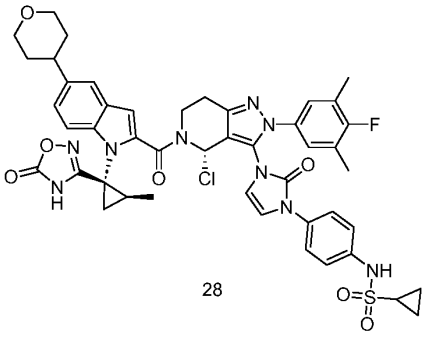


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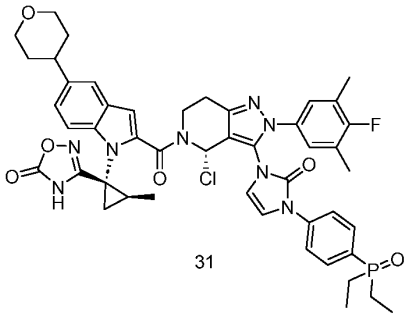


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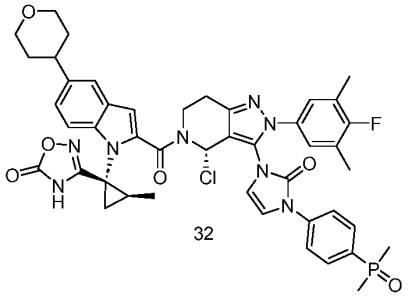
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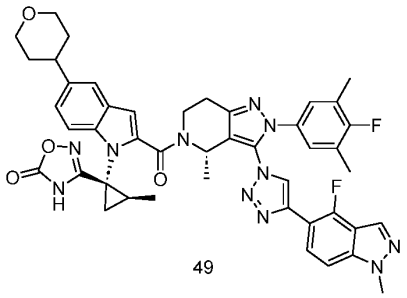
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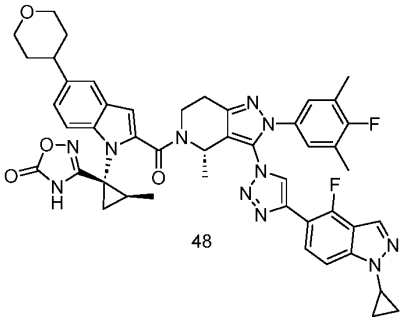


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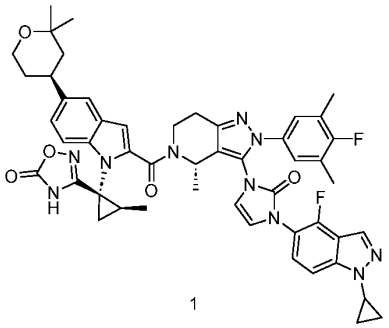


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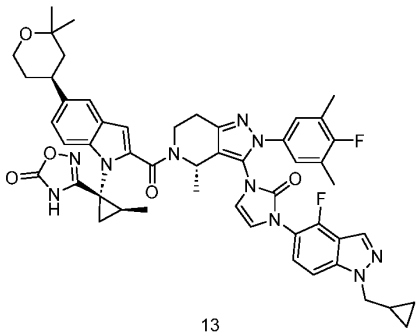
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Yet still another aspect of the present disclosure provides a compound or a pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:

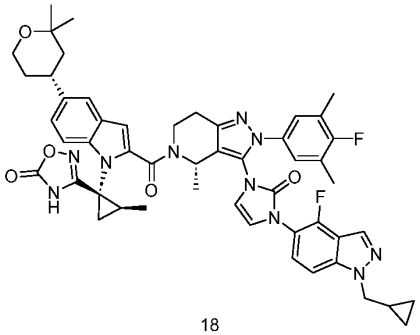
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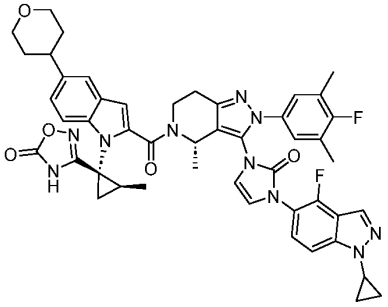
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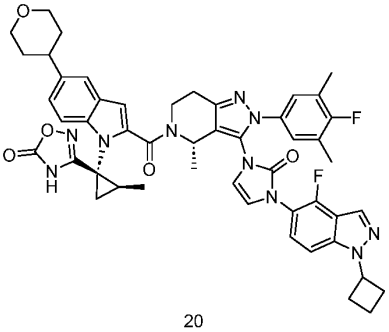
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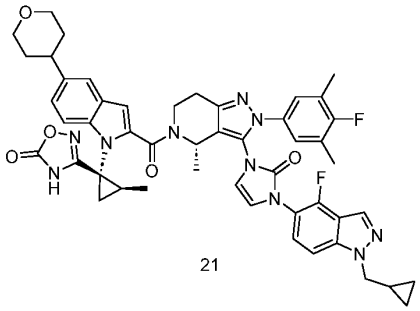
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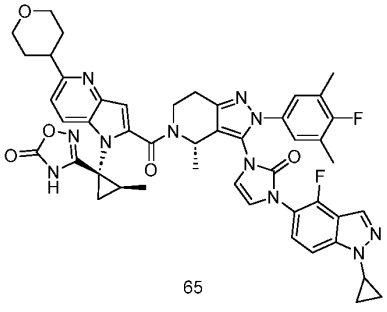


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and

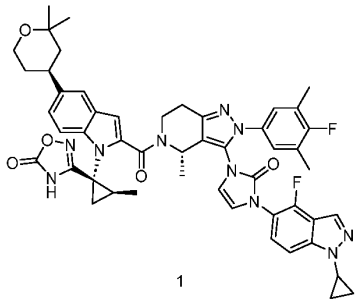


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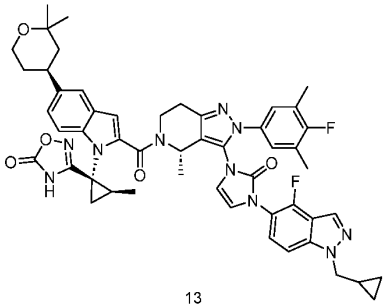
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Yet still another aspect of the present disclosure provides a compound, selected from the group consisting of:

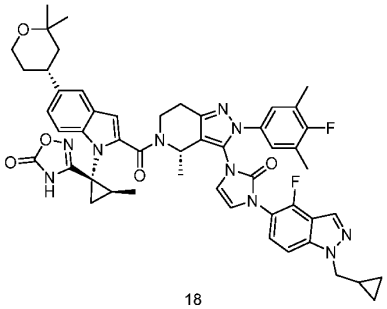
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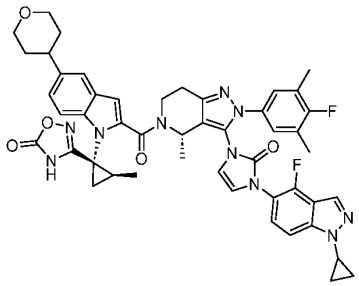
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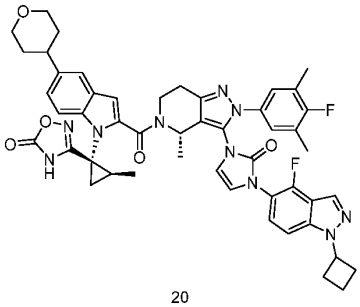
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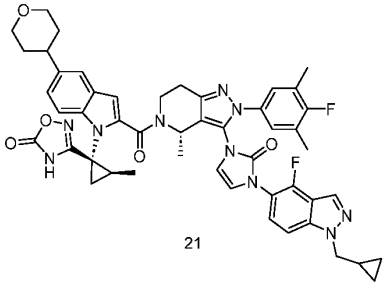
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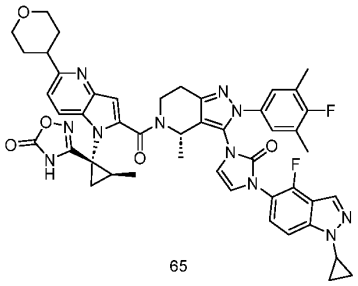


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and



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Yet still another aspect of the present disclosure provides the composition comprising the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, and a pharmaceutically acceptable excipient.

Yet still another aspect of the present disclosure provides a method for treating GLP-1R mediated diseases or conditions, comprising administering to a subject in need thereof a

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therapeutically effective amount of the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, or a pharmaceutical composition of the present disclosure.

DETAILED DESCRIPTION

In the following description, certain specific details are included to provide a comprehensive understanding of the various disclosed embodiments. However, those skilled in the art will recognize that embodiments may be achieved without the use of one or more of these specific details and with the use of other methods, components, materials, etc.

Unless otherwise required in this disclosure, throughout the specification and subsequent claims, the words "including" and "comprising" are to be interpreted in an open-ended, inclusive sense, i.e., "including, without limitation".

As used in this disclosure and the appended claims, singular referents without indication of quantity include plural referents unless the context clearly indicates otherwise.

Throughout this specification, references to "an embodiment" or "embodiments" or "in another embodiment" or "in some embodiments" means to include in at least one embodiment a specific reference element, structure or feature related to that embodiment as described in that embodiment. Accordingly, the phrases "in an embodiment" or "in an embodiment" or "in another embodiment" or "in some embodiments" appearing at various places throughout the specification are intended to mean that at least one embodiment includes a specific reference element or feature related to that embodiment as described therein. "in some embodiments" need not all refer to the same embodiment. In addition, specific elements, structures, or features may be combined in one or more embodiments in any suitable manner.

It should be understood that the singular form of the article "one" (corresponding to the English words "a", "an", and "the") is used in the specification of the present disclosure and the appended claims. "The" is used in the claims in the singular form to include objects in the plural unless the context explicitly states otherwise. Thus, for example, reference to an extended-release tablet comprising "pharmaceutically acceptable excipients" includes one pharmaceutically acceptable excipient or two or more pharmaceutically acceptable excipients.

It should be understood that the singular form of the article "a" (corresponding to the English "a", "an", and "the") used in this disclosure and the accompanying claims includes plural objects unless otherwise explicitly stated in the text. Therefore, for example, a

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sustained-release tablet containing "pharmaceutically acceptable excipients" includes one pharmaceutically acceptable excipient or two or more pharmaceutically acceptable excipients.

Definition

Unless defined otherwise, all technical and scientific terms used herein have the same meaning as commonly understood by one of ordinary skill in the art. A dash at the front or end of a chemical group is a matter of convenience to indicate the point of attachment to a parent moiety; chemical groups may be depicted with or without one or more dashes without losing their ordinary meaning. A prefix such as "C_{u-v}" or "C_u-C_v" indicates that the following group has from u to v carbon atoms, where u and v are integers. For example, "C_{1-6} alkyl" or "C_1-C_6 alkyl" indicates that the alkyl group has from 1 to 6 carbon atoms. "C_3-C_{10} cycloalkyl" describes a cycloalkyl group having a total of 3 to 10 carbon atoms.

The terms "and/or" are used in this disclosure to denote "and" or "or" unless otherwise indicated.

"Substituted" as used herein refers to wherein one or more hydrogen atoms of the group are independently replaced by one or more substituents (e.g., 1, 2, 3, or 4 or more) as indicated. The substituent is selected from the following substituents, but are not limited to: alkyl, cycloalkyl, aryl, heteroaryl, heteroaryl, hydroxy, protected hydroxy, alkoxy, aryloxy, mercapto, alkylthio, arylsulfanyl, cyano, halogenated, carbonyl, thiocarbonyl, O-carbamoyl, N-carbamoyl O-thiocarbamoyl, N-thiocarbamoyl, C-acylamino, N-acylamino, S-sulfinylamino, N-sulfinylamino, C-carboxylic, protected C-carboxylic, O-carboxylic, isocyanatocarbonyl, cyanothiocyanatocarbonyl, isothiocyanatocarbonyl, nitro, methyldimethylsilanyl, trihalomethanesulfonyl, -NR' R" (R' and R" are alkyl groups as defined in this disclosure) or a protected amino group.

"Alkyl" is a monovalent or divalent linear or branched saturated hydrocarbon radical. For example, an alkyl group can have 1 to 10 carbon atoms (i.e., C_{1-10} alkyl) or 1 to 8 carbon atoms (i.e., C_{1-8} alkyl) or 1 to 6 carbon atoms (i.e., C_{1-6} alkyl) or 1 to 4 carbon atoms (i.e., C_{1-4} alkyl). Examples of alkyl groups include, but are not limited to, methyl (Me, $-CH_3$), ethyl (Et, $-CH_2CH_3$), 1-propyl (*n*-Pr, *n*-propyl, $-CH_2CH_2CH_3$), 2-propyl (*i*-Pr, *i*-Propyl, $-CH(CH_3)_2$), 1-butyl (*n*-Bu, *n*-butyl, $-CH_2CH_2CH_2CH_3$), 2-methyl-1-propyl (*i*-Bu, *i*-butyl, $-CH_2CH(CH_3)_2$), 2-butyl (*s*-Bu, *s*-butyl, $-CH(CH_3)CH_2CH_3$), 2-methyl-2-propyl (*t*-Bu, *t*-butyl, $-C(CH_3)_3$), 1-pentyl (n-pentyl, $-CH_2CH_2CH_2CH_2CH_3$), 2-pentyl ($-CH(CH_3)CH_2CH_2CH_3$), 3-pentyl ($-CH(CH_2CH_3)_2$), 2-methyl-2-butyl ($-C(CH_3)_2CH_2CH_3$), 3-methyl-2-butyl (-

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$CH(CH_3)CH(CH_3)_2$), 3-methyl-1-butyl ($-CH_2CH_2CH(CH_3)_2$), 2-methyl-1-butyl ($-CH_2CH(CH_3)CH_2CH_3$), 1-hexyl ($-CH_2CH_2CH_2CH_2CH_2CH_3$), 2-hexyl ($-CH(CH_3)CH_2CH_2CH_2CH_3$), 3-hexyl ($-CH(CH_2CH_3)(CH_2CH_2CH_3)$), 2-methyl-2-pentyl ($-C(CH_3)_2CH_2CH_2CH_3$), 3-methyl-2-pentyl ($-CH(CH_3)CH(CH_3)CH_2CH_3$), 4-methyl-2-pentyl ($-CH(CH_3)CH_2CH(CH_3)_2$), 3-methyl-3-pentyl ($-C(CH_3)(CH_2CH_3)_2$), 2-methyl-3-pentyl ($-CH(CH_2CH_3)CH(CH_3)_2$), 2, 3-dimethyl-2-butyl ($-C(CH_3)_2CH(CH_3)_2$), 3, 3-dimethyl-2-butyl ($-CH(CH_3)C(CH_3)_3$, and octyl ($-(CH_2)_7CH_3$). Alkyl groups can be unsubstituted or substituted.

The alkyl group may be optionally substituted, i.e., substituted or unsubstituted. When substituted, the substituent group is individually and independently selected from one or more of the following: cycloalkyl, aryl, heteroaryl, heteroaryl, hydroxy, alkoxy, aryloxy, thiol, alkylthio, arylthio, cyano, halo, carbonyl, thiocarbonyl, O-carbamoyl, N-carbamoyl, O-thiocarbamoyl, N-thiocarbamoyl, C-acylamino, N-acyl amino, S-sulfinylamino, N-sulfinylamino, C-carboxyl, O-carboxyl, isocyanato, cyanothio, isothiocyanato, nitro, methylsilyl, trihalomethanesulfonyl, -NR'R" (R' and R" are alkyl groups as defined in the present disclosure) or amino groups including mono- and di-substituted amino groups, and protected derivatives thereof.

In some embodiments, exemplary examples of alkyl groups that can be used in the present disclosure include, but are not limited to, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, pentyl, and hexyl. Whenever a substituent is described as being "optionally substituted", the substituent may be substituted with one of the above substituents.

"Alkoxy" refers to the group -O-alkyl, where alkyl is as defined above. For example, C_{1-4} alkoxy refers to an -O-alkyl group having 1 to 4 carbons. Alkoxy groups can be unsubstituted or substituted.

"Alkoxyalkyl" is an alkoxy group attached to an alkyl as defined above, such that the alkyl is divalent. For example, C_{2-6} alkoxyalkyl includes $-CH_2$-OMe, $-CH_2$-O-*i*Pr, $-CH_2-CH_2$-OMe, $-CH_2-CH_2-O-CH_2-CH_3$, and $-CH_2-CH_2-O-t$Bu. Alkoxyalkyl groups can be unsubstituted or substituted.

"Alkenyl" is a monovalent or divalent linear or branched hydrocarbon radical with at least one carbon-carbon double bond. For example, an alkenyl group can have 2 to 8 carbon atoms (i.e., C_{2-8} alkenyl) or 2 to 6 carbon atoms (i.e., C_{2-6} alkenyl) or 2 to 4 carbon atoms (i.e., C_{2-4} alkenyl). Examples of alkenyl groups include, but are not limited to, ethenyl ($-CH=CH_2$), allyl ($-CH_2CH=CH_2$), and $-CH_2-CH=CH-CH_3$. Alkenyl groups can be

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unsubstituted or substituted.

"Alkynyl" is a monovalent or divalent linear or branched hydrocarbon radical with at least one carbon-carbon triple bond. For example, an alkynyl group can have 2 to 8 carbon atoms (i.e., C_{2-8} alkynyl) or 2 to 6 carbon atoms (i.e., C_{2-6} alkynyl) or 2 to 4 carbon atoms (i.e., C_{2-4} alkynyl). Examples of alkynyl groups include, but are not limited to, acetylenyl (-C≡CH), propargyl (-CH_2C≡CH), and -CH_2-C≡C-CH_3. Alkynyl groups can be unsubstituted or substituted.

"Oxo" as used herein refers to =O.

"Halogen" or "halo" refers to fluoro (-F), chloro (-Cl), bromo (-Br) and iodo (-I).

"Haloalkyl" is an alkyl as defined herein, wherein one or more hydrogen atoms of the alkyl are independently replaced by a halogen, which may be the same or different, such that the alkyl is divalent. The alkyl group and the halogen can be any of those described above. In some embodiments, the haloalkyl defines the number of carbon atoms in the alkyl portion, e.g., C_{1-4} haloalkyl includes CF_3, CH_2F, CHF_2, CH_2CF_3, $CH_2CH_2CF_3$, $CCl_2CH_2CH_2CH_3$, and $C(CH_3)_2(CF_2H)$. Haloalkyl groups can be unsubstituted or substituted.

"Haloalkoxy" is an alkoxy as defined herein, wherein one or more hydrogen atoms of the alkyl in the alkyoxy are independently replaced by a halogen, which may be the same or different, such that the alkyl is divalent. The alkoxy group and the halogen can be any of those described above. In some embodiments, the haloalkoxy defines the number of carbon atoms in the alkyl portion, e.g., C_{1-4} haloalkoxy includes OCF_3, OCH_2F, OCH_2CF_3, $OCH_2CH_2CF_3$, $OCCl_2CH_2CH_2CH_3$, and $OC(CH_3)_2(CF_2H)$. Haloalkoxy groups can be unsubstituted or substituted.

"Cycloalkyl" is a monovalent or divalent single all carbon ring or a multiple condensed all carbon ring system wherein the ring in each instance is a non-aromatic saturated or unsaturated ring. For example, in some embodiments, a cycloalkyl group has 3 to 12 carbon atoms, 3 to 10 carbon atoms, 3 to 8 carbon atoms, 3 to 6 carbon atoms, 3 to 5 carbon atoms, or 3 to 4 carbon atoms. Exemplary single ring cycloalkyl groups include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclohexenyl, cycloheptyl, cycloheptenyl, and cyclooctyl. Cycloalkyl also includes multiple condensed ring systems (e.g., ring systems comprising 2 rings) having about 7 to 12 carbon atoms. The rings of the multiple condensed ring system can be connected to each other via fused, spiro, or bridged bonds when allowed by valency requirements. Exemplary multiple ring cycloalkyl groups include octahydropentalene, bicyclo[2. 2. 1]heptane, bicyclo[2. 2. 2]octane, bicyclo[2. 2. 2]oct-2-ene, and spiro[2. 5]octane. Cycloalkyl groups can be unsubstituted or substituted.

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The term "cycloalkyl" is intended to include a cycloalkyl group as defined aboveoptionally substituted by one or more groups selected from the following substituents: cycloalkyl, aryl, heteroaryl, heteroaliphatic, hydroxy, alkoxy, aryloxy, mercapto, alkylthio, arylthio, cyano, halo, carbonyl, thio carbonyl, isocyanate, cyanothionyl, isothiocyanate, nitro, -NR'R" (R' and R" are alkyl groups as defined in the present disclosure) or amino groups including mono- and di-substituted amino groups, and protected derivatives thereof.

In some embodiments, "C_{3-6} cycloalkyl" refers to a cycloalkyl group as defined above having three to six carbon atoms. C_{3-6} cycloalkyl groups may be optionally substituted as defined above for cycloalkyl.

In some embodiments, "C_{3-8} cycloalkyl" refers to a cycloalkyl group having from three to eight carbon atoms as defined above. C_{3-8} cycloalkyl groups may be optionally substituted as defined above for cycloalkyl.

In some embodiments, the term "C_{3-15} cycloalkyl" refers to a cycloalkyl group as defined above having from three to twelve carbon atoms. C_{3-15} cycloalkyl groups may be optionally substituted as defined above for cycloalkyl.

"Aryl" as used herein refers to a monovalent or divalent single all carbon aromatic ring or a multiple condensed all carbon ring system wherein the ring is aromatic. For example, in some embodiments, an aryl group has 6 to 20 carbon atoms, 6 to 14 carbon atoms, 6 to 12 carbon atoms, or 6 to 10 carbon atoms. Aryl includes a phenyl radical. Aryl also includes multiple condensed ring systems (e.g., ring systems comprising 2, 3 or 4 rings) having about 9 to 20 carbon atoms in which multiple rings are aromatic. The rings of the multiple condensed ring system can be connected to each other via fused bonds when allowed by valency requirements. It is also understood that when reference is made to a certain atom-range membered aryl (e.g., 6-10 membered aryl), the atom range is for the total ring atoms of the aryl. For example, a 6-membered aryl would include phenyl and a 10-membered aryl would include naphthyl. Non-limiting examples of aryl groups include, but are not limited to, phenyl, naphthyl, anthracenyl, and the like. Aryl groups can be unsubstituted or substituted.

"Heterocyclyl" or "heterocycle" or "heterocycloalkyl" or "heterocyclic" or "heterocyclic ring" as used herein refers to a single saturated or partially unsaturated non-aromatic ring or a non-aromatic multiple ring system that has at least one heteroatom in the ring (i.e., at least one annular (i.e., ring-shaped) heteroatom selected from oxygen, nitrogen, and sulfur). Unless otherwise specified, a heterocyclyl group has from 3 to about 20 annular atoms, for example from 3 to 12 annular atoms, for example from 4 to 12 annular atoms, 4 to 10 annular atoms, or 3 to 8 annular atoms, or 3 to 6 annular atoms, or 3 to 5 annular atoms, or 4 to 6 annular

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atoms, or 4 to 5 annular atoms. Thus, the term includes single saturated or partially unsaturated rings (e.g., 3, 4, 5, 6 or 7- membered rings) having from about 1 to 6 annular carbon atoms and from about 1 to 3 annular heteroatoms selected from the group consisting of oxygen, nitrogen and sulfur in the ring. The rings of the multiple condensed ring (e.g. bicyclic heterocyclyl) system can be connected to each other via fused, spiro and bridged bonds when allowed by valency requirements. Heterocycles include, but are not limited to, azetidine, aziridine, imidazolidine, morpholine, oxirane(epoxide), oxetane, thietane, piperazine, piperidine, pyrazolidine, piperidine, pyrrolidine, pyrrolidinone, tetrahydrofuran, tetrahydrothiophene, dihydropyridine, tetrahydropyridine, quinuclidine,2-oxa-6-azaspiro[3. 3]heptan-6-yl, 6-oxa-1-azaspiro[3. 3]heptan-1-yl, 2-thia-6-azaspiro[3. 3]heptan-6-yl, 2, 6-diazaspiro[3. 3]heptan-2-yl, 2-azabicyclo[3. 1. 0]hexan-2-yl, 3-azabicyclo[3. 1. 0]hexanyl, 2-azabicyclo[2. 1. 1]hexanyl, 2-azabicyclo[2. 2. 1]heptan-2-yl, 4-azaspiro[2. 4]heptanyl, 5-azaspiro[2. 4]heptanyl, and the like. Heterocyclyl groups can be unsubstituted or substituted.

"5-10 membered heteroaryl" refers to an aromatic ring that has at least one atom other than carbon in the ring, wherein the atom is selected from the group consisting of oxygen, nitrogen and sulfur; "5-10 membered heteroaryl" also includes multiple condensed ring systems that have at least one such aromatic ring, which multiple condensed ring systems are further described below. Thus, "5-10 membered heteroaryl" includes single aromatic rings of from about 1 to 6 carbon atoms and about 1-4 heteroatoms selected from the group consisting of oxygen, nitrogen and sulfur. The sulfur and nitrogen atoms may also be present in an oxidized form provided the ring is aromatic. Exemplary 5-10 membered heteroaryl ring systems include but are not limited to pyridyl, pyrimidinyl, oxazolyl or furyl. "5-10 membered heteroaryl" also includes multiple condensed ring systems (e.g., ring systems comprising 2, 3 or 4 rings) wherein a 5-10 membered heteroaryl group, as defined above, is condensed with one or more rings selected from 5-10 membered heteroaryls (to form for example 1, 8-naphthyridinyl) and aryls (to form, for example, benzimidazolyl or indazolyl) to form the multiple condensed ring system. Thus, a 5-10 membered heteroaryl (a single aromatic ring or multiple condensed ring system) can have about 1-20 carbon atoms and about 1-6 heteroatoms within the 5-10 membered heteroaryl ring. For example, tetrazolyl has 1 carbon atom and 4 nitrogen heteroatoms within the ring. The rings of the multiple condensed ring system can be connected to each other via fused bonds when allowed by valency requirements. It is to be understood that the individual rings of the multiple condensed ring system may be connected in any order relative to one another. It is to be understood that the point of attachment for a 5-10 membered heteroaryl or 5-10 membered

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heteroaryl multiple condensed ring system can be at any suitable atom of the 5-10 membered heteroaryl or 5-10 membered heteroaryl multiple condensed ring system including a carbon atom and a heteroatom (e.g., a nitrogen). It also to be understood that when a reference is made to a certain atom-range membered (e.g., a 5-10 membered heteroaryl), the atom range is for the total ring atoms of the 5-10 membered heteroaryl and includes carbon atoms and heteroatoms. It is also to be understood that the rings of the multiple condensed ring system may include an aryl ring fused to a heterocyclic ring with saturated or partially unsaturated bonds (e.g., 3, 4, 5, 6 or 7-membered rings) having from about 1 to 6 annular carbon atoms and from about 1 to 3 annular heteroatoms selected from the group consisting of oxygen, nitrogen and sulfur in the ring. For example, a 5-10 membered heteroaryl includes thiazolyl and a 5-10 membered heteroaryl includes quinolinyl. Exemplary 5-10 membered heteroaryls include but are not limited to pyridyl, pyrrolyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, thienyl, indolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, furyl, oxadiazolyl, thiadiazolyl, quinolyl, isoquinolyl, benzothiazolyl, benzoxazolyl, indazolyl, quinoxalyl, quinazolyl, benzofuranyl, benzimidazolyl, thianaphthenyl, pyrrolo[2, 3-b]pyridinyl, quinazolinyl-4(3H)-one, triazolyl, and tetrazolyl. 5-10 membered heteroaryl groups can be unsubstituted or substituted.

The term "5-10 membered heteroaryl" is intended to include an aromatic ring group as defined above optionally substituted by one or more groups selected from the following substituents: cycloalkyl, aryl, heteroaryl, heteroaliphatic, hydroxy, alkoxy, aryloxy, mercapto, alkylthio, arylthio, cyano, halo, carbonyl, thio carbonyl, isocyanate, cyanothionyl, isothiocyanate, nitro, -NR'R" (R' and R" are alkyl groups as defined in the present disclosure) or amino groups including mono- and di-substituted amino groups, and protected derivatives thereof.

"(C_{1-6} alkyl)carbonyl" means the group: (C_{1-6} alkyl)-C(O)- group, wherein alkyl is defined as mentioned above. The carbonyl refers to the C(O)- group, which is a carbon atom double-bonded to an oxygen atom. Examples include methyl carbonyl (acetyl), ethyl carbonyl (propionyl), n-propyl carbonyl, isopropyl carbonyl, n-butyl carbonyl, isobutyl carbonyl, sec-butyl carbonyl, tert-butyl carbonyl, 1-methylpropyl carbonyl, n-amyl carbonyl, isoamyl carbonyl, 2-methylbutyl carbonyl, 1,1-dimethylpropyl carbonyl, 1-ethylpropyl carbonyl, n-hexyl carbonyl, 4-methylpentyl carbonyl, and 2-ethylbutyl carbonyl. Carbonyl ethylpentane, 4-methylpentylcarbonyl, and 2-ethylbutylcarbonyl.

A "compound of the present disclosure" includes compound disclosed herein, for example a compound of the present disclosure includes compound of Formula (I), (II), (III),

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(IV), (V), (VI),(VII), (VIII), (IX), (X), (XI), (Ia), (Ib), (Ic), (Id), (Ie), (If), and (Ig), but also includes the compound of the examples.

"Pharmaceutically acceptable excipient" includes without limitation any adjuvant, carrier, excipient, glidant, sweetening agent, diluent, preservative, dye/colorant, flavor enhancer, surfactant, wetting agent, dispersing agent, suspending agent, stabilizer, isotonic agent, solvent, or emulsifier which has been approved by the United States Food and Drug Administration as being acceptable for use in humans or domestic animals.

"Therapeutically effective amount" or "effective amount" as used herein refers to an amount that is effective to elicit the desired biological or medical response, including the amount of a compound that, when administered to a subject for treating a disease, is sufficient to affect such treatment for the disease. The effective amount will vary depending on the compound, the disease, and its severity and the age, weight, etc., of the subject to be treated. The effective amount can include a range of amounts. As is understood in the art, an effective amount may be in one or more doses, i.e., a single dose or multiple doses may be required to achieve the desired treatment endpoint. An effective amount may be considered in the context of administering one or more therapeutic agents, and a single agent may be considered to be given in an effective amount if, in conjunction with one or more other agents, a desirable or beneficial result may be or is achieved. Suitable doses of any co-administered compounds may optionally be lowered due to the combined action (e.g., additive or synergistic effects) of the compounds.

"Co-administration" as used herein refers to administration of unit dosages of the compounds disclosed herein before or after administration of unit dosages of one or more additional therapeutic agents, for example, administration of the compound disclosed herein within seconds, minutes, or hours of the administration of one or more additional therapeutic agents. For example, in some embodiments, a unit dose of a compound of the present disclosure is administered first, followed within seconds or minutes by administration of a unit dose of one or more additional therapeutic agents. Alternatively, in other embodiments, a unit dose of one or more additional therapeutic agents is administered first, followed by administration of a unit dose of a compound of the present disclosure within seconds or minutes. In some embodiments, a unit dose of a compound of the present disclosure is administered first, followed, after a period of hours (e.g., 1-12 hours), by administration of a unit dose of one or more additional therapeutic agents. In other embodiments, a unit dose of one or more additional therapeutic agents is administered first, followed, after a period of hours (e.g., 1-12 hours), by administration of a unit dose of a compound of the present

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disclosure. Co-administration of a compound disclosed herein with one or more additional therapeutic agents generally refers to simultaneous or sequential administration of a compound disclosed herein and one or more additional therapeutic agents, such that therapeutically effective amounts of each agent are present in the body of the subject.

Provided are also pharmaceutically acceptable salts, hydrates, solvates, tautomeric forms, polymorphs, and prodrugs of the compounds described herein.

"Pharmaceutically acceptable" refers to compounds, salts, compositions, dosage forms and other materials which are useful in preparing a pharmaceutical composition that is suitable for veterinary or human pharmaceutical use.

The compounds described herein may be prepared and/or formulated as pharmaceutically acceptable salts or when appropriate as a free base. Pharmaceutically acceptable salts are non-toxic salts of a free base form of a compound that possesses the desired pharmacological activity of the free base. These salts may be derived from inorganic or organic acids or bases. For example, a compound that contains a basic nitrogen may be prepared as a pharmaceutically acceptable salt by contacting the compound with an inorganic or organic acid. Non-limiting examples of pharmaceutically acceptable salts include sulfates, pyrosulfates, bisulfates, sulfites, bisulfites, phosphates, monohydrogen-phosphates, dihydrogenphosphates, metaphosphates, pyrophosphates, chlorides, bromides, iodides, acetates, propionates, decanoates, caprylates, acrylates, formates, isobutyrates, caproates, heptanoates, propiolates, oxalates, malonates, succinates, suberates, sebacates, fumarates, maleates, butyne-1, 4-dioates, hexyne-1, 6-dioates, benzoates, chlorobenzoates, methylbenzoates, dinitrobenzoates, hydroxybenzoates, methoxybenzoates, phthalates, sulfonates, methylsulfonates, propylsulfonates, besylates, xylenesulfonates, naphthalene-1-sulfonates, naphthalene-2-sulfonates, phenylacetates, phenylpropionates, phenylbutyrates, citrates, lactates, γ-hydroxybutyrates, glycolates, tartrates, and mandelates. Lists of other suitable pharmaceutically acceptable salts are found in Remington: The Science and Practice of Pharmacy, 21st Edition, Lippincott Wiliams and Wilkins, Philadelphia, Pa., 2006.

Examples of "pharmaceutically acceptable salts" of the compounds disclosed herein also include salts derived from an appropriate base, such as an alkali metal (for example, sodium, potassium), an alkaline earth metal (for example, magnesium), ammonium and $N(C_1\text{-}C_4$ alkyl$)_4^+$. Also included are base addition salts, such as sodium or potassium salts.

Provided are also compounds described herein or pharmaceutically acceptable salts, isomers, or a mixture thereof, in which from 1 to n hydrogen atoms attached to a carbon atom may be replaced by a deuterium atom or D, in which n is the number of hydrogen atoms in

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the molecule. As known in the art, the deuterium atom is a non-radioactive isotope of the hydrogen atom. Such compounds may increase resistance to metabolism, and thus may be useful for increasing the half-life of the compounds described herein or pharmaceutically acceptable salts, isomer, or a mixture thereof when administered to a mammal. See, e.g., Foster, "Deuterium Isotope Effects in Studies of Drug Metabolism", Trends Pharmacol. Sci., 5(12):524-527 (1984). Such compounds are synthesized by means well known in the art, for example by employing starting materials in which one or more hydrogen atoms have been replaced by deuterium.

Examples of isotopes that can be incorporated into the disclosed compounds also include isotopes of hydrogen, carbon, nitrogen, oxygen, phosphorous, fluorine, chlorine, and iodine, such as ^{2}H, ^{3}H, ^{11}C, ^{13}C, ^{14}C, ^{13}N, ^{15}N, ^{15}O, ^{17}O, ^{18}O, ^{31}P, ^{32}P, ^{35}S, ^{18}F, ^{36}Cl, ^{123}I, and ^{125}I, respectively. Substitution with positron emitting isotopes, such as ^{11}C, ^{18}F, ^{15}O and ^{13}N, can be useful in Positron Emission Topography (PET) studies for examining substrate receptor occupancy. Isotopically-labeled compounds of Formula (I) can generally be prepared by conventional techniques known to those skilled in the art or by processes analogous to those described in the Examples as set out below using an appropriate isotopically-labeled reagent in place of the non-labeled reagent previously employed.

The compounds of the embodiments disclosed herein, or their pharmaceutically acceptable salts may contain one or more asymmetric centers and may thus give rise to enantiomers, tautomer, diastereomers, and other stereoisomeric forms that may be defined, in terms of absolute stereochemistry, as (R)- or (S)- or, as (D)- or (L)- for amino acids, as well as deuterated analogs thereof. The chemical formula shown in the present disclosure is meant to include all such possible isomers, as well as their racemic and optically pure forms. Optically active (+) and (-), (R)- and (S)-, or (D)- and (L)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques, for example, chromatography and fractional crystallization. Conventional techniques for the preparation/isolation of individual enantiomers include chiral synthesis from a suitable optically pure precursor or resolution of the racemate (or the racemate of a salt or derivative) using, for example, chiral high pressure liquid chromatography (HPLC). When the compounds described herein contain olefinic double bonds or other centers of geometric asymmetry, and unless specified otherwise, it is intended that the compounds include both E and Z geometric isomers. Likewise, all tautomeric forms are also intended to be included. Where compounds are represented in their chiral form, it is understood that the embodiment encompasses, but is not limited to, the specific diastereomerically or enantiomerically

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enriched form. Where chirality is not specified but is present, it is understood that the embodiment is directed to either the specific diastereomerically or enantiomerically enriched form; or a racemic or scalemic mixture of such compound(s). As used herein, "scalemic mixture" is a mixture of stereoisomers at a ratio other than 1:1

"Stereoisomer" as used herein refers to a compound made up of the same atoms bonded by the same bonds but having different three-dimensional structures, which are not interchangeable. The present disclosure contemplates various stereoisomers and mixtures thereof and includes "enantiomers", which refers to two stereoisomers whose molecules are non-superimposable mirror images of one another.

"Tautomer" as used herein refers to a proton shift from one atom of a molecule to another atom of the same molecule. In some embodiments, the present disclosure includes tautomers of said compounds.

"Prevention" or "preventing" means any treatment of a disease or condition that causes the clinical symptoms of the disease or condition not to develop. Compounds may, in some embodiments, be administered to a subject (including a human) who is at risk or has a family history of the disease or condition.

"Prodrug" as used herein refers to a derivative of a drug that upon administration to the human body is converted to the parent drug according to some chemical or enzymatic pathway. In some embodiments, a prodrug is a biologically inactive derivative of a drug that upon administration to the human body is converted to the biologically active parent drug according to some chemical or enzymatic pathway.

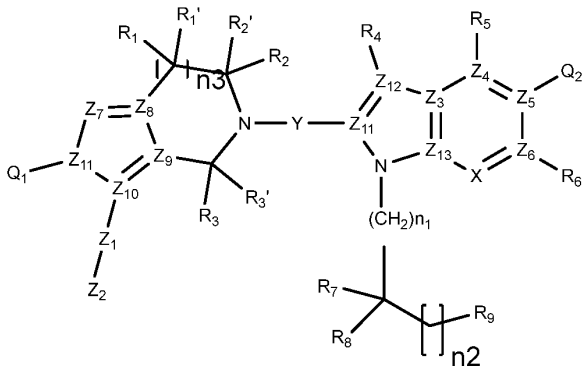
"Treatment" or "treat" or "treating" as used herein refers to an approach for obtaining beneficial or desired results. For purposes of the present disclosure, beneficial or desired results include, but are not limited to, alleviation of a symptom and/or diminishment of the extent of a symptom and/or preventing a worsening of a symptom associated with a disease or condition. In one embodiment, "treatment" or "treating" includes one or more of the following: a) inhibiting the disease or condition (e.g., decreasing one or more symptoms resulting from the disease or condition, and/or diminishing the extent of the disease or condition); b) slowing or arresting the development of one or more symptoms associated with the disease or condition (e.g., stabilizing the disease or condition, delaying the worsening or progression of the disease or condition); and c) relieving the disease or condition, e.g., causing the regression of clinical symptoms, ameliorating the disease state, delaying the progression of the disease, increasing the quality of life, and/or prolonging survival. "At risk individual" as used herein refers to an individual who is at risk of developing a condition to be treated. An individual "at risk" may

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or may not have detectable disease or condition, and may or may not have displayed detectable disease prior to the treatment of methods described herein. "At risk" denotes that an individual has one or more so-called risk factors, which are measurable parameters that correlate with development of a disease or condition and are known in the art. An individual having one or more of these risk factors has a higher probability of developing the disease or condition than an individual without these risk factor(s).

Compound

One aspect of the present disclosure provides a compound of Formula (I), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (I)

wherein:

X is selected from the group consisting of N and -CR^a; wherein R^a is selected from the group consisting of hydrogen, halogen, and C_{1-6} alkyl;

wherein Y is -C(=O)-;

wherein each of Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9, Z_{10}, Z_{11}, Z_{12} and Z_{13} is independently selected from the group consisting of N and C;

wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10- membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and -$NR^{Qa}R^{Qb}$,

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or wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

wherein each of R_1, R_2, R_3, R_1', R_2' and R_3' is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl; or

wherein R_2 and R_3 together with the carbon atom to which they are attached form 4- to 8-membered heterocycloalkyl;

each of R_4, R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{3-15} cycloalkyl;

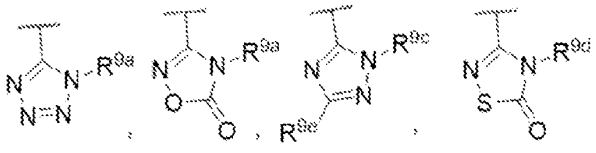
or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{7a}R^{7b}$, C_{1-6} alkoxy and 3- to 12-membered heterocyclic, and R^{7a} and R^{7b} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

n1 is 0, 1, 2 or 3;

n2 is 0, 1, 2, 3, 4 or 5;

n3 is 0 or 1;

R_9 is selected from the group consisting of:



, $-CO_2R^{9f}$ and $-C(=O)-NR^{9g}R^{9h}$;

and each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen

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and C_{1-6} alkoxy;

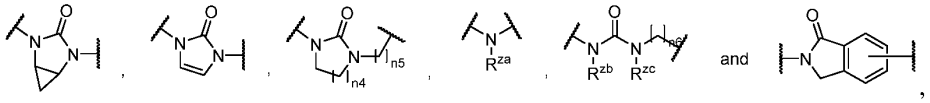
R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen;

R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;

R^{9i} is C_{1-6} alkyl;

Z_1 is selected from the group consisting of:



wherein R^{za} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, and each of R^{zb} and R^{zc} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

n4 is 1, 2 or 3;

each of n5 and n6 is independently an integer selected from 0 to 10;

Z_2 is 5- to 10- membered heteroaryl; and Z_2 is substituted with one halogen and one of C_3-C_{15} cycloalkyl and C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein the cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted with halogen.

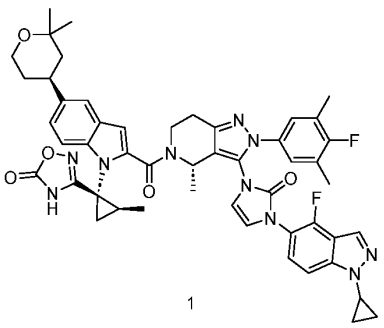
In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Z_2 is indazolyl.

In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the indazolyl is substituted with one halogen and one of C_3-C_{15} cycloalkyl and C_1-C_6 alkyl-C_3-C_{15} cycloalkyl.

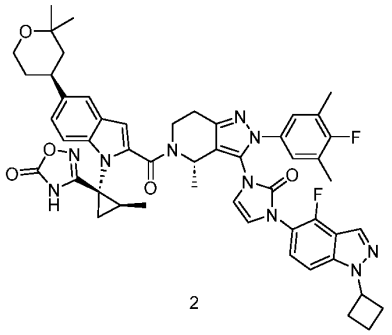
In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_1 is C_{6-10} aryl, and the C_{6-10} aryl is optionally substituted with one to five substituents selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy.

In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound is selected from the group consisting of:

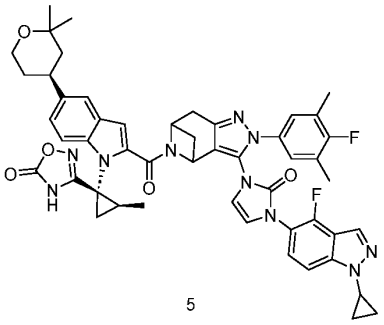
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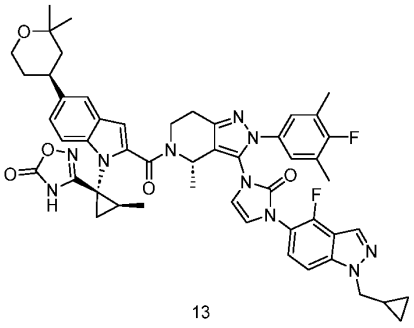
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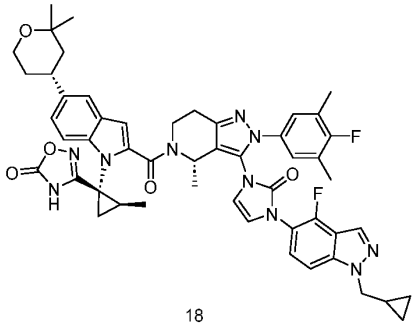


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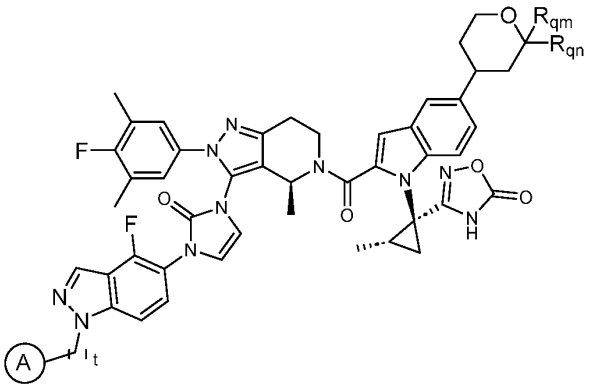
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In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound has a structure of Formula (II):

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Formula (II)

wherein:

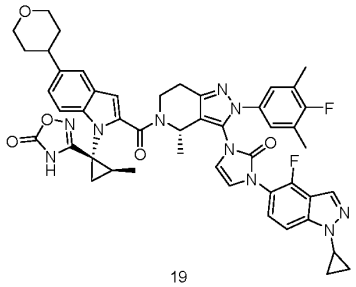
ring A is selected from the group consisting of cyclopropyl, cyclobutyl, and cyclopentyl;

t is 0 or 1; and

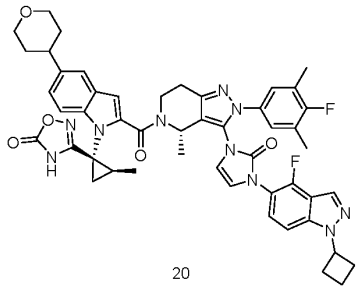
R_{qm} and R_{qn} are each independently selected from the group consisting of hydrogen and C_{1-6} alkyl.

In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound is selected from the group consisting of:

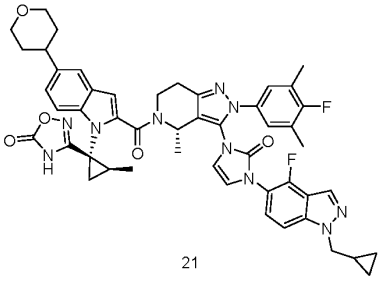
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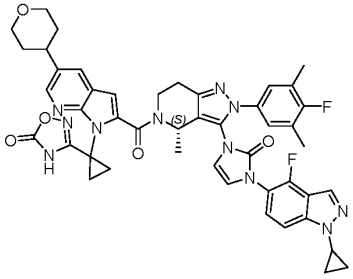
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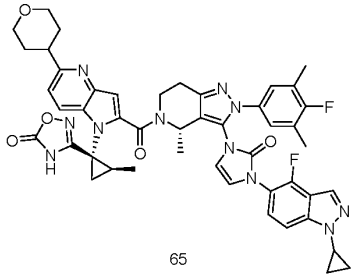
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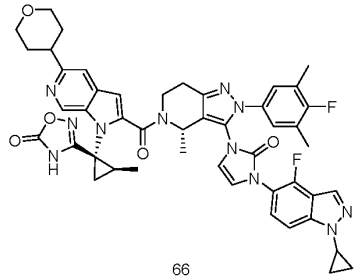
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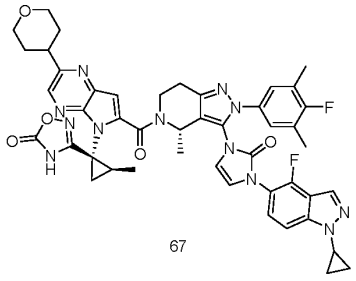
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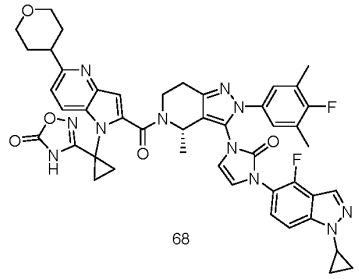
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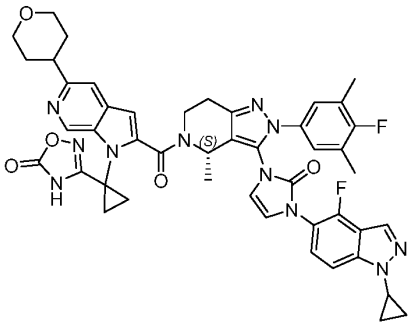


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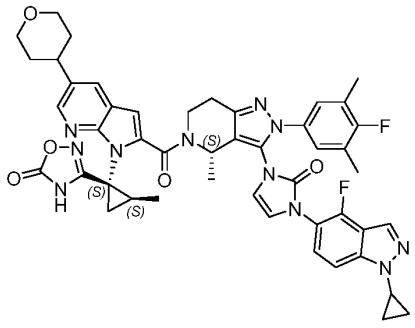


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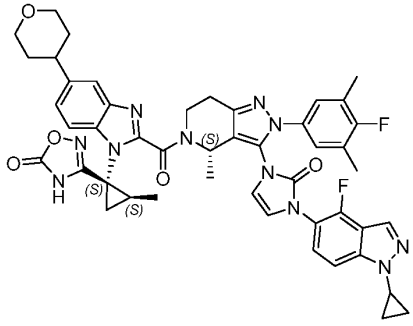
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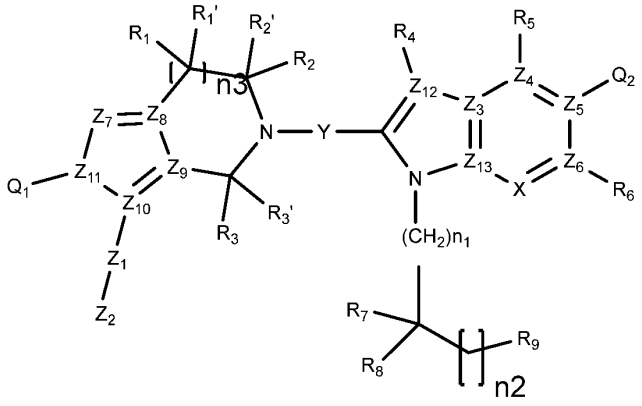
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.

Another aspect of the present disclosure provides a compound of Formula (III), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (III)

.

wherein:

X is -CR[a]; R[a] is H;

Y is -C(=O)-;

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Z_3, Z_4, Z_5, Z_6, Z_8, Z_9, Z_{10}, Z_{12} and Z_{13} are C;

Z_7 and Z_{11} are N;

Q_1 is C_{6-10} aryl, wherein C_{6-10} aryl is optionally substituted with one to five substituents independently selected from the group consisting of halogen and C_{1-6} alkyl;

Q_2 is 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally substituted with 1-3 substituents independently selected from the group consisting of halogen and C_{1-6} alkyl, or wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring;

wherein each of R_1, R_2, R_1', and R_2' is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

R_3 and R_3' are independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

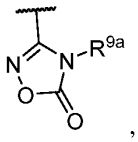
R_4, R_5 and R_6 are H;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl; or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl;

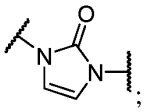
n_1 is 0 or 1;

n2 is 0 or 1;

n3 is 1;

R_9 is 

, and R^{9a} is H;

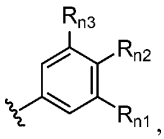
Z_1 is 

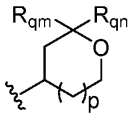
;

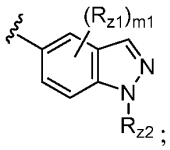
Z_2 is 5- to 10- membered heteroaryl, and Z_2 is substituted with one halogen and one of C_3-C_{15} cycloalkyl and C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein the cycloalkyl or alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted with halogen.

In some embodiments, in the compound of Formula (III), the stereoisomer, the

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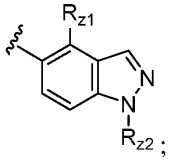
pharmaceutically acceptable salt, or the deuterated compound thereof, Q_1 is  , and R_{n1} and R_{n3} are each independently selected from the group consisting of H, methyl, and ethyl; R_{n2} is halogen.

In some embodiments, in the compound of Formula (III), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_2 is  , wherein R_{qm} and R_{qn} are each independently selected from the group consisting of H, methyl, and ethyl; or R_{qm} and R_{qn} together with the carbon atom to which they are attached to form C_{3-6} cycloalkyl; p is 0,1, or 2.

In some embodiments, in the compound of Formula (III), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Z_2 is  ;

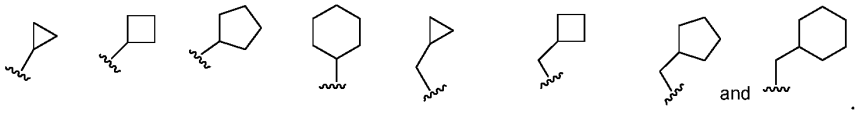
wherein R_{z1} is halogen, m1 is 1;

R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl; and R_{z2} is optionally substituted with one or more substituents selected from the group consisting of halogen, C_{1-6} alkyl, and C_{1-6} alkoxy.

In some embodiments, in the compound of Formula (III), or the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Z_2 is  ;

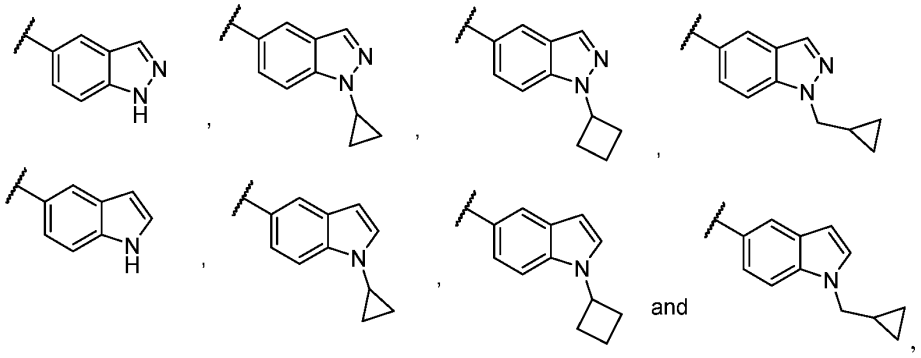
R_{z1} is selected from the group consisting of F, Cl, and Br;

R_{z2} is selected from the group consisting of



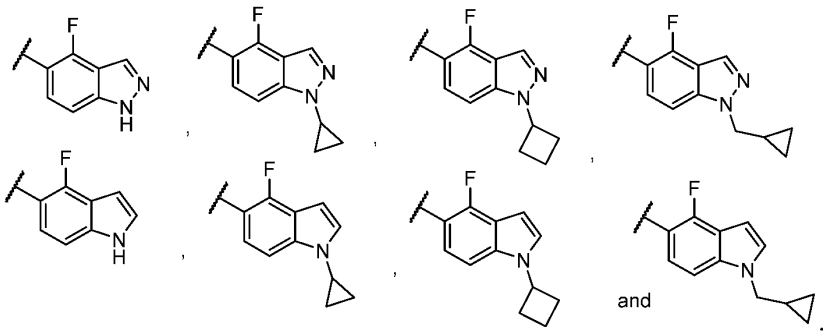
In some embodiments, in the compound of Formula (III), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Z_2 is selected from the group consisting of

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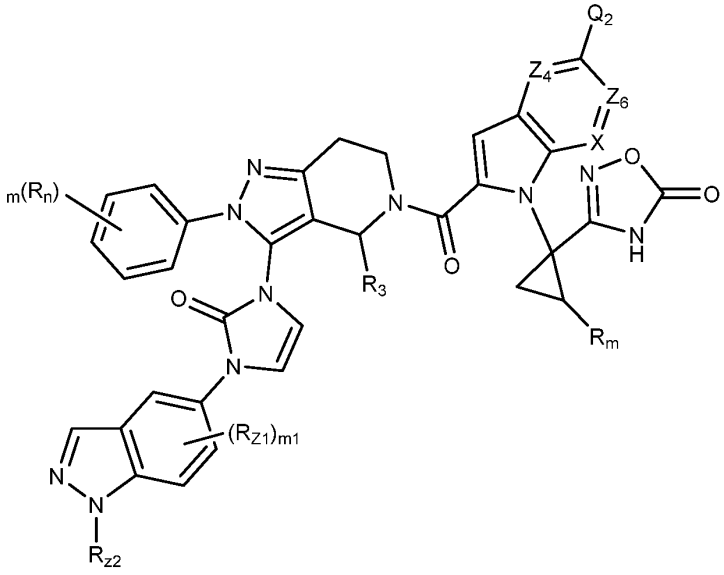


and Z_2 is substituted with one halogen.

In some embodiments, in the compound of Formula (III), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Z_2 is selected from the group consisting of



Still another aspect of the present disclosure provides a compound of Formula (IV), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



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Formula (IV)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

R_{z1} is selected from the group consisting of halogen, C_{1-3} alkyl, and C_{3-8} cycloalkyl;

R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl; and R_{z2} is optionally substituted with substituents independently selected from the group consisting of halogen, -OH, C_{1-6} alkyl, and C_{1-6} alkoxy;

m1 is 0, 1, 2 or 3.

In some embodiments, in the compound of Formula (IV), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_m is selected from the group consisting of H, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy;

R_n is selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy;

m is 0, 1, 2, or 3;

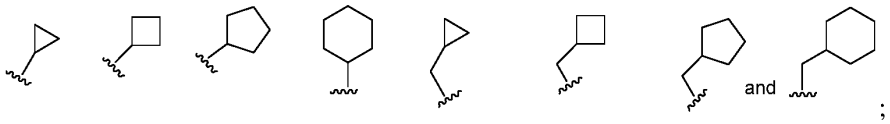
R_3 is selected from the group consisting of H, halogen, C_{1-6} alkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy.

In some embodiments, in the compound of Formula (IV), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof:

R_{z1} is selected from the group consisting of F, Cl, Br, methyl, ethyl, n-Propyl, isopropyl and cyclopropyl;

m is 0, 1, 2 or 3;

R_{z2} is selected from the group consisting of



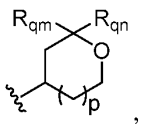
;

R_m is independently selected from the group consisting of halogen, $-CH_3$, $-CH_2CH_3$ and $-OCH_3$;

R_n is selected from the group consisting of methyl, ethyl, F, and Cl;

R_3 is methyl.

In some embodiments, in the compound of Formula (IV), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof:

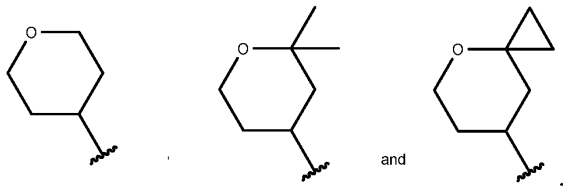
Q_2 is 

, wherein R_{qm} and R_{qn} are each independently selected from the group

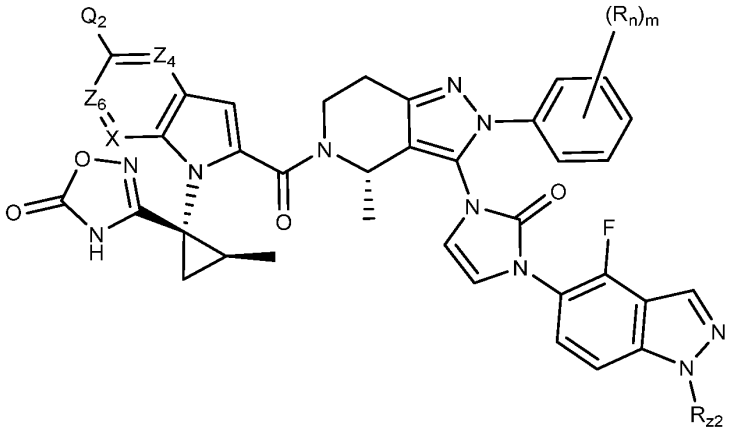
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consisting of H, methyl, and ethyl; or R_{qm} and R_{qn} together with the carbon atom to which they are attached form C_{3-6} Cycloalkyl; p is 0, 1, or 2.

In some embodiments, in the compound of Formula (IV), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_2 is selected from the group consisting of



Yet still another aspect of the present disclosure provides a compound of Formula (V), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

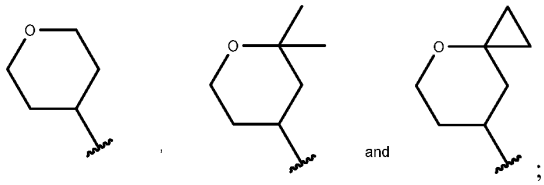


Formula (V)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

Q_2 is selected from the group consisting of



;

R_n is selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

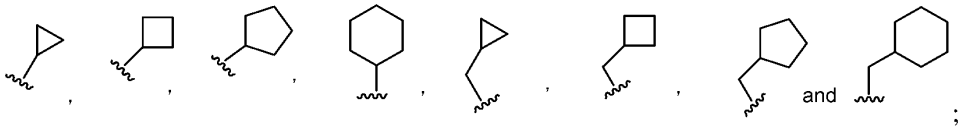
m is 0, 1, 2 or 3;

R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl; and R_{z2} is optionally substituted with substituents independently selected from the

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group consisting of halogen, hydroxyl, C_{1-6} alkyl and C_{1-6} alkoxy.

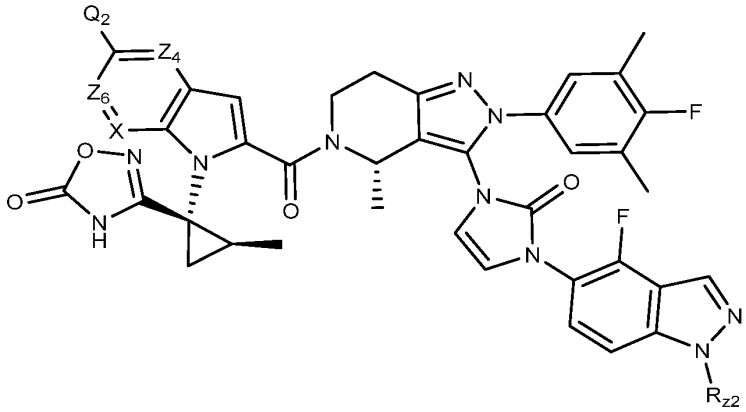
In some embodiments, in the compound of Formula (V), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_{Z2} is selected from the group consisting of



;

R_n is selected from the group consisting of methyl, ethyl, F, and Cl.

In some embodiments, in the compound of Formula (V), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound has a structure of Formula (VI),



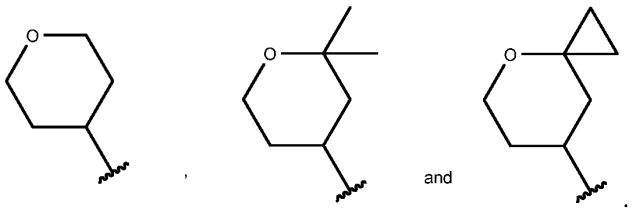
Formula (VI)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

R_{Z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl; and R_{Z2} is optionally substituted with substituents independently selected from the group consisting of halogen, hydroxyl, C_{1-6} alkyl and C_{1-6} alkoxy;

Q_2 is selected from the group consisting of



.

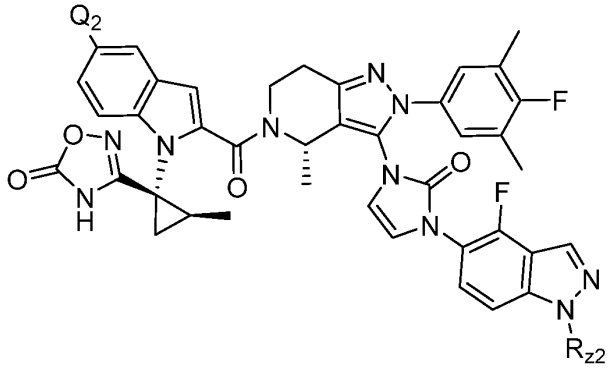
In some embodiments, in the compound of Formula (VI), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_{Z2} is selected from the

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group consisting of



In some embodiments, in the compound of Formula (VII), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound has a structure of Formula (VII),

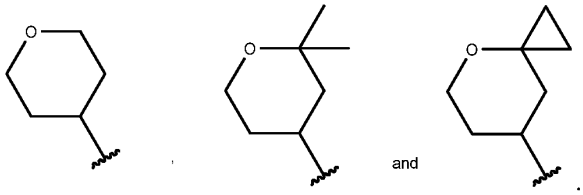


Formula (VII)

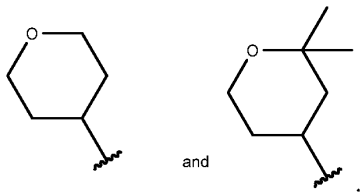
wherein:

R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl; and R_{z2} is optionally substituted with substituents independently selected from the group consisting of halogen, hydroxyl, C_{1-6} alkyl and C_{1-6} alkoxy;

Q_2 is selected from the group consisting of



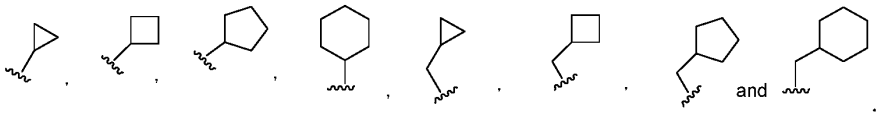
In some embodiments, in the compound of Formula (VII), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_2 is selected from the group consisting of



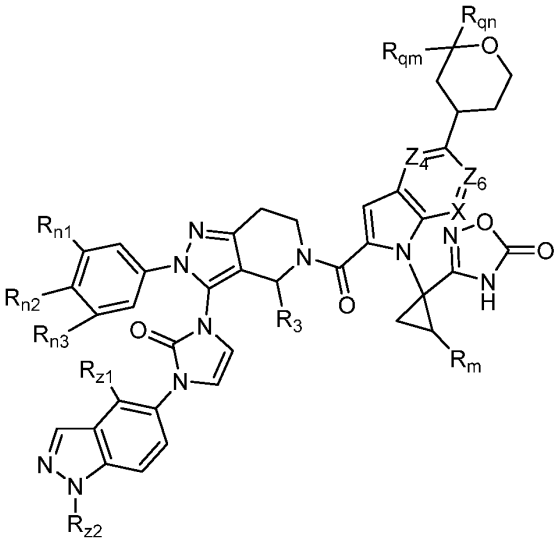
In some embodiments, in the compound of Formula (VII), the stereoisomer, the

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pharmaceutically acceptable salt, or the deuterated compound thereof, R_{z2} is selected from the group consisting of



Yet still another aspect of the present disclosure provides a compound of Formula (VIII), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula(VIII)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

R_m is selected from the group consisting of H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy;

R_{n1}, and R_{n3} are each independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and C_{1-6} haloalkoxy; R_{n2} is halogen;

R_3 is selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy;

R_{z1} is selected from the group consisting of hydrogen, halogen, C_{1-3} alkyl and C_{3-8} cycloalkyl;

R_{z2} Selected from the group consisting of



;

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R_{qm} and R_{qn} are each independently selected from the group consisting of H, methyl, and ethyl, or R_{qm} and R_{qn} together with the carbon atom to which they are attached to form a C_{3-6} cycloalkyl.

In some embodiments, in the compound of Formula (VIII), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_m is independently selected from the group consisting of halogen, $-CH_3$, $-CH_2CH_3$ and $-OCH_3$;

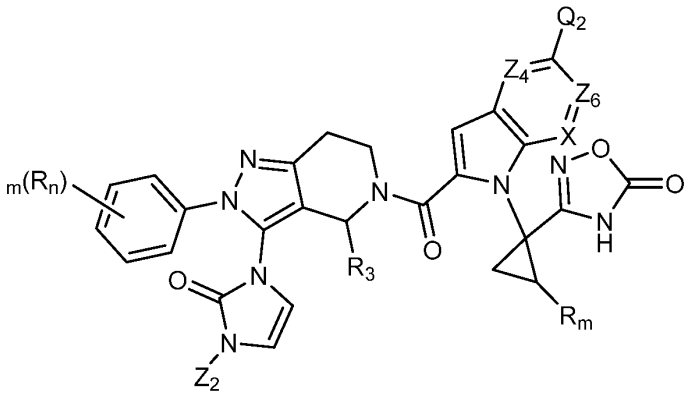
R_{n1} and R_{n3} are each independently selected from the group consisting of hydrogen, methyl, and ethyl;

R_{n2} is F;

R_3 is methyl;

R_{z1} is selected from the group consisting of hydrogen, F, Cl, methyl, ethyl, n-propyl, isopropyl, and cyclopropyl.

Yet still another aspect of the present disclosure provides a compound of Formula (IX), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



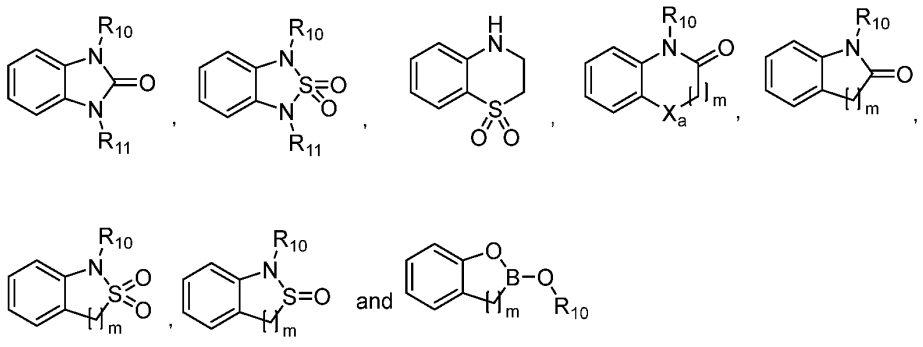
Formula (IX)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

Z_2 is selected from the group consisting of

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;

R_n is selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy.

m is 0, 1, 2 or 3.

In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof,

R_m is selected from the group consisting of H, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy;

R_3 is selected from the group consisting of H, halogen, C_{1-6} alkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy;

R_{10} and R_{11} is independently selected from the group consisting of H, F, Cl, methyl, ethyl, n-propyl, isopropyl, and cyclopropyl.

In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof,

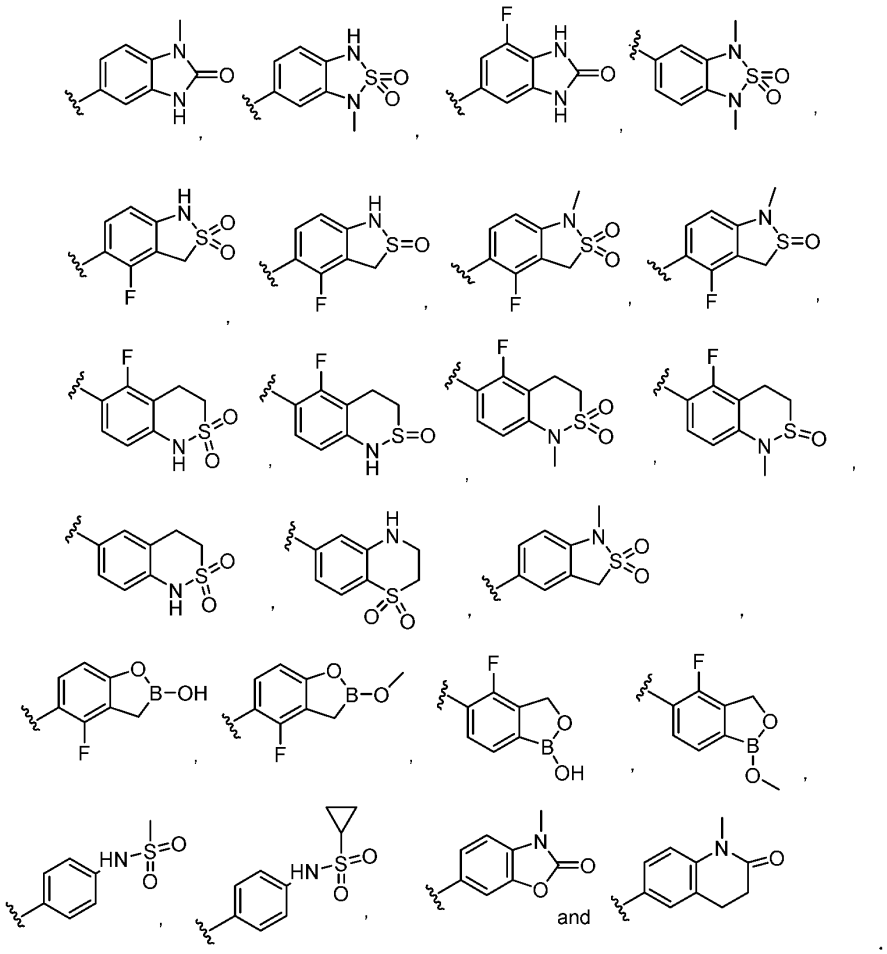
R_m is independently selected from the group consisting of halogen, $-CH_3$, $-CH_2CH_3$ and $-OCH_3$;

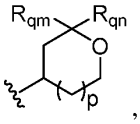
R_n is independently selected from the group consisting of $-CH_3$, $-CH_2CH_3$, F, and Cl;

R_3 is $-CH_3$.

In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Z_2 is selected from the group consisting of

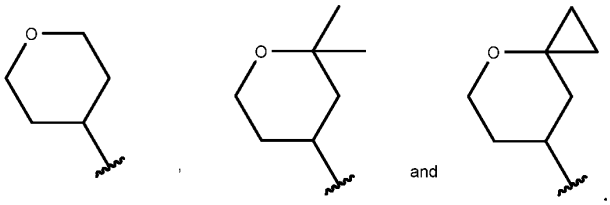
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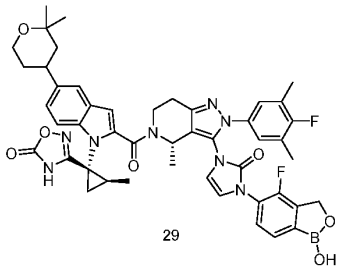
In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_2 is  , wherein R_{qm} and R_{qn} are each independently selected from the group consisting of H, methyl, and ethyl; or R_{qm} and R_{qn} together with the carbon atom to which they are attached to form a C_{3-6} Cycloalkyl; p is 0, 1, or 2.

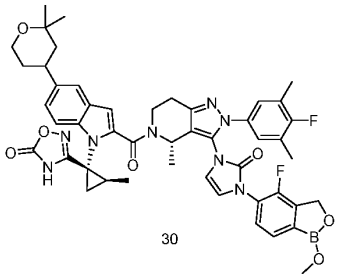
In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_2 is selected from the group consisting of

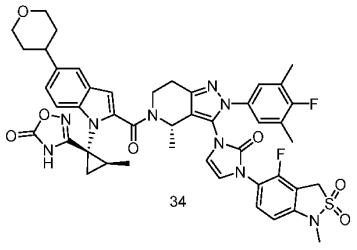
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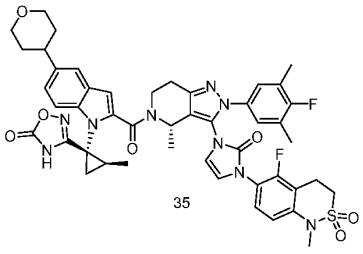


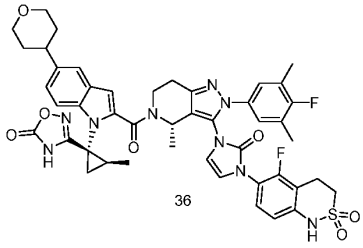
In some embodiments, in the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, the compound is selected from the group consisting of:

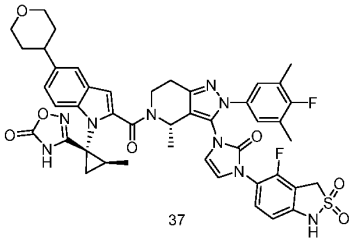

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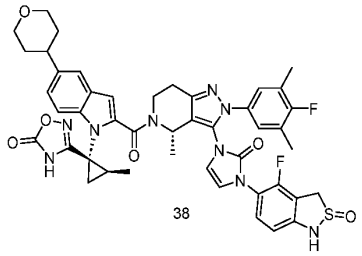

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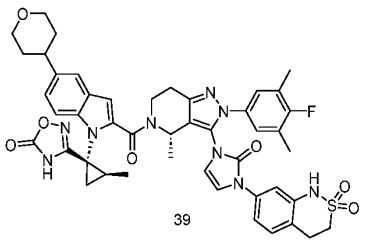

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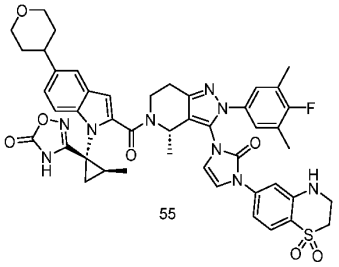
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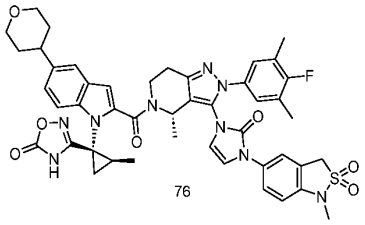
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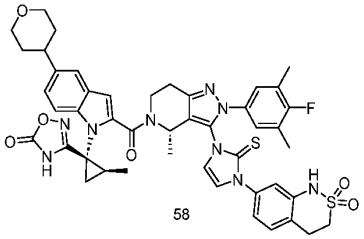
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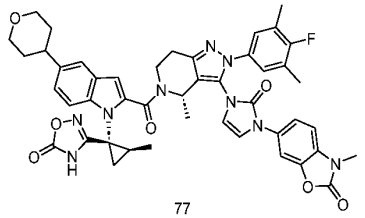
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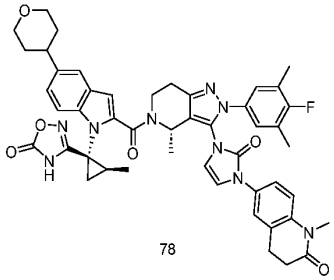
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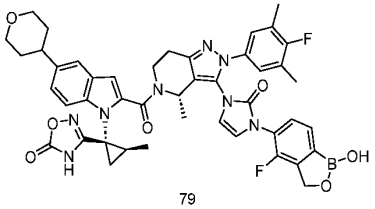


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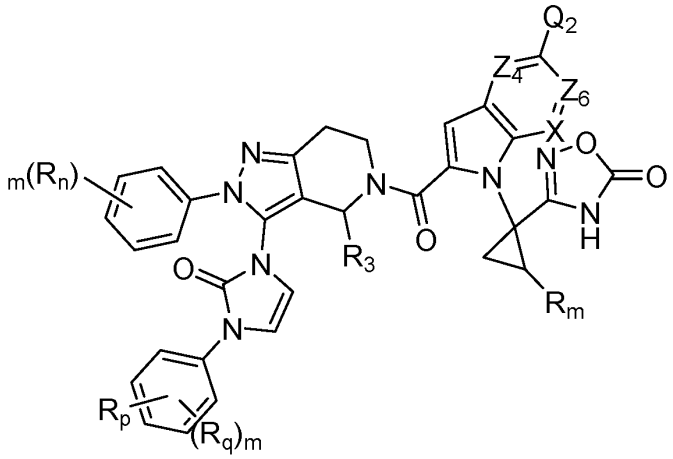
and



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Yet still another aspect of the present disclosure provides a compound of Formula (X), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

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Formula (X)

wherein:

each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

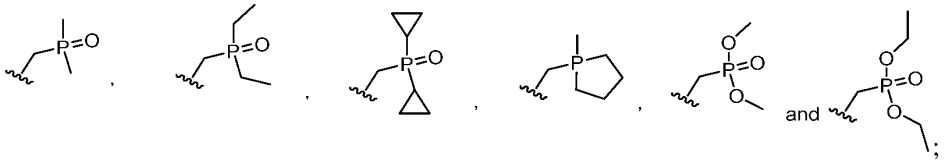
m is 0, 1, 2 or 3;

R_p is selected from the group consisting of $-CH_2-P(=O)R^{zm}R^{zn}$ and $-P(=O)OR^{zm}OR^{zn}$;

R_q is selected from the group consisting of halogen, -NH-C_{1-6} alkyl, -C_{1-6} alkyl, -O- C_{1-6} alkyl, 3- to 12-membered heterocyclic, -C_3-C_{15} cycloalkyl and C_1-C_6 alkyl-C_3-C_{15} cycloalkyl;

wherein each of R^{zm} and R^{zn} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_{6-10} aryl; or R^{zm} and R^{zn} together with the phosphorous atom to which they are attached form 5- to 8- membered heterocycloalkyl, wherein the heterocycloalkyl is optionally substituted with 1-3 C_{1-6} alkyl.

In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_p is selected from the group consisting of



R_m is selected from the group consisting of H, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy;

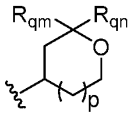
R_n is selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy;

m is 0, 1, 2, and 3;

R_3 is selected from the group consisting of H, halogen, C_{1-6} alkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy.

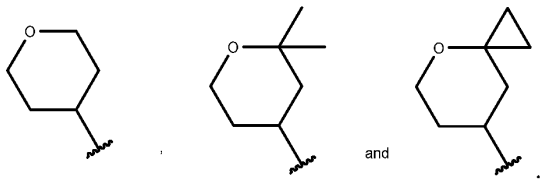
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In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_m is selected from the group consisting of H, methyl, ethyl, and methoxy; R_n is selected from the group consisting of methyl, ethyl, F and Cl, R_3 is methyl.

In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_2 is 

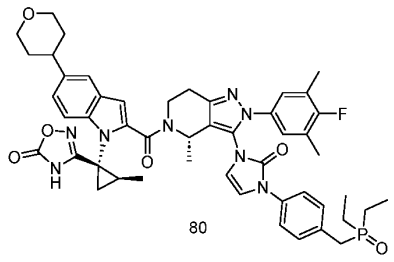
, wherein R_{qm} and R_{qn} are each independently selected from the group consisting of H, methyl, and ethyl; or R_{qm} and R_{qn} together with the carbon atom to which they are attached to form C_{3-6} Cycloalkyl; p is 0, 1, or 2.

In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_2 is selected from the group consisting of

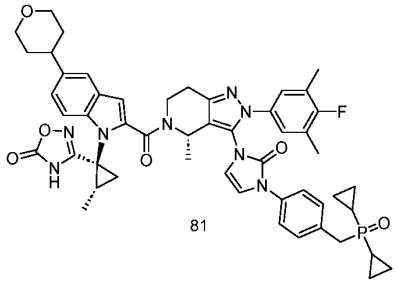


In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound is selected from the group consisting of:

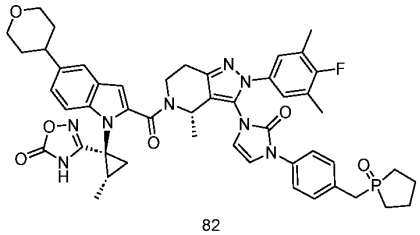
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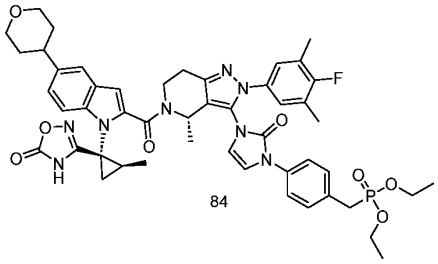
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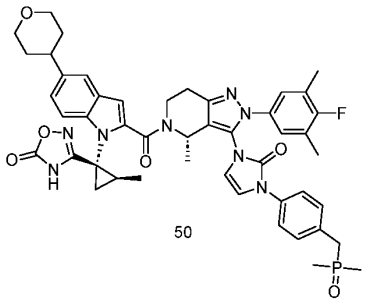
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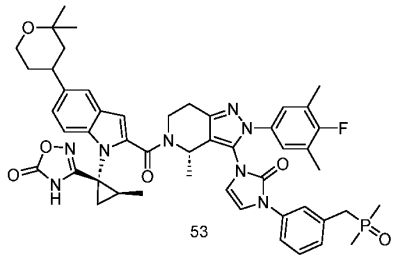


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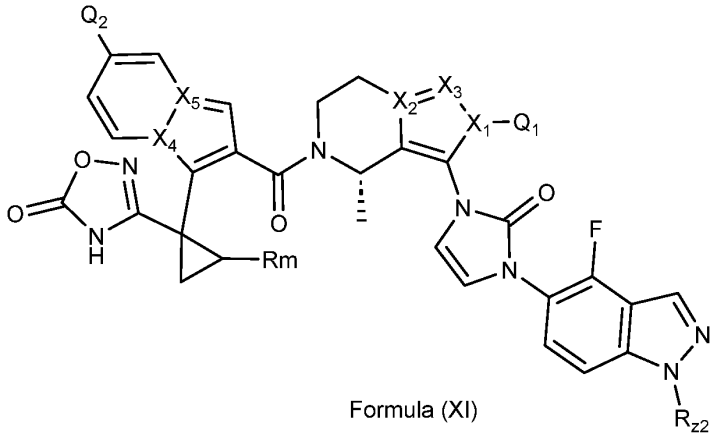
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and



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Yet still another aspect of the present disclosure provides a compound of Formula (XI), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (XI)

wherein

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Q_1 is C_{6-10} aryl, and the C_{6-10} aryl is optionally substituted with one to five substituents selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, and C_{1-6} alkoxy, wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form a C_{3-8} carbocyclic ring;

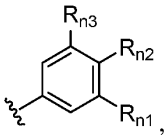
X_1, X_2, and X_3 are independently selected from the group consisting of N and C;

X_4, and X_5 are independently selected from the group consisting of N and C;

R_m is selected from the group consisting of H, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy and C_{1-6} haloalkoxy;

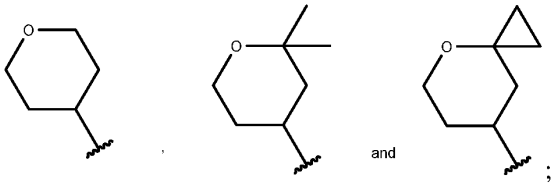
R_{z2} is selected from the group consisting of C_{3-8} cycloalkyl and C_{1-6} alkyl-C_{3-8} cycloalkyl.

In some embodiments, in the compound of Formula (XI), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof,

Q_1 is 

, and R_{n1} and R_{n3} are each independently selected from the group consisting of H, methyl, and ethyl; R_{n2} is halogen;

Q_2 is selected from the group consisting of



;

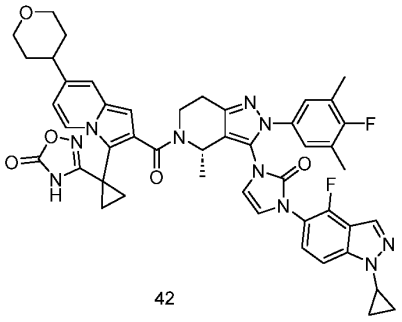
R_{z2} is selected from the group consisting of



.

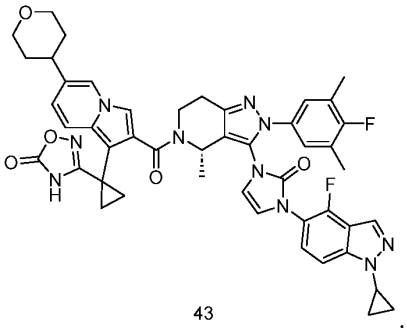
In some embodiments, in the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, the compound is selected from the group consisting of:

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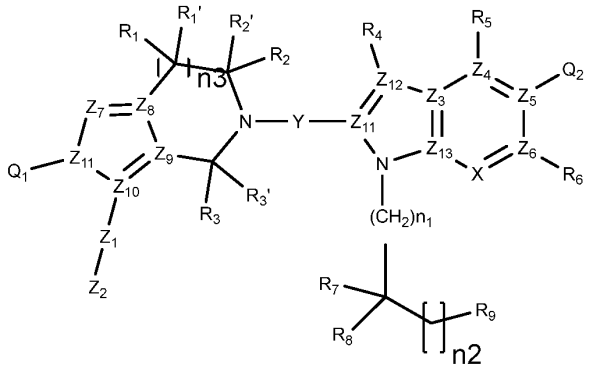
42

and



43

Yet still another aspect of the present disclosure provides a compound of Formula (Ia), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (Ia)

wherein X is selected from the group consisting of N and -CR^a; wherein R^a is selected from the group consisting of hydrogen, halogen, and C_{1-6} alkyl;

wherein Y is -C(=O)-;

wherein each of Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9, Z_{10}, Z_{11}, Z_{12} and Z_{13} is independently selected from the group consisting of N and C;

wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10- membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, bridged ring, spiro ring, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and -$NR^{Qa}R^{Qb}$, wherein two C_{1-6} alkyl groups optionally together with the carbon

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atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

wherein each of R_1, R_2, R_3, R_1', R_2' and R_3' is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl;

wherein R_2 and R_3 together with the carbon atom to which they are attached form 4- to 8-membered heterocycloalkyl;

wherein R_1 and R_1' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl, and R_2 and R_2' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl; and R_3 and R_3' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl;

each of R_4, R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{3-15} cycloalkyl;

or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl, wherein each C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, hydroxyl, -$NR^{7a}R^{7b}$, C_{1-6} alkoxy and 3- to 12-membered heterocyclic, and R^{7a} and R^{7b} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

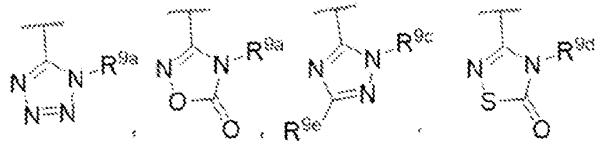
n_1 is 0, 1, 2 or 3;

n2 is 0, 1, 2, 3, 4 or 5;

n3 is 0 or 1;

R_9 is selected from the group consisting of:

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, $-CO_2R^{9f}$ and $-C(=O)-NR^{9g}R^{9h}$;

and each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{1-6} alkoxy;

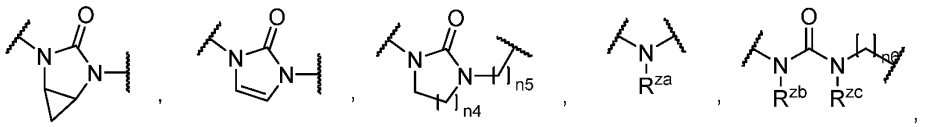
R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen atoms;

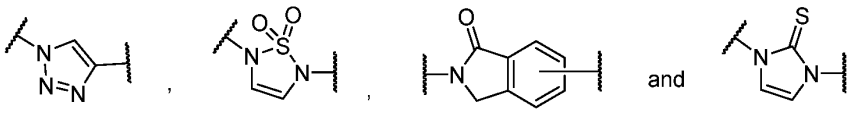
R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;

R^{9i} is C_{1-6} alkyl;

Z_1 is selected from the group consisting of:




and

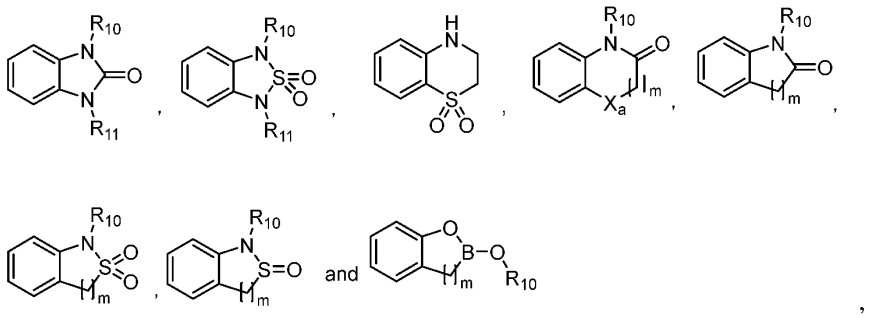
;

wherein R^{za} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, and each of R^{zb} and R^{zc} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

n4 is 1, 2 or 3; each of n5 and n6 is independently an integer selected from 0 to 10;

Z_2 is selected from the group consisting of C_{1-6} alkyl, C_{3-15} cycloalkyl, 3- to 12-membered heterocyclic, C_{6-10} aryl, 5- to 10- membered heteroaryl,

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wherein Z_2 is independently optionally substituted with one to five G;

m is 1, 2, or 3;

each of R_{10} and R_{11} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) aryl, C_{1-6} haloalkyl, C_{3-15} cycloalkyl and C_{1-6} alkyl-C_{3-15} cycloalkyl,

wherein G is selected from the group consisting of:

a. Oxo;

b. Halogen;

c. Cyano;

d. $-NR^{zd}R^{ze}$; wherein R^{zd} and R^{ze} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

e. $-C(=O)-NR^{zf}R^{zg}$; wherein R^{zf} and R^{zg} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

f. $-S(=O)_{n7}-R^{zh}$; wherein n7 is 0, 1 or 2; R^{zh} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

g. C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{zi}R^{zj}$, C_{1-6} alkoxy, C_{1-6} haloalkoxy and 3- to 12-membered heterocyclic; wherein each of R^{zi} and R^{zj} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein the 3- to 12-membered heterocyclic groups are optionally substituted with one or more substituents selected from the group consisting of cyano, C_{1-6} alkyl and 3- to 12-membered heterocyclic;

h. C_{1-6} alkoxy; wherein C_{1-6} alkoxy is optionally substituted by one or more substituents of hydroxyl, halogen and C_{1-6} alkoxy;

i. 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally

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substituted by one or more substituents independently selected from the group consisting of C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl;

j. C_{6-10} aryl; wherein C_{6-10} aryl is optionally substituted by one or more (C_{1-6} alkyl) carbonyl;

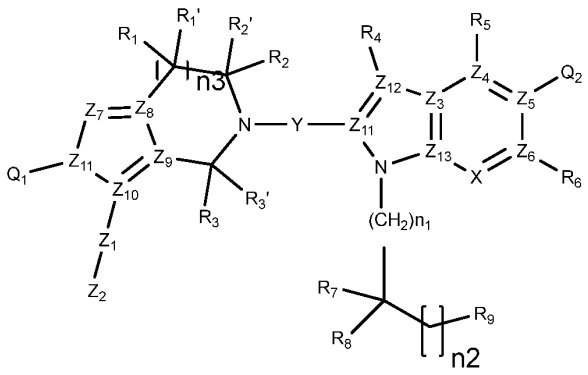
k. 5- to 10-membered heteroaryl; wherein the 5- to 10-membered heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkoxy, $-NR^{zk}R^{zl}$, and 3- to 12-membered heterocyclic; wherein R^{zk} and R^{zl} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl and wherein the 3- to 12-membered heterocyclic is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl;

l. C_3-C_{15} cycloalkyl or C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted by halogen; and

m. $–P(=O)R^{zm}R^{zn}$, $-(CH2)_{n8}-P(=O)R^{zm}R^{zn}$, $-NR^{zm}S(=O)R^{zn}$ and $^{-}NR^{zm}S(=O)_2R^{zn}$, wherein each R^{zm} and R^{zn} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_{6-10} aryl; n8 is 1 or 2; wherein C_{1-6} alkyl is substituted by one to six substituents selected from the group consisting of C_{1-6} alkoxy, C_{3-6} cycloalkyl and halogen, and C_{3-6} cycloalkyl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl and halogen; wherein the C_{6-10} aryl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl; or $R^{zm}$and R^{zn} together with the phosphorous atom to which they are attached form a ring with 5 to 8 ring atoms, wherein 0 to 2 of the ring atoms other than phosphorus are heteroatoms each independently selected from: O, S, and N, wherein the ring is optionally substituted with from 1-3 independently selected C_{1-6} alky.

Yet still another aspect of present disclosure provides a compound of Formula (Ib), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:

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Formula (Ib)

wherein X is selected from the group consisting of N and -CR^a; wherein R^a is selected from the group consisting of hydrogen, halogen, and C_{1-6} alkyl;

wherein Y is -C(=O)-;

wherein each of Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9, Z_{10}, Z_{11}, Z_{12} and Z_{13} is independently selected from the group consisting of N and C;

wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10- membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, bridged ring, spiro ring, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and -NR^{Qa}R^{Qb}, wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

wherein each of R_1, R_2, R_3, R_1', R_2' and R_3' is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl;

wherein R_2 and R_3 together with the carbon atom to which they are attached form 4- to 8-membered heterocycloalkyl;

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wherein R_1 and R_1' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl, and R_2 and R_2' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl; and R_3 and R_3' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl;

each of R_4, R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{3-15} cycloalkyl;

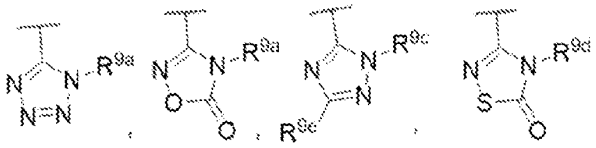
or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{7a}R^{7b}$, C_{1-6} alkoxy and 3- to 12-membered heterocyclic, and R^{7a} and R^{7b} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

n_1 is 0, 1, 2 or 3;

n2 is 0, 1, 2, 3, 4 or 5;

n3 is 0 or 1;

R_9 is selected from the group consisting of:



, $-CO_2R^{9f}$ and $-C(=O)-NR^{9g}R^{9h}$;

and each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{1-6} alkoxy;

R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen atoms;

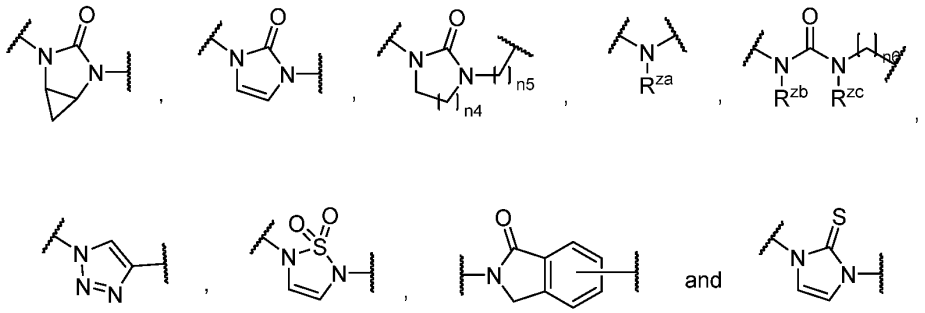
R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;

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R^{9i} is C_{1-6} alkyl;

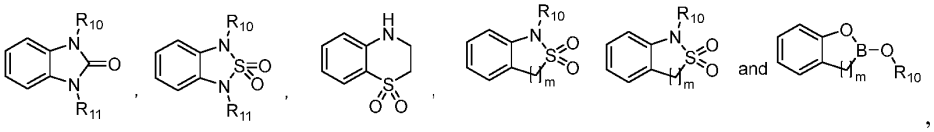
Z_1 is selected from the group consisting of:



wherein R^{za} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, and each of R^{zb} and R^{zc} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

n4 is 1, 2 or 3; each of n5 and n6 is independently an integer selected from 0 to 10;

Z_2 is selected from the group consisting of C_{1-6} alkyl, C_{3-15} cycloalkyl, 3- to 12-membered heterocyclic, C_{6-10} aryl, 5- to 10- membered heteroaryl,



wherein Z_2 is independently optionally substituted with one to five G;

m is 1, 2, or 3;

each of R_{10} and R_{11} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) aryl, C_{1-6} haloalkyl, C_{3-15} cycloalkyl and C_{1-6} alkyl-C_{3-15} cycloalkyl.

wherein G is selected from the group consisting of:

a. Oxo;

b. Halogen;

c. Cyano;

d. $-NR^{zd}R^{ze}$; wherein R^{zd} and R^{ze} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

e. $-C(=O)-NR^{zf}R^{zg}$; wherein R^{zf} and R^{zg} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of

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hydroxyl, halogen and C_{1-6} alkoxy;

f. $-S(=O)_{n7}-R^{zh}$; wherein n7 is 0, 1 or 2; R^{zh} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

g. C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{zi}R^{zj}$, C_{1-6} alkoxy, C_{1-6} haloalkoxy and 3- to 12-membered heterocyclic; wherein each of R^{zi} and R^{zj} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein the 3- to 12-membered heterocyclic groups are optionally substituted with one or more substituents selected from the group consisting of cyano, C_{1-6} alkyl and 3- to 12-membered heterocyclic;

h. C_{1-6} alkoxy; wherein C_{1-6} alkoxy is optionally substituted by one or more substituents of hydroxyl, halogen and C_{1-6} alkoxy;

i. 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally substituted by one or more substituents independently selected from the group consisting of C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl;

j. C_{6-10} aryl; wherein C_{6-10} aryl is optionally substituted by one or more (C_{1-6} alkyl) carbonyl;

k. 5- to 10-membered heteroaryl; wherein the 5- to 10-membered heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkoxy, $-NR^{zk}R^{zl}$, and 3- to 12-membered heterocyclic; wherein R^{zk} and R^{zl} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl and wherein the 3- to 12-membered heterocyclic is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl;

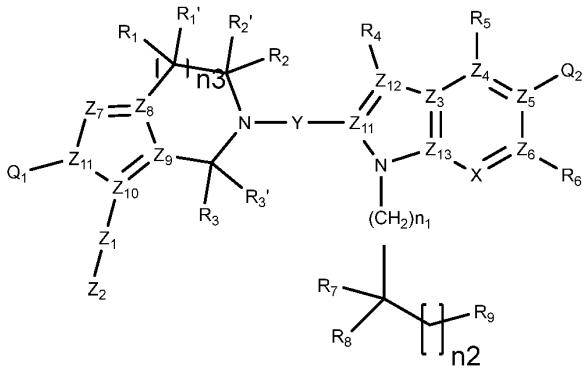
l. C_3-C_{15} cycloalkyl or C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted by halogen; and

m. $-P(=O)R^{zm}R^{zn}$, $-(CH2)_{n8}-P(=O)R^{zm}R^{zn}$, $-NR^{zm}S(=O)R^{zn}$ and $-NR^{zm}S(=O)_2R^{zn}$, wherein each R^{zm} and R^{zn} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_{6-10} aryl; n8 is 1 or 2; wherein C_{1-6} alkyl is substituted by one to six substituents selected from the group consisting of C_{1-6} alkoxy, C_{3-6} cycloalkyl and halogen, and C_{3-6} cycloalkyl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl and halogen; wherein the C_{6-10} aryl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl; or R^{zm} and R^{zn}

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together with the phosphorous atom to which they are attached form a ring with 5 to 8 ring atoms, wherein 0 to 2 of the ring atoms other than phosphorus are heteroatoms each independently selected from: O, S, and N, wherein the ring is optionally substituted with from 1-3 independently selected C_{1-6} alky.

Yet still another aspect of the present disclosure provides a compound of Formula (Ic), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (Ic)

wherein X is selected from the group consisting of N and -CR^a; wherein R^a is selected from the group consisting of hydrogen, halogen, and C_{1-6} alkyl;

wherein Y is -C(=O)-;

wherein each of Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9, Z_{10}, Z_{11}, Z_{12} and Z_{13} is independently selected from the group consisting of N and C;

wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10- membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, bridged ring, spiro ring, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and -$NR^{Qa}R^{Qb}$, wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

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wherein each of R_1, R_2, R_3, R_1', R_2' and R_3' is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl;

wherein R_2 and R_3 together with the carbon atom to which they are attached form 4- to 8-membered heterocycloalkyl;

wherein R_1 and R_1' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl, and R_2 and R_2' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl; and R_3 and R_3' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl;

each of R_4, R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{3-15} cycloalkyl;

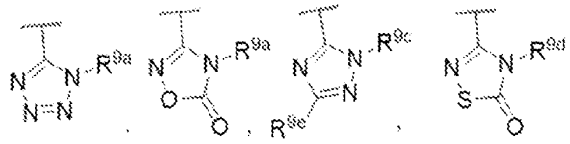
or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl, wherein each C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{7a}R^{7b}$, C_{1-6} alkoxy and 3- to 12-membered heterocyclic, and R^{7a} and R^{7b} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

n_1 is 0, 1, 2 or 3;

n2 is 0, 1, 2, 3, 4 or 5;

n3 is 0 or 1;

R_9 is selected from the group consisting of:



, $-CO_2R^{9f}$ and $-C(=O)-NR^{9g}R^{9h}$; and

each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted

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with one or more substituents independently selected from the group consisting of halogen and C_{1-6} alkoxy;

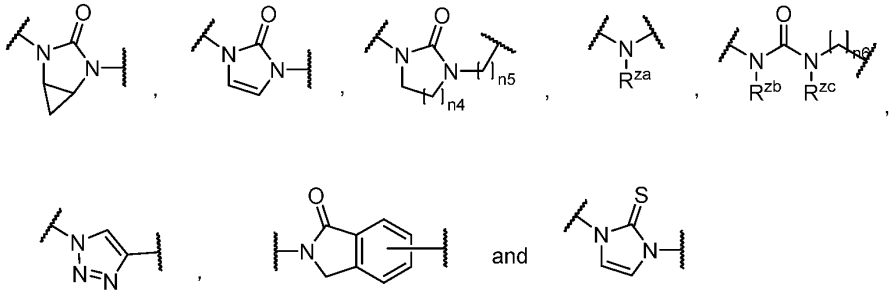
R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen atoms;

R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;

R^{9i} is C_{1-6} alkyl;

Z_1 is selected from the group consisting of:

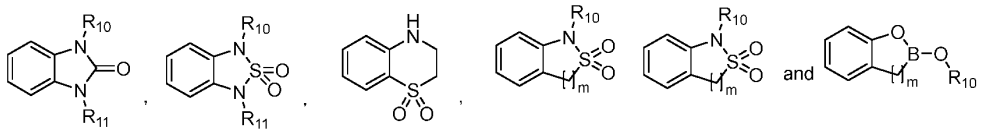


wherein R^{za} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, and each of R^{zb} and R^{zc} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

n4 is 1, 2 or 3;

each of n5 and n6 is independently an integer selected from 0 to 10;

Z_2 is selected from the group consisting of C_{1-6} alkyl, C_{3-15} cycloalkyl, 3- to 12-membered heterocyclic, C_{6-10} aryl, 5- to 10- membered heteroaryl,



,

wherein Z_2 is independently optionally substituted with one to five G;

m is 1, 2, or 3;

each of R_{10} and R_{11} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) aryl, C_{1-6} haloalkyl, C_{3-15} cycloalkyl and C_{1-6} alkyl-C_{3-15} cycloalkyl;

wherein G is selected from the group consisting of:

a. Oxo;

b. Halogen;

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c. Cyano;

d. $-NR^{zd}R^{ze}$; wherein R^{zd} and R^{ze} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

e. $-C(=O)-NR^{zf}R^{zg}$; wherein R^{zf} and R^{zg} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

f. $-S(=O)_{n7}-R^{zh}$; wherein n7 is 0, 1 or 2; R^{zh} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

g. C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{zi}R^{zj}$, C_{1-6} alkoxy, C_{1-6} haloalkoxy and 3- to 12-membered heterocyclic; wherein each of R^{zi} and R^{zj} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein the 3- to 12-membered heterocyclic groups are optionally substituted with one or more substituents selected from the group consisting of cyano, C_{1-6} alkyl and 3- to 12-membered heterocyclic;

h. C_{1-6} alkoxy; wherein C_{1-6} alkoxy is optionally substituted by one or more substituents of hydroxyl, halogen and C_{1-6} alkoxy;

i. 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally substituted by one or more substituents independently selected from the group consisting of C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl;

j. C_{6-10} aryl; wherein C_{6-10} aryl is optionally substituted by one or more (C_{1-6} alkyl) carbonyl;

k. 5- to 10-membered heteroaryl; wherein the 5- to 10-membered heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkoxy, $-NR^{zk}R^{zl}$, and 3- to 12-membered heterocyclic; wherein R^{zk} and R^{zl} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl and wherein the 3- to 12-membered heterocyclic is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl;

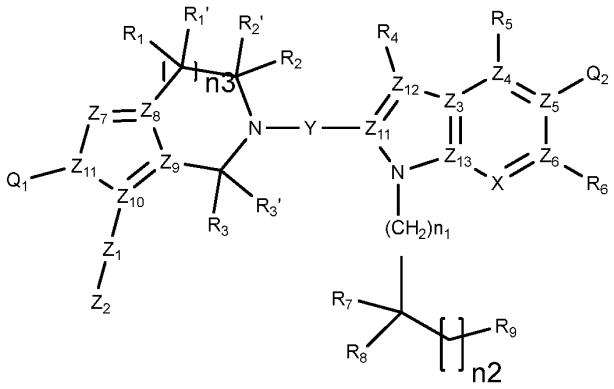
l. C_3-C_{15} cycloalkyl or C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group

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consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted by halogen; and

m. $-P(=O)R^{zm}R^{zn}$, $-(CH2)_{n8}-P(=O)R^{zm}R^{zn}$, $-NR^{zm}S(=O)R^{zn}$ and $^{-}NR^{zm}S(=O)_2R^{zn}$, wherein each R^{zm} and R^{zn} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_{6-10} aryl; n8 is 1 or 2; Wherein C_{1-6} alkyl is substituted by one to six substituents selected from the group consisting of C_{1-6} alkoxy, C_{3-6} cycloalkyl and halogen, and C_{3-6} cycloalkyl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl and halogen; wherein the C_{6-10} aryl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl; or $R^{zm}$and R^{zn} together with the phosphorous atom to which they are attached form a ring with 5 to 8 ring atoms, wherein 0 to 2 of the ring atoms other than phosphorus are heteroatoms each independently selected from: O, S, and N, wherein the ring is optionally substituted with from 1-3 independently selected C_{1-6} alky.

Yet still another aspect of the present disclosure provides a compound of Formula (Id), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (Id)

wherein X is selected from the group consisting of N and $-CR^a$; wherein R^a is selected from the group consisting of hydrogen, halogen, and C_{1-6} alkyl;

wherein Y is -C(=O)-;

wherein each of Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9, Z_{10}, Z_{11}, Z_{12} and Z_{13} is independently selected from the group consisting of N and C;

wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10- membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

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wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, bridged ring, spiro ring, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and $-NR^{Qa}R^{Qb}$, wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

wherein each of R_1, R_2, R_3, R_1', R_2' and R_3' is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl;

wherein R_2 and R_3 together with the carbon atom to which they are attached form 4- to 8-membered heterocycloalkyl;

wherein R_1 and R_1' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl, and R_2 and R_2' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl; and R_3 and R_3' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl;

each of R_4, R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{3-15} cycloalkyl;

or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl, wherein each C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{7a}R^{7b}$, C_{1-6} alkoxy and 3- to 12-membered heterocyclic, and R^{7a} and R^{7b} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

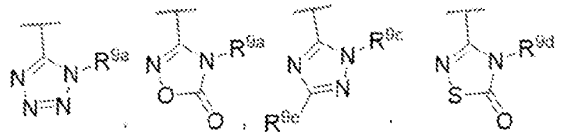
n_1 is 0, 1, 2 or 3;

n2 is 0, 1, 2, 3, 4 or 5;

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n3 is 0 or 1;

R_9 is selected from the group consisting of:



, $-CO_2R^{9f}$ and $-C(=O)-NR^{9g}R^{9h}$; and

each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{1-6} alkoxy;

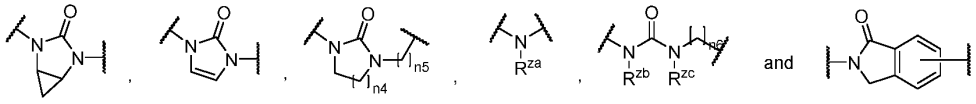
R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen atoms;

R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;

R^{9i} is C_{1-6} alkyl;

Z_1 is selected from the group consisting of:



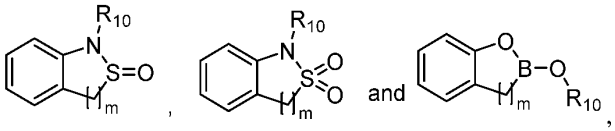
,

wherein R^{za} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, and each of R^{zb} and R^{zc} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

n4 is 1, 2 or 3;

each of n5 and n6 is independently an integer selected from 0 to 10;

Z_2 is selected from the group consisting of C_{1-6} alkyl, C_{3-15} cycloalkyl, 3- to 12-membered heterocyclic, C_{6-10} aryl, 5- to 10- membered heteroaryl:



,

wherein Z_2 is independently optionally substituted with one to five G;

m is 1, 2, or 3;

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R_{10} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) aryl, C_{1-6} haloalkyl, C_{3-15} cycloalkyl and C_{1-6} alkyl-C_{3-15} cycloalkyl.

wherein G is selected from the group consisting of:

a. Oxo;

b. Halogen;

c. Cyano;

d. $-NR^{zd}R^{ze}$; wherein R^{zd} and R^{ze} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

e. $-C(=O)-NR^{zf}R^{zg}$; wherein R^{zf} and R^{zg} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

f. $-S(=O)_{n7}-R^{zh}$; wherein n7 is 0, 1 or 2; R^{zh} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

g. C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{zi}R^{zj}$, C_{1-6} alkoxy, C_{1-6} haloalkoxy and 3- to 12-membered heterocyclic; wherein each of R^{zi} and R^{zj} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein the 3- to 12-membered heterocyclic groups are optionally substituted with one or more substituents selected from the group consisting of cyano, C_{1-6} alkyl and 3- to 12-membered heterocyclic;

h. C_{1-6} alkoxy; wherein C_{1-6} alkoxy is optionally substituted by one or more substituents of hydroxyl, halogen and C_{1-6} alkoxy;

i. 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally substituted by one or more substituents independently selected from the group consisting of C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl;

j. C_{6-10} aryl; wherein C_{6-10} aryl is optionally substituted by one or more (C_{1-6} alkyl) carbonyl;

k. 5- to 10-membered heteroaryl; wherein the 5- to 10-membered heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkoxy, $-NR^{zk}R^{zl}$, and 3- to 12-membered heterocyclic; wherein R^{zk} and R^{zl} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl and wherein the 3- to 12-membered heterocyclic is optionally substituted

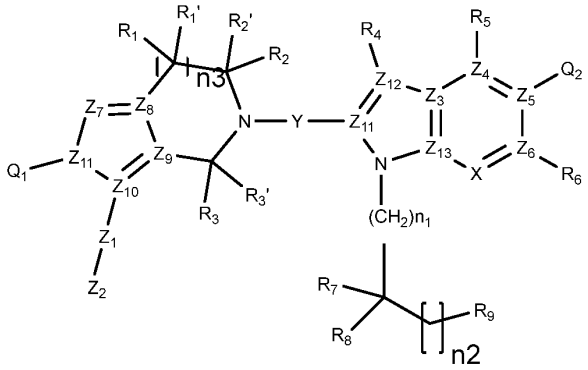
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with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl;

l. C_3-C_{15} cycloalkyl or C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted by halogen; and

m. $-P(=O)R^{zm}R^{zn}$, $-(CH2)_{n8}-P(=O)R^{zm}R^{zn}$, $-NR^{zm}S(=O)R^{zn}$ and $^{-}NR^{zm}S(=O)_2R^{zn}$, wherein each R^{zm} and R^{zn} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_{6-10} aryl; n8 is 1 or 2; wherein C_{1-6} alkyl is substituted by one to six substituents selected from the group consisting of C_{1-6} alkoxy, C_{3-6} cycloalkyl and halogen, and C_{3-6} cycloalkyl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl and halogen; wherein the C_{6-10} aryl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl; or $R^{zm}$and R^{zn} together with the phosphorous atom to which they are attached form a ring with 5 to 8 ring atoms, wherein 0 to 2 of the ring atoms other than phosphorus are heteroatoms each independently selected from: O, S, and N, wherein the ring is optionally substituted with from 1-3 independently selected C_{1-6} alky.

Yet still another aspect of the present disclosure provides a compound of Formula (Ie), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



Formula (Ie)

wherein X is selected from the group consisting of N and $-CR^a$; wherein R^a is selected from the group consisting of hydrogen, halogen, and C_{1-6} alkyl;

wherein Y is -C(=O)-;

wherein each of Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9, Z_{10}, Z_{11}, Z_{12} and Z_{13} is independently selected from the group consisting of N and C;

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wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10- membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, bridged ring, spiro ring, and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and $-NR^{Qa}R^{Qb}$, wherein two C_{1-6} alkyl groups optionally together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

wherein each of R_1, R_2, R_3, R_1', R_2' and R_3' is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl;

wherein R_2 and R_3 together with the carbon atom to which they are attached form 4- to 8-membered heterocycloalkyl;

wherein R_1 and R_1' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl, and R_2 and R_2' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl; and R_3 and R_3' optionally together with the carbon atom to which they are attached form C_3-C_8 cycloalkyl;

each of R_4, R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

each of R_7 and R_8 is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{3-15} cycloalkyl;

or R_7 and R_8 together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring, wherein the C_{3-15} carbocyclic ring is optionally substituted by one to three C_{1-6} alkyl, wherein each C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{7a}R^{7b}$, C_{1-6} alkoxy and 3- to 12-membered heterocyclic, and R^{7a} and R^{7b} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl

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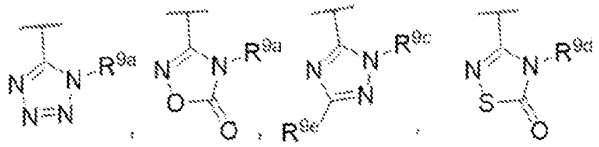
optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

n_1 is 0, 1, 2 or 3;

n2 is 0, 1, 2, 3, 4 or 5;

n3 is 0 or 1;

R_9 is selected from the group consisting of:



, $-CO_2R^{9f}$ and $-C(=O)-NR^{9g}R^{9h}$;

and each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{1-6} alkoxy;

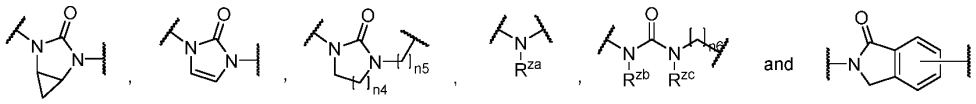
R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen atoms;

R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;

R^{9i} is C_{1-6} alkyl;

Z_1 is selected from the group consisting of:



,

wherein R^{za} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, and each of R^{zb} and R^{zc} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl;

n4 is 1, 2 or 3;

each of n5 and n6 is independently an integer selected from 0 to 10;

Z_2 is selected from the group consisting of C_{1-6} alkyl, C_{3-15} cycloalkyl, 3- to 12-membered heterocyclic, C_{6-10} aryl, and 5- to 10- membered heteroaryl;

wherein C_{3-15} cycloalkyl and 3- to 12-membered heterocyclic is independently optionally substituted with one to five G;

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wherein G is selected from the group consisting of:

a. Oxo;

b. Halogen;

c. Cyano;

d. $-NR^{zd}R^{ze}$; wherein R^{zd} and R^{ze} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

e. $-C(=O)-NR^{zf}R^{zg}$; wherein R^{zf} and R^{zg} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

f. $-S(=O)_{n7}-R^{zh}$; wherein n7 is 0, 1 or 2; R^{zh} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

g. C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{zi}R^{zj}$, C_{1-6} alkoxy, C_{1-6} haloalkoxy and 3- to 12-membered heterocyclic; wherein each of R^{zi} and R^{zj} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein the 3- to 12-membered heterocyclic groups are optionally substituted with one or more substituents selected from the group consisting of cyano, C_{1-6} alkyl and 3- to 12-membered heterocyclic;

h. C_{1-6} alkoxy; wherein C_{1-6} alkoxy is optionally substituted by one or more substituents of hydroxyl, halogen and C_{1-6} alkoxy;

i. 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally substituted by one or more substituents independently selected from the group consisting of C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl;

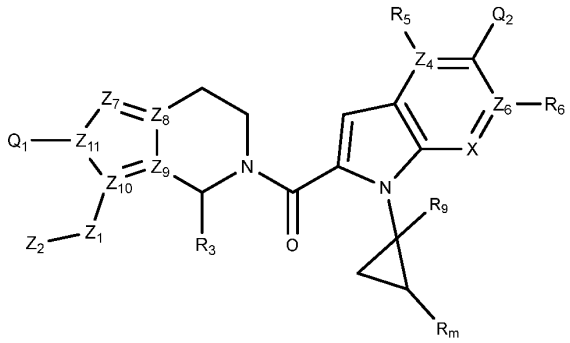
j. C_{6-10} aryl; wherein C_{6-10} aryl is optionally substituted by one or more (C_{1-6} alkyl) carbonyl;

k. 5- to 10-membered heteroaryl; wherein the 5- to 10-membered heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkoxy, $-NR^{zk}R^{zl}$, and 3- to 12-membered heterocyclic; wherein R^{zk} and R^{zl} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl and wherein the 3- to 12-membered heterocyclic is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl; and

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l. C_3-C_{15} cycloalkyl or C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted by halogen.

In some embodiments, in the compound of any one of Formula (Ia), (Ib), (Ic), (Id) or (Ie), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound has a Formula (If):



Formula (If)

wherein:

wherein X is selected from the group consisting of N and -CR^a; wherein R^a is selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

wherein each of Z_4, Z_6, Z_7, Z_8, Z_9, Z_{10} and Z_{11} is independently selected from the group consisting of N and C;

wherein Q_1 is selected from the group consisting of C_{6-10} aryl and 5- to 10-membered heteroaryl, wherein C_{6-10} aryl or 5- to 10-membered heteroaryl is optionally substituted by one to five substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy;

wherein Q_2 is selected from the group consisting of 3- to 12-membered heterocyclic, bridged ring, spiro ring and 5- to 10-membered heteroaryl, wherein 3- to 12-membered heterocyclic, and 5- to 10-membered heteroaryl are optionally substituted by 1-3 substituents independently selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, and -$NR^{Qa}R^{Qb}$, wherein two C_{1-6} alkyl groups together with the carbon atoms to which they are attached form C_{3-8} carbocyclic ring; wherein R^{Qa} and R^{Qb} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl and (C_{1-6} alkyl) carbonyl;

wherein R_3 is independently selected from the group consisting of hydrogen, halogen,

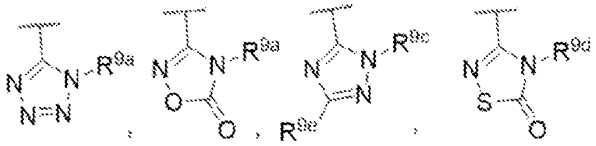
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C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cycloalkyl and heterocycloalkyl; wherein C_{1-6} alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, C_{1-6} alkoxy and hydroxyl;

each of R_5 and R_6 is independently selected from the group consisting of hydrogen, halogen and C_{1-6} alkyl;

R_m is C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, -$NR^{7a}R^{7b}$, C_{1-6} alkoxy and 3- to 12-membered heterocyclic, wherein R^{7a} and R^{7b} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; and any two C_{1-6} alkyl optionally together with the carbon atom to which they are attached form C_{3-15} carbocyclic ring;

R_9 is selected from the group consisting of:



, -CO_2R^{9f} and -C(=O)-$NR^{9g}R^{9h}$;

and each of R^{9a}, R^{9b}, R^{9c}, R^{9d} and R^{9g} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl, wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen and C_{1-6} alkoxy;

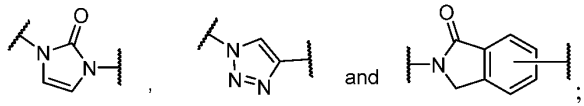
R^{9e} is selected from the group consisting of hydrogen and C_{1-6} alkyl optionally substituted by one or more halogen atoms;

R^{9f} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R^{9h} is selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl) carbonyl, cyano and -$S(=O)_{n9}$-R^{9i}; n9 is 0, 1 or 2;

R^{9i} is C_{1-6} alkyl;

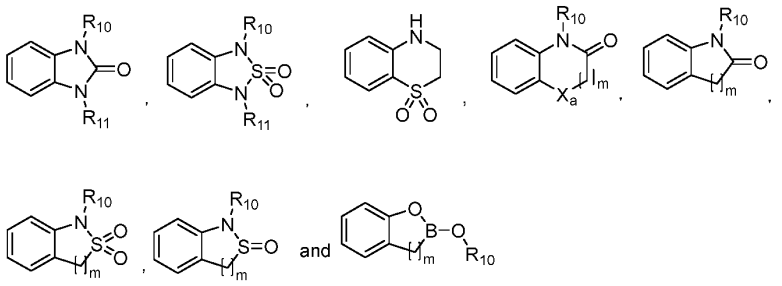
Z_1 is selected from the group consisting of:



;

Z_2 is selected from the group consisting of C_{1-6} alkyl, C_{3-15} cycloalkyl, 3- to 12-membered heterocyclic, C_{6-10} aryl, 5- to 10-membered heteroaryl,

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;

wherein Z_2 is independently optionally substituted with one to five G;

m is 1, 2 or 3;

each of R_{10} and R_{11} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl, (C_{1-6} alkyl)-aryl, C_{1-6} haloalkyl, C_{3-15} cycloalkyl and C_{1-6} alkyl-C_{3-15} cycloalkyl;

X_a is CH_2 or O;

wherein G is selected from the group consisting of:

a. Oxo;

b. Halogen;

c. Cyano;

d. $-NR^{zd}R^{ze}$; wherein R^{zd} and R^{ze} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

e. $-C(=O)-NR^{zf}R^{zg}$; wherein R^{zf} and R^{zg} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl; wherein C_{1-6} alkyl is optionally substituted by one or more substituents independently selected from the group consisting of hydroxyl, halogen and C_{1-6} alkoxy;

f. $-S(=O)_{n7}-R^{zh}$; wherein n7 is 0, 1 or 2; R^{zh} is selected from the group consisting of hydrogen and C_{1-6} alkyl;

g. C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, $-NR^{zi}R^{zj}$, C_{1-6} alkoxy, C_{1-6} haloalkoxy and 3- to 12-membered heterocyclic group; wherein each of R^{zi} and R^{zj} is independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein the 3- to 12-membered heterocyclic groups are optionally substituted with one or more substituents selected from the group consisting of cyano, C_{1-6} alkyl and 3- to 12-membered heterocyclic;

h. C_{1-6} alkoxy; wherein C_{1-6} alkoxy is optionally substituted by one or more substituents of hydroxyl, halogen and C_{1-6} alkoxy;

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i. 3- to 12-membered heterocyclic; wherein 3- to 12-membered heterocyclic is optionally substituted by one or more substituents independently selected from the group consisting of C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl;

j. C_{6-10} aryl; wherein C_{6-10} aryl is optionally substituted by one or more (C_{1-6} alkyl) carbonyl;

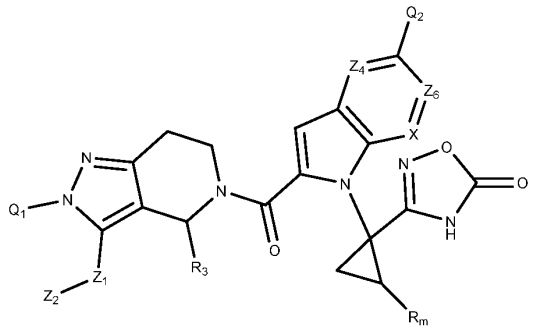
k. 5- to 10-membered heteroaryl; wherein the 5- to 10-membered heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, C_{1-6} alkoxy, $-NR^{zk}R^{zl}$, and 3- to 12-membered heterocyclic; wherein R^{zk} and R^{zl} are independently selected from the group consisting of hydrogen, C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl and wherein the 3- to 12-membered heterocyclic is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-6} alkyl, and (C_{1-6} alkyl)carbonyl;

l. C_3-C_{15} cycloalkyl or C_1-C_6 alkyl-C_3-C_{15} cycloalkyl; wherein cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, oxo, C_{1-6} alkyl, C_{1-6} alkoxy and (C_{1-6} alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted by halogen; and

m. $-P(=O)R^{zm}R^{zn}$, $-(CH2)_{n8}-P(=O)R^{zm}R^{zn}$, $-NR^{zm}S(=O)R^{zn}$ and $-NR^{zm}S(=O)_2R^{zn}$, wherein each R^{zm} and R^{zn} is independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_{6-10} aryl; n8 is 1 or 2; wherein C_{1-6} alkyl is substituted by one to six substituents selected from the group consisting of C_{1-6} alkoxy, C_{3-6} cycloalkyl and halogen, and C_{3-6} cycloalkyl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl and halogen; wherein the C_{6-10} aryl is optionally substituted by one to three substituents selected from the group consisting of C_{1-3} alkyl; Or $R^{zm}$and R^{zn} optionally together with the phosphorous atom to which they are attached form a ring with 5 to 8 ring atoms, wherein 0 to 2 of the ring atoms other than phosphorus are heteroatoms each independently selected from: O, S, and N, wherein the ring is optionally substituted with from 1-3 independently selected C_{1-6} alky.

In some embodiments, in the compound of any one of Formula (Ia), (Ib), (Ic), (Id) or (Ie), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound has a Formula (Ig),

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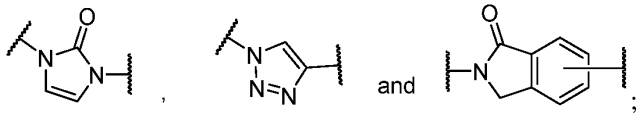
Formula (Ig)

wherein each of X, Z_4 and Z_6 is independently selected from the group consisting of N and CH;

R_3 is independently selected from the group consisting of hydrogen, halogen, C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more halogens;

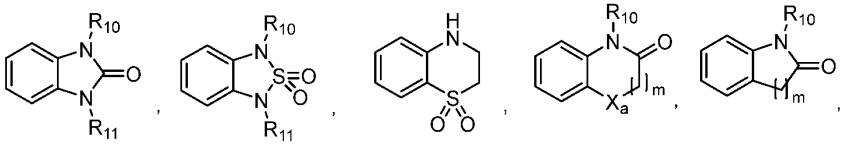
R_m is C_{1-6} alkyl; wherein C_{1-6} alkyl is optionally substituted with one or more halogens;

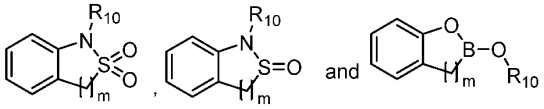
Z_1 is selected from the group consisting of:



;

Z_2 is selected from the group consisting of C_{6-10} aryl, 5- to 10-membered heteroaryl,

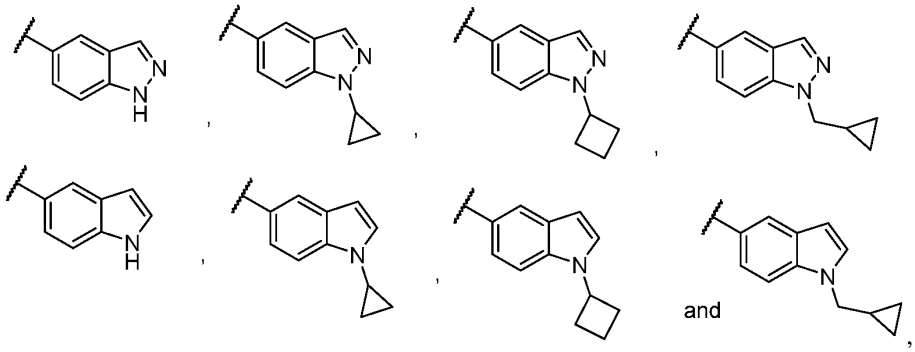




;

In some embodiments, in the compound of any one of Formula (Ia), (Ib), (Ic), (Id), (Ie), (If),or (Ig), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Z_2 is selected from

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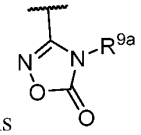
and Z_2 is substituted by one to five substituents selected from the group consisting of halogen, C_{1-6} alky and C_{1-6} alkoxy.

In some embodiments, in the compound of any one of Formula (Ia), (Ib), (Ic), (Id), (Ie), (If), or (Ig), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q1 is C_{6-10} aryl.

In some embodiments, in the compound of any one of Formula (Ia), (Ib), (Ic), (Id), (Ie), (If), or (Ig), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, Q_1 is C_{6-10} aryl, and the C_{6-10} aryl is optionally substituted with one to five substituents selected from the group consisting of halogen, C_{1-6} alkyl, C_{1-6} haloalkyl and C_{1-6} alkoxy.

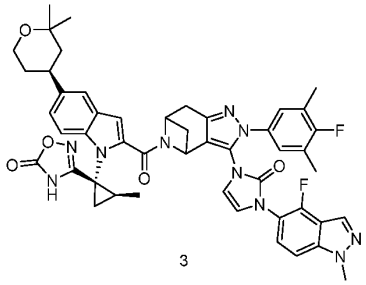
In some embodiments, in the compound of any one of formula (Ia), (Ib), (Ic), (Id), (Ie), (If),or (Ig), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_3 is selected from the group consisting of H, halo, and C_{1-6} alkyl.

In some embodiments, in the compound of any one of Formula (If) or Formula (Ig), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_m is C_{1-6} alkyl, and the C_{1-6} alkyl is optionally substituted with one or more halogens.

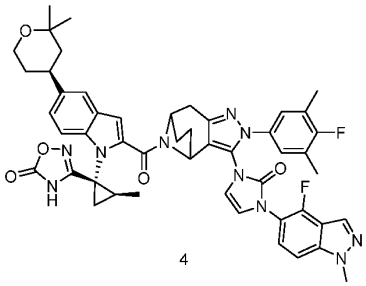
In some embodiments, in the compound of any one of Formula (Ia), (Ib), (Ic), (Id), (Ie), (If), or (Ig), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, R_9 is  , R^{9a} is selected from the group consisting of hydrogen, C_{1-6} alkyl and (C_{1-6} alkyl) carbonyl.

In some embodiments, in the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, the compound is selected from the group consisting of:

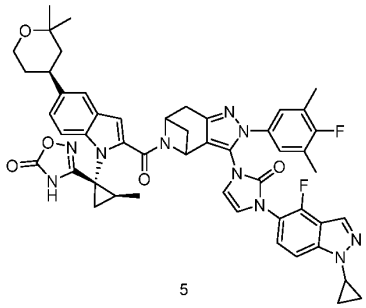
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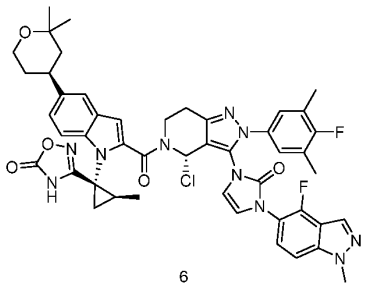
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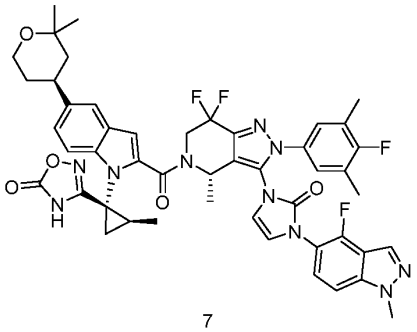


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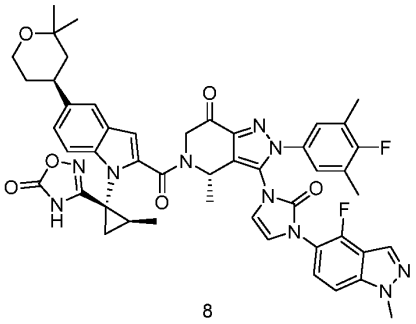


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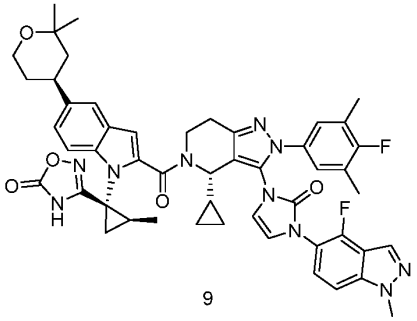
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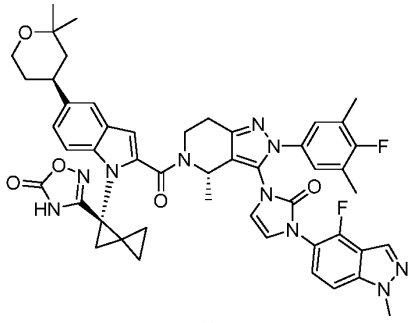
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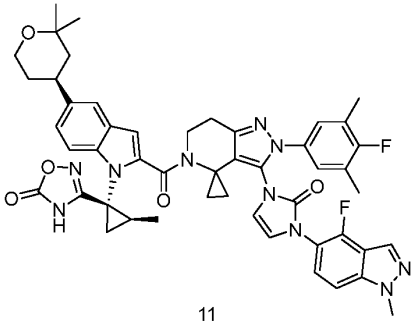
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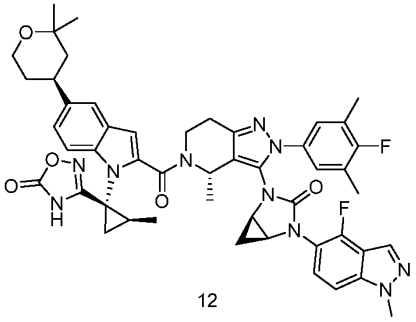
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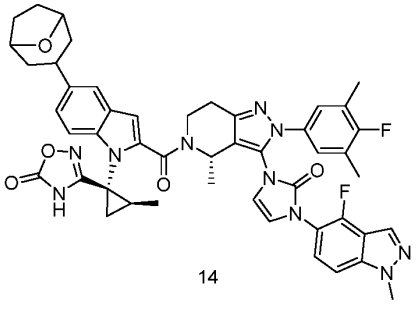
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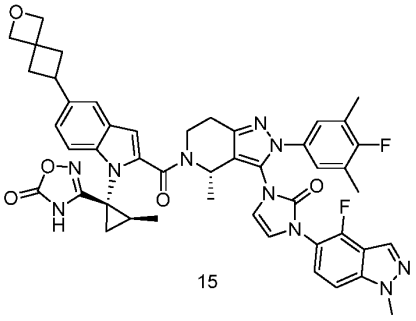
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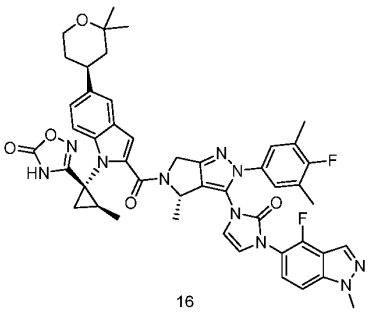


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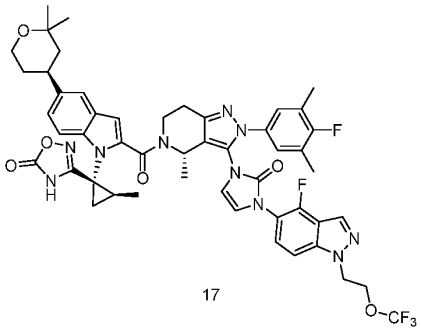


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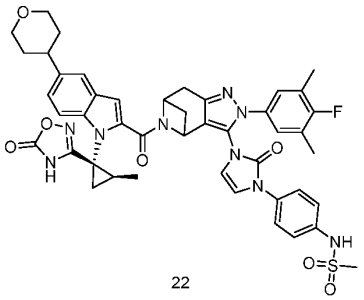
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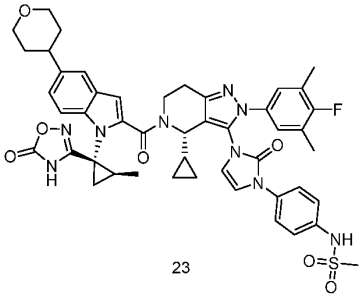
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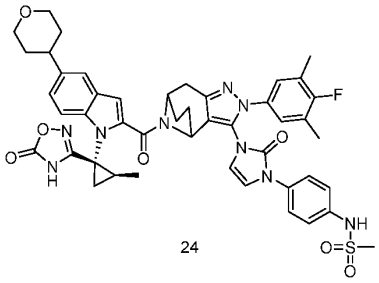
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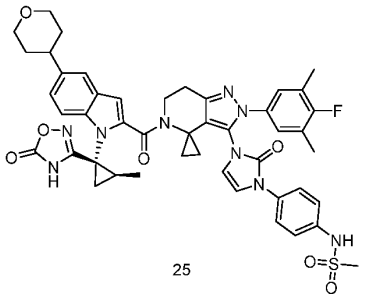
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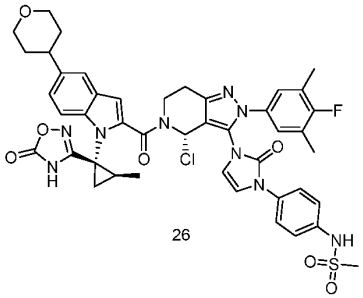
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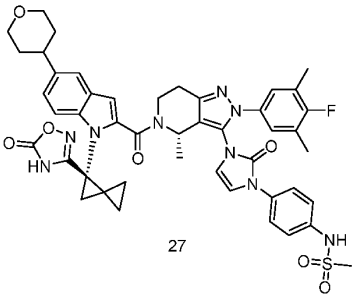
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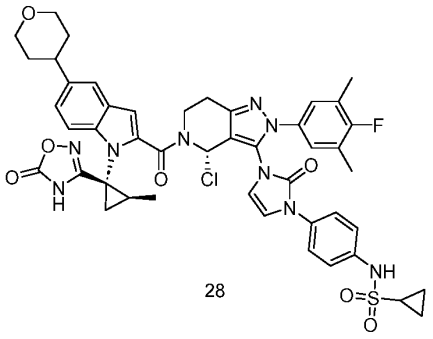


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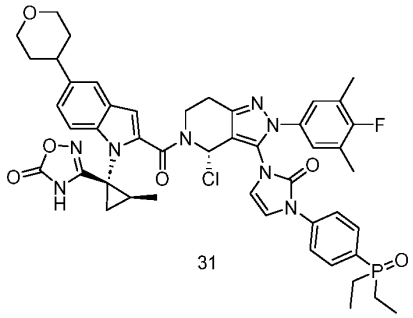


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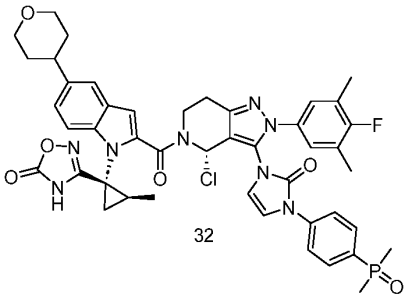
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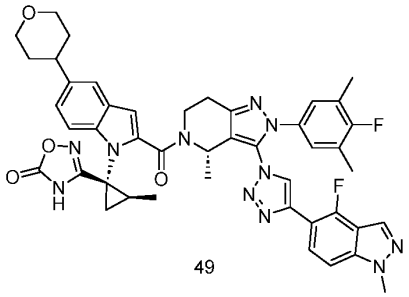
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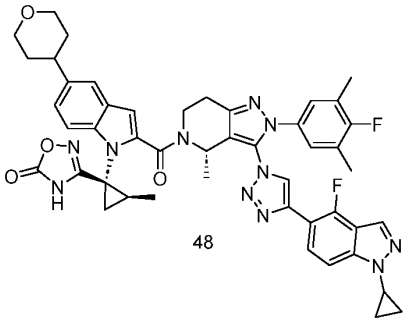


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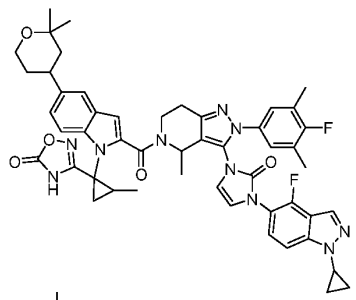
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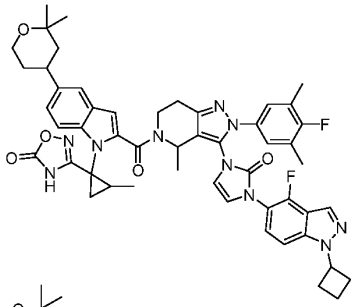
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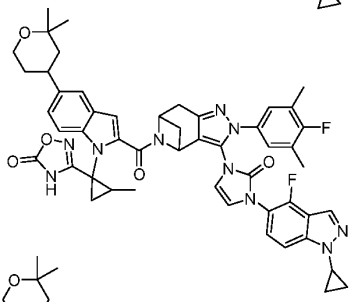
In some embodiments, the pharmaceutically acceptable salt of the present disclosure, is selected from a sodium salt, a calcium salt, a potassium salt, a magnesium salt and a lithium salt.

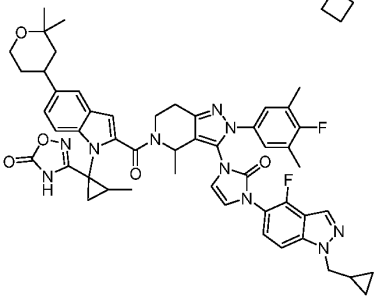
In some embodiments, the compound of the present disclosure is selected from the group consisting of

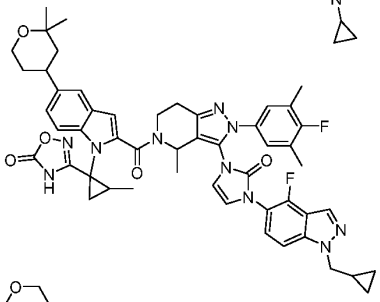
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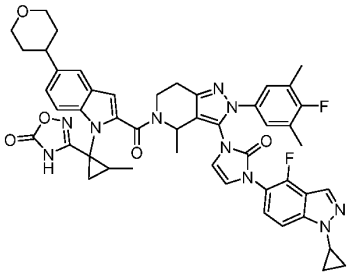


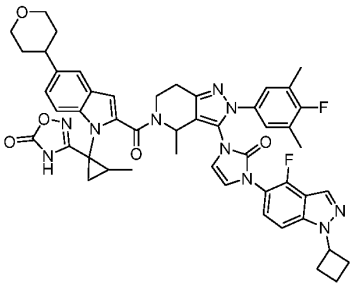


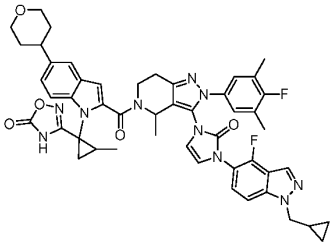


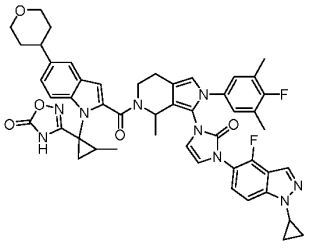




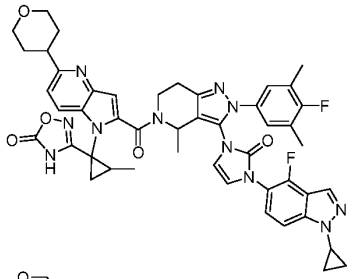


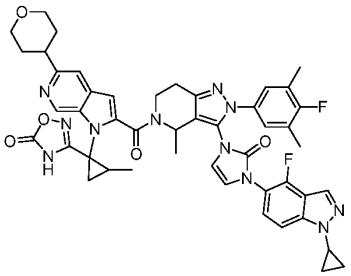


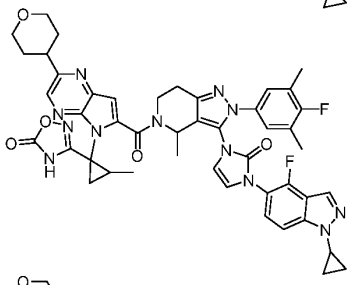


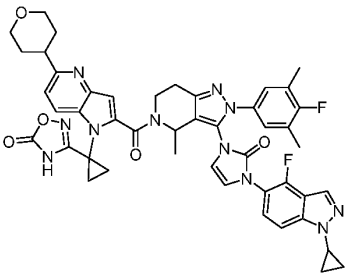


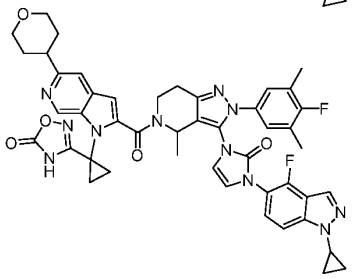
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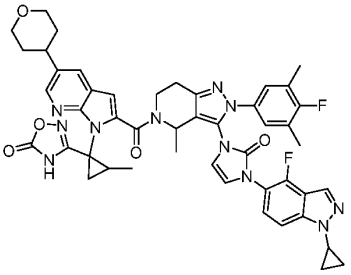


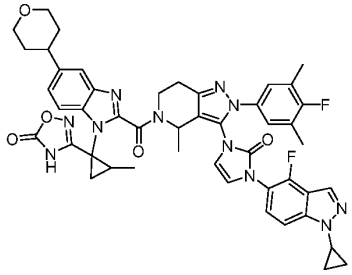


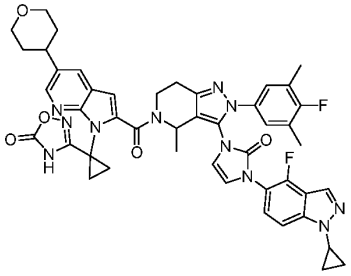




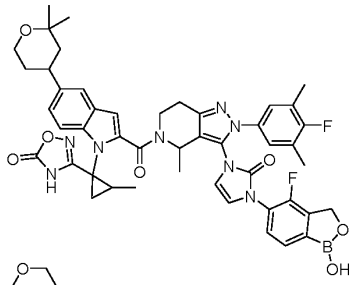


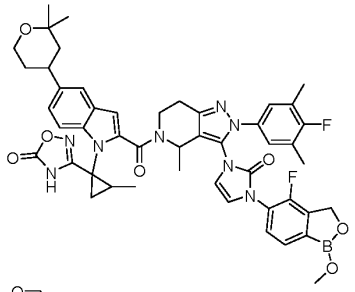


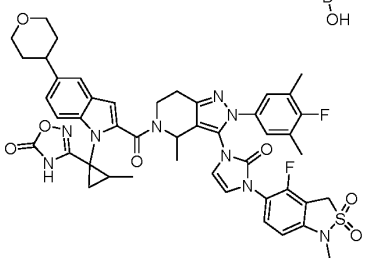


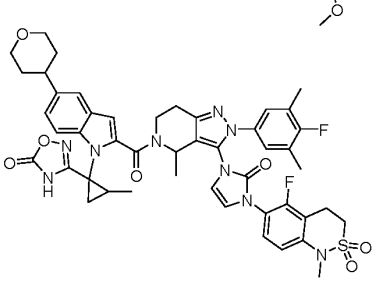


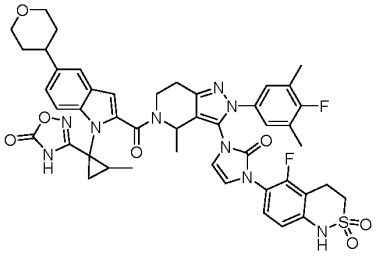
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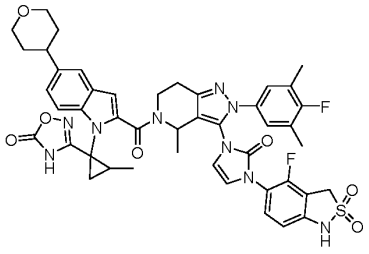


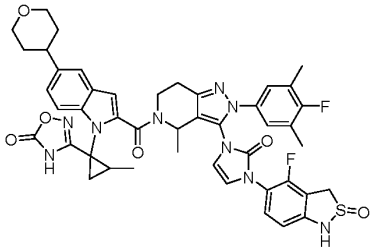


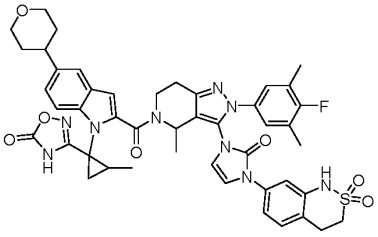


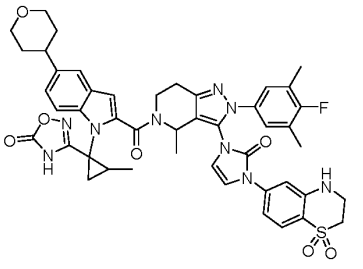


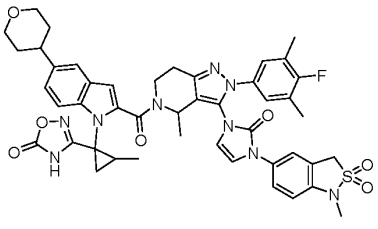


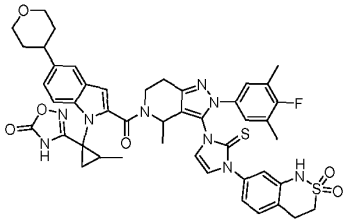


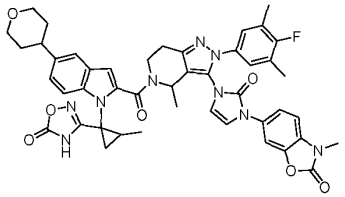


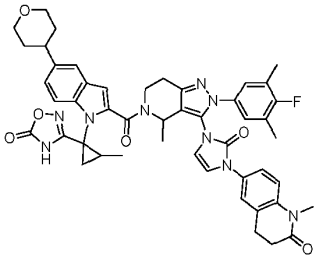


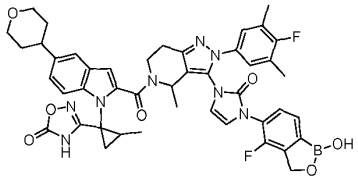


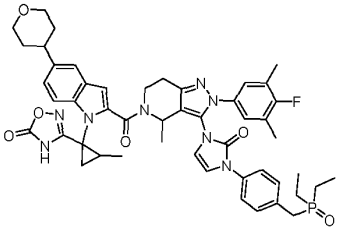


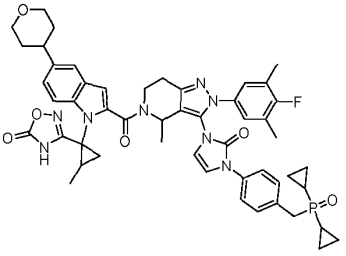


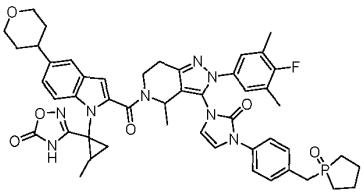


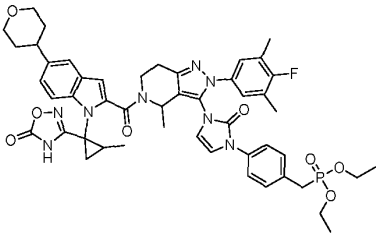


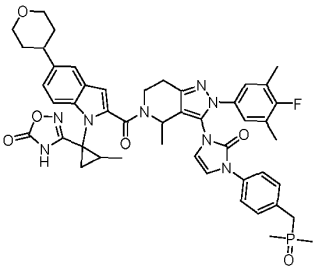




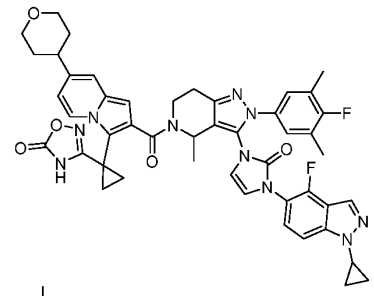


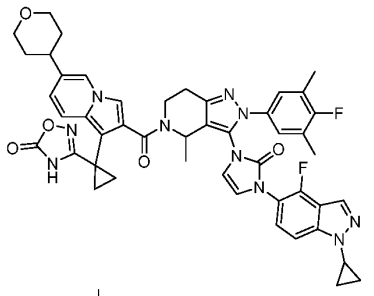


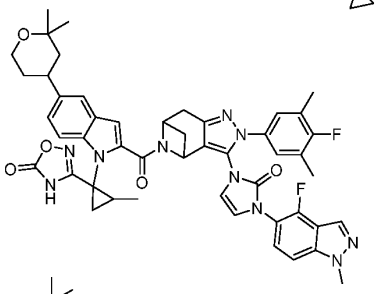


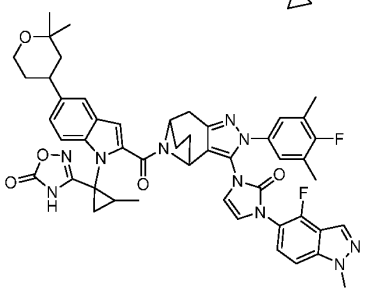


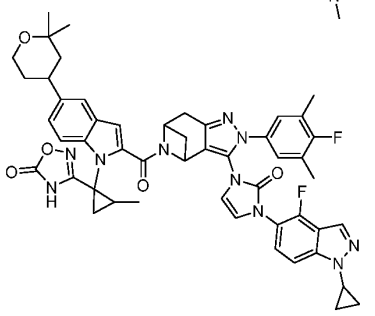
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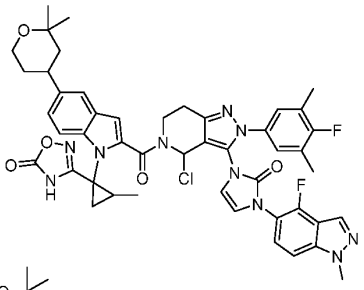


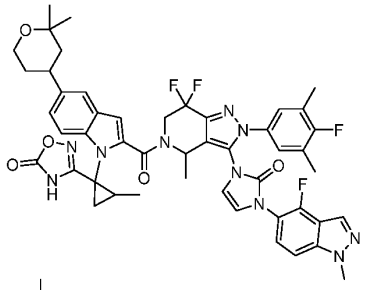


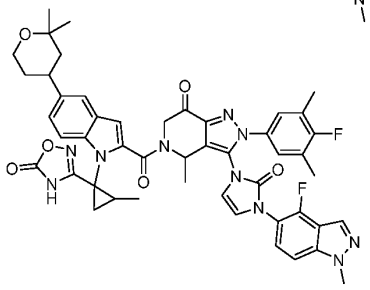


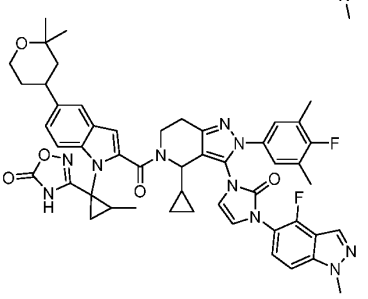


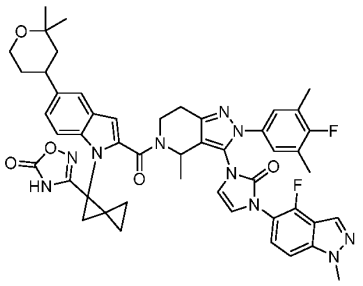




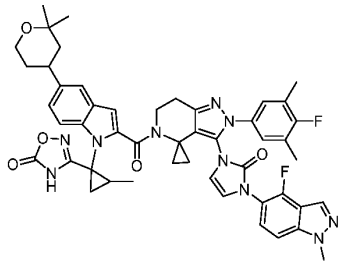


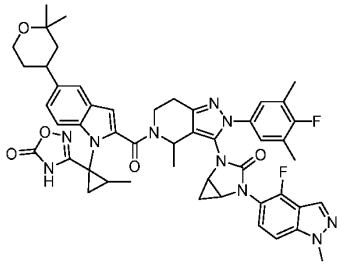


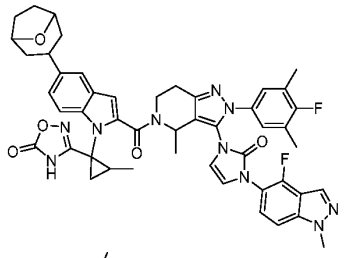


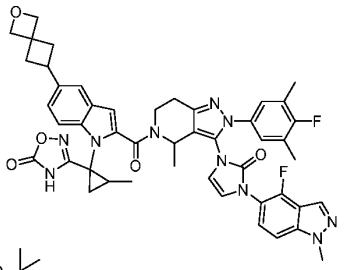


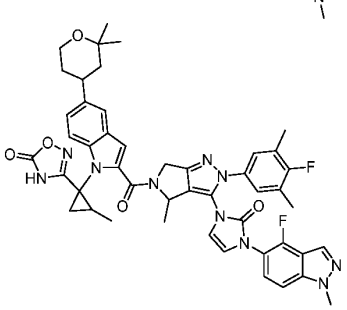
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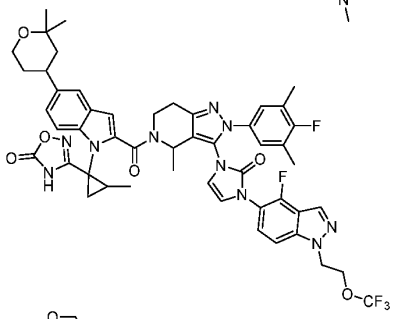


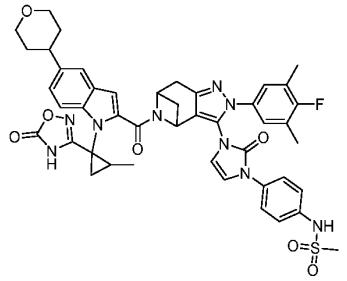


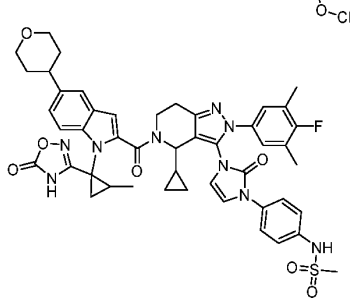


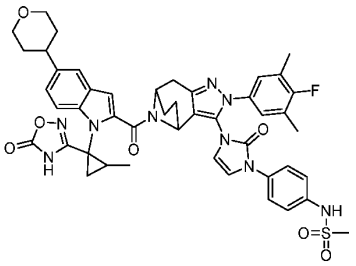


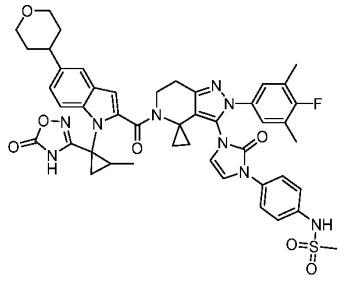




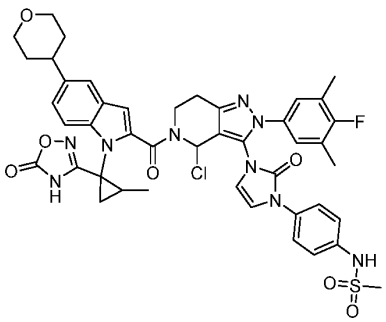


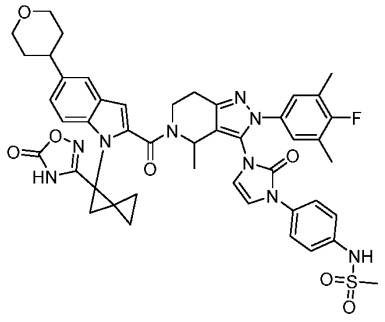


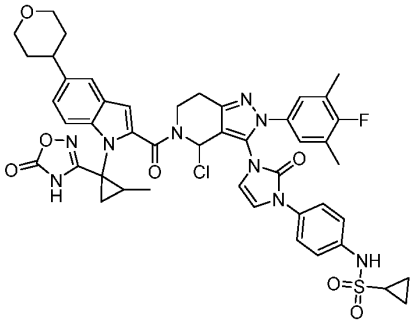


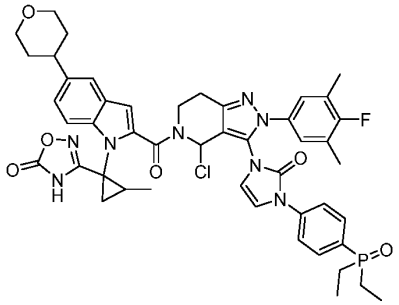


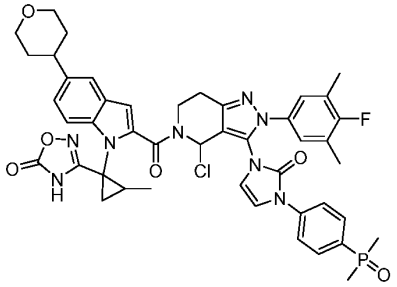
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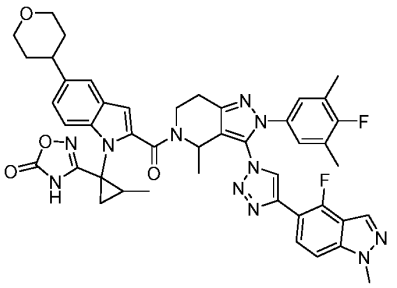




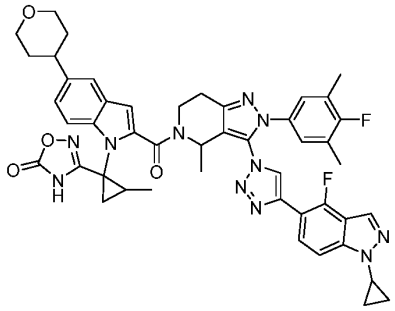








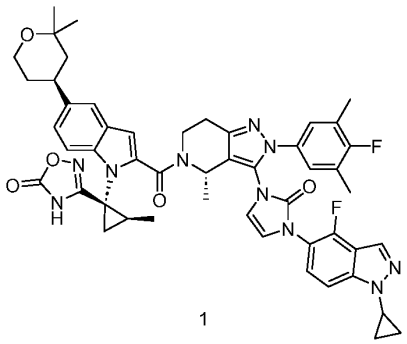
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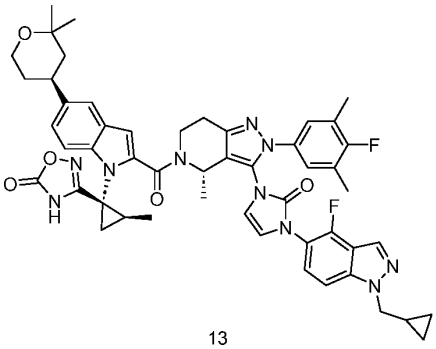
.

Yet still another aspect of the present disclosure provides a compound or a pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:

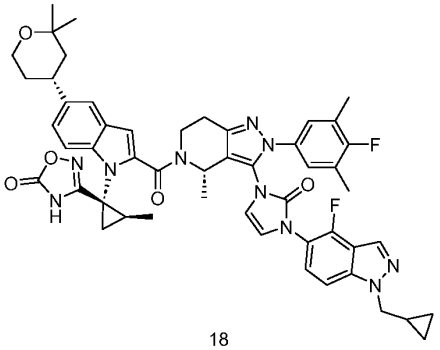
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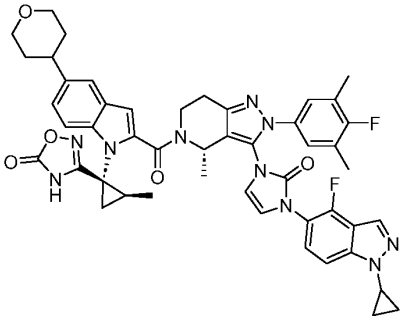
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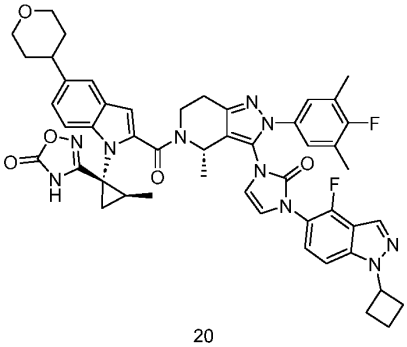
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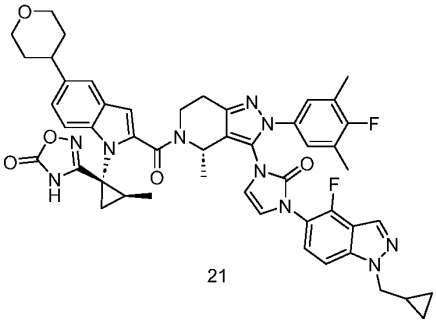
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19

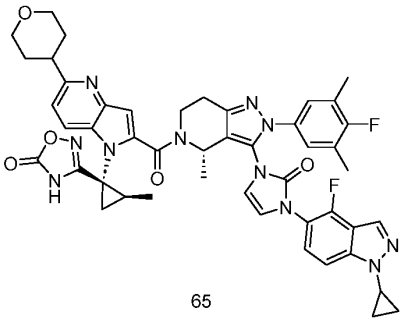


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21

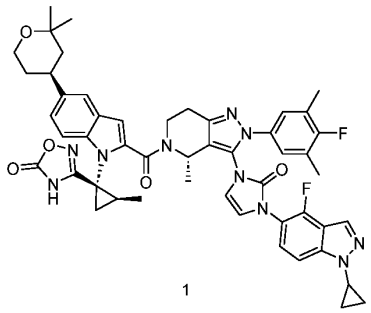
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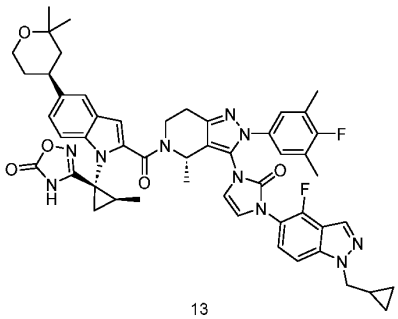
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Yet still another aspect of the present disclosure provides a compound, selected from the group consisting of:

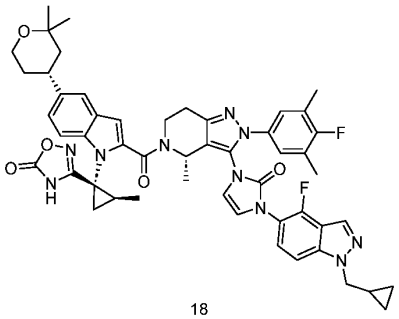
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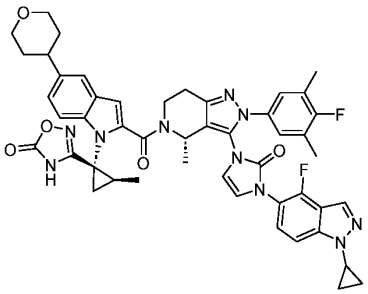
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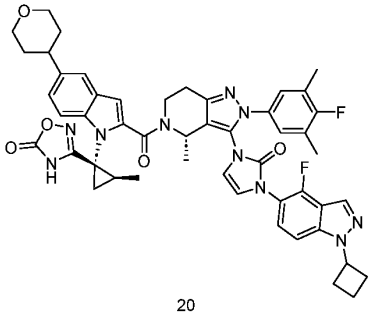
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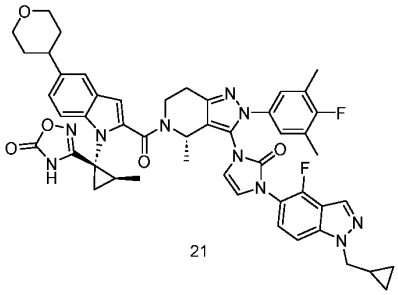
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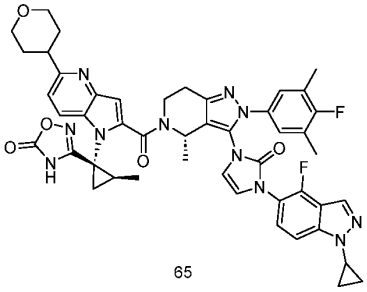
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21

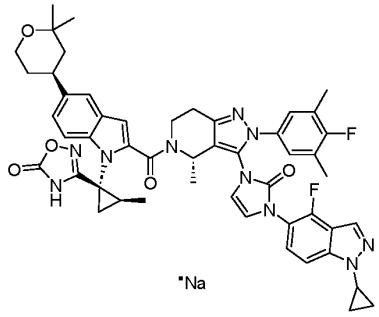


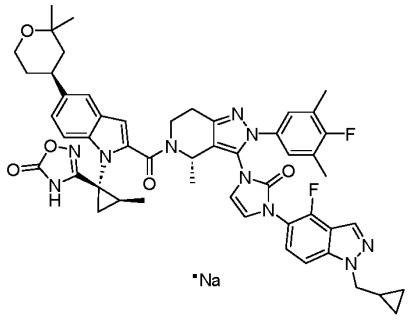
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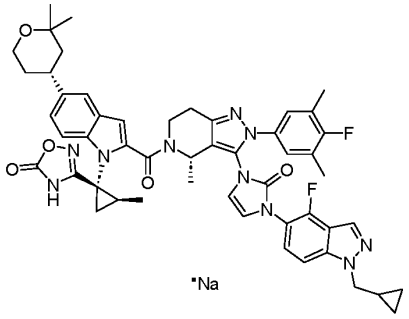
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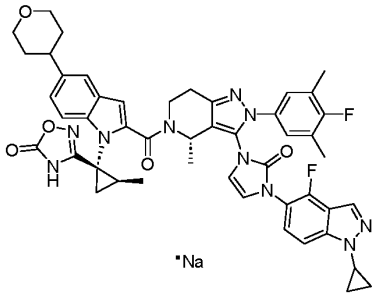
In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is selected from the group consisting of:

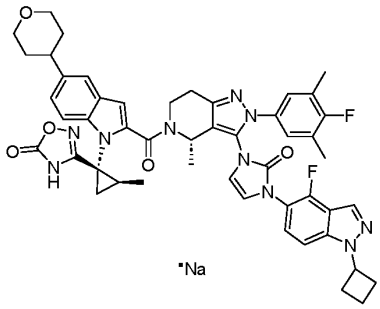
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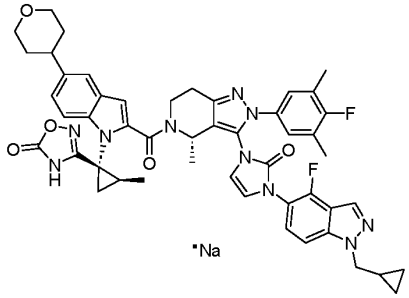




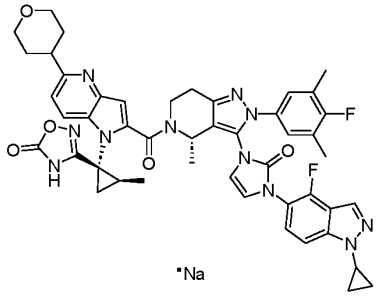








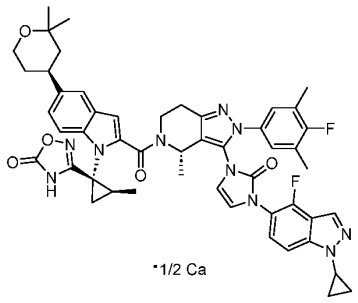
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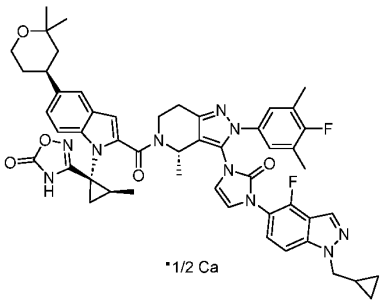


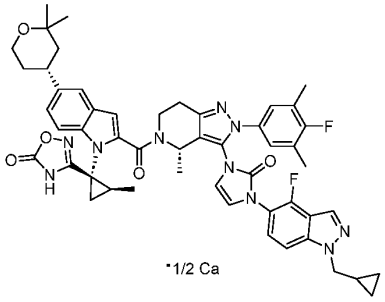
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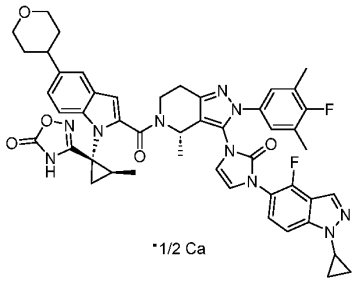
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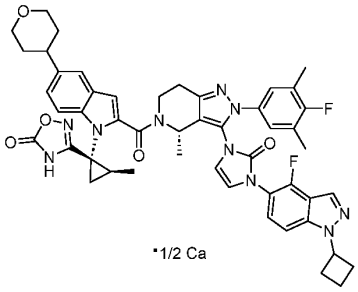
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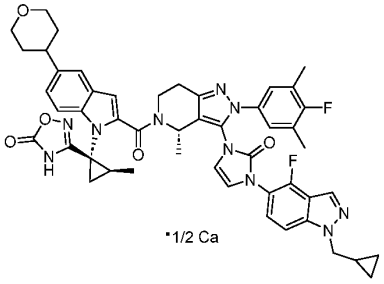

·1/2 Ca


·1/2 Ca

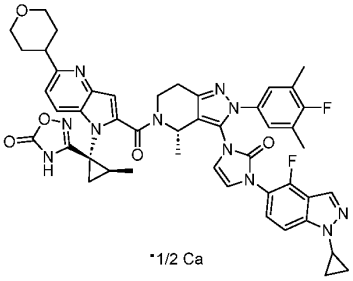

·1/2 Ca


·1/2 Ca


·1/2 Ca

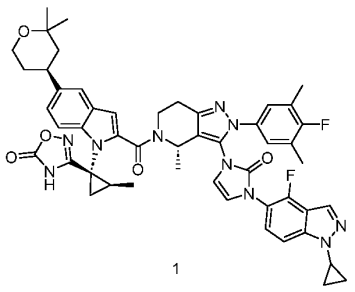

·1/2 Ca

and

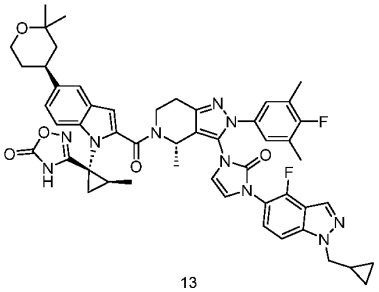

·1/2 Ca

In certain embodiments, in the compound or the pharmaceutically acceptable salt thereof of the present disclosure, the compound is selected from the group consisting of:

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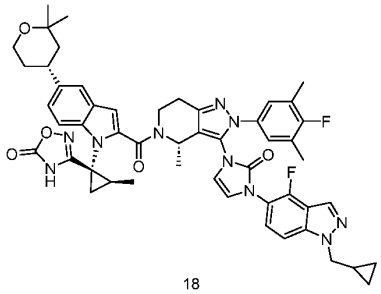


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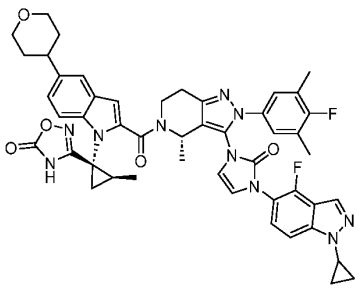
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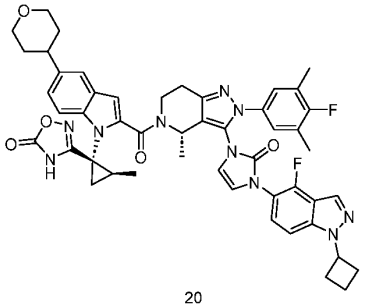


18

In some embodiments, the compound of the present disclosure is selected from the group consisting of:

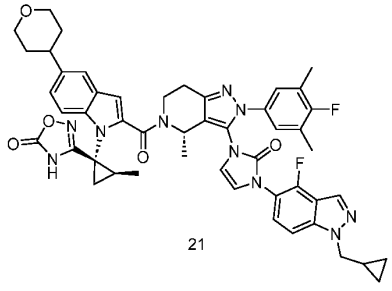


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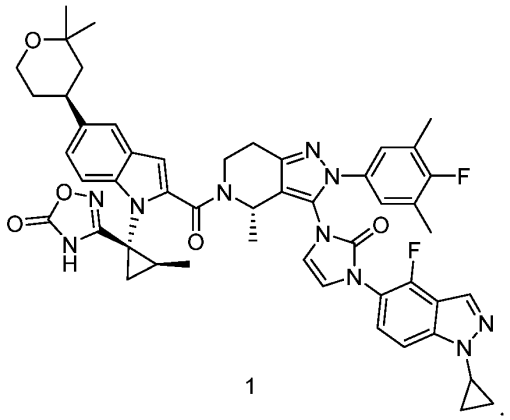
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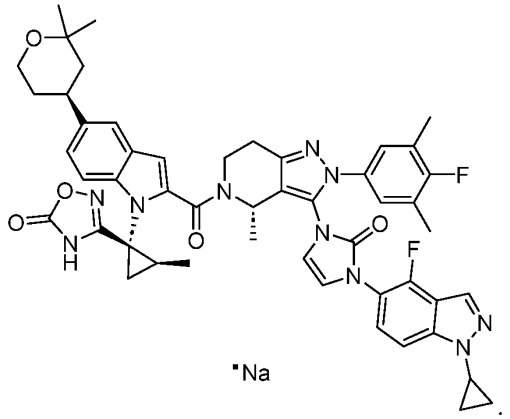
In some embodiments, the compound of the present disclosure is

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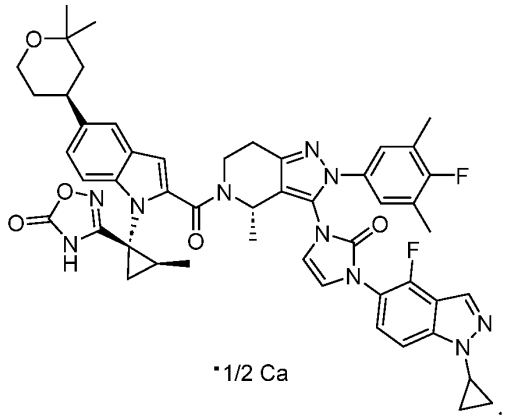
1

In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



•Na

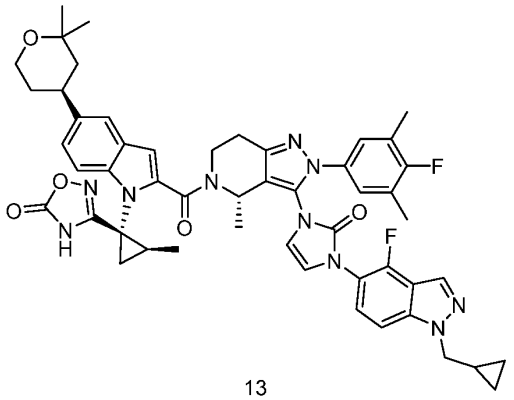
In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



•1/2 Ca

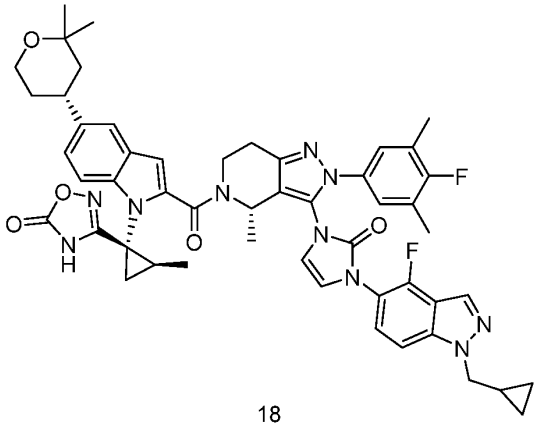
In some embodiments, the compound of the present disclosure is

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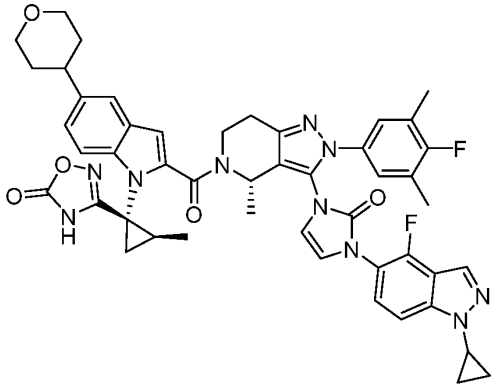
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In some embodiments, the compound of the present disclosure is



18

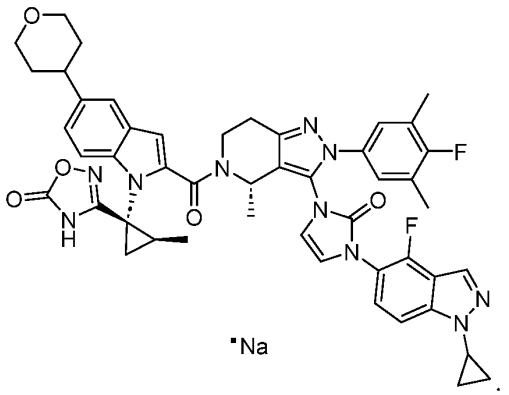
In some embodiments, the compound of the present disclosure is



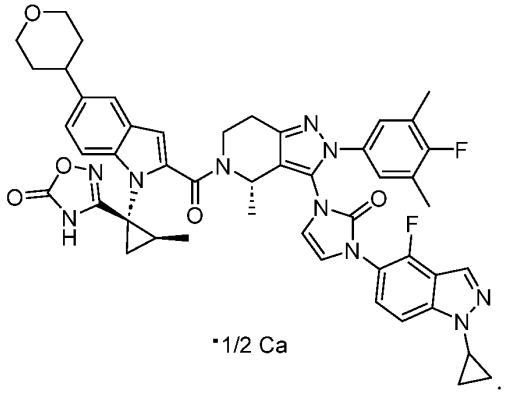
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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is

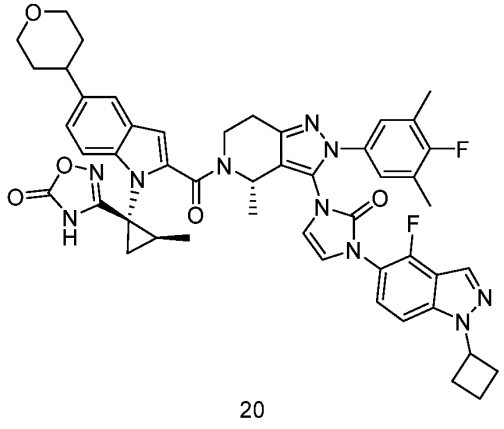
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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is

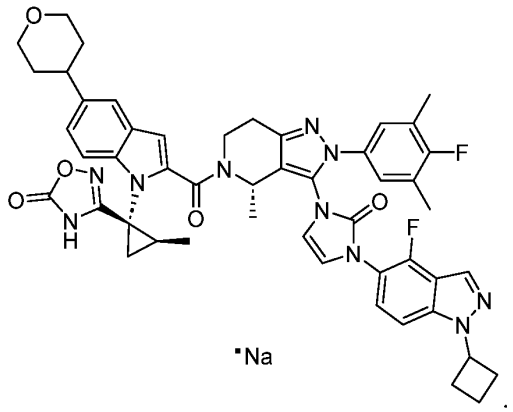


In some embodiments, the compound of the present disclosure is

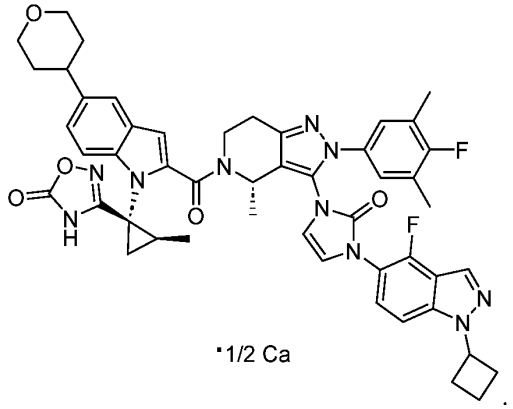


In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is

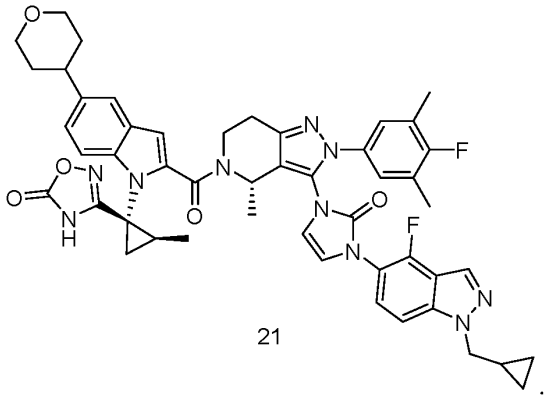
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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is

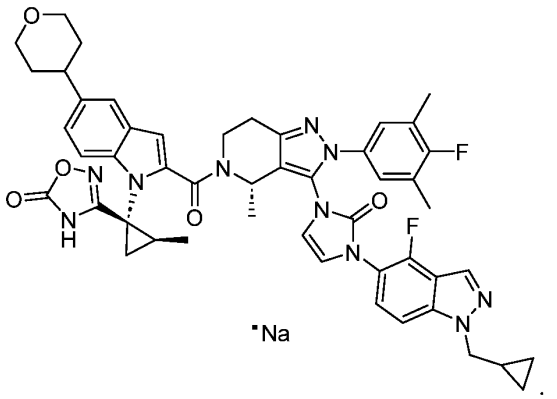


In some embodiments, the compound of the present disclosure is

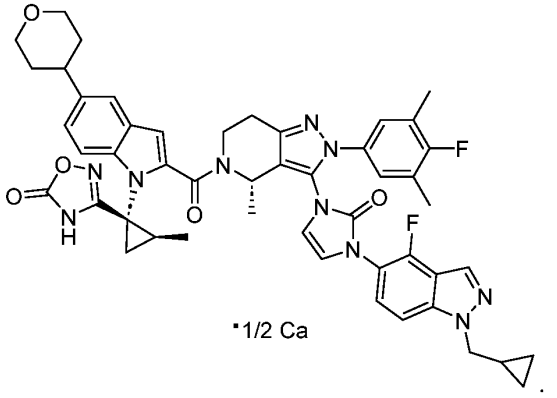


In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is

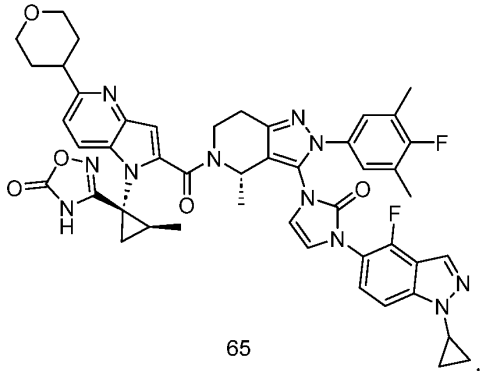
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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is

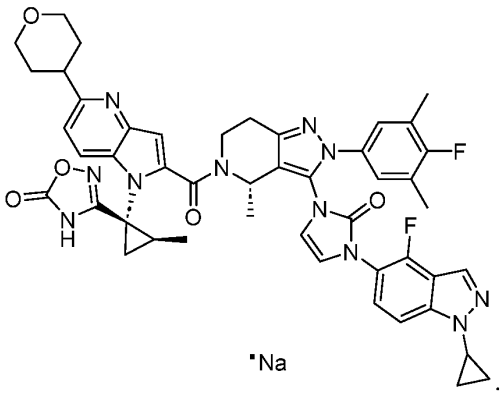


In some embodiments, the compound of the present disclosure is

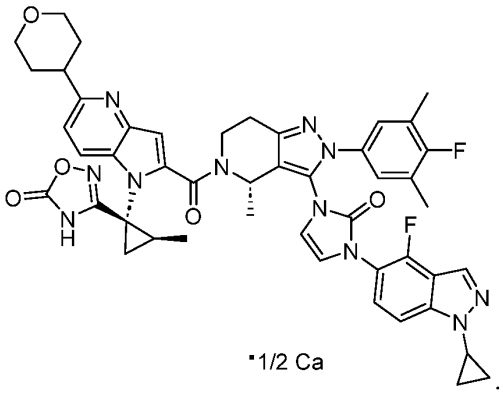


In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is

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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



Pharmaceutical composition

Another aspect of the present disclosure provides a pharmaceutical composition that comprise the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, and a pharmaceutically acceptable excipient.

In some embodiments, the pharmaceutical composition comprising the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure may be prepared with one or more pharmaceutically acceptable excipients, the excipients may be selected in accordance with conventional practice. Tablets may contain excipients, including flow aids, fillers, binders, and the like. Aqueous compositions may be prepared in a sterile form and may generally be isotonic when intended to be delivered by means other than oral administration.

In some embodiments, the compositions may comprise excipients, such as those set

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forth in Rowe et al, Handbook of Pharmaceutical Excipients, 6th edition, American Pharmacists Association, 2009. Excipients may include ascorbic acid and other antioxidants, chelating agents such as ethylenediaminetetraacetic acid, carbohydrates such as dextrin, hydroxyalkylcellulose, hydroxyalkylmethylcellulose, stearic acid, and the like. In some embodiments, the compositions are provided in solid dosage forms, including solid oral dosage forms.

The pharmaceutical composition may be prepared by any of the methods well known in the art of pharmacy, including oral administration. Such methods include the step of bringing into association the active ingredient (*e.g.*, a compound of the present disclosure or a pharmaceutical salt thereof) with one or more pharmaceutically acceptable excipients. In some embodiments, the pharmaceutical compositions are prepared by uniformly and intimately bringing into association the active ingredient with liquid excipients or finely divided solid excipients or both, and then, if desired, shaping the product. Techniques and formulations generally are found in Remington: The Science and Practice of Pharmacy, 21st Edition, Lippincott Wiliams and Wilkins, Philadelphia, Pa., 2006.

In some embodiments, the pharmaceutical compositions of the present disclosure are presented in unit dosage form, including but not limited to capsules, sachets, or tablets, each containing a predetermined amount of the active ingredient. In one embodiment, the pharmaceutical composition is a tablet.

The pharmaceutical composition disclosed herein comprises one or more of the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, as well as pharmaceutically acceptable excipients and, optionally, other therapeutic agents. The pharmaceutical compositions containing the active ingredient may be in any form suitable for the intended method of administration. When intended for oral use, for example, tablets, lozenges, ingots, aqueous or oil suspensions, dispersible powders or granules, emulsions, hard or soft capsules, syrups, or elixirs may be prepared. Compositions for oral use may be prepared according to any method known in the art for the manufacture of pharmaceutical compositions, and such compositions may contain one or more excipients, including sweeteners, flavoring agents, coloring agents, and preservatives, to provide palatable formulations. Tablets containing the active ingredient with a non-toxic pharmaceutically acceptable excipient are acceptable and said excipient is suitable for the production of tablets. These excipients may be, for example, inert diluents, such as calcium or sodium carbonate, lactose, lactose monohydrate, croscarmellose sodium, povidone, calcium or sodium phosphate; granulating and disintegrating agents, such as maize

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starch, or alginic acid; binding agents, such as cellulose, microcrystalline cellulose, starch, gelatin or acacia; and lubricating agents, such as magnesium stearate, stearic acid or talc. Tablets may be uncoated or may be coated by known techniques including microencapsulation to delay disintegration and adsorption in the gastrointestinal tract and thereby provide a sustained action over a longer period. For example, a time delay material such as glyceryl monostearate or glyceryl distearate alone or with a wax may be employed.

The amount of active ingredients that may be combined with the inactive ingredients to produce a dosage form may vary depending upon the intended treatment subject and the mode of administration. For example, in some embodiments, a dosage form for oral administration to humans may contain approximately 1 to 1000 mg of active material formulated with an appropriate and convenient amount of a pharmaceutically acceptable excipient. In some embodiments, the pharmaceutically acceptable excipient varies from about 5% to about 95% of the total compositions (weight: weight).

In some embodiments, the pharmaceutical composition of the present disclosure does not contain an agent that affects the rate at which the active ingredient is metabolized. Thus, it is understood that pharmaceutical compositions comprising a compound of the present disclosure in one aspect do not comprise an agent that would affect (e.g., slow, hinder or retard) the metabolism of a compound of the present disclosure or any other active ingredient administered separately, sequentially or simultaneously with a compound of the present disclosure. It is also understood that any of the methods, kits, articles of manufacture, and the like detailed herein in one aspect do not comprise an agent that would affect (e.g., slow, hinder or retard) the metabolism of a compound of the present disclosure or any other active ingredient administered separately, sequentially or simultaneously with a compound of the present disclosure.

In some embodiments, the above-described pharmaceutical compositions are for use in humans or animals.

The present disclosure also includes compound of the present disclosure which are administered as a single active ingredient of a pharmaceutically acceptable composition that may be prepared by conventional methods known in the art, for example, by combining the active ingredient to a pharmaceutically acceptable, therapeutically inert organic and/or inorganic carrier or excipient, or by mixing therewith.

In one aspect, provided herein are uses of the compound of the present disclosure as a second or other active ingredient, said second or other active ingredient being synergistic with other active ingredients in known drugs, or the compound of the present disclosure

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being administered with such drugs.

The compound of the present disclosure may also be used in the form of a prodrug or other suitably modified form that releases the active ingredient in vivo.

Method of treatment

Another aspect of the present disclosure provides a method for treating a GLP-1R-mediated disease or condition, comprising administering to a subject in need thereof a therapeutically effective amount of the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, or a pharmaceutical composition of the present disclosure.

In some embodiments, the GLP-1R-mediated disease or condition is selected from the group consisting of T1D (type 1 diabetes), T2DM (type 2 diabetes mellitus), pre-diabetes, idiopathic T1D (idiopathic type 1 diabetes), LADA (latent autoimmune diabetes in adults), EOD (early onset diabetes), YOAD (young onset adult diabetes), MODY (maturity onset diabetes of the young), malnutrition-related diabetes, gestational diabetes, hyperglycemia, insulin resistance, hepatic insulin resistance, impaired glucose tolerance, diabetic neuropathy, diabetic nephropathy, kidney disease, diabetic retinopathy, adipocyte dysfunction, visceral adipose deposition, sleep apnea, obesity, overweight, eating disorders, weight gain from use of other agents, excessive sugar craving, dyslipidemia, hyperinsulinemia, NAFLD (non-alcoholic fatty liver disease), NASH, fibrosis, cirrhosis, hepatocellular carcinoma, cardiovascular disease, atherosclerosis, coronary artery disease, peripheral vascular disease, hypertension, endothelial dysfunction, impaired vascular compliance, congestive heart failure, myocardial infarction, stroke, hemorrhagic stroke, ischemic stroke, traumatic brain injury, pulmonary hypertension, restenosis after angioplasty, intermittent claudication, post-prandial lipemia, metabolic acidosis, ketosis, arthritis, osteoporosis, parkinson's disease, left ventricular hypertrophy, peripheral arterial disease, macular degeneration, cataract, glomerulosclerosis, chronic renal failure, metabolic syndrome, syndrome X, premenstrual syndrome, angina pectoris, thrombosis, atherosclerosis, transient ischemic attacks, vascular restenosis, impaired glucose metabolism, conditions of impaired fasting plasma glucose, hyperuricemia, gout, erectile dysfunction, skin and connective tissue disorders, psoriasis, foot ulcerations, ulcerative colitis, hyper apo B lipoproteinemia, alzheimer's disease, schizophrenia, impaired cognition, inflammatory bowel disease, short bowel syndrome, crohn's disease, colitis, irritable bowel syndrome, polycystic ovary syndrome and substance addiction.

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In some embodiments, the GLP-1R-mediated disease or condition is selected from the group consisting of weight management, chronic weight management, chronic kidney disease, atherosclerotic cardiovascular disease, heart failure; heart failure with reduced ejection fraction; heart failure with preserved ejection fraction; diabetes prevention, and obstructive sleep apnea.

The compound of the present disclosure (also referred to herein as the active ingredients) or the pharmaceutical composition of the present disclosure can be administered by any route appropriate to the condition to be treated. Suitable routes include oral, rectal, nasal, topical (including buccal and sublingual), transdermal, vaginal and parenteral (including subcutaneous, intramuscular, intravenous, intradermal, intratumoral, intrathecal and epidural), and the like. It will be appreciated that the preferred route may vary with for example the condition of the recipient. An advantage of certain compound disclosed herein is that they are orally bioavailable and can be dosed orally.

A compound of the present disclosure may be administered to an individual in accordance with an effective dosing regimen for a desired period of time or duration, such as at least about one month, at least about 2 months, at least about 3 months, at least about 6 months, or at least about 12 months or longer. In one variation, the compound is administered on a daily or intermittent schedule for the duration of the individual's life.

The dosage or dosing frequency of a compound of the present disclosure may be adjusted over the course of the treatment, based on the judgment of the administering physician.

The compound may be administered to an individual (e.g., a human) in an effective amount. In some embodiments, the compound is administered once daily.

The compound can be administered by any useful route and means, such as by oral or parenteral (e.g., intravenous) administration. Therapeutically effective amounts of the compound may include from about 0. 00001 mg/kg body weight per day to about 10 mg/kg body weight per day, such as from about 0. 0001 mg/kg body weight per day to about 10 mg/kg body weight per day, or such as from about 0. 001 mg/kg body weight per day to about 1 mg/kg body weight per day, or such as from about 0. 01 mg/kg body weight per day to about 1 mg/kg body weight per day, or such as from about 0. 05 mg/kg body weight per day to about 0. 5 mg/kg body weight per day, or such as from about 0. 3 mg to about 30 mg per day, or such as from about 30 mg to about 300 mg per day.

A compound of the present disclosure may be combined with one or more additional therapeutic agents in any dosage amount of the compound of the present disclosure (e.g.,

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from 1 mg to 1000 mg of the compound). Therapeutically effective amounts may include from about 1 mg per dose to about 1000 mg per dose, such as from about 50 mg per dose to about 500 mg per dose, or such as from about 100 mg per dose to about 400 mg per dose, or such as from about 150 mg per dose to about 350 mg per dose, or such as from about 200 mg per dose to about 300 mg per dose. Other therapeutically effective amounts of the compound of the present disclosure are about 100, 125, 150, 175, 200, 225, 250, 275, 300, 325, 350, 375, 400, 425, 450, 475, or about 500 mg per dose. Other therapeutically effective amounts of the compound of the present disclosure are about 100 mg per dose, or about 125, 150, 175, 200, 225, 250, 275, 300, 350, 400, 450, or about 500 mg per dose. A single dose can be administered hourly, daily, or weekly. For example, a single dose can be administered once every 1 hour, 2, 3, 4, 6, 8, 12, 16 or once every 24 hours. A single dose can also be administered once every 1 day, 2, 3, 4, 5, 6, or once every 7 days. A single dose can also be administered once every 1 week, 2, 3, or once every 4 weeks. In some embodiments, a single dose can be administered once every week. A single dose can also be administered once every month.

Kits that comprise the compound e, the stereoisomers, the pharmaceutically acceptable salts, or the deuterated compound thereof, are also included in the present disclosur

In some embodiments, a kit further includes a label and/or instructions for the use of the compound in the treatment of the indications, such as the diseases or conditions described herein. In one embodiment, the kit comprises a compound of the present disclosure, or pharmaceutically acceptable salt thereof, in combination with one or more (e.g., one, two, three, four, one or two, or one to three, or one to four) additional therapeutic agents.

EXAMPLES

Example 1 Synthesis

The compounds of the disclosure may be prepared using methods disclosed herein and routine modifications thereof which will be apparent given the disclosure herein and methods well known in the art. Conventional and well-known synthetic methods may be used in addition to the teachings herein.

The synthesis of typical compounds of Formula I, or a pharmaceutically acceptable salt thereof, e.g., compounds having structures described by one or more of Formula I, or other formulas or compounds disclosed herein, may be accomplished as described in the following examples.

General Syntheses

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Typical embodiments of compounds in accordance with the present disclosure may be synthesized using the general reaction schemes and/or examples described below. It will be apparent, given the description herein that the general schemes may be altered by substituting the starting materials with other materials having similar structures to result in products that are correspondingly different. Descriptions of syntheses follow to provide numerous examples of how the starting materials may vary to provide corresponding products. Starting materials are typically obtained from commercial sources or synthesized using published methods for synthesizing compounds that are embodiments of the present disclosure, inspection of the structure of the compound to be synthesized will provide the identity of each substituent group, the identity of the final product will generally render apparent the identity of the necessary starting materials by a simple process of inspection, given the examples herein. Group labels (e.g., R1, R2) used in the reaction schemes herein are for illustrative purposes only and unless otherwise specified, do not necessarily match by name or function the labels used elsewhere to describe compounds of Formula (I), (II), (III), (IV), (V), (VI),(VII), (VIII), (IX), (X), (XI), (Ia), (Ib), (Ic), (Id), (Ie), (If), and (Ig), or aspects or fragments thereof.

Synthetic Reaction Parameters

The compounds of this disclosure can be prepared from readily available starting materials using, for example, the following general methods and procedures. It will be appreciated that where typical or preferred process conditions (i.e., reaction temperatures, times, mole ratios of reactants, solvents, pressures, etc.) are given; other process conditions can also be used unless otherwise stated. Optimum reaction conditions may vary with the particular reactants or solvent used, but such conditions can be determined by one skilled in the art by routine optimization procedures.

Additionally, as will be apparent to those skilled in the art, conventional protecting groups may be necessary to prevent certain functional groups from undergoing undesired reactions. Suitable protecting groups for various functional groups as well as suitable conditions for protecting and deprotecting particular functional groups are well known in the art. For example, numerous protecting groups are described in T. W. Greene and G. M. Wuts (1999) Protecting Groups in Organic Synthesis, 3rd Edition, Wiley, New York, and references cited therein.

Furthermore, the compounds of the present disclosure may contain one or more chiral centers. Accordingly, if desired, such compounds can be prepared or isolated as pure stereoisomers, i.e., as individual enantiomers or diastereomers or as stereoisomer-enriched

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mixtures. All such stereoisomers (and enriched mixtures) are included within the scope of this disclosure unless otherwise indicated. Pure stereoisomers (or enriched mixtures) may be prepared using, for example, optically active starting materials or stereoselective reagents well-known in the art. Alternatively, racemic mixtures of such compounds can be separated using, for example, chiral column chromatography, chiral resolving agents, and the like.

The starting materials for the following reactions are generally known compounds or can be prepared by known procedures or obvious modifications thereof. For example, many of the starting materials are available from commercial suppliers such as Aldrich Chemical Co. (Milwaukee, Wisconsin, USA). Others may be prepared by procedures or obvious modifications thereof, described in standard reference texts such as Fieser and Fieser's Reagents for Organic Synthesis, Volumes 1-15 (John Wiley and Sons, 1991), Rodd's Chemistry of Carbon Compounds, Volumes 1-5, and Supplemental (Elsevier Science Publishers, 1989) organic Reactions, Volumes 1-40 (John Wiley, and Sons, 1991), March's Advanced Organic Chemistry, (John Wiley, and Sons, 5thEdition, 2001), and Larock's Comprehensive Organic Transformations (VCH Publishers Inc., 1989).

The terms “solvent”, “inert organic solvent”, or “inert solvent" refer to a solvent inert under the conditions of the reaction being described in conjunction therewith (including, for example, benzene, toluene, acetonitrile, tetrahydrofuran (“THF”), N, N-dimethylformamide (“DMF”), chloroform, methylene chloride (or dichloromethane), diethyl ether, methanol, pyridine and the like). Unless specified to the contrary, the solvents used in the reactions of the present disclosure are inert organic solvents, and the reactions are carried out under an inert gas, preferably nitrogen.

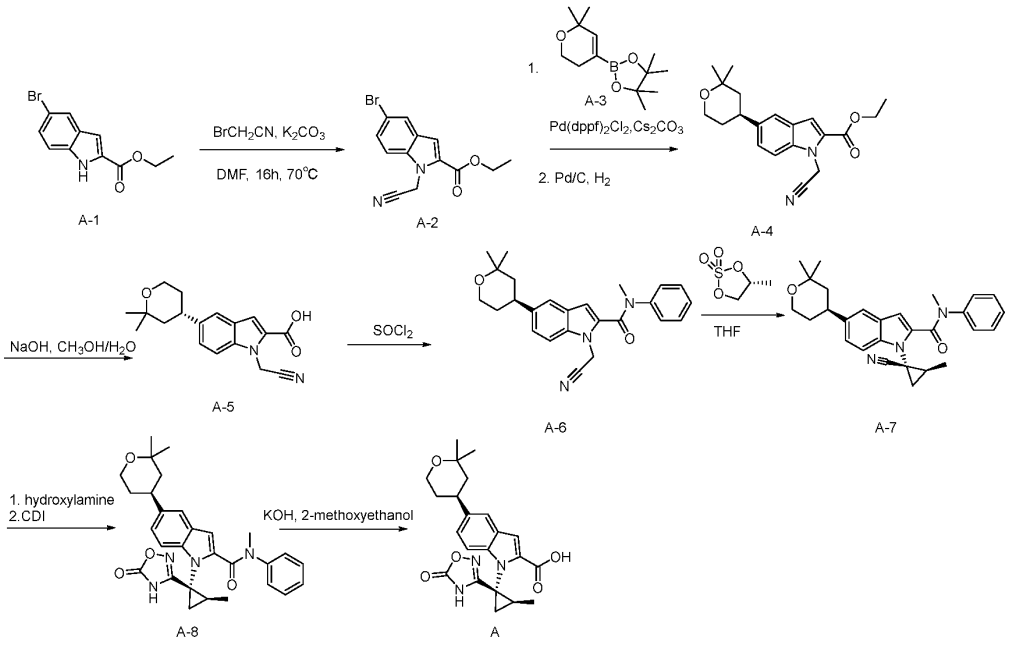
The term “q.s.” means adding a quantity sufficient to achieve a stated function, e.g., to bring a solution to the desired volume (i.e., 100%).

Compounds as provided herein may be synthesized according to the general schemes provided below. In the Schemes below, it should be appreciated that each of the compounds shown therein may have protecting groups as required present at any step. Standard protectinggroups are well within the prevue of one skilled in the art.

Intermediate preparation:

Preparation of intermediate A

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To a solution of **A-1** (5 g, 18.65 mmol), potassium carbonate (5.16 g, 37.3 mmol) and sodium iodide (0.28 g, 1.86 mmol) in DMF (30 mL), was added dropwise bromoacetonitrile (3.36 g, 28.0 mmol). The mixture was heated to 70°C and stirred for 16 hours. Then the mixture was cooled down to room temperature. Water (60 mL) was added into the mixture to get a suspension. The suspension was filtered to obtain a brown solid, 4 g, with a yield of 49.0%.

A suspension of **A-2** (2.0 g, 6.51 mmol), **A-3** (1.71 g, 7.16 mmol), cesium carbonate (3.18 g, 9.77 mmol) and $Pd(dppf)_2Cl_2$ (0.5 g, 0.6 mmol) in dioxane (20 mL) was heated to 100 °C under N_2. The mixture was stirred for 8 hours. The reaction solution was cooled to room temperature, and water (30 mL) and ethyl acetate (30 mL) were added to extract an organic phase. The organic phase was concentrated under vacuum and then purified by column chromatography to obtain 1.2 g of white solid. The solid was dissolved in methanol. Pd/C (0.12 g, 30% wt.) was added into the mixture. The mixture was stirred overnight at room temperature under hydrogen. The mixture was filtered to get a filtrate. The filtrate was collected to concentrate to afford a solid. The solid was prepared by chiral Pre-HPLC to obtain **A-4**, 0.6 g, with a yield of 27.3%.

To a solution of **A-4** (0.6 g, 1.76 mmol) in methanol/water (4 mL/2 mL), was added sodium hydroxide (0.28 g, 7.05 mmol) at room temperature. The mixture was stirred for 4 hours. The mixture was adjusted to pH 5.0 with dilute hydrochloric acid. Ethyl acetate (5 mL)

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was added into the mixture to extract an organic phase. The organic phase was concentrate under vacuum to afford solid **A-5**, 0.53 g, with a yield of 96.3%.

To a solution of **A-5** (300 mg, 1.1 mmol) in dichloromethane (10 mL), was added thionyl dichloride (520 mg, 4.4 mmol) and 1 drop of DMF at room temperature. The mixture was stirred for 6 hours. The mixture was concentrated to obtain an oil. To a solution of oil in dichloromethane (5 mL), was added a solution of *N*- methylaniline (180 mg, 1.65 mmol) and triethylamine (222 mg, 2.2 mmol) in dichloromethane (10 mL) at ice bath. Then warm the mixture to room temperature, and the mixture was stirred for 1 hour. Water (10 mL) was added into the mixture to extract an organic phase. The organic phase was concentrated under vacuum to afford **A-6**, 350 mg, 87.9%.

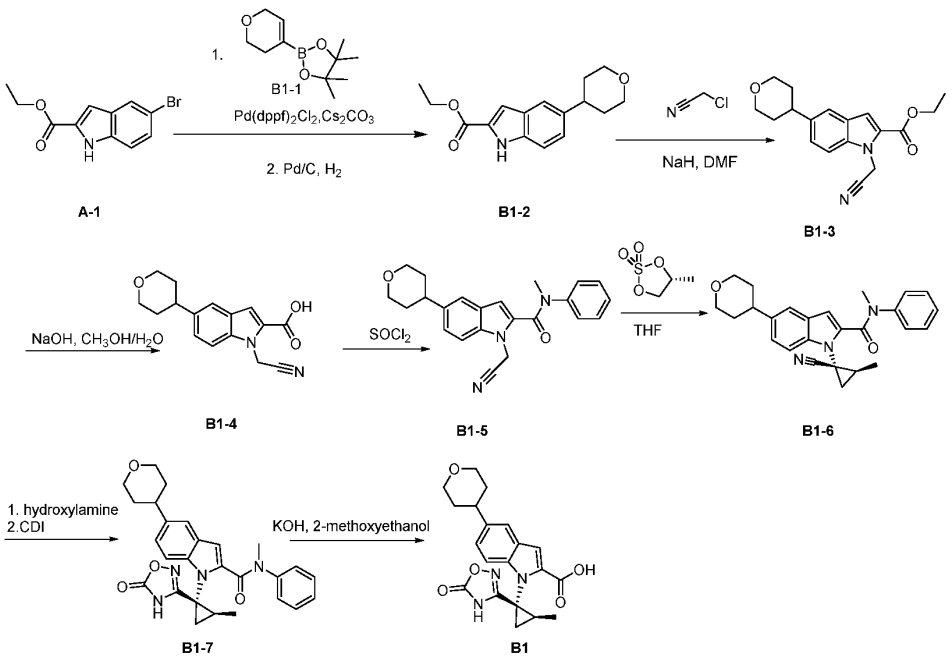
To a solution of **A-6** (100 mg, 0.25 mmol) and (4R)-4- methyl-1, 3, 2-dioxolane 2, 2-dioxide (103.6 g, 0.75 mmol) in THF (5 mL), was added dropwise lithium bis (trimethylsilylamine) (1 mL, 1M in THF) at -20°C. Then the mixture was warm to room temperature, and stirred for 24 hours. Water (5 mL) was added dropwise into the mixture to quench the reaction. Then ethyl acetate (10 mL) was added into the mixture to extract an organic phase. The organic phase was concentrated under vacuum to obtain an oil. The oil was purified by column chromatography to obtain **A-7**, 40 mg with a yield of 36.4%.

To a solution of **A-7** (10 mg, 0.023 mmol) in DMSO (2 mL), was added hydroxylamine aqueous solution (0.6 mL, 50%) at room temperature. The mixture was stirred for 16 hours. Water (10 mL) and ethyl acetate (10 mL) were added into the mixture for extraction to get an organic phase. The organic phase was concentrated under vacuum to obtain an oil. The oil was dissolved in DMSO (3 mL), followed adding CDI (10 mg, 0.062 mmol) and DBU (10 mg, 0.066 mmol). The mixture was stirred at room temperature for 6 hours. Water (10 mL) and ethyl acetate (10 mL) were added into the mixture to extract to get an organic phase. The organic phase was concentrated under vacuum to obtain **A-8**, 10 mg, with a yield of 88.2%.

To a solution of **A-8** (220 mg, 0.44 mmol) in ethylene glycol methyl ether (3 mL), was added potassium hydroxide (494 mg, 8.8 mmol). The mixture was heated to 130°C and stirred for 5 hours. After cooling down the mixture to room temperature, the mixture was adjusted to pH 5.0 with hydrochloric acid (6 N). Ethyl acetate (10 mL) was added into the mixture for extraction to afford an organic phase. The organic phase was dried with anhydrous sodium sulfate, and concentrated under vacuum to obtain intermediate **A**, 180 mg, with a yield of 99.0%.

Preparation of intermediate B1

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To a solution of **A-1** (120 g, 447.58 mmol) and **B1-1** (103.43 g, 492.34 mmol) in a mixture solution of dioxane (1200 mL) and H_2O (300 mL), was added Cs_2CO_3 (123.72 g, 895.16 mmol) and $Pd(dppf)_2Cl_2$ (18.28 g, 22.38 mmol). The mixture was stirred for 12 hours at 90°C. After cooling down the mixture, saturated NH_4Cl (500 mL) solution and ethyl acetate was added and extracted to obtain an organic phase. The organic phase was concentrated and purified by column chromatography to afford a white solid. The solid was dissolved in THF (1000 mL). Then Pd/C (12 g, 50% wt.) was added into the mixture. The mixture was stirred for 4 hours under H_2. The mixture was filtrated and concentrated to afford **B1-2**, 120 g.

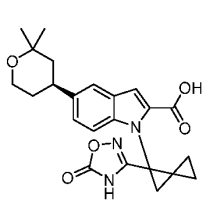
To a solution of **B1-2** (80 g, 292.69 mmol) in DMF (1000 mL), was added NaH (23.42 g, 585.38 mmol, 60%) at 0 °C. The mixture was stirred for 30 mins. Then chloroacetonitrile was added dropwise into the mixture and stirred for 3 hours at room temperature. A saturated NH_4Cl solution (500 mL) was added dropwise into the mixture. The solution was stirred for 10 mins, and a solid was precipitated. The mixture was filtrated to afford **B1-3**, 75 g.

For the subsequent reactions, the preparation of intermediate A can be referred to in order to obtain **B1**.

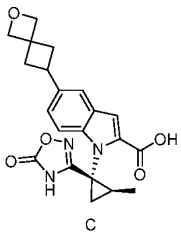
Preparation of intermediates B, C, A1, A2, A3, A4 and **A5**

Following the preparation methods of intermediates A and B1, the following intermediates can be prepared:

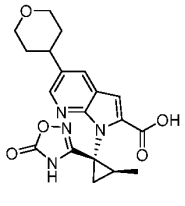
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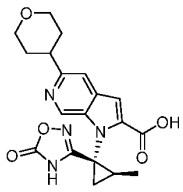
B



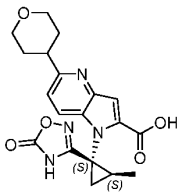
C



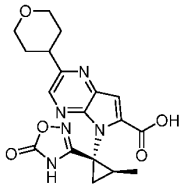
A1



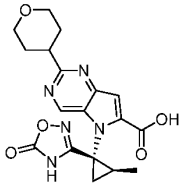
A2



A3

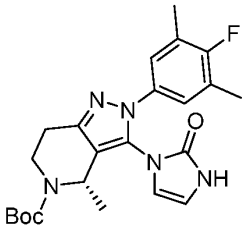


A4

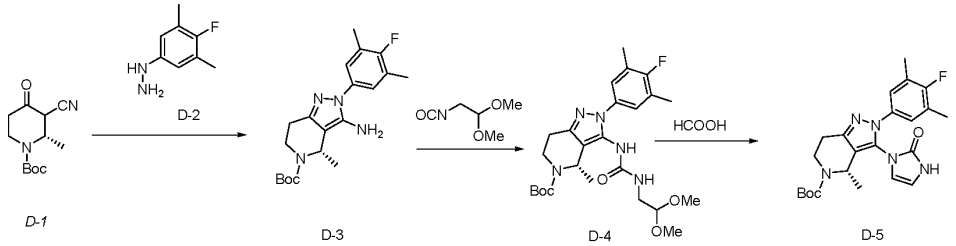


A5

Preparation of intermediate D



D



D-1 D-2 D-3 D-4 D-5

D-1 (2.0 g, 8.4 mmol), **D-2** (1.29 g, 8.4 mmol) and pyridine hydrochloride (0.97 g, 8.4 mmol) were dissolved in toluene (10 mL). The mixture was heated to reflux for 1 hour. After cooling the reaction mixture, water (10 mL) and ethyl acetate (10 mL) were added into the mixture to extract to an organic phase. The organic phase was concentrated under vacuum to afford compound **D-3**, 2.4g, a yield of 76.4%.

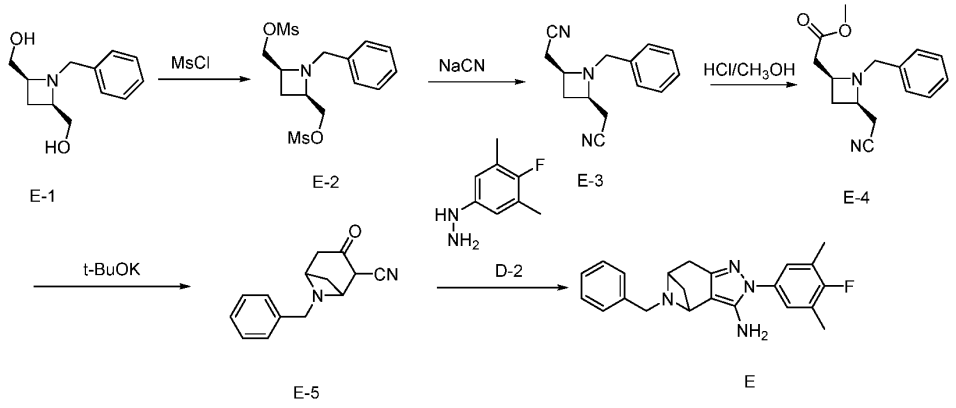
To a solution of **D-3** (2.0 g, 5.34 mmol) in dichloromethane (20 mL), was added dropwise a solution of 2- isocyanate -1, 1- dimethoxyethane (0.84 g, 6.41 mmol) in dichloromethane (5 mL) at room temperature. The mixture was stirred at room temperature

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for 2 hours. Water (20 mL) was added into mixture to extract to get an organic phase. The organic phase was concentrated under vacuum to afford **D-4**, which was used without purification in the next step.

To a solution of D-4 in dichloromethane (20 mL), was added formic acid (10 mL) under an ice bath. The mixture was stirred overnight at room temperature. Water (20mL) was added into the mixture. The mixture was extracted to separate out an organic phase. The organic phase was concentrated to obtain a crude product. The crude was slurry washed with a mixture of ethyl acetate and n-heptane to obtain **D-5**, 1.2 g.

Preparation of intermediates E and F



To a solution of **E-1** (5.0 g, 24.12 mmol) and triethylamine (7.31 g, 72.36 mmol) in dichlorohexane (25 mL), was added dropwise MsCl (6.08 g, 53.07 mmol) under ice bath. The mixture was stirred at room temperature for 30 min. The mixture was added water (20 mL) to extract to get an organic phase. The organic phase was dried by anhydrous sodium sulfate, and concentrated under vacuum to obtain **E-2**, 7.5g, with a yield of 85.6%.

To a solution of **E-2** (3.0 g, 8.25 mmol) in DMF (30 mL), was slowly added NaCN (850 mg, 17.3 mmol). The mixture was stirred 1 hour at 60°C. After cooling, the mixture was added sodium hypochlorite saturated solution (30 mL) and stirred for 1 hour. Then ethyl acetate (30 mL) was added into the mixture. The mixture was extracted to get an organic phase. The organic phase was concentrated under vacuum to obtain **E-3**, 1.54 g, with a yield of 82.8%.

E-3 (1.2 g, 5.33 mmol) was dissolved in a methanol solution of hydrogen chloride (1M, 7 mL). The mixture was stirred overnight at room temperature. Water was added into the mixture and the mixture was stirred for 2 hours. Ethyl acetate (20 mL) was added into the mixture. An organic phase was separated by extraction from the mixture. The organic phase

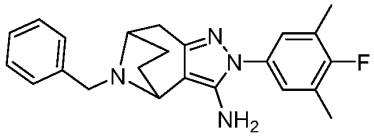
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was concentrated under vacuum to afford an oil. The oil was purified by column chromatography to afford **E-4**, 0.6 g, with a yield of 43.6%.

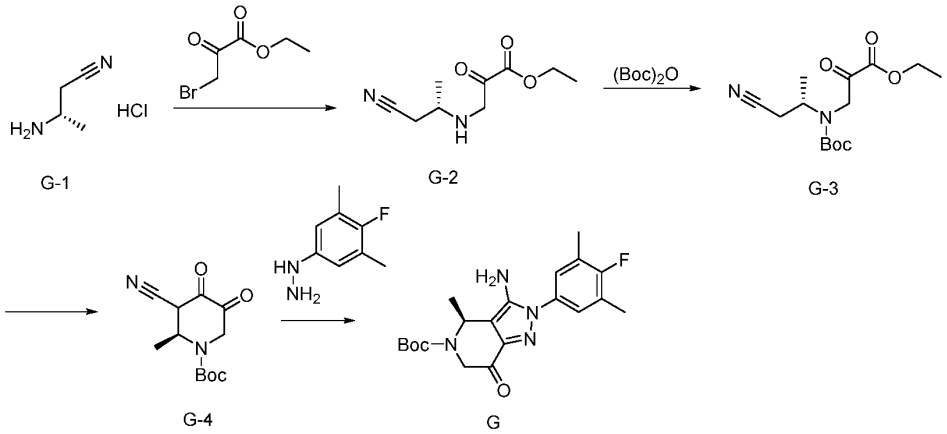
E-4 (0.5 g, 1.9 mmol) and potassium tert-butoxide (1.09 g, 9.7 mmol) were added into THF (5 mL). The mixture was stirred overnight at room temperature. The mixture was adjusted to pH 7.0 with dilute hydrochloric acid. Ethyl acetate was added into the mixture for extraction to afford an organic phase. The organic phase was concentrated under vacuum and purified by column chromatography to afford **E-5**, 0.34 g with a yield of 68%.

E-5 (0.2 g, 0.88 mmol), D-2 (126 mg, 0.88 mmol) and pyridine hydrochloride (0.1 g, 0.88 mmol) were dissolved into toluene (4 mL). The mixture was heated to reflux for 1 hour. After cooling the mixture, water (10 mL) and ethyl acetate (10 mL) were added into the mixture. The mixture was extracted to get an organic phase. The organic phase was concentrated to afford compound **E**, 260 mg, with a yield of 81.2%.

Intermediate **F** was prepared by the same method:



Preparation of intermediate G



G-1 (5.0 g, 41.5 mmol), ethyl 3- bromopyruvate (8.90 g, 45.61 mmol) and cesium carbonate (20.3 g, 62.2 mmol) were dissolved in DMF (100 mL). The mixture was heated to 65°C and stirred for 4 hours. The mixture was cooled to room temperature, and water (200 mL) was added into the mixture. A solid was precipitated and the mixture was filtered to obtain **G-2**, 4.80 g, with a yield of 58.4%.

G-2 (4.0 g, 20.2 mmol), $(Boc)_2O$ (6.6 g, 30.3 mmol) and triethylamine (6.13g, 60.5 mmol) were dissolved in THF (40 mL). The mixture was stirred overnight at room

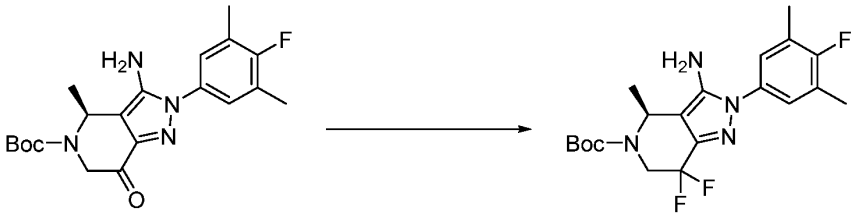
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temperature. Water (50 mL) and ethyl acetate (50 mL) were added into the mixture to extract to separate out an organic phase. The organic phase was concentrated under vacuum to obtain **G-3**, 5.6 g and 93.2%.

To a solution of **G-3** (5.6 g, 18.8 mmol) in THF (50 mL), was added potassium tert-butoxide (10.5g, 94 mmol) at room temperature. The mixture was stirred overnight. The mixture was adjusted to pH 7.0 with dilute hydrochloric acid. Then ethyl acetate was added into the mixture for extraction to separate an organic phase. The organic phase was concentrated under vacuum to afford a crude. The crude was purified by column chromatography to afford **G-4**, 3.6 g, with a yield of 76%.

G-4 (2.0 g, 7.93 mmol), **D-2** (1.22 g, 7.93 mmol) and pyridine hydrochloride (0.9 g, 7.93 mmol) were dissolved in toluene (40 mL). The mixture was heated to reflux for 1 hour. After cooling the reaction solution, water (40 mL) and ethyl acetate (40 mL) were added to the mixture. The mixture was extracted to get an organic phase. The organic phase was concentrated under vacuum to afford compound **G**, 1.2 g, with a yield of 39%.

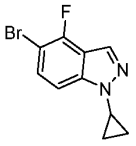
Preparation of intermediate H



G H

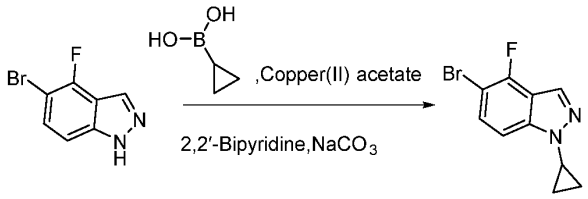
To a solution of compound **G** (200 mg, 0.52mmo) in DCM (2 mL), was added DAST (165 mg, 1.0 mmol) under an ice bath. The mixture was stirred at 0°C overnight. Saturated sodium bicarbonate solution (4 mL) and DCM (4 mL) were added into the mixture. The mixture was extracted to separate an organic phase. The organic phase was concentrated under vacuum and purified by column chromatography to obtain compound **H**, 0.12 g, with a yield of 56.8%.

Preparation of intermediate I



I

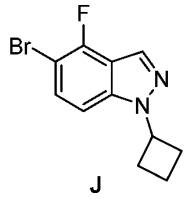
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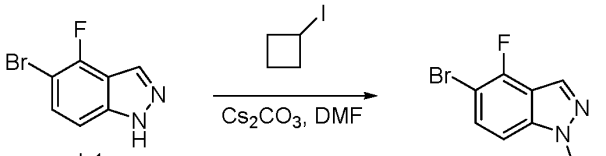
I-1 I

Compound **I-1** (5.0g, 23.3 mmol), cyclopropylboronic acid (3.99 g, 46.5 mmol), copper acetate (3.48 g, 23.2 mmol), 2, 2' bipyridine (3.9 g, 23.3 mmol) and sodium carbonate (4.9 g, 46.5 mmol) were added into dichloroethane. The mixture was stirred overnight at 70°C. After cooling down the mixture, the mixture was filtered to afford a filtrate. The filtrate was extracted with water and dichloromethane to separate an organic phase. The organic phase was concentrated under vacuum and then purified by column chromatography to obtain **I**, 3.6 g, with a yield of 61%.

Preparation of intermediate J



J

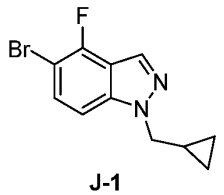


I-1 J

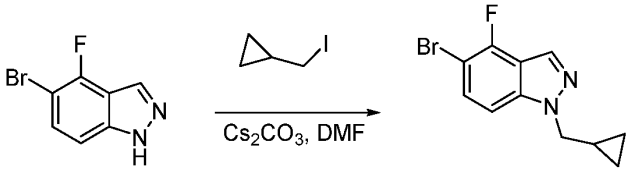
To a slolution of **I-1** (2.0 g, 9.3 mmol) in DMF (20 mL), was added iodocyclobutane (1.78 g, 9.8 mmol) and Cs_2CO_3 (4.55 g, 13.9 mmol). The mixture was stirred 6 hours at 50-60°C. Water (50 mL) was added into the mixture, and then a solid was precipitated. The suspension was filtrated to afford **J**, 1.6 g, yield: 63.9%.

Preparation of intermediate J-1

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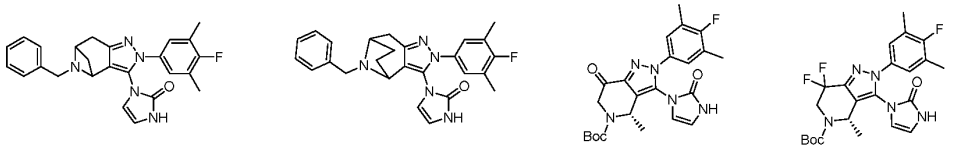
J-1



I-1 J-1

To a slolution of **I-1** (1.0 g, 4.65 mmol) in DMF (10 mL), was added (iodomethyl)cyclopropane (0.89 g, 4.9 mmol) and Cs_2CO_3 (2.27 g, 6.98 mmol). The mixture was stirred 4 hours at 50-60°C. Water (50 mL) was added into the mixture, and then a solid was precipitated. The suspension was filtrated to afford **J-1**, 0.87 g, yield: 69.51%.

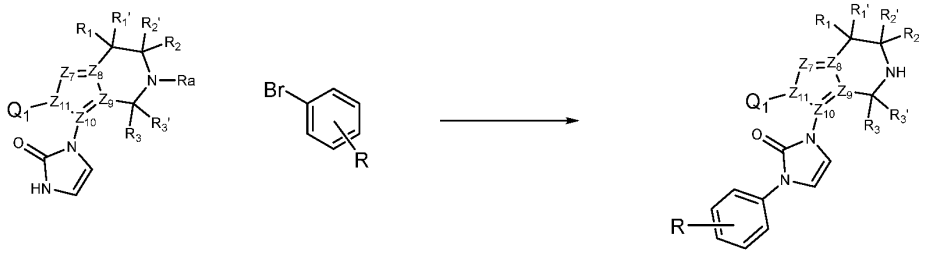
Preparation of intermediates K, L, M and N



K L M N

According to the preparation method of intermediates **K**, **L**, **M** and **N** would be obtained.

Preparation of intermediate O



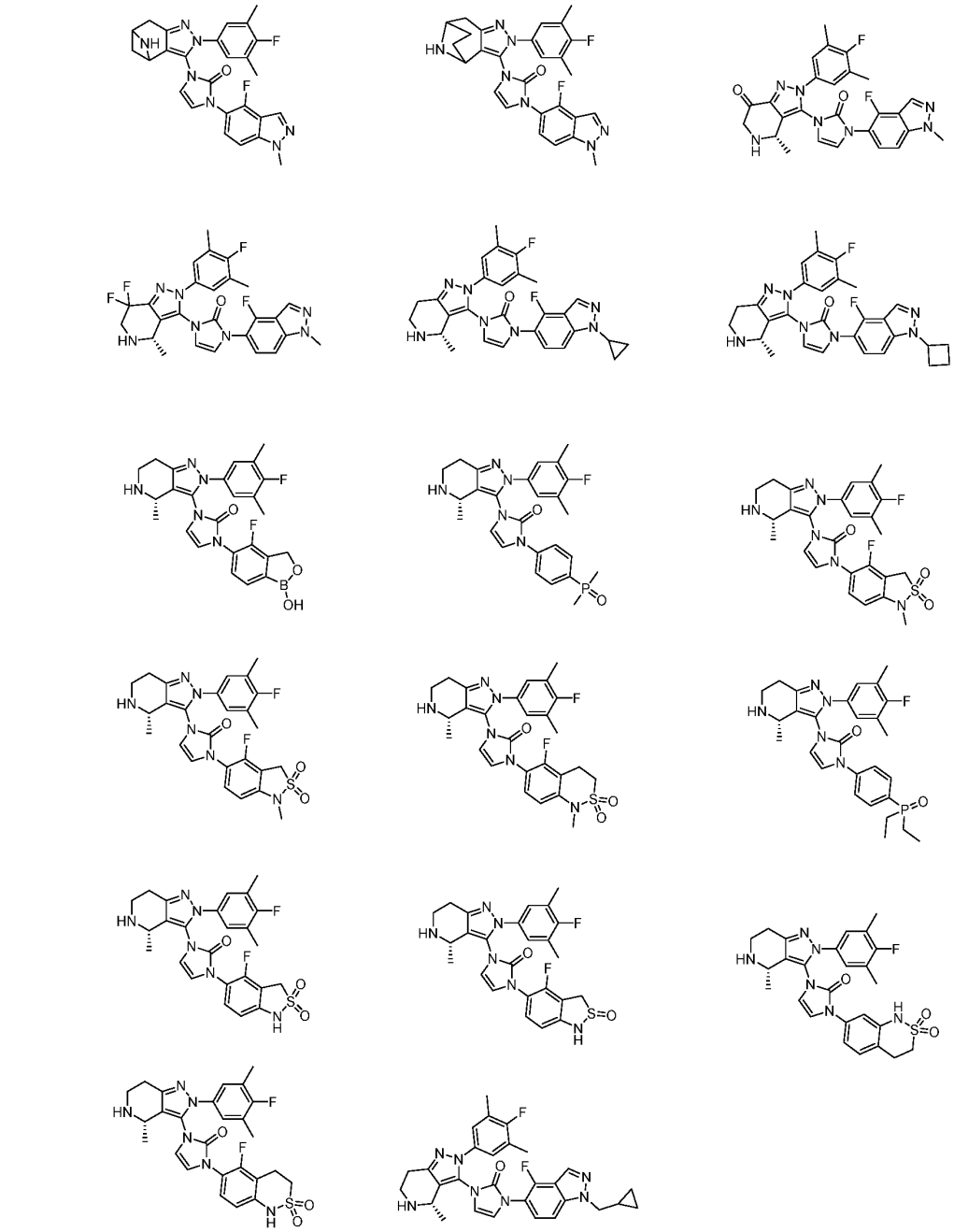
O-1 O

O-1(1 eq.) and (1R, 2R)-(-)-N, N'- dimethyl -1, 2- cyclohexanediamine (0.5 eq.), CuI (0.5 eq.), bromide (1.5 eq.) and potassium carbonate (3 eq.) were added to NMP. The mixture was stirred overnight at 100~110 °C. After cooling down, the mixture was filtered to afford a filtrate, then water (10 V) and ethyl acetate (10 V) was added into the filtrate. The mixture

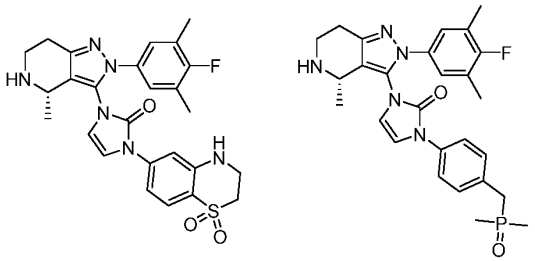
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was extracted to separate out an organic phase. The organic phase was concentrated under vacuum and then purified by column chromatography to obtain the target compound.

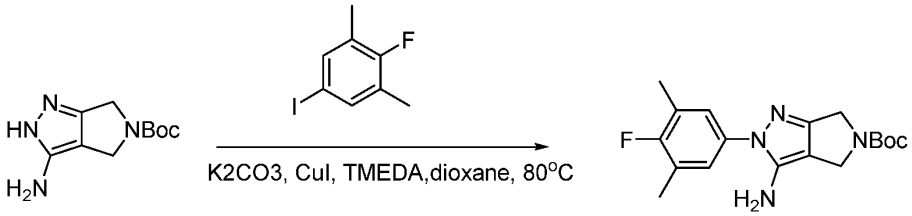
The following compounds can be prepared by this method:



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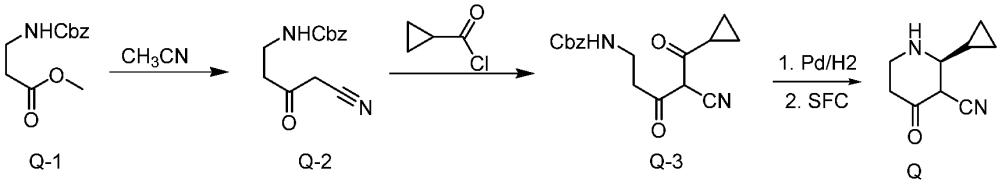
Preparation of intermediate P



P-1 P

P-1 (1.0 g, 4.46 mmol), 2-fluoro-5- iodo-1, 3-xylene (1.12 g, 4.46 mmol), CuI (0.8 g, 4.46 mmol), TMEDA (0.52 g, 4.46 mmol) and potassium carbonate (0.92 g, 6.69 mmol) were added into dioxane (10mL). The mixture was stirred overnight at 100~110°C. After the mixture was cooled, the mixture was filtered to get a filtrate. Ethyl acetate (20 mL) and water (20 mL) was added into the filtrate to extract to separate an organic phase. The organic phase was concentrated under vacuum, and then purified by column chromatography to obtain **P**, 0.6 g, with a yield of 38.8%.

Preparation of intermediate Q



Q-1 Q-2 Q-3 Q

To a solution of acetonitrile (15.57 g, 379.34 mmol, Purity 100 %) in tetrahydrofuran (300 mL) at -78°C under N_2, was added dropwise Lithium bis(trimethylsilyl)amide (63.47 g, 379.34 mmol). The mixture was stirred for 1h at -78°C. A solution of **Q-1** (45.0 g, 189.67 mmol) in THF (5mL) was added dropwise into the above solution. The resulting mixture was allowed to warm to -50°C over 30 min. The reaction mixture was quenched with saturated NH_4Cl (aq.) (100 mL) and extracted with EA (150 mL). The mixture was separated to get an organic layer which was washed with brine, and dried over $MgSO_4$. The organic layer was

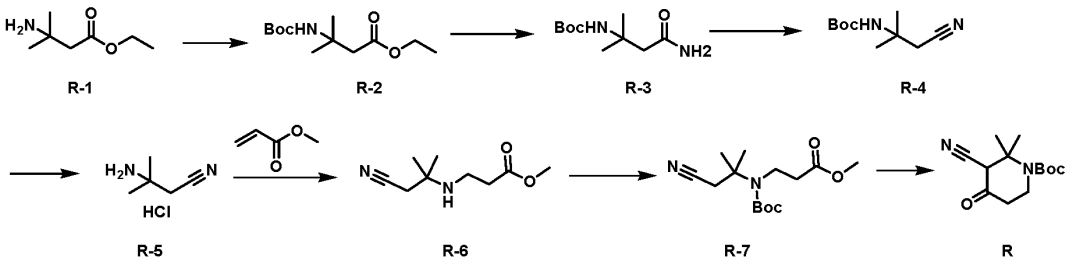
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concentrated under vacuum and purified by silica gel column chromatography (PE / EtOAc: 0%-50%) to give a yellow oil.

To a solution of benzyl N-(4-cyano-3-oxobutyl)carbamate (246.26 mg, 1 mmol) and Triethylamine (202.38 mg, 2 mmol) in dichloromethane (10 mL), was added dropwise cyclopropanecarbonyl chloride (209.06 mg, 2 mmol). The mixture was stirred for overnight at room temperature. The reaction mixture was quenched with water (10 mL) and extracted with dichloromethane (10 mL). The mixture was separated to get an organic layer which was washed with brine, dried over $MgSO_4$. The organic layer was concentrated under vacuum and purified by silica gel column chromatography (PE / EtOAc: 0%-50%) to give an oil.

Q-3 (150 mg, 0.47 mmol) and Pd/C (15mg, 30%wt) were added into MeOH (15 mL). The mixture was stirred 3 hours under H_2. The mixture was filtrated and concentrated under vacuum to get a crude. The crude was purified by SFC to get the title compound, 32mg, yield: 40.8%. ^{1}HNMR (d-DMSO, 500MHZ): δ 4.12(d, 1H, *J*=8.0Hz), 3.35(m, 1H), 3.12-3.07(m, 2H), 2.63-2.55(m, 2H), 1.47-1.32(m, 5H).

Preparation of intermediate R



To a solution of **R-1** (10.0 g, 68.87 mmol) and triethylamine (13.9 g, 138 mmol) in dichloromethane (100 mL), was added $(Boc)_2O$ (18.0 g, 82.64 mmol). The mixture was stirred for overnight at room temperature. The reaction mixture was quenched with water (100 mL) and extracted with dichloromethane (100 mL) to get an organic layer. The organic layer was washed with brine, dried over $MgSO_4$, and concentrated under vacuum to get **R-2**, 15.3 g, yield: 90.56%.

R-2 (15.0 g, 61.1 mmol) was dissolved in NH_3/CH_3OH (10M, 300 mL). The mixture was stirred for 4 hours in an autoclave at 90~100°C. After cooling, the mixture was concentrated under vacuum to get a crude **R-3** without purification for the next step reaction.

R-3, TFAA (6.90 mL, 49.5mmol) and pyridine (8.0 mL, 99.0 mmol) were added into a three-neck bottle. The mixture was stirred at room temperature for 1 h. The reaction mixture was quenched with H_2O (100 mL) and extracted with EtOAc to afford an organic layer. The

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organic layer was washed with brine (100 mL), dried over Na_2SO_4, and concentrated under vacuum and then purified by flash chromatography (PE / EtOAc: 20 / 1) to afford **R-4**, 5.3 g.

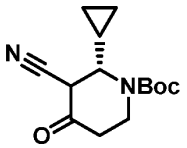
R-4 (5.3 g, 26.7 mmol) was dissolved in HCl/EtOAc (4M, 30 mL). The mixture was stirred for 2 hours at room temperature. A solid was precipitated. The mixture was filtrated to afford **R-5**, 3.2 g, 88.9 %.

To a solution of **R-5** (3.2 g, 23.7 mmol) in EtOH (20 mL), was added Et_3N (7.22 g, 71.3 mmol) and methyl acrylate (2.15 g, 24.9 mmol) at room temperature. The mixture was stirred 3 hours at 70°C. Then the mixture was cooled to room temperature, and $(Boc)_2O$ (6.74 g, 30.9 mmol) was added into the mixture. The solution was stirred at room temperature overnight and then diluted with H_2O (50 mL). The mixture was extracted with EtOAc to afford an organic layer. The organic layer were washed with brine (50 mL), dried over Na_2SO_4, concentrated and then purified by flash chromatography (PE / EtOAc: 10 / 1) to afford **R-7**, 4.3 g, yield: 63.6%.

To a solution of **R-7** (4.0g, 14.1 mmol) in THF (50 mL), was added t-BuOK (2.37 g, 21.1 mmol). The mixture was stirred at room temperature for 1 h and then quenched with 2N HCl solution. The mixture was diluted with H2O (50 mL) and extracted with EtOAc to afford an organic layer. The organic layer were washed with brine, dried over Na_2SO_4, concentrated under vacuum, and then purified by flash chromatography to afford **R**, 2.5 g, yield: 70.4%.

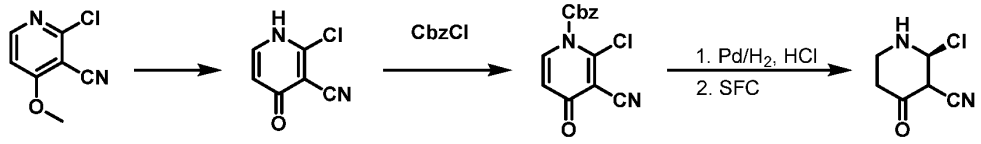
Preparation of intermediate S

Following the synthetic route of intermediate **R**, the intermediate **S** was obtained by a SFC separation.



S

Preparation of intermediate T



T-1 **T-2** **T-3** **T**

To a solution of **T-1** (10.0 g, 59.3 mmol) in THF (100 mL), was added boron tribromide (120 mL, 1M in DCM). The mixture was stirred for 3 hours at room temperature. The mixture was quenched with Na_2CO_3 (aq.) and extracted with DCM. The organic layer was

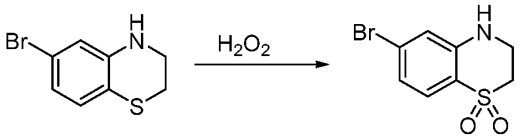
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washed with brine, dried over Na_2SO_4, and concentrated under vacuum to afford **T-2**, 8.5 g, yield: 92.7%.

To a solution of **T-2** (8.0 g, 51.8 mmol) in DCM, was added Et_3N (7.8 g, 77.6 mmol). The mixture was cooled to 0 °C, and Cbz-Cl (9.71 g, 56.9 mmol) was added into the mixture. After the mixture was stirred for 2 hours, the mixture was quenched with Na_2CO_3 (aq.) and extracted with DCM. The organic layer were washed with brine, dried over Na_2SO_4, and concentrated under vacuum to afford **T-3**, 11.2 g, yield: 74.9%.

A solution of **T-3** (10.0 g, 34.6 mmol), Pd/C (1.0 g, 30%wt) and 0.5 mL HCl (1M in H_2O) in MeOH (150) mL was stirred under 50 psi H_2 at room temperature for 2 hours. The mixture was filtrated and concentrated under vacuum to get a residue. The residue was dissolved into DCM. The solution was washed by $NaHCO_3$ (aq) and brine. The organic layer were dried over Na_2SO_4, concentrated under vacuum and purified by flash chromatography to afford a racemate. Then, the racemate was purified by SFC to afford **T**, 1.2 g, yield of 21.8%.

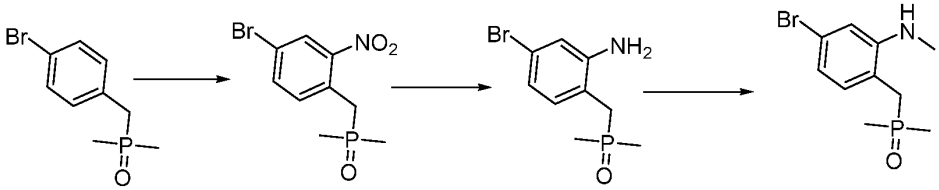
Preparation of intermediate AA



AA-1 AA

To a solution of compound **AA-1** (1.0 g, 4.35 mmol) in ethanol (10 mL), was added hydrogen peroxide (30%, 10 mL) at room temperature. The mixture was stirred overnight. The reaction solution was filtered to afford **AA**, 1.1 g, yield: 96.6%.

Preparation of intermediate AB



AB-1 AB-2 AB-3 AB

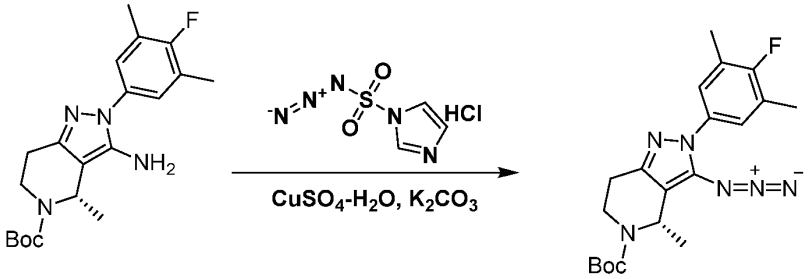
AB-1 (5.0 g, 20.2 mmol) was dissolved in sulfuric acid (25 mL, 98%) at -20 °C. Potassium nitrate (2.1 g, 20.2 mmol) was added into the mixture in batches. The mixture was stirred for 4 hours. The reaction solution was poured into an ice water, and a solid was precipitated. The solid was dried and then purified by column chromatography to obtain **AB-2** 0.7 g, yield: 11%.

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AB-2 (0.7 g, 2.4 mmol) was dissolved in methanol (5 mL).The saturated ammonium chloride (5 mL) and iron powder (0.54g, 9.6 mmol) was added into the mixture. The mixture was heated to 80°C for 3 hours. After the mixture was cooled to room temperature, ethyl acetate (20mL) was added into the mixture to extract to afford an organic layer. The organic layer was concentrated under vacuum to afford **AB-3**, 0.54 g, yield: 86%.

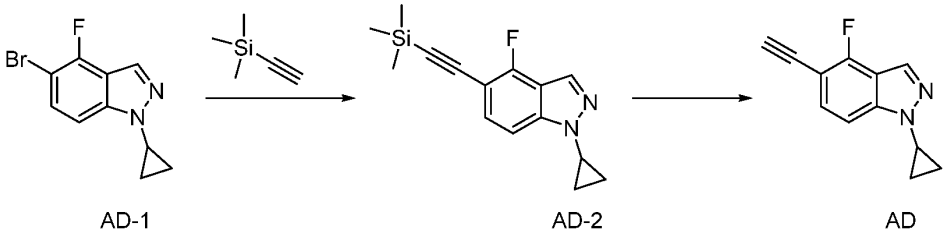
To a solution of **AB-3** (0.54 g, 2.0 mmol) in dichloromethane (5 mL), was added triethylamine (0.20 g, 2.0 mmol) and $(Boc)_2O$ (0.45 mmol) at room temperature. The mixture was stirred it at room temperature overnight. Iodomethane (0.29 g, 2.0 mmol) was added dropwise to the reaction solution and stirred for 1 hour. The reaction solution was concentrated under vacuum. The residue was added into ethyl hydrogen chloride acetate solution (5 mL, 2N). The mixture was stirred at room temperature for 1 hour. The mixture was precipitated and filtered to produce **AB**, 0.32 g, yield: 49.7%.

Preparation of intermediate AC



D-3 (290 mg, 0.77 mmol), 1*H*-imidazole-1-sulfonyl azide (139.99 mg, 0.81 mmol) and potassium carbonate (212.84 mg, 1.54 mmol) were added to methanol (3 mL) at 0 °C. Copper sulfate hydrate (1.9 mg, 0.0077 mmol) was added into the mixture. The mixture was stirred for 12 hours at room temperature. The mixture was extracted with ethyl acetate and water to afford an organic phase. The organic phase was washed with 1N hydrochloric acid, saturated sodium bicarbonate, and brine. Then the solution was dried over anhydrous sodium sulfate and concentrated under vacuum to obtain **AC** as an oil, 0.15 g, 48%.

Preparation of intermediate AD

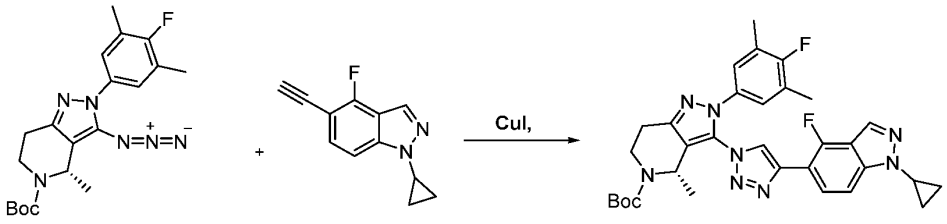


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AD-1 (2.0 g, 7.84 mmol), TMSCN (1.16 g, 11.8 mmol), triethylamine (1.59 g, 15.7 mmol), cuprous iodide (1.49 g, 7.8 mmol), and palladium tetrakis(triphenylphosphine) (900 mg, 0.8 mmol) were added into dioxane (20 mL). The mixture was heated under nitrogen to 70 °C. The reaction was stirred overnight. The mixture was cooled to room temperature, water and ethyl acetate was added to extracted to get an organic layer. The organic layer was concentrated and then purified by column chromatography to produce **AD-2**, 1.1 g, yield: 51.5%.

To a solution of **AD-2** (1.1 g, 4.0 mmol) in methanol (10mL), was added potassium carbonate (1.1g, 8.1 mmol). The mixture was stirred at room temperature for 4 hours. Water and ethyl acetate was added into the mixture to extract to get an organic phase. The organic phase was concentrated under vacuum and then purified by column chromatography to obtain **AD**, 0.35g, yield: 43.3%.

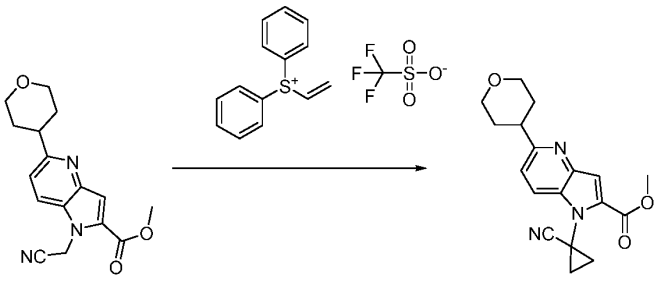
Preparation of intermediate AE



AC AD AE

To a solution of **AC** (100 mg, 0.25 mmol) and **AD** (55 mg, 0.27 mmol) in PEG200 (2 mL), was added CuI (9.5 mg, 0.05 mmol). The reaction was stirred at 50 °C for 2 h. After the mixture was cooled to room temperature, the reaction solution was filtered. The filtrate was washed by brine to get an organic phase. The organic phase was concentrated under vacuum and purified by pre-HPLC (C18) to yield **AE**, 123 mg, 82%.

Preparation of intermediate AF

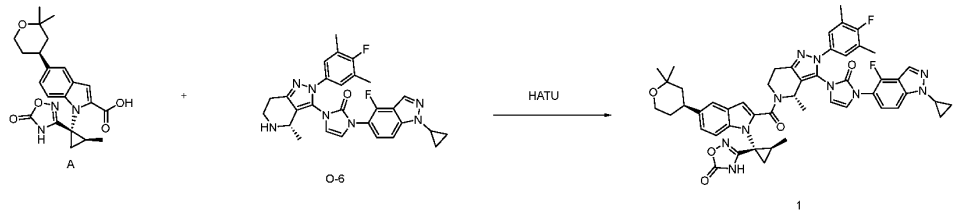


AF-1 AF

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To a solution of **AF-1** (300 mg, 1.00 mmol) in DMSO (10 mL), was added diphenyl(vinyl)sulfonium triflate (0.40 g, 1.1 mmol) and DBU (0.46 g, 3 mmol). The mixture was stirred 2 hours at room temperature. Ethyl acetate (50 mL) and water (20 mL) were added dropwise into the reaction mixture, and the organic phase was extracted from the mixture. The organic phase was washed with brine, dried over anhydrous sodium sulfate, and then concentrated under vacuum. The residue was purified by column chromatography to yield **AF**, 154 mg, with a yield of 47.22%.

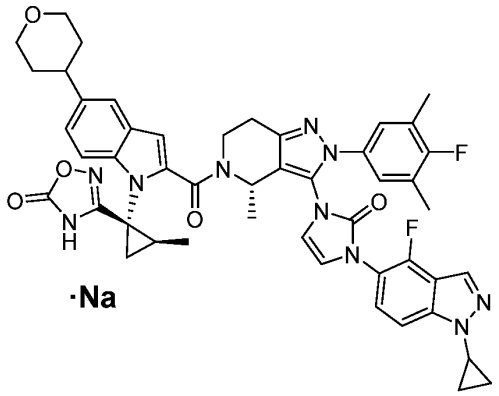
Preparation of compound 1



A O-6 1

Intermediate **A** (180 mg, 0.44 mmol), **O-6** (280 mg, 0.57 mmol), HATU (250.95mg, 0.66 mmol) and DIPEA (170 mg, 1.32 mmol) were dissolved in DMF (5 mL). The mixture was stirred at room temperature for 3 hours. Water was added into the mixture to extract with dichloromethane to afford an organic phase. The organic phases was concentrated under vacuum. The residue was purified by pre-HPLC (acetonitrile: 0.1% formic acid aqueous solution) to afford compound **1**, 200 mg, with a yield of 51%.

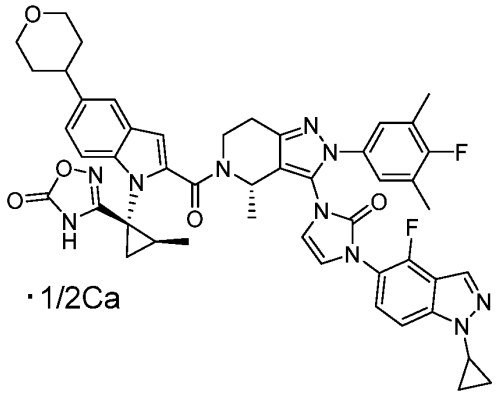
Preparation of the sodium salt of compound 19



To a solution of compound **19** (1 g, 1.14 mmol) in a mixture solution of dichloromethane (5 mL) and methanol (5 mL), was added a solution of NaOH (50 mg, 1.25 mmoll) in MeOH (1mL). The mixture was stirred overnight. The reaction mixture was concentrated under vacuum. Then the residue was slurry washed with diethyl ether to afford the sodium salt of compound **19**, 0.95 g with a yield of 95%. Melting point: 255-260°C.

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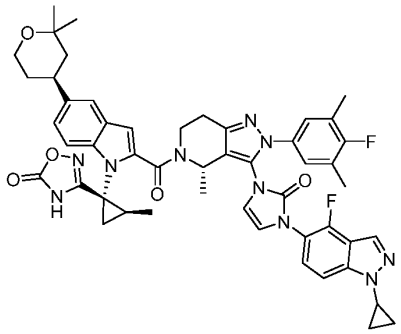
Preparation of the Calcium salt of compound 19



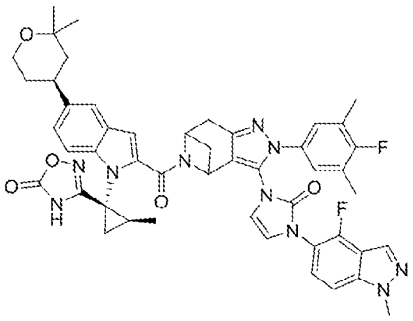
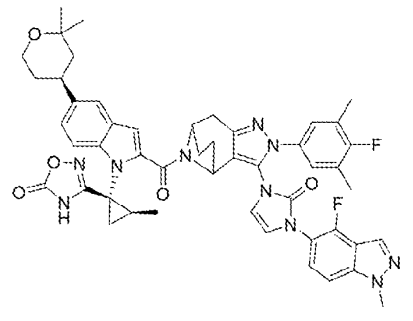
The sodium salt of compound **19** (0.5g, 0.55 mmol) was added into THF (5 mL). Calcium acetate (89.34mg, 0.56 mmol) was added into the reaction mixture. After the reaction mixture became clear, the mixture was stirred for 8 hours. The reaction mixture was concentrated under vacuum, then the residue was slurry washed with a mixture of dichloromethane (1 mL) and diethyl ether (10 mL) to afford a white solid, 0.45 g, with a yield of 88%. Melting point: 272-277°C.

According to the preparation method described in this paper, the following compounds were prepared by using appropriate starting materials and intermediates and, if necessary, appropriate protective group chemistry. The structures were confirmed by MS and *1HNMR*.

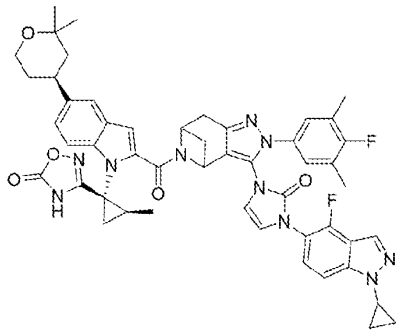
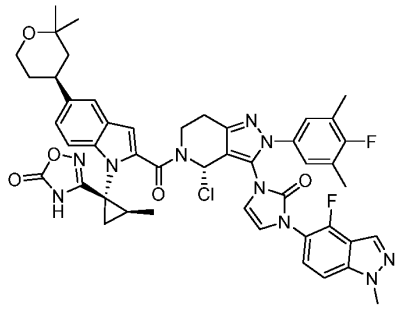
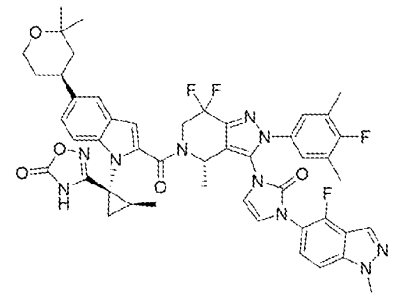
Table 1. The MS and 1HNMR of the compounds

Compounds	Structures	MS	^{1}HNMR
1		909.39	^{1}H NMR (500 MHz, Chloroform-*d*) δ 11.15 (s, 1H), 8.37 – 8.29 (m, 2H), 8.21 – 8.14 (m, 1H), 7.89 – 7.82 (m, 1H), 7.62 – 7.56 (m, 1H), 7.51 – 7.41 (m, 4H), 7.25 – 7.20 (m, 1H), 7.11 – 7.04 (m, 1H), 5.24 – 5.14 (m, 1H), 4.57 – 4.49 (m, 1H), 4.14 – 4.05 (m, 1H), 3.86 – 3.61 (m, 3H), 3.08 – 2.90 (m, 3H), 2.49 – 2.32 (m, 3H), 2.26 – 2.23 (m, 6H), 2.08 – 1.91 (m, 2H), 1.86 – 1.69 (m, 2H), 1.61 – 1.57 (m, 3H), 1.39 – 1.31 (m, 2H), 1.29 – 1.22 (m, 5H), 1.19 (s, 3H), 1.01 – 0.98 (m, 3H).

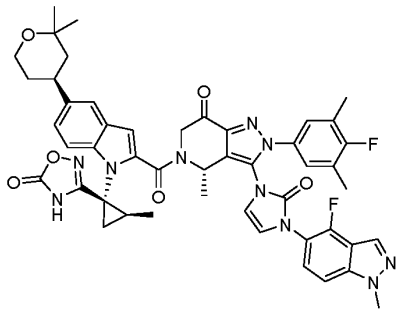
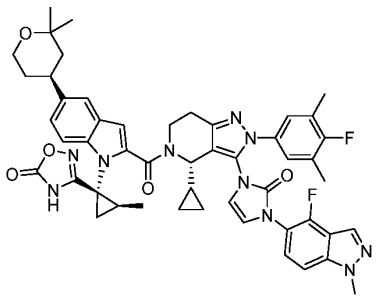
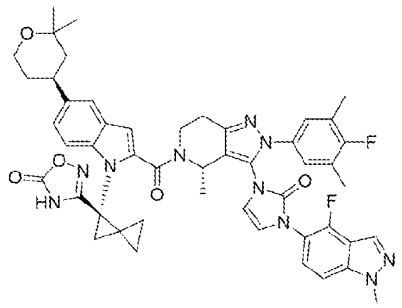
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Compounds	Structures	MS	^{1}HNMR
2		923.41	^{1}H NMR (500 MHz, Chloroform-*d*) δ 10.92(s, 1H), 8.38 – 8.28 (m, 2H), 8.18 (d, *J* = 6.0 Hz, 1H), 7.89 – 7.82 (m, 1H), 7.63 – 7.57 (m, 1H), 7.52 – 7.40 (m, 4H), 7.22 (d, *J* = 2.0 Hz, 1H), 7.12 – 7.04 (m, 1H), 5.23 – 5.13 (m, 1H), 4.53 – 4.47 (m, 1H), 4.14 – 4.05 (m, 1H), 3.86 – 3.78 (m, 1H), 3.76 – 3.62 (m, 2H), 3.08 – 2.90 (m, 3H), 2.48 – 2.31 (m, 3H), 2.24 (s, 6H), 2.14 – 1.98 (m, 7H), 1.97 – 1.92 (m, 1H), 1.87 – 1.77 (m, 3H), 1.75 – 1.69 (m, 1H), 1.59 (d, *J* = 7.8 Hz, 2H), 1.24 (s, 3H), 1.19-1.03 (s, 6H).
3		881.36	^{1}H NMR (500 MHz, DMSO-d_6) δ8.36 – 8.27 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.83 – 7.75 (m, 1H), 7.67 – 7.63 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.47 – 7.36 (m, 3H), 7.25 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.03 (m, 1H), 5.68 – 5.50 (m, 1H), 4.74 – 4.60 (m, 1H), 3.93 (s, 3H), 3.78 – 3.57 (m, 2H), 3.09 – 2.94 (m, 3H), 2.67 – 2.60 (m, 1H), 2.52 – 2.39 (m, 3H), 2.24 (s, 6H), 2.21 – 2.14 (m, 1H), 2.08 – 2.00 (m, 1H), 1.98 – 1.92 (m, 1H), 1.86 – 1.79 (m, 1H), 1.75 – 1.68 (m, 1H), 1.26 – 1.15 (m, 6H), 0.99 (d, *J* = 5.9 Hz, 3H).
4		895.38	^{1}H NMR (500 MHz, DMSO-d_6) δ 10.16 (s, 1H), 8.36 – 8.28 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.82 – 7.75 (m, 1H), 7.67 – 7.62 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.46 – 7.37 (m, 3H), 7.25 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.04 (m, 1H), 5.07 – 5.00 (m, 1H), 4.55 – 4.46 (m, 1H), 3.93 (s, 3H), 3.71 – 3.61 (m, 2H), 3.05 – 2.85 (m, 3H), 2.49 – 2.42 (m, 1H), 2.37 – 2.29 (m, 2H), 2.26 – 2.16 (m, 7H), 2.08 – 1.89 (m, 5H), 1.89 – 1.81 (m, 1H), 1.77 – 1.71 (m, 1H), 1.23 (s, 3H), 1.18 (s, 3H), 0.99 (d, *J* = 6.0 Hz, 3H).

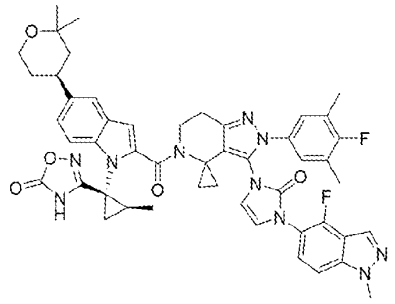
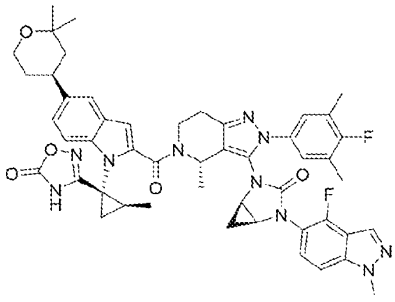
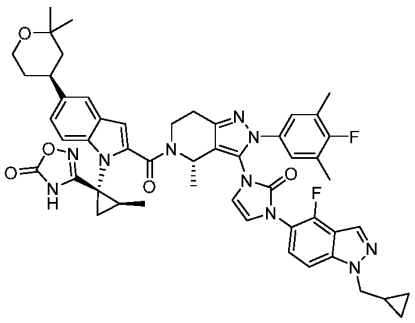
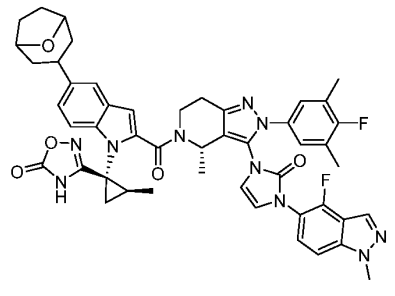
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Compounds	Structures	MS	^{1}HNMR
5		907.38	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.21 (s, 1H), 8.39 – 8.29 (m, 3H), 7.94 – 7.88 (m, 1H), 7.68 – 7.58 (m, 2H), 7.46 – 7.38 (m, 3H), 7.25 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.05 (m, 1H), 5.63 – 5.57 (m, 1H), 4.70 – 4.63 (m, 1H), 4.56 – 4.50 (m, 1H), 3.74 – 3.59 (m, 2H), 3.10 – 3.03 (m, 1H), 3.02 – 2.94 (m, 2H), 2.67 – 2.60 (m, 1H), 2.49 – 2.39 (m, 2H), 2.36 – 2.30 (m, 1H), 2.27 – 2.17 (m, 7H), 2.08 – 2.01 (m, 1H), 1.97 – 1.92 (m, 1H), 1.86 – 1.78 (m, 1H), 1.75 – 1.68 (m, 1H), 1.39 – 1.29 (m, 2H), 1.29 – 1.20 (m, 5H), 1.18 (s, 3H), 0.99 (d, *J* = 6.0 Hz, 3H).
6		903.32	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.23 (s, 1H), 8.38 – 8.28 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.81 – 7.75 (m, 1H), 7.68 – 7.63 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.46 – 7.37 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.04 (m, 1H), 6.94 (s, 1H), 4.33 – 4.25 (m, 1H), 4.09 – 4.03 (m, 1H), 3.93 (s, 3H), 3.74 – 3.67 (m, 1H), 3.66 – 3.58 (m, 1H), 3.07 – 2.94 (m, 3H), 2.49 – 2.41 (m, 1H), 2.38 – 2.28 (m, 2H), 2.24 (s, 6H), 2.07 – 2.00 (m, 1H), 1.99 – 1.91 (m, 1H), 1.87 – 1.78 (m, 1H), 1.75 – 1.68 (m, 1H), 1.23 (s, 3H), 1.18 (s, 3H), 0.99 (d, *J* = 6.0 Hz, 3H).
7		919.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 8.39 – 8.28 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.81 – 7.75 (m, 1H), 7.68 – 7.64 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.45 – 7.38 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.05 (m, 1H), 5.27 – 5.19 (m, 1H), 4.89 – 4.76 (m, 2H), 3.93 (s, 3H), 3.74 – 3.58 (m, 2H), 3.03 – 2.94 (m, 1H), 2.50 – 2.38 (m, 1H), 2.38 – 2.28 (m, 2H), 2.24 (s, 6H), 2.08 – 1.99 (m, 1H), 1.98 – 1.90 (m, 1H), 1.87 – 1.78 (m, 1H), 1.76 – 1.68 (m, 1H), 1.60 (d, *J* = 7.5 Hz, 3H), 1.25 – 1.15 (m, 6H), 0.99 (d, *J* = 6.0 Hz, 3H).

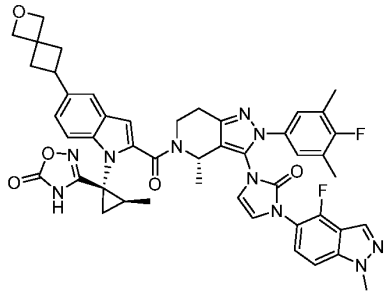
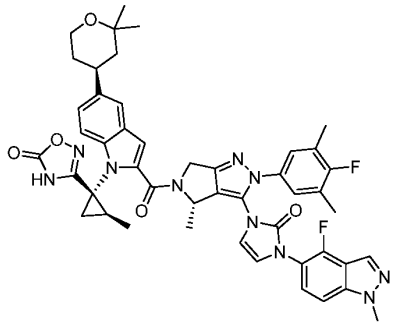
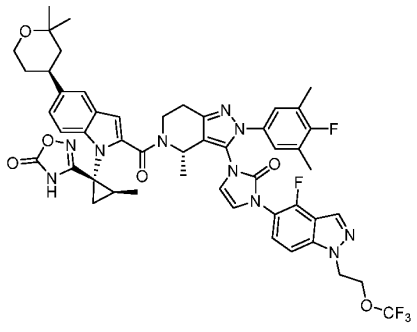
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Compounds	Structures	MS	^{1}HNMR
8		897.36	^{1}H NMR (500 MHz, DMSO-d_6) δ11.26 (s, 1H), 8.36 – 8.29 (m, 2H), 8.17 – 8.11 (m, 1H), 7.83 – 7.75 (m, 1H), 7.68 – 7.63 (m, 1H), 7.57 – 7.51 (m, 1H), 7.47 – 7.40 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.03 (m, 1H), 5.11 – 4.96 (m, 3H), 3.93 (s, 3H), 3.74 – 3.58 (m, 2H), 3.02 – 2.95 (m, 1H), 2.49 – 2.28 (m, 3H), 2.24 (s, 6H), 2.08 – 2.00 (m, 1H), 1.98 – 1.91 (m, 1H), 1.87 – 1.78 (m, 1H), 1.75 – 1.68 (m, 1H), 1.60 (d, *J* = 7.8 Hz, 3H), 1.27 – 1.15 (m, 6H), 0.99 (d, *J* = 6.0 Hz, 3H).
9		895.38	^{1}H NMR (500 MHz, DMSO-d_6) δ11.19 (s, 1H), 8.36 – 8.29 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.83 – 7.76 (m, 1H), 7.68 – 7.63 (m, 1H), 7.57 – 7.51 (m, 1H), 7.48 – 7.37 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.11 – 7.04 (m, 1H), 4.89 (d, *J* = 6.7 Hz, 1H), 4.18 – 4.08 (m, 1H), 3.93 (s, 3H), 3.87 – 3.79 (m, 1H), 3.75 – 3.58 (m, 2H), 3.05 – 2.88 (m, 3H), 2.51 – 2.44 (m, 1H), 2.37 – 2.29 (m, 2H), 2.24 (s, 6H), 2.08 – 2.00 (m, 1H), 1.98 – 1.89 (m, 2H), 1.87 – 1.78 (m, 1H), 1.75 – 1.69 (m, 1H), 1.25 – 1.15 (m, 6H), 0.99 (d, *J* = 6.0 Hz, 3H), 0.68 – 0.57 (m, 2H), 0.49 – 0.39 (m, 2H).
10		895.38	^{1}H NMR (500 MHz, DMSO-d_6) δ 10.29(s, 1H), 8.36 – 8.29 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.81 – 7.76 (m, 1H), 7.67 – 7.64 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.46 – 7.37 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.04 (m, 1H), 5.22 – 5.14 (m, 1H), 4.11 – 4.05 (m, 1H), 3.96 – 3.85 (m, 4H), 3.74 – 3.58 (m, 2H), 3.04 – 2.90 (m, 3H), 2.35 (s, 2H), 2.24 (s, 6H), 2.07 – 1.91 (m, 2H), 1.86 – 1.78 (m, 1H), 1.75 – 1.68 (m, 1H), 1.65 – 1.47 (m, 7H), 1.25 – 1.16 (m, 6H).

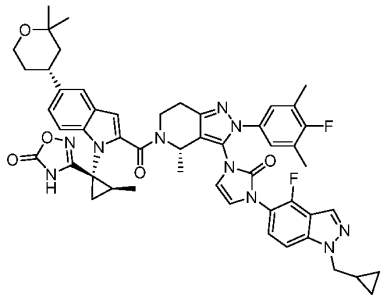
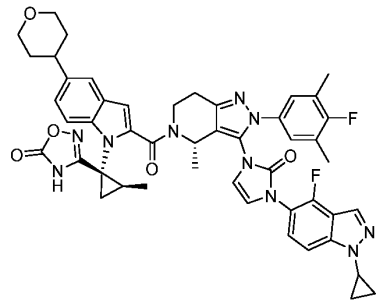
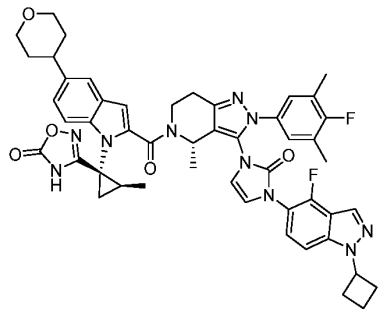
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Compounds	Structures	MS	^{1}HNMR
11		895.38	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.21 (s, 1H), 8.34 – 8.23 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.82 – 7.76 (m, 1H), 7.67 – 7.63 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.46 – 7.37 (m, 3H), 7.24 (d, *J* = 2.0 Hz, 1H), 7.11 – 7.04 (m, 1H), 3.96 – 3.83 (m, 5H), 3.74 – 3.59 (m, 2H), 3.01 – 2.95 (m, 1H), 2.91 – 2.84 (m, 2H), 2.51 – 2.44 (m, 1H), 2.37 – 2.19 (m, 12H), 2.07 – 2.00 (m, 1H), 1.97 – 1.92 (m, 1H), 1.87 – 1.79 (m, 1H), 1.75 – 1.69 (m, 1H), 1.26 – 1.16 (m, 6H), 0.99 (d, *J* = 6.0 Hz, 3H).
12		898.00	^{1}H NMR (500 MHz, DMSO-d_6) δ 8.13 (d, *J* = 5.2 Hz, 1H), 7.68 – 7.63 (m, 1H), 7.53 (d, *J* = 9.0 Hz, 1H), 7.51 – 7.41 (m, 2H), 7.37 (d, *J* = 4.9 Hz, 2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.05 (m, 1H), 5.22 – 5.11 (m, 1H), 4.34 – 4.29 (m, 2H), 4.10 – 4.03 (m, 1H), 3.96 – 3.87 (m, 4H), 3.74 – 3.59 (m, 2H), 3.04 – 2.89 (m, 3H), 2.48 – 2.42 (m, 1H), 2.38 – 2.29 (m, 2H), 2.24 (s, 6H), 2.07 – 1.91 (m, 3H), 1.86 – 1.68 (m, 3H), 1.60 (d, *J* = 8.0 Hz, 3H), 1.23 (s, 3H), 1.18 (s, 3H), 0.99 (d, *J* = 6.0 Hz, 3H).
13		923.41	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.21 (s, 1H), 8.37 – 8.29 (m, 2H), 8.25 (d, *J* = 4.9 Hz, 1H), 7.85 – 7.78 (m, 1H), 7.67 – 7.62 (m, 1H), 7.48 – 7.37 (m, 4H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.04 (m, 1H), 5.22 – 5.13 (m, 1H), 4.13 – 3.84 (m, 4H), 3.73 – 3.59 (m, 2H), 3.03 – 2.89 (m, 3H), 2.49 – 2.20 (m, 9H), 2.08 – 1.91 (m, 2H), 1.87 – 1.68 (m, 2H), 1.63 – 1.45 (m, 4H), 1.23 (s, 3H), 1.18 (s, 3H), 0.99 (d, *J* = 6.0 Hz, 3H), 0.61 – 0.38 (m, 4H).
14		881.36	^{1}H NMR (500 MHz, DMSO-d_6) δ11.09(S, 1H), 8.37 – 8.28 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.82 – 7.75 (m, 1H), 7.66 – 7.61 (m, 1H), 7.54 (d, *J* = 8.8 Hz, 1H), 7.46 – 7.37 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.11 – 7.06 (m, 1H), 5.22 – 5.14 (m, 1H), 4.12 – 4.03 (m, 3H), 3.95 – 3.85 (m, 4H), 3.07 – 2.89 (m, 3H), 2.42 – 2.21 (m, 9H), 1.99 – 1.73 (m, 8H), 1.60 (d, *J* = 8.0 Hz, 3H), 0.99 (d, *J* = 5.8 Hz, 3H).

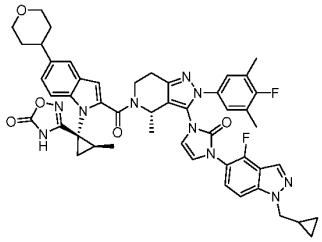
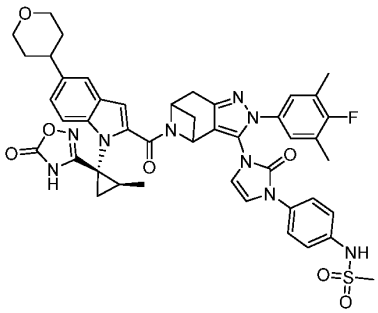
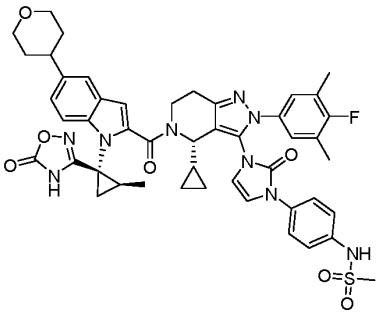
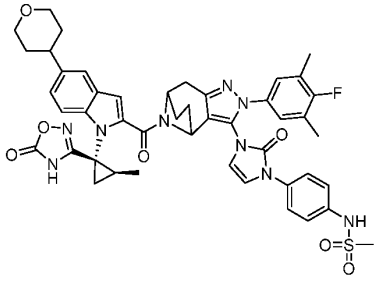
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Compounds	Structures	MS	^{1}HNMR
15		867.35	^{1}H NMR (500 MHz, DMSO-d_6) δ11.11(S, 1H), 8.36 – 8.28 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.82 – 7.76 (m, 1H), 7.66 – 7.61 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.46 – 7.38 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.08 – 7.03 (m, 1H), 5.24 – 5.12 (m, 1H), 4.11 – 4.02 (m, 1H), 3.96 – 3.87 (m, 4H), 3.81 (d, *J* = 6.0 Hz, 2H), 3.72 (d, *J* = 6.2 Hz, 2H), 3.04 – 2.80 (m, 3H), 2.52 – 2.43 (m, 1H), 2.39 – 2.28 (m, 2H), 2.24 (s, 6H), 2.15 – 2.00 (m, 4H), 1.60 (d, *J* = 8.0 Hz, 3H), 0.99 (d, *J* = 6.0 Hz, 3H).
16		869.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.16 (s, 1H), 8.37 – 8.28 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.81 – 7.76 (m, 1H), 7.66 – 7.64 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 7.45 – 7.37 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.05 (m, 1H), 5.02 – 4.95 (m, 1H), 4.61 (d, *J* = 1.0 Hz, 2H), 3.93 (s, 3H), 3.71 – 3.62 (m, 2H), 3.03 – 2.94 (m, 1H), 2.49 – 2.40 (m, 1H), 2.38 – 2.21 (m, 8H), 2.06 – 1.81 (m, 3H), 1.77 – 1.70 (m, 1H), 1.57 (d, *J* = 7.0 Hz, 3H), 1.27 – 1.15 (m, 6H), 0.99 (d, *J* = 6.0 Hz, 3H).
17		981.38	^{1}H NMR (500 MHz, Chloroform-*d*) δ 10.79 (s, 1H), 8.37 – 8.29 (m, 2H), 8.21 – 8.14 (m, 1H), 7.89 – 7.82 (m, 1H), 7.62 – 7.56 (m, 1H), 7.51 – 7.41 (m, 4H), 7.25 – 7.20 (m, 1H), 7.11 – 7.04 (m, 1H), 5.24 – 5.14 (m, 1H), 4.59 – 4.49 (m, 3H), 4.14 – 4.05 (m, 1H), 3.86 – 3.61 (m, 5H), 3.08 – 2.90 (m, 3H), 2.49 – 2.32 (m, 3H), 2.26 – 2.23 (m, 6H), 2.08 – 1.91 (m, 2H), 1.86 – 1.69 (m, 2H), 1.61 – 1.57 (m, 3H), 1.39 – 1.31 (m, 2H), 1.19 (s, 3H), 1.01 – 0.98 (m, 3H).

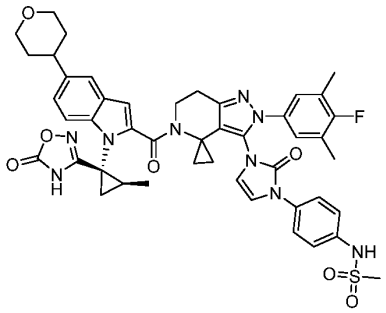
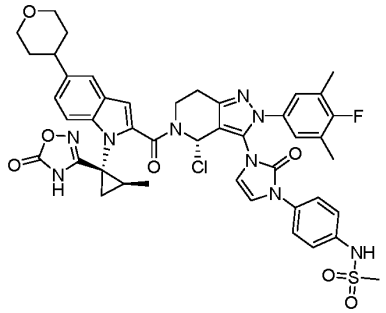
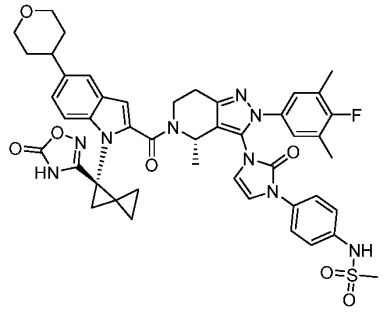
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Compounds	Structures	MS	^{1}HNMR
18		923.04	^{1}H NMR (500 MHz, DMSO-d_6) δC11.16 (s, 1H), 8.37 – 8.29 (m, 2H), 8.25 (d, J = 4.9 Hz, 1H), 7.85 – 7.78 (m, 1H), 7.67 – 7.62 (m, 1H), 7.48 – 7.37 (m, 4H), 7.21 (d, J = 2.0 Hz, 1H), 7.09 – 7.04 (m, 1H), 5.22 – 5.13 (m, 1H), 4.13 – 3.84 (m, 4H), 3.73 – 3.59 (m, 2H), 3.03 – 2.89 (m, 3H), 2.49 – 2.20 (m, 9H), 2.08 – 1.91 (m, 2H), 1.87 – 1.68 (m, 2H), 1.63 – 1.45 (m, 4H), 1.23 (s, 3H), 1.18 (s, 3H), 0.99 (d, J = 6.0 Hz, 3H), 0.61 – 0.38 (m, 4H).
19		881.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.76(s, 1H), 8.28(s, 1H), 7.69-7.63(m, 1H), 7.56-7.50(m, 2H), 7.42-7.40(m, 1H), 7.28-7.26(m, 1H), 7.19-7.18(m, 2H), 7.09-7.08(m, 1H), 6.96-6.93(m, 2H), 5.59-5.58(m, 1H), 4.41-4.36(m, 1H), 3.97-3.96(m, 3H), 3.85-3.83(m, 1H), 3.63-3.62(m, 1H), 3.46-3.44(m, 3H), 3.19-3.17(m, 1H), 2.87-2.85(m, 3H), 2.26(s, 6H), 1.79-1.75(m, 1H), 1.70-1.63 (m, 4H), 1.44(d, J=12.0Hz, 3H), 1.36-1.30(m,2H), 1.19-1.12(m, 7H).
20		895.38	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.72 (s, 1H), 8.34 (s, 1H), 7.63 (d, J = 8.9 Hz, 1H), 7.55 – 7.29 (m, 4H), 7.28 – 7.00 (m, 5H), 6.90 (d, J = 16.7 Hz, 2H), 5.55 (d, J = 6.8 Hz, 1H), 5.30-5.27 (m, 1H), 4.35-4.33 (m, 1H), 3.92-3.75(m, 3H), 3.59 (t, J = 12.6 Hz, 1H), 3.43 (d, J = 13.8 Hz, 4H), 3.14 (d, J = 12.9 Hz, 1H), 2.94 – 2.76 (m, 3H), 2.65 – 2.52 (m, 3H), 2.43 (d, J = 9.6 Hz, 1H), 2.28 – 2.20 (m, 6H), 1.86 (dq, J = 10.5, 4.2, 3.0 Hz, 3H), 1.80 – 1.52 (m, 10H), 1.40 (d, J = 6.7 Hz, 3H), 1.29 (s, 2H), 1.21 – 1.08 (m, 3H).

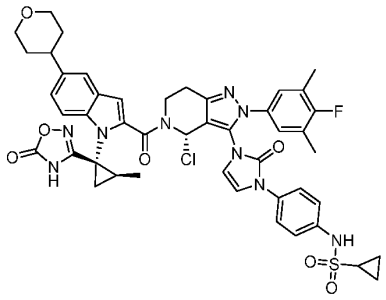
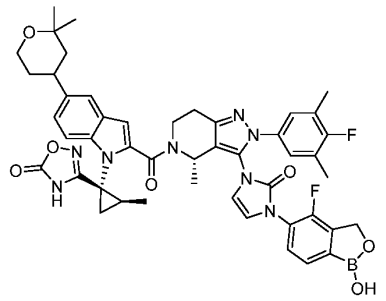
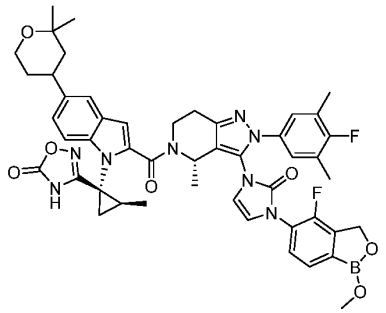
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Compounds	Structures	MS	^{1}HNMR
21		895.44	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.72 (s, 1H), 8.35 – 8.23 (m, 3H), 7.86 – 7.78 (m, 1H), 7.66 – 7.62 (m, 1H), 7.48 – 7.38 (m, 4H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.21 – 5.13 (m, 1H), 4.10 – 3.87 (m, 4H), 3.60 – 3.46 (m, 4H), 3.02 – 2.88 (m, 3H), 2.53 – 2.28 (m, 3H), 2.24 (s, 6H), 2.17 – 2.07 (m, 2H), 1.89 – 1.79 (m, 2H), 1.60 (d, *J* = 8.0 Hz, 3H), 1.53 – 1.45 (m, 1H), 0.99 (d, *J* = 6.0 Hz, 3H), 0.59 – 0.39 (m, 4H).
22		874.44	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.68 (s, 1H), 9.16 (s, 1H), 8.36 (d, *J* = 6.0 Hz, 1H), 8.17 (d, *J* = 6.0 Hz, 1H), 7.64 (t, *J* = 2.0 Hz, 1H), 7.46 – 7.35 (m, 5H), 7.29 – 7.15 (m, 3H), 7.09 – 7.02 (m, 1H), 5.60 (t, *J* = 5.7 Hz, 1H), 4.70 – 4.62 (m, 1H), 3.58 – 3.47 (m, 4H), 3.09 – 2.96 (m, 3H), 2.95 (s, 3H), 2.67 – 2.61 (m, 1H), 2.45 – 2.26 (m, 4H), 2.24 (s, 6H), 2.17 – 2.06 (m, 2H), 1.89 – 1.76 (m, 2H), 0.99 (d, *J* = 5.8 Hz, 3H).
23		902.33	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.54 (s, 1H), 9.16 (s, 1H), 8.36 (d, *J* = 6.0 Hz, 1H), 8.17 (d, *J* = 6.0 Hz, 1H), 7.64 (t, *J* = 2.0 Hz, 1H), 7.47 – 7.36 (m, 5H), 7.25 – 7.15 (m, 3H), 7.09 – 7.03 (m, 1H), 4.89 (d, *J* = 6.7 Hz, 1H), 4.16 – 4.07 (m, 1H), 3.89 – 3.81 (m, 1H), 3.58 – 3.47 (m, 4H), 3.04 – 2.89 (m, 6H), 2.40 – 2.26 (m, 3H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.97 – 1.79 (m, 3H), 0.99 (d, *J* = 5.8 Hz, 3H), 0.58 – 0.54 (m, 4H).
24		888.34	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.81 (s, 1H), 9.16 (s, 1H), 8.36 (d, *J* = 6.0 Hz, 1H), 8.17 (d, *J* = 6.0 Hz, 1H), 7.64 (t, *J* = 2.1 Hz, 1H), 7.48 – 7.35 (m, 5H), 7.31 – 7.18 (m, 3H), 7.08 – 7.03 (m, 1H), 5.04 (t, *J* = 4.8 Hz, 1H), 4.55 – 4.48 (m, 1H), 3.59 – 3.48 (m, 4H), 3.02 – 2.86 (m, 6H), 2.48 – 2.42 (m, 1H), 2.37 – 2.28 (m, 2H), 2.24 (s, 6H), 2.23 – 2.16 (m, 1H), 2.15 – 2.01 (m, 3H), 2.00 – 1.89 (m, 2H), 1.88 – 1.80 (m, 2H), 0.99 (d, *J* = 6.0 Hz, 3H).

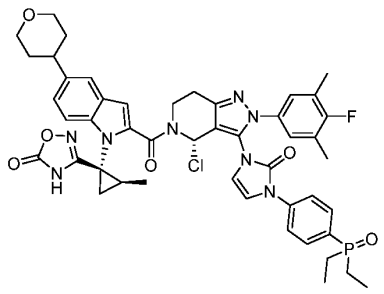
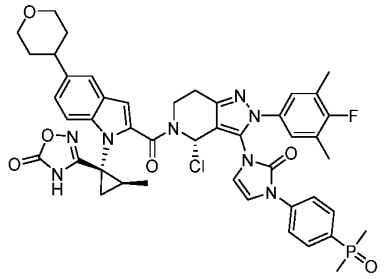
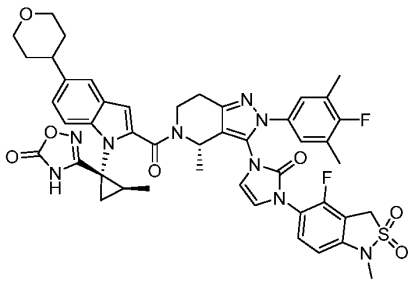
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Compounds	Structures	MS	^{1}HNMR
25		888.32	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.76 (s, 1H), 9.16 (s, 1H), 8.34 (d, J = 6.0 Hz, 1H), 8.17 (d, J = 6.0 Hz, 1H), 7.64 (t, J = 2.1 Hz, 1H), 7.47 – 7.36 (m, 5H), 7.27 – 7.16 (m, 3H), 7.10 – 7.02 (m, 1H), 3.92 – 3.83 (m, 2H), 3.57 – 3.48 (m, 4H), 3.01 – 2.96 (m, 1H), 2.95 (s, 3H), 2.91 – 2.85 (m, 2H), 2.40 – 2.18 (m, 13H), 2.15 – 2.07 (m, 2H), 1.88 – 1.80 (m, 2H), 0.99 (d, J = 5.8 Hz, 3H).
26		895.32	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.29(s, 1H), 9.16 (s, 1H), 8.37 (d, J = 6.0 Hz, 1H), 8.18 (d, J = 6.0 Hz, 1H), 7.64 (s, 1H), 7.64 (d, J = 4.1 Hz, 0H), 7.43 (d, J = 7.5 Hz, 1H), 7.42 – 7.37 (m, 4H), 7.23 – 7.17 (m, 3H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.23 (m, 1H), 4.11 – 4.03 (m, 1H), 3.59 – 3.47 (m, 4H), 3.06 – 2.96 (m, 3H), 2.95 (s, 3H), 2.40 – 2.33 (m, 1H), 2.36 – 2.26 (m, 2H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.88 – 1.79 (m, 2H), 0.99 (d, J = 5.8 Hz, 3H).
27		888.32	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.28 (s, 1H), 8.76 (s, 1H), 8.36 (d, J = 6.0 Hz, 1H), 8.17 (d, J = 6.0 Hz, 1H), 7.64 (s, 1H), 7.46 – 7.37 (m, 5H), 7.23 – 7.17 (m, 3H), 7.08 – 7.03 (m, 1H), 5.22 – 5.14 (m, 1H), 4.10 – 4.02 (m, 1H), 3.95 – 3.87 (m, 1H), 3.59 – 3.47 (m, 4H), 3.03 – 2.89 (m, 6H), 2.43 – 2.37 (m, 1H), 2.30 – 2.25 (m, 1H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.88 – 1.79 (m, 2H), 1.66 – 1.56 (m, 5H), 1.56 – 1.50 (m, 1H), 1.53 – 1.46 (m, 1H).

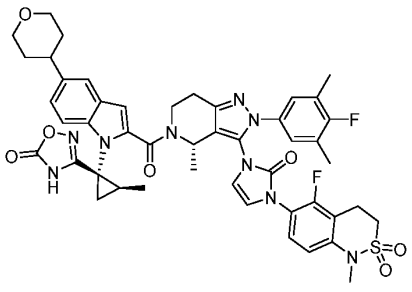
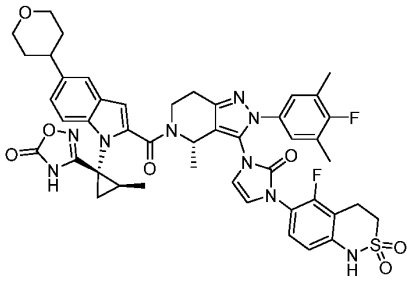
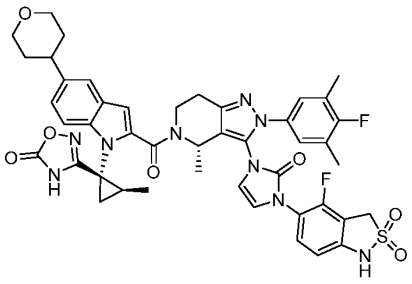
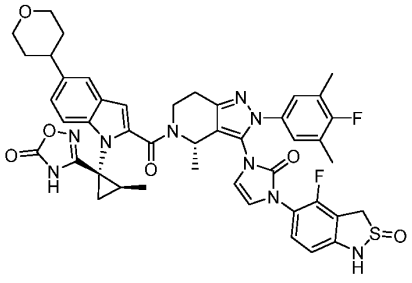
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Compounds	Structures	MS	^{1}HNMR
28		922.28	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.31(s, 1H), 9.16 (s, 1H), 8.37 (d, J = 6.0 Hz, 1H), 8.18 (d, J = 6.0 Hz, 1H), 7.64 (s, 1H), 7.64 (d, J = 4.1 Hz, 0H), 7.43 (d, J = 7.5 Hz, 1H), 7.42 – 7.37 (m, 4H), 7.23 – 7.17 (m, 3H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.23 (m, 1H), 4.11 – 4.03 (m, 1H), 3.59 – 3.47 (m, 4H), 3.47 – 3.40 (m, 1H), 3.06 – 2.99 (m, 1H), 3.03 – 2.94 (m, 2H), 2.40 – 2.33 (m, 1H), 2.36 – 2.26 (m, 2H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.88 – 1.79 (m, 2H), 1.79 – 1.58 (m, 4H), 0.99 (d, J = 5.8 Hz, 3H).
29		885.34	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.29 (s, 1H), 8.36 – 8.29 (m, 2H), 7.65 (d, J = 3.8 Hz, 2H), 7.56 – 7.49 (m, 1H), 7.47 – 7.33 (m, 4H), 7.21 (d, J = 2.0 Hz, 1H), 7.11 – 7.04 (m, 1H), 5.23 – 5.11 (m, 3H), 4.11 – 4.02 (m, 1H), 3.96 – 3.87 (m, 1H), 3.74 – 3.62 (m, 2H), 3.13 – 2.89 (m, 3H), 2.51 – 2.43 (m, 1H), 2.38 – 2.29 (m, 2H), 2.24 (s, 6H), 2.17 – 2.09 (m, 1H), 2.00 – 1.87 (m, 2H), 1.78 – 1.71 (m, 1H), 1.60 (d, J = 8.0 Hz, 3H), 1.20 (d, J = 25.2 Hz, 6H), 0.99 (d, J = 6.0 Hz, 3H).
30		899.38	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.51 (s, 1H), 8.36 – 8.29 (m, 2H), 7.67 – 7.63 (m, 1H), 7.57 – 7.51 (m, 1H), 7.43 (d, J = 7.5 Hz, 1H), 7.41 – 7.36 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 7.10 – 7.04 (m, 1H), 5.22 – 5.15 (m, 1H), 5.14 (s, 1H), 5.12 (s, 1H), 4.10 – 4.02 (m, 1H), 3.95 – 3.87 (m, 1H), 3.74 – 3.61 (m, 2H), 3.65 (s, 3H), 3.13 – 3.04 (m, 1H), 3.03 – 2.89 (m, 2H), 2.52 – 2.43 (m, 1H), 2.38 – 2.28 (m, 2H), 2.24 (s, 6H), 2.18 – 2.09 (m, 1H), 1.99 – 1.86 (m, 2H), 1.79 – 1.71 (m, 1H), 1.60 (d, J = 8.0 Hz, 3H), 1.23 (s, 3H), 1.18 (s, 3H), 0.99 (d, J = 6.0 Hz, 3H).

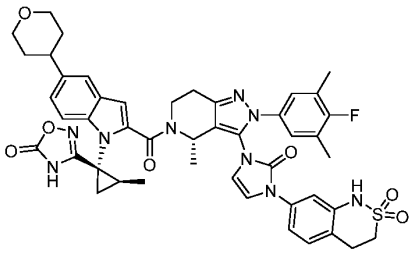
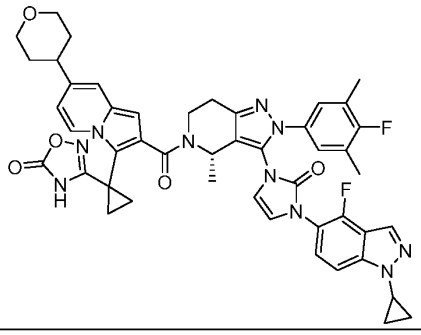
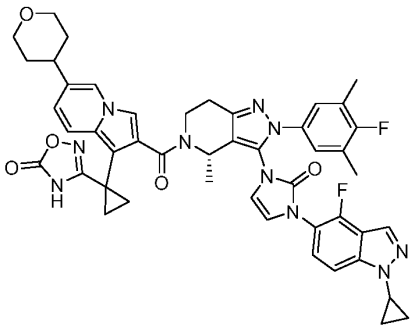
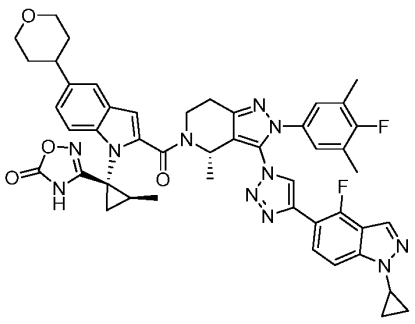
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Compounds	Structures	MS	^{1}HNMR
31		907.34	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.73 (s, 1H), 8.37 (d, J = 6.0 Hz, 1H), 8.18 (d, J = 6.0 Hz, 1H), 7.81 – 7.75 (m, 2H), 7.75 – 7.69 (m, 2H), 7.66 – 7.62 (m, 1H), 7.43 (d, J = 7.5 Hz, 1H), 7.40 (d, J = 5.1 Hz, 2H), 7.21 (d, J = 2.0 Hz, 1H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.23 (m, 1H), 4.11 – 4.03 (m, 1H), 3.59 – 3.47 (m, 4H), 3.06 – 2.99 (m, 1H), 3.03 – 2.94 (m, 2H), 2.72 – 2.63 (m, 4H), 2.41 – 2.26 (m, 3H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.88 – 1.79 (m, 2H), 1.51 – 1.47 (m, 6H), 0.99 (d, J = 5.8 Hz, 3H).
32		879.29	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.76 (s, 1H), 8.37 (d, J = 6.0 Hz, 1H), 8.18 (d, J = 6.0 Hz, 1H), 7.81 – 7.75 (m, 2H), 7.75 – 7.69 (m, 2H), 7.66 – 7.62 (m, 1H), 7.43 (d, J = 7.5 Hz, 1H), 7.40 (d, J = 5.1 Hz, 2H), 7.21 (d, J = 2.0 Hz, 1H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.23 (m, 1H), 4.11 – 4.03 (m, 1H), 3.59 – 3.47 (m, 4H), 3.06 – 2.99 (m, 1H), 3.03 – 2.94 (m, 2H), 2.41 – 2.26 (m, 3H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.88 – 1.79 (m, 2H), 1.51 – 1.47 (m, 6H), 0.99 (d, J = 5.8 Hz, 3H).
34		906.32	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.31 (s, 1H), 8.41 – 8.29 (m, 2H), 7.99 – 7.89 (m, 1H), 7.64 – 7.54 (m, 2H), 7.46 – 7.36 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 7.11 – 7.08 (m, 1H), 5.26 – 5.16 (m, 1H), 4.57 – 4.52 (m, 3H), 4.11 – 3.81 (m, 2H), 3.55 – 3.49 (m, 4H), 3.13 – 2.85 (m, 6H), 2.42 – 2.33 (m, 3H), 2.30 (s, 6H), 2.15 – 2.11 (m, 2H), 1.88 – 1.79 (m, 2H), 1.61 (d, J = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).

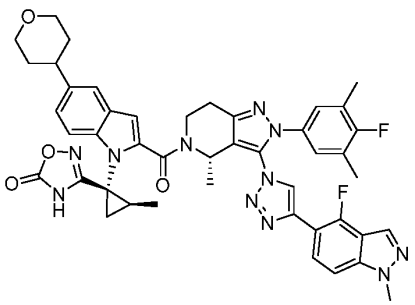
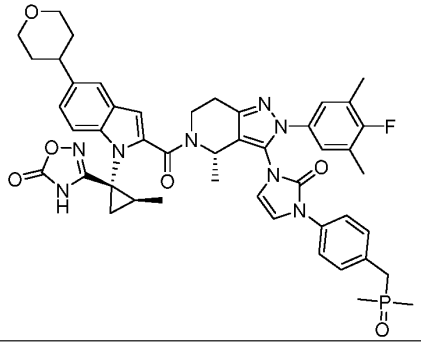
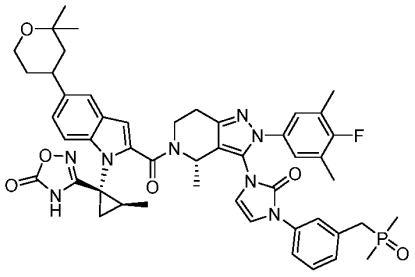
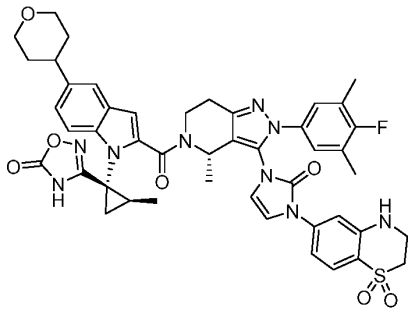
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Compounds	Structures	MS	^{1}HNMR
35		920.34	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.27 (s, 1H), 8.40 – 8.29 (m, 2H), 7.97 – 7.87 (m, 1H), 7.65 – 7.55 (m, 2H), 7.48 – 7.39 (m, 3H), 7.22 (d, *J* = 2.0 Hz, 1H), 7.13 – 7.09 (m, 1H), 5.29 – 5.19 (m, 1H), 4.55 – 4.52 (m, 1H), 4.13 – 3.80 (m, 2H), 3.79 – 3.61 (m, 2H), 3.58 – 3.49 (m, 4H), 3.16 – 2.89 (m, 8H), 2.43 – 2.35 (m, 3H), 2.28 (s, 6H), 2.16 – 2.13 (m, 2H), 1.85 – 1.79 (m, 2H), 1.63 (d, *J* = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).
36		906.32	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.17 (s, 1H), 8.40 – 8.29 (m, 2H), 7.97 – 7.87 (m, 1H), 7.65 – 7.55 (m, 2H), 7.48 – 7.39 (m, 3H), 7.22 (d, *J* = 2.0 Hz, 1H), 7.13 – 7.09 (m, 1H), 5.29 – 5.19 (m, 1H), 4.55 – 4.52 (m, 1H), 4.13 – 3.80 (m, 2H), 3.79 – 3.61 (m, 2H), 3.58 – 3.49 (m, 4H), 3.16 – 2.89 (m, 5H), 2.43 – 2.35 (m, 3H), 2.28 (s, 6H), 2.16 – 2.13 (m, 2H), 1.85 – 1.79 (m, 2H), 1.63 (d, *J* = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).
37		892.30	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.18 (s, 1H), 8.41 – 8.29 (m, 2H), 7.99 – 7.89 (m, 1H), 7.64 – 7.54 (m, 2H), 7.46 – 7.36 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.11 – 7.08 (m, 1H), 5.26 – 5.16 (m, 1H), 4.57 – 4.52 (m, 3H), 4.11 – 3.81 (m, 2H), 3.55 – 3.49 (m, 4H), 3.13 – 2.85 (m, 3H), 2.42 – 2.33 (m, 3H), 2.30 (s, 6H), 2.15 – 2.11 (m, 2H), 1.88 – 1.79 (m, 2H), 1.61 (d, *J* = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).
38		876.31	^{1}H NMR (500 MHz, DMSO-d_6) δ11.18 (s, 1H), 8.41 – 8.29 (m, 2H), 7.99 – 7.89 (m, 1H), 7.64 – 7.54 (m, 2H), 7.46 – 7.36 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.11 – 7.08 (m, 1H), 5.26 – 5.16 (m, 1H), 4.57 – 4.52 (m, 1H), 4.11 – 3.81 (m, 4H), 3.55 – 3.49 (m, 4H), 3.13 – 2.85 (m, 3H), 2.42 – 2.33 (m, 3H), 2.30 (s, 6H), 2.15 – 2.11 (m, 2H), 1.88 – 1.79 (m, 2H), 1.61 (d, *J* = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).

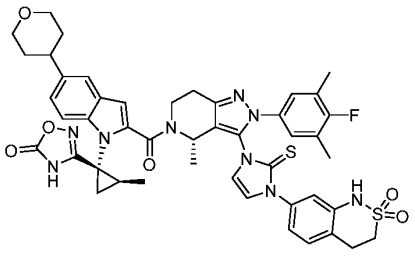
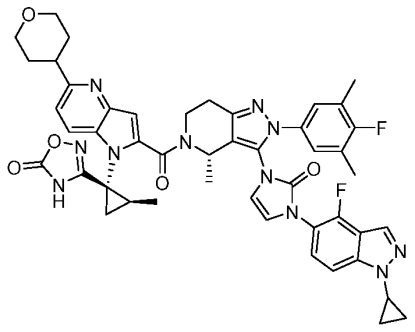
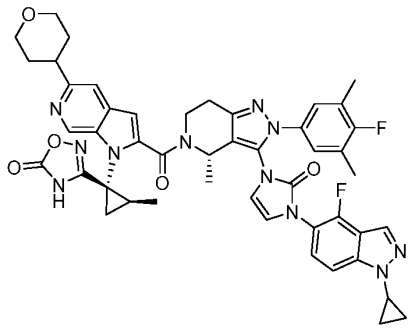
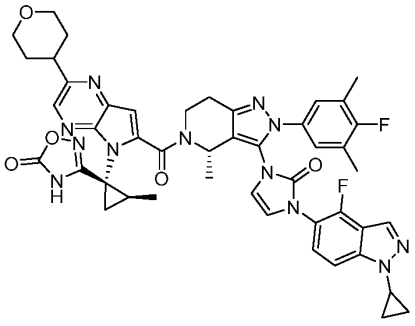
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Compounds	Structures	MS	^{1}HNMR
39		888.33	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.20 (s, 1H), 8.43 – 8.33 (m, 2H), 7.95 – 7.87 (m, 1H), 7.69 – 7.59 (m, 2H), 7.48 – 7.39 (m, 3H), 7.22 (d, J = 2.0 Hz, 1H), 7.13 – 7.09 (m, 1H), 6.43 – 6.40 (m, 1H), 5.29 – 5.19 (m, 1H), 4.55 – 4.52 (m, 1H), 4.13 – 3.80 (m, 2H), 3.79 – 3.61 (m, 2H), 3.58 – 3.49 (m, 4H), 3.16 – 2.89 (m, 5H), 2.43 – 2.35 (m, 3H), 2.28 (s, 6H), 2.16 – 2.13 (m, 2H), 1.85 – 1.79 (m, 2H), 1.63 (d, J = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).
42		867.35	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.15 (s, 1H), 8.41 – 8.36 (m, 3H), 7.90 – 7.80 (m, 1H), 7.67 – 7.55 (m, 2H), 7.46 – 7.37 (m, 2H), 7.11 – 7.07 (m, 1H), 6.52 – 6.43 (m, 2H), 5.34 – 5.25 (m, 1H), 4.63 – 4.51 (m, 1H), 4.17 – 3.87 (m, 2H), 3.69 – 3.49 (m, 4H), 3.12 – 2.96 (m, 3H), 2.44 – 2.33 (m, 9H), 2.22 – 2.13 (m, 2H), 1.91 – 1.83 (m, 2H), 1.45 – 1.25 (m, 9H), 1.14 – 1.08 (m, 5H).
43		867.35	^{1}H NMR (500 MHz, DMSO-d_6) δ11.14 (s, 1H), 8.42 – 8.38 (m, 3H), 7.88 – 7.77 (m, 2H), 7.69 – 7.59 (m, 2H), 7.49 – 7.39 (m, 2H), 6.73 – 6.54 (m, 1H), 6.44 – 6.35 (m, 1H), 5.33 – 5.24 (m, 1H), 4.65 – 4.53 (m, 1H), 4.19 – 3.88 (m, 2H), 3.71 – 3.59 (m, 4H), 3.16 – 2.99 (m, 3H), 2.48 – 2.38 (m, 9H), 2.26 – 2.16 (m, 2H), 1.97 – 1.87 (m, 2H), 1.43 – 1.23 (m, 9H), 1.12 – 1.08 (m, 5H).
48		866.37	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.60 (s, 1H), 8.48 – 8.38 (m, 2H), 7.98 – 7.88 (m, 1H), 7.68 – 7.58 (m, 2H), 7.47 – 7.37 (m, 3H), 7.20 (d, J = 2.0 Hz, 1H), 7.16 – 7.12 (m, 1H), 6.47 – 6.44 (m, 1H), 5.36 – 5.26 (m, 1H), 4.72 – 4.62 (m, 1H), 4.37 – 3.95 (m, 2H), 3.77 – 3.68 (m, 4H), 3.13 – 2.95 (m, 3H), 2.47 – 2.38 (m, 9H), 2.27 – 2.17 (m, 5H), 1.94 – 1.87 (m, 2H), 1.44 – 1.24 (m, 5H), 1.12 – 1.08 (m, 6H).

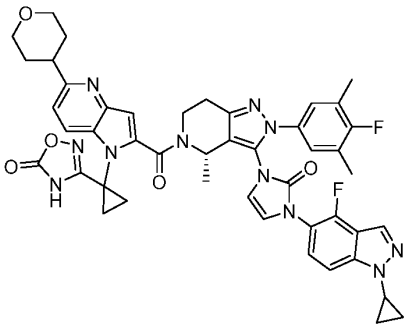
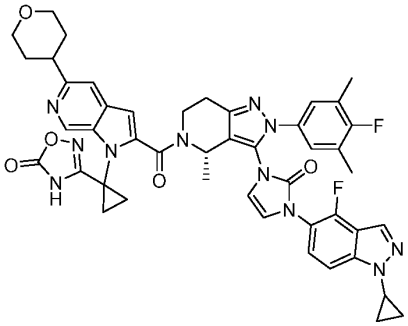
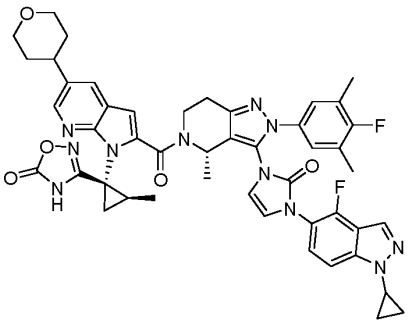
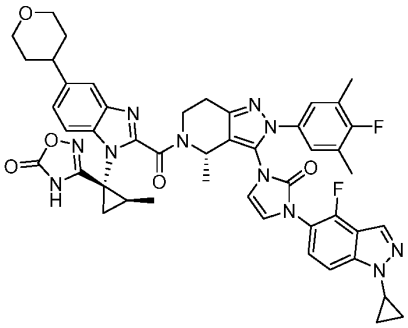
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Compounds	Structures	MS	^{1}HNMR
49		840.35	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.51 (s, 1H), 8.47 – 8.37 (m, 2H), 7.97 – 7.87 (m, 1H), 7.69 – 7.59 (m, 2H), 7.49 – 7.39 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 7.14 – 7.12 (m, 1H), 6.48 – 6.44 (m, 1H), 5.36 – 5.26 (m, 1H), 4.71 – 4.62 (m, 1H), 4.36 – 3.95 (m, 2H), 3.79 – 3.68 (m, 4H), 3.12 – 2.96 (m, 5H), 2.45 – 2.38 (m, 9H), 2.25 – 2.16 (m, 5H), 1.95 – 1.87 (m, 2H), 1.45 – 1.24 (m, 3H), 1.11 – 1.08 (m, 4H).
50		873.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.50 (s, 1H), 8.48 – 8.38 (m, 2H), 7.98 – 7.88 (m, 1H), 7.68 – 7.58 (m, 2H), 7.47 – 7.37 (m, 3H), 7.20 (d, J = 2.0 Hz, 1H), 7.16 – 7.12 (m, 1H), 5.36 – 5.26 (m, 1H), 4.73 – 4.62 (m, 1H), 4.36 – 3.95 (m, 2H), 3.79 – 3.68 (m, 6H), 3.12 – 2.96 (m, 2H), 2.45 – 2.38 (m, 9H), 2.25 – 2.16 (m, 5H), 1.95 – 1.87 (m, 2H), 1.45 – 1.24 (m, 3H), 1.11 – 1.08 (m, 4H).
53		901.39	^{1}H NMR (500 MHz, Chloroform-d) δ 10.94 (s, 1H), 8.36 – 8.29 (m, 2H), 8.21 – 8.14 (m, 1H), 7.89 – 7.82 (m, 1H), 7.62 – 7.56 (m, 2H), 7.51 – 7.41 (m, 4H), 7.25 – 7.20 (m, 1H), 7.11 – 7.04 (m, 1H), 5.24 – 5.14 (m, 1H), 4.57 – 4.49 (m, 1H), 4.14 – 4.05 (m, 1H), 3.35(s, 2H), 3.86 – 3.61 (m, 3H), 3.08 – 2.90 (m, 3H), 2.49 – 2.32 (m, 3H), 2.26 – 2.23 (m, 6H), 2.08 – 1.91 (m, 2H), 1.86 – 1.69 (m, 2H), 1.61 – 1.57 (m, 7H), 1.39 – 1.31 (m, 5H), 1.19 (s, 6H), 1.01 – 0.98 (m, 3H).
55		888.32	^{1}H NMR (500 MHz, DMSO-d6) δ 11.15 (s, 1H), 8.42 – 8.38 (m, 3H), 7.90 – 7.82 (m, 1H), 7.65 – 7.56 (m, 1H), 7.44 – 7.41 (m, 2H), 7.13 – 7.09 (m, 1H), 6.54-6.53(m, 1H), 6.51 – 6.46 (m, 2H), 5.33 – 5.23 (m, 1H), 4.61 – 4.49 (m, 1H), 4.15 – 3.85 (m, 2H), 3.67 – 3.47 (m, 8H), 3.09 – 2.91 (m, 3H), 2.42 – 2.29 (m, 4H), 2.24 – 2.11 (m, 8H), 1.92 – 1.82 (m, 2H), 1.42 – 1.25 (m, 4H), 1.11 – 1.07 (m, 3H).

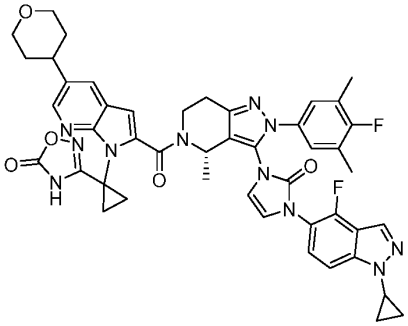
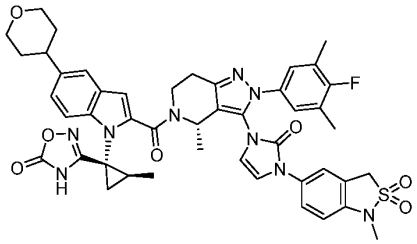
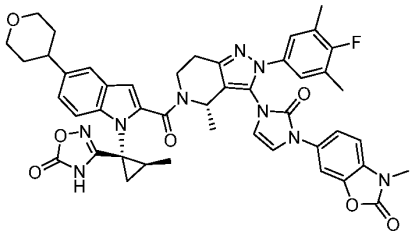
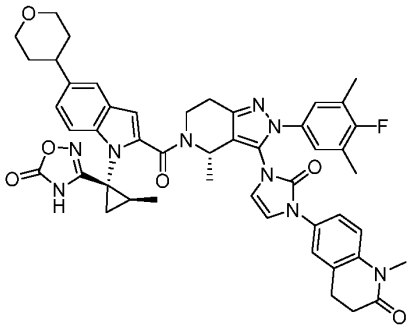
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Compounds	Structures	MS	^{1}HNMR
58		904.30	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.77 (s, 1H), 8.40 – 8.29 (m, 2H), 7.97 – 7.87 (m, 1H), 7.65 – 7.55 (m, 2H), 7.48 – 7.39 (m, 3H), 7.22 (d, *J* = 2.0 Hz, 1H), 7.13 – 7.09 (m, 1H), 6.43 – 6.40 (m, 1H), 5.29 – 5.19 (m, 1H), 4.55 – 4.52 (m, 1H), 4.13 – 3.80 (m, 2H), 3.79 – 3.61 (m, 2H), 3.58 – 3.49 (m, 4H), 3.16 – 2.89 (m, 5H), 2.43 – 2.35 (m, 3H), 2.28 (s, 6H), 2.16 – 2.13 (m, 2H), 1.85 – 1.79 (m, 2H), 1.63 (d, *J* = 8.0 Hz, 3H), 1.02 – 0.96 (m, 3H).
65		882.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.62 (s, 1H), 8.40 – 8.28 (m, 3H), 7.96 – 7.86 (m, 1H), 7.60 – 7.58 (m, 1H), 7.47 – 7.36 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 4.12 – 3.85 (m, 2H), 3.59 – 3.47 (m, 4H), 3.04 – 2.88 (m, 3H), 2.39 – 2.27 (m, 3H), 2.24 (s, 6H), 2.14 – 2.08 (m, 2H), 1.88 – 1.79 (m, 2H), 1.60 (d, *J* = 8.0 Hz, 3H), 1.39 – 1.20 (m, 4H), 1.01 – 0.95 (m, 3H).
66		882.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.52 (s, 1H), 8.40 – 8.28 (m, 3H), 7.96 – 7.86 (m, 1H), 7.62 – 7.58 (m, 2H), 7.47 – 7.33 (m, 2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 4.12 – 3.85 (m, 2H), 3.59 – 3.47 (m, 4H), 3.04 – 2.88 (m, 3H), 2.39 – 2.27 (m, 3H), 2.24 (s, 6H), 2.14 – 2.08 (m, 2H), 1.88 – 1.79 (m, 2H), 1.60 (d, *J* = 8.0 Hz, 3H), 1.39 – 1.20 (m, 4H), 1.01 – 0.95 (m, 3H).
67		883.35	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.70 (s, 1H), 8.40 – 8.28 (m, 3H), 7.96 – 7.86 (m, 1H), 7.60 – 7.58 (m, 1H), 7.47 – 7.34 (m, 2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 4.12 – 3.85 (m, 2H), 3.59 – 3.47 (m, 4H), 3.04 – 2.88 (m, 3H), 2.39 – 2.27 (m, 3H), 2.24 (s, 6H), 2.14 – 2.08 (m, 2H), 1.88 – 1.79 (m, 2H), 1.60 (d, *J* = 8.0 Hz, 3H), 1.39 – 1.20 (m, 4H), 1.01 – 0.95 (m, 3H).

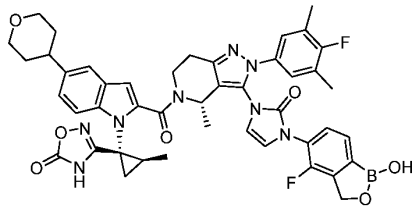
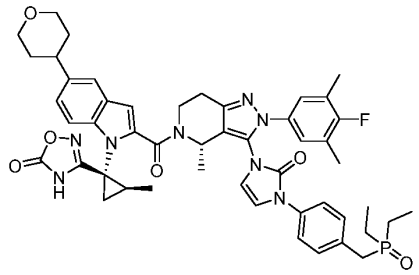
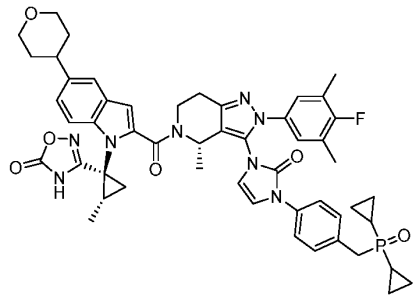
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Compounds	Structures	MS	^{1}HNMR
68		868.34	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.64 (s, 1H), 8.40 – 8.28 (m, 3H), 7.96 – 7.86 (m, 1H), 7.60 – 7.58 (m, 1H), 7.47 – 7.36 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 4.12 – 3.85 (m, 2H), 3.59 – 3.47 (m, 4H), 3.04 – 2.88 (m, 3H), 2.39 – 2.27 (m, 3H), 2.24 (s, 6H), 2.14 – 2.08 (m, 2H), 1.88 – 1.79 (m, 2H), 1.39 – 1.20 (m, 4H), 1.01 – 0.95 (m, 4H).
69		868.34	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.74 (s, 1H), 8.40 – 8.28 (m, 3H), 7.96 – 7.86 (m, 1H), 7.63 – 7.58 (m, 2H), 7.47 – 7.33 (m, 2H), 7.21 (d, J = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 4.12 – 3.85 (m, 2H), 3.59 – 3.47 (m, 4H), 3.04 – 2.88 (m, 3H), 2.39 – 2.27 (m, 3H), 2.24 (s, 6H), 2.14 – 2.08 (m, 2H), 1.88 – 1.79 (m, 2H), 1.39 – 1.20 (m, 4H), 1.01 – 0.95 (m, 4H).
70		882.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.76 (s, 1H), 8.40 – 8.28 (m, 3H), 7.96 – 7.86 (m, 1H), 7.67 – 7.58 (m, 2H), 7.47 – 7.36 (m, 2H), 7.21 (d, J = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 4.12 – 3.85 (m, 2H), 3.59 – 3.47 (m, 4H), 3.04 – 2.88 (m, 3H), 2.39 – 2.27 (m, 3H), 2.24 (s, 6H), 2.14 – 2.08 (m, 2H), 1.88 – 1.79 (m, 2H), 1.60 (d, J = 8.0 Hz, 3H), 1.39 – 1.20 (m, 4H), 1.01 – 0.95 (m, 3H).
74		882.36	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.61 (s, 1H), 8.40 – 8.29 (m, 3H), 7.96 – 7.86 (m, 1H), 7.67 – 7.58 (m, 3H), 7.47 – 7.36 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 4.12 – 3.85 (m, 2H), 3.59 – 3.47 (m, 4H), 3.04 – 2.88 (m, 3H), 2.39 – 2.27 (m, 3H), 2.24 (s, 6H), 2.14 – 2.08 (m, 2H), 1.88 – 1.79 (m, 2H), 1.60 (d, J = 8.0 Hz, 3H), 1.39 – 1.20 (m, 4H), 1.01 – 0.95 (m, 3H).

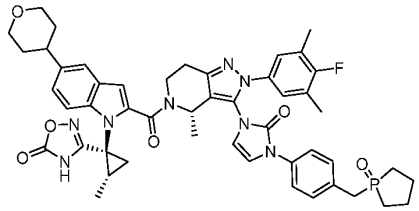
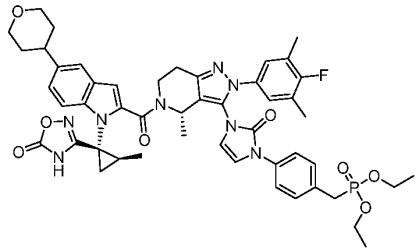
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Compounds	Structures	MS	^{1}HNMR
75		868.34	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.65 (s, 1H), 8.41 – 8.36 (m, 3H), 7.90 – 7.80 (m, 1H), 7.65 – 7.55 (m, 1H), 7.46 – 7.37 (m, 2H), 7.11 – 7.07 (m, 1H), 6.52 – 6.43 (m, 2H), 5.34 – 5.25 (m, 1H), 4.63 – 4.51 (m, 1H), 4.17 – 3.87 (m, 2H), 3.69 – 3.49 (m, 4H), 3.12 – 2.96 (m, 3H), 2.44 – 2.33 (m, 9H), 2.22 – 2.13 (m, 2H), 1.91 – 1.83 (m, 2H), 1.45 – 1.25 (m, 9H), 1.14 – 0.95 (m, 5H).
76		888.32	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.78 (s, 1H), 8.41 – 8.29 (m, 2H), 7.99 – 7.89 (m, 1H), 7.64 – 7.54 (m, 2H),7.50-7.49(m, 1H), 7.46 – 7.36 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 7.11 – 7.08 (m, 1H), 5.26 – 5.16 (m, 1H), 4.57 – 4.52 (m, 3H), 4.11 – 3.81 (m, 2H), 3.55 – 3.49 (m, 4H), 3.45(s, 3H), 3.13 – 2.85 (m, 3H), 2.42 – 2.33 (m, 3H), 2.30 (s, 6H), 2.15 – 2.11 (m, 2H), 1.88 – 1.79 (m, 2H), 1.61 (d, J = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).
77		854.33	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.78 (s, 1H), 8.41 – 8.29 (m, 2H), 7.99 – 7.89 (m, 1H), 7.64 – 7.54 (m, 2H),7.50-7.49(m, 1H), 7.46 – 7.36 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 7.11 – 7.08 (m, 1H), 5.26 – 5.16 (m, 1H), 4.53 – 4.50 (m, 1H), 4.11 – 3.81 (m, 2H), 3.55 – 3.49 (m, 4H), 3.28(s, 3H), 3.13 – 2.85 (m, 3H), 2.42 – 2.33 (m, 3H), 2.30 (s, 6H), 2.15 – 2.11 (m, 2H), 1.88 – 1.79 (m, 2H), 1.61 (d, J = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).
78		866.37	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.78 (s, 1H), 8.41 – 8.29 (m, 2H), 7.99 – 7.89 (m, 1H), 7.64 – 7.54 (m, 2H),7.50-7.49(m, 1H), 7.46 – 7.36 (m, 3H), 7.21 (d, J = 2.0 Hz, 1H), 7.11 – 7.08 (m, 1H), 5.26 – 5.16 (m, 1H), 4.53 – 4.50 (m, 1H), 4.11 – 3.81 (m, 2H), 3.55 – 3.49 (m, 4H), 3.35(s, 3H), 3.13 – 2.81 (m, 5H), 2.66-2.63(m, 2H), 2.42 – 2.33 (m, 3H), 2.30 (s, 6H), 2.15 – 2.11 (m, 2H), 1.88 – 1.79 (m, 2H), 1.61 (d, J = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).

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Compounds	Structures	MS	^{1}HNMR
79		857.33	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.78 (s, 1H), 8.41 – 8.29 (m, 2H), 7.99 – 7.89 (m, 1H), 7.64 – 7.54 (m, 2H),7.50-7.49(m, 1H), 7.46 – 7.36 (m,2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.11 – 7.08 (m, 1H), 5.26 – 5.16 (m, 1H), 5.10-5.08(s, 2H), 4.53 – 4.50 (m, 1H), 4.11 – 3.81 (m, 2H), 3.55 – 3.49 (m, 4H), 3.13 – 2.85 (m, 3H), 2.42 – 2.33 (m, 3H), 2.30 (s, 6H), 2.15 – 2.11 (m, 2H), 1.88 – 1.79 (m, 2H), 1.61 (d, *J* = 8.0 Hz, 3H), 1.01 – 0.95 (m, 3H).
80		901.39	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.76 (s, 1H), 8.37 (d, *J* = 6.0 Hz, 1H), 8.18 (d, *J* = 6.0 Hz, 1H), 7.81 – 7.75 (m, 2H), 7.75 – 7.69 (m, 2H), 7.66 – 7.62 (m, 1H), 7.43 (d, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 5.1 Hz, 2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.23 (m, 1H), 4.11 – 4.03 (m, 1H), 3.89(s, 2H), 3.59 – 3.47 (m, 4H), 3.06 – 2.99 (m, 1H), 3.03 – 2.94 (m, 2H), 2.72 – 2.63 (m, 4H), 2.41 – 2.26 (m, 3H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.88 – 1.79 (m, 2H), 1.75(d, *J*=6.4Hz, 3H), 1.51 – 1.47 (m, 6H), 0.99 (d, *J* = 5.8 Hz, 3H).
81		925.39	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.76 (s, 1H), 8.37 (d, *J* = 6.0 Hz, 1H), 8.18 (d, *J* = 6.0 Hz, 1H), 7.81 – 7.75 (m, 2H), 7.75 – 7.69 (m, 2H), 7.66 – 7.62 (m, 1H), 7.43 (d, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 5.1 Hz, 2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.23 (m, 1H), 4.11 – 4.03 (m, 1H), 3.89(s, 2H), 3.59 – 3.47 (m, 4H), 3.06 – 2.99 (m, 1H), 3.03 – 2.94 (m, 2H), 2.72 – 2.63 (m, 4H), 2.41 – 2.26 (m, 3H), 2.24 (s, 6H), 2.16 – 2.07 (m, 4H), 1.88 – 1.79 (m, 2H), 1.75(d, *J*=6.4Hz, 3H), 1.14-0.89 (m, 7H).

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Compounds	Structures	MS	^{1}HNMR
82		899.37	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.76 (s, 1H), 8.37 (d, *J* = 6.0 Hz, 1H), 8.18 (d, *J* = 6.0 Hz, 1H), 7.81 – 7.75 (m, 2H), 7.75 – 7.69 (m, 2H), 7.66 – 7.62 (m, 1H), 7.43 (d, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 5.1 Hz, 2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.23 (m, 1H), 4.11 – 4.03 (m, 1H), 3.89(s, 2H), 3.59 – 3.47 (m, 4H), 3.06 – 2.99 (m, 1H), 3.03 – 2.94 (m, 2H), 2.72 – 2.63 (m, 4H), 2.41 – 2.26 (m, 3H), 2.24 (s, 6H), 2.19 – 2.01 (m, 6H), 1.88 – 1.79 (m, 2H), 1.75(d, *J*=6.4Hz, 3H), 1.51 – 1.47 (m, 4H), 0.99 (d, *J* = 5.8 Hz, 3H).
84		933.38	^{1}H NMR (500 MHz, DMSO-d_6) δ 11.72 (s, 1H), 8.37 (d, *J* = 6.0 Hz, 1H), 8.18 (d, *J* = 6.0 Hz, 1H), 7.81 – 7.75 (m, 2H), 7.75 – 7.69 (m, 2H), 7.66 – 7.62 (m, 1H), 7.43 (d, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 5.1 Hz, 2H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.08 – 7.03 (m, 1H), 6.94 (s, 1H), 4.31 – 4.21 (m, 5H), 4.11 – 4.03 (m, 1H), 3.89(s, 2H), 3.59 – 3.47 (m, 4H), 3.06 – 2.99 (m, 1H), 3.03 – 2.94 (m, 2H), 2.72 – 2.63 (m, 4H), 2.41 – 2.26 (m, 1H), 2.24 (s, 6H), 2.16 – 2.07 (m, 2H), 1.88 – 1.79 (m, 2H), 1.75(d, *J*=6.4Hz, 3H), 1.36 – 1.35(m, 6H), 0.99 (d, *J* = 5.8 Hz, 3H).

Example 2 *In vitro* activity evaluation

hGLP-1R cAMP Assay

1. Cell line and reagent preparation

1) Cell line: Flp-In-293-GLP1R

2) Medium: DMEM + 10% FBS + 1 X Penicillin-Streptomycin + 200 μg/mL HB

3) Test buffer: 1 X HBSS + 20 mM HEPES + 0.1%BSA + 500 μM IBMX

2. Agonist test

a) Flpin-293-GLP1R cells were incubated in a 384-well assay plate (6007680-50, PE) using a complete medium with 2,000 cells per well.

b) Prepared a 4 X complex working solution with assay buffer.

c) Added 5 μL of 4 X Compound Working Solution to the cell plate and incubated at 37°C for 30 minutes.

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d) Diluted the Eu-cAMP tracer (1/50) with lysis buffer and added 10 μl/well to the assay plate.

e) Diluted Ulight-anti-cAMP (1/150) with lysis buffer and added 10 μl/well to the assay plate.

f) The assay plate was incubated at constant temperature for 1 hour.

g) Read the plate on Envision 2105 plate reader at 665 nM and 615 nM.

3. Data Analysis

3.1 The formula for calculating % Activity was as follows

% Activity =100-(Signal$_{cmpd}$-Signal$_{Ave_PC}$)/ (Signal$_{Ave}$_VC-Signal$_{Ave_PC}$) × 100.

3.2 Calculate EC_{50} and plot the effect-dose curve for cmpds:

Y=Bottom + (Top-Bottom)/(1+10^((LogEC50-X)*HillSlope))

X: logarithm of agonist concentration;

Y: percentage activity.

Table 2 shows the biological activity of the compounds in the hGLP-1R agonist cAMP stimulation assay.

Table 2. Bioactivity of different compounds in hGLP-1R agonist cAMP stimulation assay

Compounds	hGLP-1R cAMP: EC_{50} (nM)	Compounds	hGLP-1R cAMP: EC_{50} (nM)
1	0.094	65	0.092
13	0.228	66	0.014
18	0.265	70	0.064
19	0.012	76	0.019
20	0.018	77	0.022
21	0.044	78	0.012
24	0.868	79	0.171
29	0.063	80	0.038
35	0.025	81	0.042
36	0.05	82	0.011
37	0.06	84	0.08
55	0.15	50	0.028

Example 3 Pharmacokinetic studies

Pharmacokinetic studies in Sprague-Dawley (SD) rats

1. Single-dose subcutaneous injection pharmacokinetic studies Sprague-Dawley (SD)

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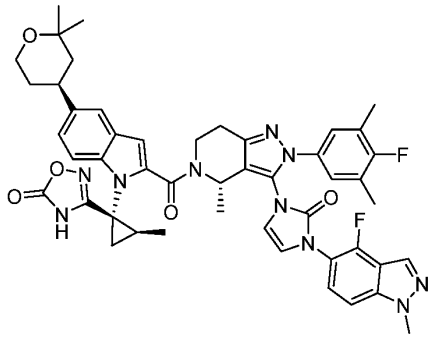
rats

Pharmacokinetic (PK) studies after a single-dose subcutaneous injection for compounds: 1, 13, 18, 19, 20, 21 and orforglipron. Protocol: Animals: Male Sprague-Dawley (SD) rats; Vehicle: 80% MCT (Medium-Chain Triglycerides) + 20% benzyl alcohol; Dose: 30 mg/kg in the vehicle; Dosing concentration: 30 mg/mL; Dosing volume: 1mL/kg; Administration site: Subcutaneous injection at the back of the animal. Blood sampling time points: pre-dose, 1h, 2h, 8h, 24h, 48h, 72h, 96h, 120h, 144h, 216h, 312h, 480h, 696h. Blood samples were collected, and the blood samples were analyzed by LC-MS/MS. Pharmacokinetic parameters were calculated by Phoenix WinNonlin 8.0 and summarized in Table 3.

Table 3. Drug exposure of different compounds after a single-dose subcutaneous injection

Compounds	Dosing route	Dose, mg/kg	$AUC_{0\text{-}696h}$, ng.h/mL
1	Subcutaneous	30	60,272
13	Subcutaneous	30	23,399
18	Subcutaneous	30	51,234
19	Subcutaneous	30	234,473
20	Subcutaneous	30	77,688
21	Subcutaneous	30	61,479
Orforglipron	Subcutaneous	30	5,390

Note: $AUC_{0\text{-}696h}$ is an important pharmacokinetic parameter, representing the area under the curve from 0 hour to the study endpoint time 696 hours, which indicates the drug exposure in the body during that time period.



The structure of orforglipron is shown above.

2. Single-dose oral administration pharmacokinetic (PK) studies in Sprague-Dawley (SD) rats

Pharmacokinetic (PK) studies after a single-dose oral administration for compounds. Protocol:Animals: Sprague-Dawley (SD) rats; Solvent: Solutol: PEG400: Tween80: Saline =

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10:40:2:48 (v/v/v/v); Dose: 5 mg/kg; Dosing concentration: 0.5 mg/mL in the solvent; Dosing volume: 10mL/kg; Blood sampling time points: pre-dose, 0.25h, 0.5h, 1h, 2h, 3h, 4h, 8h, 12h, 18, 24h, 32h, 40h, 48h. Sample Collection: At each time point, approximately 0.15 mL of blood was drawn from the jugular vein sinus, and the collected whole blood was placed in an anticoagulant tube containing EDTA-K2. After collecting blood samples, they were processed with protein precipitation and then analyzed by LC-MS/MS. Pharmacokinetic parameters were calculated by Phoenix WinNonlin 8.0 and summarized in Table 4.

Table 4. Pharmacokinetic parameters of different compounds after a single-dose oral administration

Compounds	Dosing route	Dose, mg/kg	C_{max}, ng/mL	AUC_{0-48h}, ng.h/mL
19	Oral	5	4,460	60,043
20	Oral	5	1,900	16,982
65	Oral	5	10,993	71,836
Orforglipron	Oral	5	799	7,222

Note: C_{max} refers to the maximum plasma concentration of a drug after administration

Example 4 Pharmacodynamics Study *In Vivo*

Animal screening: Within one week prior to the administration of the test compounds, 28 male cynomolgus monkeys were anesthetized with Zoletil (5.0 mg/kg, intramuscular injection, i.m.), and then 50% glucose was administered intravenously at a dose of 0.5 g/kg (1 mL/kg), completed within 30 seconds. Blood samples were collected at 10 time points: 45 minutes before glucose injection

(non-anesthetized), 6 minutes before glucose injection (under anesthesia), and 1, 5, 10, 15, 20, 30, 40, and 60 minutes after glucose injection. At each time point, 10 μL of whole blood was collected from the saphenous vein for blood glucose testing using a glucometer. Approximately 1.5 mL of whole blood was collected at each time point from the saphenous vein into a vacuum blood collection tube containing a coagulant. The tube was immediately inverted gently several times to mix well, placed at room temperature, and then centrifuged at 4 °C (2000 g, 10 minutes) to obtain serum. The collected serum samples were stored in an ultra-low temperature freezer until all samples were tested for blood glucose, insulin, and C-peptide. For the selection of cynomolgus monkeys, 15 cynomolgus monkeys were chosen for the formal experiment based on the trends of changes in blood glucose, insulin, and C-peptide.

Formal Experiment:

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Grouping: The 15 selected cynomolgus monkeys were randomly divided into 3 groups, with 5 animals in each group.

Sample preparation: An appropriate amount of the compound of the present invention was weighed and dissolved in the solvent: 5% DMSO / 10% Cremophor EL / 20% PEG400 / 65% 100 mM Glycine-NaOH pH 10 to prepare a suitable concentration for intravenous bolus injection.

Dosing method: 5 minutes before the glucose injection, the test substance was administered via intravenous bolus (iv bolus). The syringe was filled with the corresponding volume of the test substance for each animal, and the injection was completed within 30 seconds.

Intravenous glucose tolerance test: 5 minutes after the intravenous bolus administration of the test substance, the intravenous glucose tolerance test was initiated, with the animals remaining anesthetized throughout the procedure (anesthetized with Zoletil at 5.0 mg/kg, i.m.). 5 minutes after the intravenous bolus administration of the test substance, 50% glucose at a dose of 0.5g/kg (1.0 mL/kg) was administered intravenously, completed within 30 seconds.

Sample collection: Blood was collected 45 minutes before the glucose injection (non-anesthetized) and 6 minutes before the glucose injection (under anesthesia). After the glucose injection (under anesthesia), blood was collected at the following time points: 1, 5, 10, 15, 20, 30, 40, and 60 minutes. At each time point, 10 μL of whole blood was collected from the saphenous vein for blood glucose testing using a glucometer. Approximately 1.5 mL of whole blood was collected from the saphenous vein at each time point into a vacuum blood collection tube containing a coagulant. The tube was immediately inverted gently several times to mix well, placed at room temperature, and then centrifuged at 4 °C (2000 g, 10 minutes) to obtain serum. The collected serum samples were stored in an ultra-low temperature freezer until all samples were analyzed for blood glucose, insulin, and C-peptide.

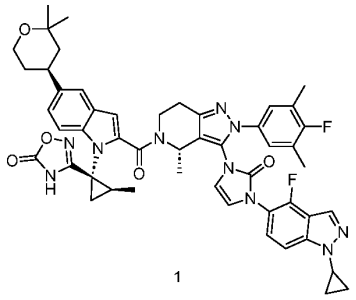
Sample Analysis: The serum samples were analyzed for insulin, C-peptide, and blood glucose levels.

Results: The compound of the present disclosure significantly increased the secretion of insulin and C-peptide in cynomolgus monkeys, while blood glucose levels were reduced as well.

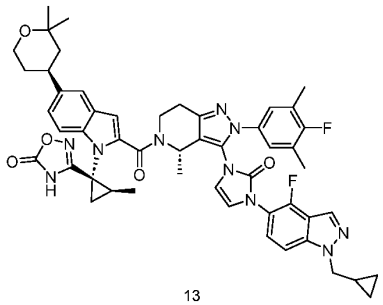
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WHAT IS CLAIMED IS:

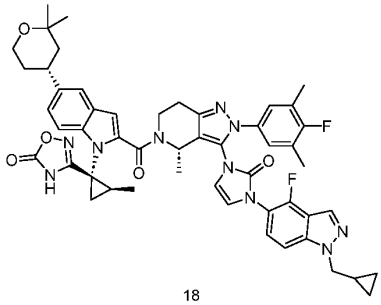
1. A compound or a pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:



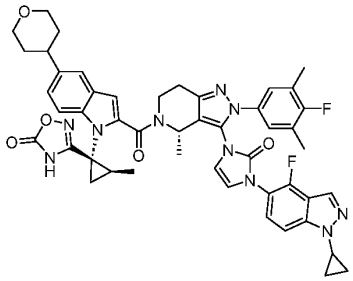
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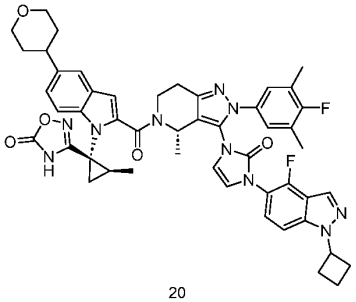
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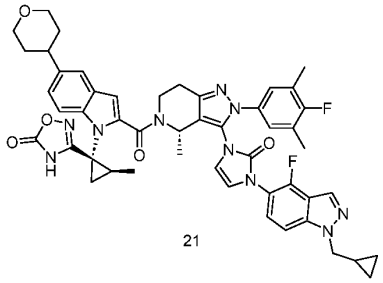
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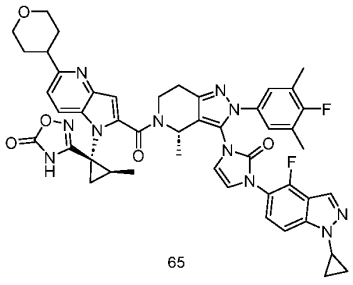


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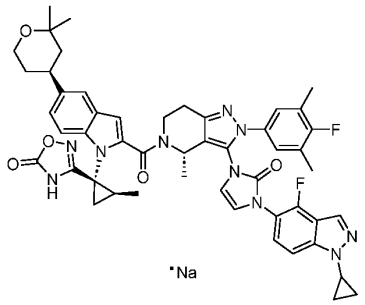


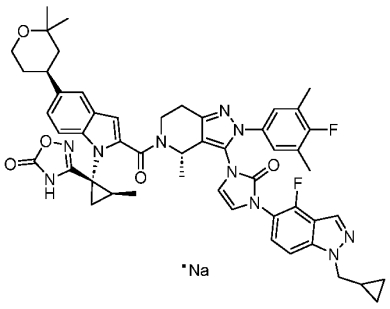
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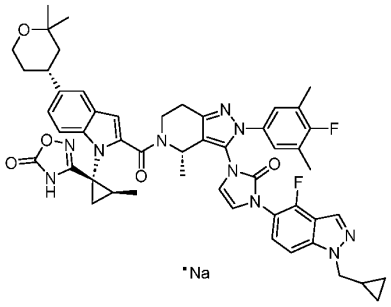
2. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is selected from the group consisting of a sodium salt, a calcium salt, a potassium salt, a magnesium salt and a lithium salt.

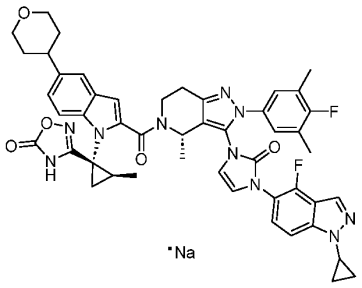
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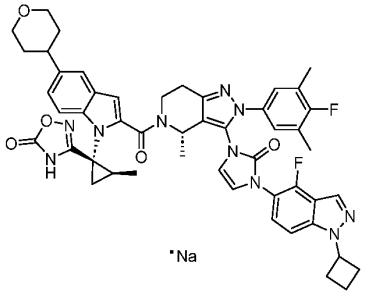
3. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is selected from the group consisting of:

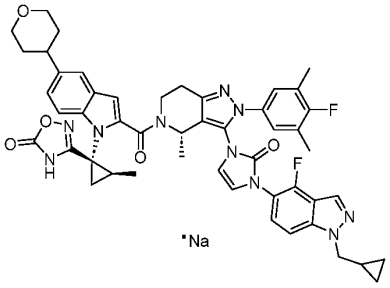




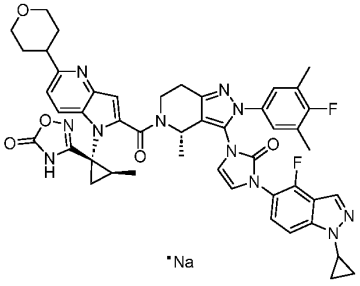








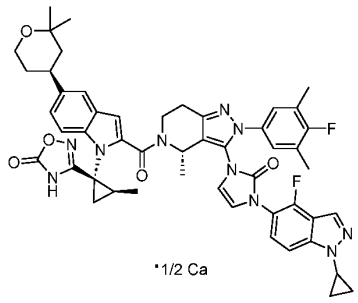
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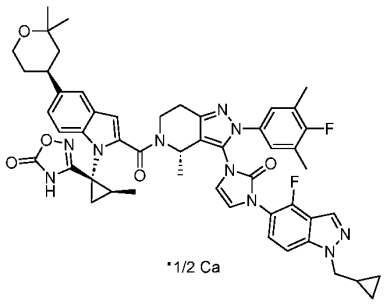


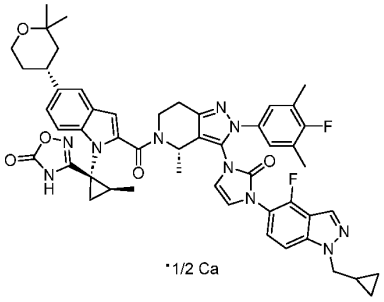
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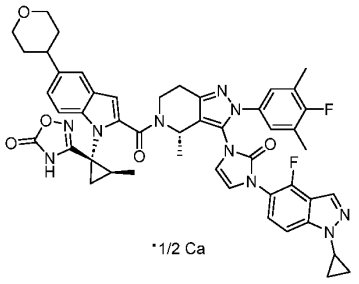
4. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is selected from the group consisting of:

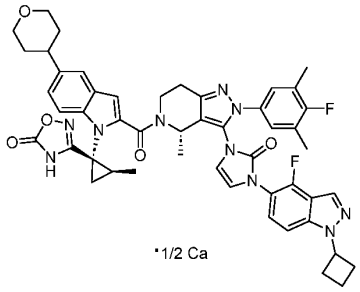
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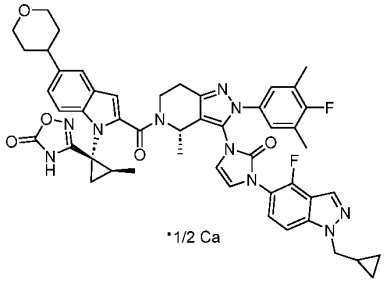

•1/2 Ca


•1/2 Ca

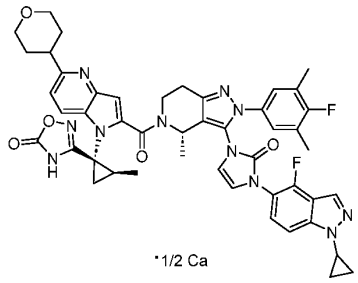

•1/2 Ca


•1/2 Ca


•1/2 Ca

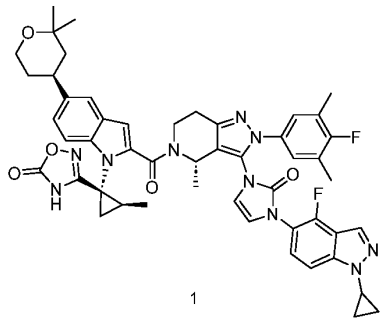

•1/2 Ca

and

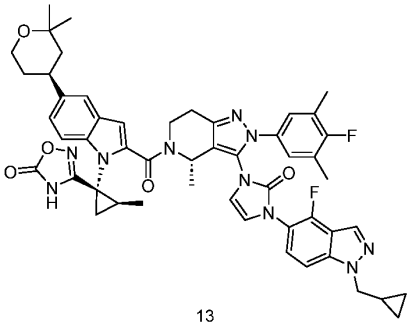

•1/2 Ca

5. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is selected from the group consisting of:

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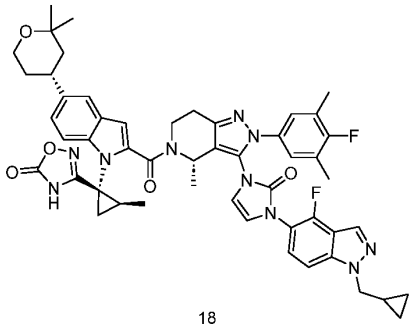


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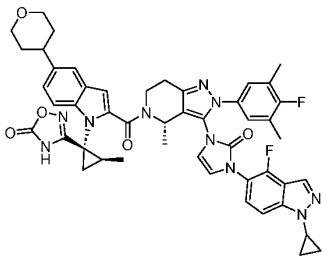
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and

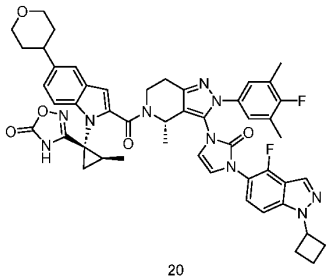


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6. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is selected from the group consisting of:

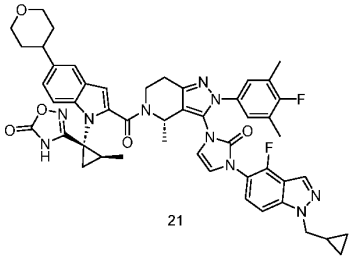


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and

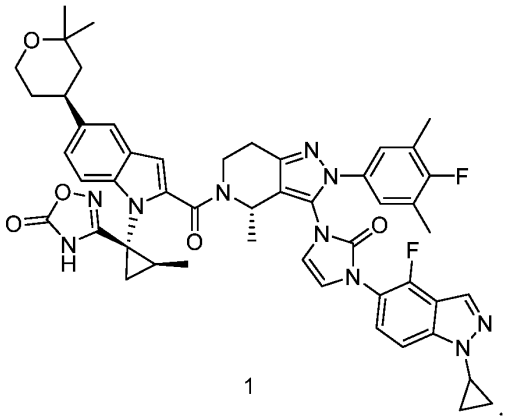


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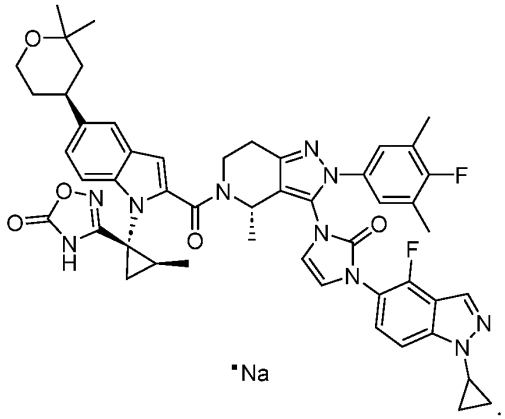
7. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is

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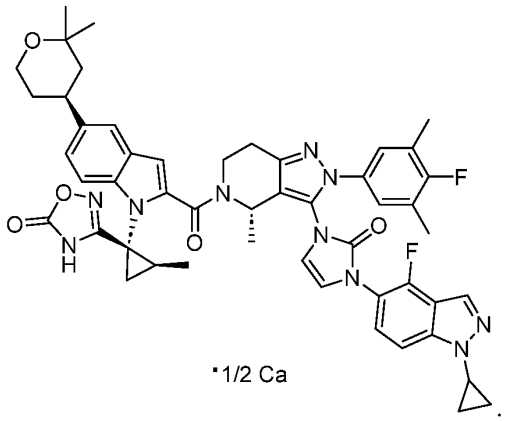
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8. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



•Na

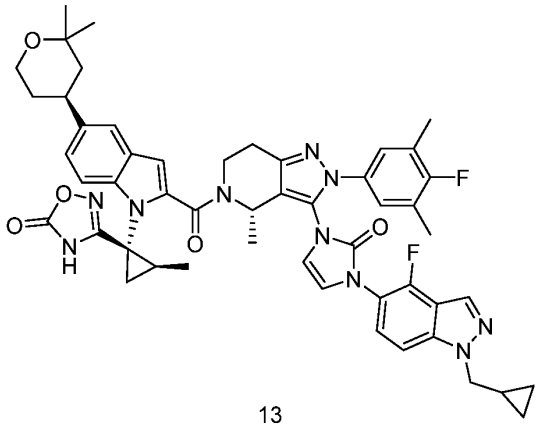
9. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



•1/2 Ca

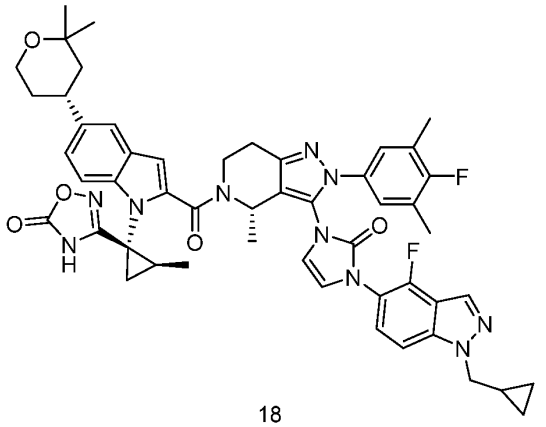
10. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is

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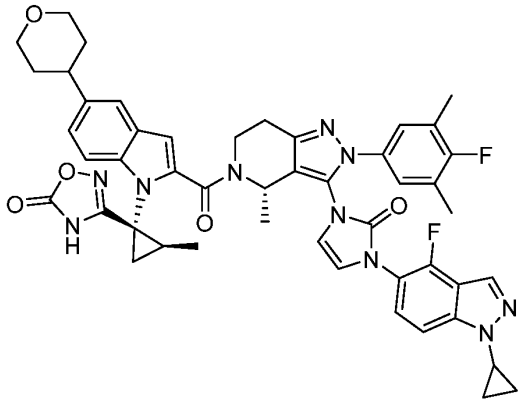
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11. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is



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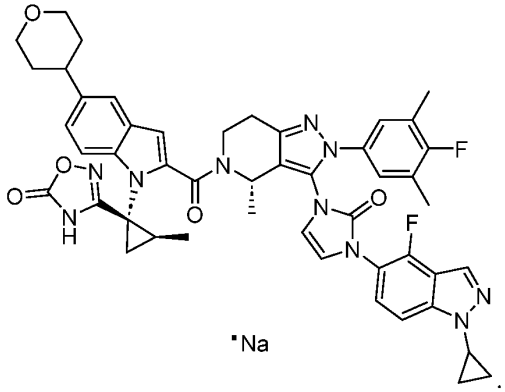
12. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is



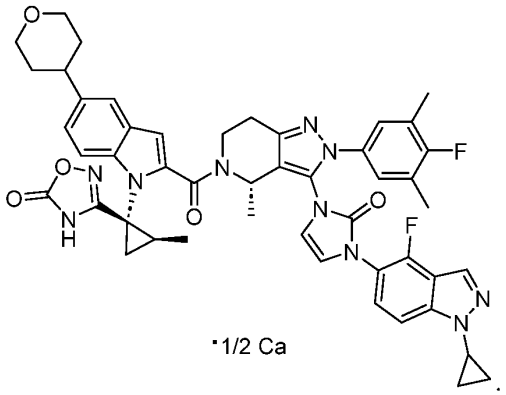
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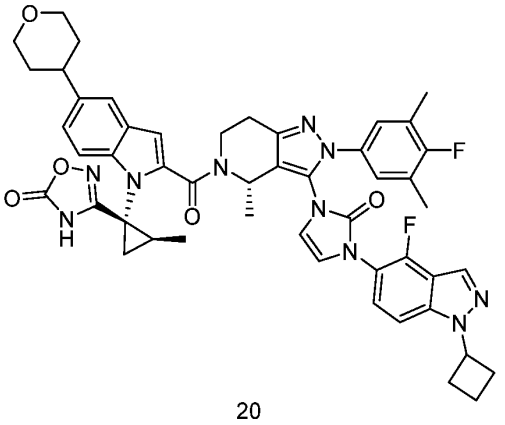
13. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



14. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is

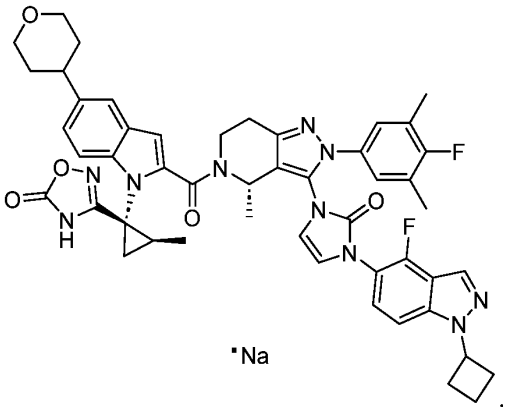


15. The compound or the pharmaceutically acceptable salt thereof of claim 1 , wherein the compound is

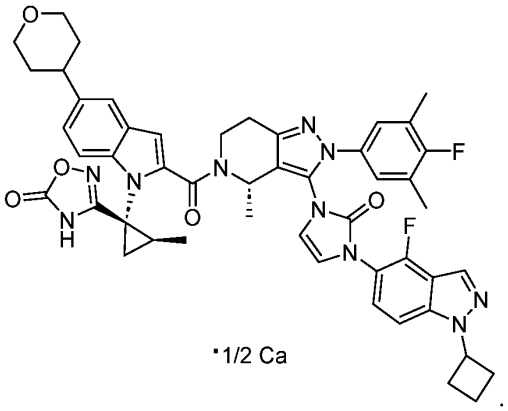


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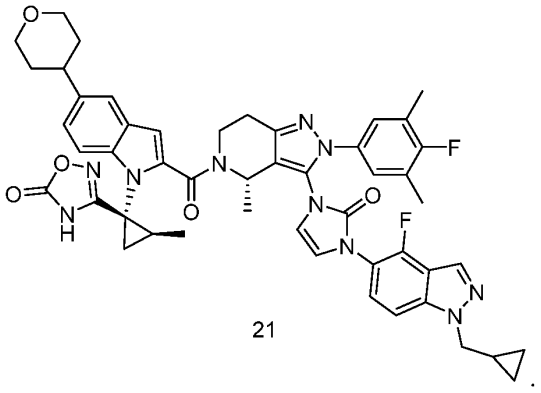
16. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



17. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is

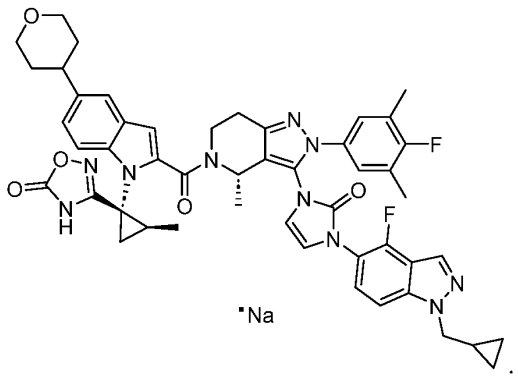


18. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is

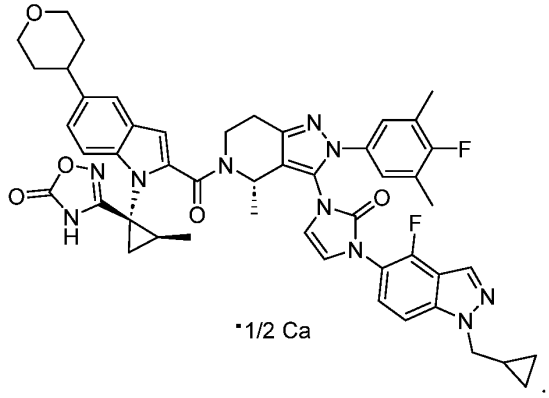


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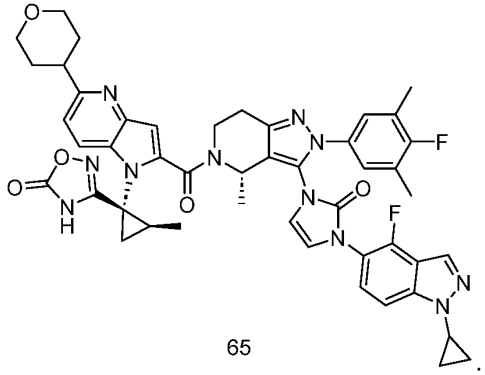
19. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



20. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is

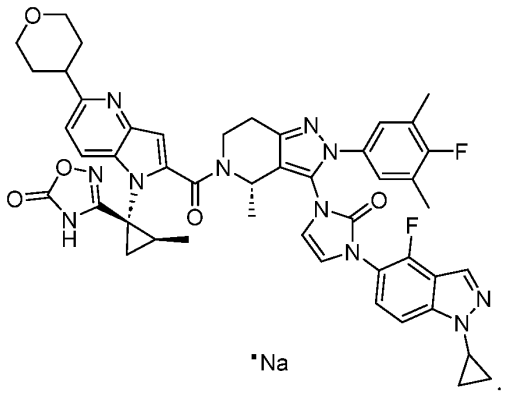


21. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is

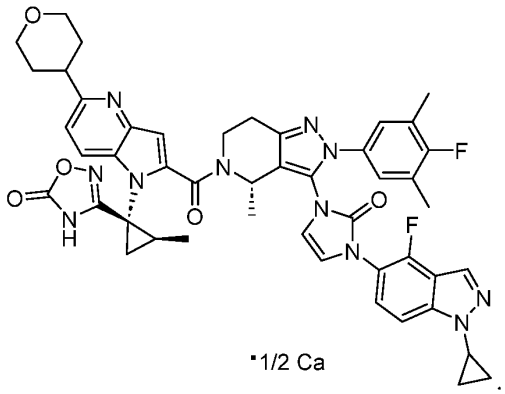


22. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is

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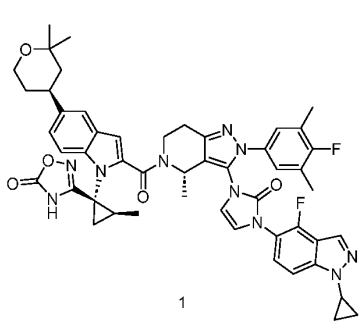


23. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is

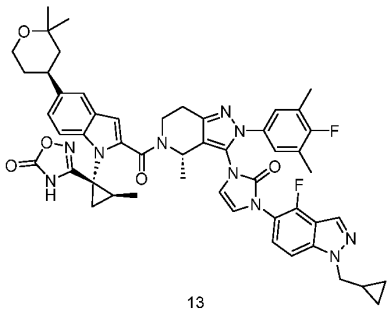


24. A compound, selected from the group consisting of:

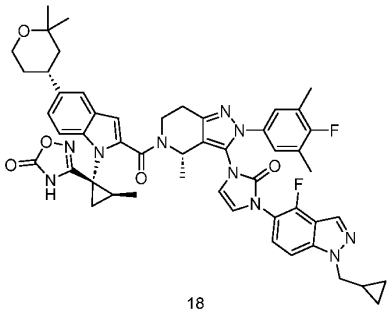
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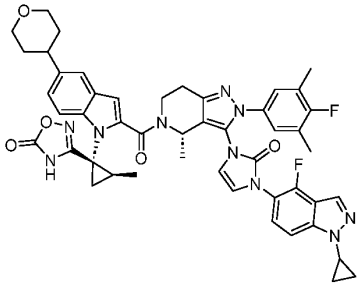
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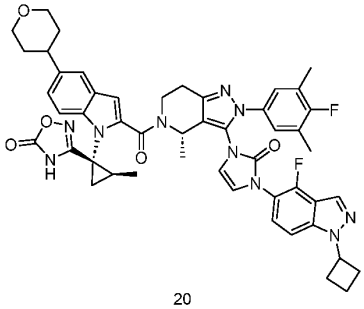
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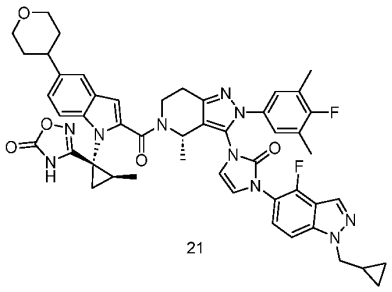
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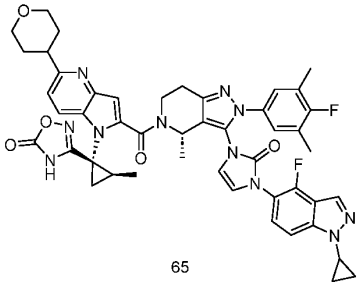


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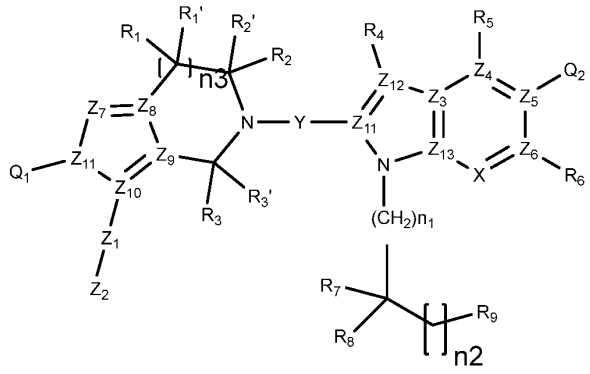
65

25. A pharmaceutical composition, comprising the compound or the pharmaceutically acceptable salt thereof of claim 1, and a pharmaceutically acceptable excipient.

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ABSTRACT

The present disclosure describes GLP-1R modulating compounds that are useful for treating GLP-1R-mediated diseases or conditions,



Formula (I).

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PTO/AIA/15 (10-17)
Approved for use through 05/31/2024. OMB 0651-0032
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
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UTILITY PATENT APPLICATION TRANSMITTAL

(Only for new nonprovisional applications under 37 CFR 1.53(b))

Attorney Docket No.	HRT-029US1
First Named Inventor	Bin Liang
Title	GLP-1R Agonist and Therapeutic Method Thereof
Priority Mail Express® Label No.	

APPLICATION ELEMENTS

See MPEP chapter 600 concerning utility patent application contents.

1. [✓] **Fee Transmittal Form** (PTO/SB/17 or equivalent)
2. [✓] **Applicant asserts small entity status.** See 37 CFR 1.27
3. [ ] **Applicant certifies micro entity status.** See 37 CFR 1.29. Applicant must attach form PTO/SB/15A or B or equivalent.
4. [✓] **Specification** [*Total Pages* 160] Both the claims and abstract must start on a new page. *(See MPEP § 608.01(a) for information on the preferred arrangement)*
5. [ ] **Drawing(s)** (35 U.S.C. 113) [*Total Sheets* ____]
6. **Inventor's Oath or Declaration** [*Total Pages* 6] *(including substitute statements under 37 CFR 1.64 and assignments serving as an oath or declaration under 37 CFR 1.63(e))*
   a. [✓] Newly executed (original or copy)
   b. [ ] A copy from a prior application (37 CFR 1.63(d))
7. [✓] **Application Data Sheet** ** See note below.* See 37 CFR 1.76 (PTO/AIA/14 or equivalent)
8. **CD-ROM or CD-R** in duplicate, large table, or Computer Program (*Appendix*)
   [ ] Landscape Table on CD
9. **Nucleotide and/or Amino Acid Sequence Submission** (*if applicable, items a. – c. are required*)
   a. [ ] Computer Readable Form (CRF)
   b. [ ] Specification Sequence Listing on:
      i. [ ] CD-ROM or CD-R (2 copies); or
      ii. [ ] Paper
   c. [ ] Statements verifying identity of above copies

ADDRESS TO: **Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450**

ACCOMPANYING APPLICATION PAPERS

10. [ ] **Assignment Papers** (cover sheet & document(s)) Name of Assignee ____
11. [✓] **37 CFR 3.73(c) Statement** (*when there is an assignee*) [✓] **Power of Attorney**
12. [ ] **English Translation Document** (*if applicable*)
13. [ ] **Information Disclosure Statement** (PTO/SB/08 or PTO-1449)
    [ ] Copies of citations attached
14. [ ] **Preliminary Amendment**
15. [ ] **Return Receipt Postcard** (*MPEP § 503*) (*Should be specifically itemized*)
16. [ ] **Certified Copy of Priority Document(s)** (*if foreign priority is claimed*)
17. [ ] **Nonpublication Request** Under 35 U.S.C. 122(b)(2)(B)(i). Applicant must attach form PTO/SB/35 or equivalent.
18. [ ] **Other:** Authorization to Treat a Reply as Incorporating an Extension of Time
    Track 1 transmittal

Note*: (1) Benefit claims under 37 CFR 1.78 and foreign priority claims under 1.55 **must be included in an Application Data Sheet (ADS).
(2) For applications filed under 35 U.S.C. 111, the application must contain an ADS specifying the applicant if the applicant is an assignee, person to whom the inventor is under an obligation to assign, or person who otherwise shows sufficient proprietary interest in the matter. See 37 CFR 1.46(b).

19. CORRESPONDENCE ADDRESS

[✓] The address associated with Customer Number: 83682 **OR** [ ] Correspondence address below

Name					
Address					
City		State		Zip Code	
Country		Telephone		Email	

Signature	/Daniel J. Nevrivy/	Date	September 13, 2024
Name (Print/Type)	Daniel J. Nevrivy	Registration No. (Attorney/Agent)	59,118

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If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.

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The Privacy Act of 1974 (P.L. 93-579) requires that you be given certain information in connection with your submission of the attached form related to a patent application or patent. The United States Patent and Trademark Office (USPTO) collects the information in this record under authority of 35 U.S.C. 2. The USPTO's system of records is used to manage all applicant and owner information including name, citizenship, residence, post office address, and other information with respect to inventors and their legal representatives pertaining to the applicant's/owner's activities in connection with the invention for which a patent is sought or has been granted. The applicable Privacy Act System of Records Notice for the information collected in this form is COMMERCE/PAT-TM-7 Patent Application Files, available in the Federal Register at 78 FR 19243 (March 29, 2013). https://www.govinfo.gov/content/pkg/FR-2013-03-29/pdf/2013-07341.pdf

Routine uses of the information in this record may include disclosure to: 1) law enforcement, in the event that the system of records indicates a violation or potential violation of law; 2) a Federal, state, local, or international agency, in response to its request; 3) a contractor of the USPTO having need for the information in order to perform a contract; 4) the Department of Justice for determination of whether the Freedom of Information Act (FOIA) requires disclosure of the record; 5) a Member of Congress submitting a request involving an individual to whom the record pertains, when the individual has requested the Member's assistance with respect to the subject matter of the record; 6) a court, magistrate, or administrative tribunal, in the course of presenting evidence, including disclosures to opposing counsel in the course of settlement negotiations; 7) the Administrator, General Services Administration (GSA), or their designee, during an inspection of records conducted by GSA under authority of 44 U.S.C. 2904 and 2906, in accordance with the GSA regulations and any other relevant (i.e., GSA or Commerce) directive, where such disclosure shall not be used to make determinations about individuals; 8) another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c)); 9) the Office of Personnel Management (OPM) for personnel research purposes; and 9) the Office of Management and Budget (OMB) for legislative coordination and clearance.

If you do not furnish the information requested on this form, the USPTO may not be able to process and/or examine your submission, which may result in termination of proceedings, abandonment of the application, and/or expiration of the patent.

Additional Uses

Additional USPTO uses of the information in this record may include disclosure to: 1) the International Bureau of the World Intellectual Property Organization, if the record is related to an international application filed under the Patent Cooperation Treaty; 2) the public i) after publication of the application pursuant to 35 U.S.C. 122(b), ii) after issuance of a patent pursuant to 35 U.S.C. 151, iii) if the record was filed in an application which became abandoned or in which the proceedings were terminated and which application is referenced by either a published application, an application open to public inspections, or an issued patent, or iv) without publication of the application or patent under the specific circumstances provided for by 37 CFR 1.14(a)(1)(v)-(vii); and/or 3) the National Archives and Records Administration, for inspection of records.

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of:	Liang *et al.*	Confirmation No.:	To Be Assigned
Application No.:	To Be Assigned	Art Unit:	To Be Assigned
Filing Date:	To Be Assigned	Examiner:	To Be Assigned
Title:	**GLP-1R Agonist and Therapeutic Method Thereof**	Attorney Docket No.:	HRT-029US1

Authorization to Treat a Reply as Incorporating an Extension of Time Under 37 C.F.R. § 1.136(a)(3)

Commissioner for Patents
PO Box 1450
Alexandria, Virginia 22313-1450

Madam:

The U.S. Patent and Trademark Office is hereby authorized to treat a concurrent or future reply that requires a petition for an extension of time under this paragraph for its timely submission, as incorporating a petition for an extension of time for the appropriate length of time. The U.S. Patent and Trademark Office is hereby authorized to charge all required extensions of time fees to our Deposit Account No. 60-2104, if such fees are not otherwise provided for in such reply.

Respectfully submitted,

NEVRIVY PATENT LAW GROUP P.L.L.C.

/DANIEL J. NEVRIVY/

_____

Daniel J. Nevrivy
Registration No. 59,118

Dated: September 13, 2024
Customer No. 83682
202.713.0843

Doc Code: TRACK1.REQ
Document Description: TrackOne Request

PTO/AIA/424 (11-23)

CERTIFICATION AND REQUEST FOR PRIORITIZED EXAMINATION UNDER 37 CFR 1.102(e) (Page 1 of 1)

First Named Inventor:	Bin Liang	Nonprovisional Application Number (if known):	
Title of Invention:	GLP-1R Agonist and Therapeutic Method Thereof		

APPLICANT HEREBY CERTIFIES THE FOLLOWING AND REQUESTS PRIORITIZED EXAMINATION FOR THE ABOVE-IDENTIFIED APPLICATION.

1. The processing fee set forth in 37 CFR 1.17(i)(1) and the prioritized examination fee set forth in 37 CFR 1.17(c) have been filed with the request. The publication fee requirement is met because that fee, set forth in 37 CFR 1.18(d), is currently $0. The basic filing fee, search fee, and examination fee are filed with the request or have been already been paid. I understand that any required excess claims fees or application size fee must be paid for the application.

2. I understand that the application may not contain, or be amended to contain, more than four independent claims, more than thirty total claims, or any multiple dependent claims, and that any request for an extension of time will cause an outstanding Track I request to be dismissed.

3. The applicable box is checked below:

I. ☑ Original Application (Track One) - Prioritized Examination under § 1.102(e)(1)

i. (a) The application is an original nonprovisional utility application filed under 35 U.S.C. 111(a). This certification and request is being filed with the utility application via USPTO patent electronic filing system.

---OR---

(b) The application is an original nonprovisional plant application filed under 35 U.S.C. 111(a). This certification and request is being filed with the plant application in paper.

ii. An executed inventor's oath or declaration under 37 CFR 1.63 or 37 CFR 1.64 for each inventor, **or** the application data sheet meeting the conditions specified in 37 CFR 1.53(f)(3)(i) is filed with the application.

II. ☐ Request for Continued Examination - Prioritized Examination under § 1.102(e)(2)

i. A request for continued examination has been filed with, or prior to, this form.
ii. If the application is a utility application, this certification and request is being filed via USPTO patent electronic filing system.
iii. The application is an original nonprovisional utility application filed under 35 U.S.C. 111(a), or is a national stage entry under 35 U.S.C. 371.
iv. This certification and request is being filed prior to the mailing of a first Office action responsive to the request for continued examination.
v. No prior request for continued examination has been granted prioritized examination status under 37 CFR 1.102(e)(2).

Signature /Daniel J. Nevrivy/	Date September 13, 2024
Name (Print/Typed) Daniel J. Nevrivy	Practitioner Registration Number 59,118

Note: *This form must be signed in accordance with 37 CFR 1.33. See 37 CFR 1.4(d) for signature requirements and certifications. Submit multiple forms if more than one signature is required.**

☑ *Total of 1 forms are submitted.

Privacy Act Statement

The Privacy Act of 1974 (P.L. 93-579) requires that you be given certain information in connection with your submission of the attached form related to a patent application or patent. The United States Patent and Trademark Office (USPTO) collects the information in this record under authority of 35 U.S.C. 2. The USPTO's system of records is used to manage all applicant and owner information including name, citizenship, residence, post office address, and other information with respect to inventors and their legal representatives pertaining to the applicant's/owner's activities in connection with the invention for which a patent is sought or has been granted. The applicable Privacy Act System of Records Notice for the information collected in this form is COMMERCE/PAT-TM-7 Patent Application Files, available in the Federal Register at 78 FR 19243 (March 29, 2013). https://www.govinfo.gov/content/pkg/FR-2013-03-29/pdf/2013-07341.pdf

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3) a contractor of the USPTO having need for the information in order to perform a contract;

4) the Department of Justice for determination of whether the Freedom of Information Act (FOIA) requires disclosure of the record;

5) a Member of Congress submitting a request involving an individual to whom the record pertains, when the individual has requested the Member's assistance with respect to the subject matter of the record;

6) a court, magistrate, or administrative tribunal, in the course of presenting evidence, including disclosures to opposing counsel in the course of settlement negotiations;

7) the Administrator, General Services Administration (GSA), or their designee, during an inspection of records conducted by GSA under authority of 44 U.S.C. 2904 and 2906, in accordance with the GSA regulations and any other relevant (i.e., GSA or Commerce) directive, where such disclosure shall not be used to make determinations about individuals;

8) another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c));

9) the Office of Personnel Management (OPM) for personnel research purposes; and

10) the Office of Management and Budget (OMB) for legislative coordination and clearance.

If you do not furnish the information requested on this form, the USPTO may not be able to process and/or examine your submission, which may result in termination of proceedings, abandonment of the application, and/or expiration of the patent.

UNITED STATES
PATENT AND TRADEMARK OFFICE

P.O. Box 1450
Alexandria, VA 22313 - 1450
www.uspto.gov

ELECTRONIC ACKNOWLEDGEMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**09/13/2024 04:02:56 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67166648	FILING DATE	-
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 12

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
ADS.pdf	10	Application Data Sheet	2175 KB
EOT_pleading.pdf	1	Authorization for Extension of Time all replies	20 KB
Declaration_Liang_signed.pdf	2	Oath or Declaration filed	177 KB
Declaration_Yang_signed.pdf	2	Oath or Declaration filed	178 KB
poa_signed.pdf	2	Power of Attorney	189 KB
track1.pdf	2	Track One Request	174 KB
TRANSMITTAL.pdf	2	Transmittal of New Application	375 KB
373c_merged_.pdf	6	Transmittal Letter	1228 KB
Declaration_WU_signed.pdf	2	Oath or Declaration filed	178 KB

application_filed.pdf		160	-	1985 KB
application_filed-SPEC.pdf	(1-148)	148	Specification	1864 KB
application_filed-CLM.pdf	(149-159)	11	Claims	126 KB
application_filed-ABST.pdf	(160-160)	1	Abstract	27 KB

Digest

DOCUMENT	MESSAGE DIGEST(SHA-512)
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application_filed.pdf	7F000EEB84B26B235063B3F3047863BD370CE0AA22DB841546CB3C24AAF2CA012F497E134F4B5EDA2EDACA26CEF662A4

	188D763AC206371F54A3A48F25C1DE0F
application_filed-SPEC.pdf	A23AE04634ADD09CDCDC8509F9265B1EEF7CD75469358B074EAF97301FDA7E4A432797EE7901FF8CDC8092F37893CE30689951AAFDB0DC3A317126E341F98303
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This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.

New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.

PTO/AIA/96 (11-23)
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STATEMENT UNDER 37 CFR 3.73(c)

First Named Inventor/Patent Owner: Bin Liang

Application No./Patent No.: _____ Filed/Issue Date: _____

Titled: GLP-1R Agonist and Therapeutic Method Thereof

Ascletis Pharma (China) Co., Limited, a Corporation

(Name of Assignee) (Type of Assignee, e.g., corporation, partnership, university, government agency, etc.)

states that, for the patent application/patent identified above, it is (choose **one** of options 1, 2, 3 or 4 below):

1. ☑ The assignee of the entire right, title, and interest.

2. ☐ An assignee of less than the entire right, title, and interest (check applicable box):

   ☐ The extent (by percentage) of its ownership interest is _____%. Additional Statement(s) by the owners holding the balance of the interest must be submitted to account for 100% of the ownership interest.

   ☐ There are unspecified percentages of ownership. The other parties, including inventors, who together own the entire right, title and interest are:

   Additional Statement(s) by the owner(s) holding the balance of the interest must be submitted to account for the entire right, title, and interest.

3. ☐ The assignee of an undivided interest in the entirety (a complete assignment from one of the joint inventors was made). The other parties, including inventors, who together own the entire right, title, and interest are:

   Additional Statement(s) by the owner(s) holding the balance of the interest must be submitted to account for the entire right, title, and interest.

4. ☐ The recipient, via a court proceeding or the like (*e.g.*, bankruptcy, probate), of an undivided interest in the entirety (a complete transfer of ownership interest was made). The certified document(s) showing the transfer is attached.

The interest identified in option 1, 2 or 3 above (not option 4) is evidenced by either (choose **one** of options A or B below):

A. ☑ An assignment from the inventor(s) of the patent application/patent identified above. The assignment was recorded in the United States Patent and Trademark Office at Reel _____, Frame _____, or for which a copy thereof is attached*.

B. ☐ A chain of title from the inventor(s), of the patent application/patent identified above, to the current assignee as follows:

   1. From: _____ To: _____

      The document was recorded in the United States Patent and Trademark Office at

      Reel _____, Frame _____, or for which a copy thereof is attached*.

   2. From: _____ To: _____

      The document was recorded in the United States Patent and Trademark Office at

      Reel _____, Frame _____, or for which a copy thereof is attached*.

A Federal agency may not conduct or sponsor, and a person is not required to respond to, nor shall a person be subject to a penalty for failure to comply with an information collection subject to the requirements of the Paperwork Reduction Act of 1995, unless the information collection has a currently valid OMB Control Number. The OMB Control Number for this information collection is 0651-0031. Public burden for this form is estimated to average 12 minutes per response, including the time for reviewing instructions, searching existing data sources, gathering and maintaining the data needed, and completing and reviewing the information collection. Send comments regarding this burden estimate or any other aspect of this information collection, including suggestions for reducing this burden to the Chief Administrative Officer, United States Patent and Trademark Office, P.O. Box 1450, Alexandria, VA 22313-1450 or email InformationCollection@uspto.gov. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.

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STATEMENT UNDER 37 CFR 3.73(c)

3. From: _____ To: _____

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4. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at

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5. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at

Reel _____, Frame _____, or for which a copy thereof is attached*.

6. From: _____ To: _____

The document was recorded in the United States Patent and Trademark Office at

Reel _____, Frame _____, or for which a copy thereof is attached*.

☐ Additional documents in the chain of title are listed on a supplemental sheet(s).

☑ *As required by 37 CFR 3.73(c)(1)(i), the documentary evidence of the chain of title from the original owner to the assignee was, or concurrently is being, submitted for recordation pursuant to 37 CFR 3.11.

[NOTE: A separate copy (i.e., a true copy of the original assignment document(s)) must be submitted to Assignment Division in accordance with 37 CFR Part 3, to record the assignment in the records of the USPTO. See MPEP 302.08]

The undersigned (whose title is supplied below) is authorized to act on behalf of the assignee.

/Daniel J. Nevrivy/	September 13, 2024
Signature	Date
Daniel J. Nevrivy	59,118
Printed or Typed Name	Title or Registration Number

Privacy Act Statement

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3) a contractor of the USPTO having need for the information in order to perform a contract;

4) the Department of Justice for determination of whether the Freedom of Information Act (FOIA) requires disclosure of the record;

5) a Member of Congress submitting a request involving an individual to whom the record pertains, when the individual has requested the Member's assistance with respect to the subject matter of the record;

6) a court, magistrate, or administrative tribunal, in the course of presenting evidence, including disclosures to opposing counsel in the course of settlement negotiations;

7) the Administrator, General Services Administration (GSA), or their designee, during an inspection of records conducted by GSA under authority of 44 U.S.C. 2904 and 2906, in accordance with the GSA regulations and any other relevant (i.e., GSA or Commerce) directive, where such disclosure shall not be used to make determinations about individuals;

8) another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c));

9) the Office of Personnel Management (OPM) for personnel research purposes; and

10) the Office of Management and Budget (OMB) for legislative coordination and clearance.

If you do not furnish the information requested on this form, the USPTO may not be able to process and/or examine your submission, which may result in termination of proceedings, abandonment of the application, and/or expiration of the patent.

ASSIGNMENT

In consideration of the sum of One Dollar ($1.00) or equivalent and other good and valuable consideration paid to the undersigned inventors: Bin Liang, Jinzi Jason Wu and Bailing Yang, hereby sell and assign to Ascletis Pharma (China) Co., Limited, whose mailing address is Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue, Causeway Bay, Hong Kong, China (hereafter referred to as the Assignee), his/her entire right, title and interest, including the right to sue for past infringement and to collect for all past, present and future damages, for the United States of America (as defined in 35 U.S.C. § 100), and throughout the world,

(a) in the invention(s) known as GLP-1R Agonist and Therapeutic Method Thereof for which a U.S. Non-provisional Patent Application was filed _____ (also known as U.S. Appl. No. _____), and which claims the benefit or priority to U.S. Provisional Applications and Chinese National Applications in the table shown below, and in any and all Letters Patent(s) granted from such applications:

Application No.	Filing Date
CN Appl. No.: 202311189557.X	September 14, 2023
CN Appl. No.: 202311371725.7	October 23, 2023
CN Appl. No.: 202311582240.2	November 24, 2023
CN Appl. No.: 202311686034.6	December 8, 2023
CN Appl. No.: 202410142451.2	January 31, 2024
CN Appl. No.: 202410202078.5	February 22, 2024
CN Appl. No.: 202410398964.X	April 2, 2024
CN Appl. No.: 202410584679.7	May 11, 2024
CN Appl. No.: 202410963587.X	July 17, 2024
CN Appl. No.: 202411237048.4	September 4, 2024
U.S. Appl. No.: 63/538,892	September 18, 2023
U.S. Appl. No.: 63/545,615	October 25, 2023
U.S. Appl. No.: 63/603,854	November 29, 2023
U.S. Appl. No.: 63/673,453	July 19, 2024

(b) in any and all applications that claim the benefit or priority of the patent application listed above in part (a), including non-provisional applications, international or foreign applications,

continuing (continuation, divisional, or continuation-in-part) applications, reissues, extensions, renewals and reexaminations of the patent applications or Letters Patents therefor, to the full extent of the term or terms for which Letters Patents issue, and

(c) in any and all inventions described in the patent application listed above in part (a), and in any and all forms of intellectual and industrial property protection derivable from such patent applications, and that are derivable from any and all continuing applications, reissues, extensions, renewals and reexaminations of such patent applications, including, without limitation, patents, **applications, utility models, inventor's certificates, and designs** together with the right to file applications therefor; and including the right to claim the same priority rights from any previously filed applications under the International Agreement for the Protection of Industrial Property, or any other international agreement, or the domestic laws of the country in which any such application is filed, as may be applicable;

all such rights, title and interest to be held and enjoyed by the above-named Assignee, its successors, legal representatives and assigns to the same extent as all such rights, title and interest would have been held and enjoyed by the Assignors had this assignment and sale not been made.

The undersigned inventors agree to execute all papers necessary in connection with the application(s) and any non-provisional, continuing (continuation, divisional, or continuation-in-part), reissue, reexamination or corresponding application(s) thereof and also to execute separate assignments in connection with such application(s) as the Assignee may deem necessary or expedient.

The undersigned inventors agree to execute all papers necessary in connection with any post-grant proceeding or patent enforcement action (judicial or otherwise) related to the application(s) or any non-provisional, continuing (continuation, divisional, or continuation-in-part), reissue or reexamination application(s) thereof and to cooperate with the Assignee in every way possible in obtaining evidence and going forward with such post-grant proceeding or patent enforcement action.

The undersigned inventors hereby represent that he/she has full right to convey the entire interest herein assigned, and that he/she has not executed, and will not execute, any agreement in conflict therewith.

The undersigned inventors hereby grant the patent practitioner Daniel J. Nevrivy, Registration No. 59,118, the power to insert in this assignment any further identification that may be necessary or desirable in order to comply with the rules of the United States Patent and Trademark Office for recordation of this document.

IN WITNESS WHEREOF, executed by the undersigned inventors on the date opposite his/her name.

Date: 2024. 09. 11 Signature of Inventor: Signed by: Bin Liang

Bin Liang

Date: 2024. 09. 11 Signature of Inventor: DocuSigned by:

Jinzi Jason Wu

Date: 2024. 09. 11 Signature of Inventor: 签署人：

Bailing Yang

Agreed and Accepted:

Date: 2024. 09. 11 Signature of Assignee: DocuSigned by:

Name: Jinzi Jason Wu
Title: CEO
Ascletis Pharma (China) Co., Limited

PTO/AIA/14 (01-22)
Approved for use through 05/31/2024. OMB 0651-0032
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

The application data sheet is part of the provisional or nonprovisional application for which it is being submitted. The following form contains the bibliographic data arranged in a format specified by the United States Patent and Trademark Office as outlined in 37 CFR 1.76.
This document may be completed electronically and submitted to the Office in electronic format using the Electronic Filing System (EFS) or the document may be printed and included in a paper filed application.

Secrecy Order 37 CFR 5.2:

☐ Portions or all of the application associated with this Application Data Sheet may fall under a Secrecy Order pursuant to 37 CFR 5.2 (Paper filers only. Applications that fall under Secrecy Order may not be filed electronically.)

Inventor Information:

Inventor 1 Remove

Legal Name

Prefix	Given Name	Middle Name	Family Name	Suffix
	Bin		Liang	

Residence Information (Select One) ○ US Residency ◉ Non US Residency ○ Active US Military Service

City	Hong Kong	Country of Residence	CN

Mailing Address of Inventor:

Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Postal Code	999077	**Country**	CN

Inventor 2 Remove

Legal Name

Prefix	Given Name	Middle Name	Family Name	Suffix
	Jinzi	Jason	Wu	

Residence Information (Select One) ○ US Residency ◉ Non US Residency ○ Active US Military Service

City	Hong Kong	Country of Residence	CN

Mailing Address of Inventor:

Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Postal Code	999077	**Country**	CN

Inventor 3 Remove

Legal Name

PTO/AIA/14 (01-22)
Approved for use through 05/31/2024. OMB 0651-0032
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Prefix	Given Name	Middle Name	Family Name	Suffix
	Bailing		Yang	

Residence Information (Select One) ○ US Residency ◉ Non US Residency ○ Active US Military Service

City	Hong Kong	Country of Residence [i]	CN

Mailing Address of Inventor:

Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Postal Code	999077	**Country** [i]	CN

All Inventors Must Be Listed - Additional Inventor Information blocks may be generated within this form by selecting the **Add** button. Add

Correspondence Information:

Enter either Customer Number or complete the Correspondence Information section below.
For further information see 37 CFR 1.33(a).

☐ **An Address is being provided for the correspondence Information of this application.**

Customer Number	83682		
Email Address	dnevrivy@nevrivylaw.com	Add Email	Remove Email

Application Information:

Title of the Invention	GLP-1R Agonist and Therapeutic Method Thereof		
Attorney Docket Number	HRT-029US1	**Small Entity Status Claimed**	☒
Application Type	Nonprovisional		
Subject Matter	Utility		
Total Number of Drawing Sheets (if any)		**Suggested Figure for Publication (if any)**	

Filing By Reference:

Only complete this section when filing an application by reference under 35 U.S.C. 111(c) and 37 CFR 1.57(a). Do not complete this section if application papers including a specification and any drawings are being filed. Any domestic benefit or foreign priority information must be provided in the appropriate section(s) below (i.e., "Domestic Benefit/National Stage Information" and "Foreign Priority Information").

For the purposes of a filing date under 37 CFR 1.53(b), the description and any drawings of the present application are replaced by this reference to the previously filed application, subject to conditions and requirements of 37 CFR 1.57(a).

[i]

Application number of the previously filed application	Filing date (YYYY-MM-DD)	Intellectual Property Authority or Country

PTO/AIA/14 (01-22)
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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Publication Information:

☐	Request Early Publication (Fee required at time of Request 37 CFR 1.219)
☐	**Request Not to Publish.** I hereby request that the attached application not be published under 35 U.S.C. 122(b) and certify that the invention disclosed in the attached application **has not and will not** be the subject of an application filed in another country, or under a multilateral international agreement, that requires publication at eighteen months after filing.

Representative Information:

Representative information should be provided for all practitioners having a power of attorney in the application. Providing this information in the Application Data Sheet does not constitute a power of attorney in the application (see 37 CFR 1.32).
Either enter Customer Number or complete the Representative Name section below. If both sections are completed the customer Number will be used for the Representative Information during processing.

Please Select One:	◉ Customer Number	○ US Patent Practitioner	○ Limited Recognition (37 CFR 11.9)
Customer Number	83682		

Domestic Benefit/National Stage Information:

This section allows for the applicant to either claim benefit under 35 U.S.C. 119(e), 120, 121, 365(c), or 386(c) or indicate National Stage entry from a PCT application. Providing benefit claim information in the Application Data Sheet constitutes the specific reference required by 35 U.S.C. 119(e) or 120, and 37 CFR 1.78.
When referring to the current application, please leave the "Application Number" field blank.

Prior Application Status	Pending		Remove
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63538892	2023-09-18
Prior Application Status	Pending		Remove
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63545615	2023-10-25
Prior Application Status	Pending		Remove
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63603854	2023-11-29

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Prior Application Status	Pending	Remove	
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63673453	2024-07-19
Additional Domestic Benefit/National Stage Data may be generated within this form by selecting the **Add** button.		Add	

Foreign Priority Information:

This section allows for the applicant to claim priority to a foreign application. Providing this information in the application data sheet constitutes the claim for priority as required by 35 U.S.C. 119(b) and 37 CFR 1.55. When priority is claimed to a foreign application that is eligible for retrieval under the priority document exchange program (PDX)[i] the information will be used by the Office to automatically attempt retrieval pursuant to 37 CFR 1.55(i)(1) and (2). Under the PDX program, applicant bears the ultimate responsibility for ensuring that a copy of the foreign application is received by the Office from the participating foreign intellectual property office, or a certified copy of the foreign priority application is filed, within the time period specified in 37 CFR 1.55(g)(1).

Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311189557.X	CN	2023-09-14	1A84
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311371725.7	CN	2023-10-23	5359
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311582240.2	CN	2023-11-24	921B
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311686034.6	CN	2023-12-08	AF8F
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410142451.2	CN	2024-01-31	151D
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410202078.5	CN	2024-02-22	2F87
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410398964.X	CN	2024-04-02	6C5B

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410584679.7	CN	2024-05-11	B096
202410963587.X	CN	2024-07-17	3EA5
202411237048.4	CN	2024-09-04	

Remove

Additional Foreign Priority Data may be generated within this form by selecting the **Add** button. Add

Statement under 37 CFR 1.55 or 1.78 for AIA (First Inventor to File) Transition Applications

☐ This application (1) claims priority to or the benefit of an application filed before March 16, 2013 and (2) also contains, or contained at any time, a claim to a claimed invention that has an effective filing date on or after March 16, 2013.
NOTE: By providing this statement under 37 CFR 1.55 or 1.78, this application, with a filing date on or after March 16, 2013, will be examined under the first inventor to file provisions of the AIA.

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Authorization or Opt-Out of Authorization to Permit Access:

When this Application Data Sheet is properly signed and filed with the application, applicant has provided written authority to permit a participating foreign intellectual property (IP) office access to the instant application-as-filed (see paragraph A in subsection 1 below) and the European Patent Office (EPO) access to any search results from the instant application (see paragraph B in subsection 1 below).

Should applicant choose not to provide an authorization identified in subsection 1 below, applicant **must opt-out** of the authorization by checking the corresponding box A or B or both in subsection 2 below.

NOTE: This section of the Application Data Sheet is **ONLY** reviewed and processed with the **INITIAL** filing of an application. After the initial filing of an application, an Application Data Sheet cannot be used to provide or rescind authorization for access by a foreign IP office(s). Instead, Form PTO/SB/39 or PTO/SB/69 must be used as appropriate.

1. Authorization to Permit Access by a Foreign Intellectual Property Office(s)

A. Priority Document Exchange (PDX) - Unless box A in subsection 2 (opt-out of authorization) is checked, the undersigned hereby **grants the USPTO authority** to provide the European Patent Office (EPO), the Japan Patent Office (JPO), the Korean Intellectual Property Office (KIPO), the State Intellectual Property Office of the People's Republic of China (SIPO), the World Intellectual Property Organization (WIPO), and any other foreign intellectual property office participating with the USPTO in a bilateral or multilateral priority document exchange agreement in which a foreign application claiming priority to the instant patent application is filed, access to: (1) the instant patent application-as-filed and its related bibliographic data, (2) any foreign or domestic application to which priority or benefit is claimed by the instant application and its related bibliographic data, and (3) the date of filing of this Authorization. See 37 CFR 1.14(h)(1).

B. Search Results from U.S. Application to EPO - Unless box B in subsection 2 (opt-out of authorization) is checked, the undersigned hereby **grants the USPTO authority** to provide the EPO access to the bibliographic data and search results from the instant patent application when a European patent application claiming priority to the instant patent application is filed. See 37 CFR 1.14(h)(2).

The applicant is reminded that the EPO's Rule 141(1) EPC (European Patent Convention) requires applicants to submit a copy of search results from the instant application without delay in a European patent application that claims priority to the instant application.

2. Opt-Out of Authorizations to Permit Access by a Foreign Intellectual Property Office(s)

☐ A. Applicant **DOES NOT** authorize the USPTO to permit a participating foreign IP office access to the instant application-as-filed. If this box is checked, the USPTO will not be providing a participating foreign IP office with any documents and information identified in subsection 1A above.

☐ B. Applicant **DOES NOT** authorize the USPTO to transmit to the EPO any search results from the instant patent application. If this box is checked, the USPTO will not be providing the EPO with search results from the instant application.

NOTE: Once the application has published or is otherwise publicly available, the USPTO may provide access to the application in accordance with 37 CFR 1.14.

PTO/AIA/14 (01-22)
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U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Applicant Information:

Providing assignment information in this section does not substitute for compliance with any requirement of part 3 of Title 37 of CFR to have an assignment recorded by the Office.

Applicant 1 Remove

If the applicant is the inventor (or the remaining joint inventor or inventors under 37 CFR 1.45), this section should not be completed. The information to be provided in this section is the name and address of the legal representative who is the applicant under 37 CFR 1.43; or the name and address of the assignee, person to whom the inventor is under an obligation to assign the invention, or person who otherwise shows sufficient proprietary interest in the matter who is the applicant under 37 CFR 1.46. If the applicant is an applicant under 37 CFR 1.46 (assignee, person to whom the inventor is obligated to assign, or person who otherwise shows sufficient proprietary interest) together with one or more joint inventors, then the joint inventor or inventors who are also the applicant should be identified in this section.

Clear

(•) Assignee	( ) Legal Representative under 35 U.S.C. 117	( ) Joint Inventor
( ) Person to whom the inventor is obligated to assign.	( ) Person who shows sufficient proprietary interest	

If applicant is the legal representative, indicate the authority to file the patent application, the inventor is:

Name of the Deceased or Legally Incapacitated Inventor:

If the Applicant is an Organization check here. ☒

Organization Name	Ascletis Pharma (China) Co., Limited		
Mailing Address Information For Applicant:			
Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Country	CN	Postal Code	999077
Phone Number		Fax Number	
Email Address			

Additional Applicant Data may be generated within this form by selecting the Add button. Add

Assignee Information including Non-Applicant Assignee Information:

Providing assignment information in this section does not substitute for compliance with any requirement of part 3 of Title 37 of CFR to have an assignment recorded by the Office.

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Assignee 1

Complete this section if assignee information, including non-applicant assignee information, is desired to be included on the patent application publication. An assignee-applicant identified in the "Applicant Information" section will appear on the patent application publication as an applicant. For an assignee-applicant, complete this section only if identification as an assignee is also desired on the patent application publication.

Remove

If the Assignee or Non-Applicant Assignee is an Organization check here. ☐

Prefix	**Given Name**	Middle Name	**Family Name**	Suffix

Mailing Address Information For Assignee including Non-Applicant Assignee:

Address 1			
Address 2			
City		**State/Province**	
Country i		Postal Code	
Phone Number		Fax Number	
Email Address			

Additional Assignee or Non-Applicant Assignee Data may be generated within this form by selecting the Add button. Add

Signature:

Remove

NOTE: This Application Data Sheet must be signed in accordance with 37 CFR 1.33(b). **However, if this Application Data Sheet is submitted with the INITIAL filing of the application and either box A or B is not checked in subsection 2 of the "Authorization or Opt-Out of Authorization to Permit Access" section, then this form must also be signed in accordance with 37 CFR 1.14(c).**

This Application Data Sheet **must** be signed by a patent practitioner if one or more of the applicants is a **juristic entity** (e.g., corporation or association). If the applicant is two or more joint inventors, this form must be signed by a patent practitioner, **all** joint inventors who are the applicant, or one or more joint inventor-applicants who have been given power of attorney (e.g., see USPTO Form PTO/AIA/81) on behalf of **all** joint inventor-applicants.

See 37 CFR 1.4(d) for the manner of making signatures and certifications.

Signature	/Daniel Nevrivy/			Date (YYYY-MM-DD)	2024-09-13
First Name	Daniel	Last Name	Nevrivy	Registration Number	59118

Additional Signature may be generated within this form by selecting the Add button. Add

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U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

This collection of information is required by 37 CFR 1.76. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 23 minutes to complete, including gathering, preparing, and submitting the completed application data sheet form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

Privacy Act Statement

The Privacy Act of 1974 (P.L. 93-579) requires that you be given certain information in connection with your submission of the attached form related to a patent application or patent. Accordingly, pursuant to the requirements of the Act, please be advised that: (1) the general authority for the collection of this information is 35 U.S.C. 2(b)(2); (2) furnishing of the information solicited is voluntary; and (3) the principal purpose for which the information is used by the U.S. Patent and Trademark Office is to process and/or examine your submission related to a patent application or patent. If you do not furnish the requested information, the U.S. Patent and Trademark Office may not be able to process and/or examine your submission, which may result in termination of proceedings or abandonment of the application or expiration of the patent.

The information provided by you in this form will be subject to the following routine uses:

1 The information on this form will be treated confidentially to the extent allowed under the Freedom of Information Act (5 U.S.C. 552) and the Privacy Act (5 U.S.C. 552a). Records from this system of records may be disclosed to the Department of Justice to determine whether the Freedom of Information Act requires disclosure of these records.

2. A record from this system of records may be disclosed, as a routine use, in the course of presenting evidence to a court, magistrate, or administrative tribunal, including disclosures to opposing counsel in the course of settlement negotiations.

3 A record in this system of records may be disclosed, as a routine use, to a Member of Congress submitting a request involving an individual, to whom the record pertains, when the individual has requested assistance from the Member with respect to the subject matter of the record.

4. A record in this system of records may be disclosed, as a routine use, to a contractor of the Agency having need for the information in order to perform a contract. Recipients of information shall be required to comply with the requirements of the Privacy Act of 1974, as amended, pursuant to 5 U.S.C. 552a(m).

5. A record related to an International Application filed under the Patent Cooperation Treaty in this system of records may be disclosed, as a routine use, to the International Bureau of the World Intellectual Property Organization, pursuant to the Patent CooperationTreaty.

6. A record in this system of records may be disclosed, as a routine use, to another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c)).

7. A record from this system of records may be disclosed, as a routine use, to the Administrator, General Services, or his/her designee, during an inspection of records conducted by GSA as part of that agency's responsibility to recommend improvements in records management practices and programs, under authority of 44 U.S.C. 2904 and 2906. Such disclosure shall be made in accordance with the GSA regulations governing inspection of records for this purpose, and any other relevant (i.e., GSA or Commerce) directive. Such disclosure shall not be used to make determinations about individuals.

8. A record from this system of records may be disclosed, as a routine use, to the public after either publication of the application pursuant to 35 U.S.C. 122(b) or issuance of a patent pursuant to 35 U.S.C. 151. Further, a record may be disclosed, subject to the limitations of 37 CFR 1.14, as a routine use, to the public if the record was filed in an application which became abandoned or in which the proceedings were terminated and which application is referenced by either a published application, an application open to public inspections or an issued patent.

9. A record from this system of records may be disclosed, as a routine use, to a Federal, State, or local law enforcement agency, if the USPTO becomes aware of a violation or potential violation of law or regulation.

Docusign Envelope ID: 13FFE574-9984-48B5-80DE-1716F91C169E

PTO/AIA/01 (06-12)
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DECLARATION (37 CFR 1.63) FOR UTILITY OR DESIGN APPLICATION USING AN APPLICATION DATA SHEET (37 CFR 1.76)

Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof

As the below named inventor, I hereby declare that:

This declaration is directed to:

- [x] The attached application, or
- [ ] United States application or PCT international application number _____ filed on _____.

The above-identified application was made or authorized to be made by me.

I believe that I am the original inventor or an original joint inventor of a claimed invention in the application.

I hereby acknowledge that any willful false statement made in this declaration is punishable under 18 U.S.C. 1001 by fine or imprisonment of not more than five (5) years, or both.

WARNING:

Petitioner/applicant is cautioned to avoid submitting personal information in documents filed in a patent application that may contribute to identity theft. Personal information such as social security numbers, bank account numbers, or credit card numbers (other than a check or credit card authorization form PTO-2038 submitted for payment purposes) is never required by the USPTO to support a petition or an application. If this type of personal information is included in documents submitted to the USPTO, petitioners/applicants should consider redacting such personal information from the documents before submitting them to the USPTO. Petitioner/applicant is advised that the record of a patent application is available to the public after publication of the application (unless a non-publication request in compliance with 37 CFR 1.213(a) is made in the application) or issuance of a patent. Furthermore, the record from an abandoned application may also be available to the public if the application is referenced in a published application or an issued patent (see 37 CFR 1.14). Checks and credit card authorization forms PTO-2038 submitted for payment purposes are not retained in the application file and therefore are not publicly available.

LEGAL NAME OF INVENTOR

Inventor: Bailing Yang Date (Optional): 2024.09.06

Signature: 签署人: Yang Bailing FB1D7D98DE43430...

Note: An application data sheet (PTO/AIA/14 or equivalent), including naming the entire inventive entity, must accompany this form or must have been previously filed. Use an additional PTO/AIA/01 form for each additional inventor.

A Federal agency may not conduct or sponsor, and a person is not required to respond to, nor shall a person be subject to a penalty for failure to comply with an information collection subject to the requirements of the Paperwork Reduction Act of 1995, unless the information collection has a currently valid OMB Control Number. The OMB Control Number for this information collection is 0651-0032. Public burden for this form is estimated to average 1 minute per response, including the time for reviewing instructions, searching existing data sources, gathering and maintaining the data needed, and completing and reviewing the information collection. Send comments regarding this burden estimate or any other aspect of this information collection, including suggestions for reducing this burden to the Chief Administrative Officer, United States Patent and Trademark Office, P.O. Box 1450, Alexandria, VA 22313-1450 or email InformationCollection@uspto.gov. **DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS.** If filing this completed form by mail, send to: **Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.

Docusign Envelope ID: 13FFE574-9984-48B5-80DE-1716F91C169E

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The Privacy Act of 1974 (P.L. 93-579) requires that you be given certain information in connection with your submission of the attached form related to a patent application or patent. The United States Patent and Trademark Office (USPTO) collects the information in this record under authority of 35 U.S.C. 2. The USPTO's system of records is used to manage all applicant and owner information including name, citizenship, residence, post office address, and other information with respect to inventors and their legal representatives pertaining to the applicant's/owner's activities in connection with the invention for which a patent is sought or has been granted. The applicable Privacy Act System of Records Notice for the information collected in this form is COMMERCE/PAT-TM-7 Patent Application Files, available in the Federal Register at 78 FR 19243 (March 29, 2013). https://www.govinfo.gov/content/pkg/FR-2013-03-29/pdf/2013-07341.pdf

Routine uses of the information in this record may include disclosure to: 1) law enforcement, in the event that the system of records indicates a violation or potential violation of law; 2) a Federal, state, local, or international agency, in response to its request; 3) a contractor of the USPTO having need for the information in order to perform a contract; 4) the Department of Justice for determination of whether the Freedom of Information Act (FOIA) requires disclosure of the record; 5) a Member of Congress submitting a request involving an individual to whom the record pertains, when the individual has requested the Member's assistance with respect to the subject matter of the record; 6) a court, magistrate, or administrative tribunal, in the course of presenting evidence, including disclosures to opposing counsel in the course of settlement negotiations; 7) the Administrator, General Services Administration (GSA), or their designee, during an inspection of records conducted by GSA under authority of 44 U.S.C. 2904 and 2906, in accordance with the GSA regulations and any other relevant (i.e., GSA or Commerce) directive, where such disclosure shall not be used to make determinations about individuals; 8) another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c)); 9) the Office of Personnel Management (OPM) for personnel research purposes; and 9) the Office of Management and Budget (OMB) for legislative coordination and clearance.

If you do not furnish the information requested on this form, the USPTO may not be able to process and/or examine your submission, which may result in termination of proceedings, abandonment of the application, and/or expiration of the patent.

Additional Uses

Additional USPTO uses of the information in this record may include disclosure to: 1) the International Bureau of the World Intellectual Property Organization, if the record is related to an international application filed under the Patent Cooperation Treaty; 2) the public i) after publication of the application pursuant to 35 U.S.C. 122(b), ii) after issuance of a patent pursuant to 35 U.S.C. 151, iii) if the record was filed in an application which became abandoned or in which the proceedings were terminated and which application is referenced by either a published application, an application open to public inspections, or an issued patent, or iv) without publication of the application or patent under the specific circumstances provided for by 37 CFR 1.14(a)(1)(v)-(vii); and/or 3) the National Archives and Records Administration, for inspection of records.

UNITED STATES
PATENT AND TRADEMARK OFFICE

P.O. Box 1450
Alexandria, VA 22313 - 1450
www.uspto.gov

ELECTRONIC PAYMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**09/13/2024 04:02:56 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67166648	AUTHORIZED BY	-
CUSTOMER #	83682	FILING DATE	-
CORRESPONDENCE ADDRESS	-	FIRST NAMED INVENTOR	Bin Liang

Payment Information

PAYMENT METHOD	PAYMENT TRANSACTION ID	PAYMENT AUTHORIZED BY
CARD / 7980	**E20249CG05438806**	**Daniel Nevrivy**

FEE CODE	DESCRIPTION	ITEM PRICE($)	QUANTITY	ITEM TOTAL($)
2830	PROCESSING FEE, EXCEPT IN PROVISIONAL APPLICATIONS	56.00	1	56.00
2817	REQUEST FOR PRIORITIZED EXAMINATION	1680.00	1	1680.00
2111	UTILITY PATENT APPL. SEARCH FEE	280.00	1	280.00
4011	BASIC FILING FEE- UTILITY	64.00	1	64.00
2311	EXAMINATION OF ORIGINAL PATENT APPLICATION	320.00	1	320.00
2054	Non-DOCX Filing Surcharge	160.00	1	160.00
2081	UTILITY APPL. SIZE FEE > THAN 100 PAGES (FEE X PAGES >= 101 IN 50 PAGE INCREMENTS)	168.00	1	168.00

TOTAL AMOUNT:	**$2,728.00**

This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.

New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.

United States Patent and Trademark Office

Office of the Chief Financial Officer

Document Code:WFEE

User :Alma Balinang

Sale Accounting Date:09/25/2024

Sale Item Reference Number	Effective Date
18884965	09/13/2024

Document Number	Fee Code	Fee Code Description	Amount Paid	Payment Method
I20249O841226704	2202	CLAIMS IN EXCESS OF 20	$200.00	Deposit Account

PATENT APPLICATION FEE DETERMINATION RECORD

Substitute for Form PTO-875

Application or Docket Number: 18/884,965

APPLICATION AS FILED - PART I

FOR	(Column 1) NUMBER FILED	(Column 2) NUMBER EXTRA	SMALL ENTITY RATE($)	SMALL ENTITY FEE($)	OR	OTHER THAN SMALL ENTITY RATE($)	OTHER THAN SMALL ENTITY FEE($)
BASIC FEE (37 CFR 1.16(a), (b), or (c))	N/A	N/A	N/A	64		N/A	
SEARCH FEE (37 CFR 1.16(k), (i), or (m))	N/A	N/A	N/A	280		N/A	
EXAMINATION FEE (37 CFR 1.16(o), (p), or (q))	N/A	N/A	N/A	320		N/A	
TOTAL CLAIMS (37 CFR 1.16(i))	25 minus 20 =	* 5	x 40 =	200	OR		
INDEPENDENT CLAIMS (37 CFR 1.16(h))	2 minus 3 =	*	x =	0			
APPLICATION SIZE FEE (37 CFR 1.16(s))	If the specification and drawings exceed 100 sheets of paper, the application size fee due is $310 ($155 for small entity) for each additional 50 sheets or fraction thereof. See 35 U.S.C. 41(a)(1)(G) and 37 CFR 1.16(s).			168			
MULTIPLE DEPENDENT CLAIM PRESENT (37 CFR 1.16(j))				0			
* If the difference in column 1 is less than zero, enter "0" in column 2.			TOTAL	1032		TOTAL	

APPLICATION AS AMENDED - PART II

		(Column 1) CLAIMS REMAINING AFTER AMENDMENT		(Column 2) HIGHEST NUMBER PREVIOUSLY PAID FOR	(Column 3) PRESENT EXTRA	SMALL ENTITY RATE($)	SMALL ENTITY ADDITIONAL FEE($)	OR	OTHER THAN SMALL ENTITY RATE($)	OTHER THAN SMALL ENTITY ADDITIONAL FEE($)
AMENDMENT A	Total (37 CFR 1.16(i))	*	Minus	**	=	x =		OR	x =	
	Independent (37 CFR 1.16(h))	*	Minus	***	=	x =		OR	x =	
	Application Size Fee (37 CFR 1.16(s))									
	FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))							OR		
						TOTAL ADD'L FEE		OR	TOTAL ADD'L FEE	

		(Column 1) CLAIMS REMAINING AFTER AMENDMENT		(Column 2) HIGHEST NUMBER PREVIOUSLY PAID FOR	(Column 3) PRESENT EXTRA	RATE($)	ADDITIONAL FEE($)	OR	RATE($)	ADDITIONAL FEE($)
AMENDMENT B	Total (37 CFR 1.16(i))	*	Minus	**	=	x =		OR	x =	
	Independent (37 CFR 1.16(h))	*	Minus	***	=	x =		OR	x =	
	Application Size Fee (37 CFR 1.16(s))									
	FIRST PRESENTATION OF MULTIPLE DEPENDENT CLAIM (37 CFR 1.16(j))							OR		
						TOTAL ADD'L FEE		OR	TOTAL ADD'L FEE	

* If the entry in column 1 is less than the entry in column 2, write "0" in column 3.
** If the "Highest Number Previously Paid For" IN THIS SPACE is less than 20, enter "20".
*** If the "Highest Number Previously Paid For" IN THIS SPACE is less than 3, enter "3".
The "Highest Number Previously Paid For" (Total or Independent) is the highest found in the appropriate box in column 1.

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APPLICATION NUMBER	FILING or 371(c) DATE	GRP ART UNIT	FIL FEE REC'D	ATTY.DOCKET.NO	TOT CLAIMS	IND CLAIMS
18/884,965	09/13/2024		1192	HRT-029US1	25	2

CONFIRMATION NO. 9471

FILING RECEIPT

83682
Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007



Date Mailed: 09/27/2024

Receipt is acknowledged of this non-provisional utility patent application. The application will be taken up for examination in due course. Applicant will be notified as to the results of the examination. Any correspondence concerning the application must include the following identification information: the U.S. APPLICATION NUMBER, FILING DATE, NAME OF FIRST INVENTOR, and TITLE OF INVENTION. Fees transmitted by check or draft are subject to collection.

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Inventor(s)

Bin Liang, Hong Kong, CHINA;
Jinzi Jason Wu, Hong Kong, CHINA;
Bailing Yang, Hong Kong, CHINA;

Applicant(s)

Ascletis Pharma (China) Co., Limited, Hong Kong, CHINA;

Power of Attorney: The patent practitioners associated with Customer Number 83682

Domestic Priority data as claimed by applicant

This appln claims benefit of 63/538,892 09/18/2023
and claims benefit of 63/545,615 10/25/2023
and claims benefit of 63/603,854 11/29/2023
and claims benefit of 63/673,453 07/19/2024

Foreign Applications (You may be eligible to benefit from the Patent Prosecution Highway program at the USPTO. Please see http://www.uspto.gov for more information.)
CHINA 202311189557.X 09/14/2023 Access Code Provided
CHINA 202311371725.7 10/23/2023 Access Code Provided
CHINA 202311582240.2 11/24/2023 Access Code Provided
CHINA 202311686034.6 12/08/2023 Access Code Provided
CHINA 202410142451.2 01/31/2024 Access Code Provided
CHINA 202410202078.5 02/22/2024 Access Code Provided
CHINA 202410398964.X 04/02/2024 Access Code Provided

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CHINA 202411237048.4 09/04/2024 No Access Code Provided

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The country code and number of your priority application, to be used for filing abroad under the Paris Convention, is **US 18/884,965**

Projected Publication Date: 03/20/2025

Non-Publication Request: No

Early Publication Request: No

**** SMALL ENTITY ****

Title

GLP-1R Agonist and Therapeutic Method Thereof

Preliminary Class

Statement under 37 CFR 1.55 or 1.78 for AIA (First Inventor to File) Transition Applications: No

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Derrick Brent
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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	09/13/2024	Bin Liang	HRT-029US1	9471

83682 7590 10/25/2024

Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

EXAMINER
CENTRAL, DOCKET

ART UNIT	PAPER NUMBER
OPAP	

NOTIFICATION DATE	DELIVERY MODE
10/25/2024	ELECTRONIC

Please find below and/or attached an Office communication concerning this application or proceeding.

The time period for reply, if any, is set in the attached communication.

Notice of the Office communication was sent electronically on above-indicated "Notification Date" to the following e-mail address(es):

bcastro@nevrivylaw.com
dnevrivy@nevrivylaw.com
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Decision Granting Request for Prioritized Examination (Track I)	**Application No.** 18/884,965	**Applicant(s)** Liang et al.	
	Examiner FIKIRTE A GEREMEW	**Art Unit** OMBL	**AIA (FITF) Status** Yes

1. THE REQUEST FILED 13 September 2024 IS **GRANTED** .

The above-identified application has met the requirements for prioritized examination

A. ☑ for an original nonprovisional application (Track I).
B. ☐ for an application undergoing continued examination (RCE).

2. **The above-identified application will undergo prioritized examination**. The application will be accorded special status throughout its entire course of prosecution until one of the following occurs:

A. filing a **petition for extension of time** to extend the time period for filing a reply;

B. filing an **amendment to amend the application to contain more than four independent claims, more than thirty total claims** , or a multiple dependent claim;

C. filing a **request for continued examination** ;

D. filing a notice of appeal;

E. filing a request for suspension of action;

F. mailing of a notice of allowance;

G. mailing of a final Office action;

H. completion of examination as defined in 37 CFR 41.102; or

I. abandonment of the application.

Telephone inquiries with regard to this decision should be directed to FIKIRTE GEREMEW at (703) 756-1930. In his/her absence, calls may be directed to Petition Help Desk at (571) 272-3282.

/FIKIRTE A GEREMEW/ PROGRAM SUPPORT SPECIALIST, OMBL	

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ELECTRONIC ACKNOWLEDGEMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**11/02/2024 07:10:53 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67820040	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 53

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
FP1_compressed.pdf	286	Foreign Reference	14173 KB
FP4_compressed.pdf	281	Foreign Reference	14885 KB
FP3_compressed-2.pdf	339	Foreign Reference	16586 KB
FP2_-1-.pdf	480	Foreign Reference	18491 KB
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PleadingIDS2.pdf	12	Transmittal Letter	59 KB
IDS2.pdf	8	Information Disclosure Statement (IDS) Form (SB08)	1317 KB

Digest

DOCUMENT	MESSAGE DIGEST(SHA-512)
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FP15.pdf	2F2AB8D87A7A304A988F930AB6ECD21045124B48DC7F609FA E59A44B88A8E167747B288C61580E82C5EBB4AAAE5D350F33 C8B4B659D948F8E11EABD13040FBF8
FP20.pdf	BFB68757AD7ABE942685BD00C9641C710B72C3F5C600AB211 DB157CBFCEF5E8123D6EF4C7CB897E7A98F1A585E06AB779 460474B38B3CE7A38C4B8804E2A71EC
FP19.pdf	8F80D62627ACEC0527D39BE9681E0B25B920278D216C7DE95 57D098A0953F033A2F7449571F0EE840B33E9EAC2E8C70A48

	1B338E872B2A520413F83E16FDF151
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If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (**Not for submission under 37 CFR 1.99)**		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

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Examiner Initial*	Cite No	Foreign Document Number[3]	Country Code[2] i	Kind Code[4]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear	T[5]
	1	2022042691	WO	A1	2022-03-03	GASHERBRUM BIO INC		☐
	2	2022078407	WO	A1	2022-04-21	GASHERBRUM BIO INC		☐
	3	2022078380	WO	A1	2022-04-21	GASHERBRUM BIO INC		☐

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	Attorney Docket Number	HRT-029US1

	4	2023138684	WO	A1	2023-07-27	GASHERBRUM BIO INC		☐
	5	2023179542	WO	A1	2023-09-28	GASHERBRUM BIO INC		☐
	6	2023198140	WO	A1	2023-10-19	GASHERBRUM BIO INC		☐
	7	2024131869	WO	A1	2024-06-27	GASHERBRUM BIO INC		☐
	8	2024138048	WO	A1	2024-06-27	GASHERBRUM BIO INC		☐
	9	2021187886	WO	A1	2021-09-23	LG CHEMICAL LTD		☐
	10	115279750	CN	A	2022-11-01	LG CHEMICAL LTD		☐
	11	2022216094	WO	A1	2022-10-13	LG CHEMICAL LTD		☐
	12	117279904	CN	A	2023-12-22	LG CHEMICAL LTD		☐
	13	2021197464	WO	A1	2021-10-07	JIANGSU HENGRUI MEDICINE CO		☐
	14	113801136	CN	B	2023-04-07	Jiangsu Hengrui Medicine Co., Ltd.		☐

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	Attorney Docket Number	HRT-029US1

	15	113816948	CN	B	2023-08-11	Jiangsu Hengrui Medicine Co., Ltd.		☐
	16	2021254470	WO	A1	2021-12-23	JIANGSU HENGRUI MEDICINE CO		☐
	17	2022007979	WO	A1	2022-01-13	JIANGSU HENGRUI MEDICINE CO		☐
	18	114805336	CN	A	2022-07-29	JIANGSU HENGRUI MEDICINE CO		☐
	19	2023116882	WO	A1	2023-06-29	JIANGSU HENGRUI PHARMACEUTICALS CO LTD		☐
	20	2023116879	WO	A1	2023-06-29	JIANGSU HENGRUI PHARMACEUTICALS CO LTD		☐
	21	2021244645	WO	A1	2021-12-09	SCIWIND BIOSCIENCES CO LTD [CN]; MEDSHINE DISCOVER		☐
	22	2023098852	WO	A1	2023-06-08	HANGZHOU SCIWIND BIOSCIENCES CO LTD		☐
	23	113773310	CN	B	2023-12-22	Chongqing Kangding Pharmaceutical Technology Co.		☐
	24	2021249492	WO	A1	2021-12-16	MEDSHINE DISCOVERY INC		☐
	25	2023025201	WO	A1	2023-03-02	MEDSHINE DISCOVERY INC		☐

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	Attorney Docket Number	HRT-029US1

	26	113831337	CN	B	2023-03-24	Guangzhou Hengnuokang Pharmaceutical Technology Co		☐
	27	113493447	CN	B	2024-06-11	Xuanzhu (Beijing) Pharmaceutical Technology Co., L		☐
	28	2022040600	WO	A1	2022-02-24	TERNS PHARMACEUTICALS INC		☐
	29	2023049518	WO	A1	2023-03-30	TERNS PHARMACEUTICALS INC		☐
	30	2023076237	WO	A1	2023-05-04	TERNS PHARMACEUTICALS INC		☐
	31	2023164050	WO	A1	2023-08-31	TERNS PHARMACEUTICALS INC		☐
	32	2022068772	WO	A1	2022-04-07	SHENZHEN SALUBRIS PHARM CO LTD		☐
	33	2022111624	WO	A1	2022-06-02	SHENZHEN SALUBRIS PHARM CO LTD		☐
	34	115594669	CN	A	2023-01-13	SHENZHEN SALUBRIS PHARM CO LTD		☐
	35	2023011395	WO	A	2023-01-13	SHENZHEN SALUBRIS PHARM CO LTD		☐
	36	115703792	CN	A	2023-02-17	SHENZHEN SALUBRIS PHARM CO LTD		☐

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	37	116217558	CN	A	2023-06-06	SHENZHEN SALUBRIS PHARM CO LTD		☐
	38	117003749	CN	A	2023-11-07	SHENZHEN SALUBRIS PHARM CO LTD		☐
	39	117003748	CN	A	2023-11-07	SHENZHEN SALUBRIS PHARM CO LTD		☐
	40	117105932	CN	A	2023-11-24	SHENZHEN SALUBRIS PHARM CO LTD		☐
	41	117100752	CN	A	2023-11-24	SHENZHEN SALUBRIS PHARM CO LTD		☐
	42	2022078152	WO	A1	2022-04-21	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐
	43	114478497	CN	B	2022-05-13	HANGZHOU ZHONGMEI EAST CHINA PHARMACY LTD COMPAN		☐
	44	114634510	CN	A	2022-06-17	HANGZHOU ZHONGMEI EAST CHINA PHARMACY LTD COMPAN		☐
	45	114907351	CN	A	2022-08-16	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	46	2022199458	WO	A1	2022-09-29	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐
	47	2022268152	WO	A1	2022-12-29	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐

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	Attorney Docket Number	HRT-029US1

	48	114478497	CN	A	2022-05-13	HANGZHOU ZHONGMEI EAST CHINA PHARMACY LTD COMPANY		☐
	49	117586240	CN	A	2024-02-23	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	50	2024125446	WO	A1	2024-06-20	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐

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	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

CERTIFICATION STATEMENT

Please see 37 CFR 1.97(e) to make the appropriate selection(s):

☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

OR

☐ That no item of information contained in the information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application, and, to the knowledge of the person signing the certification after making reasonable inquiry, no item of information contained in the information disclosure statement was known to any individual designated in 37 CFR 1.56(c) more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(2).

☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

☐ The fee set forth in 37 CFR 1.17 (p) has been submitted herewith.

COPIES

☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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In re application of: Liang *et al.*	Confirmation No.: 9471
Appl. No.: 18/884,965	Art Unit: 1621
Filed: September 13, 2024	Examiner: NILOOFAR RAHMANI
For: **GLP-1R Agonist and Therapeutic Method Thereof**	Atty. Docket: HRT-029US1

Information Disclosure Statement Under 37 C.F.R. § 1.97(b)

Commissioner for Patents
PO Box 1450
Alexandria, VA 22313-1450

Madam:

Listed on accompanying IDS Form PTO/SB/08a are document(s) that may be considered material to the patentability of this application as defined in 37 C.F.R. § 1.56, and in compliance with the duty of disclosure requirements of 37 C.F.R. § 1.97 and 1.98.

Where the date of a listed document does not provide a month of publication, the year of publication of the listed document is sufficiently earlier than the effective U.S. filing date and any foreign priority date so that the month of publication is not in issue. Applicant has listed dates on the attached IDS Forms based on information presently available to the undersigned. However, the listed dates should not be construed as an admission that the information was actually published on the date indicated.

Documents FP9-FP21, FP23-FP27 and FP32-FP50 are in a foreign language.

Below are the translated English language Abstracts of FP9-FP21, FP23-FP27 and FP32-FP50 that are provided to provide a concise statement of the relevance thereof.

Document FP9 Abstract: The present invention relates to a novel compound useful as an agent for treatment or prophylaxis of various metabolic diseases such as obesity or diabetes and hyperlipidemia, by means of excellent GLP-1 agonist activity and an excellent DMPK profile, an isomer thereof or a pharmaceutically acceptable salt thereof, a pharmaceutical composition comprising the compound, and a method for preparing the compound.

Document FP10 Abstract: The present invention relates to a novel compound, an isomer thereof or a pharmaceutically acceptable salt thereof, a pharmaceutical composition comprising the compound, and a method for preparing the compound, the compound is useful as a drug for the treatment or prevention of various metabolic diseases such as obesity or diabetes and hyperlipidemia through excellent GLP-1 agonist activity and excellent DMPK properties.

Document FP11 Abstract: The present invention relates to: a novel compound having excellent GLP-1 agonist activity and an excellent DMPK profile, and thus useful as an agent for treating or preventing obesity or various metabolic diseases such as diabetes and hyperlipidemia; an isomer thereof or a pharmaceutically acceptable salt thereof; a pharmaceutical composition comprising the compound; and a method for preparing the compound.

Document FP12 Abstract: The present invention relates to: a novel compound which has excellent GLP-1 agonist activity and excellent DMPK properties and thus can be used as an agent for treating or preventing obesity or various metabolic diseases such as diabetes and hyperlipidemia; an isomer thereof or a pharmaceutically acceptable salt

thereof; pharmaceutical compositions comprising such compounds; and methods of making the compounds.

Document FP13 Abstract: The present disclosure relates to fused imidazole derivatives, preparation methods and medical use thereof. Specifically, the present disclosure relates to a fused imidazole derivative represented by the general formula (I), the preparation method thereof, and the pharmaceutical composition containing the same, as well as the use as a therapeutic agent, especially as GLP-1 receptor agonists, and the use thereof in the preparation of medicaments for the treatment and/or prevention of diabetes. The substituents of general formula (I) are the same as defined in the specification.

Document FP14 Abstract: The invention relates to an imidazo heteroaryl derivative as well as a preparation method and medical application thereof. Specifically, the invention relates to an imidazo heteroaryl derivative as shown in a general formula (I) defined in the description, a preparation method thereof, a pharmaceutical composition containing the derivative, and application of the derivative as a therapeutic agent, especially an application of the derivative as a GLP-1 receptor agonist and an application of the derivative in preparation of drugs for treating and/or preventing diabetes.

Document FP15 Abstract: The invention relates to a condensed imidazole derivative, a preparation method thereof and application of the condensed imidazole derivative in medicine. Specifically, the invention relates to a condensed imidazole derivative as shown in a general formula (I), a preparation method thereof, a pharmaceutical composition containing the derivative and application of the derivative as

a therapeutic agent, especially application of the derivative as a GLP-1 receptor agonist and application of the derivative in preparation of drugs for treating and/or preventing diabetes.

Document FP16 Abstract: Disclosed are a 6-oxo-3,6-dihydropyridine derivative, and a preparation method therefor and the use thereof in medicine. In particular, the present invention relates to a 6-oxo-3,6-dihydropyridine derivative as shown in general formula (I), and a preparation method therefor, and a pharmaceutical composition containing the derivative, and the use thereof as a therapeutic agent, in particular as a GLP-1 receptor agonist and in the preparation of drugs for the treatment and/or prevention of diabetes.

Document FP17 Abstract: Disclosed are fused imidazole derivatives, preparation methods therefor and medical uses thereof. Specifically, the present disclosure relates to a fused imidazole derivative as shown in general formula (IM), a preparation method therefor, a pharmaceutical composition containing the derivative, and the use of same as a therapeutic agent, in particular the use thereof as a GLP-1 receptor agonist, and the use thereof in the preparation of drugs for the treatment and/or prevention of diabetes.

Document FP18 Abstract: The invention relates to a fused imidazole compound, a preparation method thereof and application of the fused imidazole compound in medicine. Specifically, the invention relates to a condensed imidazole compound as shown in a general formula (I), a preparation method thereof, a pharmaceutical composition containing the compound and application of the compound as a therapeutic agent, especially application of the compound as a GLP-1 receptor agonist and application of the compound in preparation of drugs for treating and/or preventing diabetes.

Document FP19 Abstract: Provided are a pharmaceutically acceptable salt and a crystalline form of a GLP-1 receptor agonist and a preparation method therefor. Specifically, provided are a pharmaceutically acceptable salt and a crystalline form of a compound 2-((4-((S)-3-(4-chloro-2-fluorophenyl)-2,3-dihydrobenzo[b][1,4] dioxane-5-yl)piperidin-1-yl)methyl)-1-(((S)-oxetane-2-yl)methyl)-1H-benzo[d]imidazole-6-formic acid.

Document FP20 Abstract: A crystalline form of a GLP-1 receptor agonist and a preparation method therefor. The agonist is a compound 2-((4-((S)-3-(4-chloro-2-fluorophenyl)-2,3-dihydrobenzo[b][1,4]dioxane-5-yl)piperidin-1-yl)methyl)-1-(((S)-oxetan-2-yl)methyl)-1H-benzo[d]imidazole-6-carboxylic acid.

Document FP21 Abstract: A novel five-membered heteroaromatic imidazole compound and use thereof in preparing a medicament for treating related diseases. Specifically, disclosed are a compound as shown in formula (III) and a pharmaceutically acceptable salt thereof.

Document FP23 Abstract: The invention provides a GLP-1 small molecule compound with cardiovascular benefits, which not only can be used for treatment of diabetes mellitus, but also can be independently or jointly applied as a cardiovascular protective agent and has the pharmaceutical application of reducing cardiovascular adverse events or reducing cardiovascular adverse events in type 2 diabetes mellitus. The reduction of cardiovascular adverse events comprises reduction of the incidence rate of myocardial infarction of patients, reduction of the hospitalization frequency caused by heart failure, reduction of the risk of myocardial infarction and stroke of patients, and reduction of the

cardiovascular death risk of heart failure of adults with reduced ejection fraction and the hospitalization risk caused by heart failure (NYHA II-IV level).

Document FP24 Abstract: Provided are a new methyl-substituted benzobisoxazole compound and the use thereof in the preparation of drugs for treating related diseases. Specifically disclosed is a compound represented by formula (P) or a pharmaceutically acceptable salt thereof.

Document FP25 Abstract: series of imidazocyclic compounds and an application thereof, which specifically relate to a compound represented by formula (P) and a pharmaceutically acceptable salt thereof. series of imidazocyclic compounds and an application thereof, which specifically relate to a compound represented by formula (P) and a pharmaceutically acceptable salt thereof.

Document FP26 Abstract: The invention provides a heteroaryl compound as shown in a formula (I) as a GLP-1 receptor agonist, or a pharmaceutically acceptable salt, hydrate, solvate, stereoisomer, tautomer, regioisomer, nitrogen oxide or a mixture thereof, a pharmaceutical composition containing the compound, and application of the compound or the pharmaceutical composition thereof in preparation of medicines for treating cardiovascular metabolic diseases and related diseases of mammals. The compound provided by the invention shows an excellent agonistic effect on a GLP-1 receptor, and has a very good development prospect.

Document FP27 Abstract: The invention belongs to the technical field of medicine. In particular, the invention relates to a compound capable of being used as a GLP-1 receptor agonist, a pharmaceutically acceptable salt or a stereoisomer of the compound, a

pharmaceutical composition and a preparation containing the compound, the pharmaceutically acceptable salt or the stereoisomer of the compound, and application of the compound, the pharmaceutically acceptable salt or the stereoisomer of the compound.

Document FP32 Abstract: A compound related to formula (I), a preparation method therefor, and the use thereof in medicine. Specifically, provided are a compound related to formula (I) or a stereoisomer, a tautomer and a pharmaceutically acceptable salt thereof. The compounds are agonists of glucagon-like peptide-1 receptors (GLP-1R). The present invention also relates to a pharmaceutical composition comprising the compounds and the use of the compounds in drugs for treating diseases such as diabetes.

Document FP33 Abstract: Disclosed are a compound of formula (I), a preparation method therefor, and a medical application thereof. In particular, provided are the compound of formula (I) or a stereoisomer, tautomer, or pharmaceutically acceptable salt thereof. These compounds are agonists of a glucagon-like peptide-1 receptor (GLP-1R). The present invention also relates to a pharmaceutical composition containing these compounds and use of the compound in a drug for treating diseases such as diabetes.

Document FP34 Abstract: The invention belongs to the technical field of chemical drugs, and relates to a compound shown in a formula (I), a preparation method thereof and application of the compound in medicine. Specifically, the present invention provides a compound of formula (I) or a stereoisomer, a tautomer, and a pharmaceutically acceptable salt thereof. These compounds are agonists of the glucagon-like peptide-1 receptor (GLP-1R). The invention also relates to a pharmaceutical composition containing the compounds

and application of the compounds in medicines for treating diseases such as diabetes mellitus.

Document FP35 Abstract: Disclosed are salts of a series of GLP-1R agonist compounds, a pharmaceutical composition containing same, and the use of the compounds in drugs for treating diseases such as diabetes.

Document FP36 Abstract: The invention belongs to the technical field of chemical medicines, and relates to a compound as shown in a general formula (I), or a tautomer, a meso-mer, a raceme, an enantiomer, a diastereoisomer, or a mixture form or a medicinal salt thereof, a preparation method and an application of the compound in medicines. These compounds are agonists of the glucagon-like peptide-1 receptor (GLP-1R). The invention also relates to a pharmaceutical composition containing the compounds and application of the compounds in medicines for treating diseases such as diabetes mellitus.

Document FP37 Abstract: The invention belongs to the technical field of chemical drugs, and relates to a compound shown in a formula (I), a preparation method thereof and application of the compound in medicine. Specifically, the present invention provides a compound of formula (I) or a stereoisomer, a tautomer, and a pharmaceutically acceptable salt thereof. These compounds are agonists of the glucagon-like peptide-1 receptor (GLP-1R). The invention also relates to a pharmaceutical composition containing the compounds and application of the compounds in medicines for treating diseases such as diabetes mellitus.

Document FP38 Abstract: The invention belongs to the field of chemical drug preparation, and particularly relates to a polymorphic substance of a GLP-1R agonist compound A-a and a pharmaceutical composition containing the polymorphic substance.

Document FP39 Abstract: The invention belongs to the field of chemical medicine preparation, and particularly relates to an amorphous form of a GLP-1R agonist compound A-a tromethamine salt, a preparation method of the amorphous form and a medicine composition containing the amorphous form.

Document FP40 Abstract: The invention belongs to the field of chemical drug preparation, and particularly relates to a polymorphic substance of a GLP-1R agonist compound A-a tromethamine salt and a pharmaceutical composition containing the polymorphic substance.

Document FP41 Abstract: The invention belongs to the technical field of chemical drugs, and particularly relates to medical application of a glucagon-like peptide-1 (GLP-1) receptor agonist in the aspect of drugs for preventing and/or treating non-alcoholic fatty liver diseases.

Document FP42 Abstract: benzimidazolone GLP-1 receptor agonist and the use thereof.

Document FP43 Abstract: The invention provides a series of aryl alkyl acid GLP-1 receptor agonist compounds as well as a preparation method and pharmaceutical application thereof. The compounds can be used for treating or preventing GLP-1 mediated diseases and related diseases.

Document FP44 Abstract: The invention relates to an imidazopyridine derivative and application thereof, and provides an imidazopyridine-derived GLP-1 receptor agonist compound, namely 2-((4-(6-((4-cyano-2-fluorobenzyl) oxy) pyridine-2-yl) piperidine-1-yl) methyl)-3-(oxetan-2-yl methyl) imidazo [1, 2-a] pyridine-6-formic acid as well as a preparation method and pharmaceutical application of the imidazopyridine-derived GLP-1 receptor agonist compound. The compound can be used for preparing medicines for treating or preventing GLP-1 mediated diseases and related diseases. The invention further provides 2-(hydroxymethyl)-3-(oxetan-2-ylmethyl) imidazo [1, 2-a] pyridine-6-carboxylic acid methyl ester and a preparation method thereof, and the imidazo [1, 2-a] pyridine-6-carboxylic acid methyl ester can also be used as a synthesis intermediate of a medicine

Document FP45 Abstract: The invention provides a series of tricyclic GLP-1 receptor agonist compounds as well as a preparation method and pharmaceutical application thereof. The compounds can be used for preparing medicines for treating or preventing GLP-1 mediated diseases and related diseases.

Document FP46 Abstract: Provided are a series of thiophene GLP-1 receptor agonist compounds, a preparation method therefor and the pharmaceutical use thereof. The compounds can be used for preparing drugs for treating or preventing GLP-1-mediated diseases and related diseases.

Document FP47 Abstract: Provided are a GLP-1 receptor agonist compound and a composition and use thereof. The compound can be used for treating or preventing GLP-1 receptor-mediated diseases or disorders and related diseases or disorders.

Document FP48 Abstract: The invention provides a series of aryl alkyl acid GLP-1 receptor agonist compounds as well as a preparation method and pharmaceutical application thereof. The compounds can be used for treating or preventing GLP-1 mediated diseases and related diseases.

Document FP49 Abstract: The invention provides a GLP-1 receptor agonist and application thereof, and particularly relates to a series of ketal GLP-1 receptor agonist compounds as shown in a formula (I), a preparation method and pharmaceutical application thereof, and the compounds can be used for preparing medicines for treating or preventing GLP-1 mediated diseases and related diseases.

Document FP50 Abstract: Disclosed are a salt-type GLP-1 receptor agonist, a crystal form thereof, a preparation method therefor, a pharmaceutical composition thereof, and the use thereof. Specifically, the GLP-1 receptor agonist is (S)-2-((4-(6-((2-fluoro-4-(oxetane-3-yl)benzyl)oxy)pyridin-2-yl)piperidin-1-yl)methyl)-1-(oxetane-2-ylmethyl)-1H-benzo[d]imidazole-6-carboxylic acid.

Applicant reserves the right to establish the patentability of the claimed invention over any of the information provided herewith, and/or to prove that this information may not be prior art, and/or to prove that this information may not be enabling for the teachings purportedly offered.

This statement should not be construed as a representation that a search has been made, or that information more material to the examination of the present patent application does not exist. The Examiner is specifically requested not to rely solely on the material submitted herewith.

Respectfully submitted,

NEVRIVY PATENT LAW GROUP P.L.L.C.

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Daniel J. Nevrivy
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PTO/SB/08a (01-22)
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INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(Not for submission under 37 CFR 1.99)

Application Number	18884965
Filing Date	2024-09-13
First Named Inventor	Bin Liang
Art Unit	1621
Examiner Name	NILOOFAR RAHMANI
Attorney Docket Number	HRT-029US1

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Examiner Initial*	Cite No	Patent Number	Kind Code[1]	Issue Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
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	1	I495464	TW	B	2015-08-11	UNIV NAT TAIWAN		☐
	2	103694195	CN	B	2016-04-06	UNIV EAST CHINA NORMAL		☐

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
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	Attorney Docket Number	HRT-029US1

	3	201613883	TW	A	2016-04-16	NAT RES INST OF CHINESE MEDICINE MINISTRY OF HEALT		☐
	4	105622687	CN	B	2018-03-23	China Medical Research Institute		☐
	5	2017117556	WO	A1	2017-07-06	UNIV VANDERBILT		☐
	6	2017170826	WO	A1	2017-10-05	AJINOMOTO KK		☐
	7	2022241287	WO	A3	2022-12-29	CARMOT THERAPEUTICS INC		☐
	8	2020110152	WO	A1	2020-06-04	GIRDHAR KHYATI		☐
	9	2020210582	WO	A1	2020-10-15	UNIV OF THE SCIENCES		☐
	10	2021044401	WO	A3	2021-04-22	UNITED ARAB EMIRATES UNIVERSITY		☐
	11	111548311	CN	B	2021-04-23	Shanxi Medical University		☐
	12	116323599	CN	A	2023-06-23	GASHUBLOOM BIOLOGICS INC		☐
	13	2023151575	WO	A1	2023-08-17	GASHERBRUM BIO INC		☐

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	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	14	2023151574	WO	A1	2023-08-17	GASHERBRUM BIO INC		☐
	15	2022076503	WO	A1	2022-04-14	LILLY CO ELI		☐
	16	2022127868	WO	A1	2022-06-23	UNIV HONG KONG CHINESE		☐
	17	114790160	CN	A	2022-07-26	UNIV SHANXI MEDICAL		☐
	18	115286550	CN	A	2022-11-04	UNIV SHANXI MEDICAL		☐
	19	2022246230	WO	A1	2022-11-24	ENERGESIS PHARMACEUTICALS INC		☐
	20	115536638	CN	A	2022-12-30	UNIV SHANGHAI JIAOTONG		☐
	21	2023106310	WO	A1	2023-06-15	SHIONOGI & CO		☐
	22	2023191408	WO	A1	2023-10-05	LG CHEMICAL LTD		☐
	23	117534668	CN	A	2024-02-09	BEIJING FUYUAN PHARMACEUTICAL CO LTD		☐
	24	116217522	CN	A	2023-06-06	GUANGDONG RAFFLES PHARMATECH CO LTD		☐

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	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	25	116804013	CN	A	2023-09-26	WUHAN AIMO JIAHUA BIOTECHNOLOGY CO LTD		☐
	26	2022269439	WO	A1	2022-12-29	ILDONG PHARMACEUTICAL CO LTD		☐
	27	112451515	CN	A	2021-03-09	GUANGZHOU INST BIOMED & HEALTH		☐
	28	2024148104	WO	A1	2024-07-11	BIOAGE LABS INC		☐

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (**Not for submission under 37 CFR 1.99)**		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

CERTIFICATION STATEMENT

Please see 37 CFR 1.97(e) to make the appropriate selection(s):

☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

OR

☐ That no item of information contained in the information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application, and, to the knowledge of the person signing the certification after making reasonable inquiry, no item of information contained in the information disclosure statement was known to any individual designated in 37 CFR 1.56(c) more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(2).

☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

☐ The fee set forth in 37 CFR 1.17 (p) has been submitted herewith.

COPIES

☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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ELECTRONIC ACKNOWLEDGEMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**11/02/2024 07:13:36 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67820417	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 30

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
FP1.pdf	19	Foreign Reference	1469 KB
FP4.pdf	23	Foreign Reference	1611 KB
FP3.pdf	37	Foreign Reference	2112 KB
FP8.pdf	55	Foreign Reference	3420 KB
FP2.pdf	49	Foreign Reference	5610 KB
FP10.pdf	26	Foreign Reference	792 KB
FP5.pdf	90	Foreign Reference	6839 KB
FP9.pdf	80	Foreign Reference	5936 KB
FP6.pdf	189	Foreign Reference	11090 KB
FP7.pdf	428	Foreign Reference	10330 KB

FP15.pdf	42	Foreign Reference	1349 KB
FP17.pdf	17	Foreign Reference	957 KB
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PleadingIDS5.pdf	8	Transmittal Letter	49 KB
IDS5.pdf	6	Information Disclosure Statement (IDS) Form (SB08)	1317 KB
FP23.pdf	72	Foreign Reference	3887 KB
FP26.pdf	81	Foreign Reference	3675 KB
FP21.pdf	198	Foreign Reference	5553 KB
FP28.pdf	347	Foreign Reference	14563 KB

Digest

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5A1B48BB2768989B9DD244EDDA73FE

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(Not for submission under 37 CFR 1.99)

Application Number	18884965
Filing Date	2024-09-13
First Named Inventor	Bin Liang
Art Unit	1621
Examiner Name	NILOOFAR RAHMANI
Attorney Docket Number	HRT-029US1

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Examiner Initial*	Cite No	Patent Number	Kind Code[1]	Issue Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
	1	9120813	B2	2015-09-01	TRANSTECH PHARMA LLC [US]; VTV THERAPEUTICS LLC	
	2	9198901	B2	2015-12-01	TRANSTECH PHARMA LLC	
	3	6482844	B1	2002-11-19	NEUROGEN CORP	

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	1	20110064806	A1	2011-03-17	TRANSTECH PHARMA INC	

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Examiner Initial*	Cite No	Foreign Document Number[3]	Country Code[2]	Kind Code[4]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear	T[5]
	1	116903588	CN	A	2023-10-20	XIAMEN BORUILAI MEDICINE TECH CO LTD		☐

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	Attorney Docket Number	HRT-029US1

	2	2024028795	WO	A1	2024-02-08	ZYDUS LIFESCIENCES LTD		☐
	3	116102543	CN	A	2023-05-12	TANG CHUNLEI; FAN WEIZHENG		☐
	4	118084936	CN	A	2024-05-28	CSPC ZHONGQI PHARMACEUTICAL TECH SHIJIAZHUANG CO L		☐
	5	116102555	CN	A	2023-05-12	HUAZHONG PHARMACEUTICAL CO LTD		☐
	6	116675680	CN	B	2023-10-20	Yaokang Zhongtuo (Beijing) Pharmaceutical Technolo		☐
	7	117624145	CN	A	2024-03-01	UNIV CHINA PHARMA		☐
	8	118344349	CN	A	2024-07-16	Shanghai Institute of Materia Medica, Chinese Acad		☐
	9	2024149080	WO	A1	2024-07-18	SHANGHAI INST MATERIA MEDICA CAS		☐
	10	2024160271	WO	A1	2024-08-08	JIANGSU HANSOH PHARMACEUTICAL GROUP CO LTD		☐
	11	118420603	CN	A	2024-08-02	Jiangsu Hansoh Pharmaceutical Group Co., Ltd.		☐
	12	118496200	CN	A	2024-08-16	Chengdu Kanghong Pharmaceutical Group Co., Ltd.		☐

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	Attorney Docket Number	HRT-029US1

	13	2024169773	CN	A	2024-08-22	CHENGDU KANGHONG PHARMACEUTICAL CO LTD		☐
	14	102378574	CN	B	2013-11-20	TRANSTECH PHARMA INC		☐
	15	2021242806	WO	A1	2021-12-02	VTV THERAPEUTICS LLC		☐
	16	2022061091	WO	A1	2022-03-24	VTV THERAPEUTICS LLC		☐
	17	2019103060	WO	A1	2019-05-31	DAIICHI SANKYO CO LTD		☐
	18	2021196949	WO	A1	2021-10-07	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐
	19	115315426	CN	A	2022-11-08	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	20	115697968	CN	B	2022-11-08	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	21	115667219	CN	A	2023-01-31	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	22	115667222	CN	B	2023-09-29	Hangzhou Zhongmei Huadong Pharmaceutical Co., Ltd.		☐
	23	115667268	CN	B	2023-01-31	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐

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	Attorney Docket Number	HRT-029US1

	24	117500789	CN	A	2024-02-02	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	25	117500808	CN	A	2024-02-02	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	26	117897387	CN	A	2024-04-16	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	27	2023051490	WO	A1	2023-04-06	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD [CN		☐
	28	2022165076	WO	A1	2022-08-04	CARMOT THERAPEUTICS INC		☐
	29	2022235717	WO	A1	2022-11-10	CARMOT THERAPEUTICS INC [US]; GARAI SUMANTA		☐
	30	2024026338	WO	A1	2024-02-01	CARMOT THERAPEUTICS INC		☐
	31	2019183577	WO	A1	2019-09-26	CARMOT THERAPEUTICS INC		☐
	32	2022241287	WO	A2	2022-11-17	CARMOT THERAPEUTICS INC		☐
	33	2006003096	WO	A1	2006-01-12	NOVO NORDISK AS		☐
	34	0059887	WO	A1	2000-10-12	NEUROGEN CORP		☐

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	Attorney Docket Number	HRT-029US1

	35	2005056537	WO	A1	2005-06-23	SHANGHAI INST MATERIA MEDICA		☐
	36	101195612	CN	B	2012-08-08	CHINESE ACADEMY OF SCIENCES		☐
	37	113929698	CN	B	2023-08-04	Kunming Institute of Botany, Chinese Academy of Sc		☐
	38	2009129696	WO	A1	2009-10-29	NAT CT FOR DRUG SCREENING		☐
	39	112812077	CN	B	2023-08-22	Shanghai Institute of Materia Medica, Chinese Acad		☐
	40	116444355	CN	A	2023-07-18	KUNMING INST BOTANY CAS		☐
	41	2011094890	WO	A1	2011-08-11	ARGUSINA INC		☐
	42	102946882	CN	B	2016-05-18	ARGUSINA INC		☐
	43	2012076966	WO	A1	2012-06-14	LUPIN LTD		☐
	44	2011122815	WO	A2	2011-10-06	DONG A PHARM CO LTD		☐
	45	104080767	CN	A	2014-10-01	RECEPTOS INC		☐

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	First Named Inventor	Bin Liang
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	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	46	109867630	CN	A	2019-06-11	CELGENE INT II SARL		☐
	47	107074820	CN	B	2021-05-18	Celgene Second International Co., Ltd.		☐
	48	2016094729	WO	A1	2016-06-16	CELGENE INTERNAT II SARL		☐
	49	2018064476	WO	A1	2018-04-05	CELGENE INT II SARL		☐
	50	2018200833	WO	A1	2018-11-01	CELGENE INT II SARL		☐

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[1] See Kind Codes of USPTO Patent Documents at www.USPTO.GOV or MPEP 901.04. [2] Enter office that issued the document, by the two-letter code (WIPO Standard ST.3). [3] For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. [4] Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. [5] Applicant is to place a check mark here if English language translation is attached.

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
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	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

CERTIFICATION STATEMENT

Please see 37 CFR 1.97(e) to make the appropriate selection(s):

☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

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☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

☐ The fee set forth in 37 CFR 1.17 (p) has been submitted herewith.

COPIES

☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**11/02/2024 07:16:19 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67820378	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 53

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
FP5.pdf	19	Foreign Reference	693 KB
FP3.pdf	25	Foreign Reference	1315 KB
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FP25.pdf	1	Foreign Reference	230 KB
FP26.pdf	1	Foreign Reference	203 KB
FP18.pdf	19	Foreign Reference	4143 KB
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FP42.pdf	179	Foreign Reference	14195 KB
FP45.pdf	214	Foreign Reference	14887 KB
IDS4_.pdf	8	Information Disclosure Statement (IDS) Form (SB08)	1318 KB
PleadingIDS4.pdf	14	Transmittal Letter	71 KB
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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

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	2	118184637	CN	A	2024-06-14	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	3	2022116693	WO	A1	2022-06-09	SUZHOU VINCENTAGE PHARMA CO LTD		☐

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	Application Number	18884965
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	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	4	114591296	CN	A	2022-06-07	HEIXIDAE MEDICINE GROUP STOCK LTD COMPANY		☐
	5	114763352	CN	A	2022-07-19	HAISCO PHARMACEUTICAL GROUP INC		☐
	6	2023124824	WO	A1	2023-07-06	HAISCO PHARMACEUTICAL GROUP CO LTD		☐
	7	2023125896	WO	A1	2023-07-06	HAISCO PHARMACEUTICAL GROUP CO LTD		☐
	8	2023130878	WO	A1	2023-07-13	HAISCO PHARMACEUTICAL GROUP CO LTD		☐
	9	2022135572	WO	A1	2022-06-30	SICHUAN HAISCO PHARMACEUTICAL CO LTD		☐
	10	2022165076	WO	A1	2022-08-04	CARMOT THERAPEUTICS INC		☐
	11	2022235717	WO	A1	2022-11-10	CARMOT THERAPEUTICS INC		☐
	12	2024026338	WO	A1	2024-02-01	CARMOT THERAPEUTICS INC		☐
	13	2022184849	WO	A1	2022-09-09	SERVIER LAB		☐
	14	2022202864	WO	A1	2022-09-29	SHIONOGI & CO		☐

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	Attorney Docket Number	HRT-029US1

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	19	2022219495	WO	A1	2022-10-20	NOVARTIS AG		☐
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	23	117986264	CN	A	2024-05-07	JIANGSU HANSOH PHARMACEUTICAL GROUP CO LTD		☐
	24	2023001237	WO	A1	2023-01-26	HEPAGENE THERAPEUTICS HK LTD		☐
	25	113480534	CN	B	2022-05-13	Guangzhou Bibet Pharmaceutical Co., Ltd.		☐

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	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

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	28	117362282	CN	A	2024-01-09	HANGZHOU DERUI PHARMACEUTICAL TECH CO LTD		☐
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	Attorney Docket Number	HRT-029US1

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	41	116003393	CN	A	2023-04-25	CGENE TECH SUZHOU CHINA CO LTD		☐
	42	116354945	CN	A	2023-06-30	CHANGCHUN GENESCIENCE PHARMACEUTICALS CO LTD		☐
	43	2023164358	WO	A1	2023-08-31	ASCLETIS BIOSCIENCE CO LTD		☐
	44	2023169436	WO	A1	2023-09-14	GUANGZHOU UNIRISE PHARMACEUTICAL CO LTD		☐
	45	2024046342	WO	A1	2024-03-07	GUANGZHOU UNIRISE PHARMACEUTICAL CO LTD		☐
	46	2024041609	WO	A1	2024-02-29	GUANGZHOU UNIRISE PHARMACEUTICAL CO LTD		☐
	47	118271299	CN	A	2024-07-02	GUANGZHOU LIANRUI PHARMACEUTICAL CO LTD		☐

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	48	118271300	CN	A	2024-07-02	GUANGZHOU LIANRUI PHARMACEUTICAL CO LTD		☐
	49	114716423	CN	A	2022-07-08	SHANGHAI INST MATERIA MEDICA CAS		☐
	50	116574092	CN	A	2023-08-11	CHENGDU DI AO JIUHONG PHARMACEUTICAL FACTORY		☐

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[1] See Kind Codes of USPTO Patent Documents at www.USPTO.GOV or MPEP 901.04. [2] Enter office that issued the document, by the two-letter code (WIPO Standard ST.3). [3] For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. [4] Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. [5] Applicant is to place a check mark here if English language translation is attached.

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (**Not for submission under 37 CFR 1.99)**		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

CERTIFICATION STATEMENT

Please see 37 CFR 1.97(e) to make the appropriate selection(s):

☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

OR

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☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

☐ The fee set forth in 37 CFR 1.17 (p) has been submitted herewith.

COPIES

☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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ELECTRONIC ACKNOWLEDGEMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**11/02/2024 07:17:54 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67820359	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 54

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
FP3.pdf	30	Foreign Reference	1419 KB
FP7.pdf	26	Foreign Reference	929 KB
FP5.pdf	43	Foreign Reference	2658 KB
FP6.pdf	34	Foreign Reference	2266 KB
FP2.pdf	86	Foreign Reference	3160 KB
FP4.pdf	72	Foreign Reference	3961 KB
FP8.pdf	50	Foreign Reference	2116 KB
FP1.pdf	97	Foreign Reference	4470 KB
FP9.pdf	133	Foreign Reference	4902 KB
FP10_2-.pdf	339	Foreign Reference	12823 KB

FP13_compressed-3.pdf	296	Foreign Reference	13898 KB
FP11_compressed-3.pdf	305	Foreign Reference	12548 KB
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FP45.pdf	103	Foreign Reference	5908 KB
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FP44.pdf	123	Foreign Reference	6606 KB
IDS3.pdf	8	Information Disclosure Statement (IDS) Form (SB08)	1318 KB
FP49.pdf	55	Foreign Reference	3141 KB
FP43.pdf	246	Foreign Reference	9735 KB
FP50.pdf	139	Foreign Reference	5948 KB

Digest

DOCUMENT	MESSAGE DIGEST(SHA-512)
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	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

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	1	7461104	JP	B2	2024-04-03	Chugai Sesame Co., Ltd.		☐
	2	2023220109	WO	A1	2023-11-16	Eli Lilly and Company		☐
	3	2018056453	WO	A1	2018-03-29	CHUGAI PHARMACEUTICAL CO LTD		☐

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	4	2023220112	WO	A1	2023-11-16	Eli Lilly and Company		☐
	5	2024129676	WO	A1	2024-06-20	Eli Lilly and Company		☐
	6	2024137426	WO	A1	2024-06-27	Eli Lilly and Company		☐
	7	2021155841	WO	A1	2024-06-27	Eli Lilly and Company		☐
	8	2022048665	WO	A1	2024-06-27	Eli Lilly and Company		☐
	9	2022052958	WO	A1	2022-03-17	GASHERBRUM BIO INC		☐
	10	2023016546	WO	A1	2023-02-16	GASHERBRUM BIO INC		☐
	11	2023169456	WO	A1	2023-09-14	GASHERBRUM BIO INC		☐
	12	2024125602	WO	A1	2024-06-20	GASHERBRUM BIO INC		☐
	13	2024169952	WO	A1	2024-08-22	GASHERBRUM BIO INC		☐
	14	2022017338	WO	A1	2022-01-27	ECCOGENE SHANGHAI CO LTD		☐

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	15	117069743	CN	A	2023-11-17	EIJING SHENOGEN PHARMACEUTICAL TECH CO LTD		☐
	16	116003403	CN	B	2024-07-23	Yaokang Zhongtuo (Beijing) Pharmaceutical Technolo		☐
	17	117447493	CN	A	2024-01-26	YAOKANG ZHONGTUO BEIJING PHARMACEUTICAL TECH CO LT		☐
	18	117964617	CN	A	2024-05-03	SHANGHAI XIANGHUI MEDICAL TECH CO LTD		☐
	19	2024153070	WO	A1	2024-07-25	FOCHON BIOSCIENCES LTD		☐
	20	2018109607	WO	A1	2018-06-21	PFIZER		☐
	21	2019239319	WO	A1	2019-12-19	PFIZER		☐
	22	2019239371	WO	A1	2019-12-19	PFIZER		☐
	23	2020234726	WO	A1	2020-11-26	PFIZER		☐
	24	2021116874	WO	A1	2021-06-17	PFIZER		☐
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	26	2023031741	WO	A1	2023-03-09	PFIZER		☐
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	28	2020103815	WO	A1	2020-05-28	QILU REGOR THERAPEUTICS INC		☐
	29	2020207474	WO	A1	2020-10-15	QILU REGOR THERAPEUTICS INC		☐
	30	2022031994	WO	A1	2022-02-10	QILU REGOR THERAPEUTICS INC [CN]; ZHONG WENGE		☐
	31	2022078352	WO	A1	2022-04-21	QILU REGOR THERAPEUTICS INC		☐
	32	115867546	CN	A	2023-03-28	SHANGHAI QILU RUIGE MEDICAL RES DEVELOPMENT CO LTD		☐
	33	2020263695	WO	A1	2020-12-30	Eli Lilly and Company		☐
	34	2022246019	WO	A1	2022-11-24	Eli Lilly and Company		☐
	35	2024102625	WO	A1	2024-05-16	LEli Lilly and Company		☐
	36	2024107781	WO	A1	2024-05-23	Eli Lilly and Company		☐

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	37	2021018023	WO	A1	2021-02-04	THE TITAN LEADING MED TECH INC		☐
	38	2021081207	WO	A1	2021-04-29	GILEAD SCIENCES INC		☐
	39	2021154796	WO	A1	2021-08-05	GILEAD SCIENCES INC		☐
	40	2022109182	WO	A9	2022-12-08	GILEAD SCIENCES INC		☐
	41	2022192430	WO	A1	2022-09-15	GILEAD SCIENCES INC		☐
	42	2022192428	WO	A1	2022-09-15	GILEAD SCIENCES INC		☐
	43	2022225914	WO	A1	2022-10-27	GILEAD SCIENCES INC		☐
	44	2022225941	WO	A1	2022-10-27	GILEAD SCIENCES INC		☐
	45	2022109182	WO	A1	2022-05-27	GILEAD SCIENCES INC		☐
	46	TWI786467	TW	B	2022-12-11	ILDONG PHARMACEUTICAL CO LTD		☐
	47	2023182869	WO	A1	2023-09-28	ILDONG PHARMACEUTICAL CO LTD		☐

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	48	2021112538	WO	A1	2021-06-10	HYUNDAI PHARM CO LTD		☐
	49	2021160127	WO	A1	2021-08-19	GASHERBRUM BIO INC [US]; MENG QINGHUA [CN]		☐
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	1	Analyst and Investor Call to Review Oral GLP-1 Data, The European Association for the Study of Diabetes (EASD) Annual Meeting, Stockholm, Pfizer, (2022), 1-31.	☐
	2	GRIFFITH et al., A Small-Molecule Oral Agonist of the Human Glucagon-like Peptide-1 Receptor, J.Med. Chem., (2022), 65:8208-8226.	☐
	3	ZHAO et al., Activation of the GLP-1 receptor by a non-peptidic agonist, Nature, (2020), 577:432-456.	☐
	4	FREEMAN et al., TTP273, Investigational Oral (Non Peptide) GLP 1R Agonist: Improved Glycemic Control without Nausea and Vomiting in Phase 2, vTv Therapeutics LLC, High Point, NC, USA, pg.1.	☐
	5	GUSTAVSON et al., TTP273, an Orally-Available Glucagon-Like Peptide-1(GLP-1)Agonist, Notably Reduces Glycemia in Subjects with Type 2 Diabetes Mellitus (T2DM), TransTech Pharma, LLC., (2014), 1-16.	☐
	6	REESE David, AMG 133 PROGRAM UPDATE, AMGEN, (2022). 1-15.	☐

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	7	SAXENA et al., Efficacy and Safety of Oral Small Molecule Glucagon-Like Peptide 1 Receptor Agonist Danuglipron for Glycemic Control Among Patients With Type 2 Diabetes A Randomized Clinical Trial, JAMA Network Open, (2023), 6:1-12, e2314493.	☐
	8	SPERRY et al., Danuglipron, Drugs of the Future, (2022), 47:407-418.	☐
	9	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1a, blinded, placebo-controlled, randomized, singleand multiple-ascending-dose study in healthy participants, Diabetes Obes Metab, (2023), 25:2634-2641.	☐
	10	ZHANG et al., Differential GLP-1R Binding and Activation by Peptide and Non-peptide Agonists, Molecular Cell, (2020), 80:485-500.	☐
	11	Eccogene Announces US INDApproval for GLP-1 Receptor AgonistECC5004, ECCOGENE, pg. 1-2.	☐
	12	FRIAS et al., Efficacy and safety of oral orforglipron in patients with type 2 diabetes: a multicentre, randomised, dose-response, phase 2 study, Lancet, (2023), 402:472-83.	☐
	13	WHARTON et al., Daily Oral GLP-1 Receptor Agonist Orforglipron for Adults with Obesity, N Engl J Med, 389:887-888.	☐
	14	MA et al., Effect of Food Consumption on the Pharmacokinetics, Safety, and Tolerability of Once-Daily Orally Administered Orforglipron (LY3502970), a Non-peptide GLP-1 Receptor Agonist, Diabetes Ther, (2024), 15:819-832.	☐
	15	STEVENS et al., GSBR-1290 Phase 1b MAD Results, STRUCTURE THERAPEUTICS, (2023), pg.1-24.	☐
	16	YABE, Daisuke, Twincretin as a potential therapeutic for the management of type 2 diabetes with obesity, J Diabetes Investig, (2019), 10: 902-905.	☐
	17	KAWAI et al., Structural basis for GLP-1 receptor activation by LY3502970, an orally active nonpeptide agonist, PNAS, (2020), 117:29959-29967.	☐

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	18	CLEMMENSEN et al., Emerging hormonal- based combination pharmacotherapies for the treatment of metabolic diseases, Nature Reviews, Endocrinology, 15:91-104.	☐
	19	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagonlike peptide-1 receptor agonist: A Phase 1b, multicentre, blinded, placebo-controlled, randomized, multiple-ascending-dose study in people with type 2 diabetes, Diabetes Obes Metab., (2023), 25:2642-2649.	☐
	20	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1a, blinded, placebo-controlled, randomized, singleand multiple-ascending-dose study in healthy participants, Diabetes Obes Metab., (2023), 25:2634-2641.	☐
	21	DUTTA et al., Orforglipron, a novel non-peptide oral daily glucagon-like peptide-1 receptor agonist as an anti-obesity medicine: A systematic review and meta-analysis, Obes Sci Pract., (2024), pg. 1-9. e743.	☐
	22	WU et al., Safety, pharmacokinetics and pharmacodynamics of HRS-7535, a novel oral small molecule glucagon-like peptide-1 receptor agonist, in healthy participants: A phase 1, randomized, double-blind, placebo-controlled, single- and multiple-ascending dose, and food effect trial, Diabetes Obes Metab., (2024), 26:901-910.	☐
	23	MAO et al., Discovery of GSBR-1290, a Highly Potent, Orally Available, Novel Small Molecule GLP-1 Receptor AgonistTing, LinStructure Therapeutics Inc, South San Francisco, CA, United States, (2023), 760-p.	☐
	24	COLL et al., A First-in-human Single Ascending Dose Study of GSBR-1290, a Novel Small Molecule GLP-1 Receptor Agonist, in Healthy Volunteers, A First-in-human Single Ascending Dose Study of GSBR-1290, a Novel Small Molecule GLP-1 Receptor Agonist, in Healthy Volunteers, (2023), 754.	☐
	25	KAWAI et al., Structural basis for GLP-1 receptor activation by LY3502970, an orally active nonpeptide agonist, PNAS Latest Articles, (2020), pg.1-9.	☐
	26	ZHAO et al., Structural insights into multiplexed pharmacological actions of tirzepatide and peptide 20 at the GIP, GLP-1 or glucagon receptors, NATURE COMMUNICATIONS, (2022), 13:1057, pg. 1-16.	☐
	27	STEVENS et al., GSBR-1290 Obesity Topline Data Presentation, Structure therapeutics, (2024), 1-31.	☐
	28	BURROUGHS et al., TERN-601 Phase 1 Trial Top-Line Results, TERNS PHARMACEUTICALS, (2024), 1-29.	☐

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	29	HANSEN et al., N-terminally and C-terminally truncated forms of glucosedependent insulinotropic polypeptide are high-affinity competitive antagonists of the human GIP receptor, British Journal of Pharmacology, (2016), 173:826-838.	☐

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Please see 37 CFR 1.97(e) to make the appropriate selection(s):

☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

OR

☐ That no item of information contained in the information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application, and, to the knowledge of the person signing the certification after making reasonable inquiry, no item of information contained in the information disclosure statement was known to any individual designated in 37 CFR 1.56(c) more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(2).

☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

☐ The fee set forth in 37 CFR 1.17 (p) has been submitted herewith.

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☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**11/02/2024 07:21:18 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67813187	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

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FP40_compressed.pdf	174	Foreign Reference	23162 KB

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FP44_1_.pdf	350	Foreign Reference	21461 KB
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FP48.pdf	114	Foreign Reference	7343 KB
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New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of: Liang *et al.*	Confirmation No.: 9471
Appl. No.: 18/884,965	Art Unit: 1621
Filed: September 13, 2024	Examiner: NILOOFAR RAHMANI
For: **GLP-1R Agonist and Therapeutic Method Thereof**	Atty. Docket: HRT-029US1

Information Disclosure Statement Under 37 C.F.R. § 1.97(b)

Commissioner for Patents
PO Box 1450
Alexandria, VA 22313-1450

Madam:

Listed on accompanying IDS Form PTO/SB/08a are document(s) that may be considered material to the patentability of this application as defined in 37 C.F.R. § 1.56, and in compliance with the duty of disclosure requirements of 37 C.F.R. § 1.97 and 1.98.

Where the date of a listed document does not provide a month of publication, the year of publication of the listed document is sufficiently earlier than the effective U.S. filing date and any foreign priority date so that the month of publication is not in issue. Applicant has listed dates on the attached IDS Forms based on information presently available to the undersigned. However, the listed dates should not be construed as an admission that the information was actually published on the date indicated.

Documents FP1, FP15-FP18, FP32 and FP46 are in a foreign language.

Below are English language abstracts of FP1, FP15-FP17, FP32 and FP46 that are provided to provide a concise statement of the relevance thereof.

Document FP1 Abstract: To provide pharmaceutical compositions which have the same action as GLP-1 peptide as a GLP-1 receptor agonist, are capable of noninvasive administration, and contain a compound having improved activity, metabolic stability, and bioavailability or a salt thereof or a solvate thereof; and to provide methods for preventing or treating non-insulin-dependent diabetes mellitus (type 2 diabetes mellitus) or obesity using the pharmaceutical composition. SOLUTION: The present invention provides a pharmaceutical composition which contains as active ingredient a compound having a base skeleton represented by formula (I) in which an indole ring and a pyrazolopyridine skeleton are linked via a substituent, or a salt thereof or a solvate thereof, and is used in the prevention and treatment of diabetes mellitus non-insulin-dependent (type 2 diabetes mellitus) or obesity.

Document FP15 Abstract: The invention provides a GLP-1 inhibitor. The inhibitor has a structure shown in the specification. The GLP-1 inhibitor has the advantages of good activity and low toxicity.

Document FP16 Abstract: The invention discloses a compound as shown in a formula I, or pharmaceutically acceptable salt, isomer, metabolite, prodrug, solvate or hydrate, pharmaceutical composition and application thereof. The compound shown in the formula I has a good treatment effect on non-insulin-dependent diabetes mellitus (type 2 diabetes mellitus), obesity and the like.

Document FP17 Abstract: The invention discloses a compound as shown in a formula I, or pharmaceutically acceptable salt, isomer, metabolite, prodrug, solvate or hydrate, pharmaceutical composition and application thereof. The compound shown in the formula I has a good treatment effect on non-insulin-dependent diabetes mellitus (type 2 diabetes mellitus), obesity and the like.

Document FP18 Abstract: The invention belongs to the technical field of chemical synthesis of medicines, and particularly relates to a preparation method of a GLP-1 receptor agonist Orforglipron (LY3502970). The synthesis method comprises the following reaction steps: carrying out ring closing on a dihydropyridine compound (1) containing different protecting groups and (4-fluoro-3, 5-dimethylphenyl) hydrazine (8) to obtain a key intermediate (2), carrying out addition, ring closing, Buchwald coupling, amino protecting group removal and salifying to obtain a compound (6), and finally reacting with a compound (11) to obtain the target compound Orforglipron (LY3502970).

Document FP32 Abstract: The present disclosure discloses various salt forms of Compound I and Compound II represented by the following structural formula, and their corresponding pharmaceutical compositions. The present disclosure describes specific single crystalline forms of a 1: 1 compound ITris salt, a 1: 1 compound II Tris salt, and a 1: 1 compound II citrate salt with various properties and physical measurements. Also disclosed are methods of making specific crystalline forms. The present disclosure simultaneously provides methods of treating type 2 diabetes, pre-diabetes, obesity, non-alcoholic fatty liver disease, non-alcoholic steatohepatitis, and cardiovascular disease in an individual.

Document FP46 Abstract: Disclosed are novel compounds of Chemical Formula 1, optical isomers of the compounds, and pharmaceutically acceptable salts of the compounds or the optical isomers. The compounds, isomers, and salts exhibit excellent activity as GLP-1 receptor agonists. In particular, they, as GLP-1 receptor agonists, exhibit excellent glucose tolerance, thus having a great potential to be used as therapeutic agents for metabolic diseases. Moreover, they exhibit excellent pharmacological safety for cardiovascular systems.

Applicant reserves the right to establish the patentability of the claimed invention over any of the information provided herewith, and/or to prove that this information may not be prior art, and/or to prove that this information may not be enabling for the teachings purportedly offered.

This statement should not be construed as a representation that a search has been made, or that information more material to the examination of the present patent application does not exist. The Examiner is specifically requested not to rely solely on the material submitted herewith.

Respectfully submitted,

NEVRIVY PATENT LAW GROUP P.L.L.C.

/Daniel J. Nevrivy/

Daniel J. Nevrivy
Registration No. 59,118

Date: November 1, 2024

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PTO/SB/08a (01-22)
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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (**Not for submission under 37 CFR 1.99)**		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	1	Pending claims in co-pending U.S. Appl. No.: 18/885,065, entitled "GLP-1R Agonist and Therapeutic Method Thereof," filed September 13, 2024.	☐

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EXAMINER SIGNATURE

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*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through a citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

[1] See Kind Codes of USPTO Patent Documents at www.USPTO.GOV or MPEP 901.04. [2] Enter office that issued the document, by the two-letter code (WIPO Standard ST.3). [3] For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. [4] Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. [5] Applicant is to place a check mark here if English language translation is attached.

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

CERTIFICATION STATEMENT

Please see 37 CFR 1.97(e) to make the appropriate selection(s):

☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

OR

☐ That no item of information contained in the information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application, and, to the knowledge of the person signing the certification after making reasonable inquiry, no item of information contained in the information disclosure statement was known to any individual designated in 37 CFR 1.56(c) more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(2).

☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

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COPIES

☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-04
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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PATENT AND TRADEMARK OFFICE

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ELECTRONIC ACKNOWLEDGEMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**11/04/2024 03:26:35 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67831190	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 2

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
NPL1.pdf	18	Non Patent Literature	204 KB
IDS6.pdf	4	Information Disclosure Statement (IDS) Form (SB08)	1316 KB

Digest

DOCUMENT	MESSAGE DIGEST(SHA-512)
NPL1.pdf	6C3CE7E67F4F03718AB398F362C2876572812CF97FE52636B5 05548A6C511015CD94CB798F10E0DBAA1DC59F0DDC02DE67 74032335B3837C076E322F77EA1FC8
IDS6.pdf	018500A0DEEB9FCD7A83465E12AE92E3F1D13B6C4E393DEE 3158F1DCF1D22DD12E5331F54C5C3969C476EBF1649502AFF

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National Stage of an International Application under 35 U.S.C. 371

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Notice of References Cited	Application/Control No. 18/884,965	Applicant(s)/Patent Under Reexamination Liang et al.	
	Examiner NILOOFAR RAHMANI	Art Unit 1621	Page 1 of 1

U.S. PATENT DOCUMENTS

*		Document Number Country Code-Number-Kind Code	Date YYYY-MM-DD	Name	CPC Classification	US Classification
*	A	US-10858356-B2	2020-12-08	Yoshino; Hitoshi	A61K31/437	1/1
	B					
	C					
	D					
	E					
	F					
	G					
	H					
	I					
	J					
	K					
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	M					

FOREIGN PATENT DOCUMENTS

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	O					
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	Q					
	R					
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	T					

NON-PATENT DOCUMENTS

*		Include as applicable: Author, Title Date, Publisher, Edition or Volume, Pertinent Pages)
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	V	
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	X	

*A copy of this reference is not being furnished with this Office action. (See MPEP § 707.05(a).)
Dates in YYYY-MM-DD format are publication dates. Classifications may be US or foreign.

Search Notes	Application/Control No.	Applicant(s)/Patent Under Reexamination
	18/884,965	Liang et al.
	Examiner	Art Unit
	NILOOFAR RAHMANI	1621

CPC - Searched*		
Symbol	Date	Examiner
C07D 471/04	10/29/2024	NR

CPC Combination Sets - Searched*		
Symbol	Date	Examiner

US Classification - Searched*			
Class	Subclass	Date	Examiner
514	300	10/29/2024	NR

* See search history printout included with this form or the SEARCH NOTES box below to determine the scope of the search.

Search Notes		
Search Notes	Date	Examiner
STN;PE2E SEARCH	10/29/2024	NR

Interference Search			
US Class/CPC Symbol	US Subclass/CPC Group	Date	Examiner
514	300	10/29/2024	NR

Index of Claims	Application/Control No.	Applicant(s)/Patent Under Reexamination
	18/884,965	Liang et al.
	Examiner	**Art Unit**
	NILOOFAR RAHMANI	1621

✓	Rejected	-	Cancelled	N	Non-Elected	A	Appeal
=	Allowed	÷	Restricted	I	Interference	O	Objected

CLAIMS

☐ Claims renumbered in the same order as presented by applicant ☐ CPA ☐ T.D. ☐ R.1.47

CLAIM		DATE								
Final	Original	10/29/2024								
1	1	=								
2	2	=								
3	3	=								
4	4	=								
5	5	=								
6	6	=								
7	7	=								
8	8	=								
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21	21	=								
22	22	=								
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24	24	=								
25	25	=								

Issue Classification	Application/Control No.	Applicant(s)/Patent Under Reexamination
	18/884,965	Liang et al.
	Examiner	**Art Unit**
	NILOOFAR RAHMANI	1621

CPC							
Symbol						**Type**	**Version**
C07D	/	471	/	04		F	2013-01-01
C07D	/	519	/	00		I	2013-01-01
A61K	/	31	/	437		I	2013-01-01

CPC Combination Sets								
Symbol					**Type**	**Set**	**Ranking**	**Version**
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Use images from artifact folder? ◉ Yes ○ No

NONE (Assistant Examiner)	(Date)	**Total Claims Allowed:** 25	
/NILOOFAR RAHMANI/ Primary Examiner, Art Unit 1621 (Primary Examiner)	29 October 2024 (Date)	O.G. Print Claim(s) 1	O.G. Print Figure NONE

Issue Classification	Application/Control No.	Applicant(s)/Patent Under Reexamination
	18/884,965	Liang et al.
	Examiner	**Art Unit**
	NILOOFAR RAHMANI	1621

INTERNATIONAL CLASSIFICATION					
CLAIMED					
C07D	/	471	/	04	
NON-CLAIMED					
	/		/		

US ORIGINAL CLASSIFICATION	
CLASS	**SUBCLASS**
514	300

CROSS REFERENCES(S)						
CLASS	**SUBCLASS (ONE SUBCLASS PER BLOCK)**					

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NONE (Assistant Examiner)	(Date)	**Total Claims Allowed:** 25	
/NILOOFAR RAHMANI/ Primary Examiner, Art Unit 1621 (Primary Examiner)	29 October 2024 (Date)	O.G. Print Claim(s) 1	O.G. Print Figure NONE

Issue Classification	Application/Control No.	Applicant(s)/Patent Under Reexamination
	18/884,965	Liang et al.
	Examiner	**Art Unit**
	NILOOFAR RAHMANI	1621

☑ Claims renumbered in the same order as presented by applicant ☐ CPA ☐ T.D. ☐ R.1.47

CLAIMS

Final	Original	Final	Original	Final	Original	Final	Original	Final	Original	Final	Original	Final	Original	Final	Original

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NONE (Assistant Examiner)	(Date)	**Total Claims Allowed:** 25	
/NILOOFAR RAHMANI/ Primary Examiner, Art Unit 1621 (Primary Examiner)	29 October 2024 (Date)	O.G. Print Claim(s) 1	O.G. Print Figure NONE

Bibliographic Data

Application No: 18/884,965

Foreign Priority claimed: ◉ Yes ○ No

35 USC 119 (a-d) conditions met: ☑ Yes ☐ No ☐ Met After Allowance

Verified and Acknowledged: /NILOOFAR RAHMANI/ Examiner's Signature | Initials

Title: GLP-1R Agonist and Therapeutic Method Thereof

FILING or 371(c) DATE	CLASS	GROUP ART UNIT	ATTORNEY DOCKET NO.
09/13/2024	514	1621	HRT-029US1
RULE			

APPLICANTS

Ascletis Pharma (China) Co., Limited, Hong Kong, CHINA

INVENTORS

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Jinzi Jason Wu, Hong Kong, CHINA

Bailing Yang, Hong Kong, CHINA

CONTINUING DATA

This application has PRO of 63673453 07/19/2024

This application has PRO of 63603854 11/29/2023

This application has PRO of 63545615 10/25/2023

This application has PRO of 63538892 09/18/2023

FOREIGN APPLICATIONS

CHINA CN202311189557.X 09/14/2023

CHINA CN202311371725.7 10/23/2023

CHINA CN202311582240.2 11/24/2023

CHINA CN202311686034.6 12/08/2023

CHINA CN202410142451.2 01/31/2024

CHINA CN202410202078.5 02/22/2024

CHINA CN202410398964.X 04/02/2024

CHINA CN202410584679.7 05/11/2024

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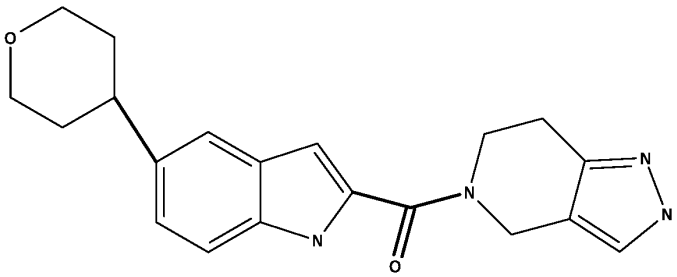
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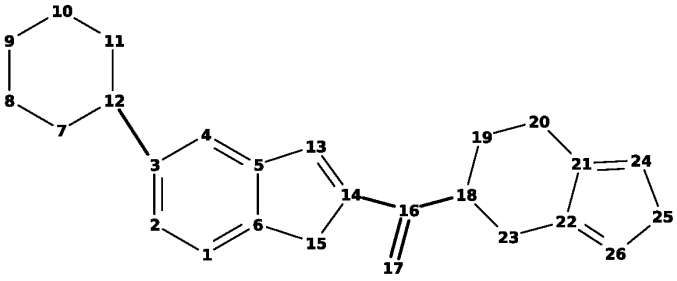
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Chain Nodes : 16 17
Bond Attributes
Ring Bonds : 1-2 2-3 3-4 4-5 5-6 5-13 6-1 7-8 8-9 9-10 10-11 11-12
12-7 13-14 14-15 15-6 18-19 19-20 20-21 21-22 21-24 22-23 23-18 24-25
25-26 26-22
Chain Bonds : 3-12 14-16 16-17 16-18
Exact Bonds : 3-12 14-16
Normalized Bonds : 1-2 2-3 3-4 4-5 5-6 6-1
Exact/Normalized Bonds : 5-13 7-8 8-9 9-10 10-11 11-12 12-7 13-14 14-15
15-6 16-17 16-18 18-19 19-20 20-21 21-22 21-24 22-23 23-18 24-25

25-26 26-22
Markush Attributes
Match Level (ATOM) : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 18 19
20 21 22 23 24 25 26
Match Level (CLASS) : 16 17
Element Count Level (LIMITED) : 1 2 3 4 5 6 7 8 9 10 11 12 13 14
15 16 17 18 19 20 21 22 23 24 25 26

L1   STRUCTURE UPLOADED

=> s l1 full

FULL SEARCH INITIATED 21:33:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1446 TO ITERATE

100.0% PROCESSED   1446 ITERATIONS   528 ANSWERS
SEARCH TIME: 00.00.01

L2   528 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                   ENTRY   SESSION
FULL ESTIMATED COST   883.16   883.51

FILE 'CAPLUS' ENTERED AT 21:33:42 ON 28 OCT 2024
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FILE LAST UPDATED: 27 Oct 2024 (20241027/ED)

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substance identification.

=> s l2 full

L3   39 L2

=> d ibib abs hitstr tot

L3   ANSWER 1 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:2168327 CAPLUS Full-text
TITLE: Combination comprising a thyroid hormone receptor beta
                         (THRβ) agonist and glucagon-like peptide-1
                         receptor (GLP-1R) agonist for use in treating a liver
                         disorder or a cardiometabolic disease
INVENTOR(S):   Teal, Olivia D.; Quinn, Kevin P.; Jones, Christopher
                         T.; Jasper, Jeffrey R.

PATENT ASSIGNEE(S): Terns Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 384pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

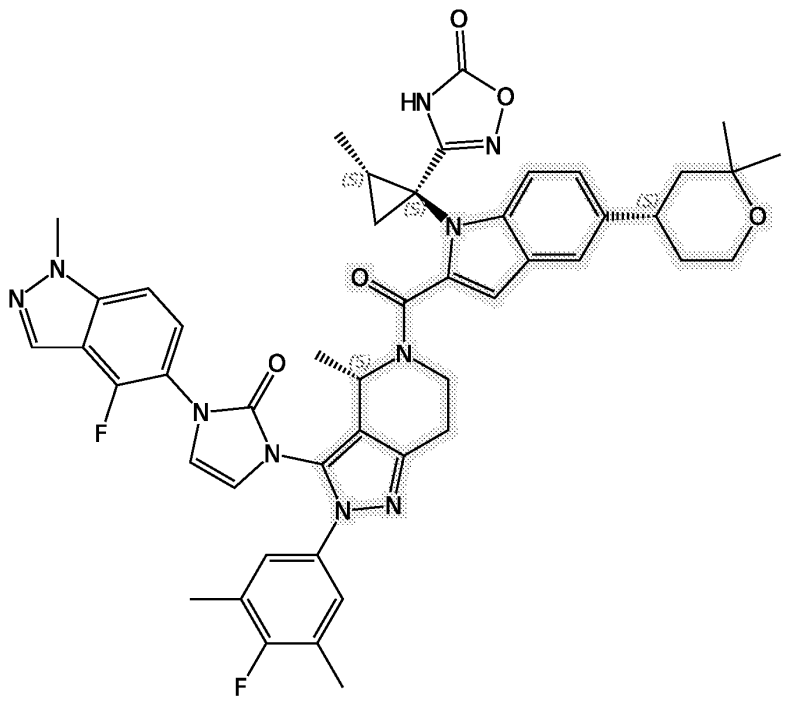
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024211898	A1	20241010	WO 2024-US23598		20240408
PRIORITY APPLN. INFO.:			US 2023-63495049	P	20230407
			US 2023-63526362	P	20230712
			US 2023-63615985	P	20231229

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024211898	A1	Alive	20241017

AB Provided herein are combinations comprising a glucagon-like peptide-1 receptor (GLP-1R) agonist and a thyroid hormone receptor beta (THRβ) agonist and methods comprising administering to a subject in need thereof such combinations.

IT INDEXING IN PROGRESS
IT 2212020-52-3, Orforglipron
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination comprising a thyroid hormone receptor beta (THRβ) agonist and glucagon-like peptide-1 receptor (GLP-1R) agonist for use in treating a liver disorder or a cardiometabolic disease)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION
PUBLICATIONS APPLICATIONS REGISTER

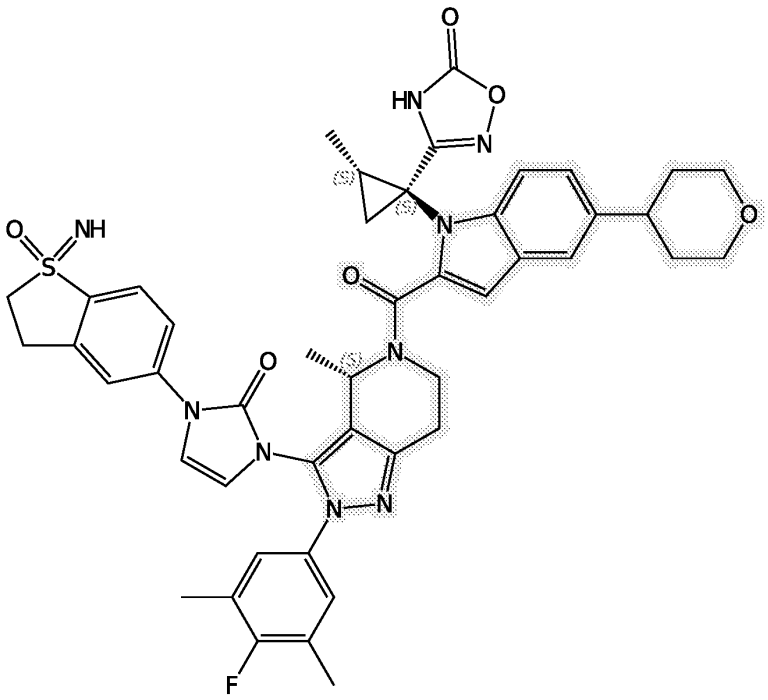
L3 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1791823 CAPLUS Full-text
DOCUMENT NUMBER: 188:66909
TITLE: Preparation of tetrahydropyrazolopyridine derivatives as GLP-1 agonists
INVENTOR(S): Huang, Wei; Lei, Hui; Lu, Chunliang; Zhang, Haizhen
PATENT ASSIGNEE(S): Gasherbrum Bio, Inc., USA
ULTIMATE OWNER: STRUCTURE THERAPEUTICS INC
ULTIMATE OWNER STANDARD: Structure Therapeutics
SOURCE: PCT Int. Appl., 445pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024169952	A1	20240822	WO 2024-CN77096		20240209
US 20240327404	A1	20241003	US 2024-18628545		20240405
PRIORITY APPLN. INFO.:			WO 2023-CN76495	A	20230216
			WO 2023-CN113565	A	20230817
			WO 2023-CN141034	A	20231222
			WO 2024-CN77096	A1	20240209

PATENT STATUS PATENT INFORMATION:

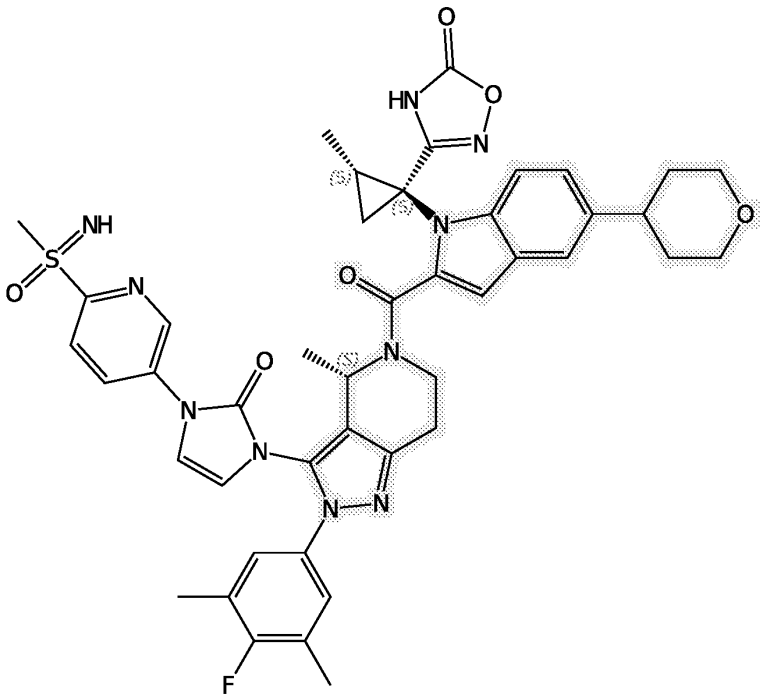
PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024169952	A1	Alive	20240829
US 20240327404	A1	Transitional	20241024

AB The present disclosure relates generally to glucagon-like peptide-1 (GLP-1) agonists and pharmaceutical compns. comprising the same, as well as methods for treating a GLP-1 assocd. disease, disorder, or condition.
IT 3054162-49-8P 3054162-50-1P 3054162-51-2P
3054162-53-4P 3054162-54-5P 3054162-56-7P
3054163-58-9P 3054163-59-0P 3054162-60-3P
3054163-61-4P 3054163-62-5P 3054163-63-6P
3054162-64-7P 3054162-65-8P 3054162-66-9P
3054162-67-0P 3054162-68-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-49-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



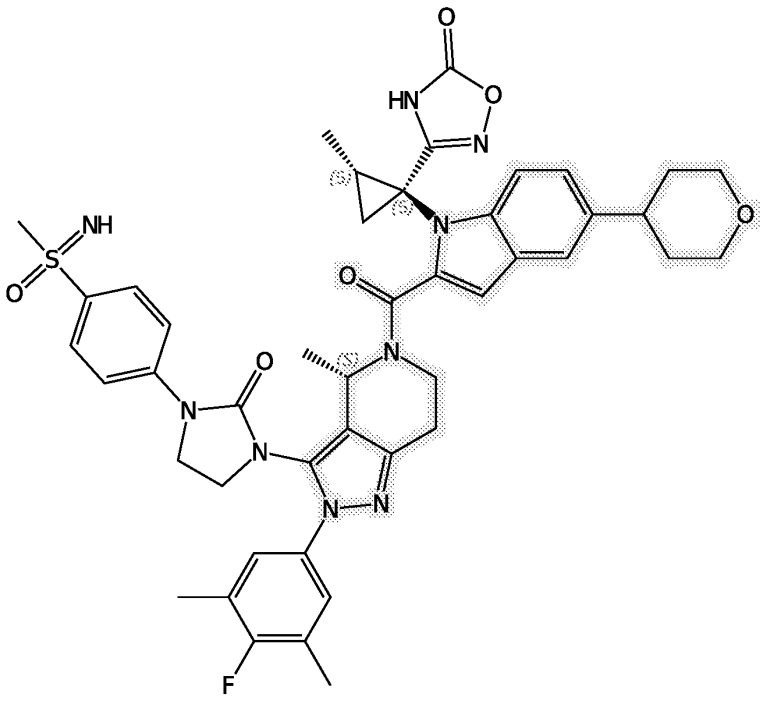
Absolute stereochemistry shown

RN 3054162-50-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



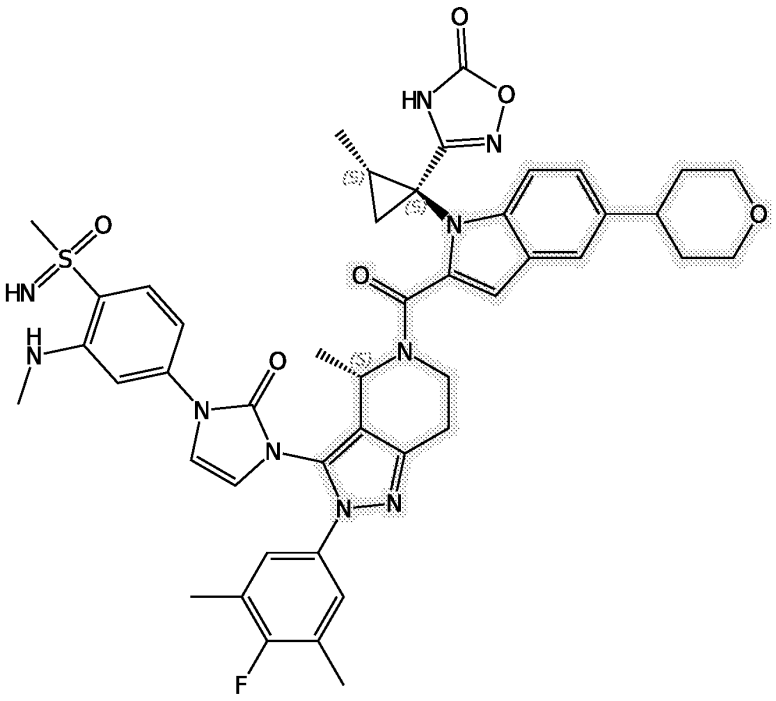
Absolute stereochemistry shown

RN 3054162-51-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



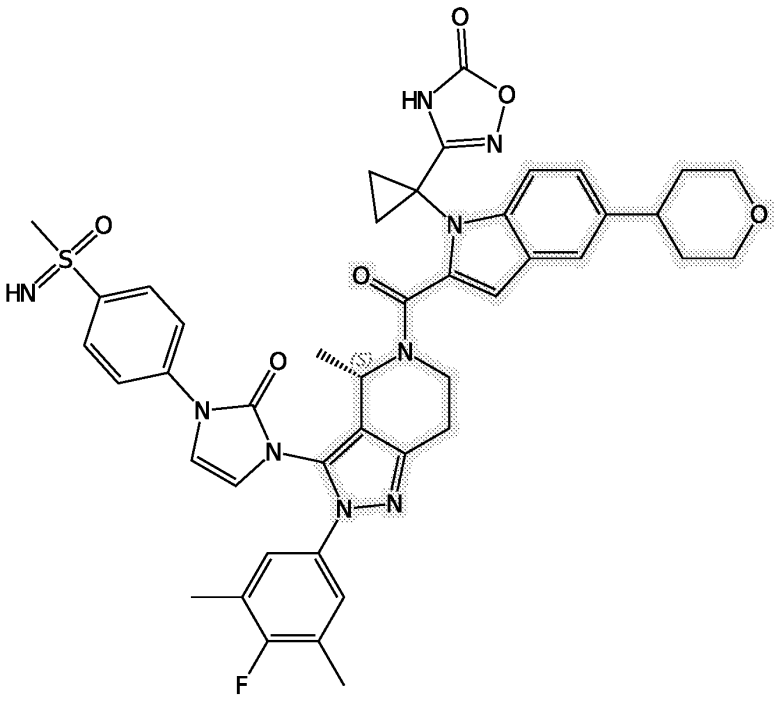
Absolute stereochemistry shown

RN 3054162-53-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



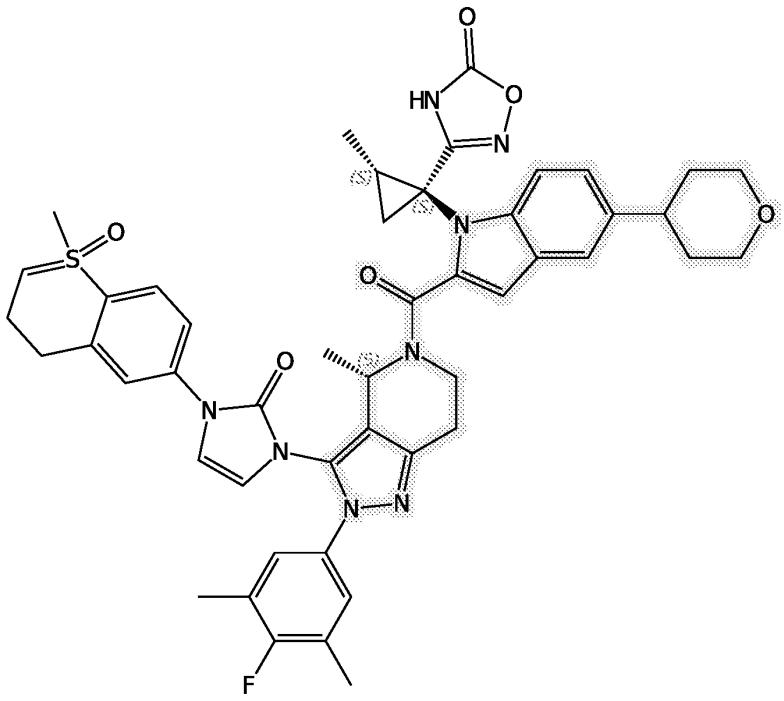
Absolute stereochemistry shown

RN 3054162-54-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



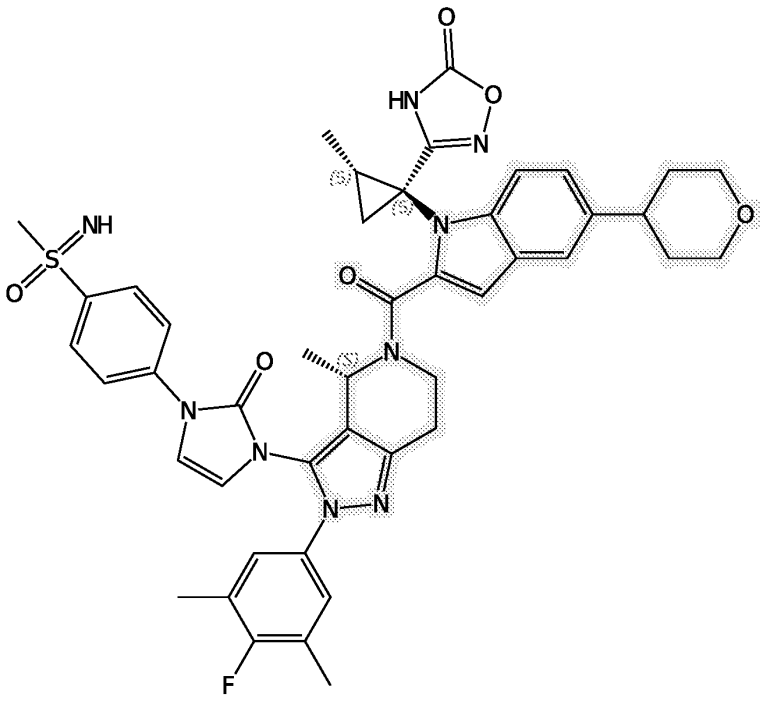
Absolute stereochemistry shown

RN 3054162-56-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



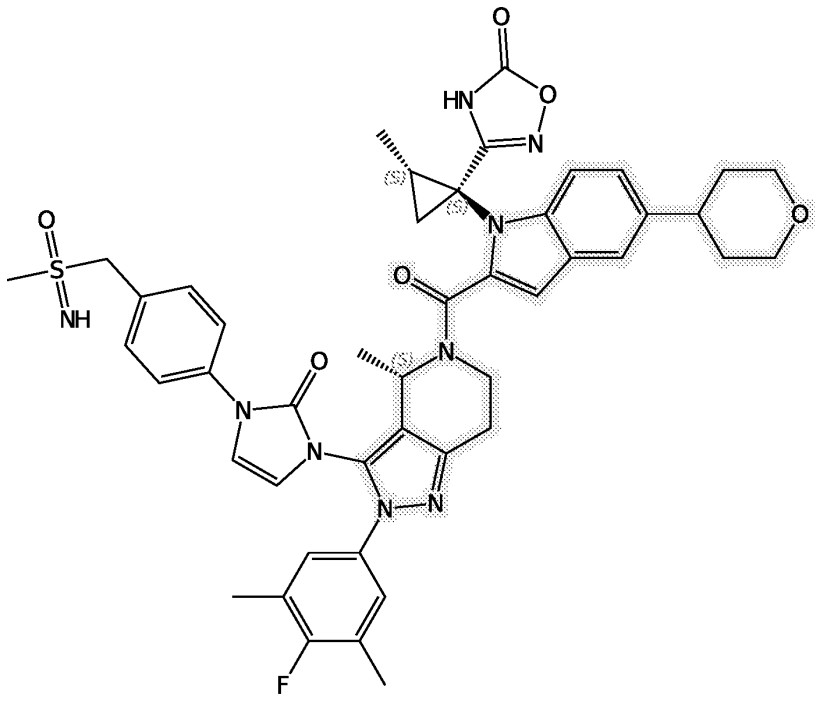
Absolute stereochemistry shown

RN 3054162-58-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



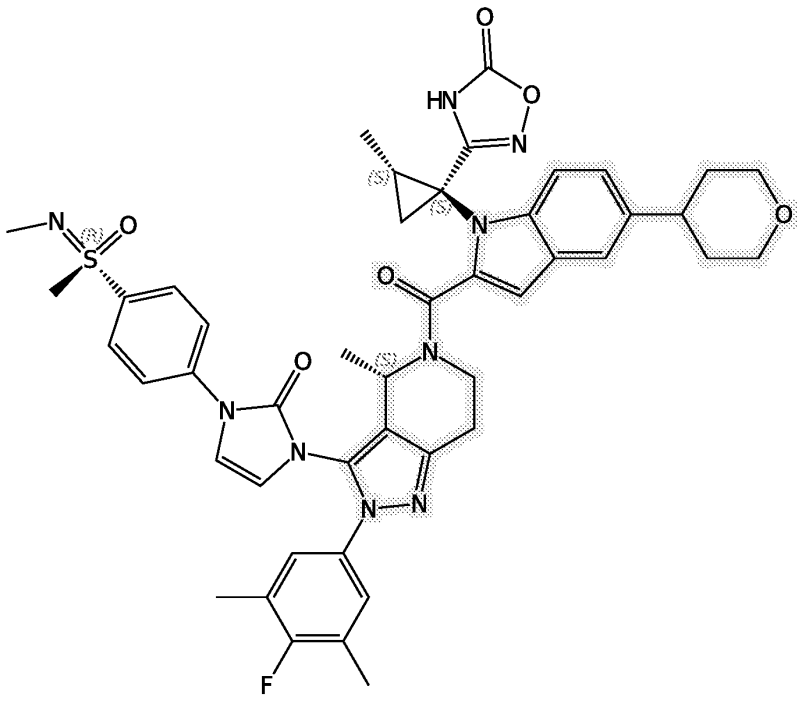
Absolute stereochemistry shown

RN 3054162-59-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



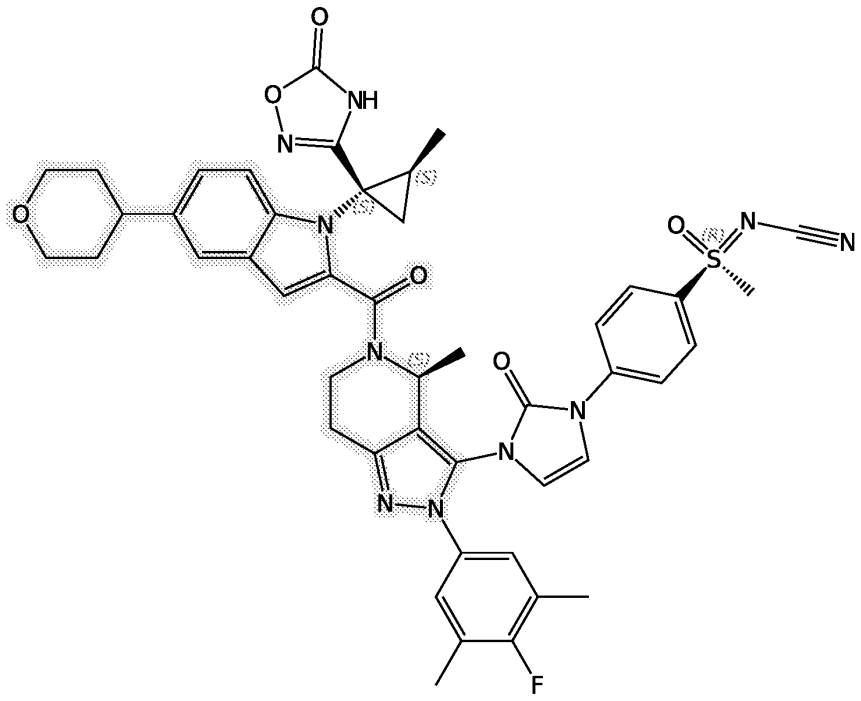
Absolute stereochemistry shown

RN 3054162-60-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



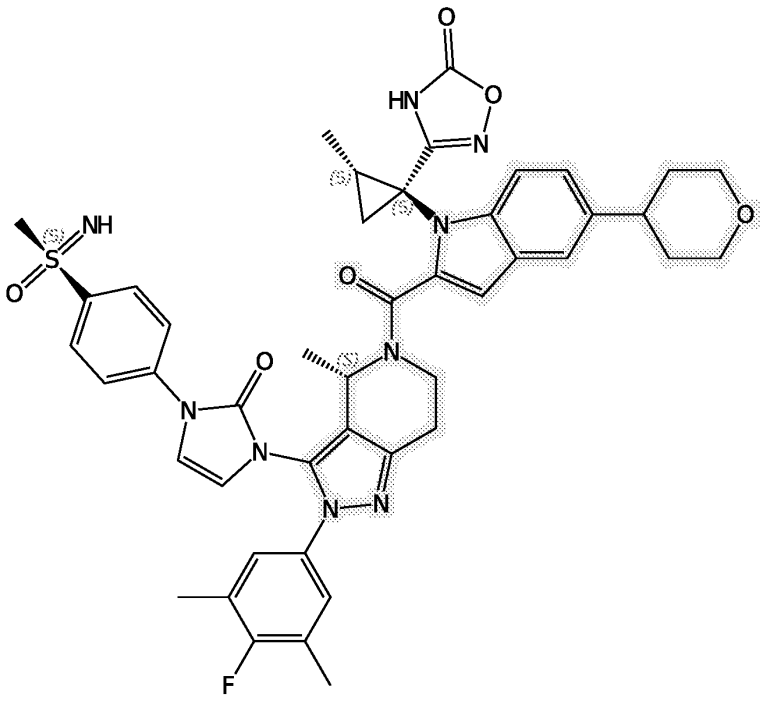
Absolute stereochemistry shown

RN 3054162-61-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



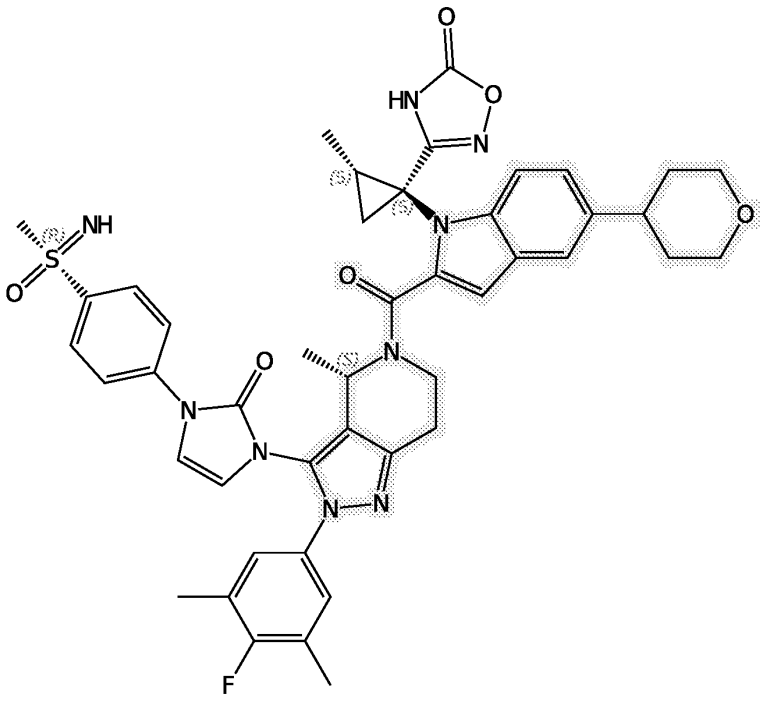
Absolute stereochemistry shown

RN 3054162-62-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



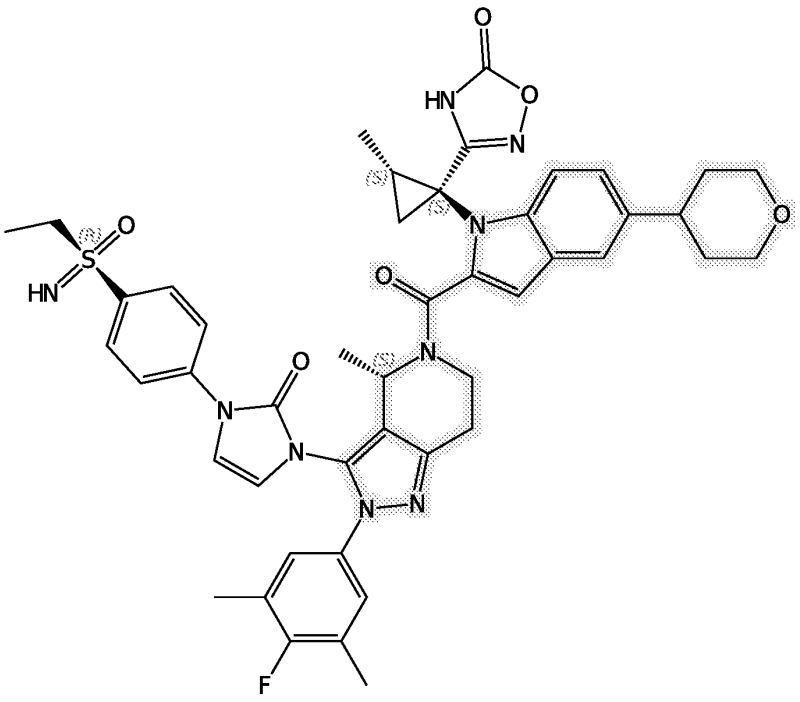
Absolute stereochemistry shown

RN 3054162-63-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



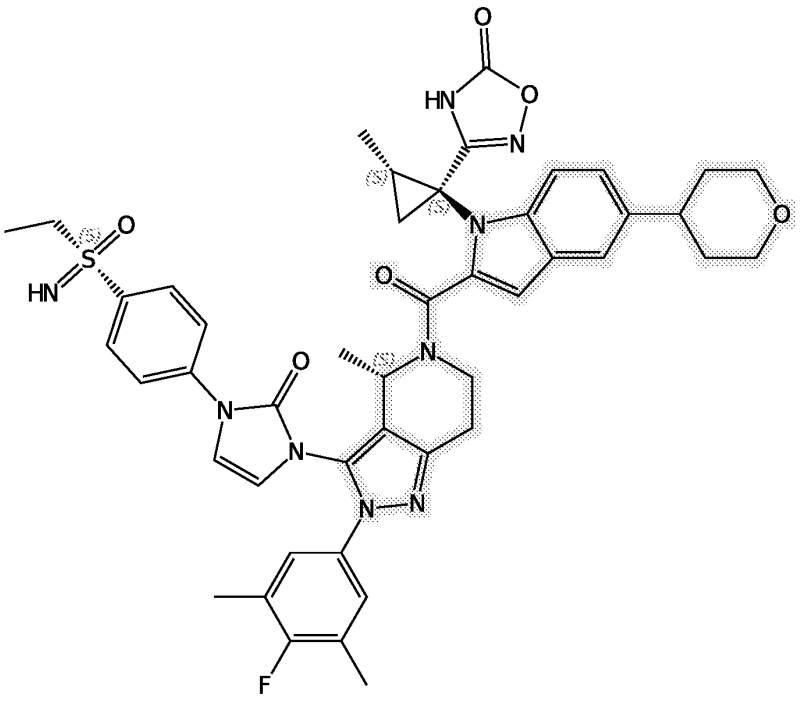
Absolute stereochemistry shown

RN 3054162-64-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



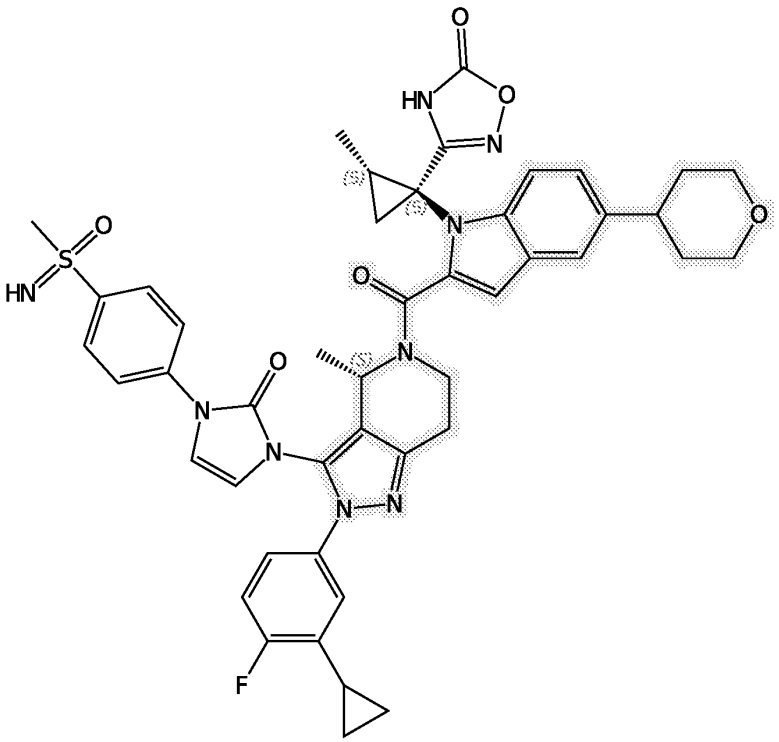
Absolute stereochemistry shown

RN 3054162-65-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



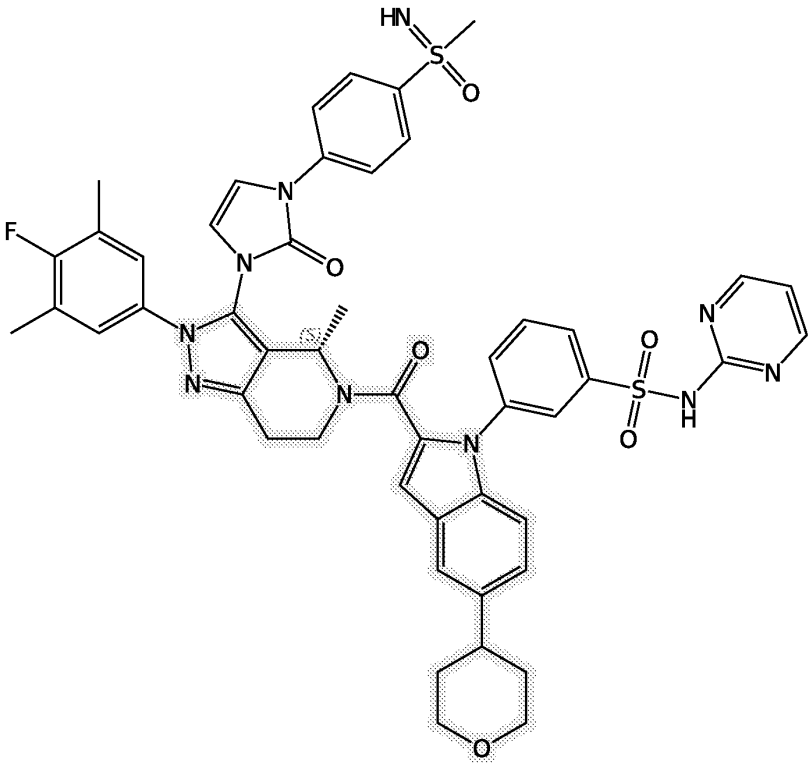
Absolute stereochemistry shown

RN 3054162-66-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



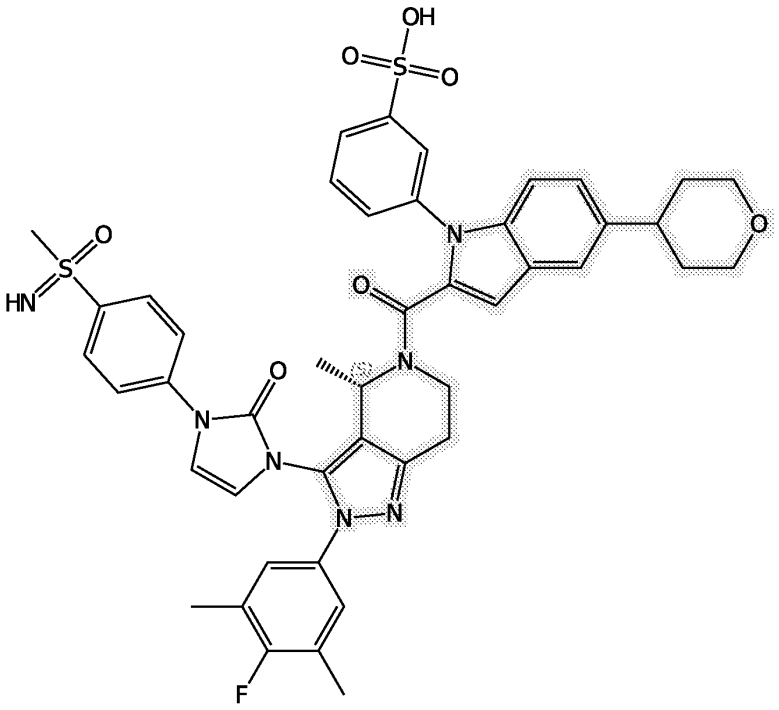
Absolute stereochemistry shown

RN 3054162-67-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



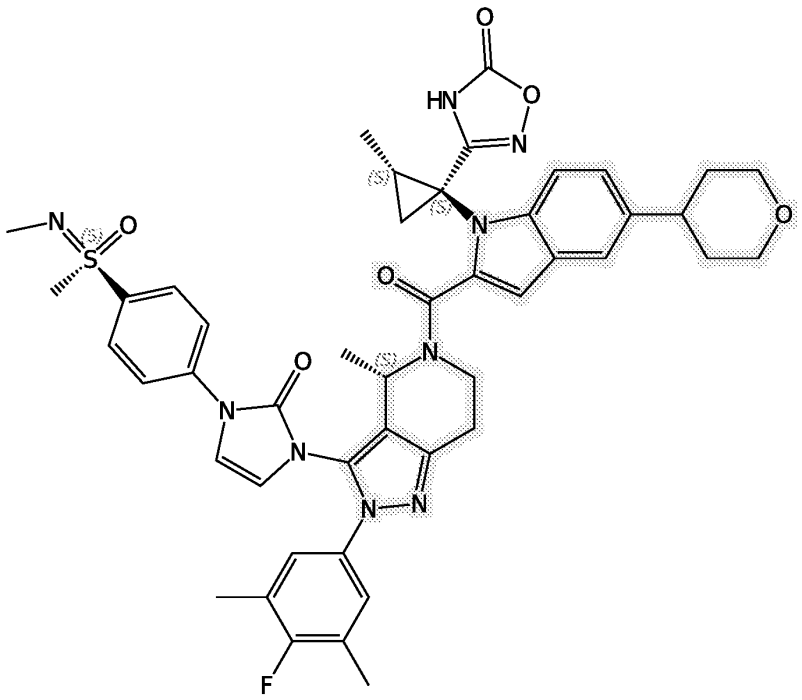
Absolute stereochemistry shown

RN 3054162-68-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



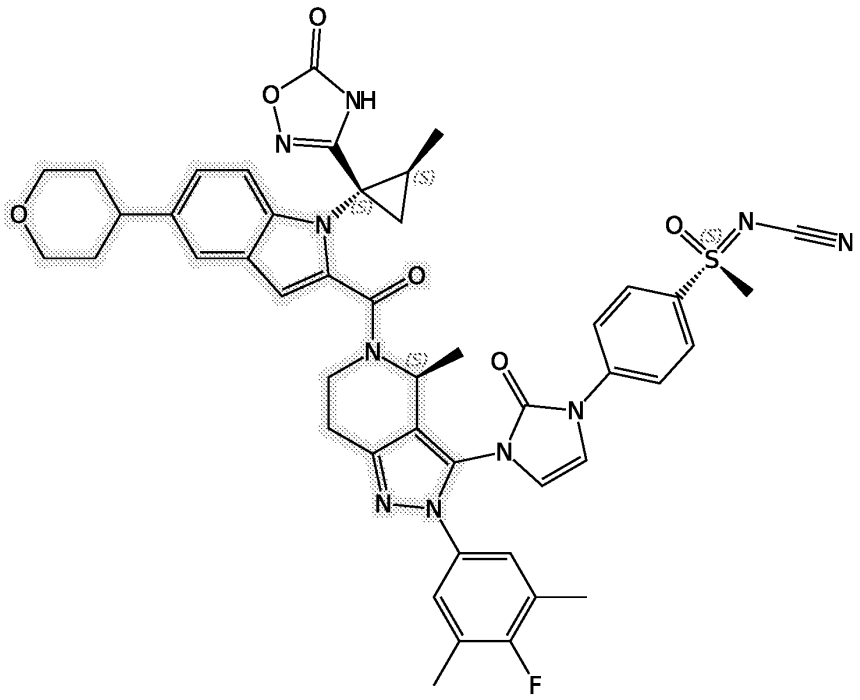
Absolute stereochemistry shown

IT 3054162-69-2P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-69-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



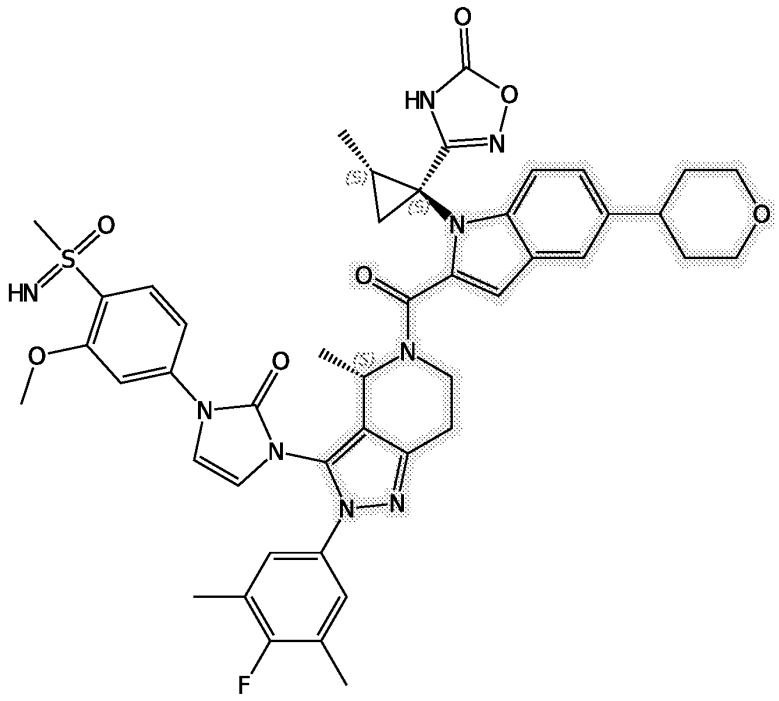
Absolute stereochemistry shown

IT 3054162-70-5P 3054162-71-6P 3054162-72-7P
3054162-74-9P 3054162-76-1P 3054162-77-2P
3054162-78-3P 3054162-79-4P 3054162-82-9P
3054162-83-0P 3054162-84-1P 3054162-85-2P
3054162-86-3P 3054162-87-4P 3054162-88-5P
3054163-89-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-70-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



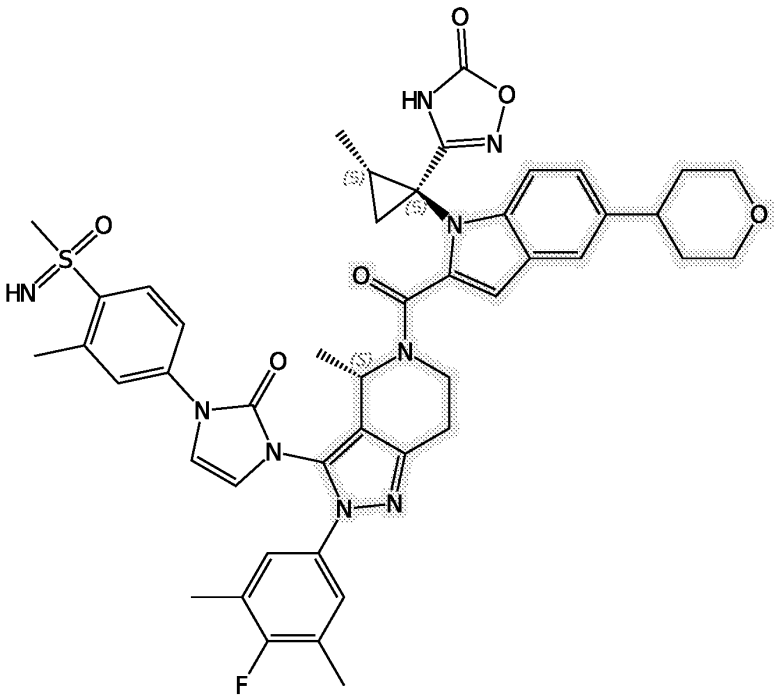
Absolute stereochemistry shown

RN 3054162-71-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



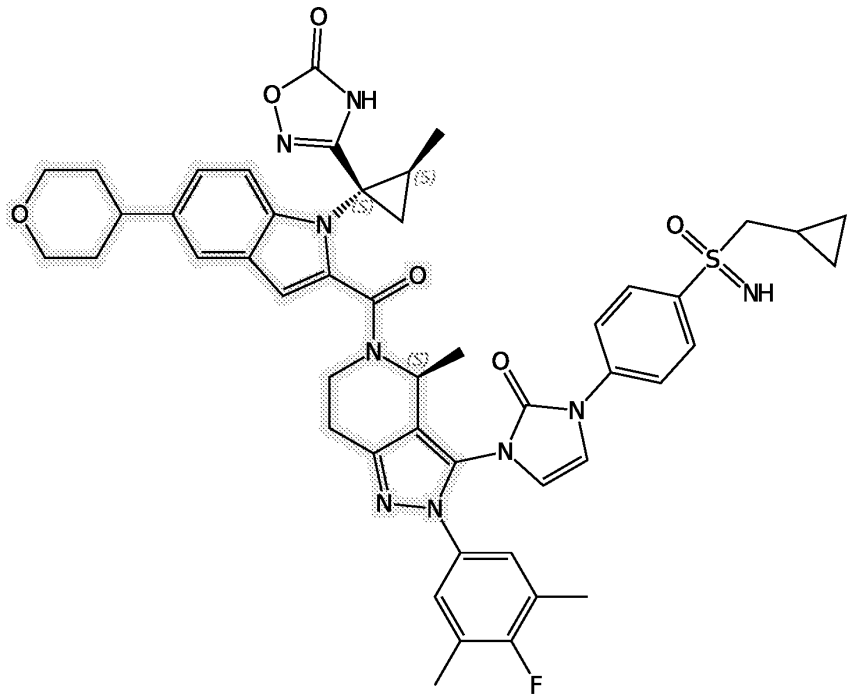
Absolute stereochemistry shown

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CN INDEX NAME NOT YET ASSIGNED



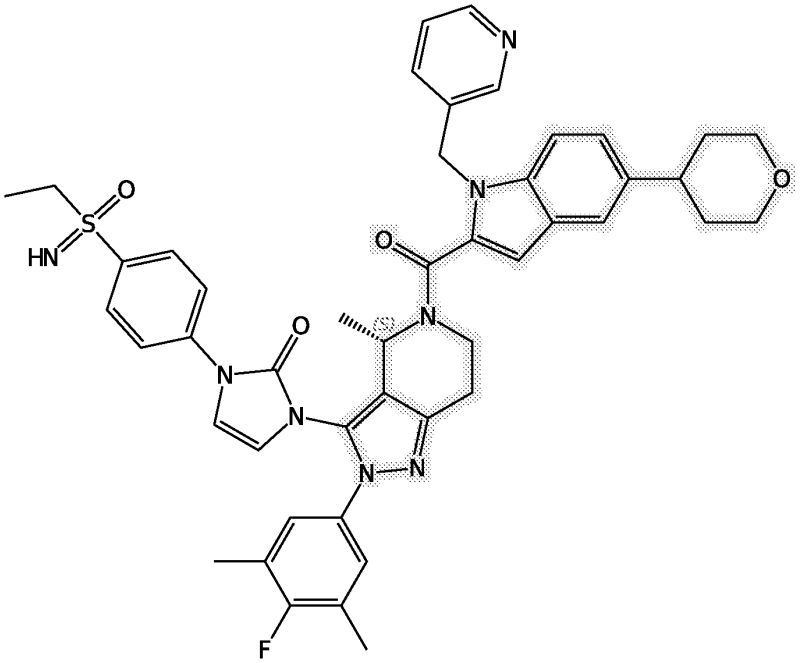
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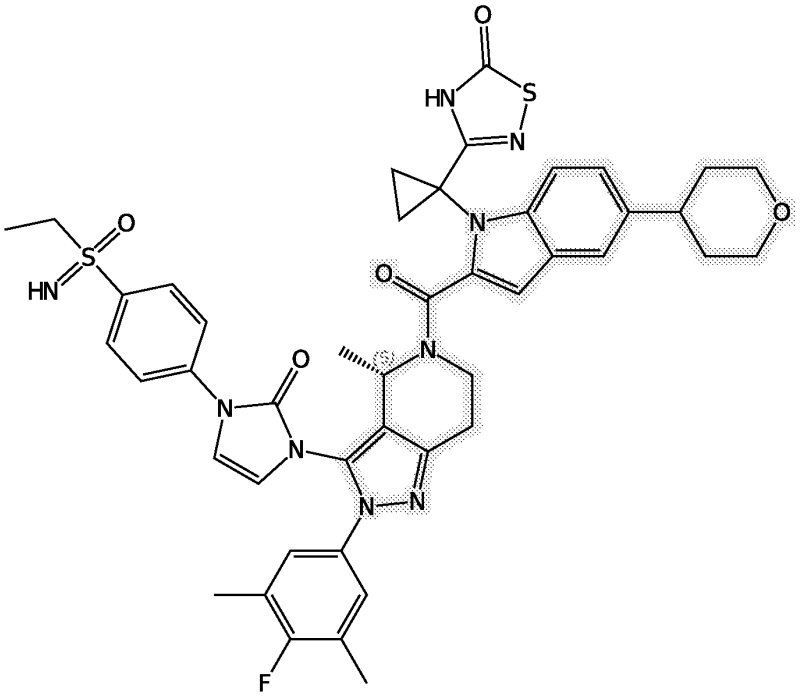
Absolute stereochemistry shown

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CN INDEX NAME NOT YET ASSIGNED



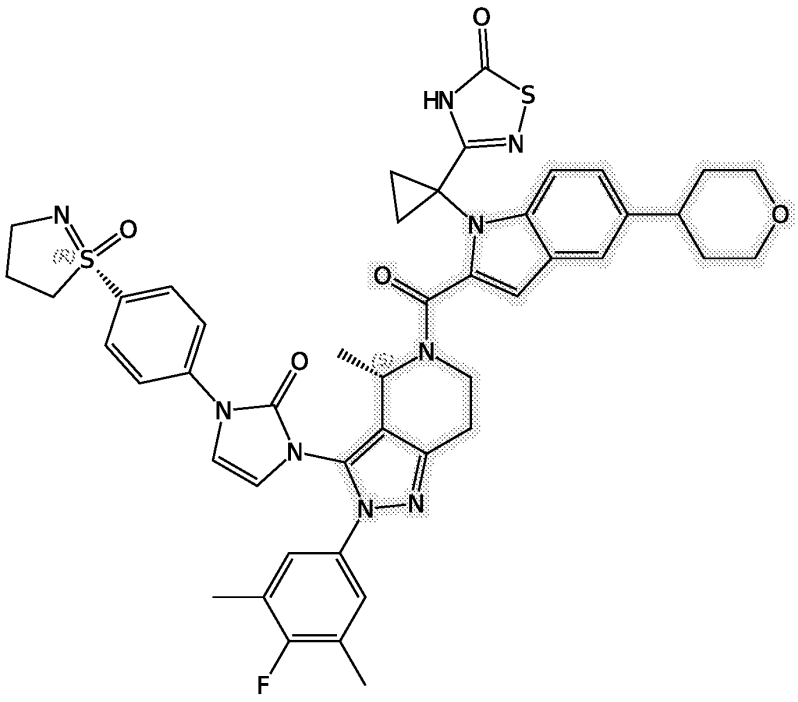
Absolute stereochemistry shown

RN 3054162-77-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



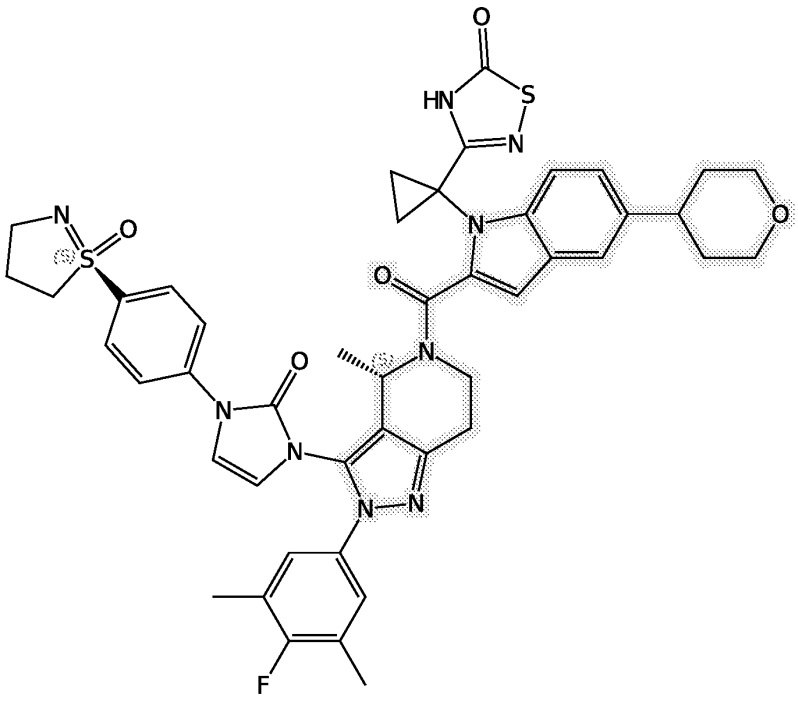
Absolute stereochemistry shown

RN 3054162-78-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



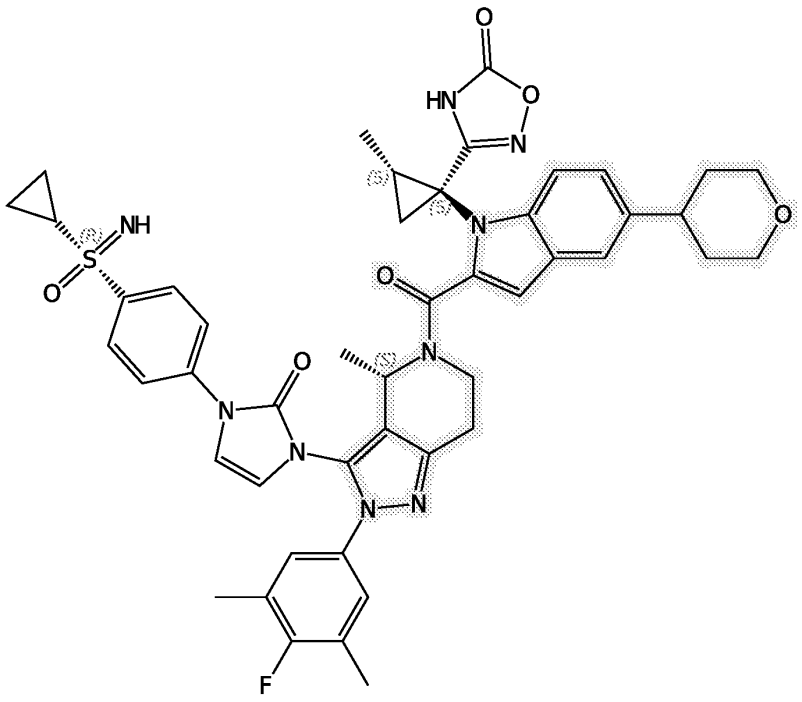
Absolute stereochemistry shown

RN 3054162-79-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



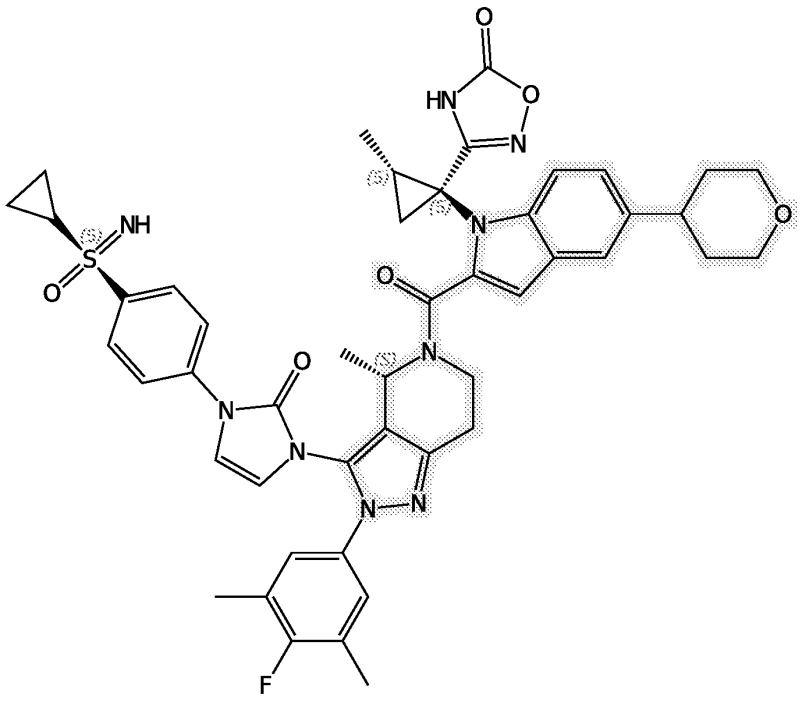
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RN 3054162-82-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



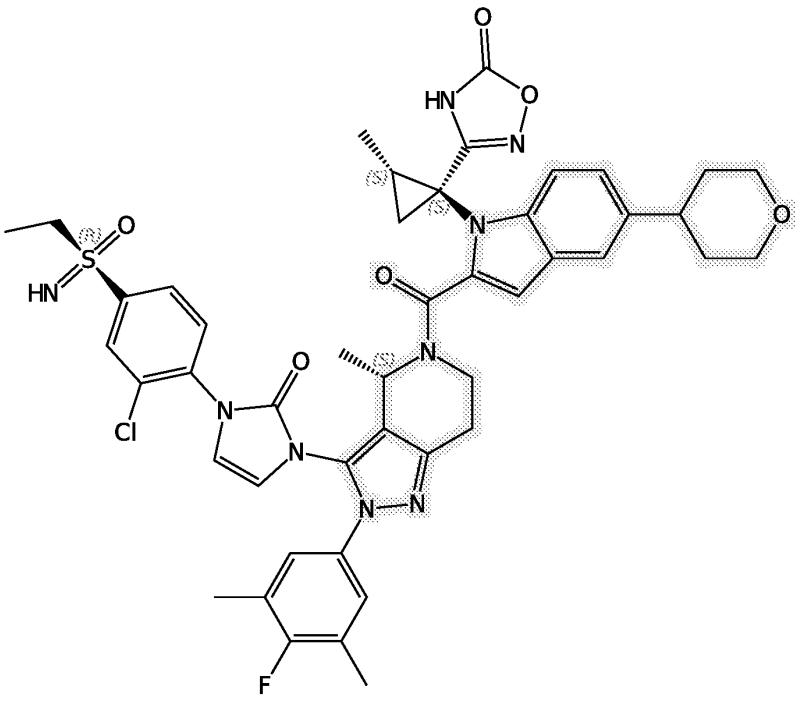
Absolute stereochemistry shown

RN 3054162-83-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



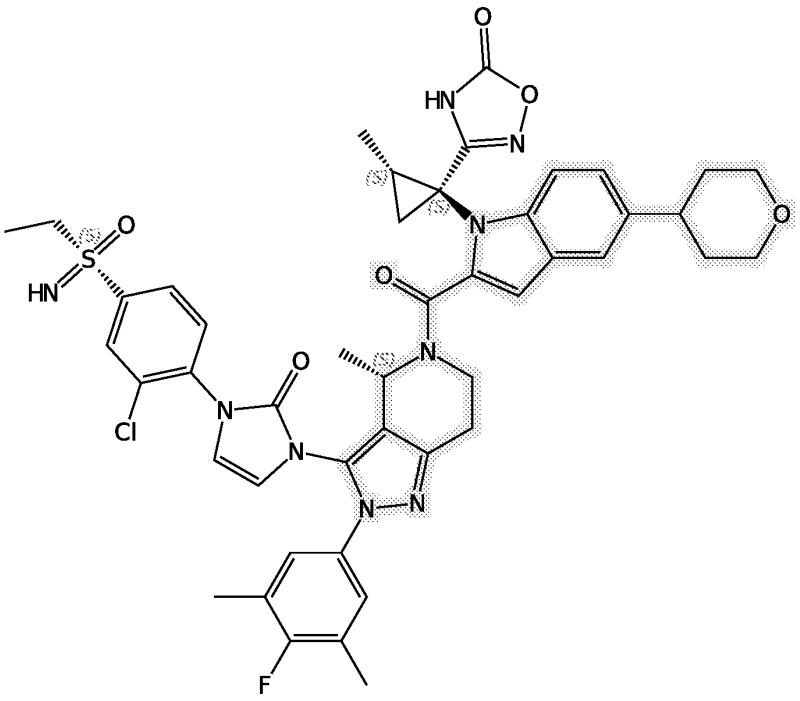
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RN 3054162-84-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



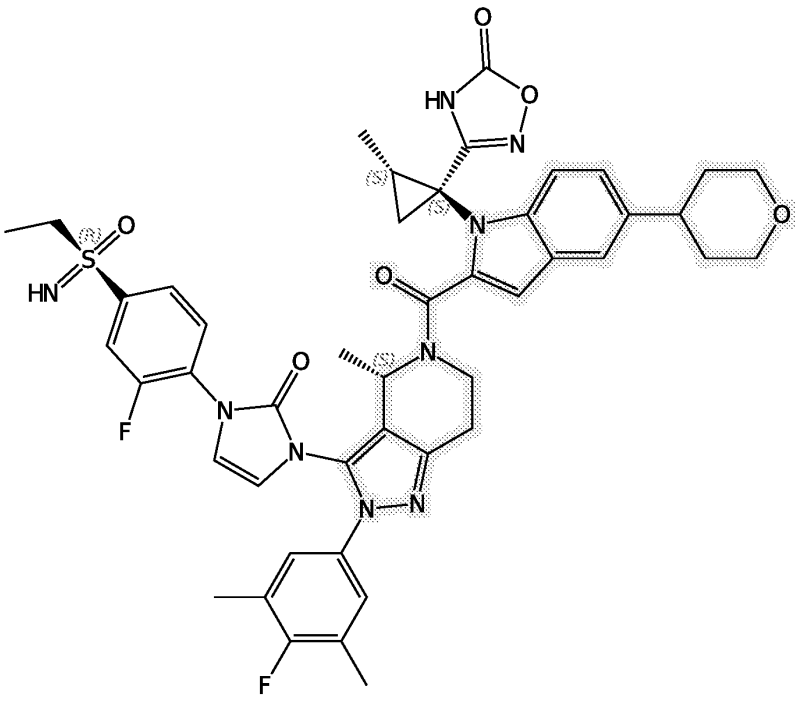
Absolute stereochemistry shown

RN 3054162-85-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



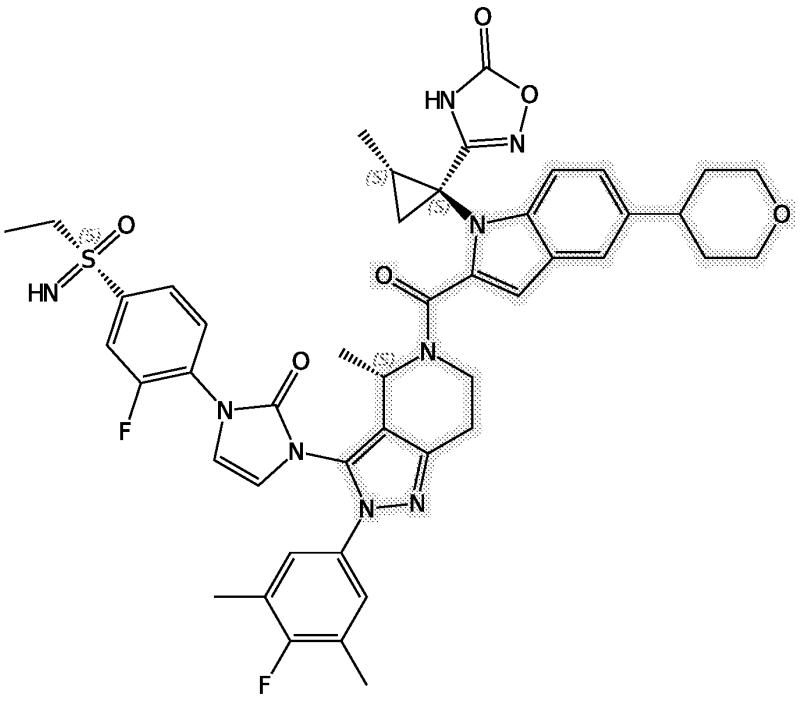
Absolute stereochemistry shown

RN 3054162-86-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



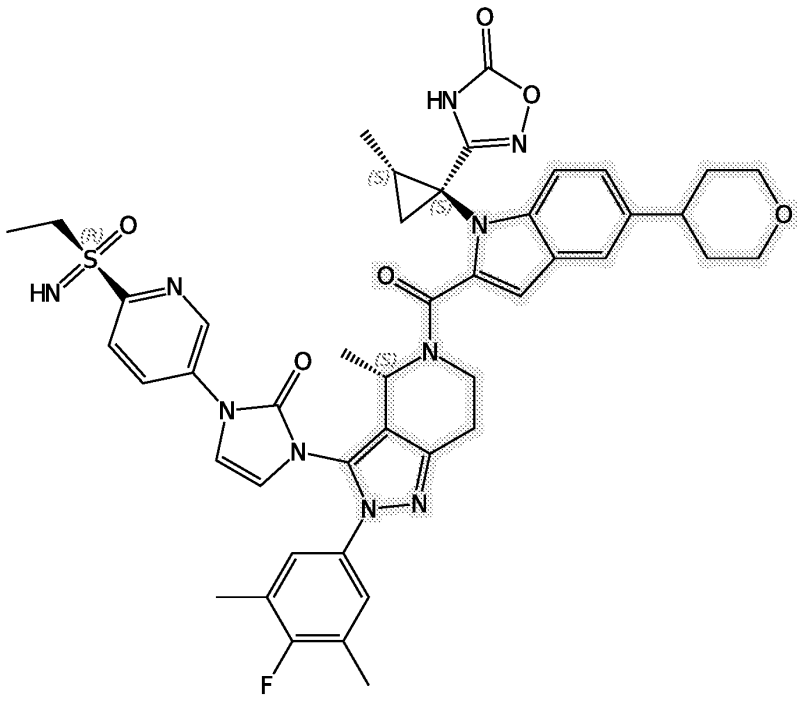
Absolute stereochemistry shown

RN 3054162-87-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



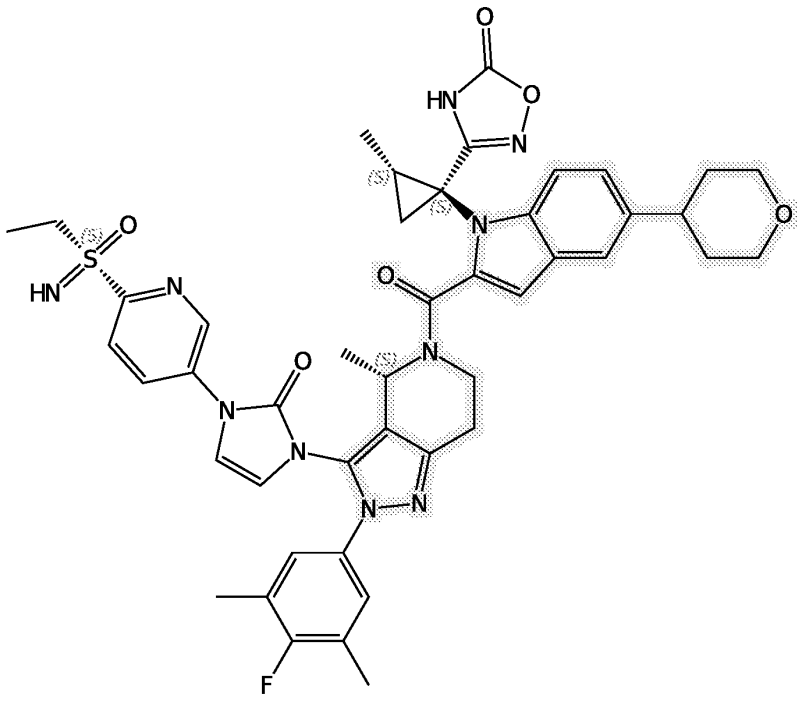
Absolute stereochemistry shown

RN 3054162-88-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

RN 3054162-89-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

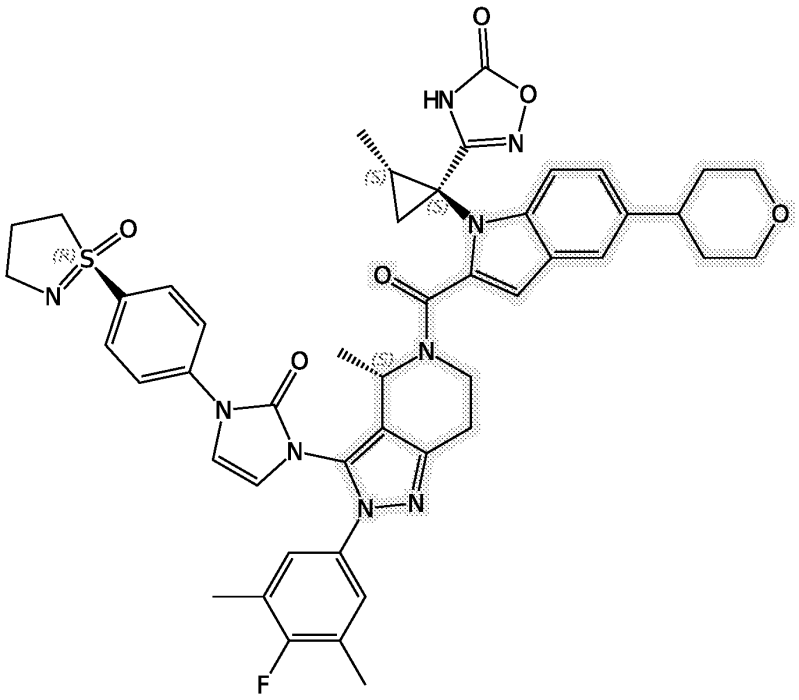


Absolute stereochemistry shown

IT 3054162-90-9P 3054162-91-0P 3054162-92-1P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN

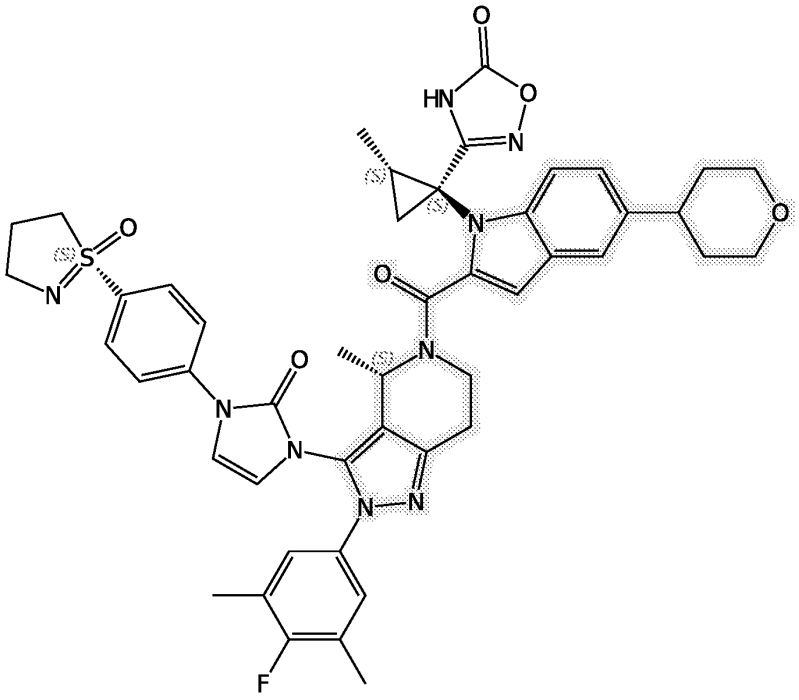
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)

RN 3054162-90-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



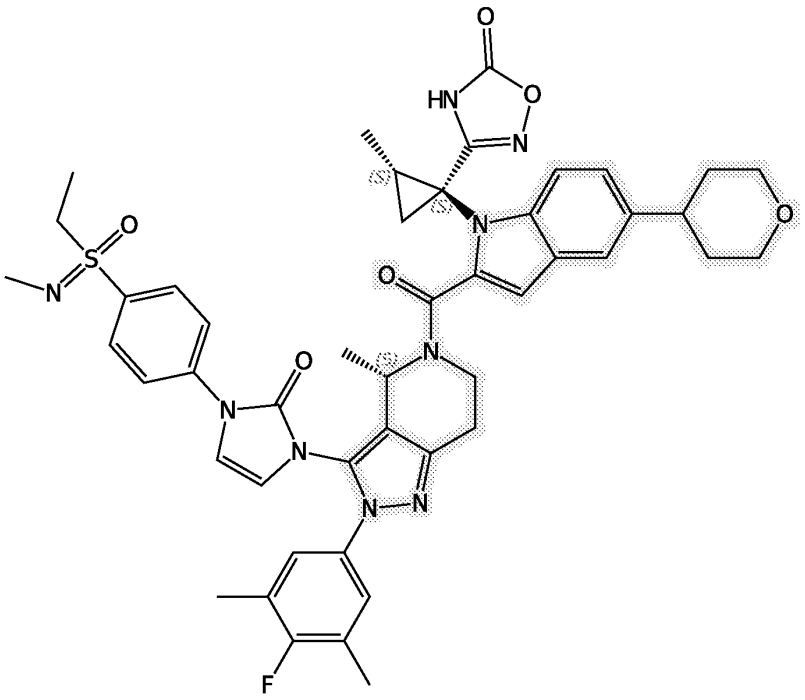
Absolute stereochemistry shown

RN 3054162-91-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



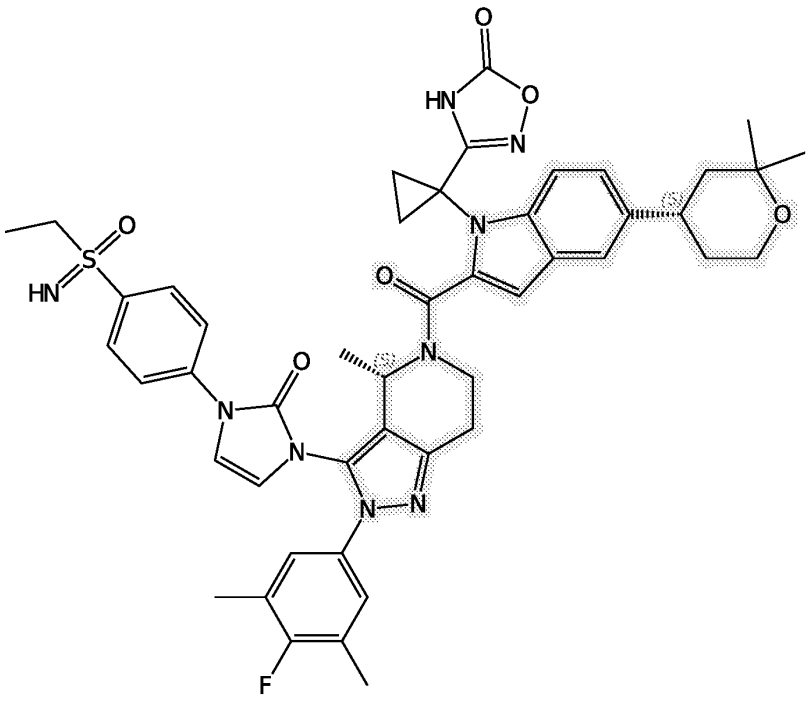
Absolute stereochemistry shown

RN 3054162-92-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



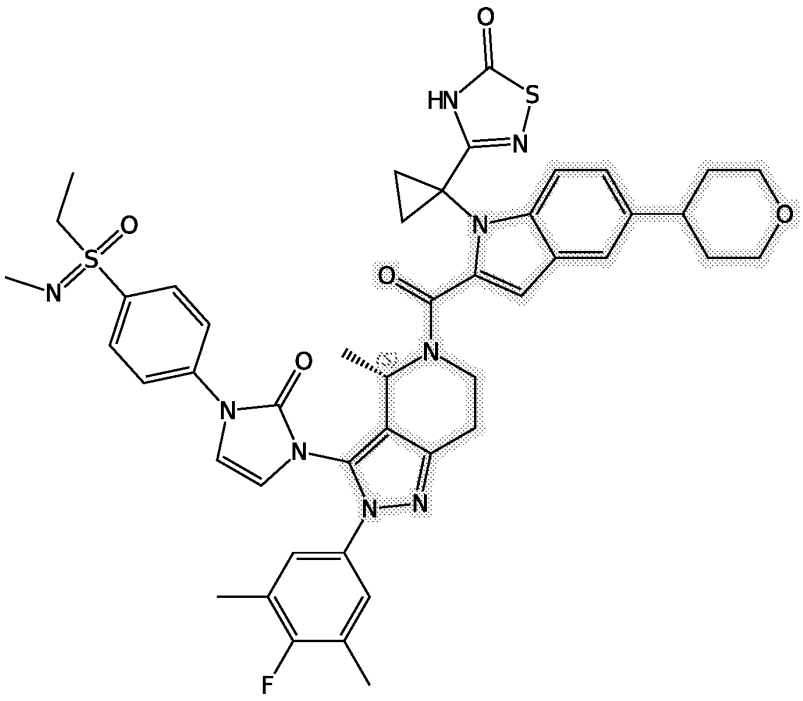
Absolute stereochemistry shown

IT 3054162-93-2P 3054162-94-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-93-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

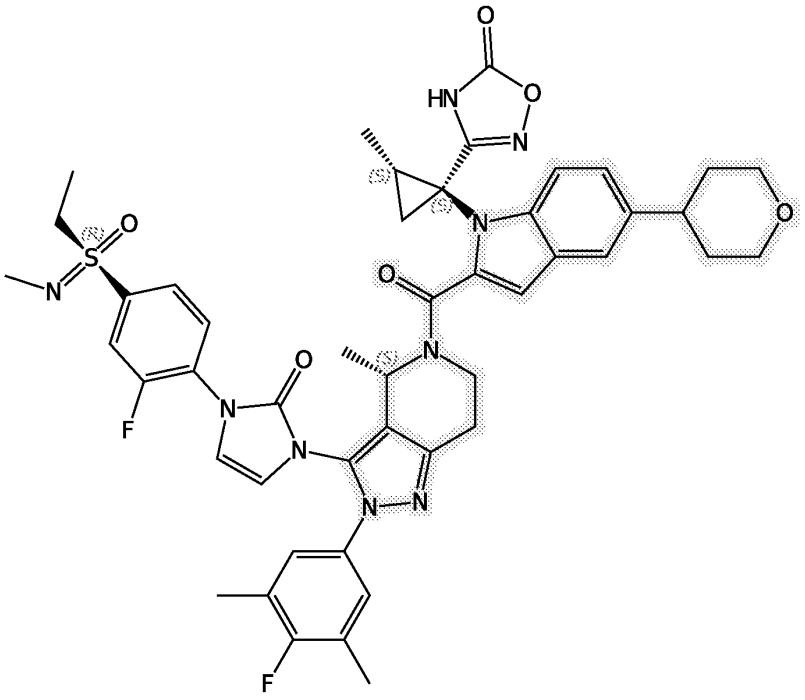
RN 3054162-94-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

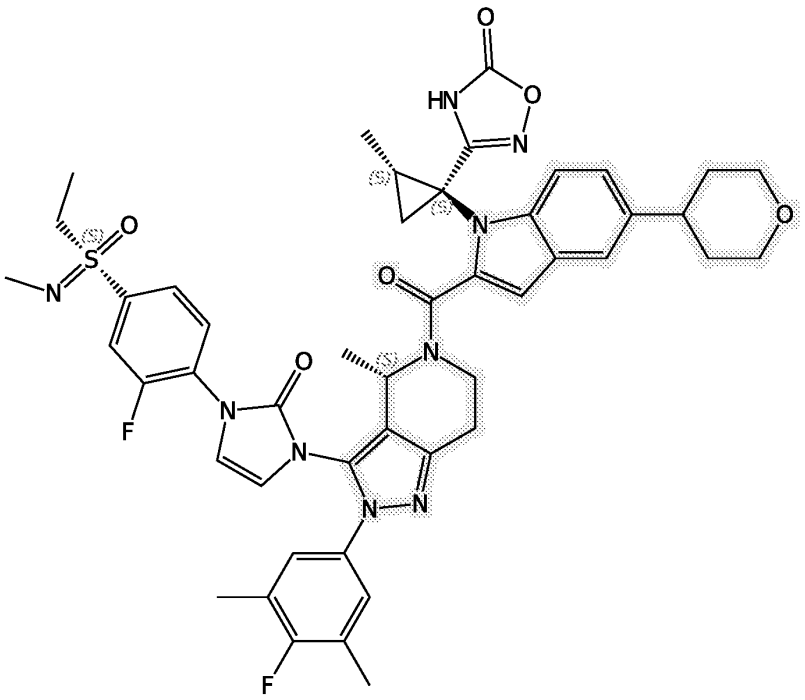
IT 3054163-95-4P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-95-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



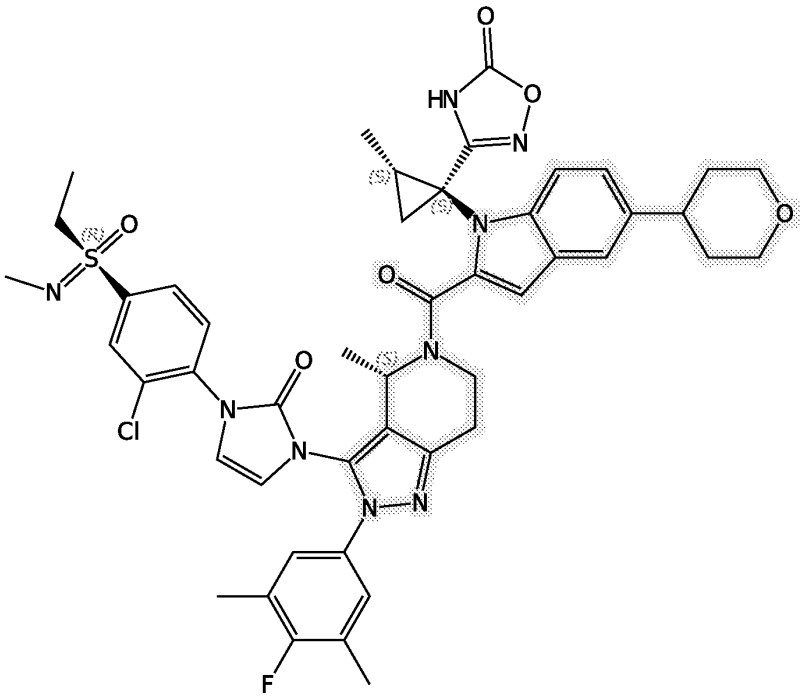
Absolute stereochemistry shown

IT 3054163-96-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-96-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

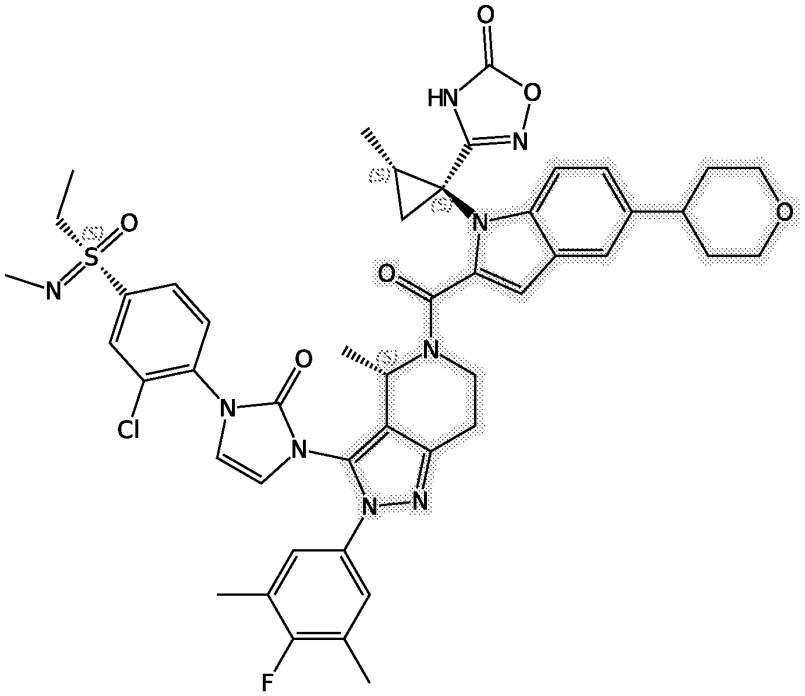
IT 3054162-97-6P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-97-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

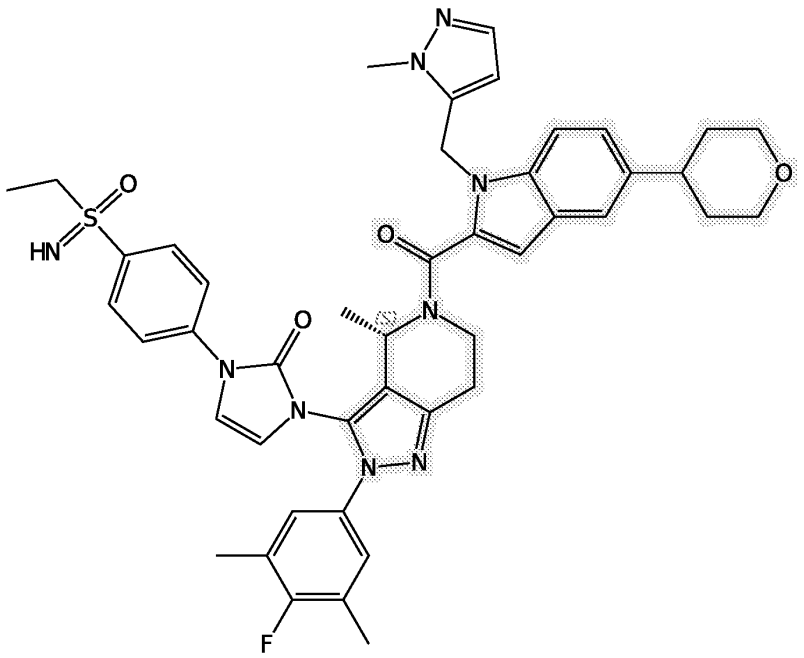
IT 3054162-98-7P 3054162-99-8P 3054163-00-4P
3054163-01-5P 3054163-02-6P 3054163-03-7P
3054163-04-8P 3054163-07-1P 3054163-08-2P
3054163-09-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)

RN 3054162-98-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



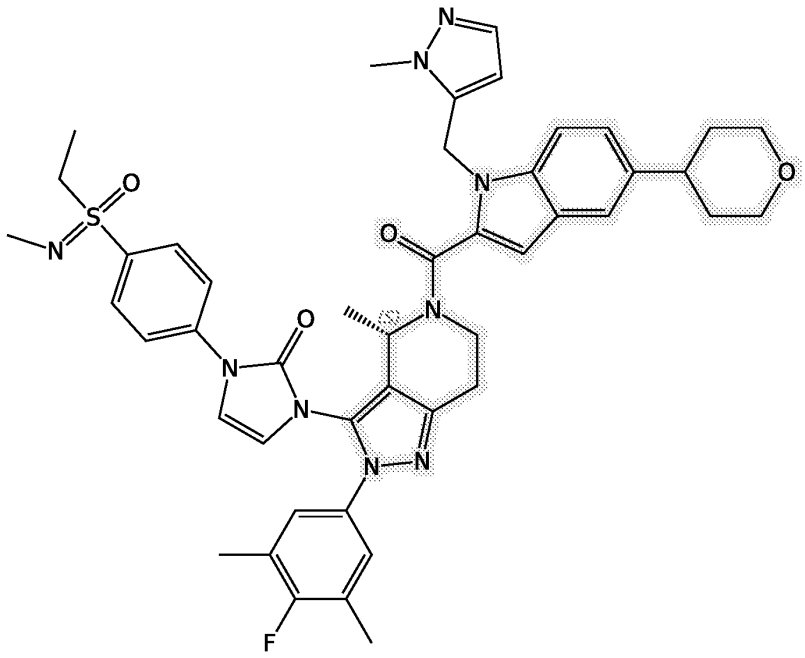
Absolute stereochemistry shown

RN 3054162-99-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



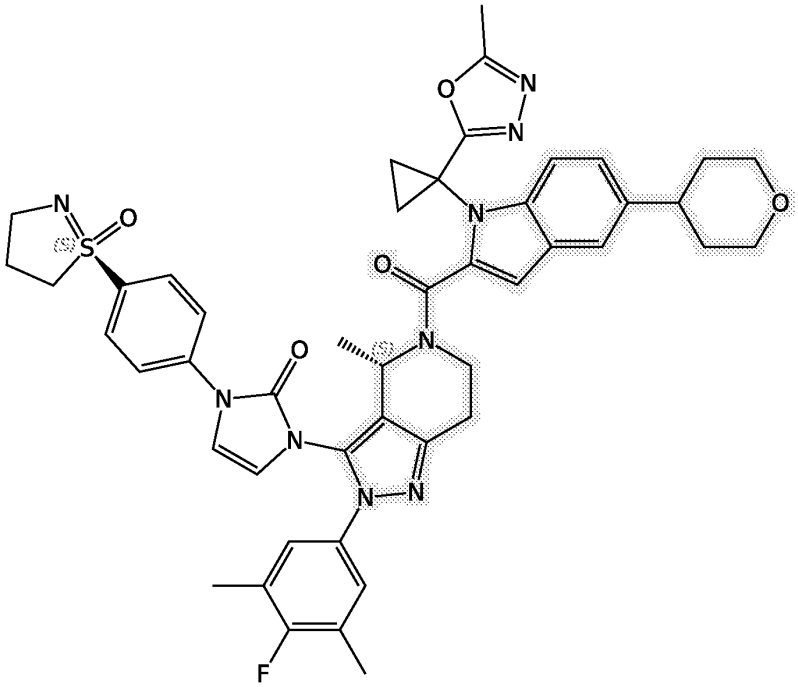
Absolute stereochemistry shown

RN 3054163-00-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



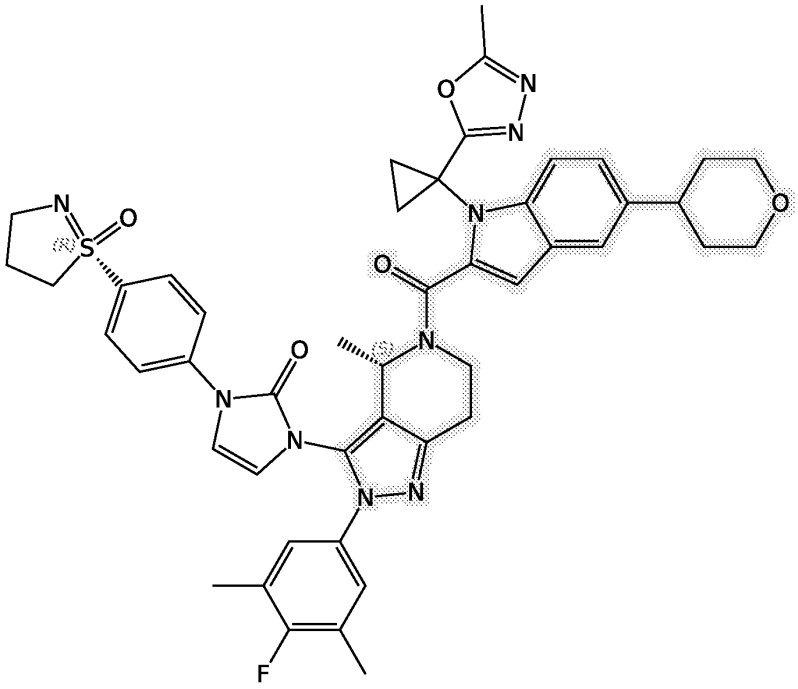
Absolute stereochemistry shown

RN 3054163-01-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



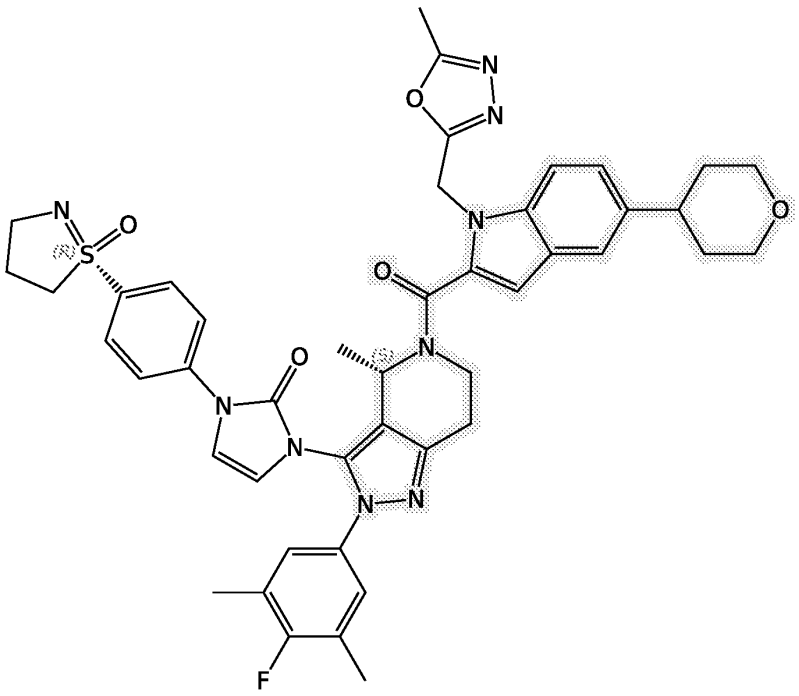
Absolute stereochemistry shown

RN 3054163-02-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



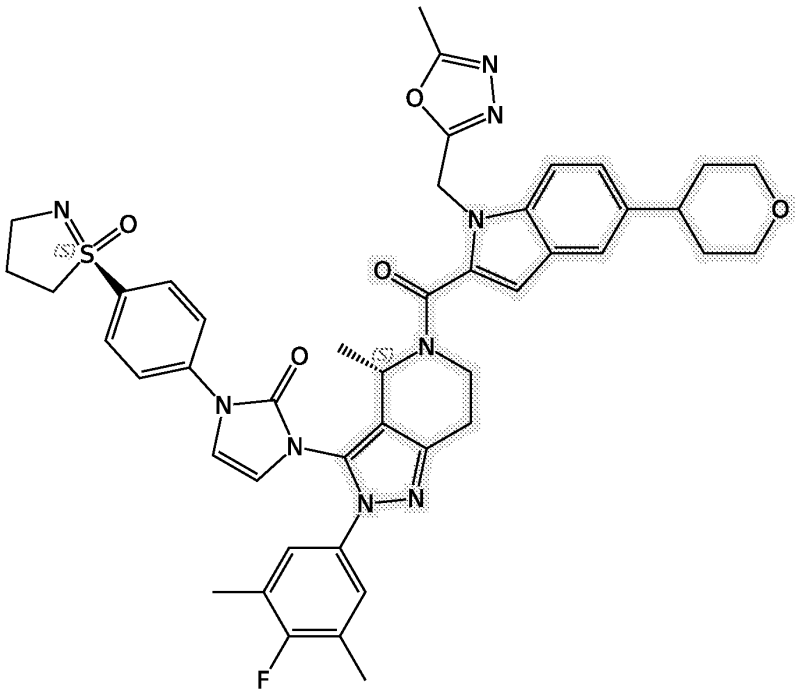
Absolute stereochemistry shown

RN 3054163-03-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



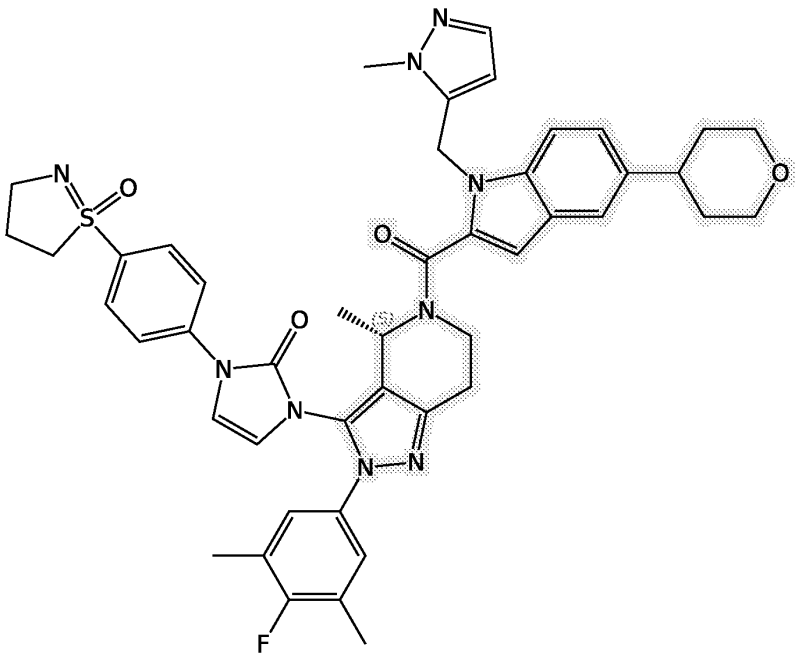
Absolute stereochemistry shown

RN 3054163-04-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



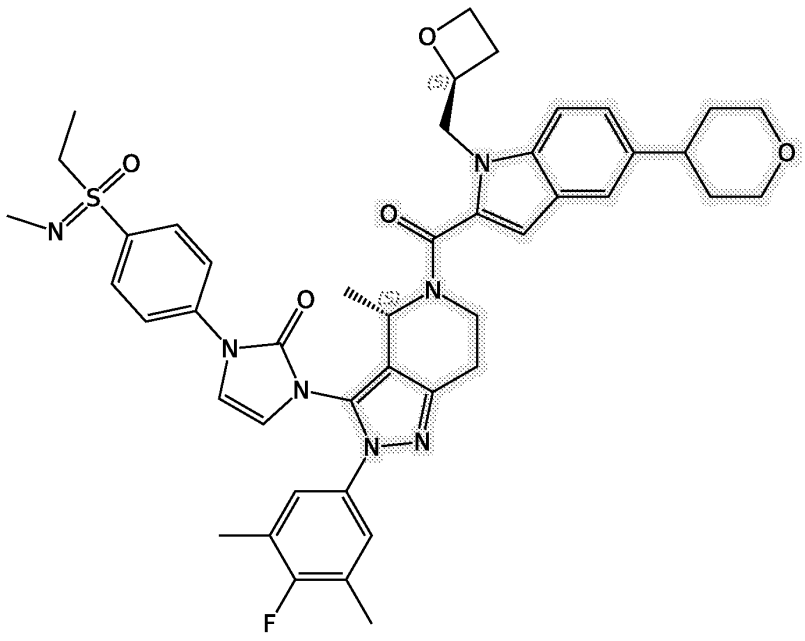
Absolute stereochemistry shown

RN 3054163-07-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



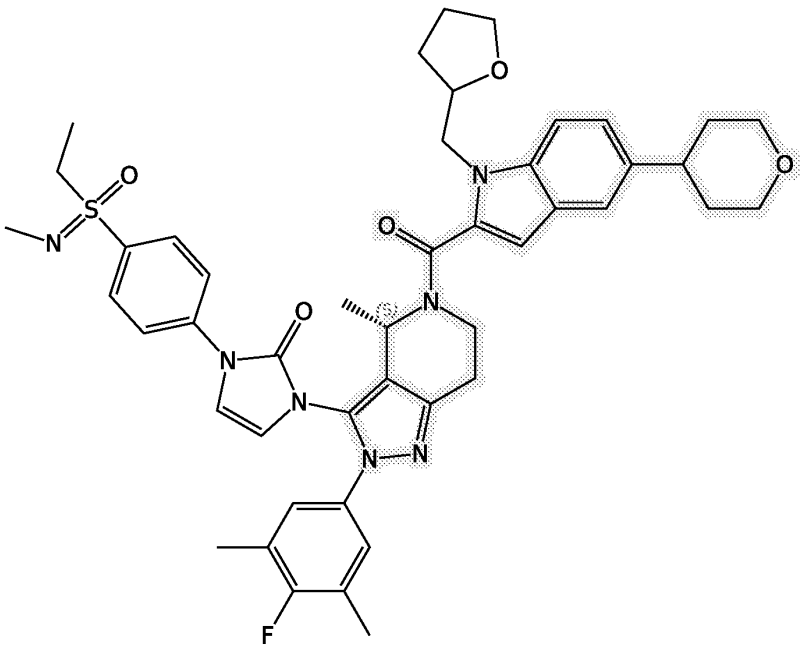
Absolute stereochemistry shown

RN 3054163-08-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



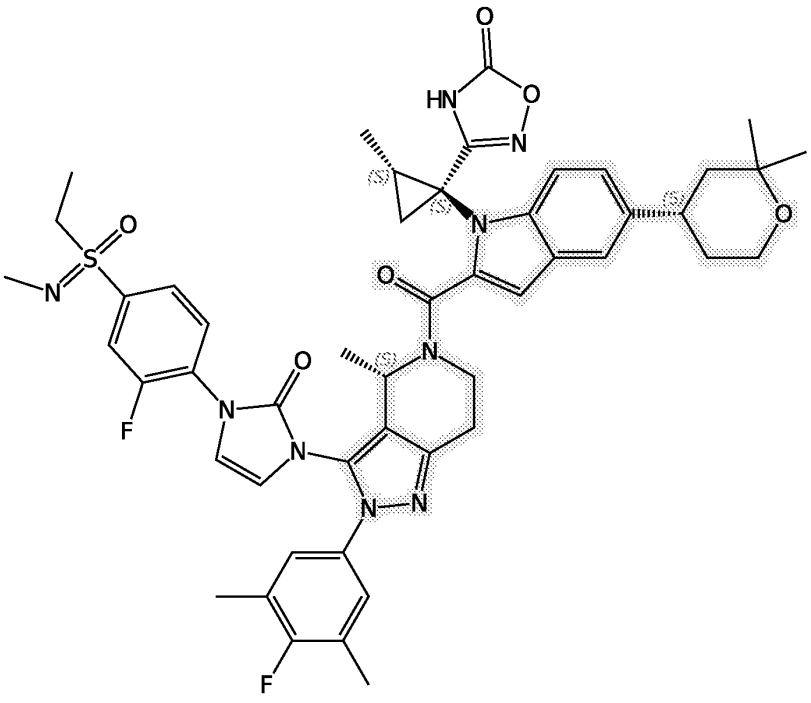
Absolute stereochemistry shown

RN 3054163-09-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



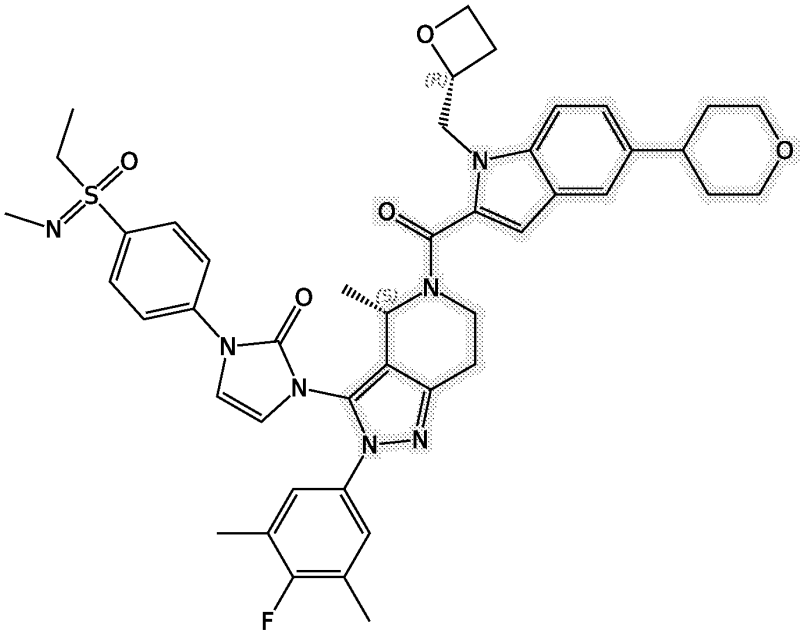
Absolute stereochemistry shown

IT 3054163-10-6P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-10-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



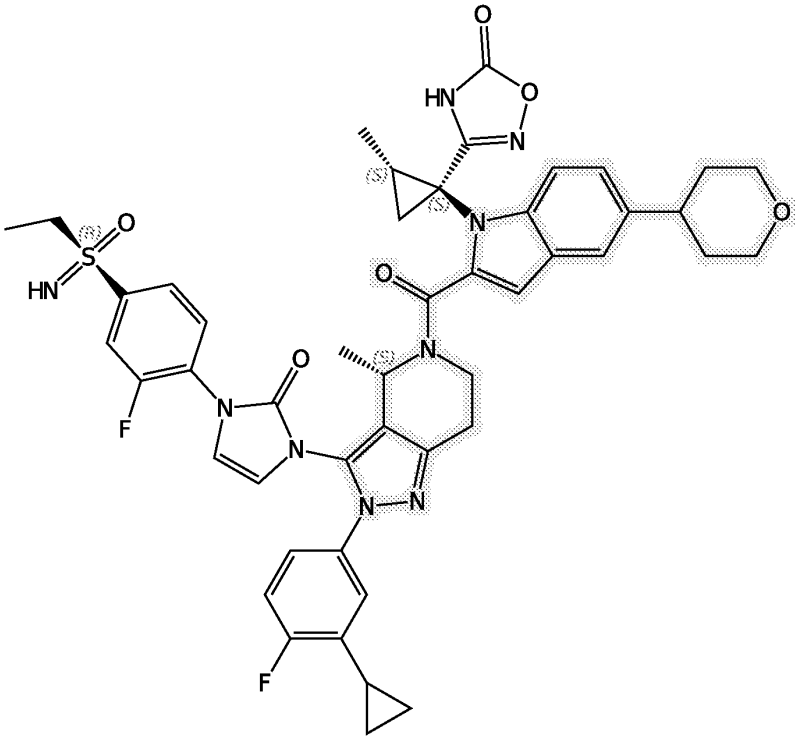
Absolute stereochemistry shown

IT 3054163-11-7P 3054163-12-8P 3054163-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-11-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



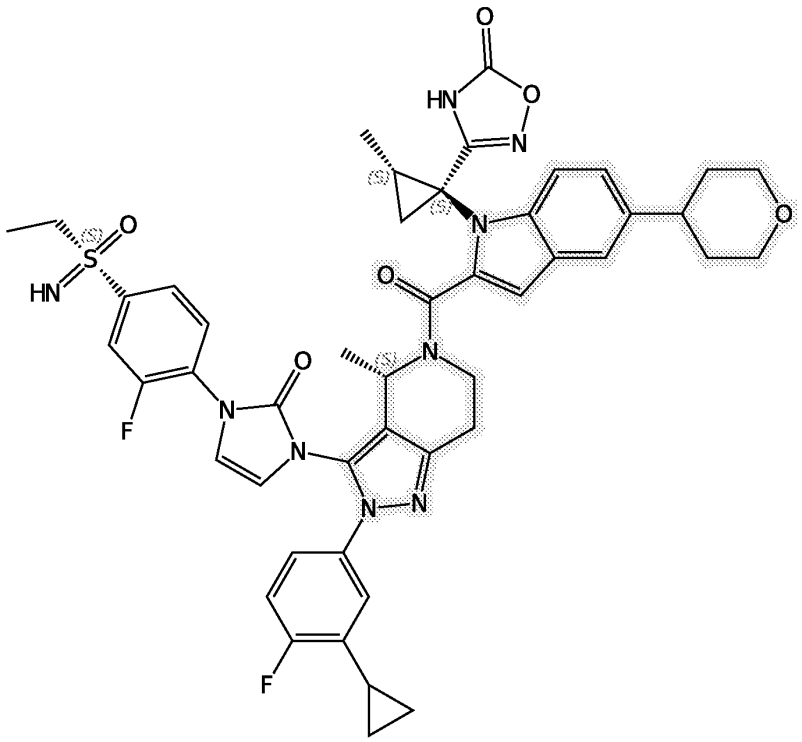
Absolute stereochemistry shown

RN 3054163-12-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



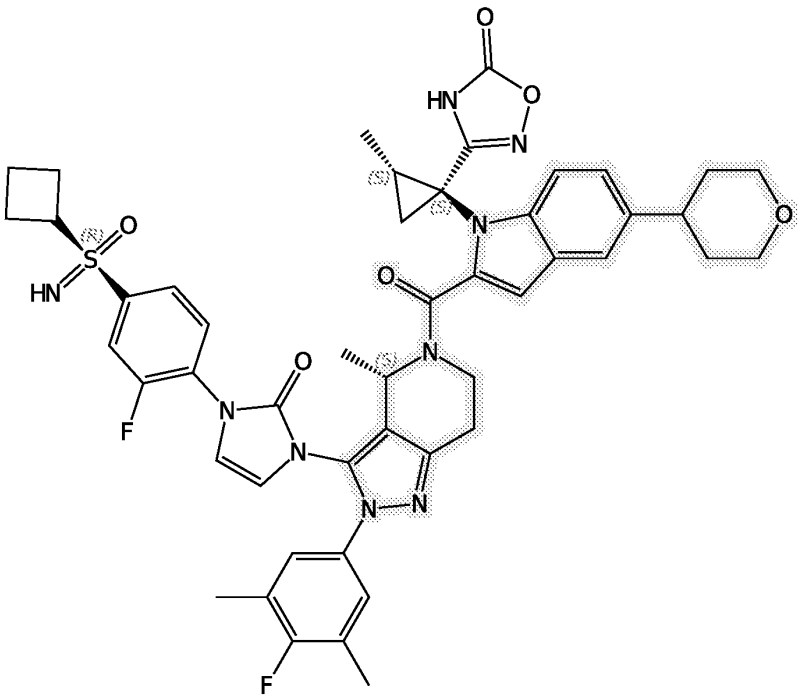
Absolute stereochemistry shown

RN 3054163-13-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



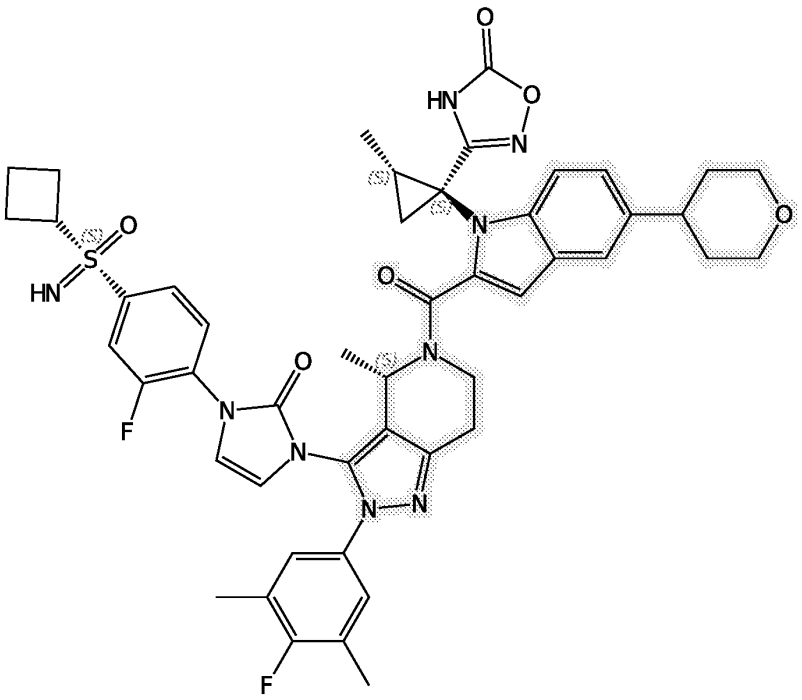
Absolute stereochemistry shown

IT 3054163-14-0P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-14-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



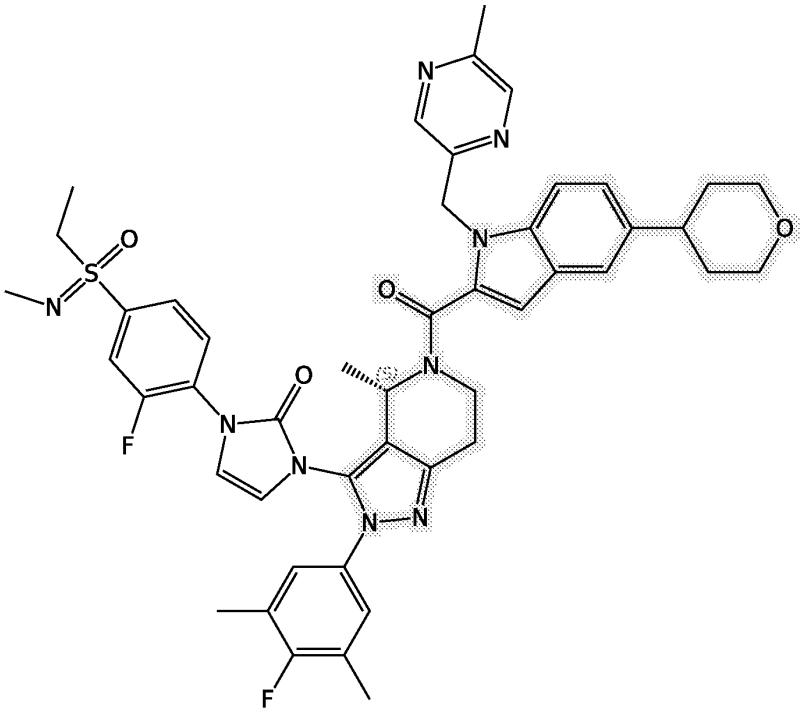
Absolute stereochemistry shown

IT 3054163-15-1P 3054163-16-2P 3054163-17-3P
3054163-18-4P 3054163-19-5P 3054163-20-8P
3054163-21-9P 3054163-22-0P 3054163-23-1P
3054163-24-2P 3054163-25-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-15-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

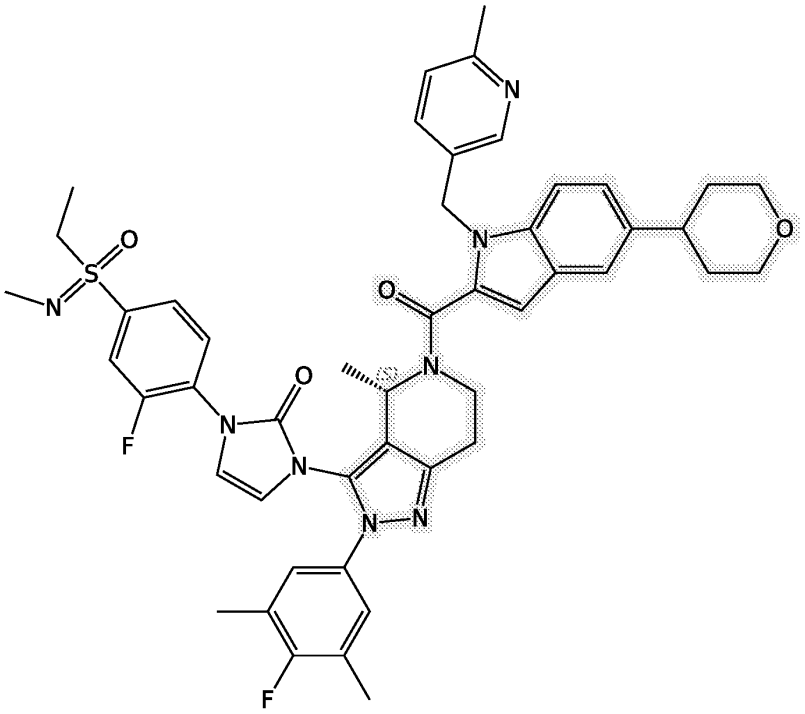
RN 3054163-16-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

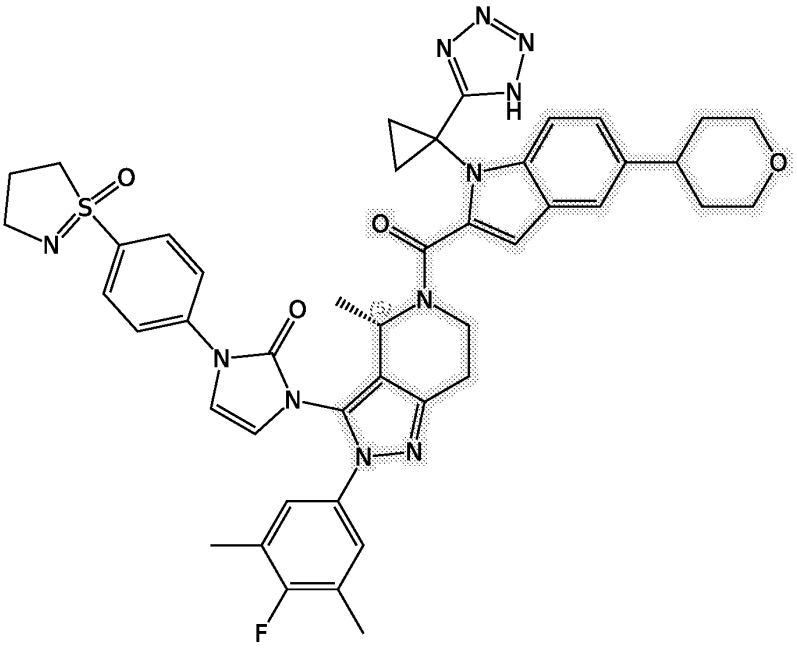
RN 3054163-17-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



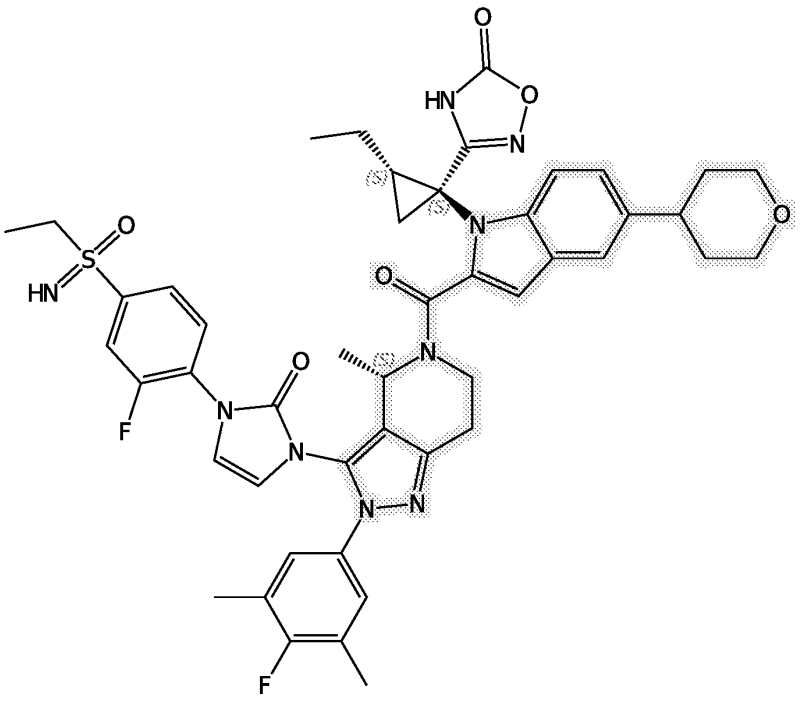
Absolute stereochemistry shown

RN 3054163-18-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



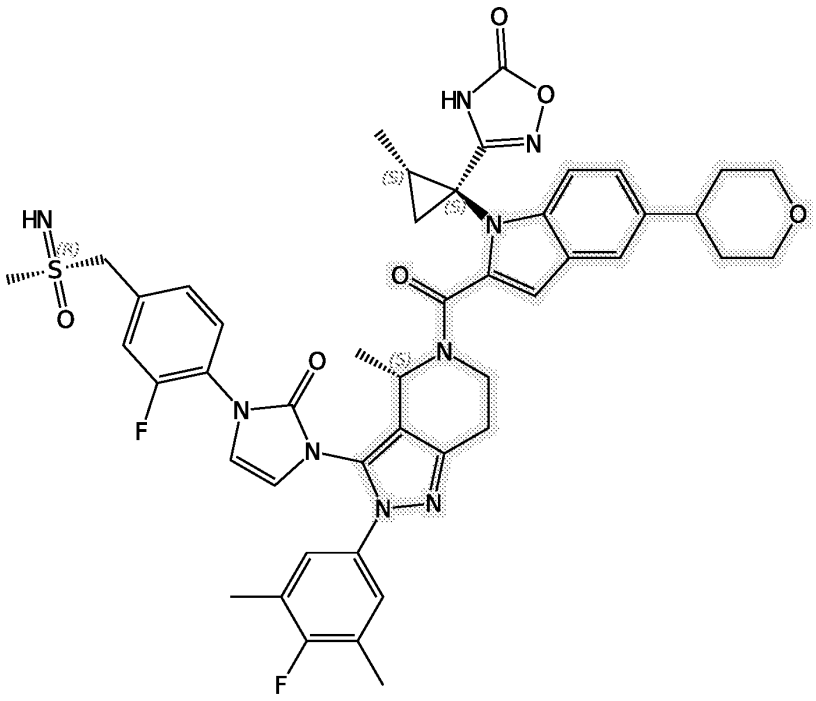
Absolute stereochemistry shown

RN 3054163-19-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



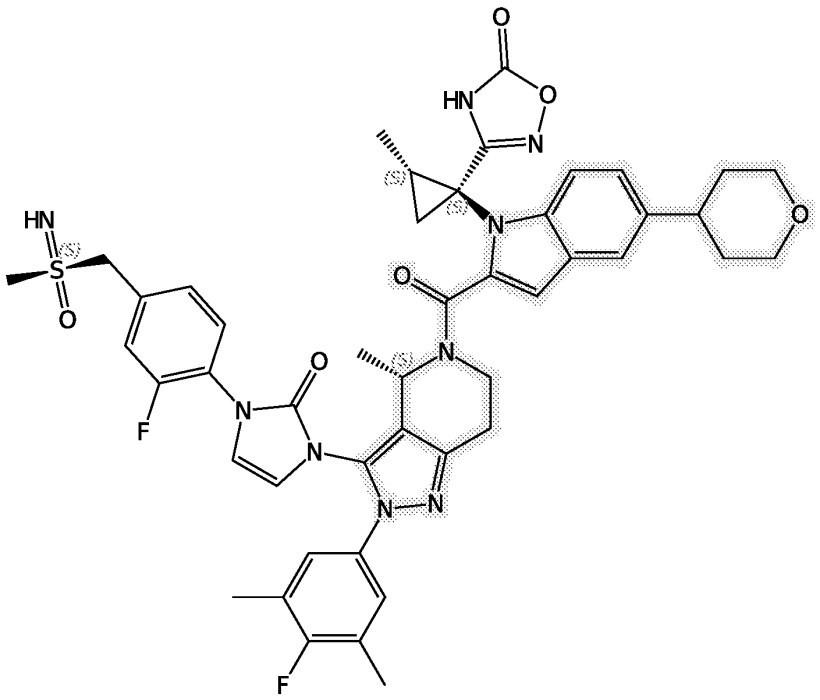
Absolute stereochemistry shown

RN 3054163-20-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



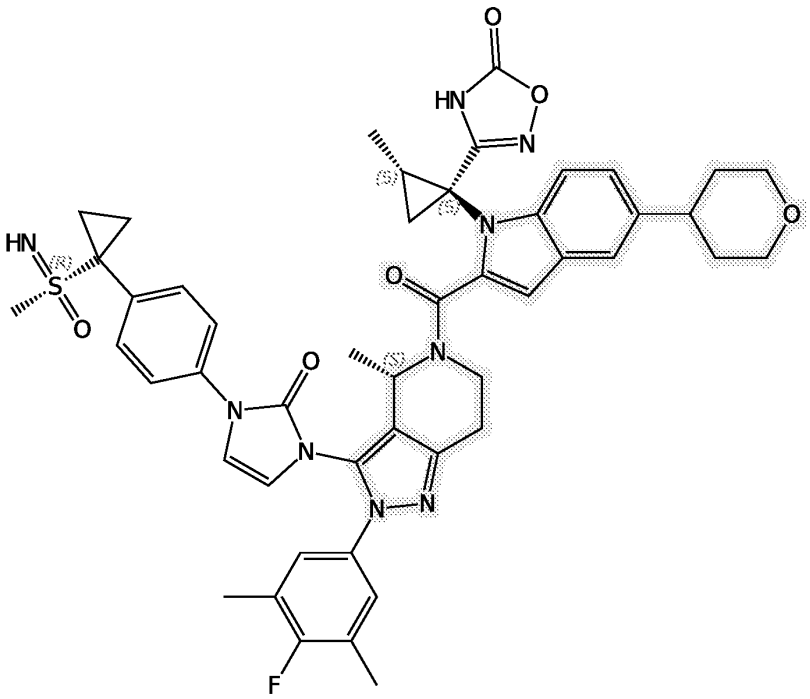
Absolute stereochemistry shown

RN 3054163-21-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



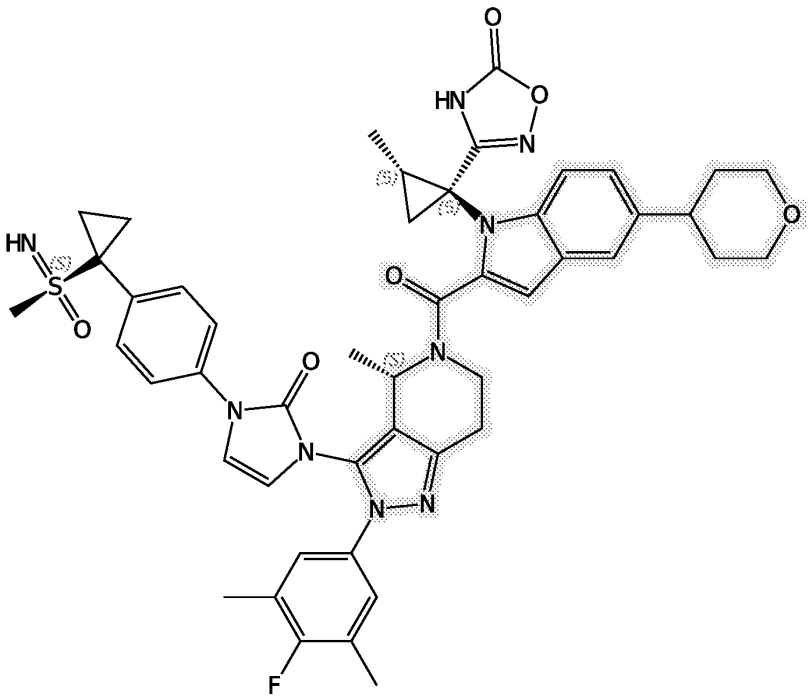
Absolute stereochemistry shown

RN 3054163-22-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



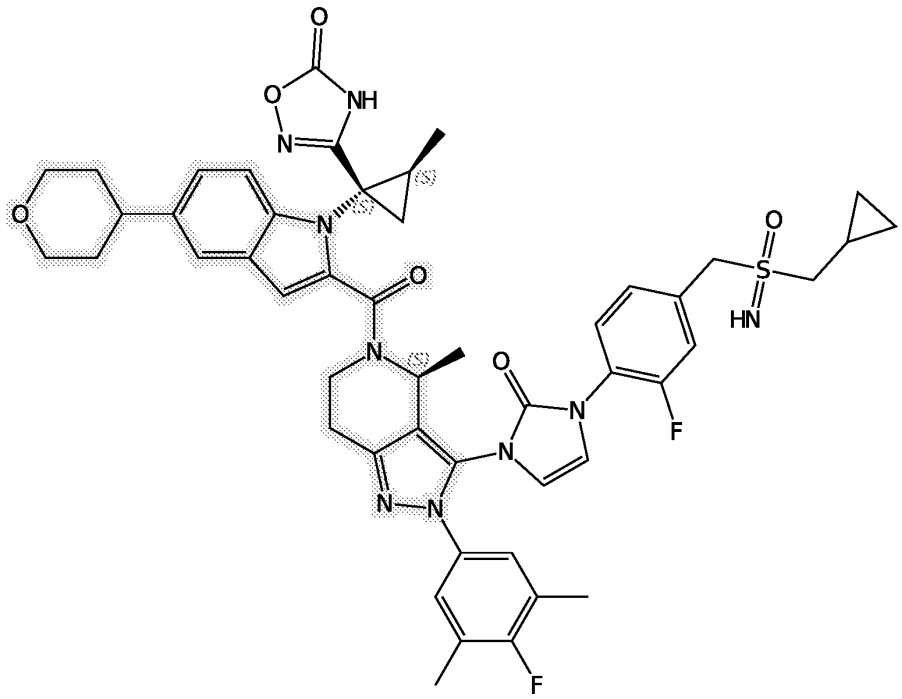
Absolute stereochemistry shown

RN 3054163-23-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



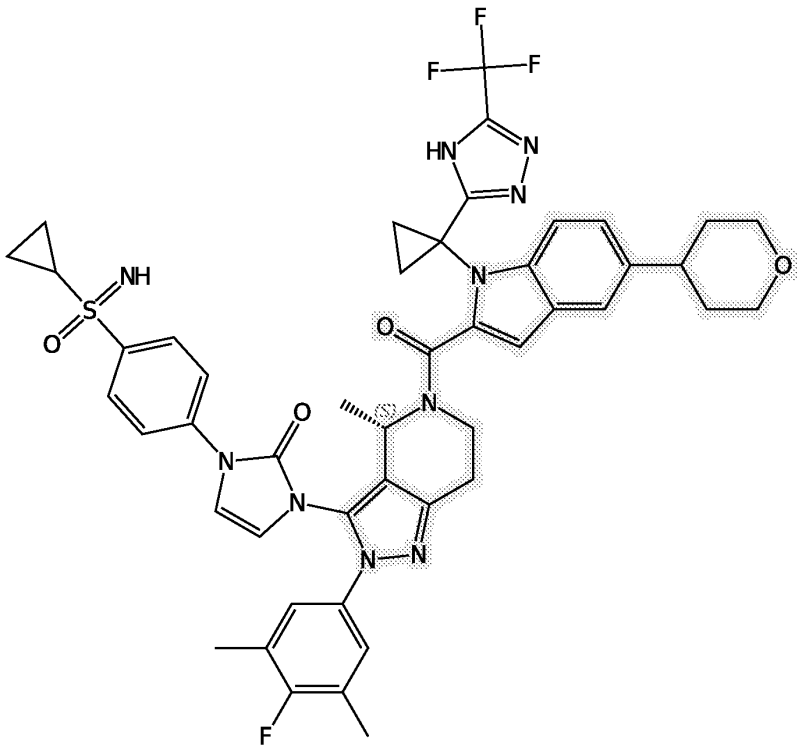
Absolute stereochemistry shown

RN 3054163-24-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



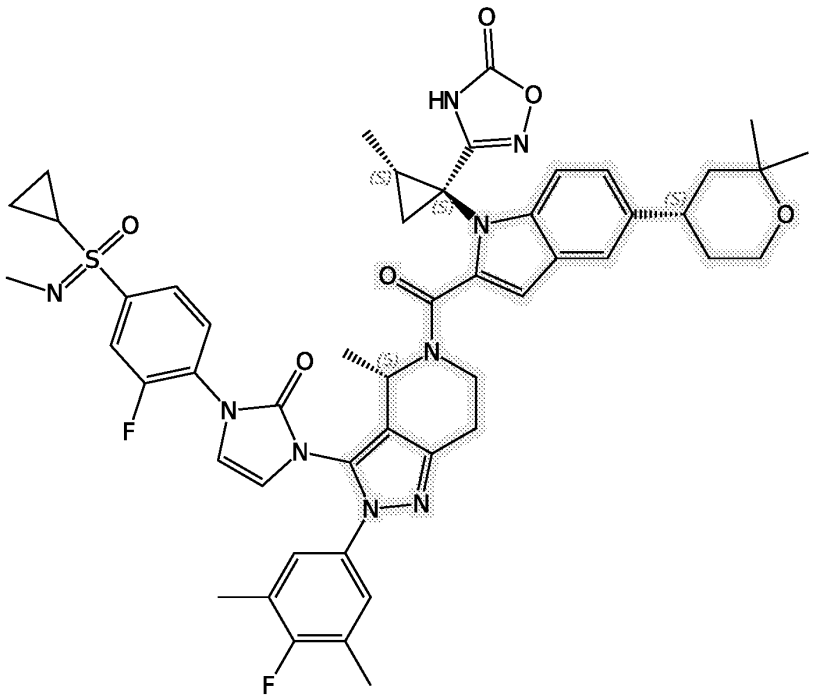
Absolute stereochemistry shown

RN 3054163-25-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



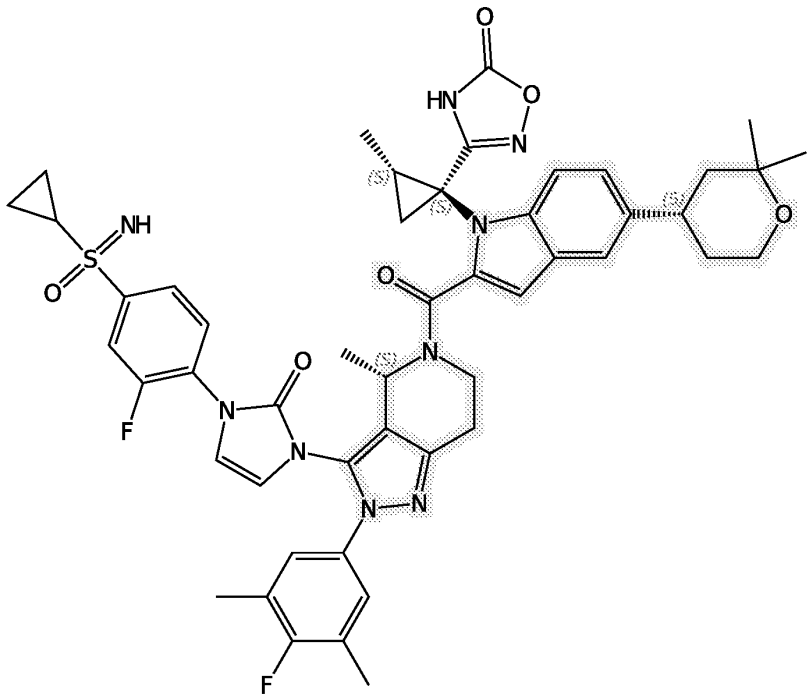
Absolute stereochemistry shown

IT 3054163-26-4P 3054163-27-5P 3054163-28-6P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-26-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



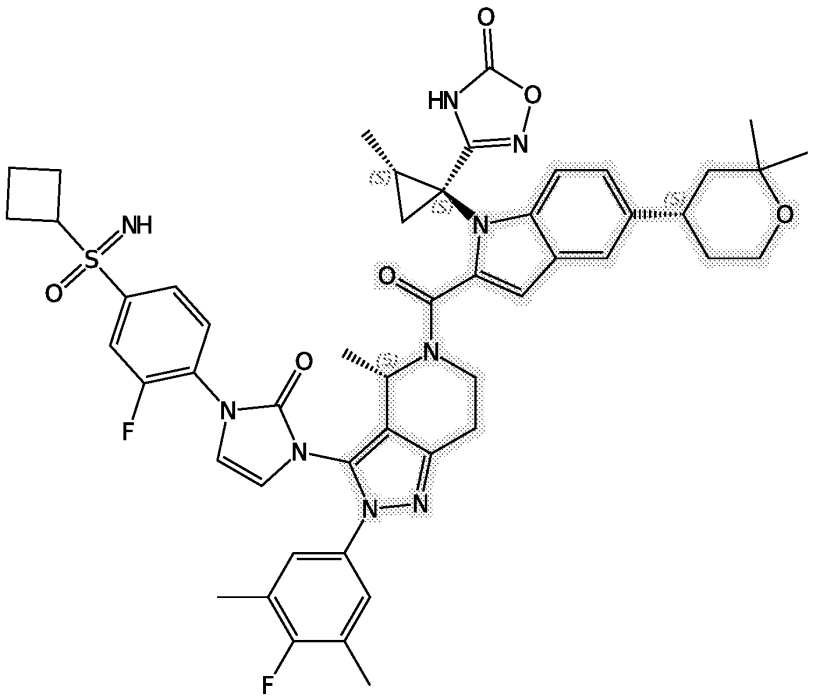
Absolute stereochemistry shown

RN 3054163-27-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



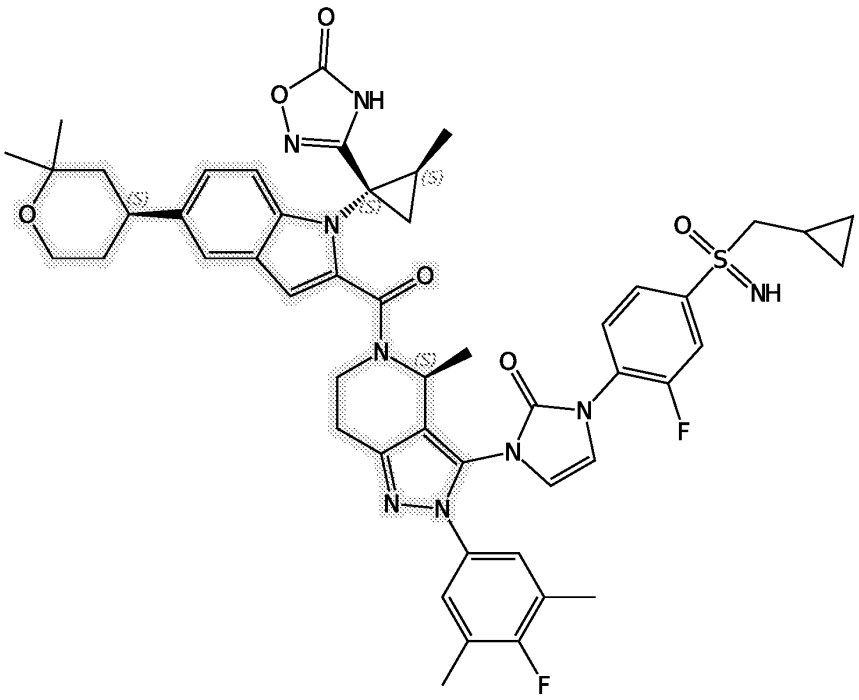
Absolute stereochemistry shown

RN 3054163-28-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



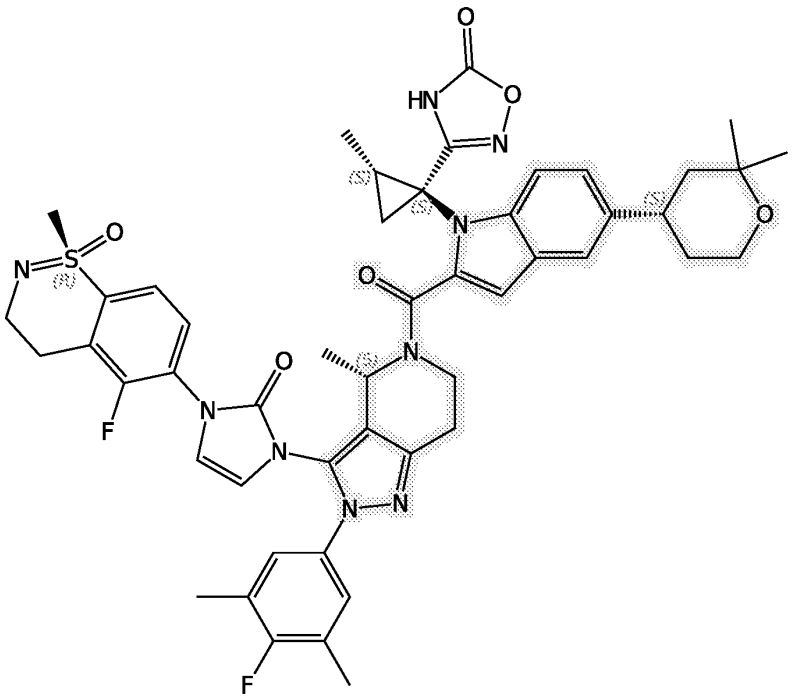
Absolute stereochemistry shown

IT 3054163-29-7P 3054163-30-0P 3054163-31-1P
3054163-32-2P 3054163-33-3P 3054163-34-4P
3054163-35-5P 3054163-36-6P 3054163-37-7P
3054163-38-8P 3054163-39-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-29-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



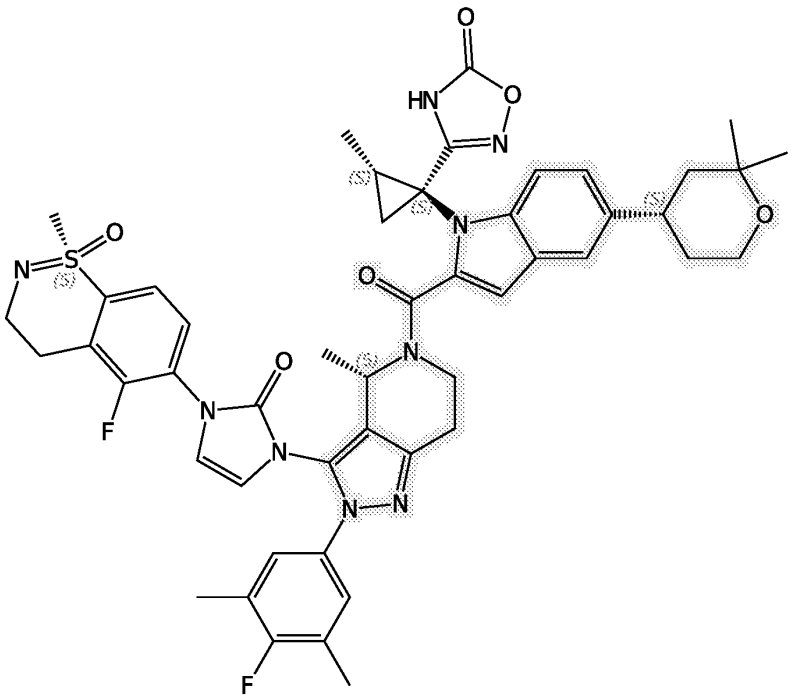
Absolute stereochemistry shown

RN 3054163-30-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



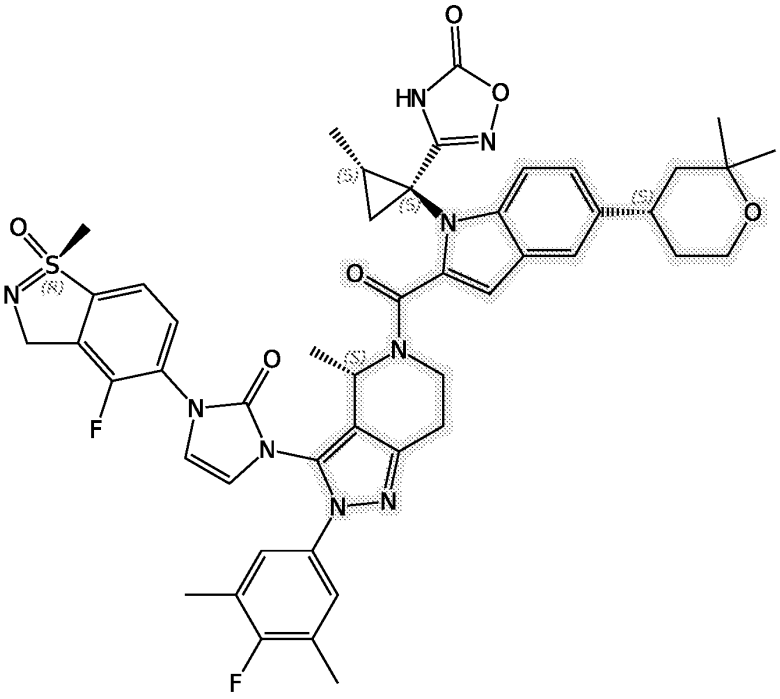
Absolute stereochemistry shown

RN 3054163-31-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



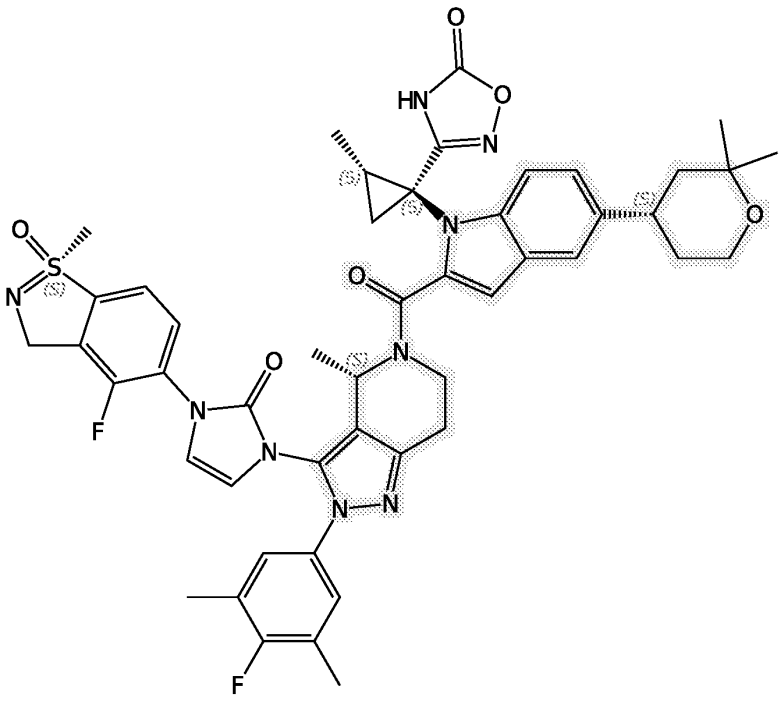
Absolute stereochemistry shown

RN 3054163-32-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



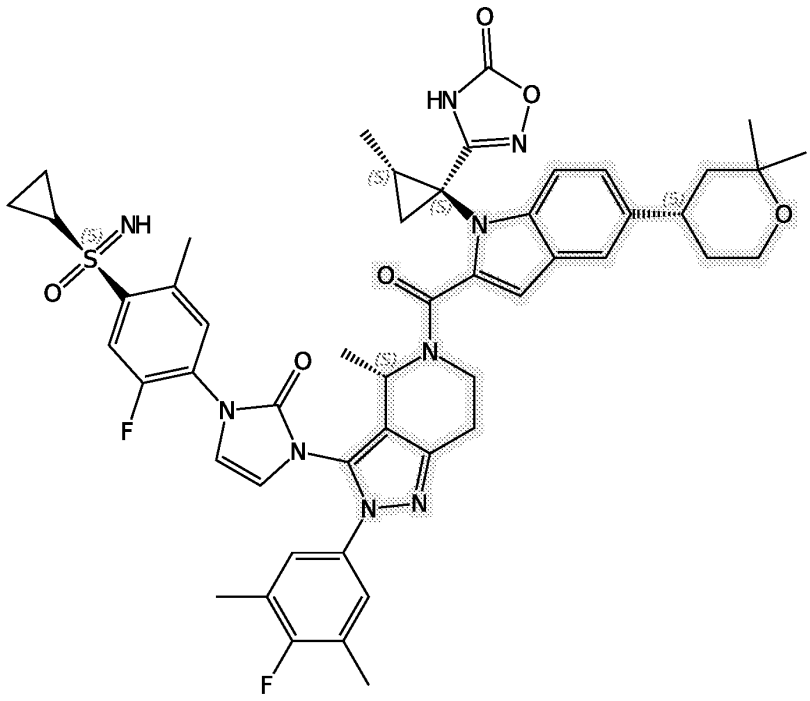
Absolute stereochemistry shown

RN 3054163-33-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



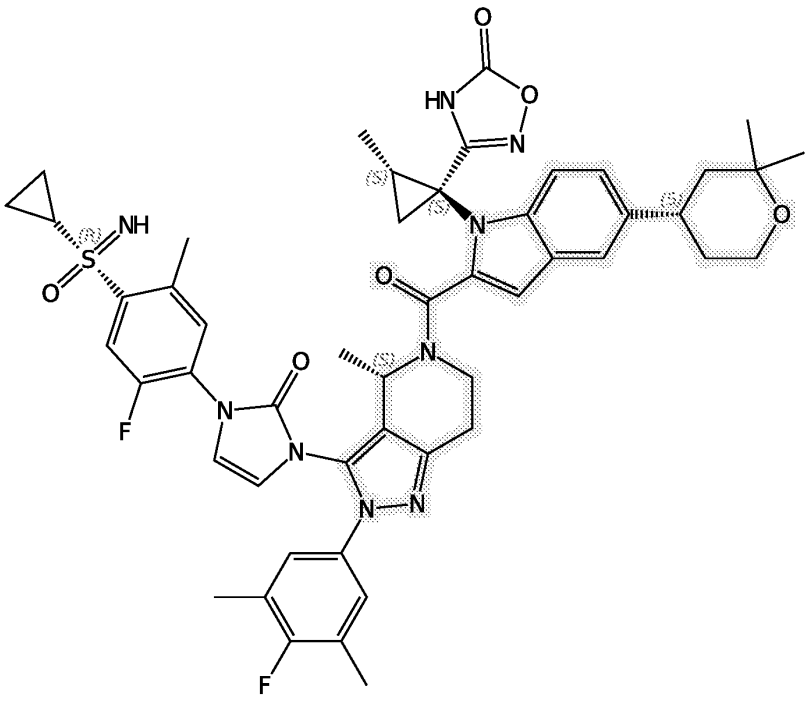
Absolute stereochemistry shown

RN 3054163-34-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



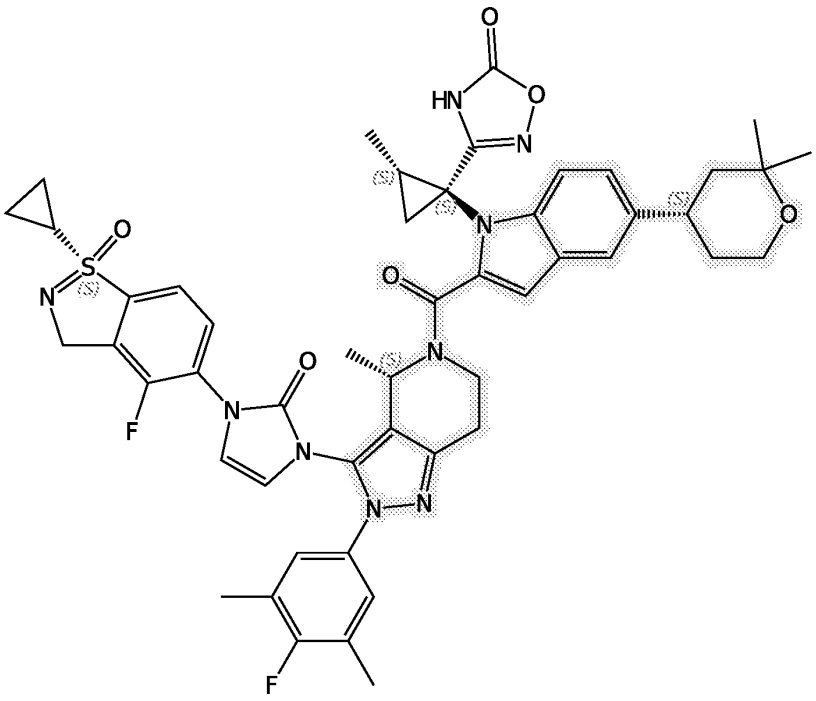
Absolute stereochemistry shown

RN 3054163-35-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



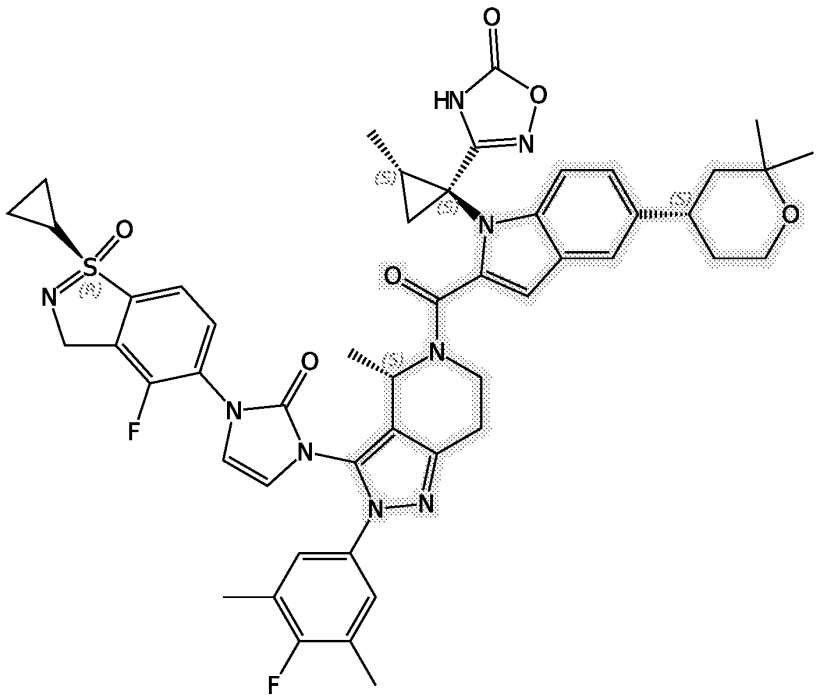
Absolute stereochemistry shown

RN 3054163-36-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



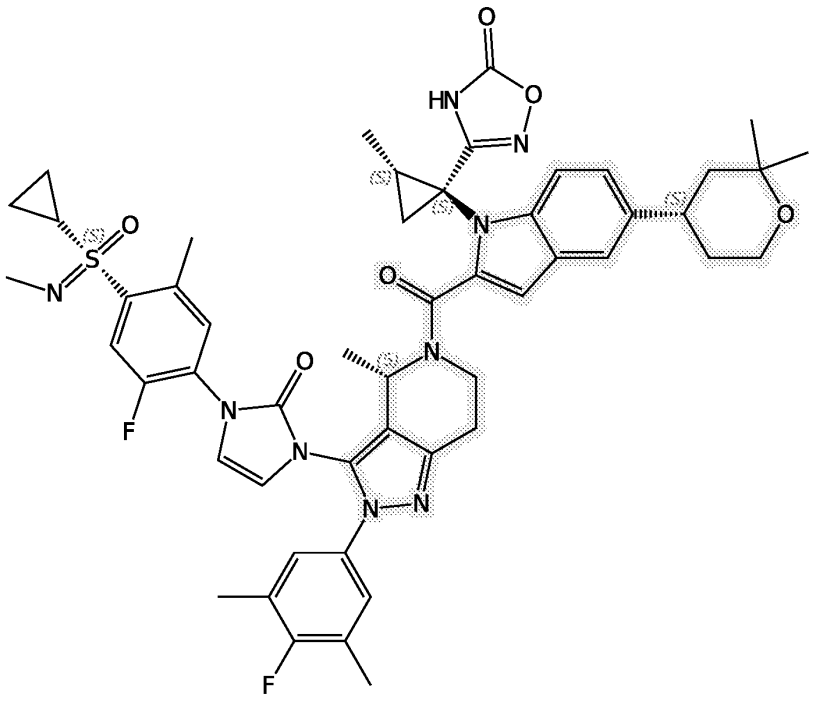
Absolute stereochemistry shown

RN 3054163-37-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



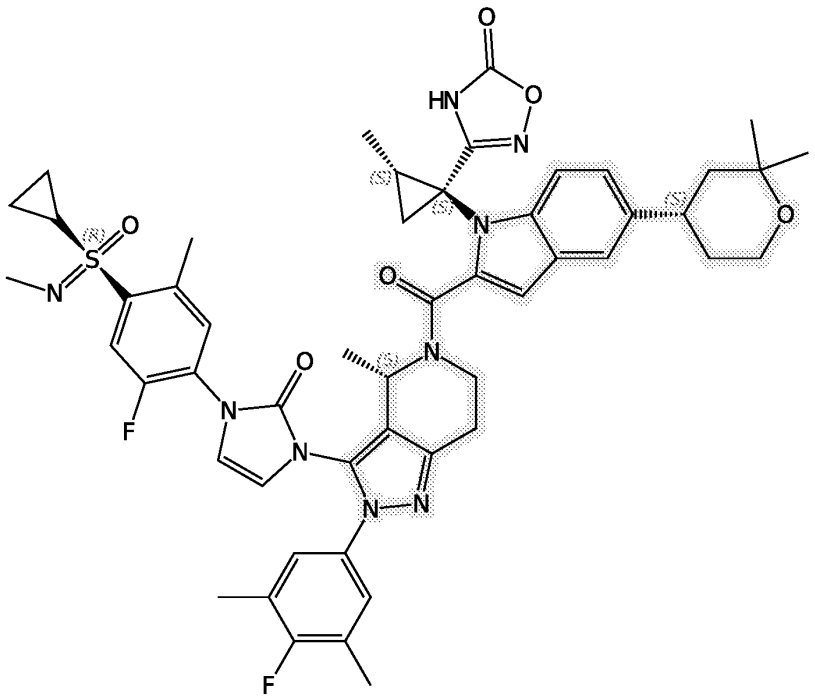
Absolute stereochemistry shown

RN 3054163-38-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



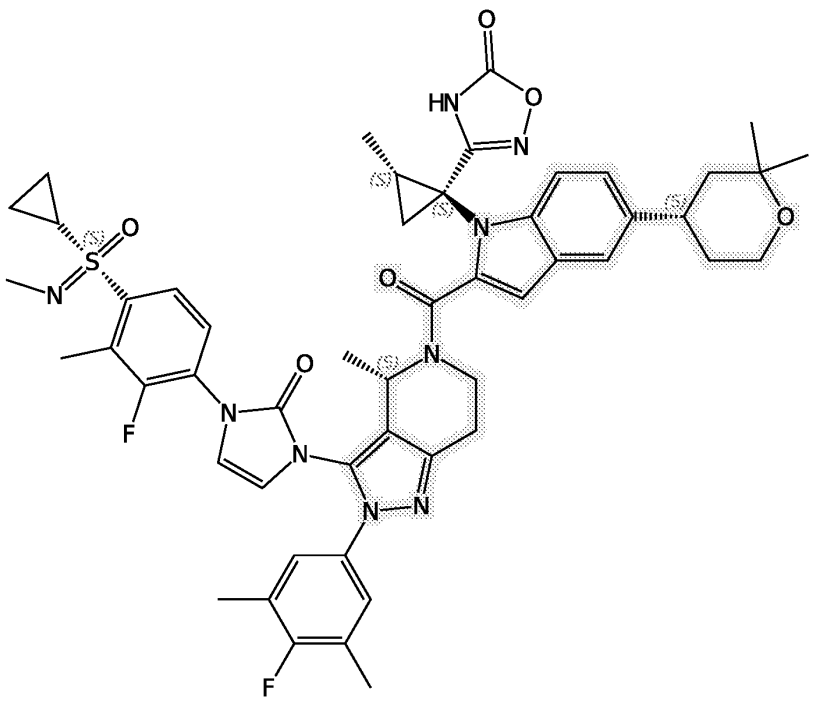
Absolute stereochemistry shown

RN 3054163-39-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



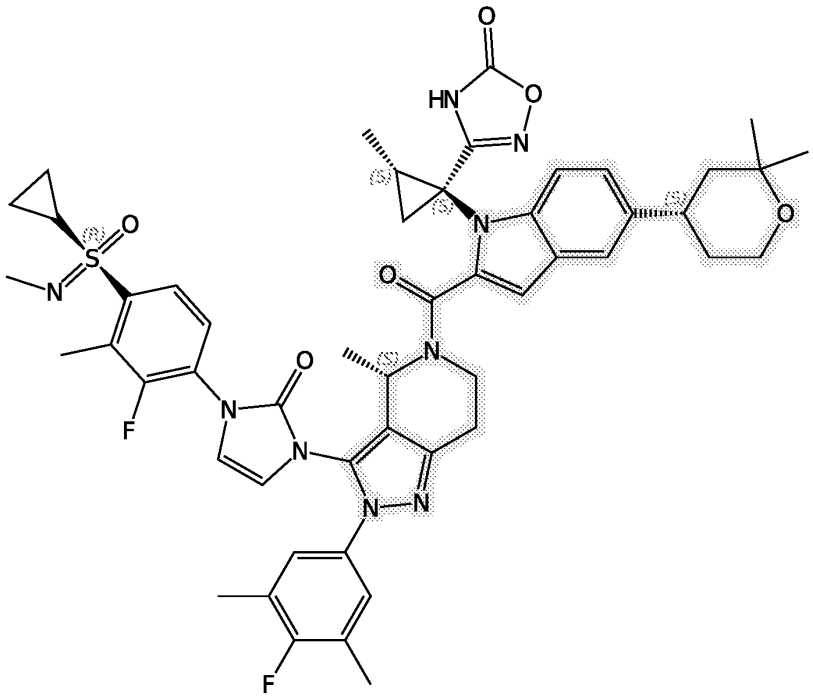
Absolute stereochemistry shown

IT 3054163-40-2P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-40-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



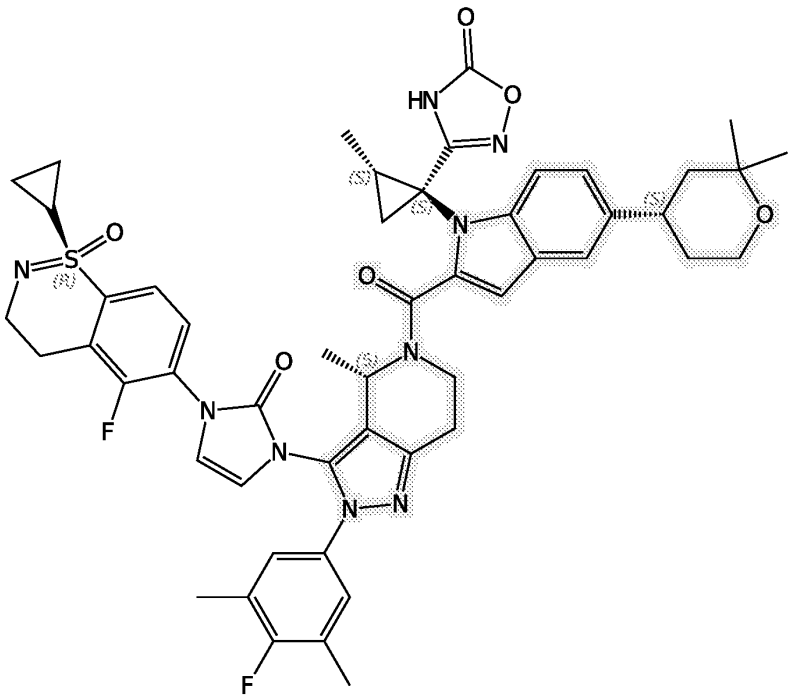
Absolute stereochemistry shown

IT 3054163-41-3P 3054163-42-4P 3054163-43-5P
3054163-44-6P 3054163-45-7P 3054163-46-8P
3054163-47-9P 3054163-48-0P 3054163-49-1P
3054163-50-4P 3054163-51-5P 3054163-52-6P
3054163-53-7P 3054163-54-8P 3054163-55-9P
3054163-56-0P 3054163-57-1P 3054163-58-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-41-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



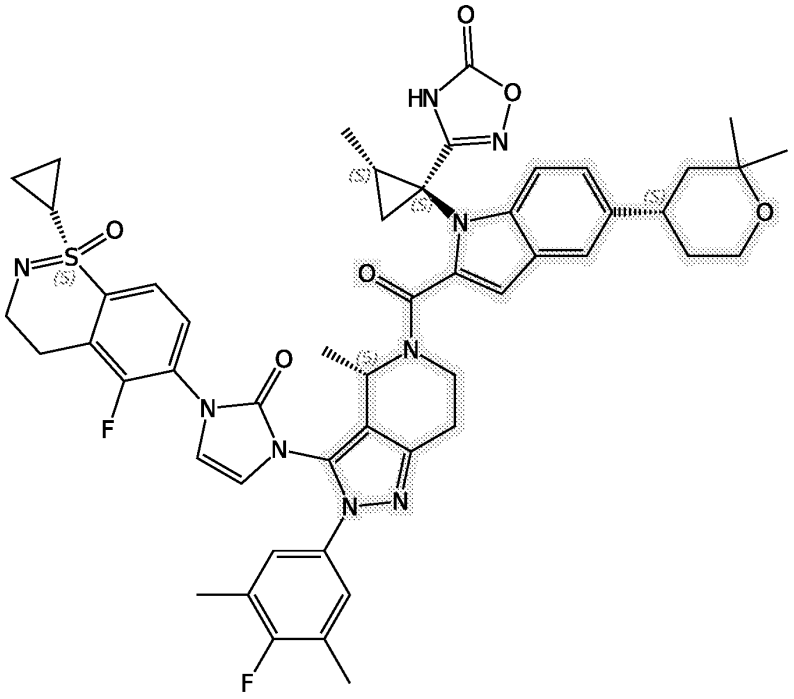
Absolute stereochemistry shown

RN 3054163-42-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



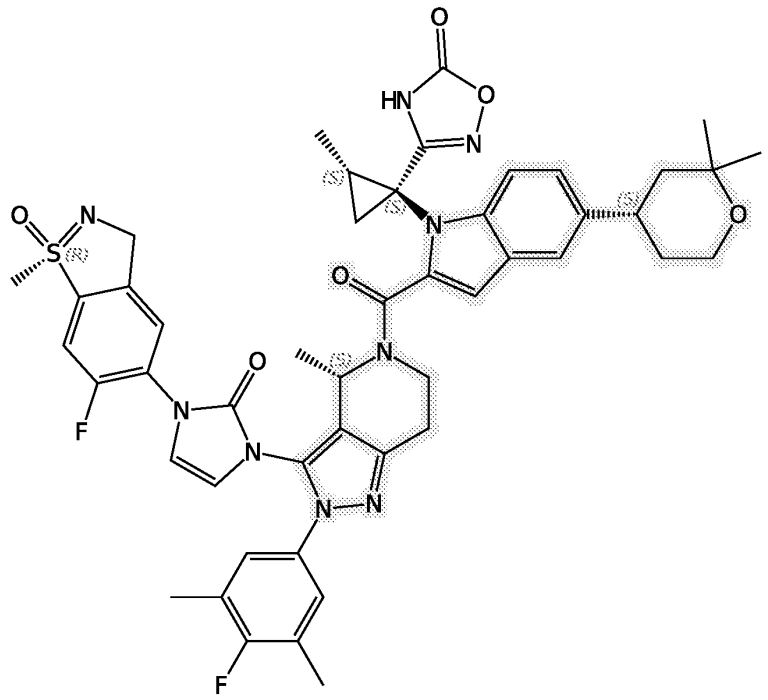
Absolute stereochemistry shown

RN 3054163-43-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



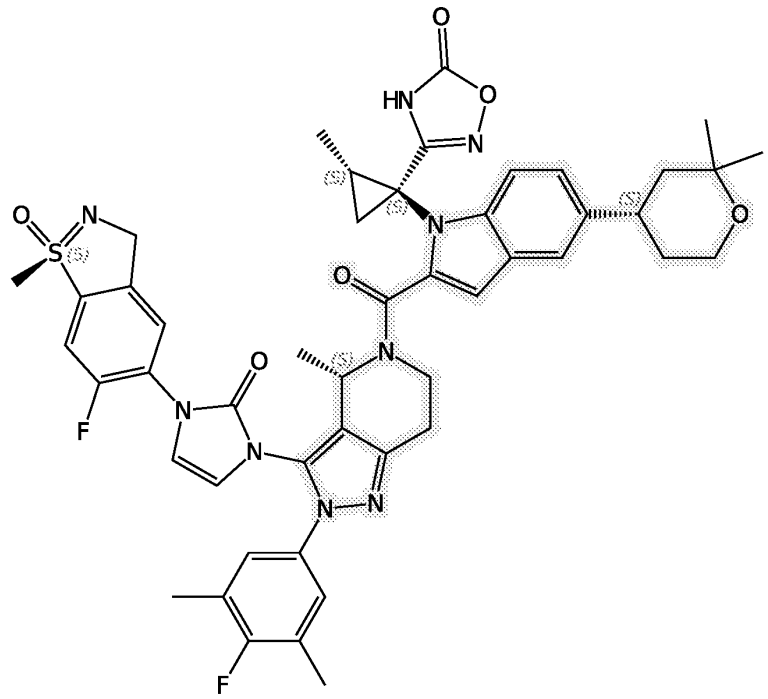
Absolute stereochemistry shown

RN 3054163-44-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



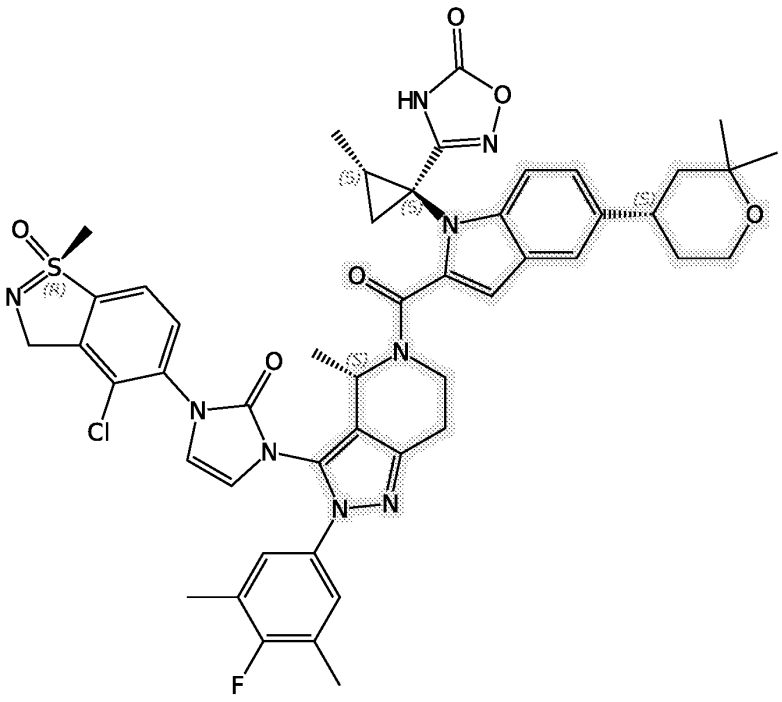
Absolute stereochemistry shown

RN 3054163-45-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



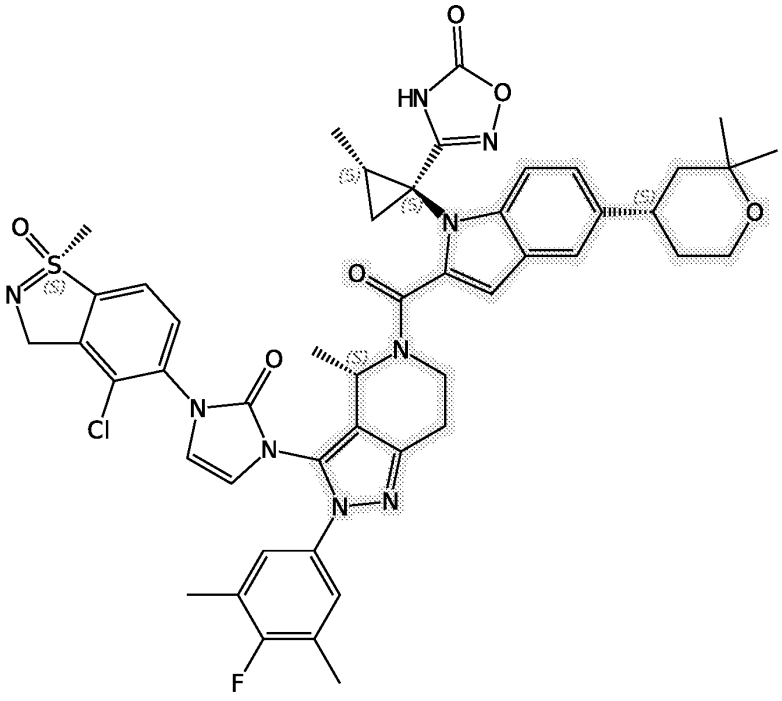
Absolute stereochemistry shown

RN 3054163-46-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



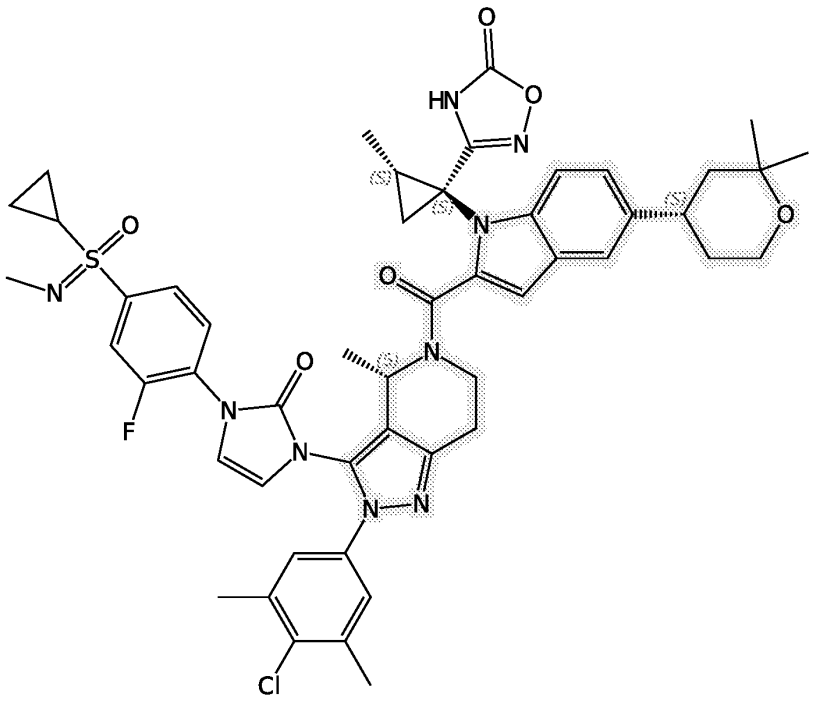
Absolute stereochemistry shown

RN 3054163-47-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



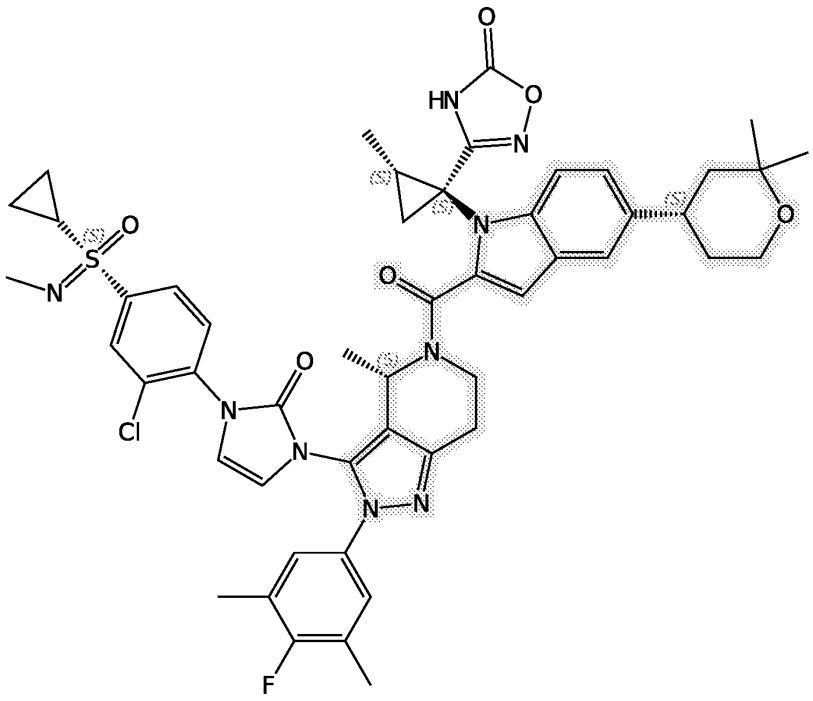
Absolute stereochemistry shown

RN 3054163-48-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



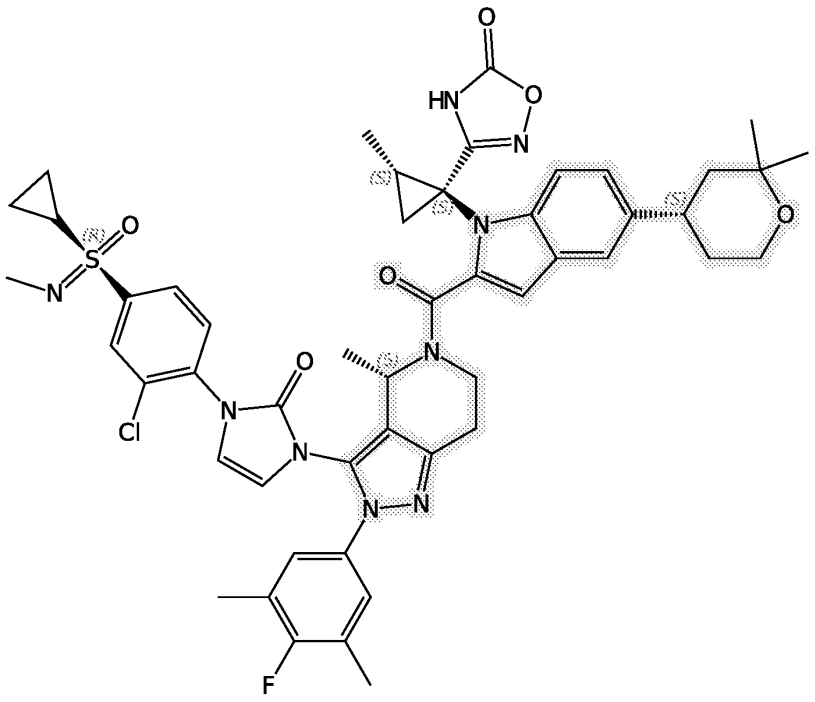
Absolute stereochemistry shown

RN 3054163-49-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



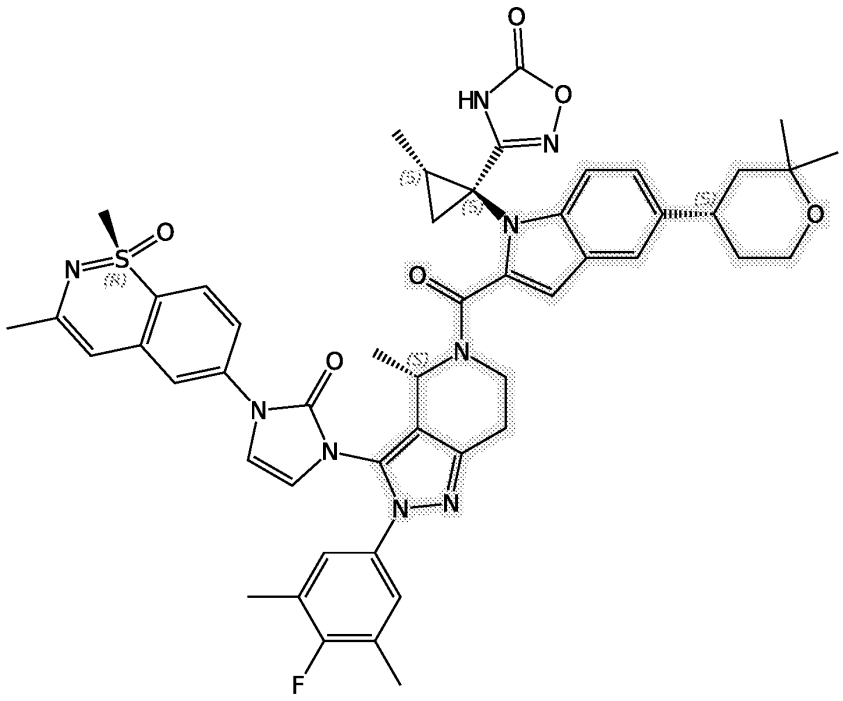
Absolute stereochemistry shown

RN 3054163-50-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



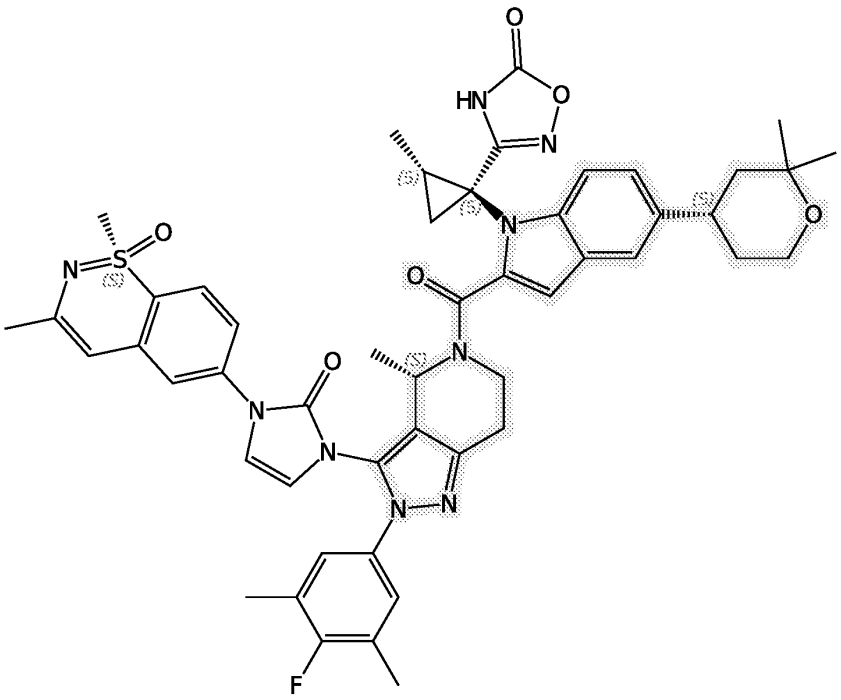
Absolute stereochemistry shown

RN 3054163-51-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



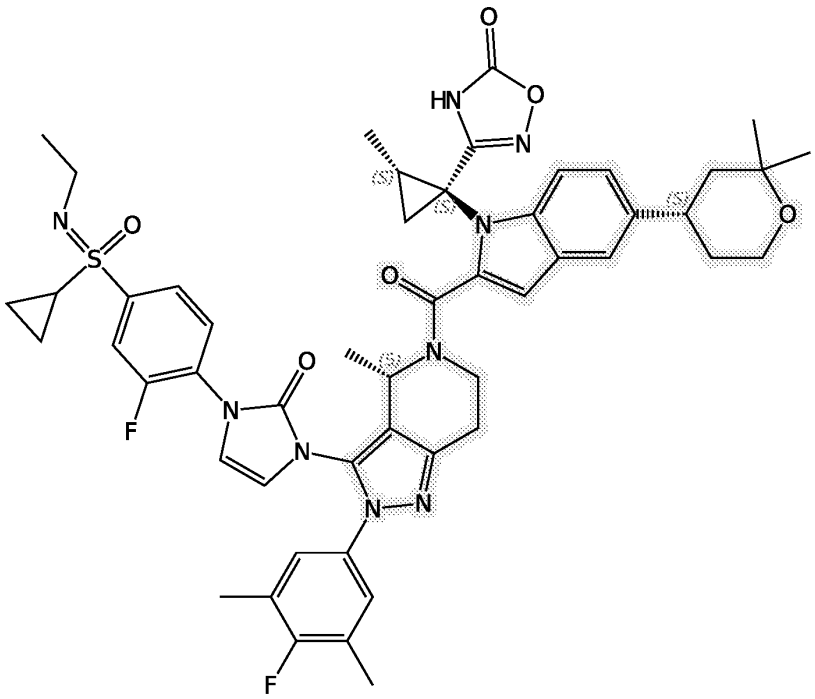
Absolute stereochemistry shown

RN 3054163-52-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



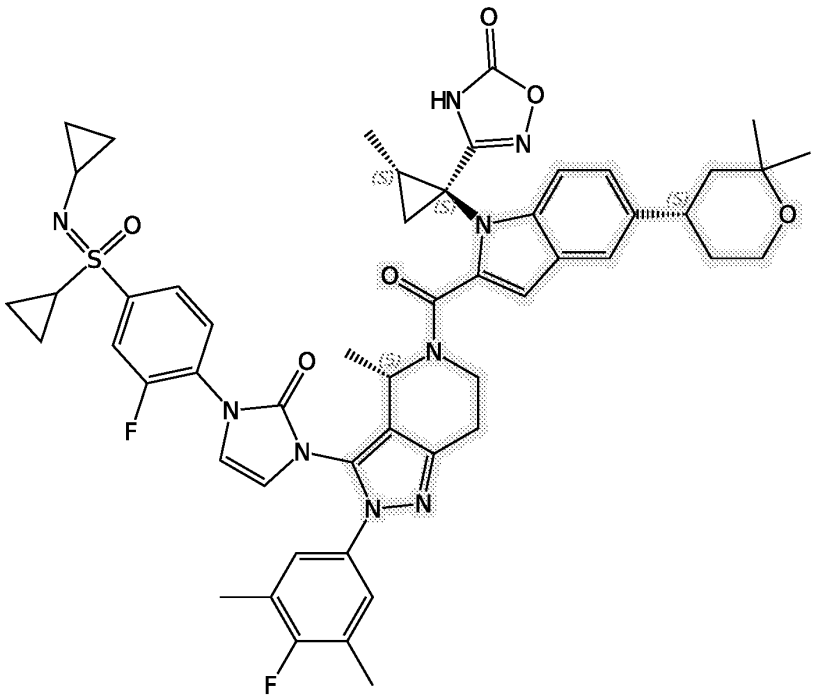
Absolute stereochemistry shown

RN 3054163-53-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



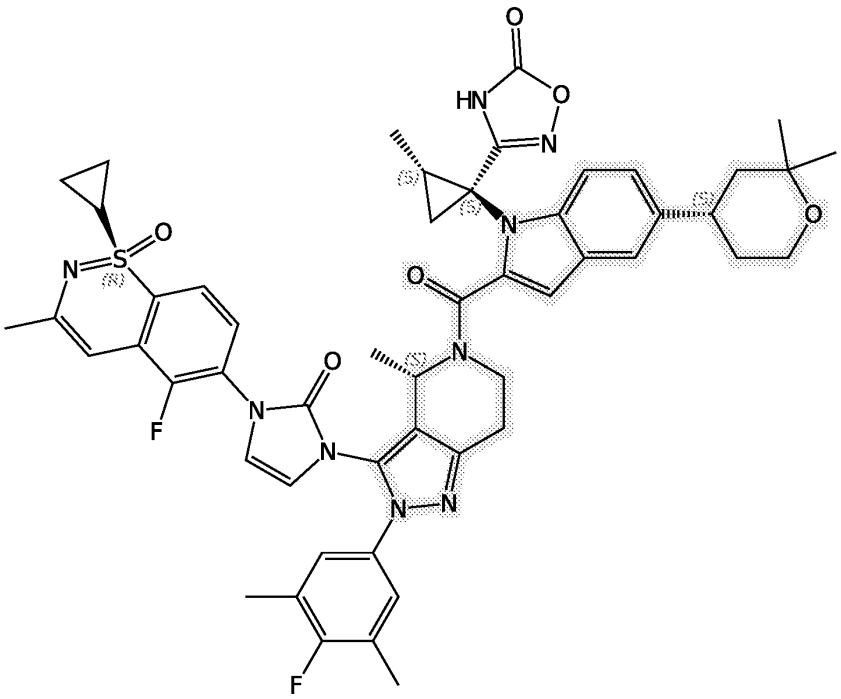
Absolute stereochemistry shown

RN 3054163-54-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



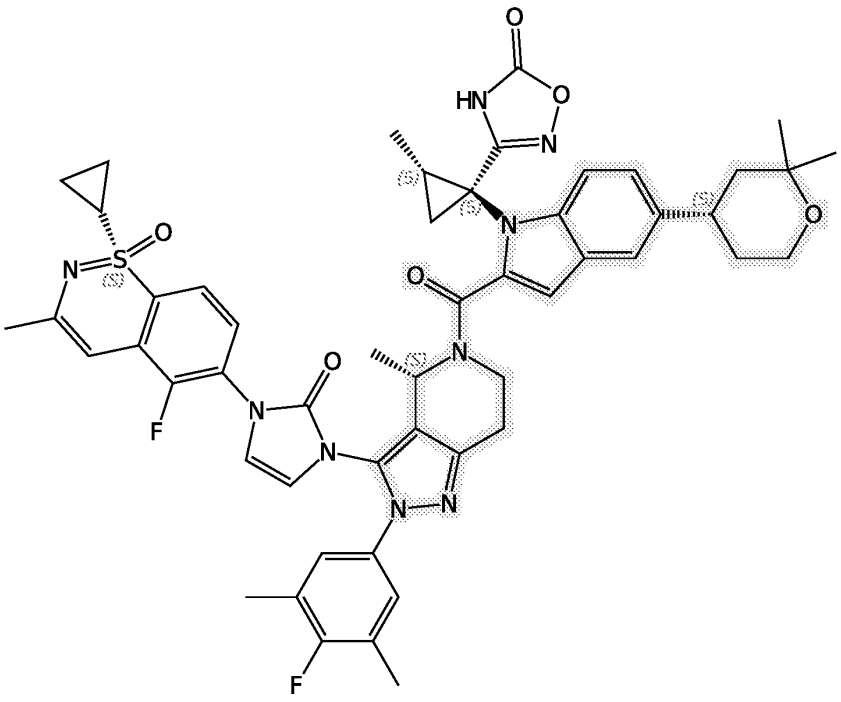
Absolute stereochemistry shown

RN 3054163-55-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



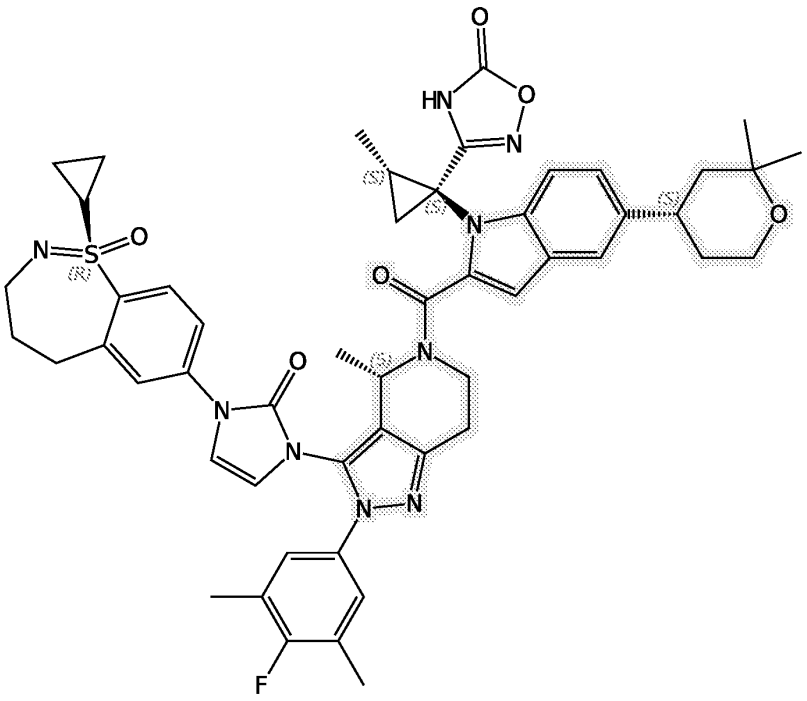
Absolute stereochemistry shown

RN 3054163-56-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



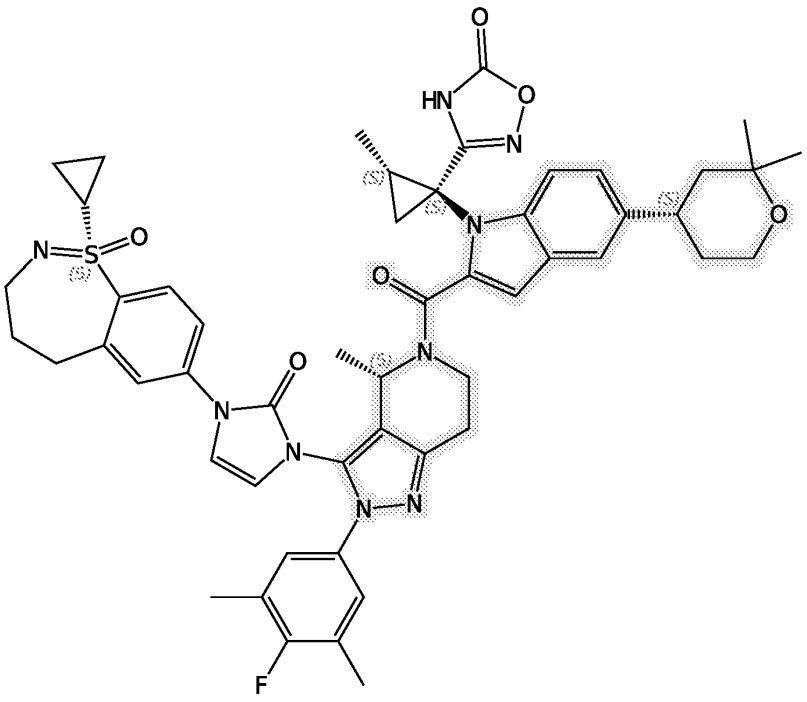
Absolute stereochemistry shown

RN 3054163-57-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



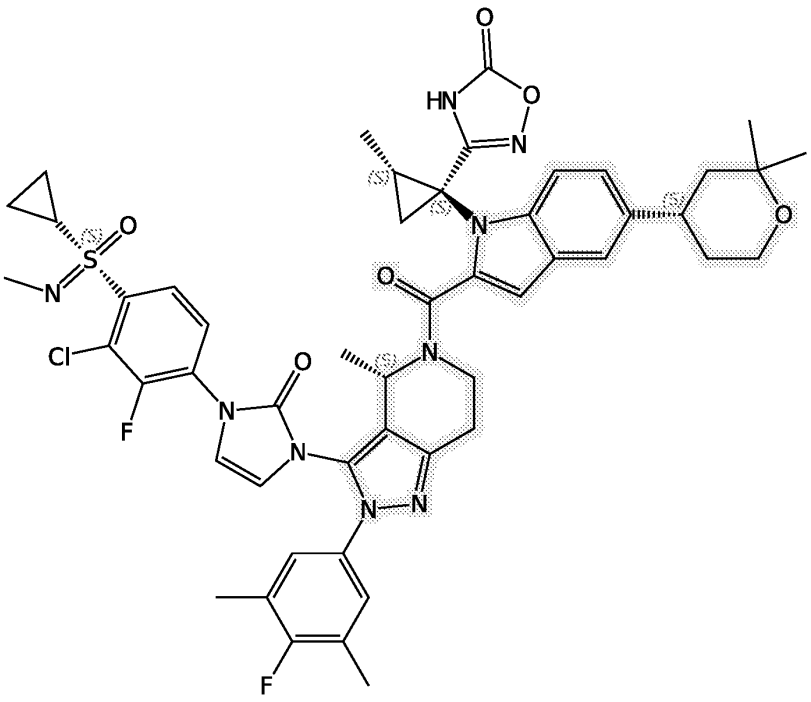
Absolute stereochemistry shown

RN 3054163-58-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



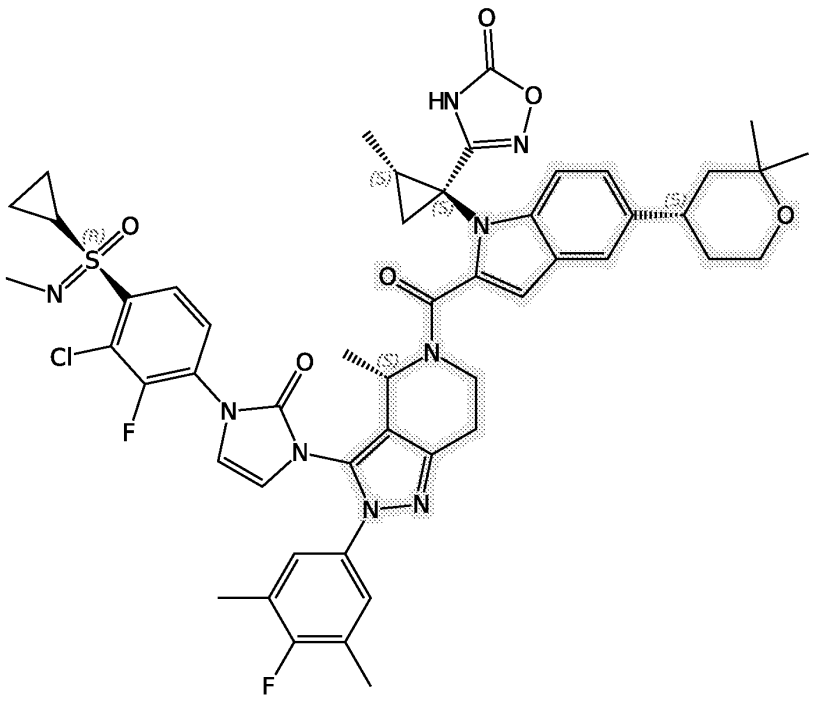
Absolute stereochemistry shown

IT 3054163-59-3P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-59-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



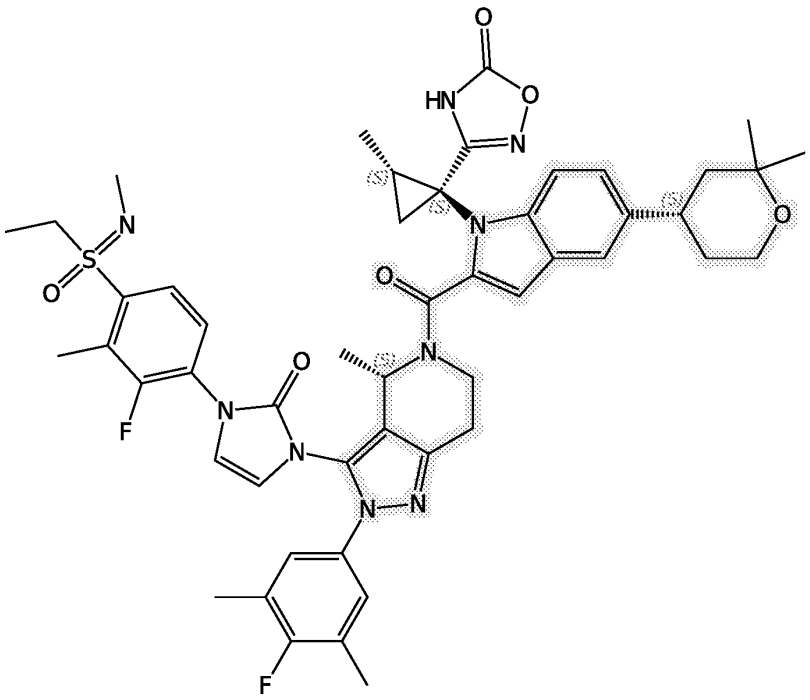
Absolute stereochemistry shown

IT 3054163-60-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-60-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



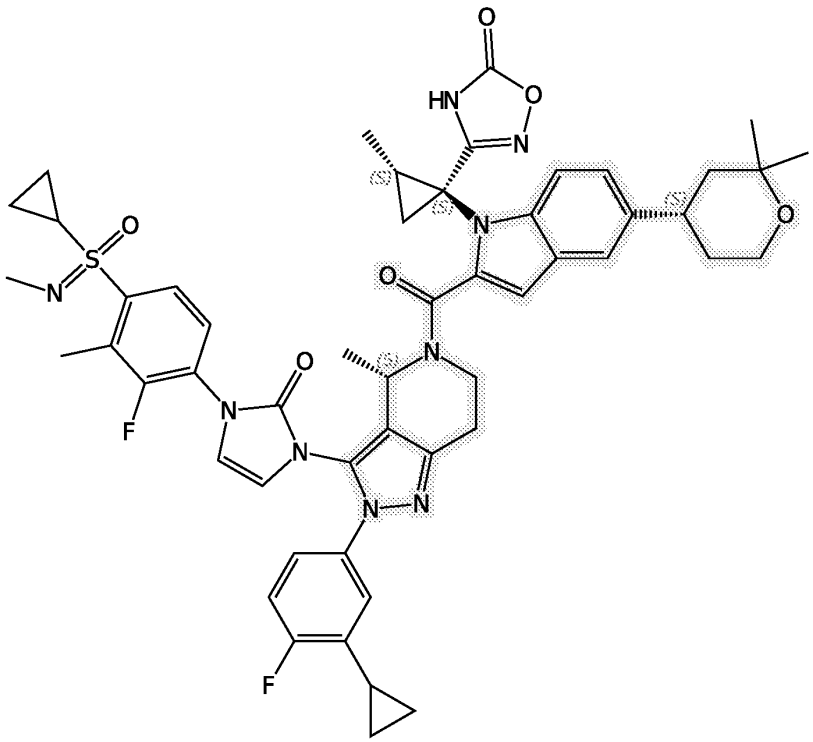
Absolute stereochemistry shown

IT 3054163-61-7P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-61-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



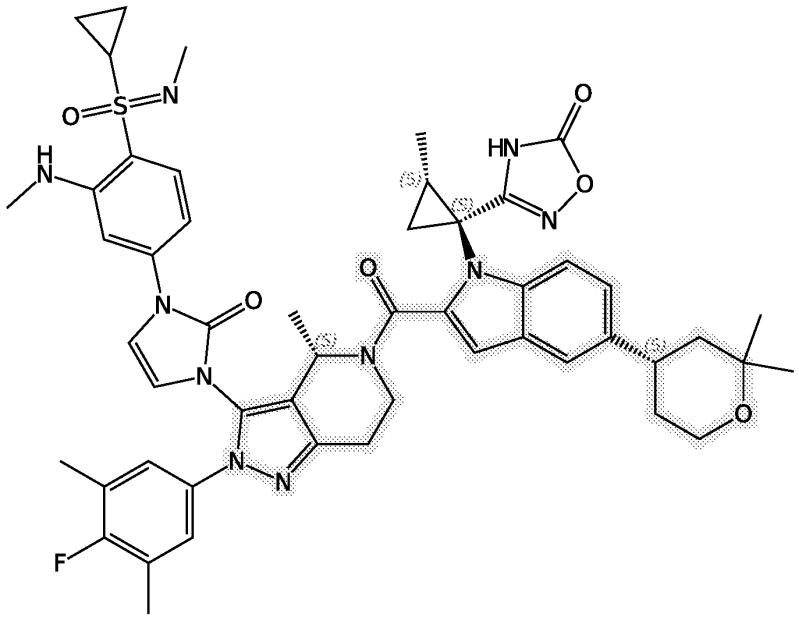
Absolute stereochemistry shown

IT 3054163-62-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-62-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



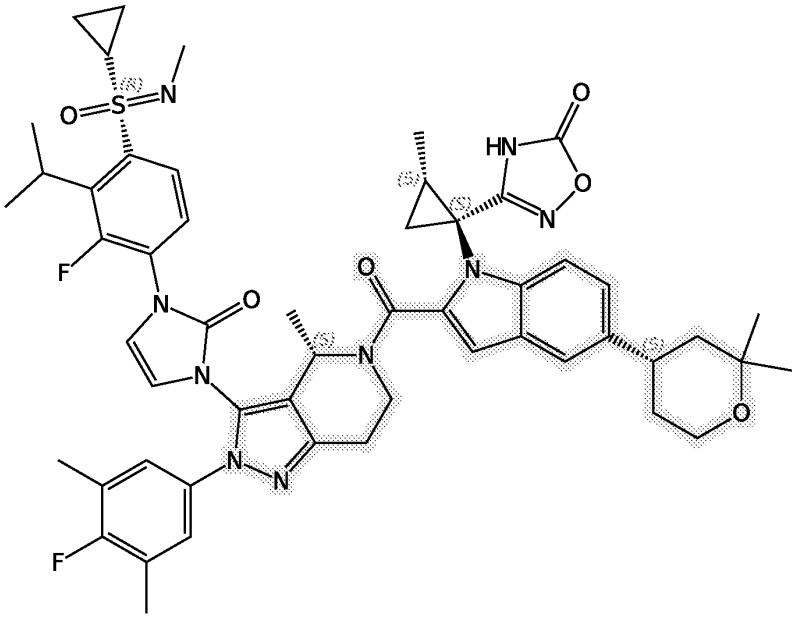
Absolute stereochemistry shown

IT 3054163-63-9P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-63-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



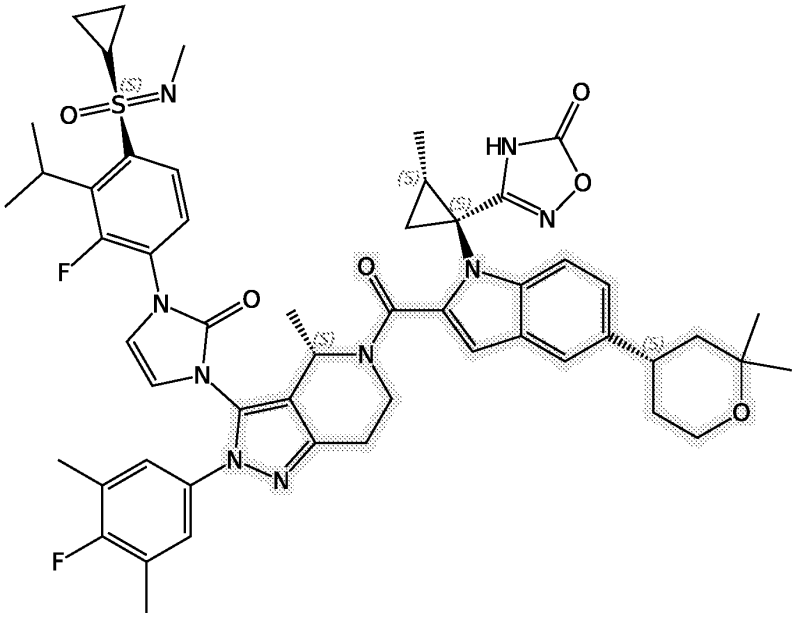
Absolute stereochemistry shown

IT 3054163-64-0P 3054163-65-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-64-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

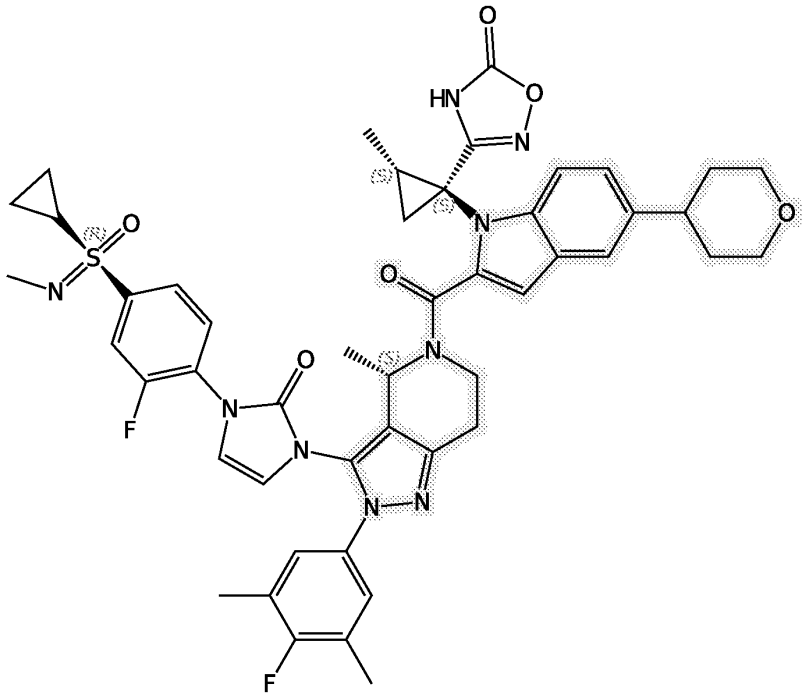
RN 3054163-65-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

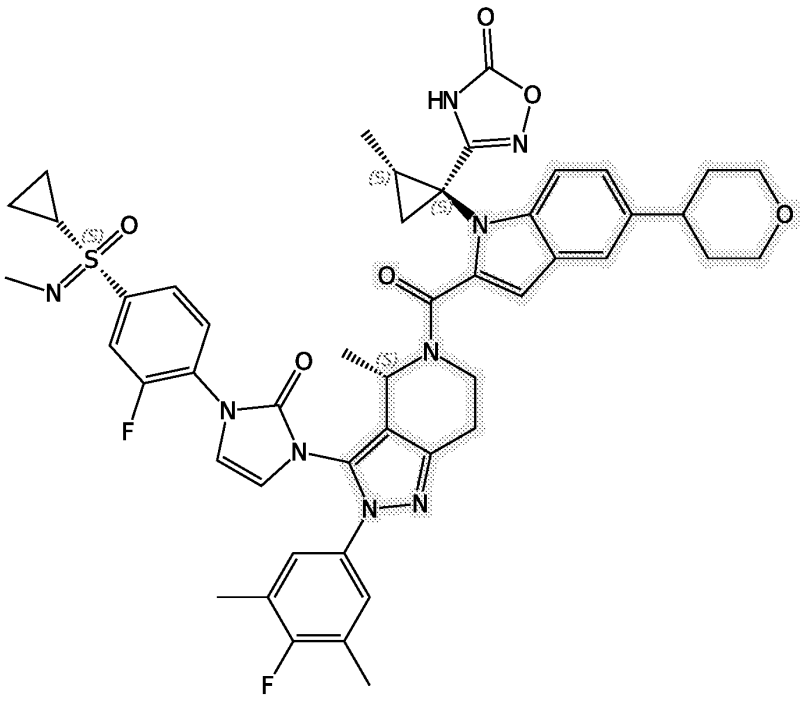
IT 3054163-66-2P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-66-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



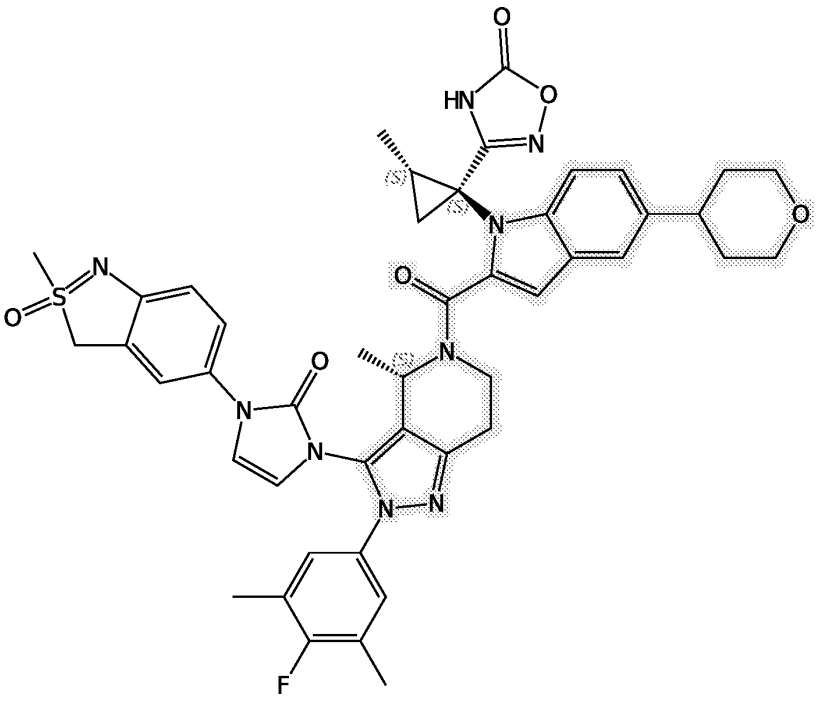
Absolute stereochemistry shown

IT 3054163-67-3P 3054163-68-4P 3054163-69-5P
3054163-70-8P 3054163-71-9P 3054163-72-0P
3054163-73-1P 3054163-74-2P 3054163-75-3P
3054163-76-4P 3054163-77-5P 3054163-78-6P
3054163-79-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-67-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



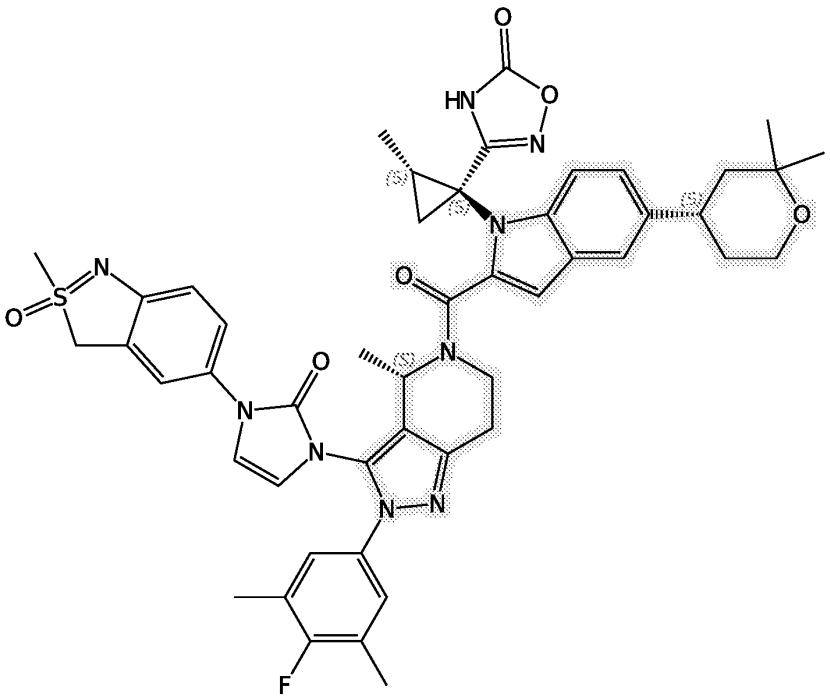
Absolute stereochemistry shown

RN 3054163-68-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



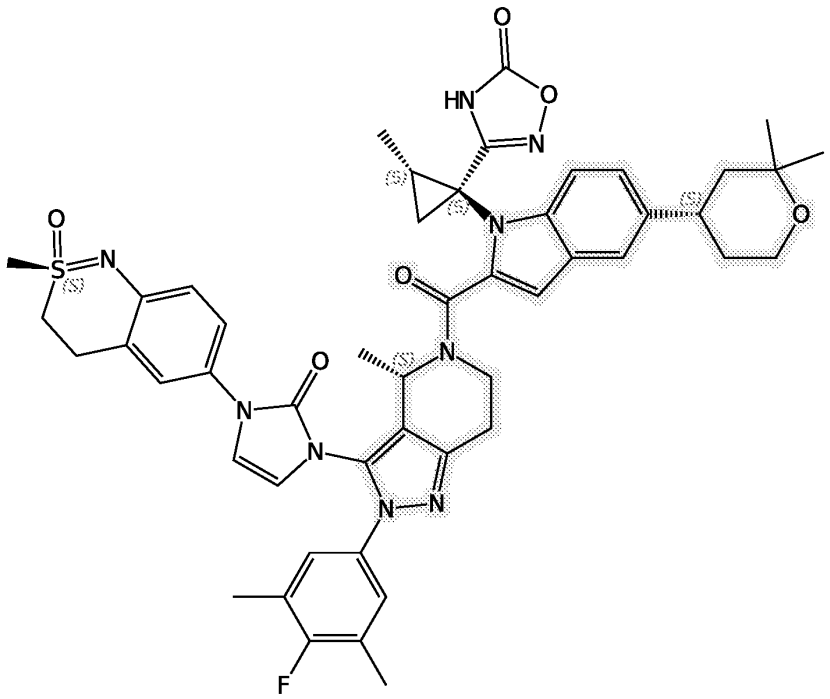
Absolute stereochemistry shown

RN 3054163-69-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



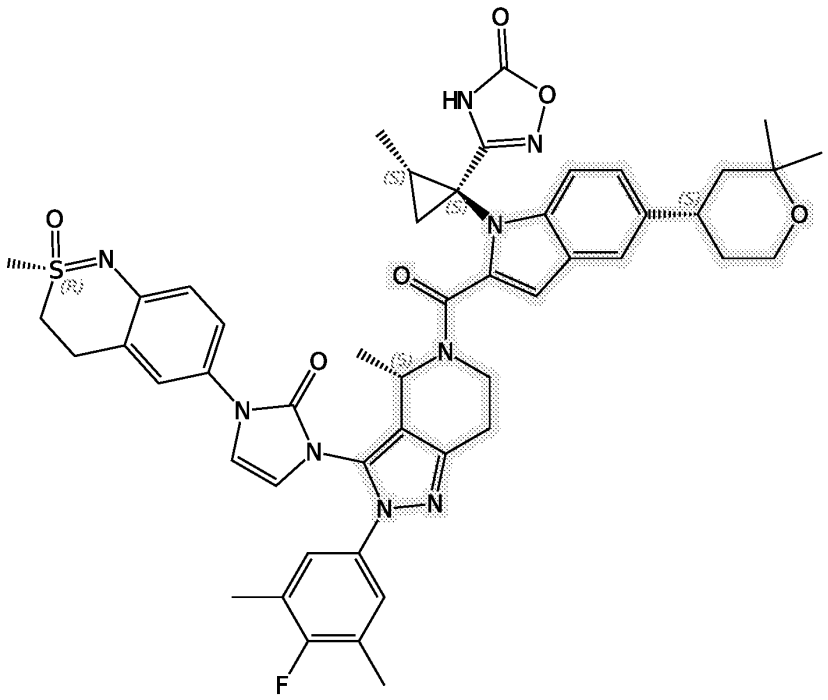
Absolute stereochemistry shown

RN 3054163-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



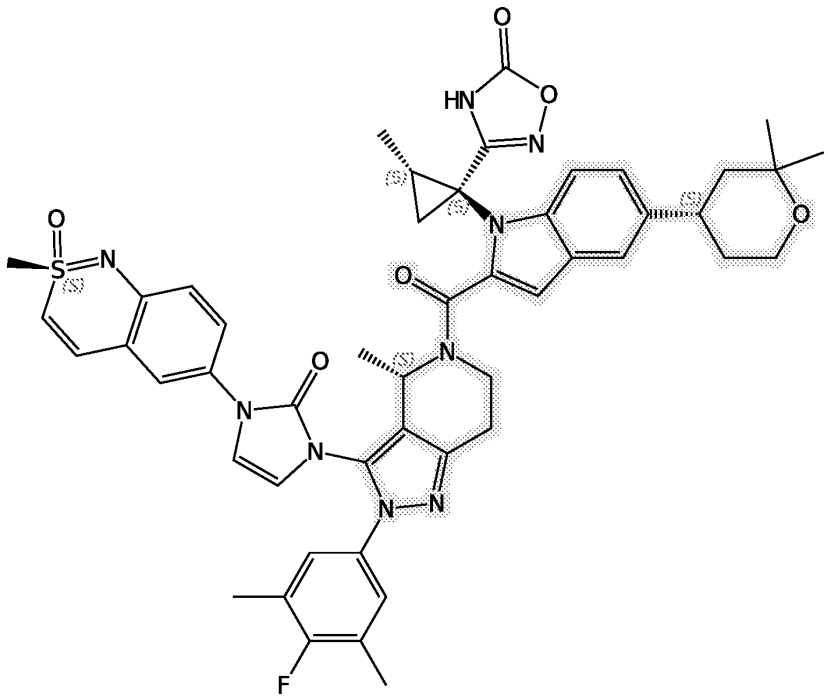
Absolute stereochemistry shown

RN 3054163-71-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



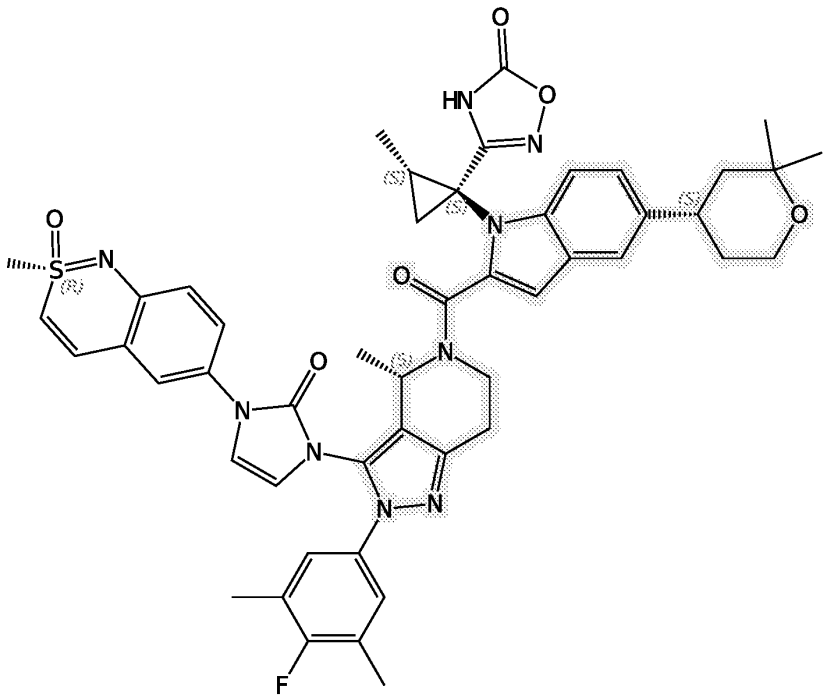
Absolute stereochemistry shown

RN 3054163-72-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



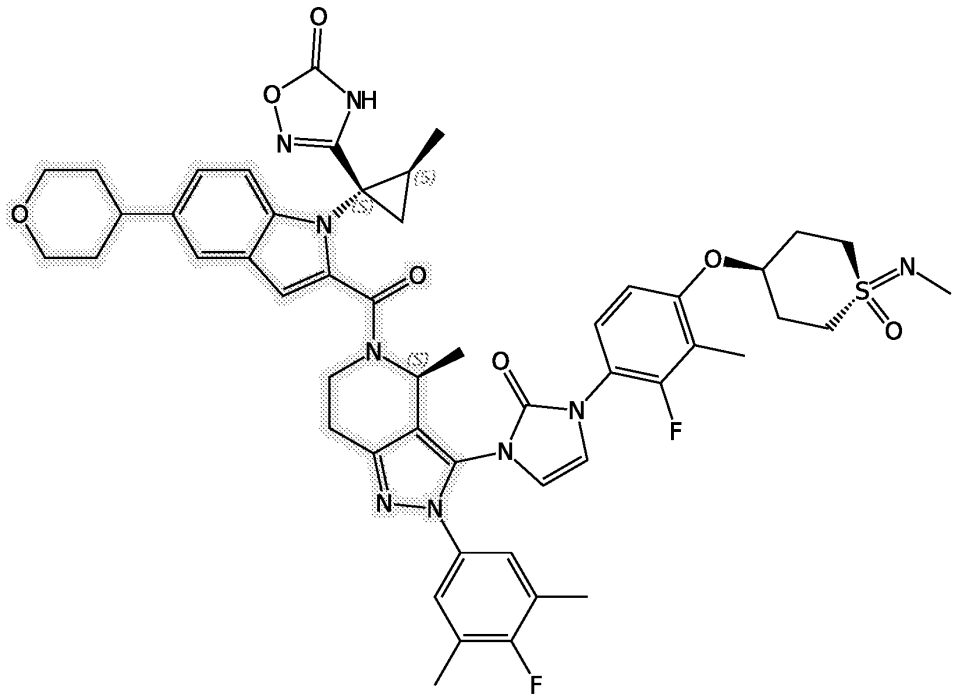
Absolute stereochemistry shown

RN 3054163-73-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



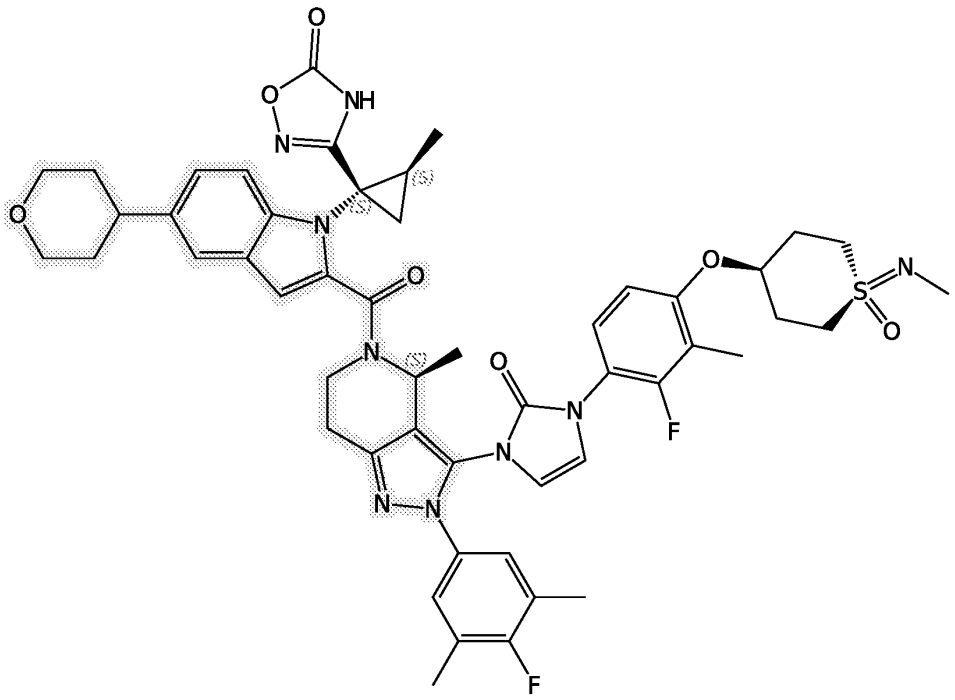
Absolute stereochemistry shown

RN 3054163-74-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



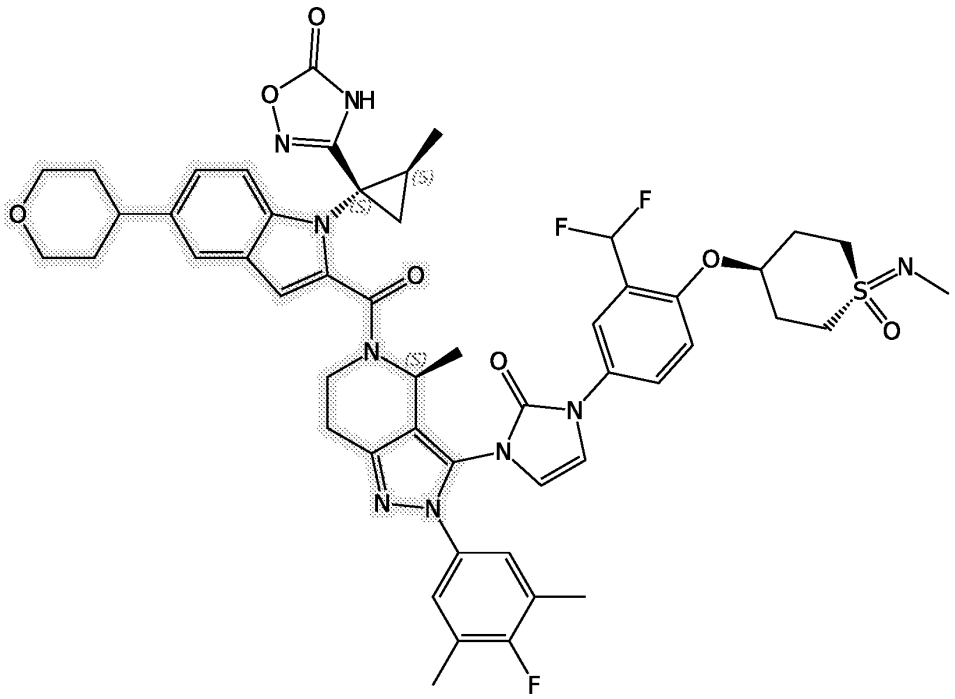
Absolute stereochemistry shown

RN 3054163-75-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



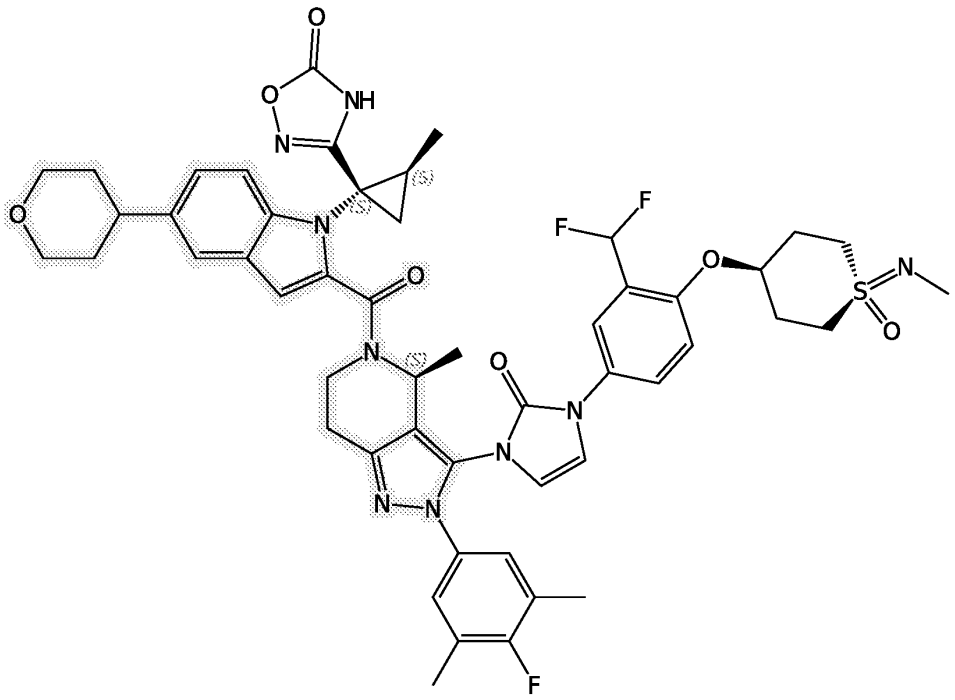
Absolute stereochemistry shown

RN 3054163-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



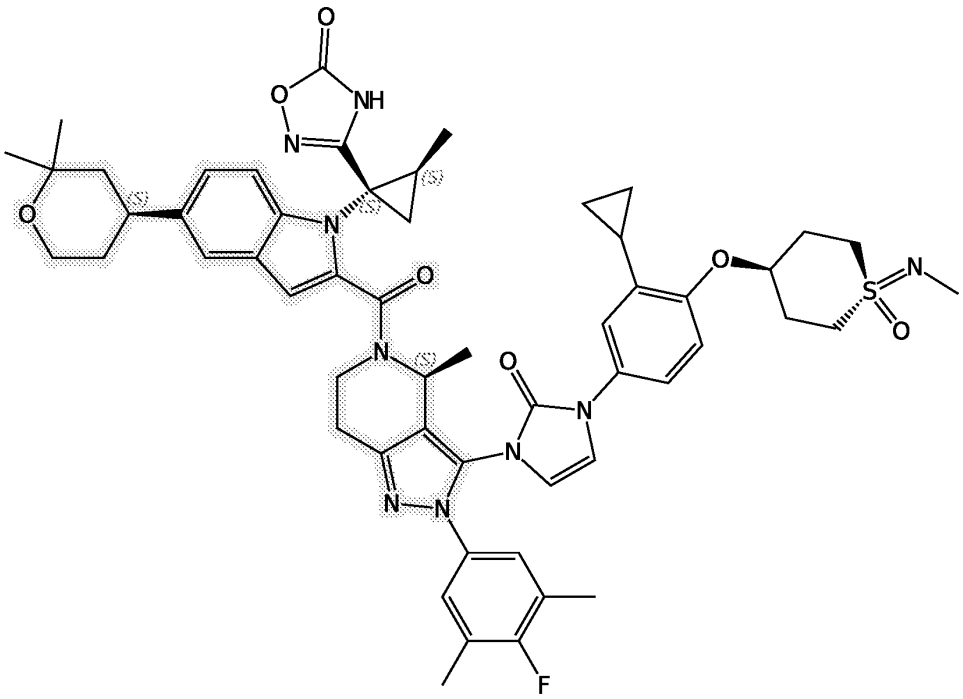
Absolute stereochemistry shown

RN 3054163-77-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



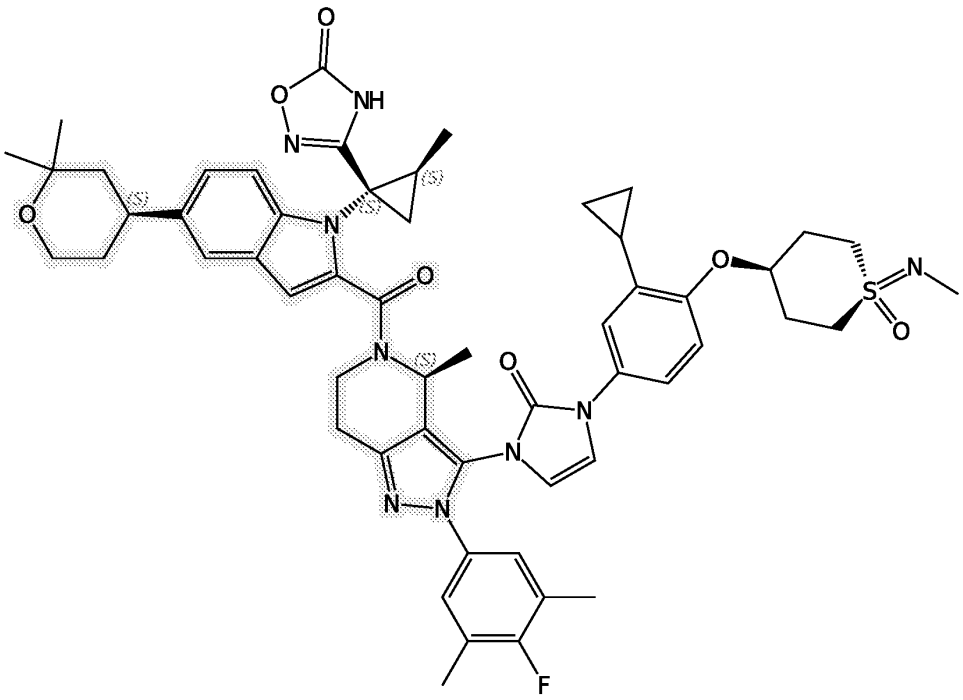
Absolute stereochemistry shown

RN 3054163-78-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



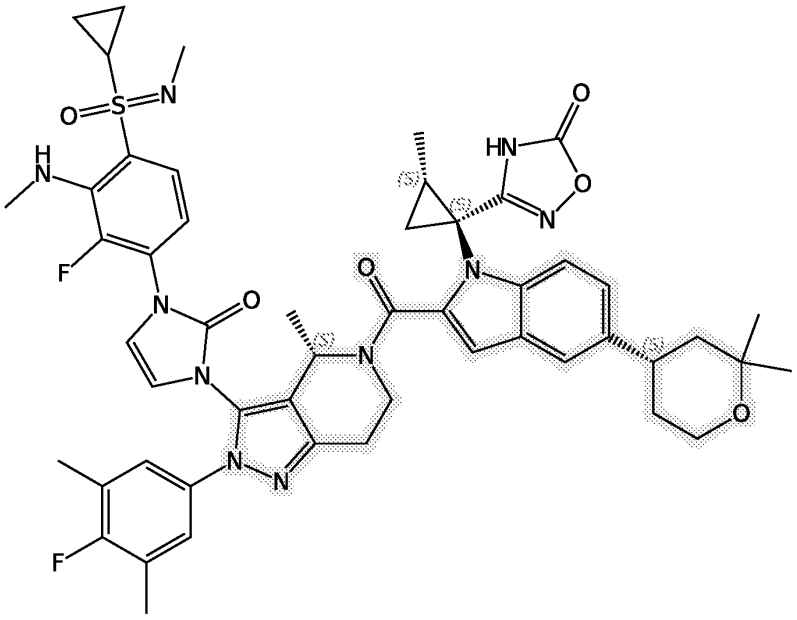
Absolute stereochemistry shown

RN 3054163-79-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



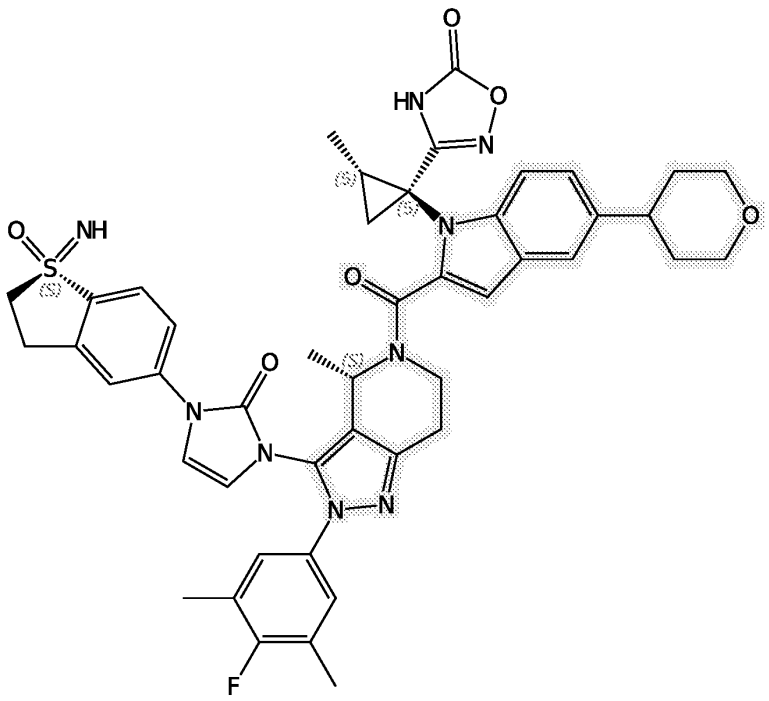
Absolute stereochemistry shown

IT 3054163-80-0P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-80-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



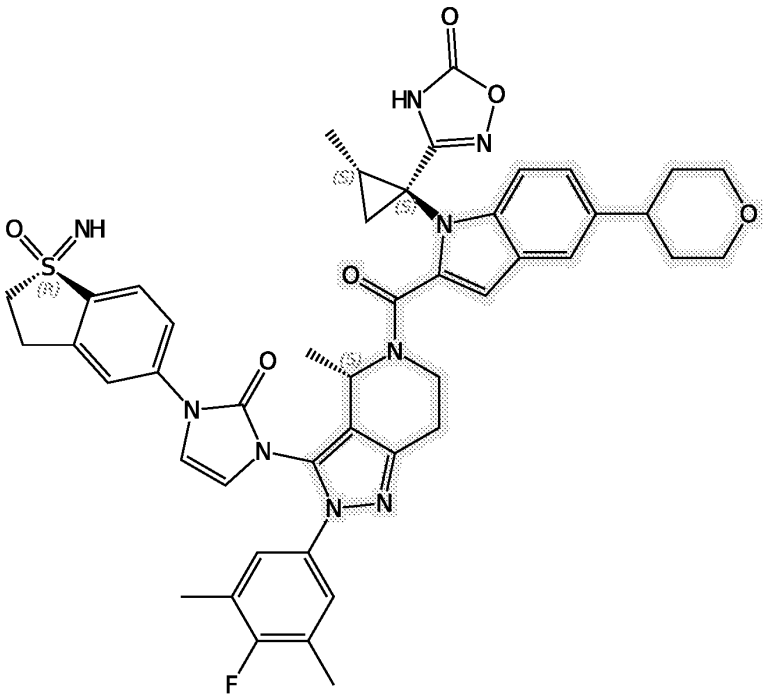
Absolute stereochemistry shown

IT 3054163-81-1P 3054163-82-2P 3054163-83-3P
3054163-84-4P 3054163-85-5P 3054163-86-6P
3054163-87-7P 3054163-92-4P 3054163-93-5P
3054163-96-8P 3054163-97-9P 3054163-98-0P
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3054164-43-8P 3054164-44-9P 3054164-45-0P
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3054164-67-6P 3054164-68-7P 3054164-69-8P
3054164-70-1P 3054164-71-2P 3054164-72-3P
3054164-73-4P 3054164-74-5P 3054164-75-6P
3054164-76-7P 3054164-77-8P 3054164-78-9P
3054164-79-0P 3054164-80-3P 3054164-81-4P
3054164-82-5P 3054164-83-6P 3054164-84-7P
3054164-85-8P 3054169-32-0P 3054169-33-1P
3054169-34-2P 3054169-35-3P 3054400-25-5P
3054400-26-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-81-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



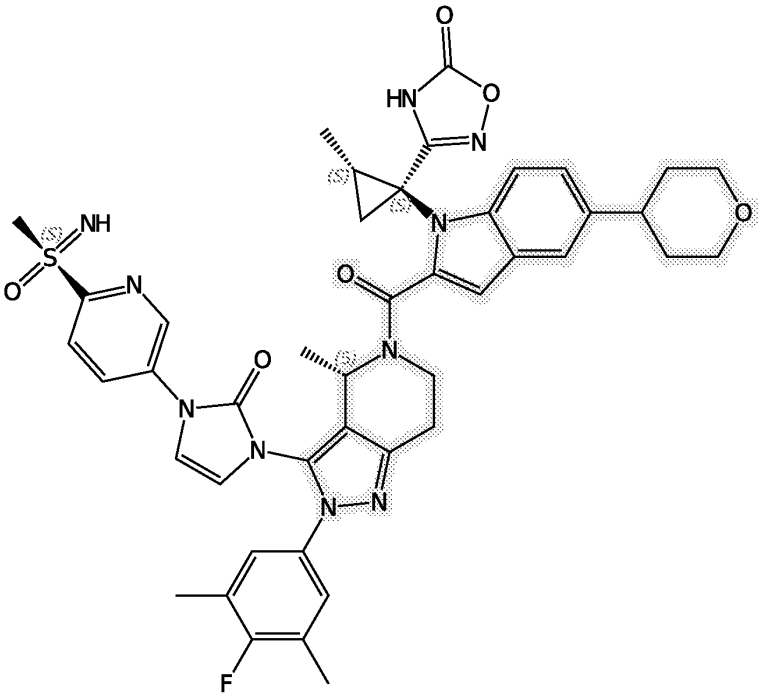
Absolute stereochemistry shown

RN 3054163-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



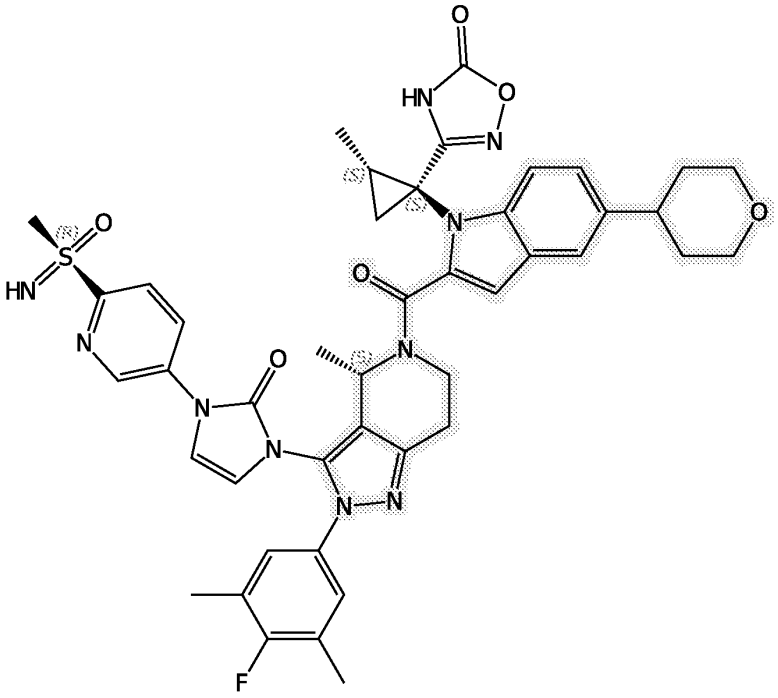
Absolute stereochemistry shown

RN 3054163-83-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



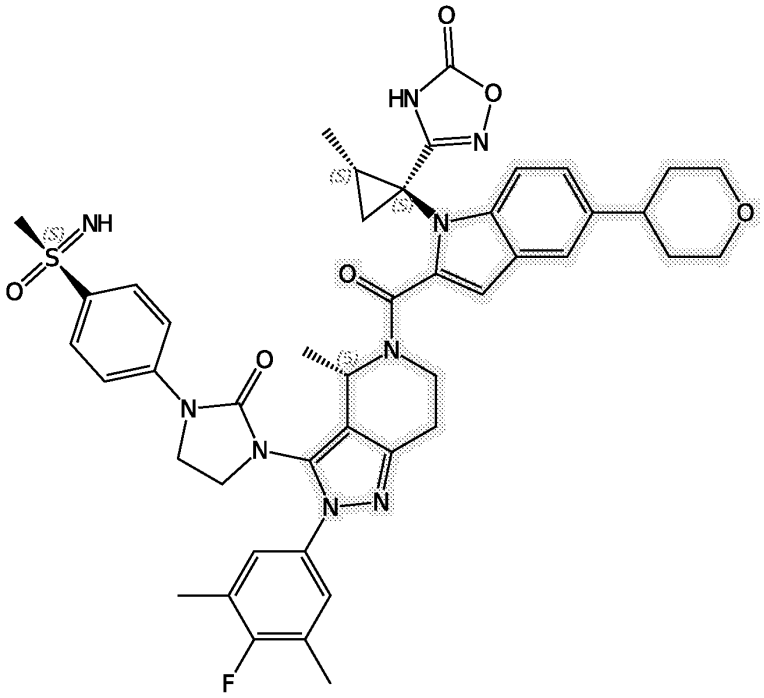
Absolute stereochemistry shown

RN 3054163-84-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



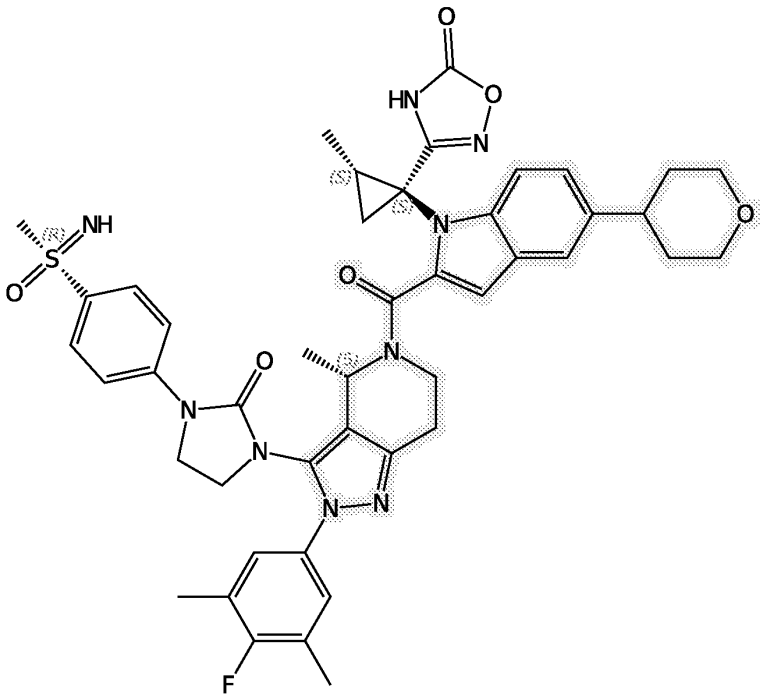
Absolute stereochemistry shown

RN 3054163-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



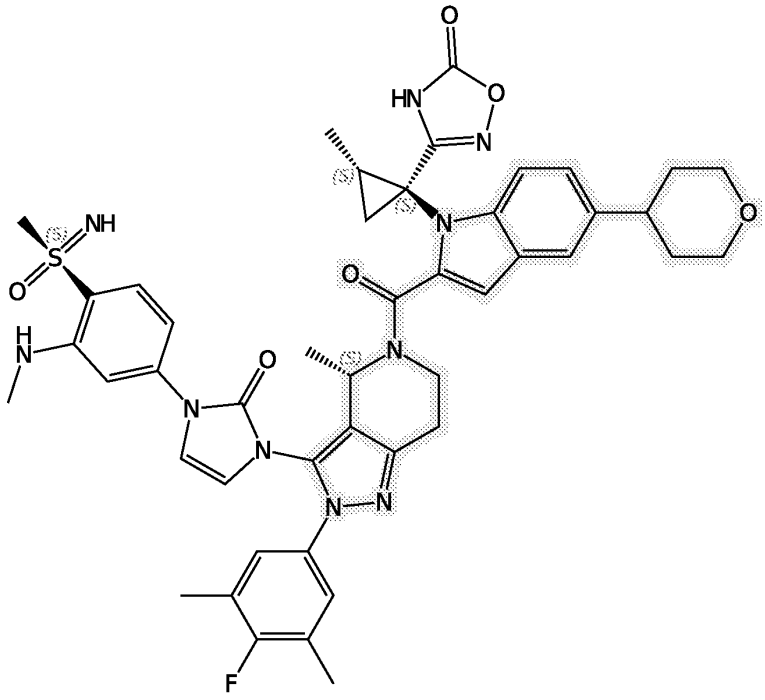
Absolute stereochemistry shown

RN 3054163-86-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



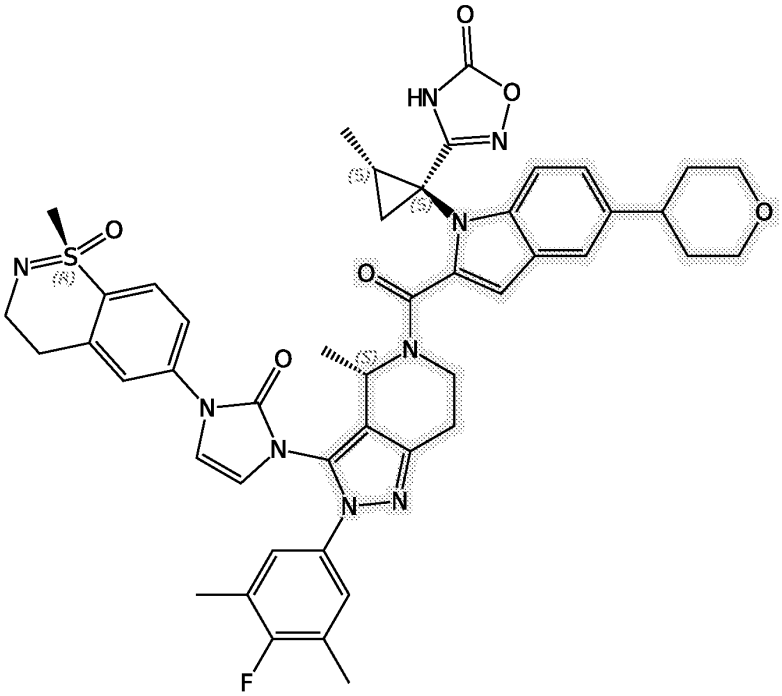
Absolute stereochemistry shown

RN 3054163-87-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



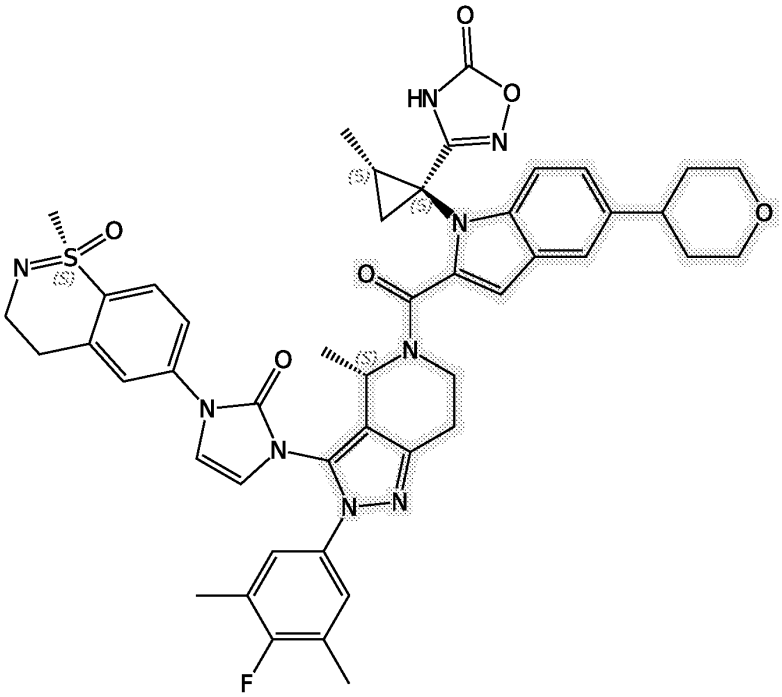
Absolute stereochemistry shown

RN 3054163-92-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



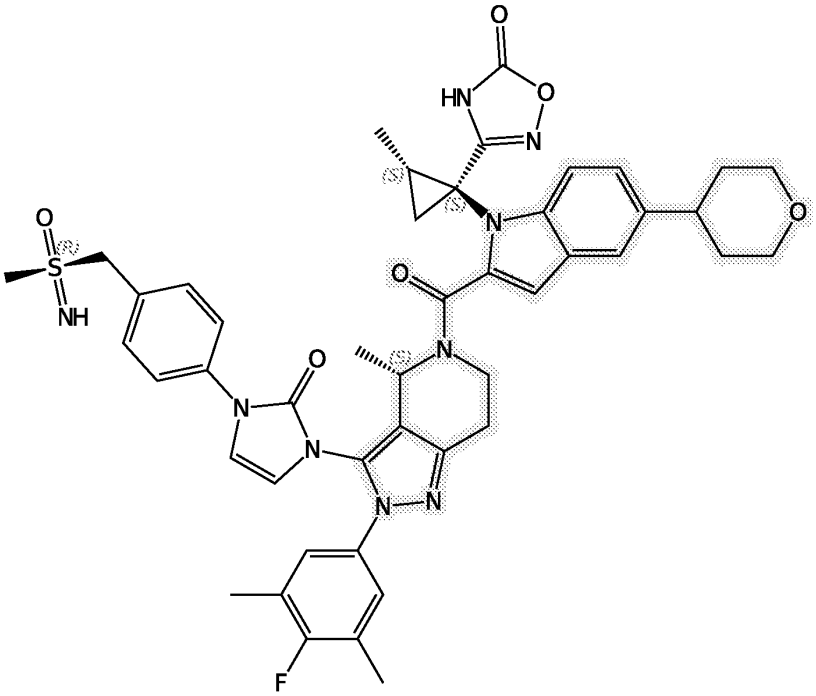
Absolute stereochemistry shown

RN 3054163-93-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



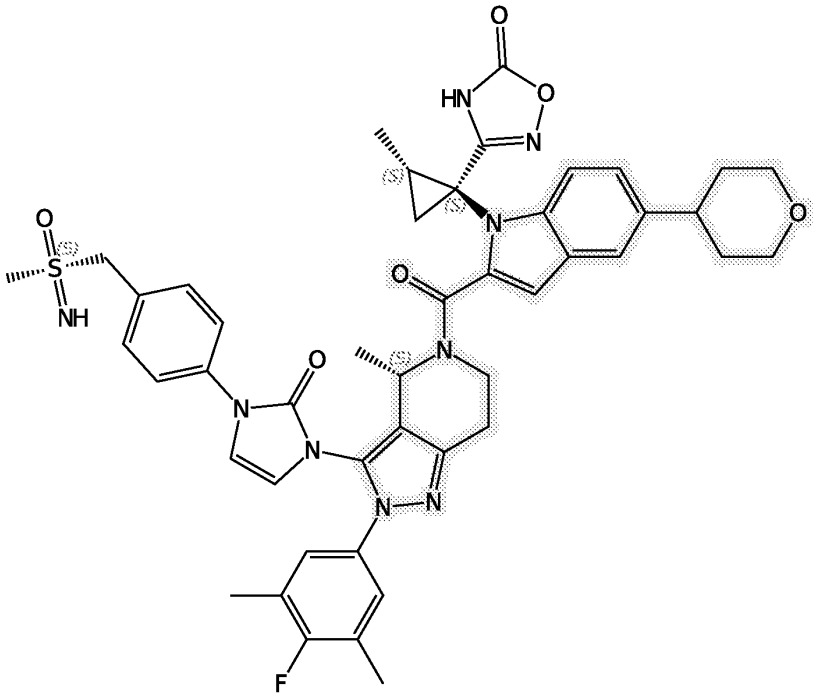
Absolute stereochemistry shown

RN 3054163-96-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



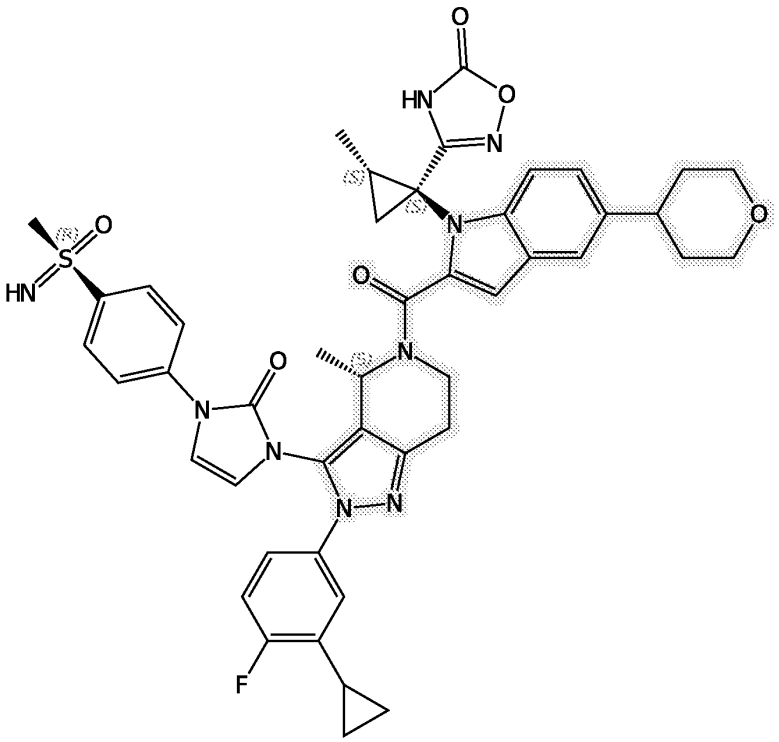
Absolute stereochemistry shown

RN 3054163-97-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



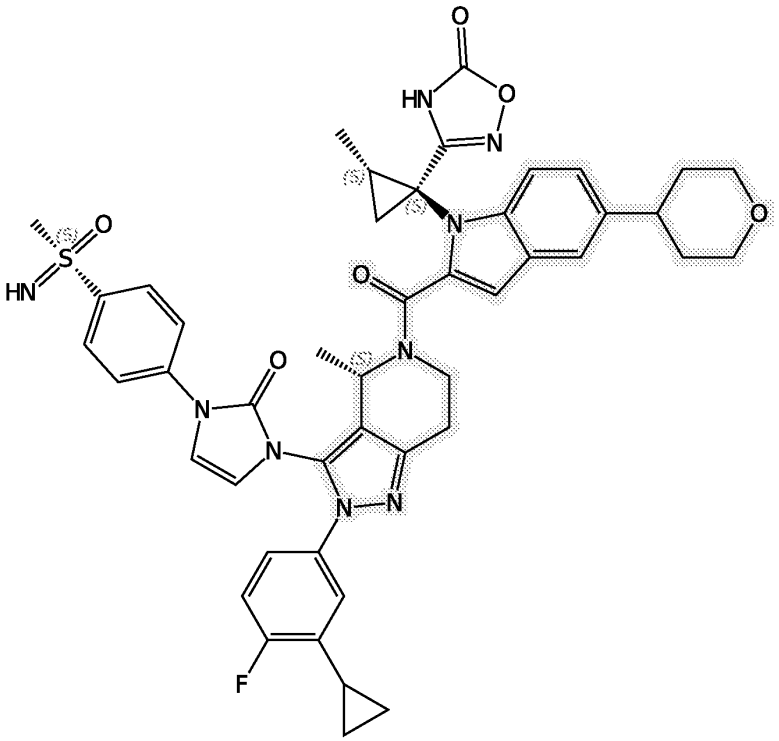
Absolute stereochemistry shown

RN 3054163-98-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



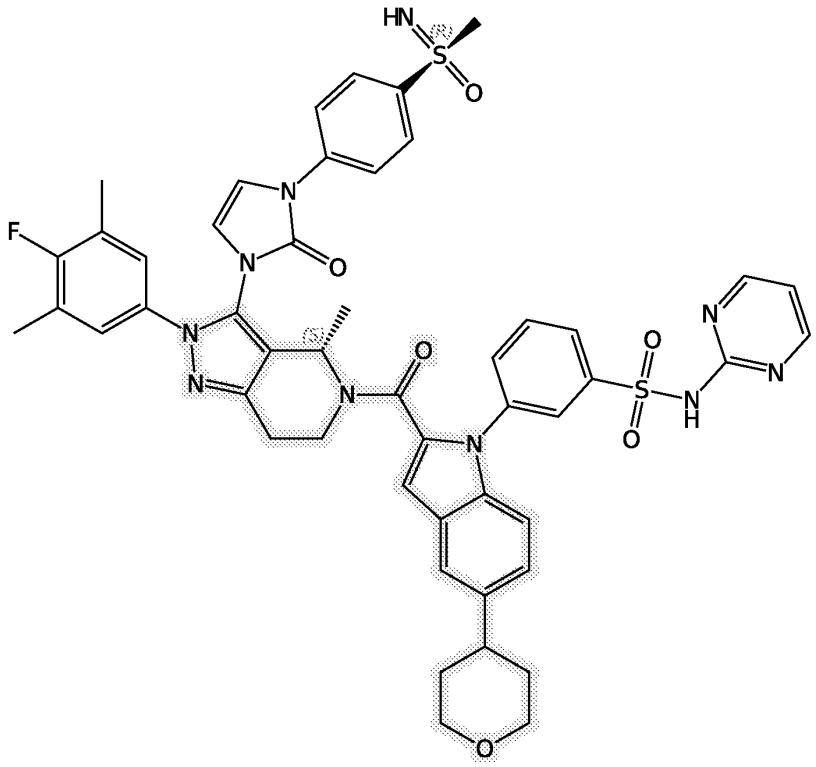
Absolute stereochemistry shown

RN 3054163-99-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



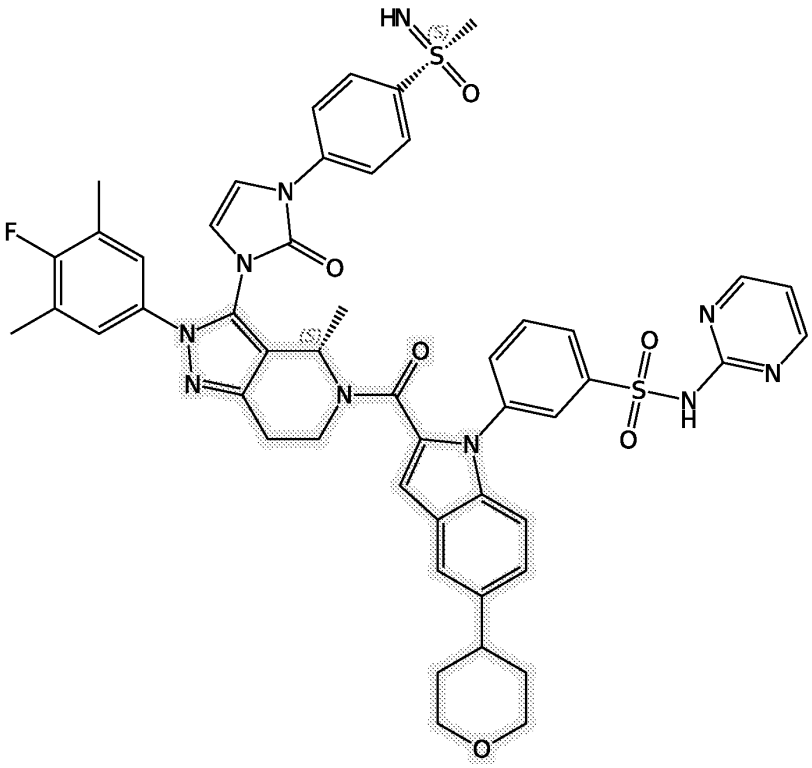
Absolute stereochemistry shown

RN 3054164-00-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



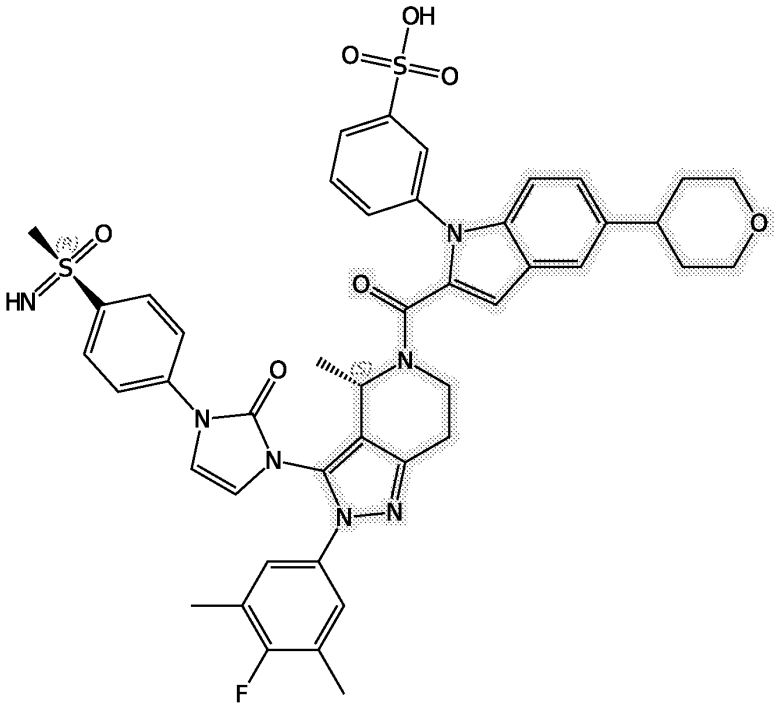
Absolute stereochemistry shown

RN 3054164-01-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



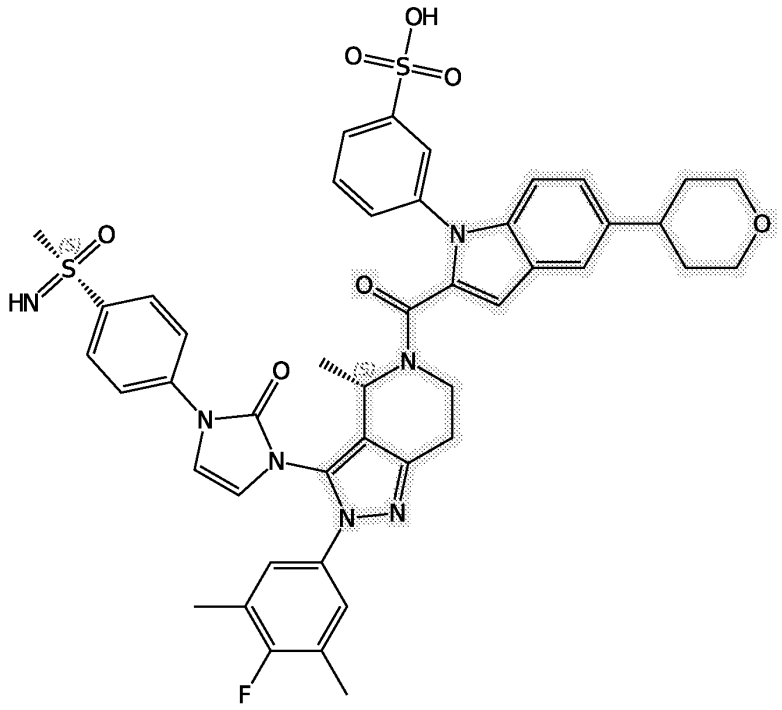
Absolute stereochemistry shown

RN 3054164-02-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



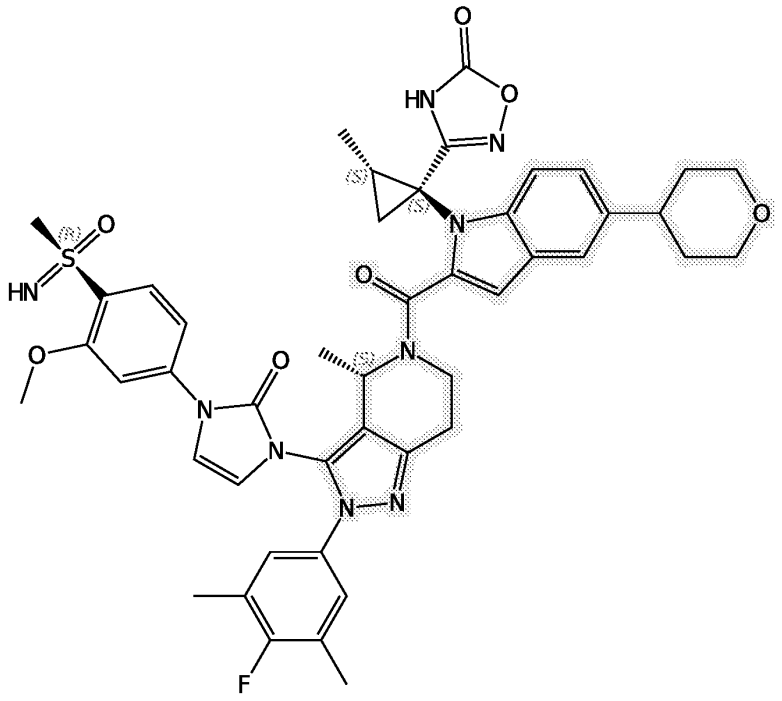
Absolute stereochemistry shown

RN 3054164-03-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



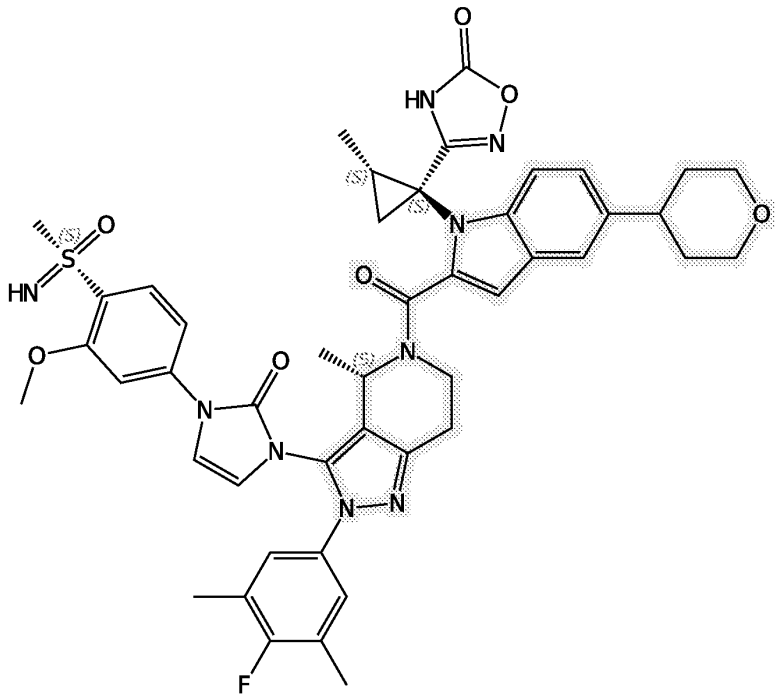
Absolute stereochemistry shown

RN 3054164-04-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



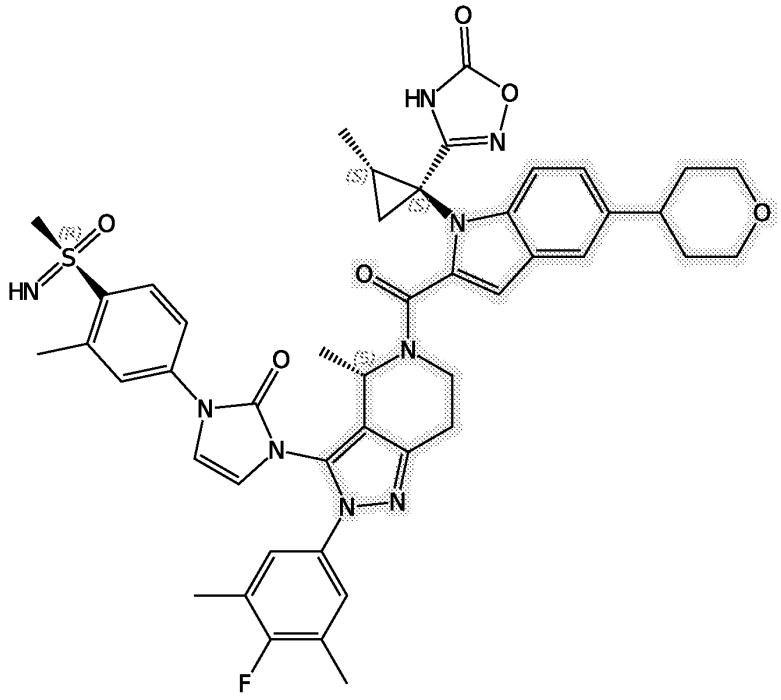
Absolute stereochemistry shown

RN 3054164-05-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



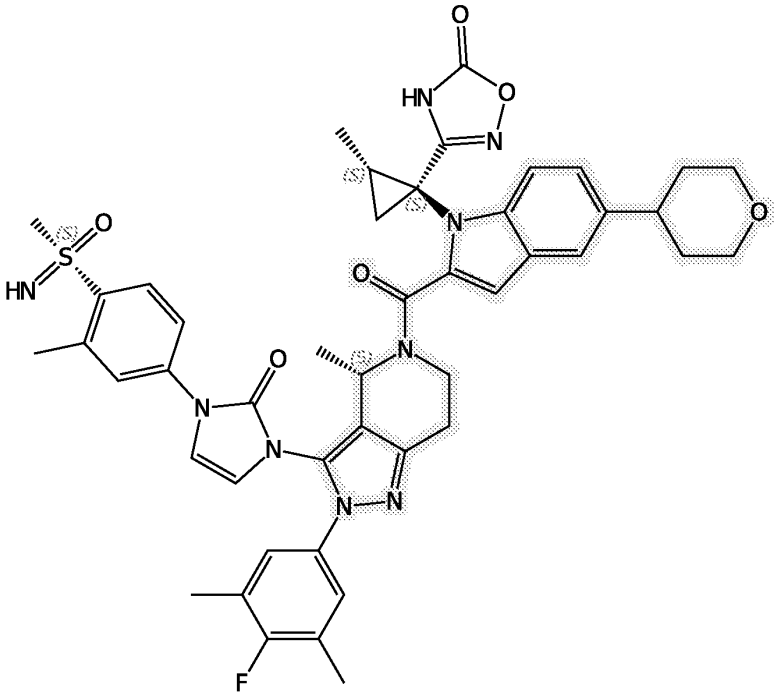
Absolute stereochemistry shown

RN 3054164-06-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



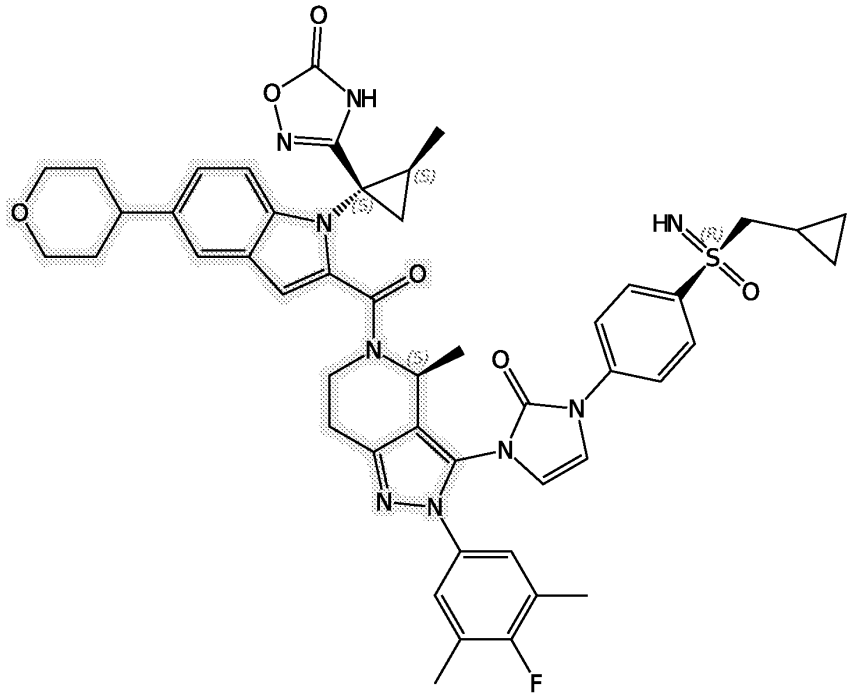
Absolute stereochemistry shown

RN 3054164-07-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



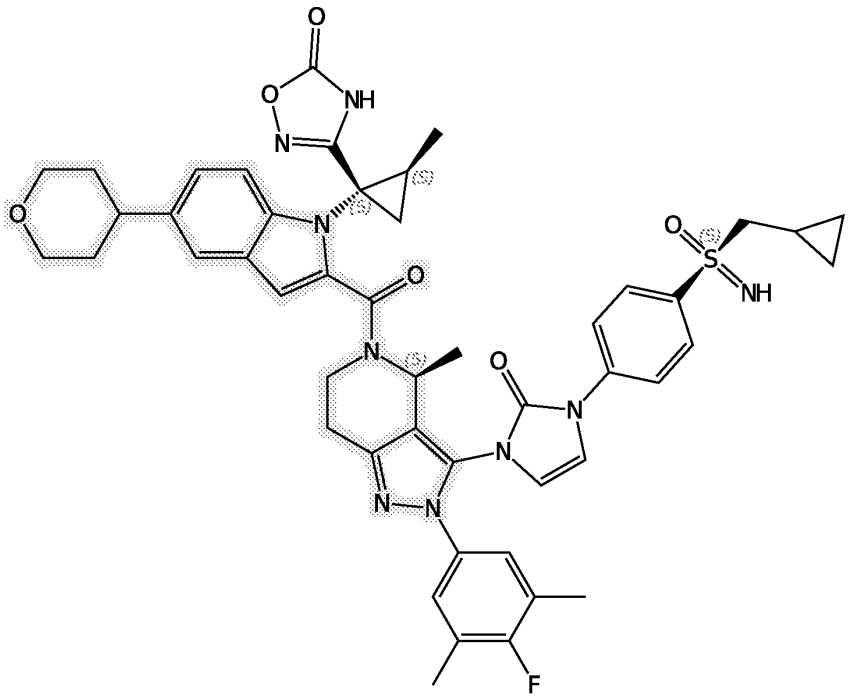
Absolute stereochemistry shown

RN 3054164-10-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



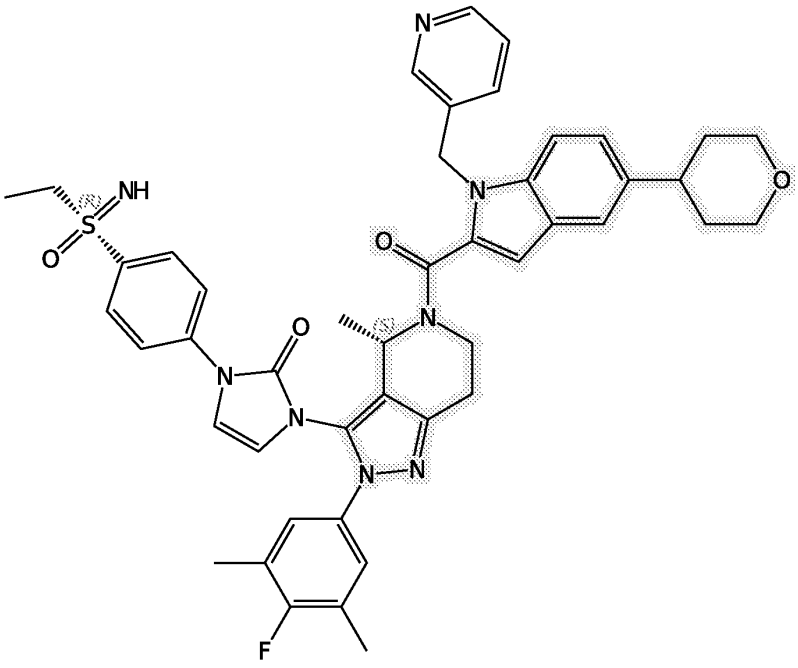
Absolute stereochemistry shown

RN 3054164-11-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

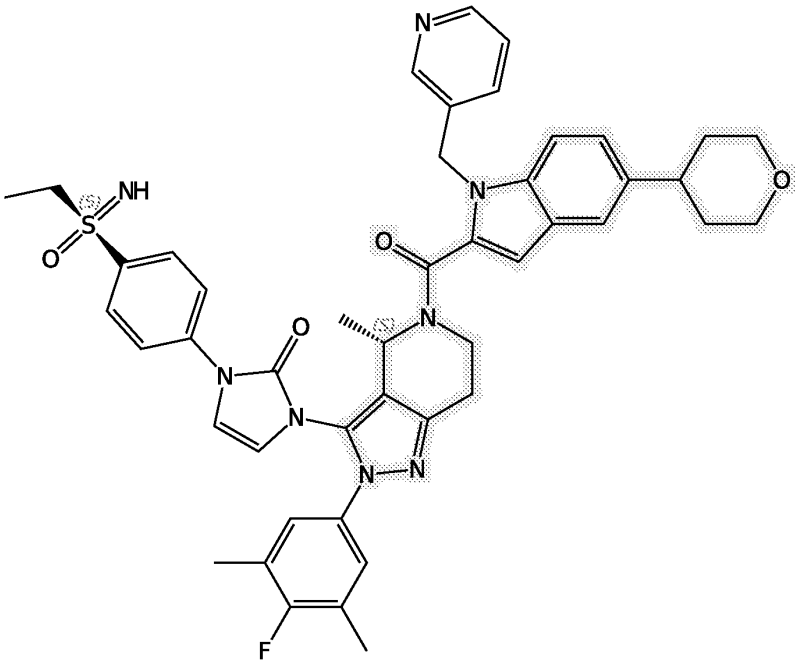
RN 3054164-12-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

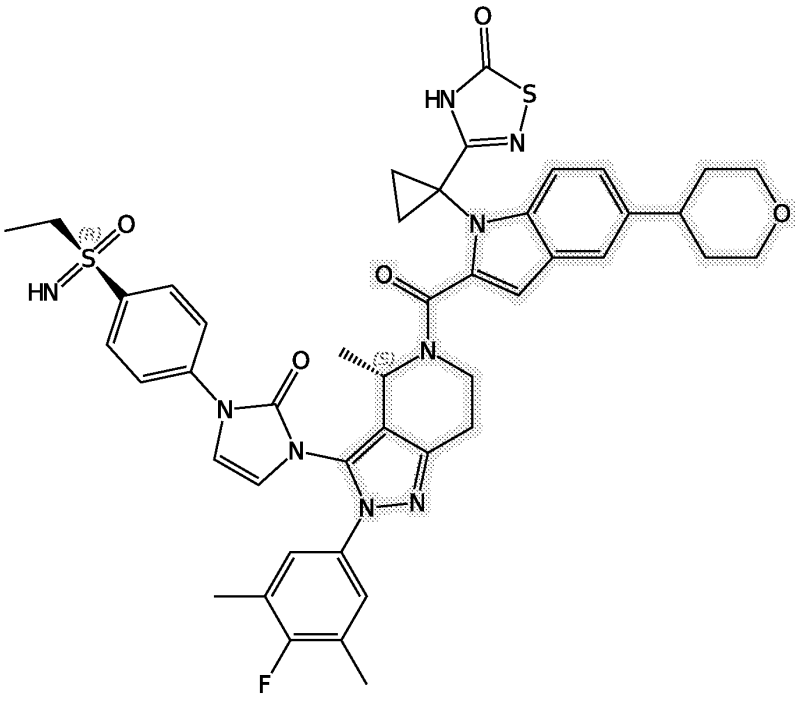
RN 3054164-13-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



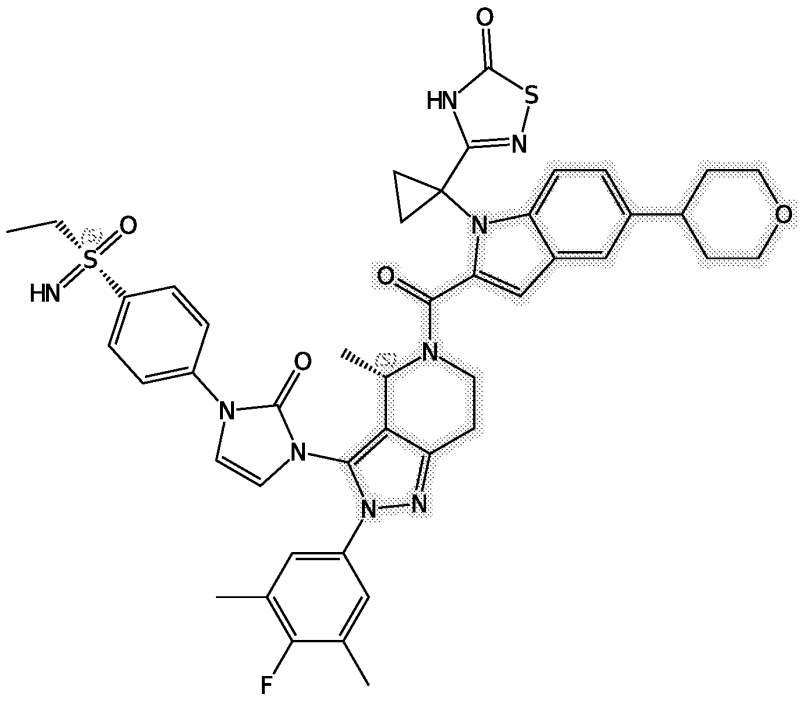
Absolute stereochemistry shown

RN 3054164-14-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



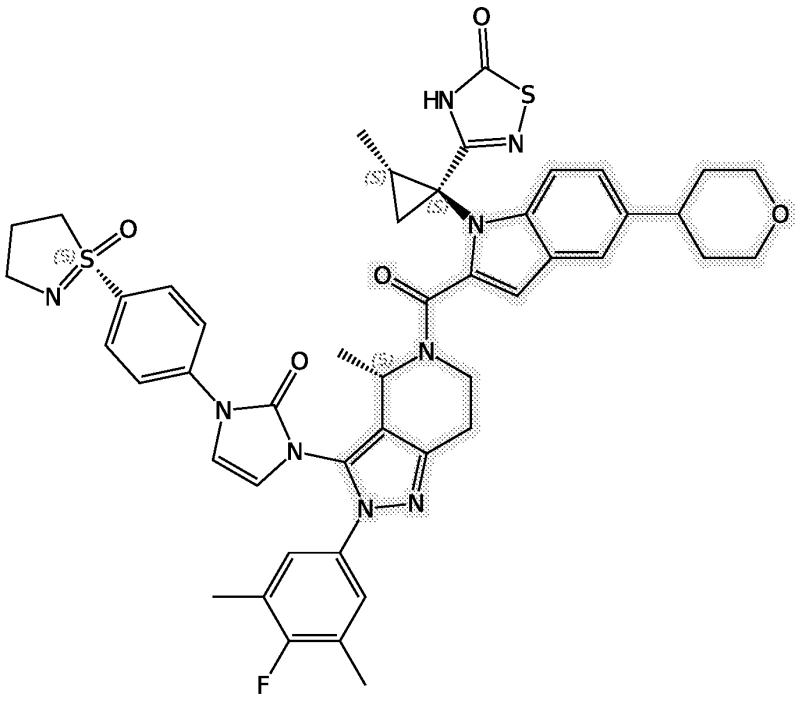
Absolute stereochemistry shown

RN 3054164-15-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



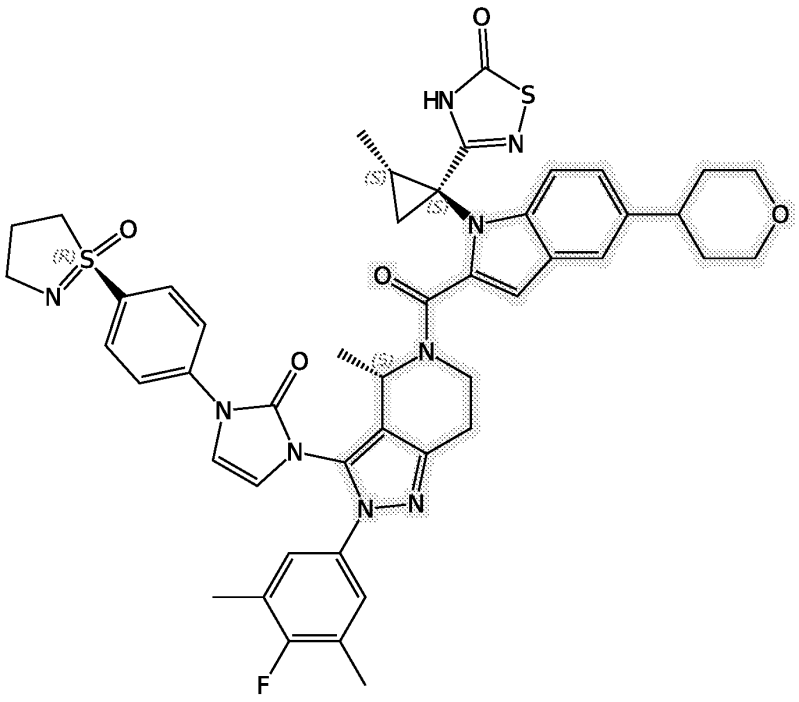
Absolute stereochemistry shown

RN 3054164-16-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



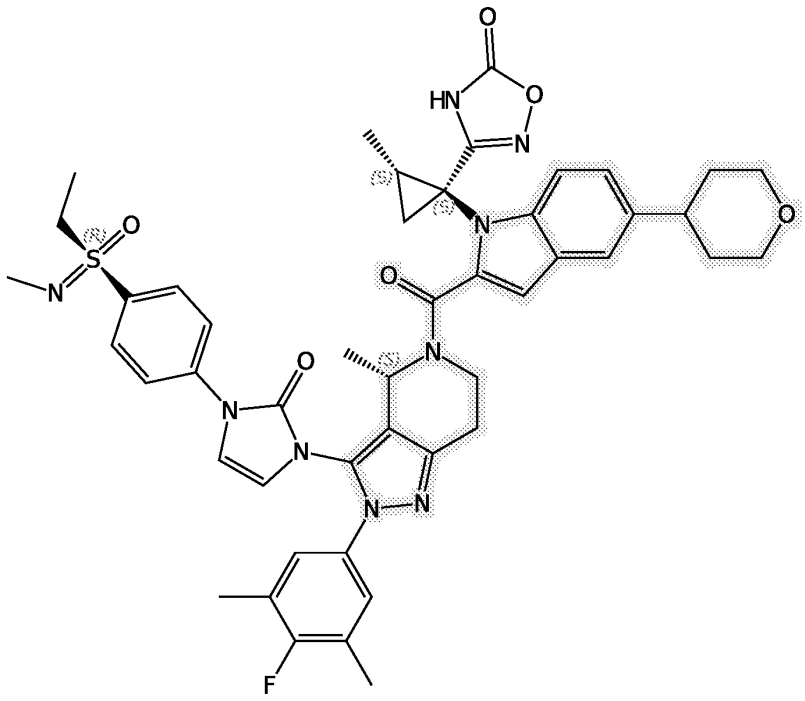
Absolute stereochemistry shown

RN 3054164-17-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



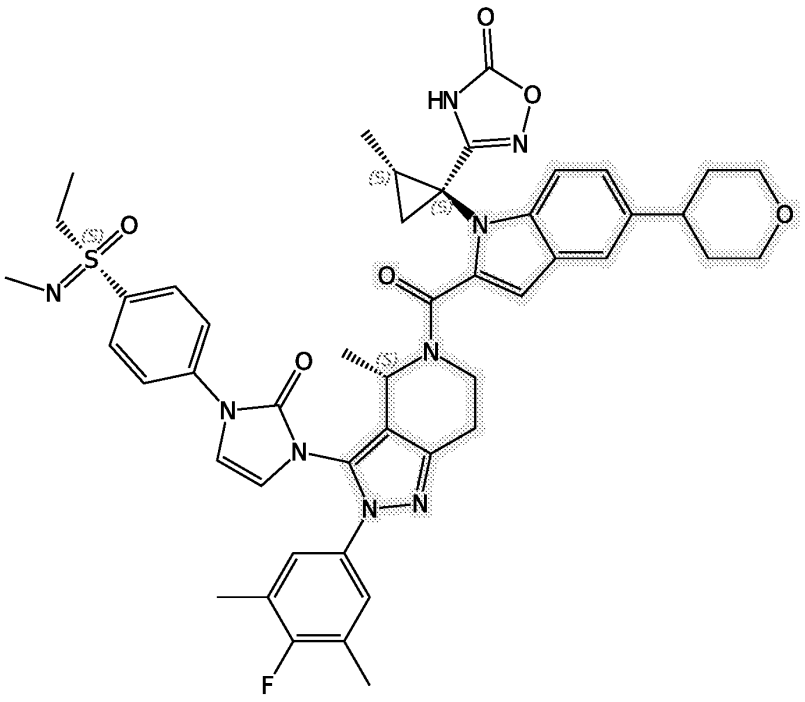
Absolute stereochemistry shown

RN 3054164-18-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



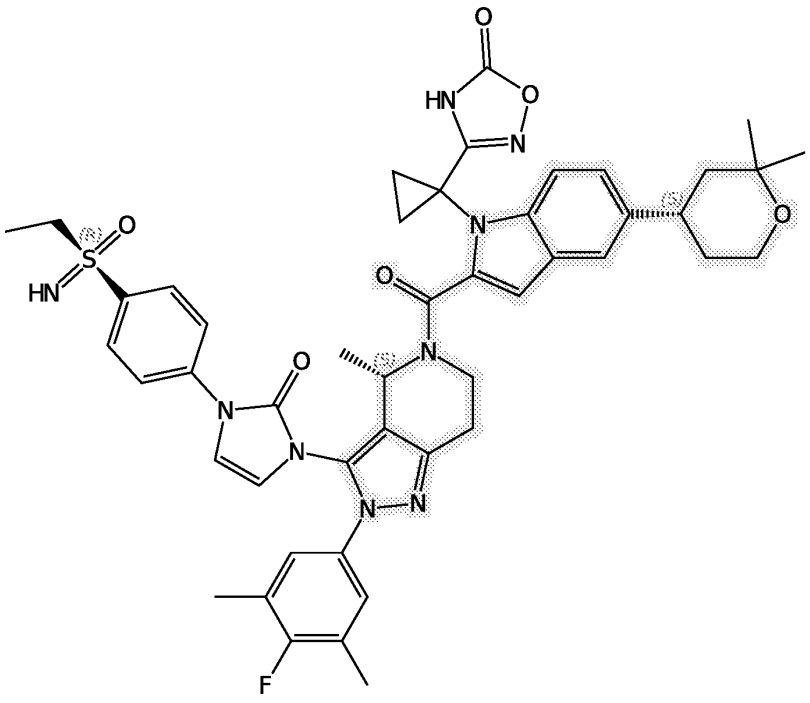
Absolute stereochemistry shown

RN 3054164-19-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



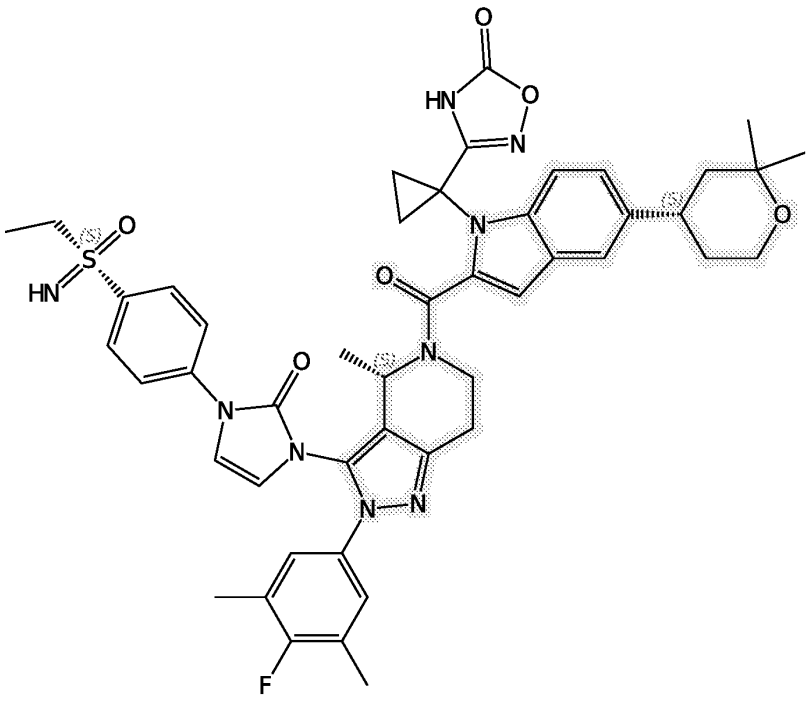
Absolute stereochemistry shown

RN 3054164-20-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



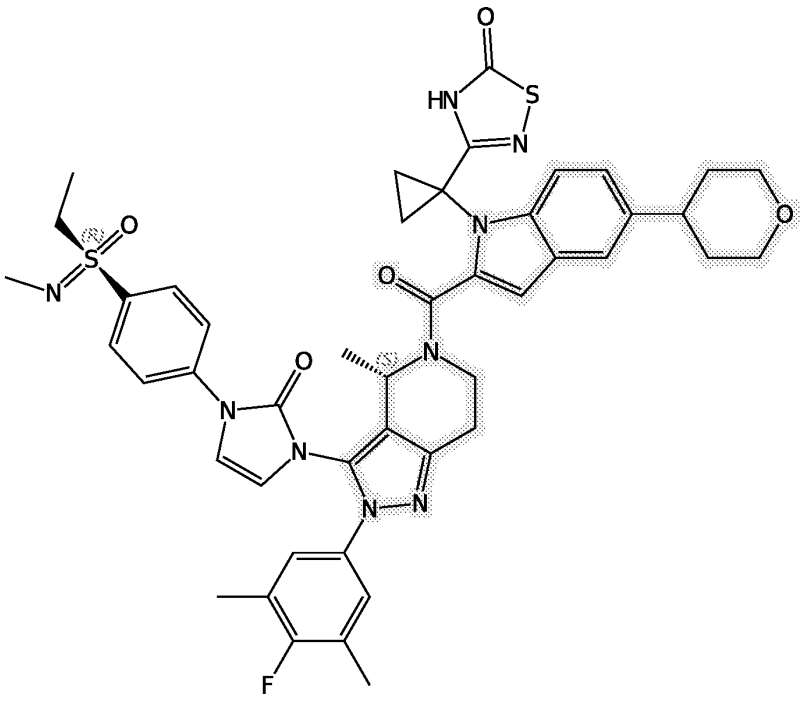
Absolute stereochemistry shown

RN 3054164-21-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



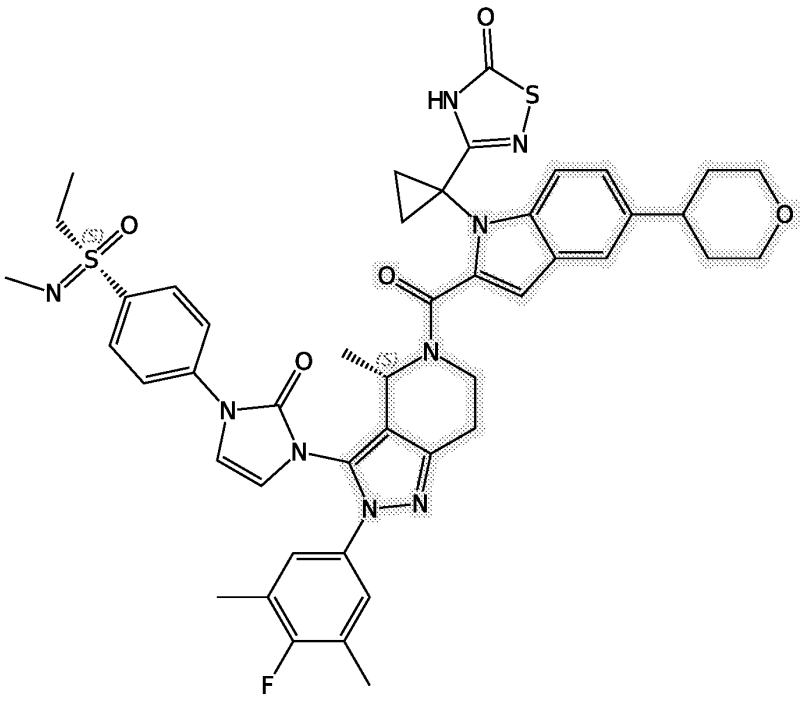
Absolute stereochemistry shown

RN 3054164-22-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



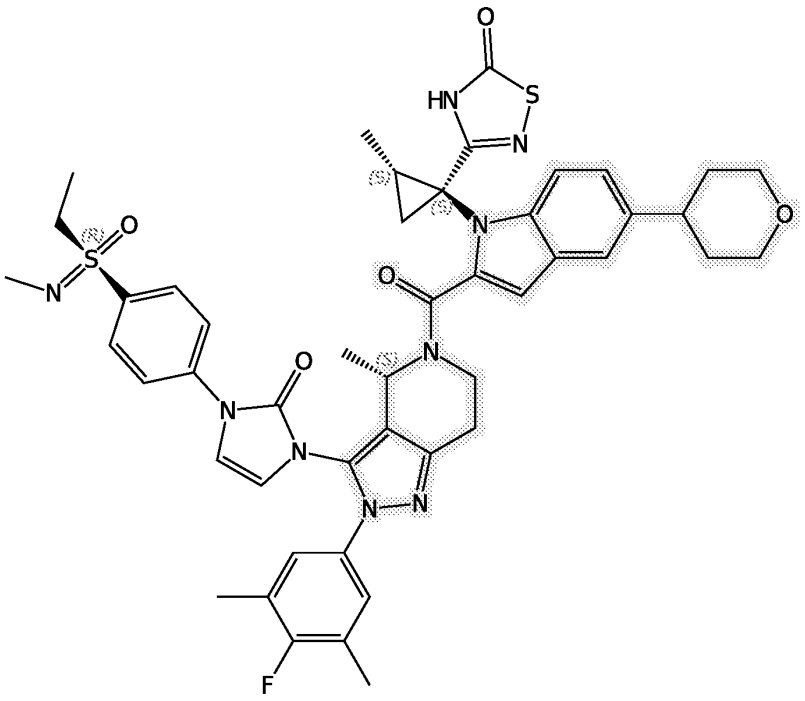
Absolute stereochemistry shown

RN 3054164-23-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



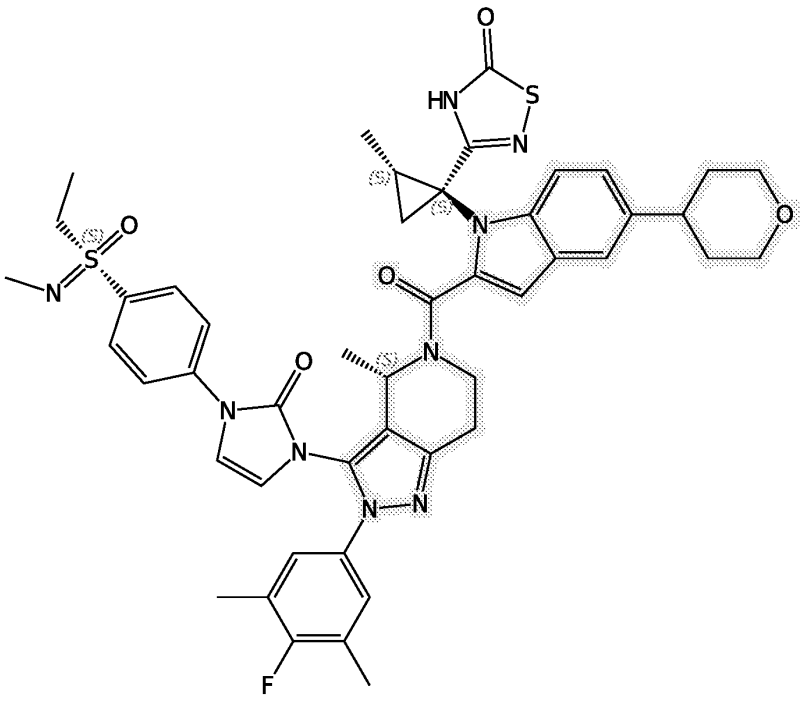
Absolute stereochemistry shown

RN 3054164-24-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



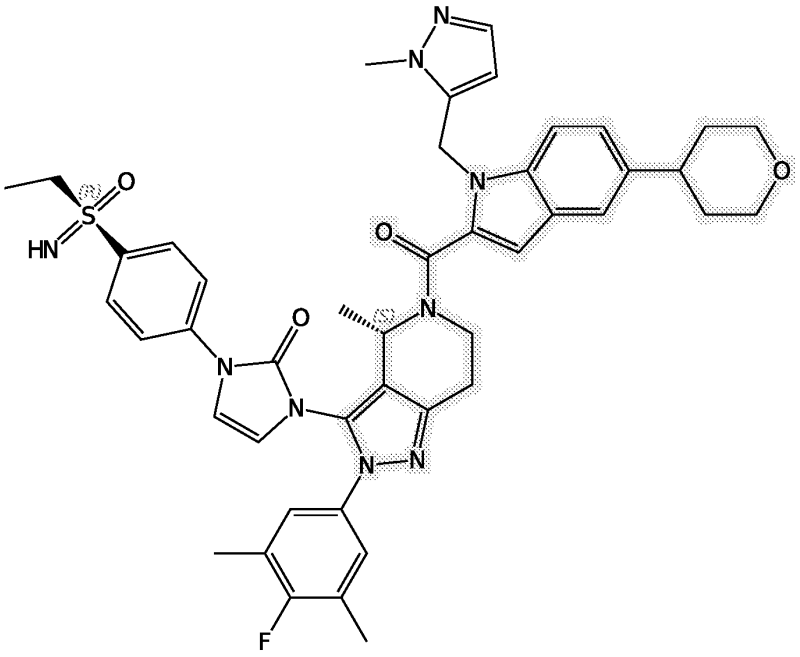
Absolute stereochemistry shown

RN 3054164-25-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



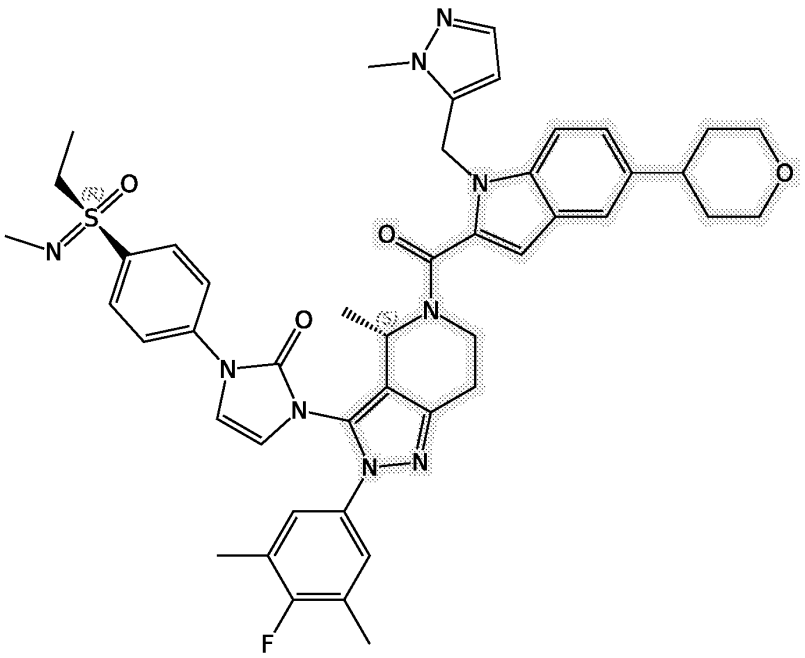
Absolute stereochemistry shown

RN 3054164-26-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



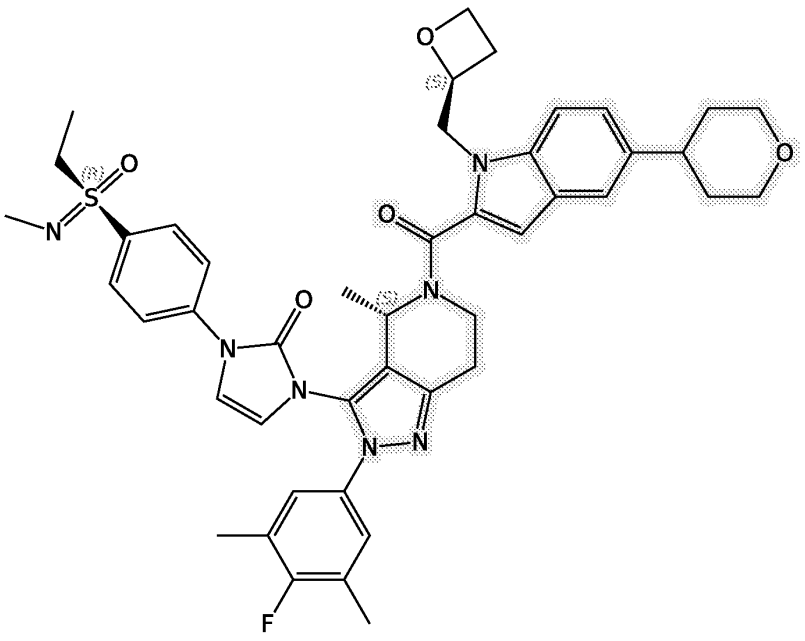
Absolute stereochemistry shown

RN 3054164-27-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



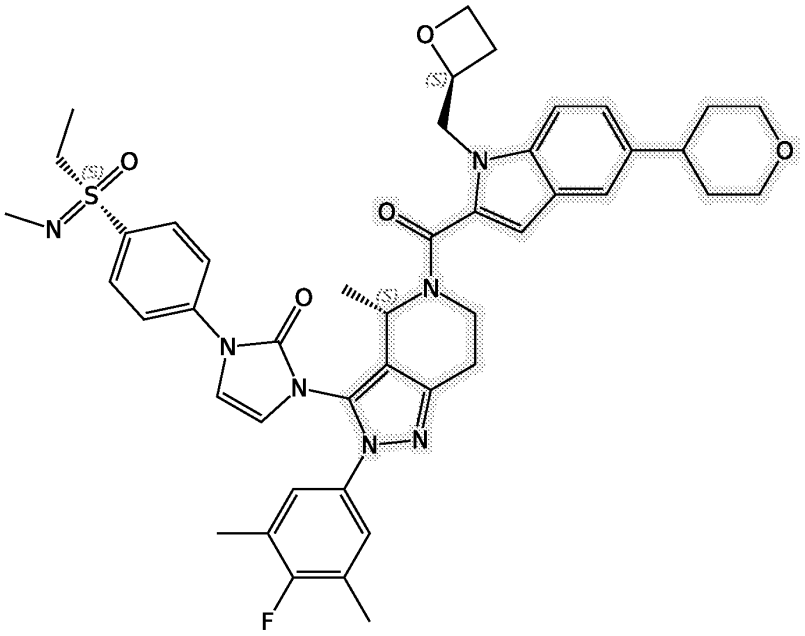
Absolute stereochemistry shown

RN 3054164-34-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



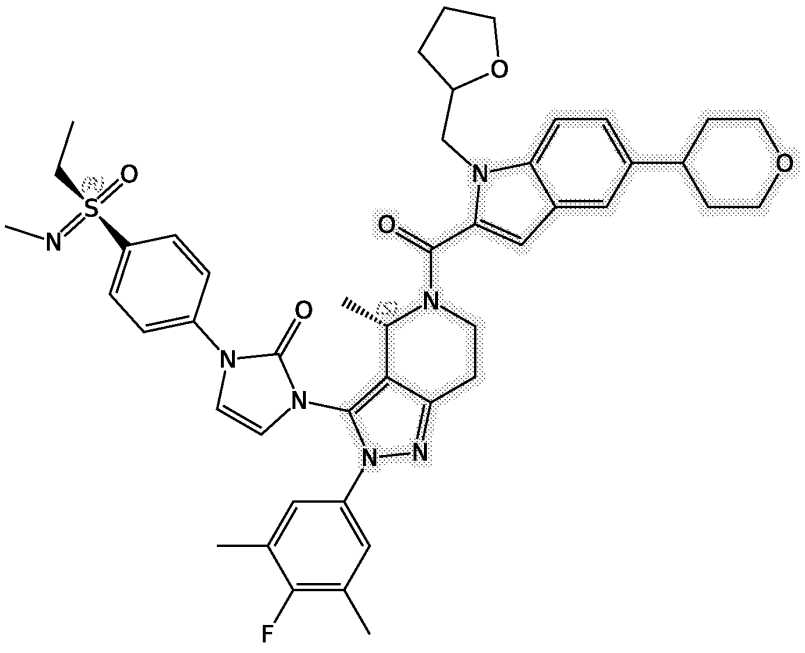
Absolute stereochemistry shown

RN 3054164-35-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



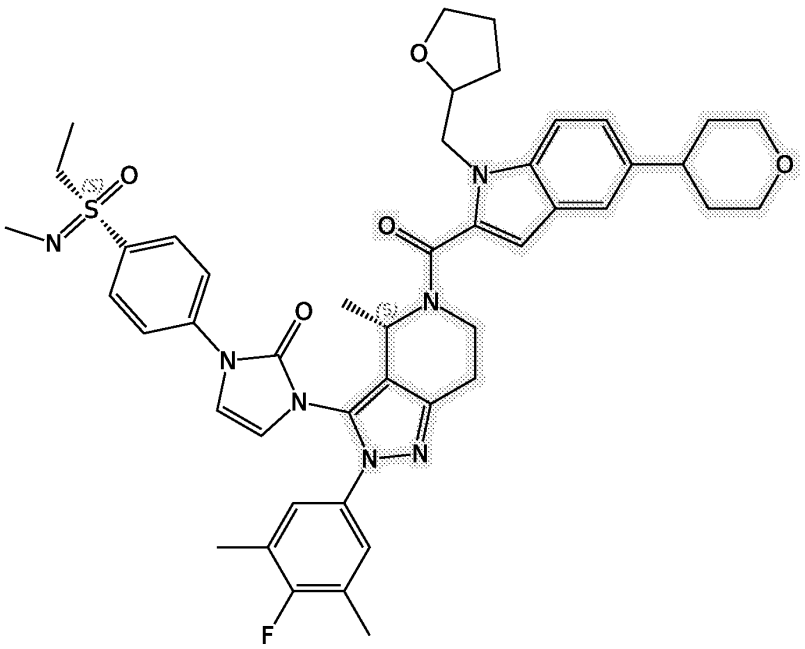
Absolute stereochemistry shown

RN 3054164-36-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



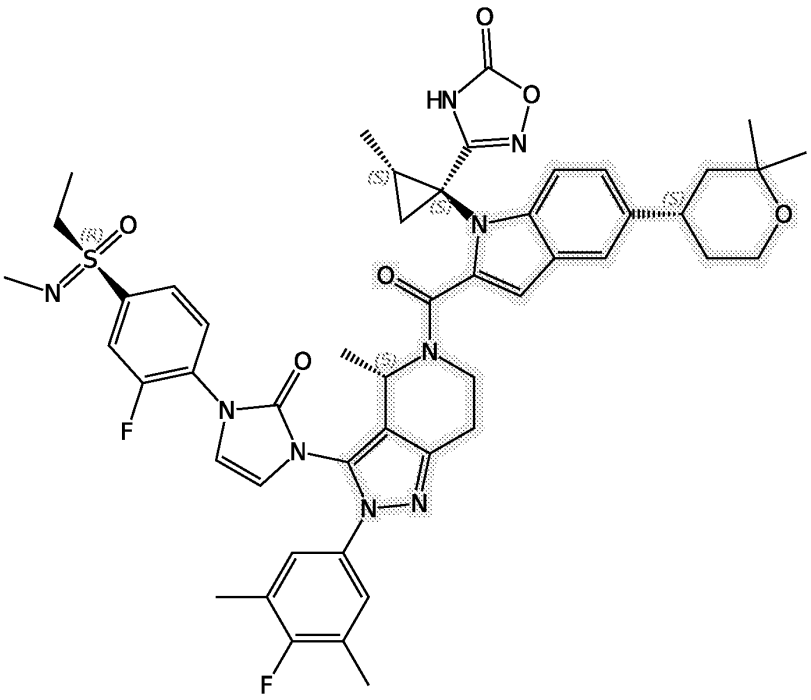
Absolute stereochemistry shown

RN 3054164-37-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



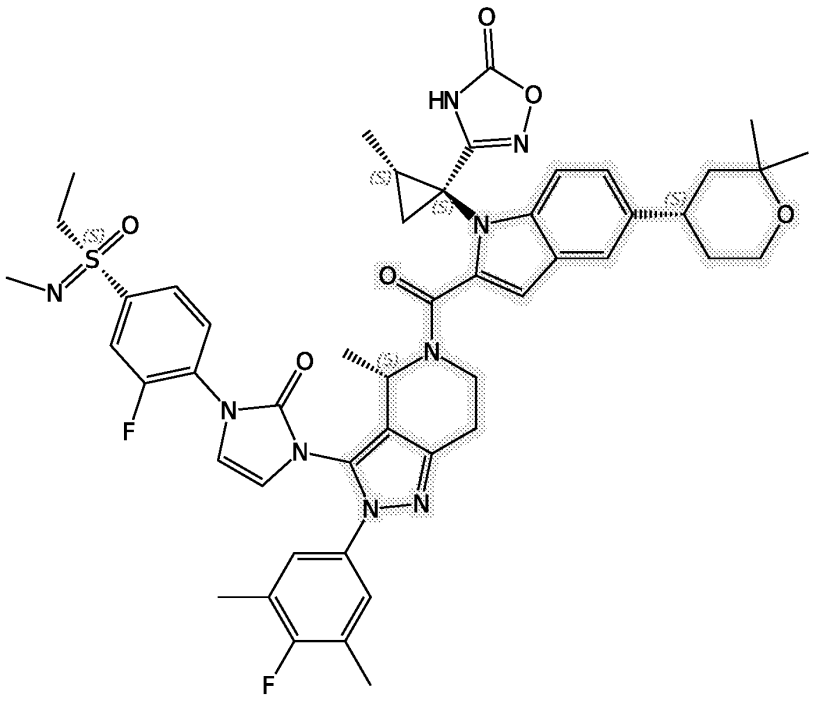
Absolute stereochemistry shown

RN 3054164-38-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



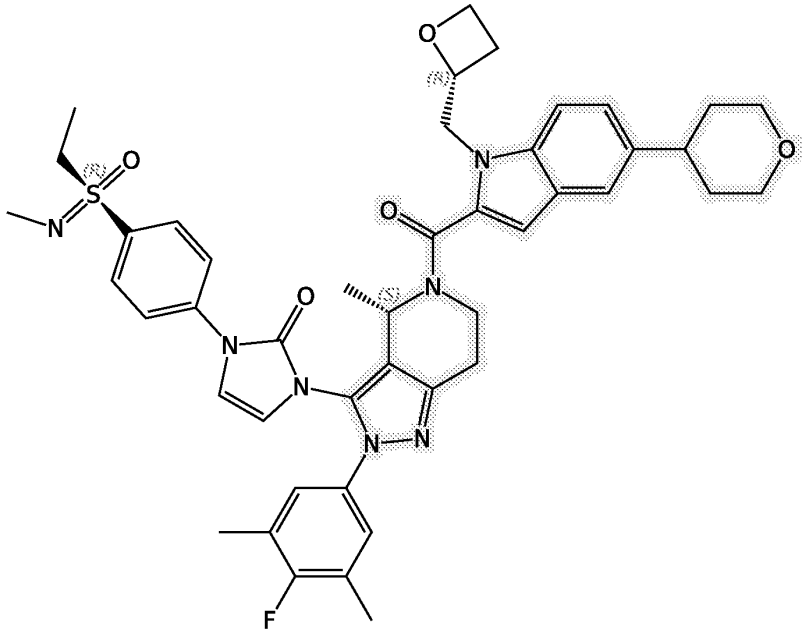
Absolute stereochemistry shown

RN 3054164-39-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



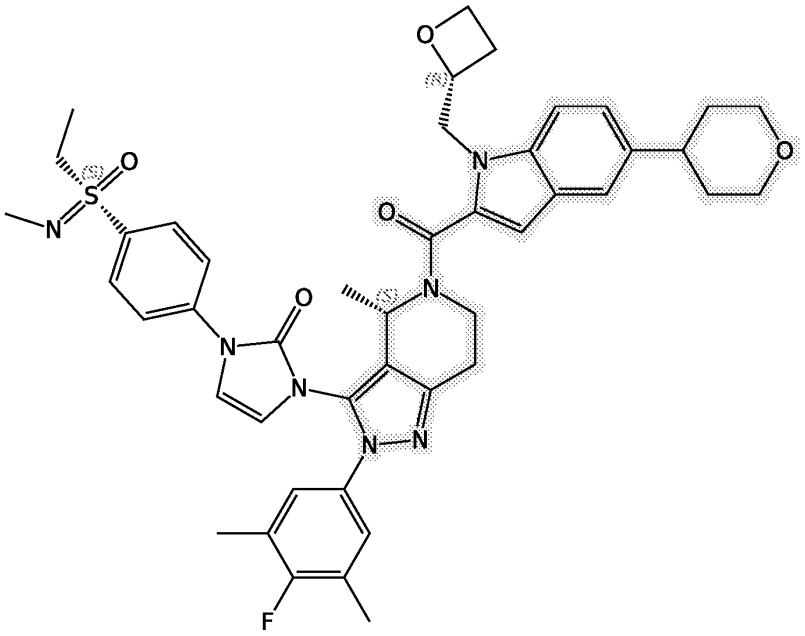
Absolute stereochemistry shown

RN 3054164-40-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



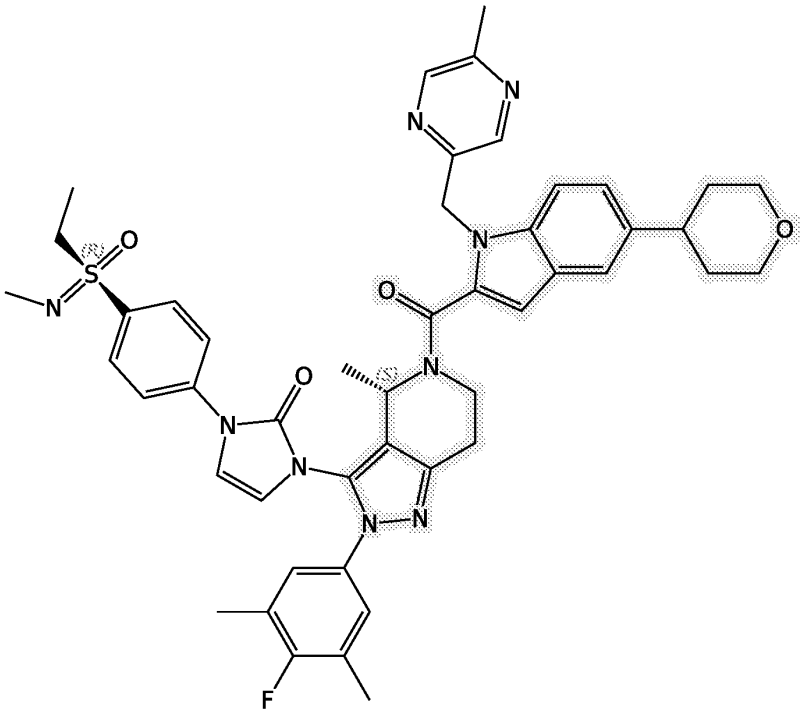
Absolute stereochemistry shown

RN 3054164-41-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



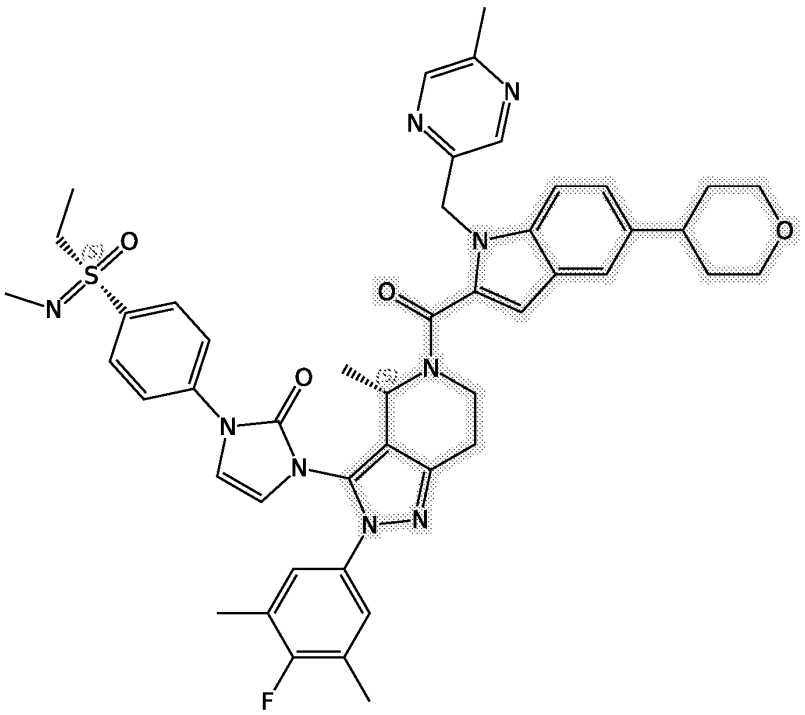
Absolute stereochemistry shown

RN 3054164-42-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



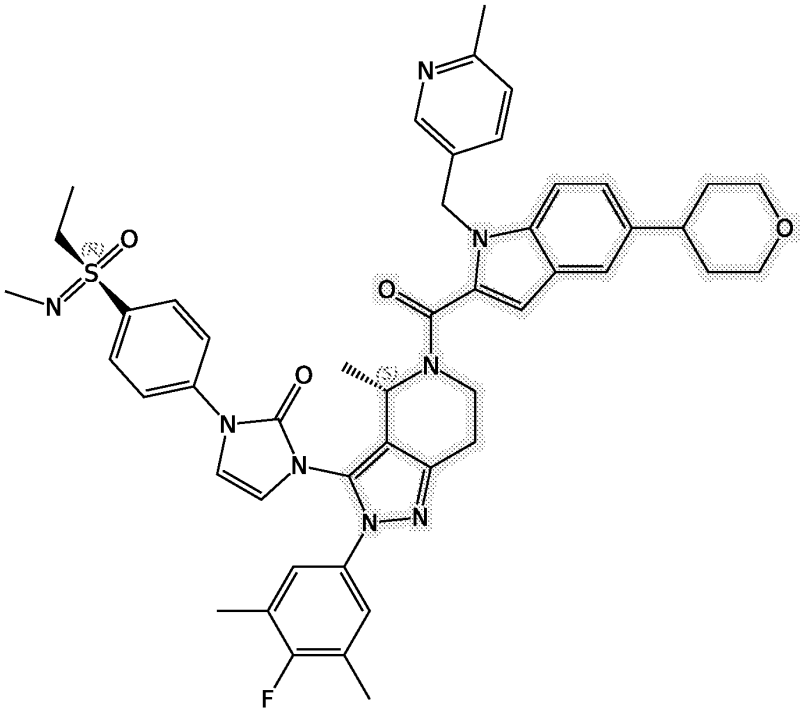
Absolute stereochemistry shown

RN 3054164-43-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

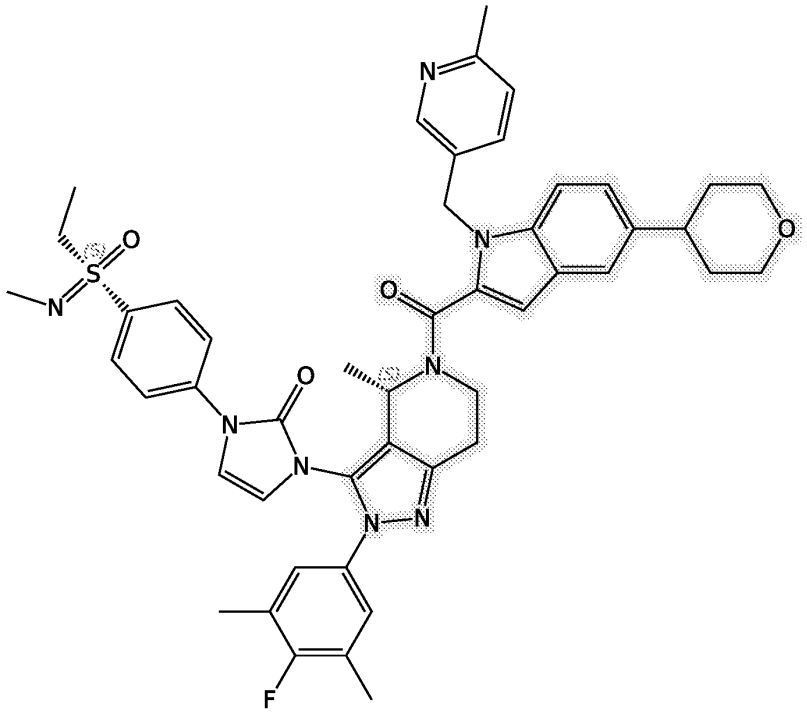
RN 3054164-44-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

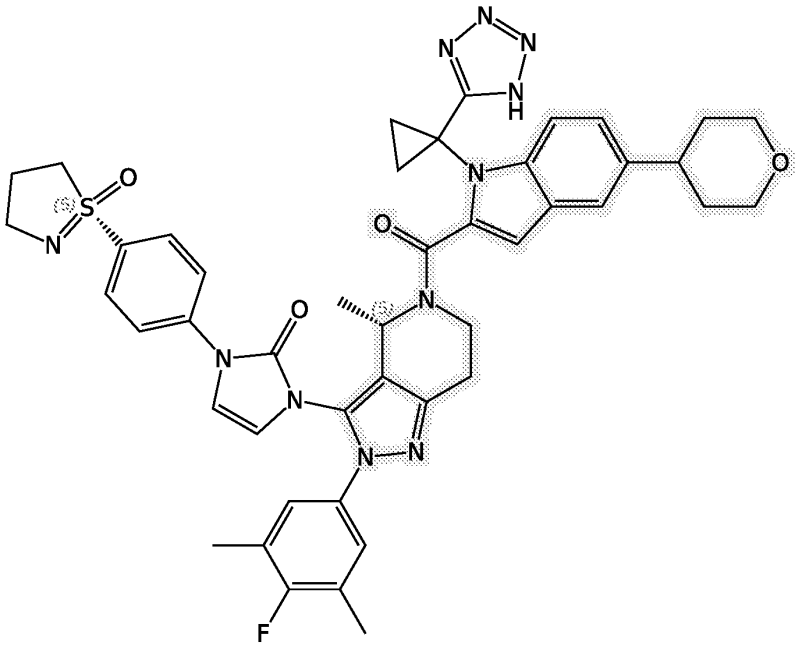
RN 3054164-45-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



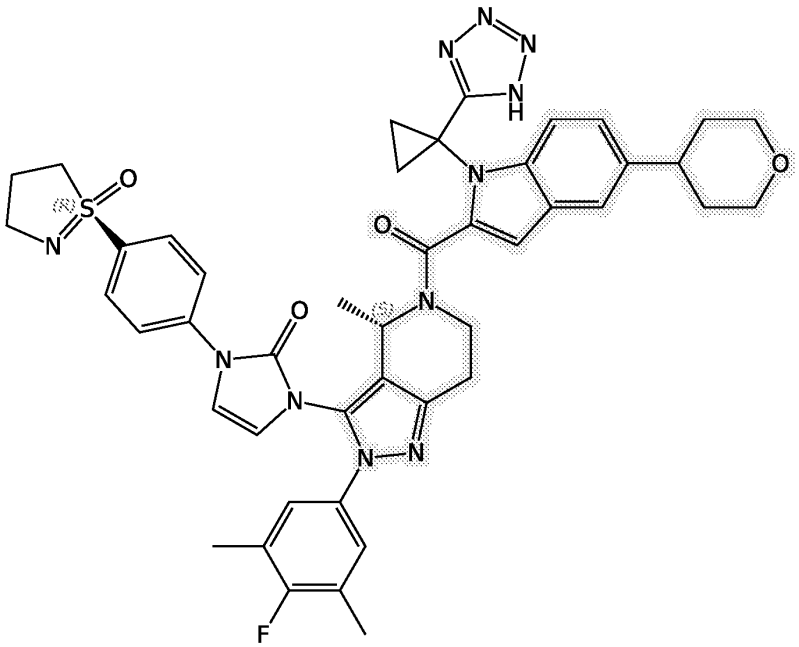
Absolute stereochemistry shown

RN 3054164-46-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



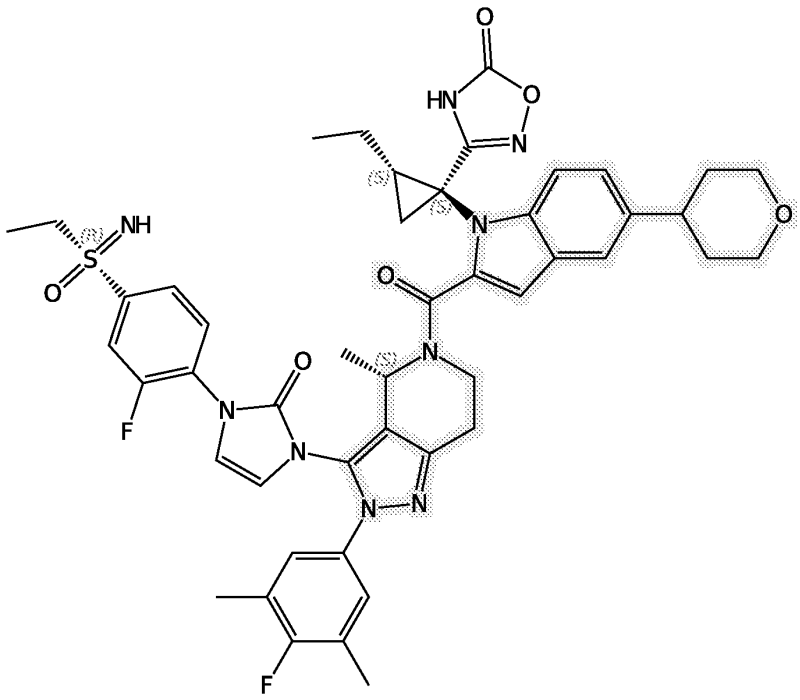
Absolute stereochemistry shown

RN 3054164-47-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



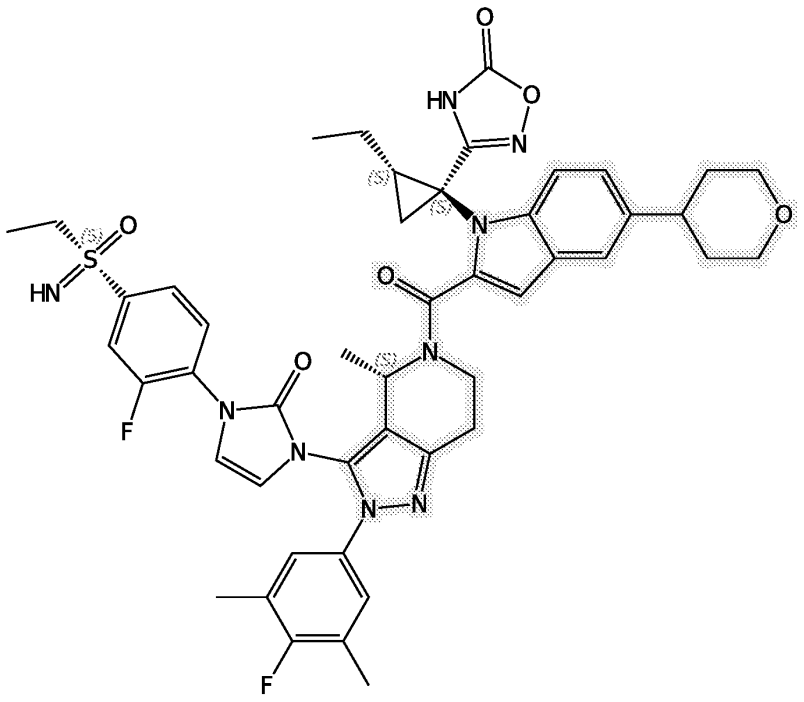
Absolute stereochemistry shown

RN 3054164-48-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



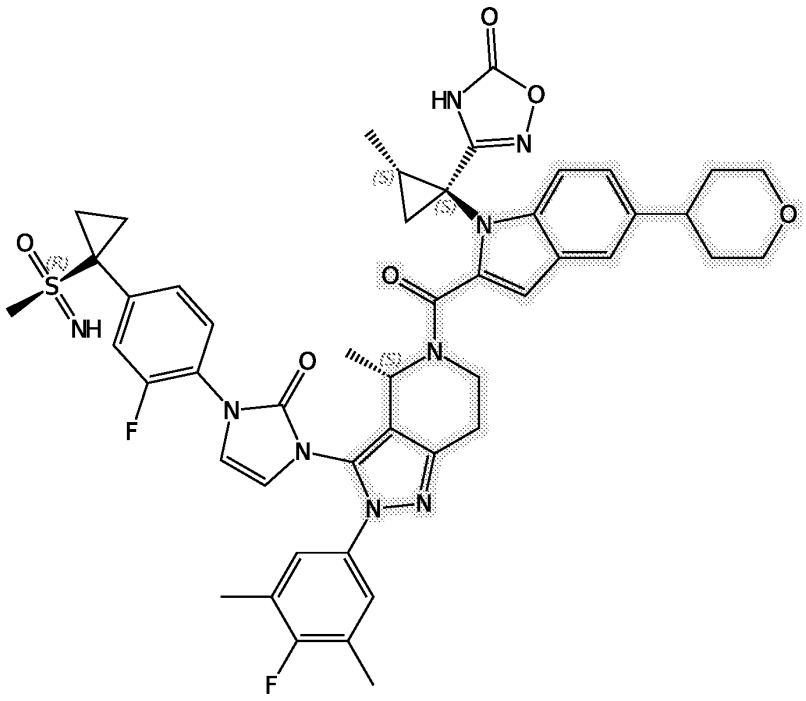
Absolute stereochemistry shown

RN 3054164-49-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



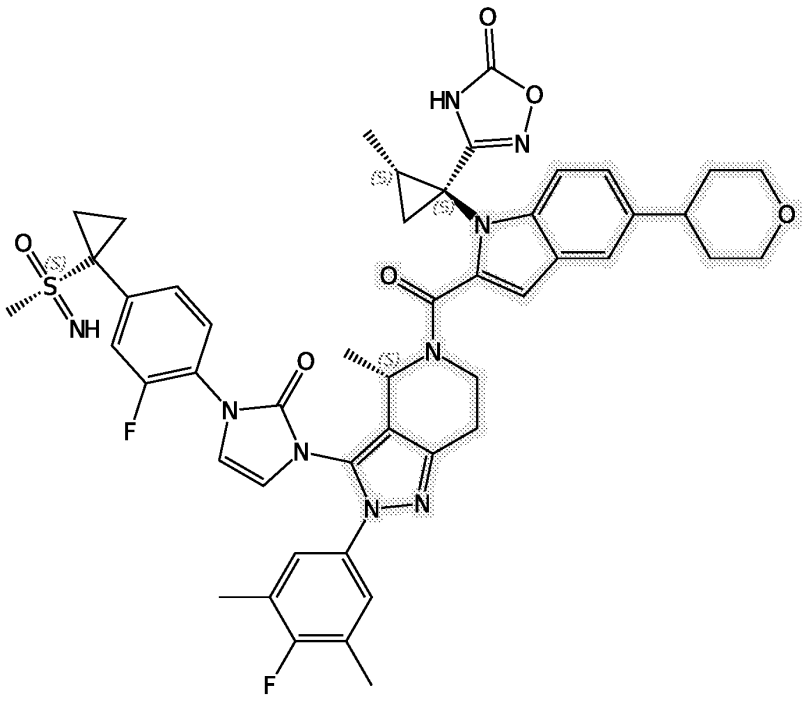
Absolute stereochemistry shown

RN 3054164-50-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



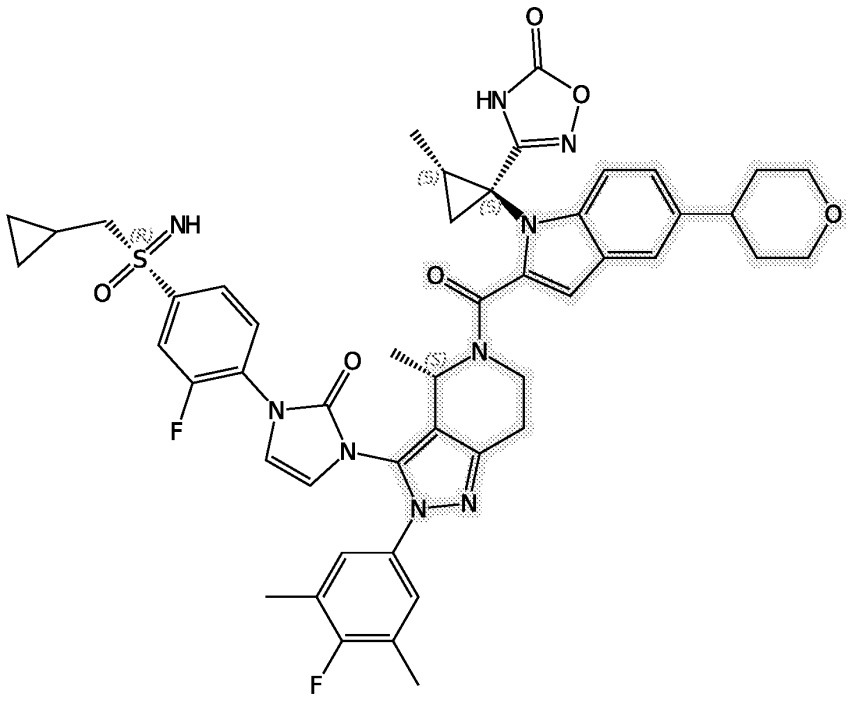
Absolute stereochemistry shown

RN 3054164-51-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



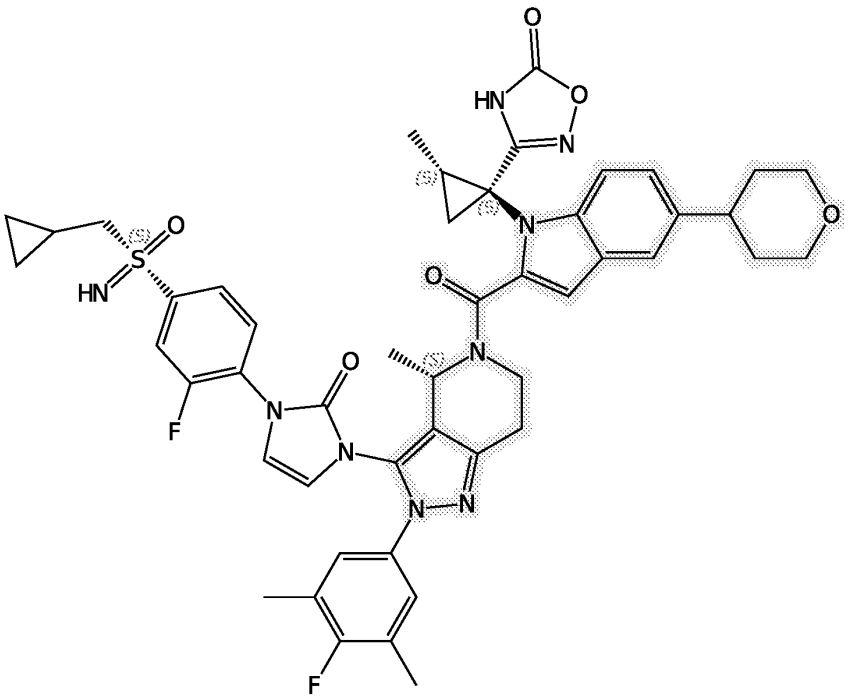
Absolute stereochemistry shown

RN 3054164-52-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



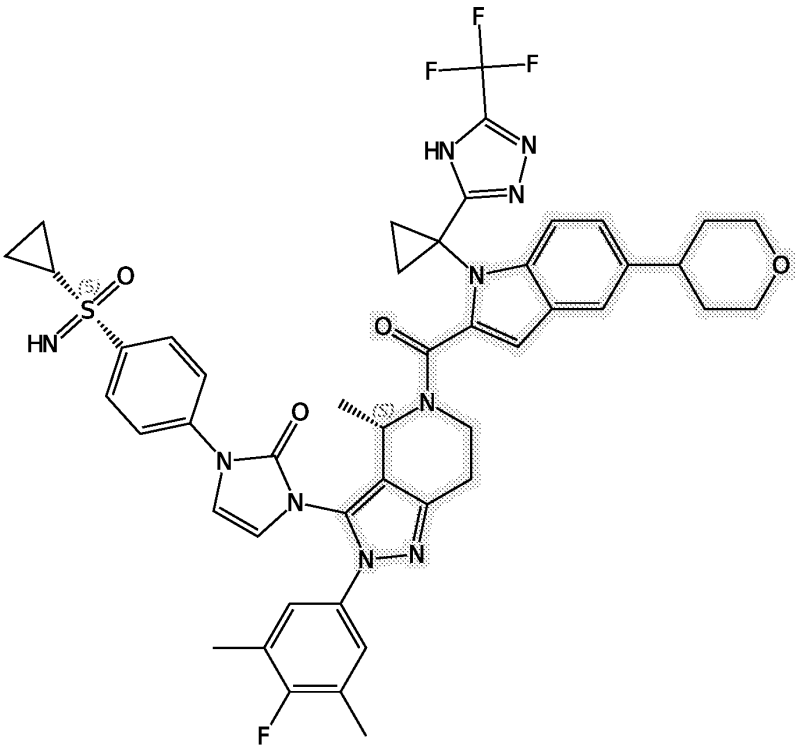
Absolute stereochemistry shown

RN 3054164-53-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



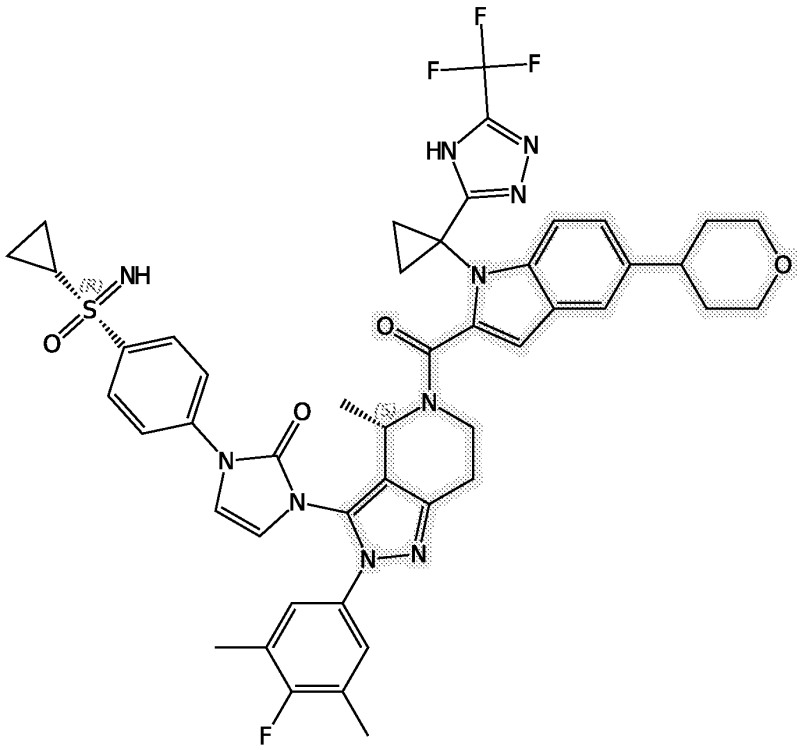
Absolute stereochemistry shown

RN 3054164-54-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



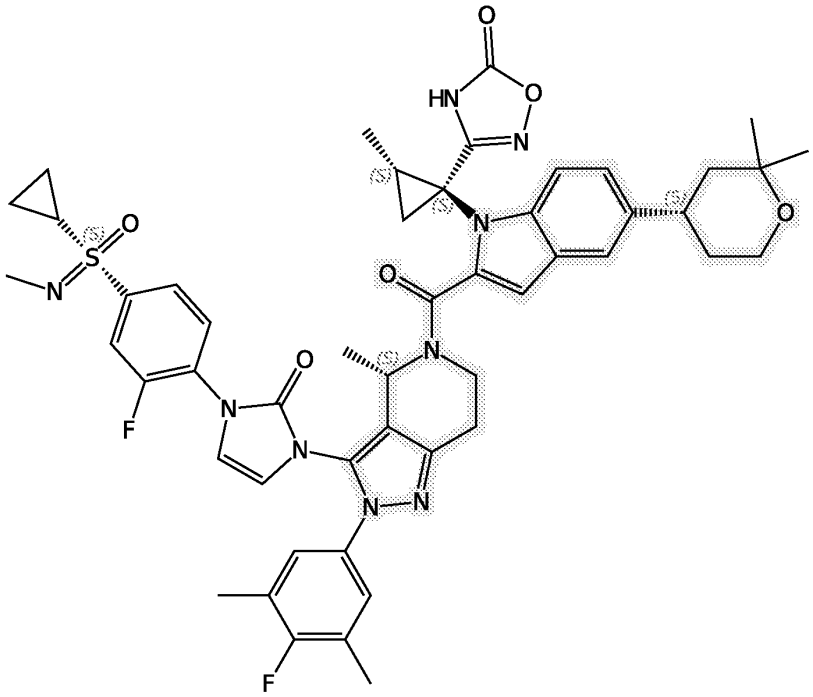
Absolute stereochemistry shown

RN 3054164-55-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



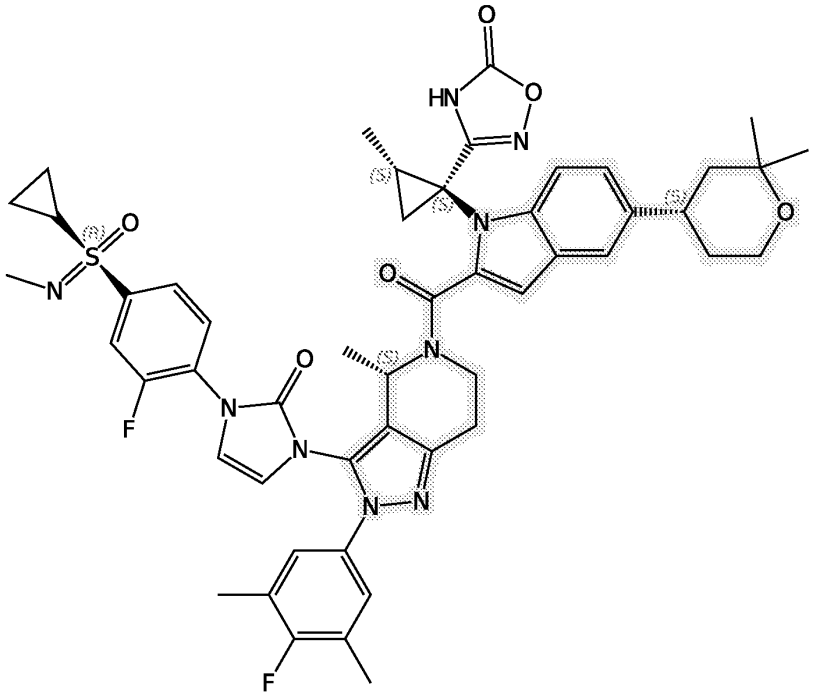
Absolute stereochemistry shown

RN 3054164-56-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



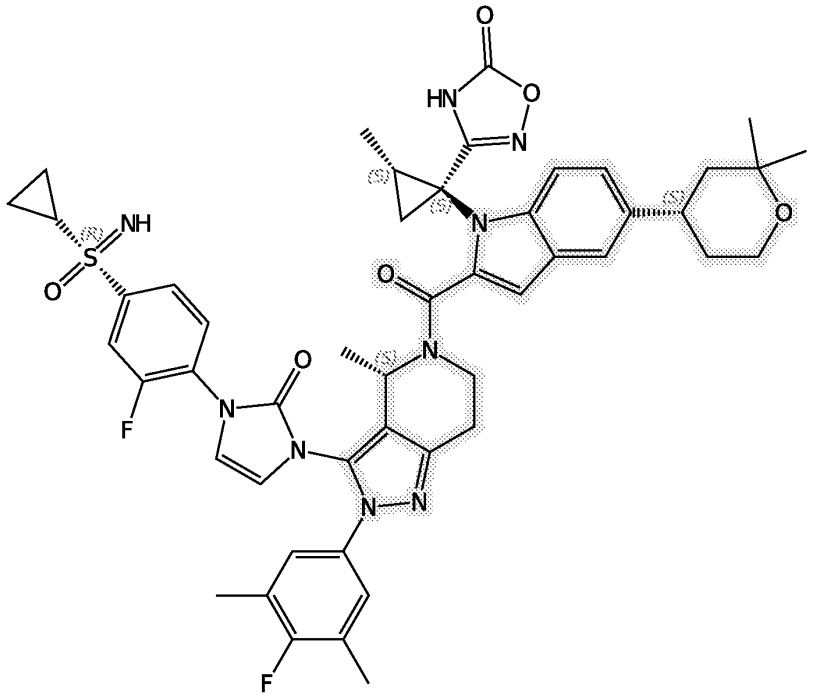
Absolute stereochemistry shown

RN 3054164-57-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



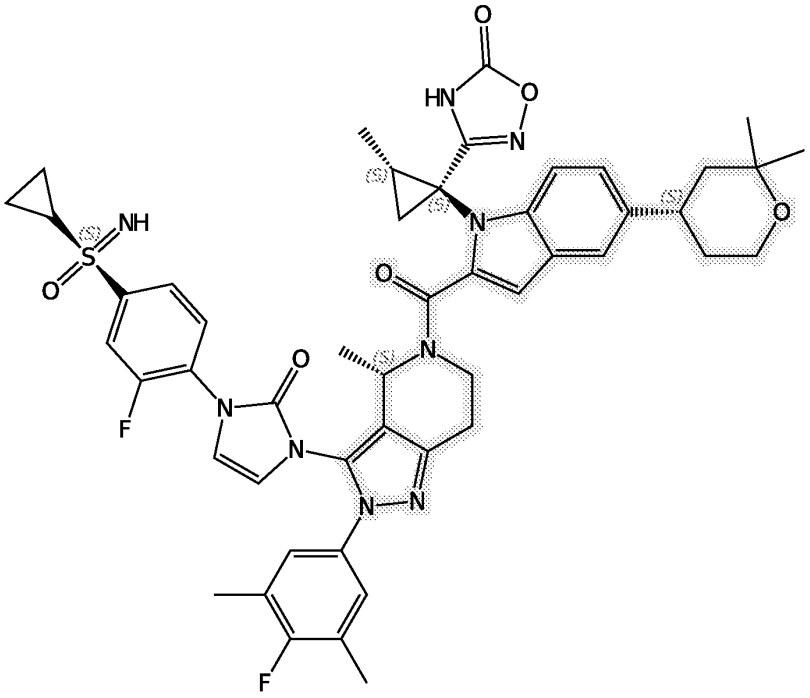
Absolute stereochemistry shown

RN 3054164-58-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



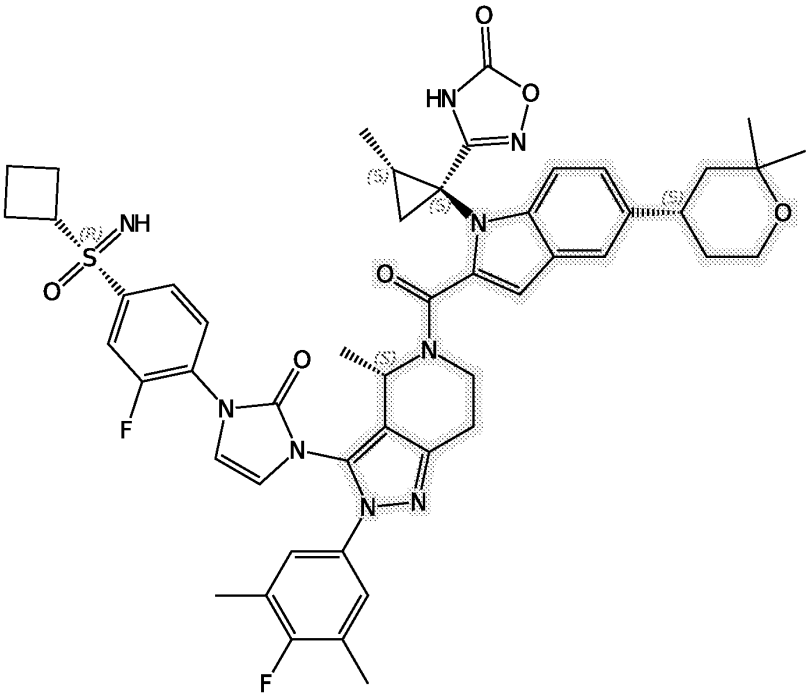
Absolute stereochemistry shown

RN 3054164-59-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



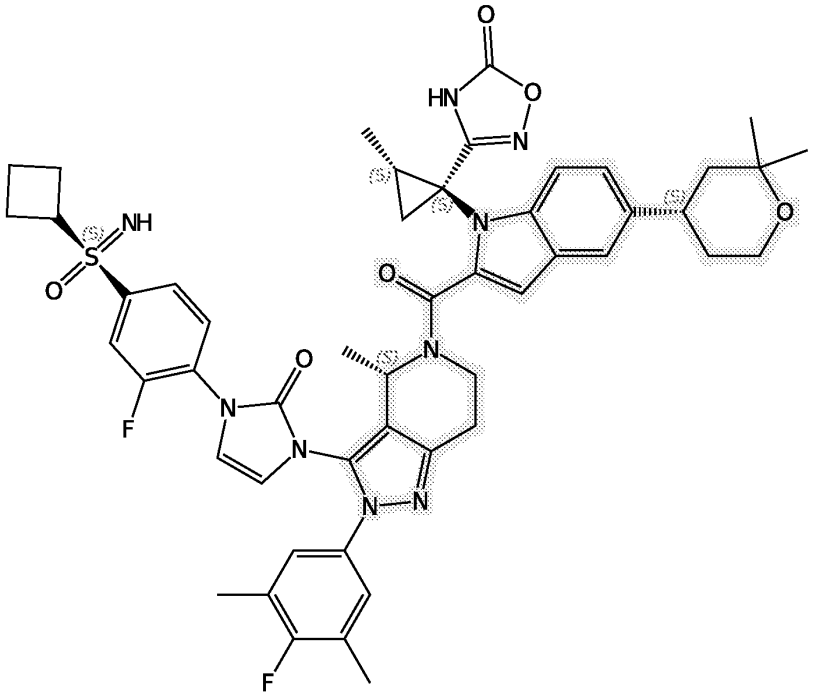
Absolute stereochemistry shown

RN 3054164-60-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



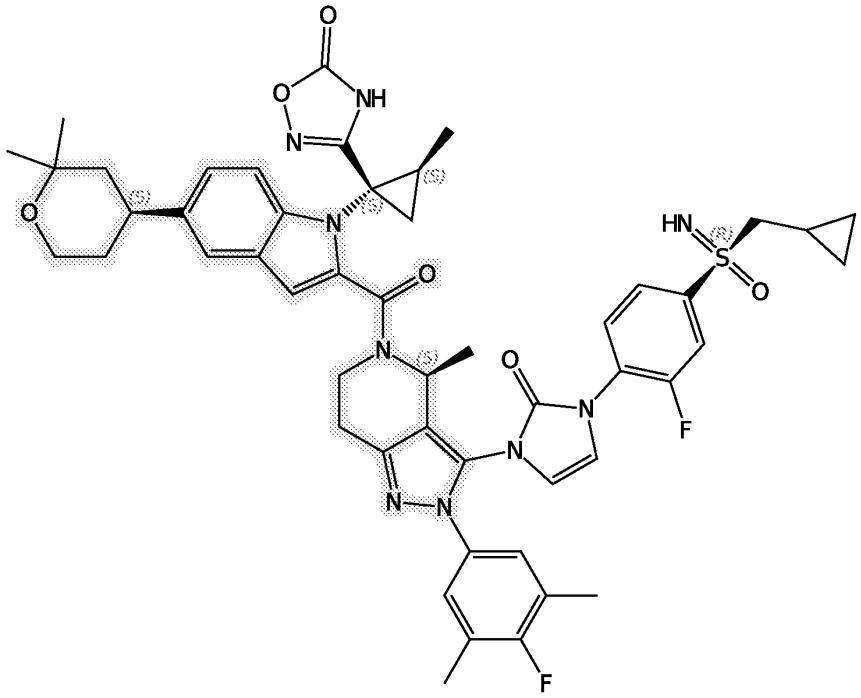
Absolute stereochemistry shown

RN 3054164-61-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



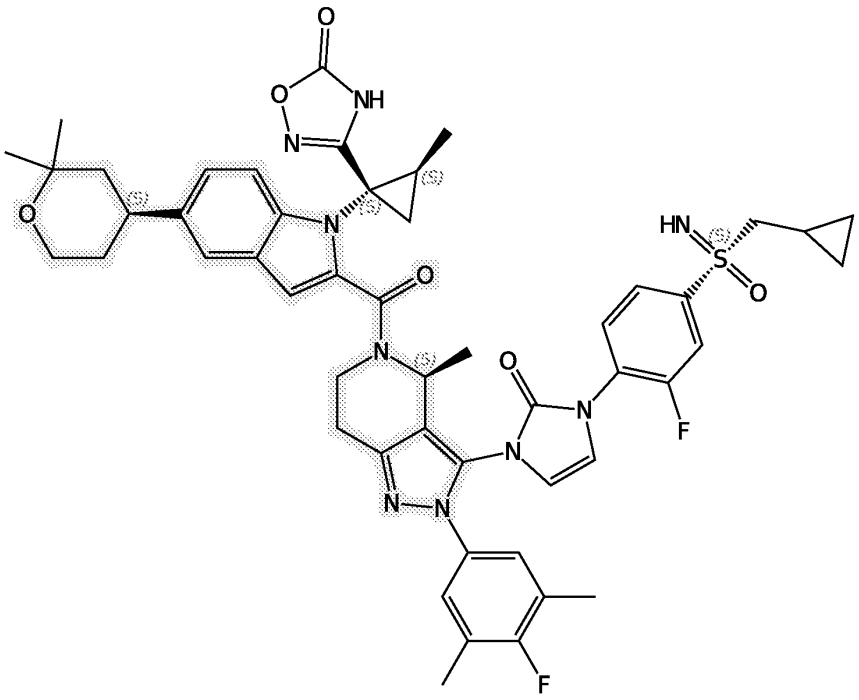
Absolute stereochemistry shown

RN 3054164-62-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



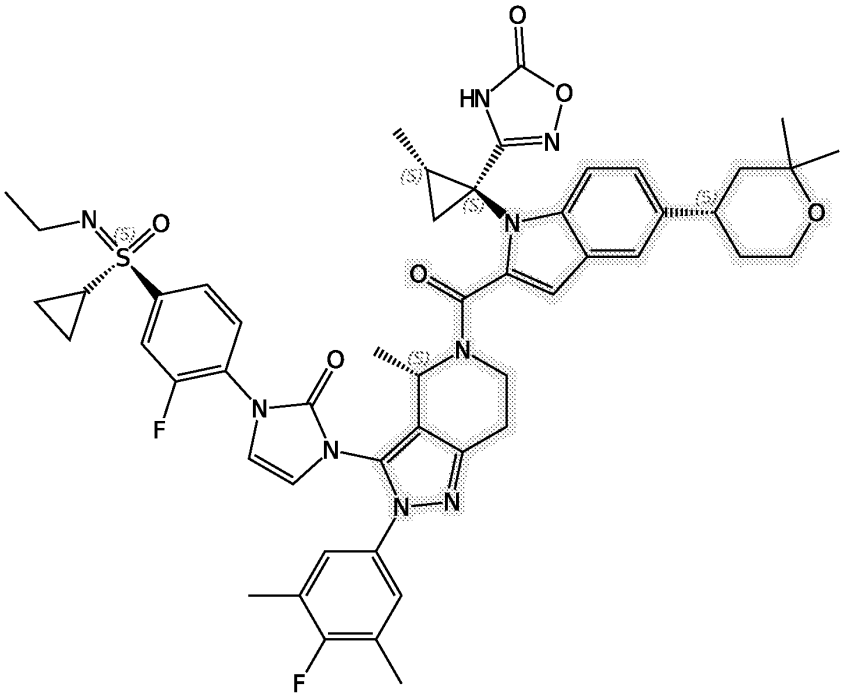
Absolute stereochemistry shown

RN 3054164-63-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



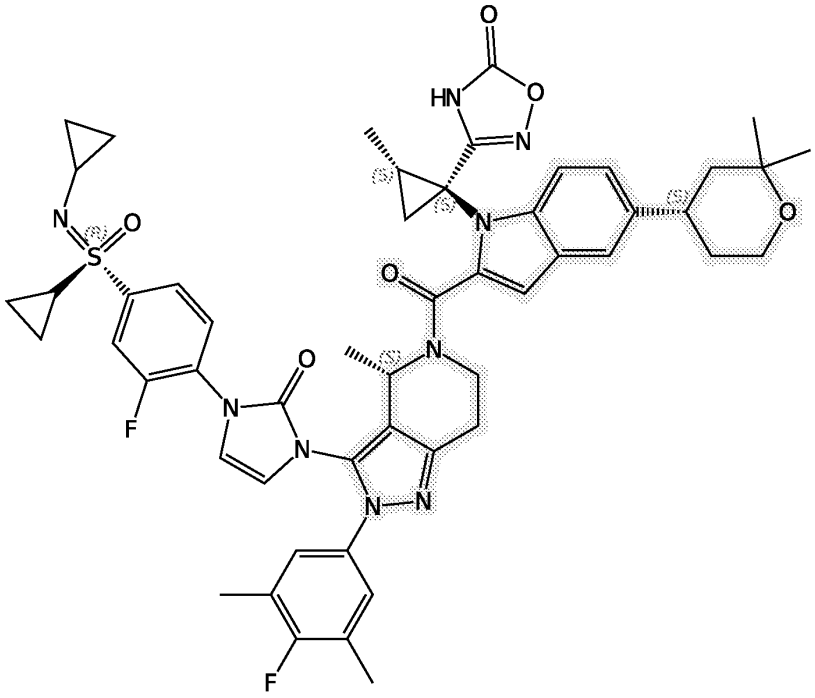
Absolute stereochemistry shown

RN 3054164-64-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



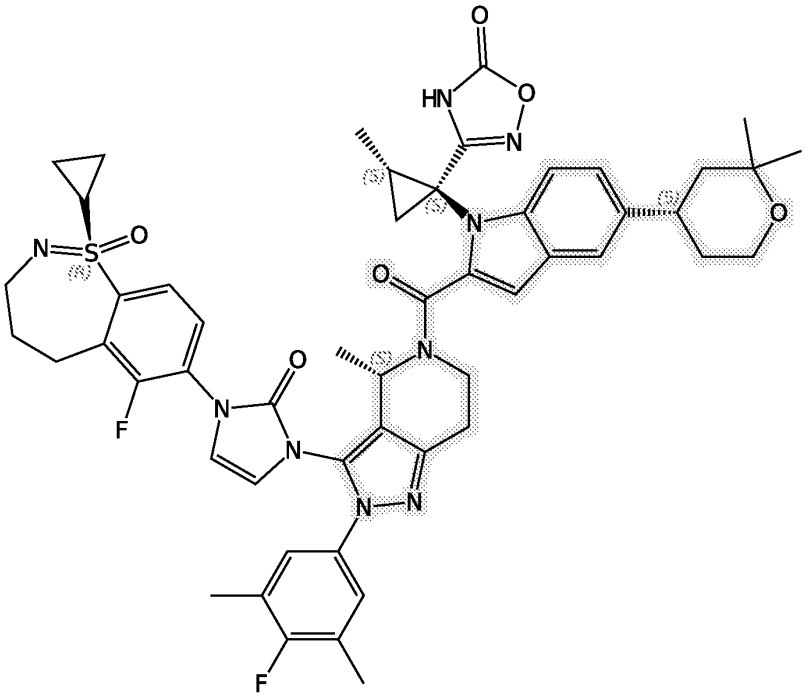
Absolute stereochemistry shown

RN 3054164-65-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



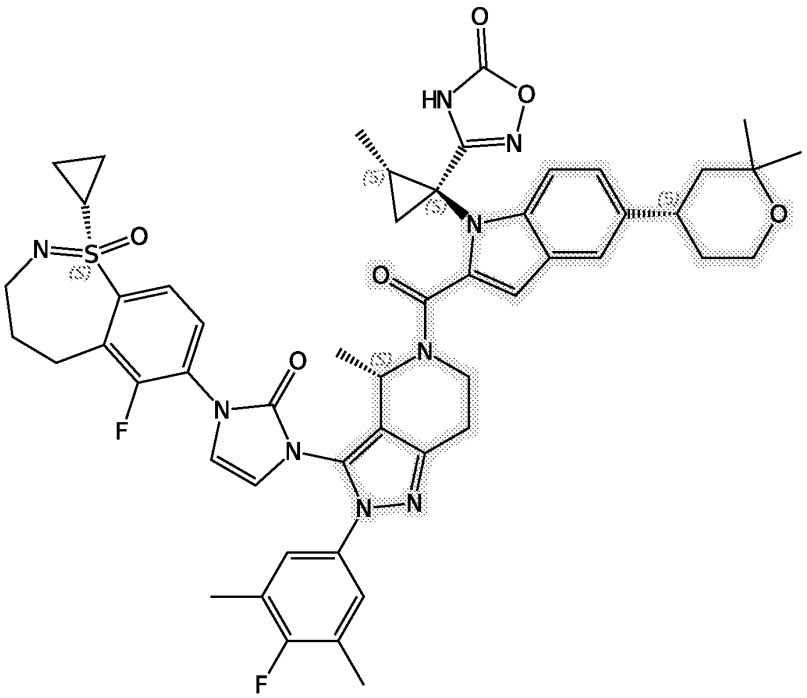
Absolute stereochemistry shown

RN 3054164-66-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



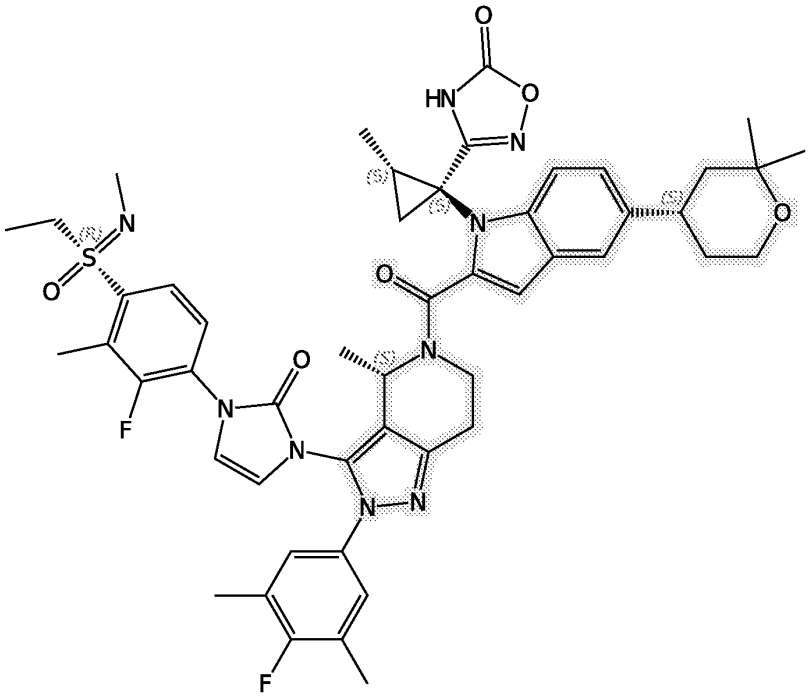
Absolute stereochemistry shown

RN 3054164-67-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



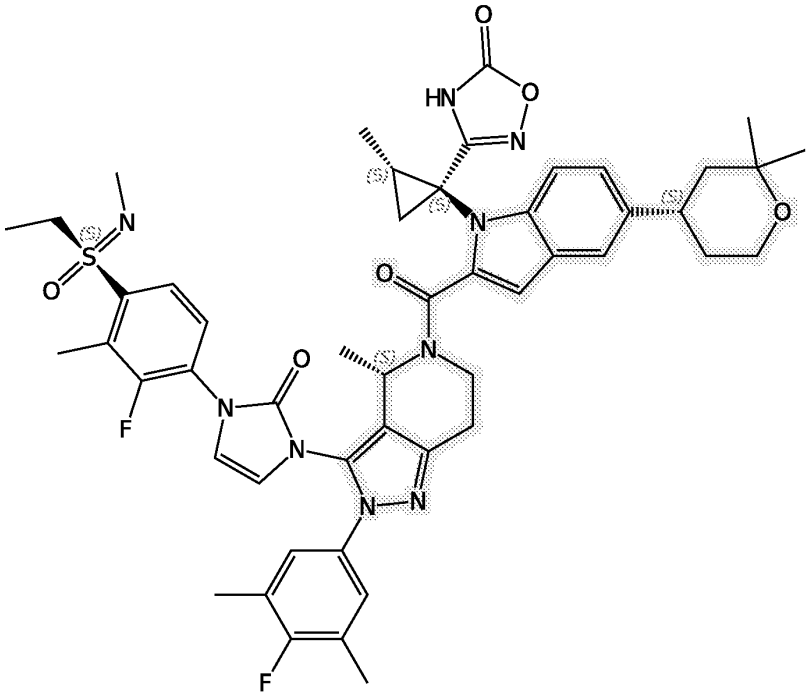
Absolute stereochemistry shown

RN 3054164-68-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



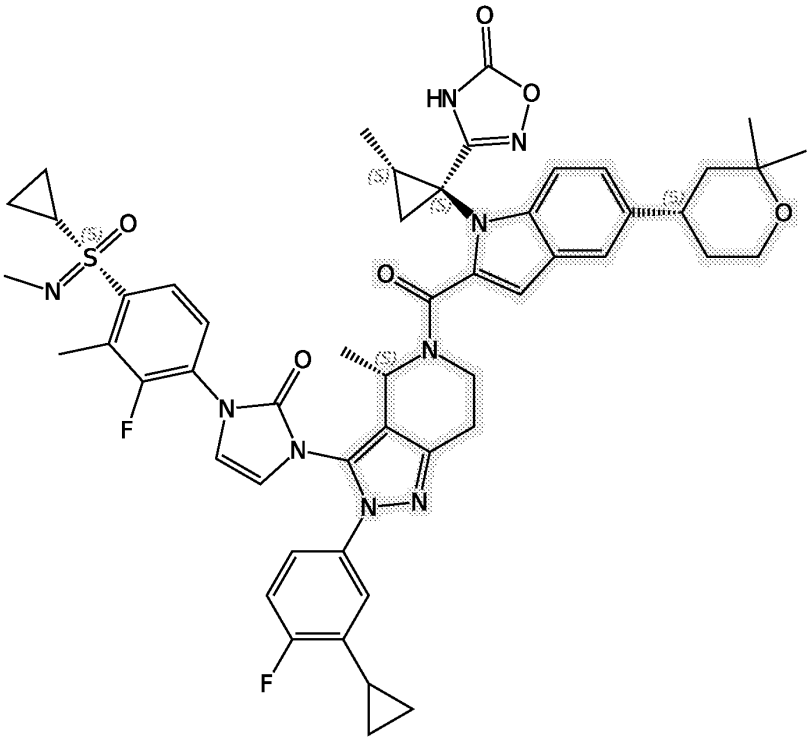
Absolute stereochemistry shown

RN 3054164-69-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



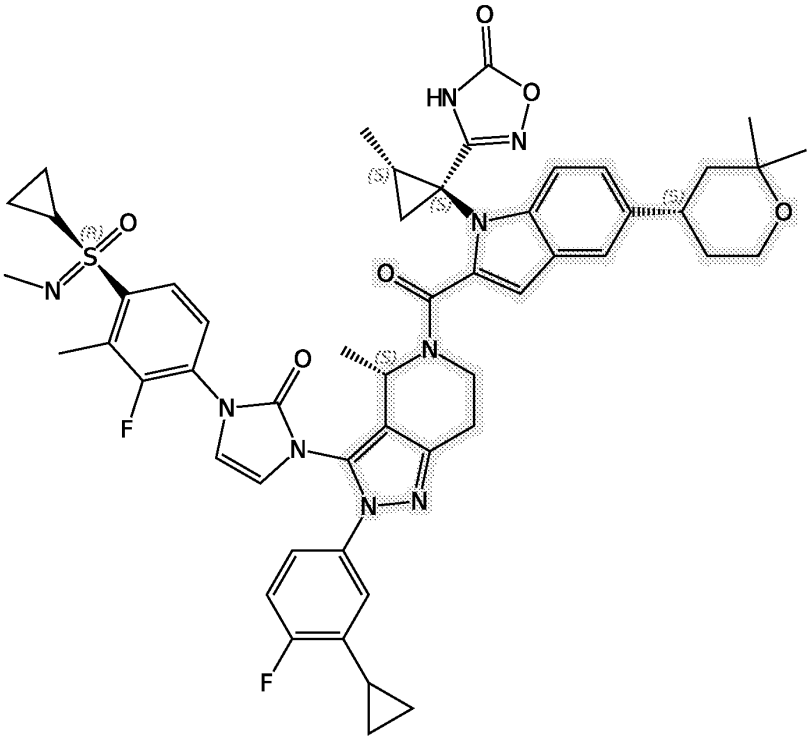
Absolute stereochemistry shown

RN 3054164-70-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



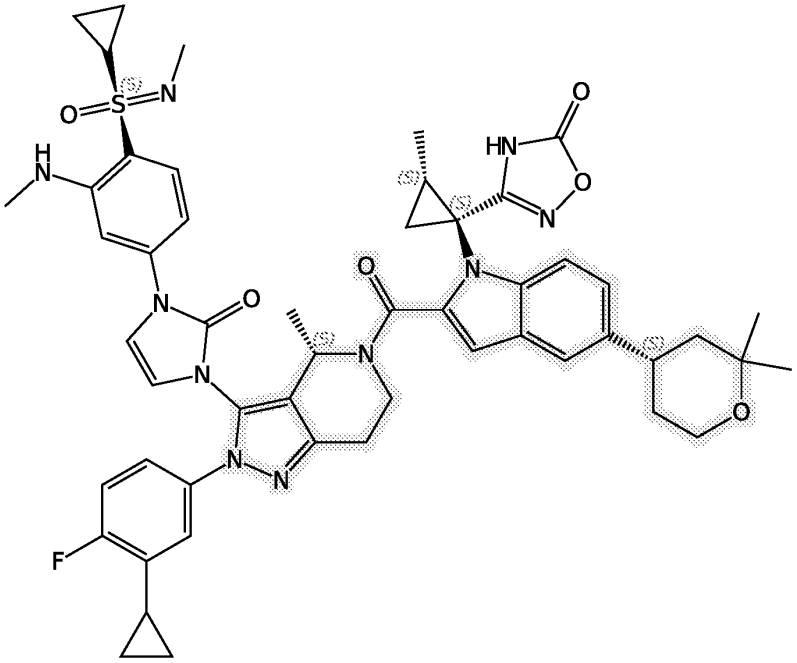
Absolute stereochemistry shown

RN 3054164-71-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



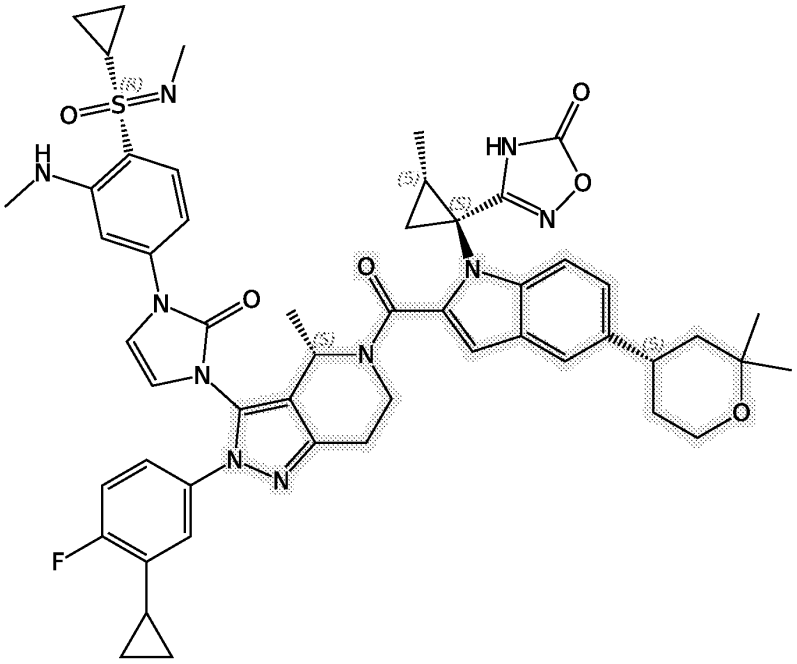
Absolute stereochemistry shown

RN 3054164-72-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



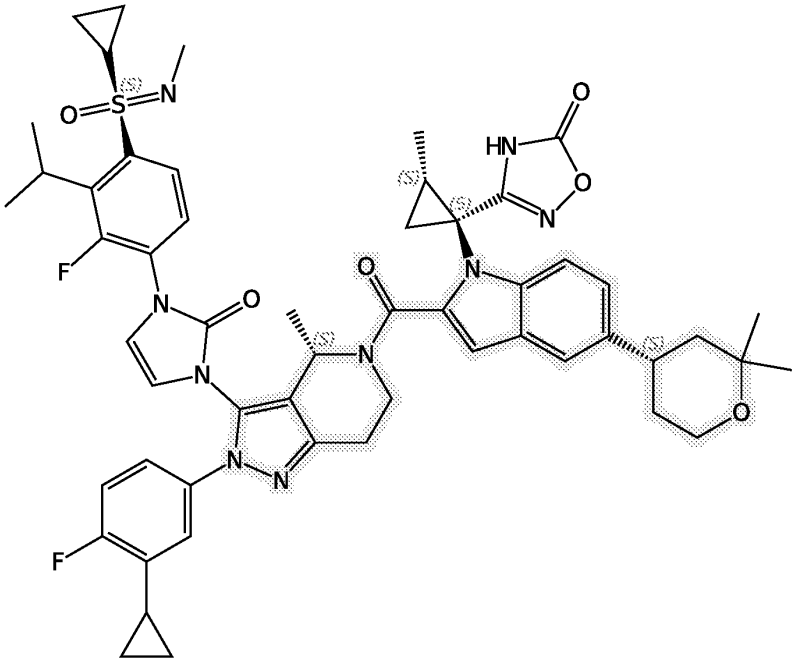
Absolute stereochemistry shown

RN 3054164-73-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



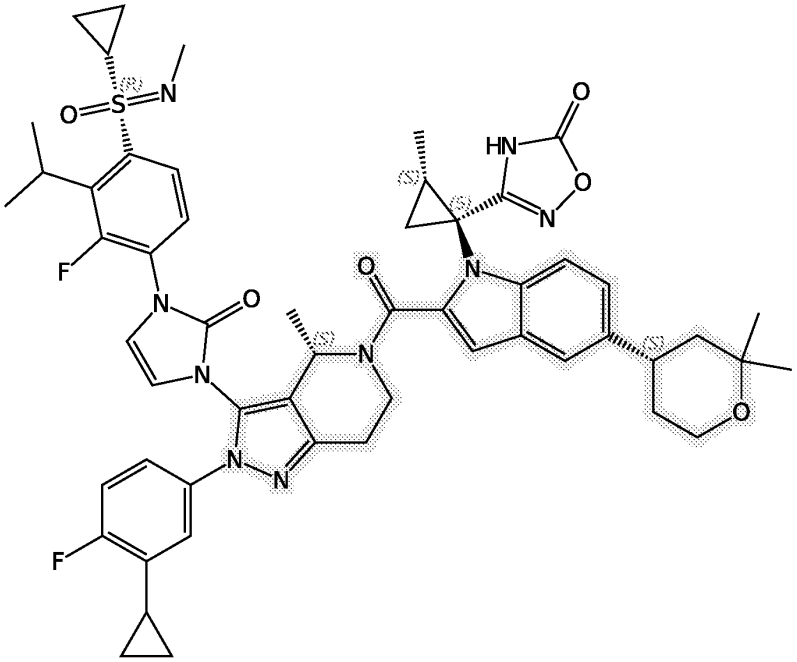
Absolute stereochemistry shown

RN 3054164-74-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



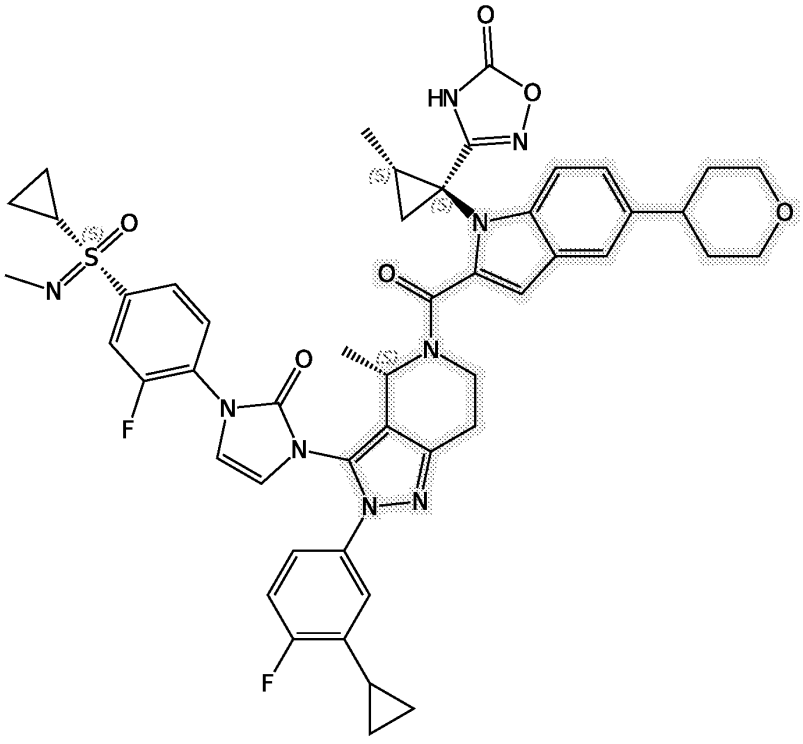
Absolute stereochemistry shown

RN 3054164-75-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



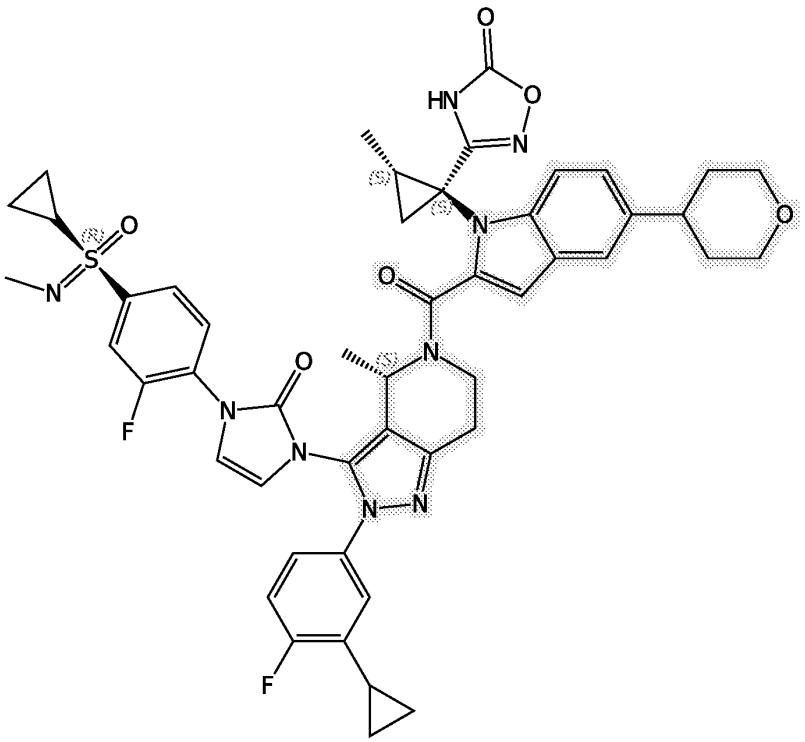
Absolute stereochemistry shown

RN 3054164-76-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



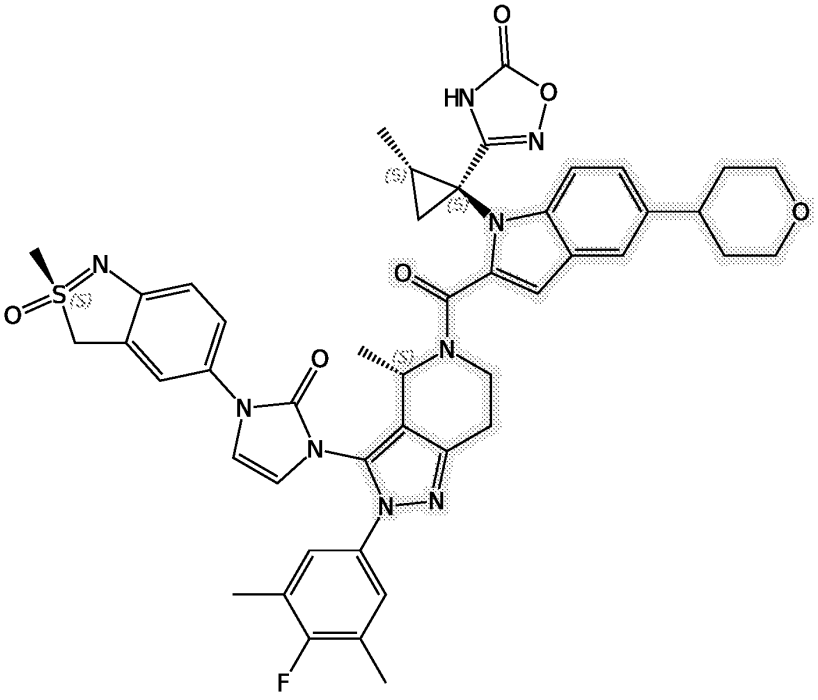
Absolute stereochemistry shown

RN 3054164-77-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



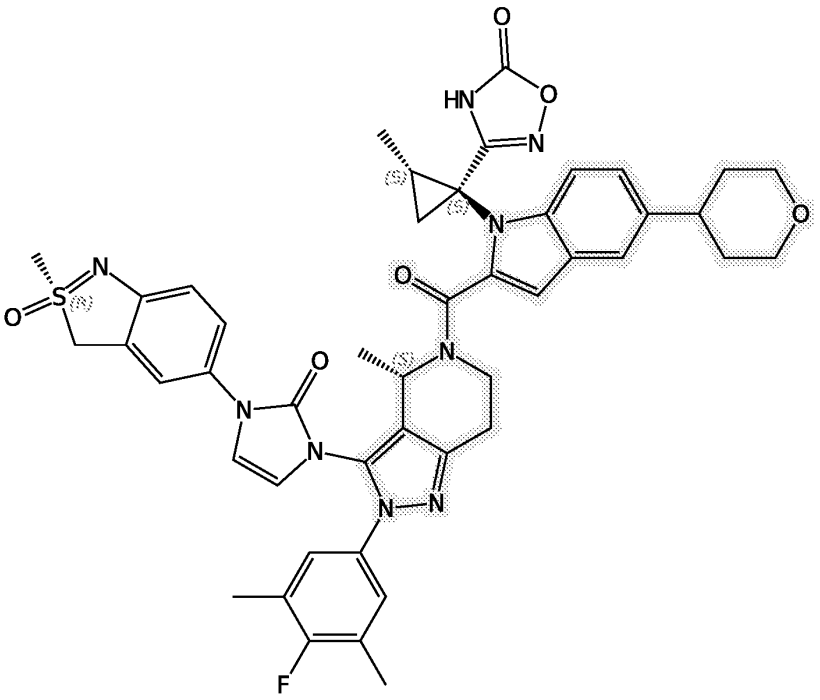
Absolute stereochemistry shown

RN 3054164-78-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



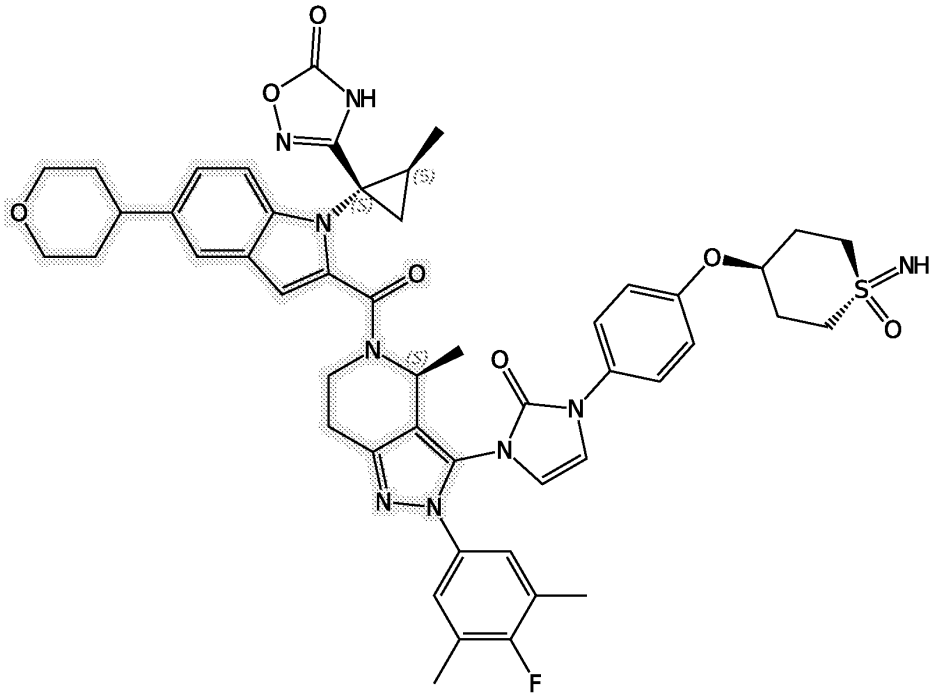
Absolute stereochemistry shown

RN 3054164-79-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



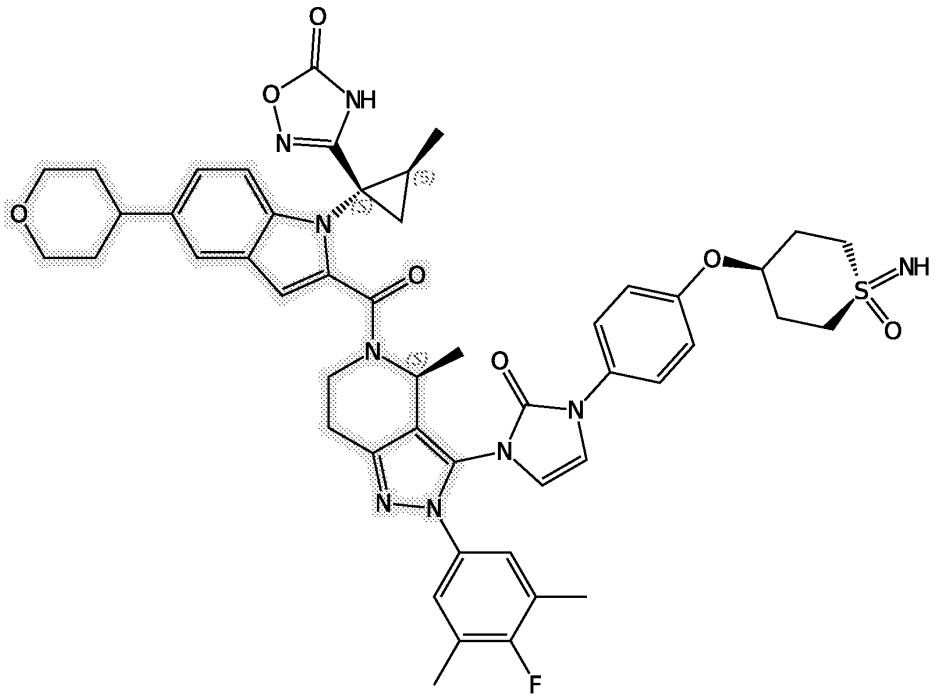
Absolute stereochemistry shown

RN 3054164-80-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



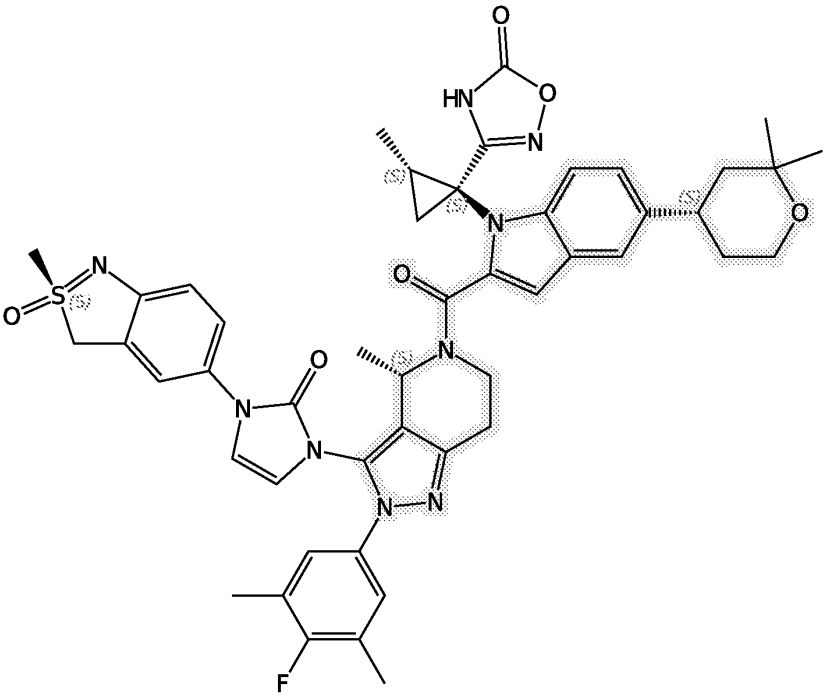
Absolute stereochemistry shown

RN 3054164-81-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



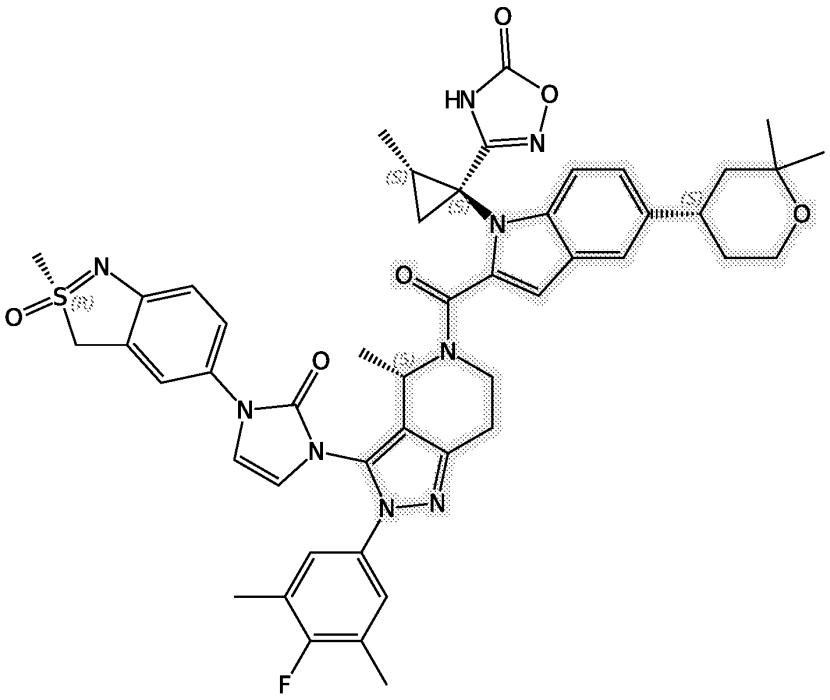
Absolute stereochemistry shown

RN 3054164-82-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



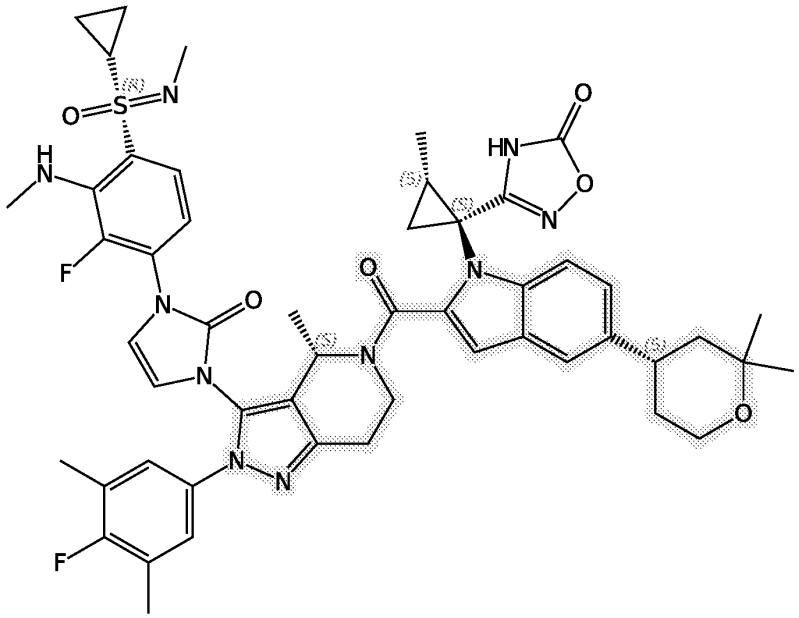
Absolute stereochemistry shown

RN 3054164-83-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



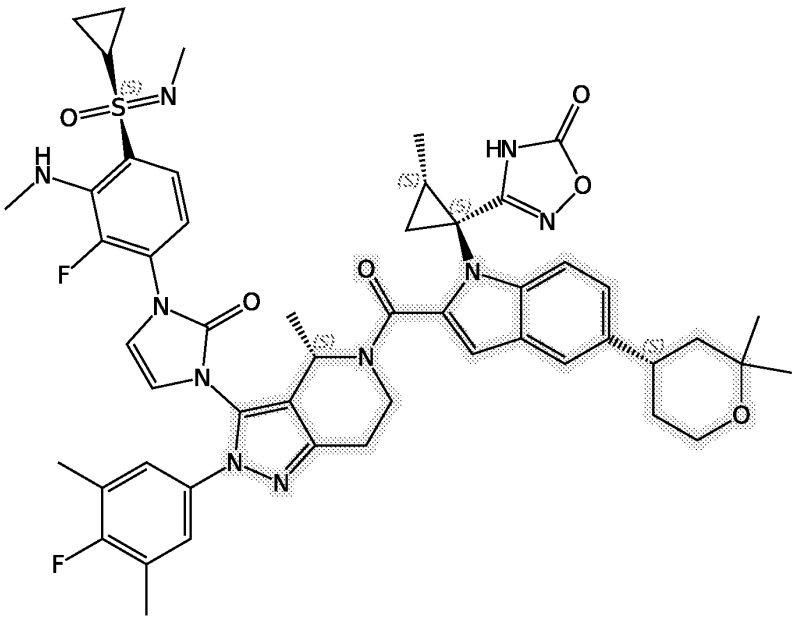
Absolute stereochemistry shown

RN 3054164-84-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



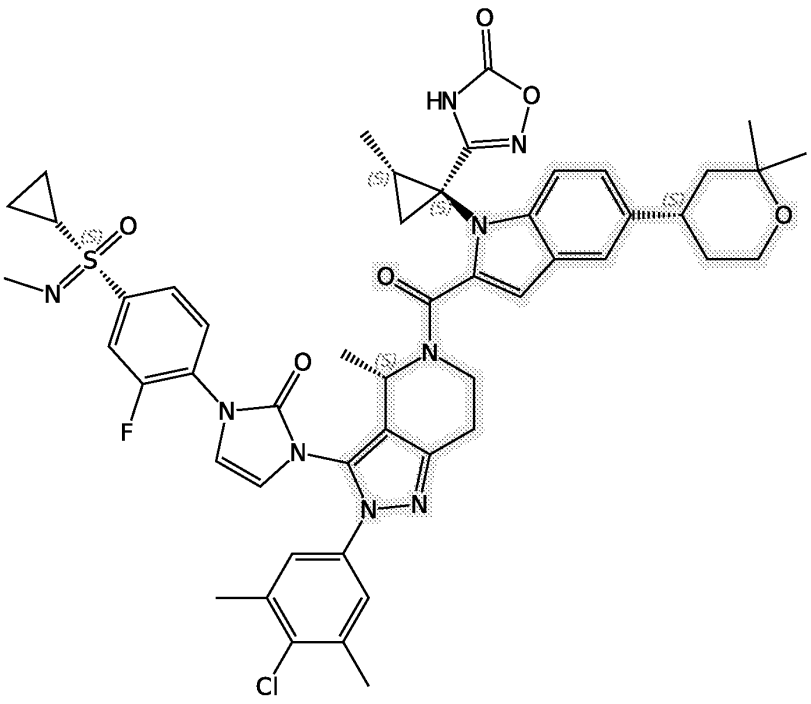
Absolute stereochemistry shown

RN 3054164-85-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



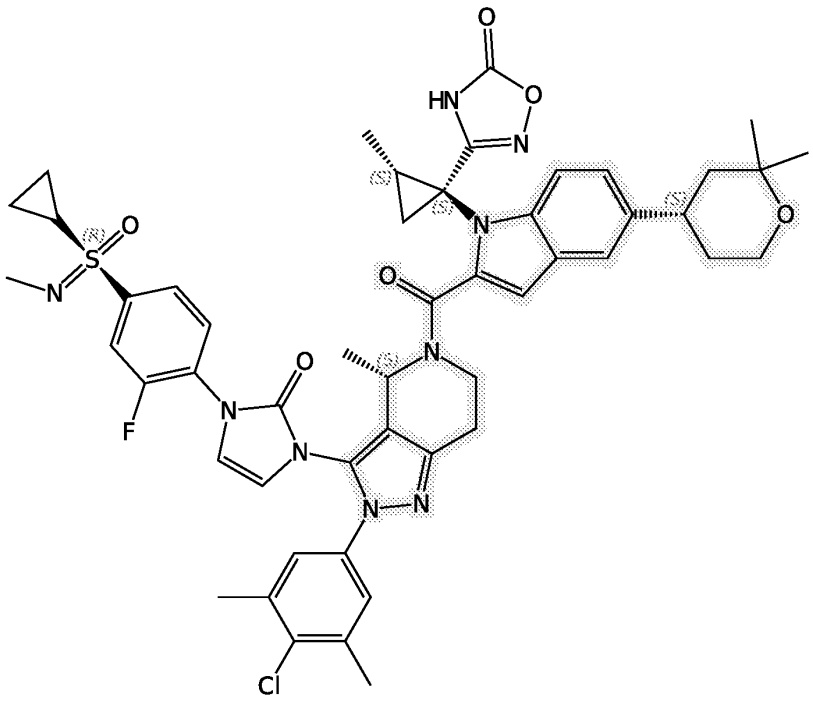
Absolute stereochemistry shown

RN 3054169-32-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



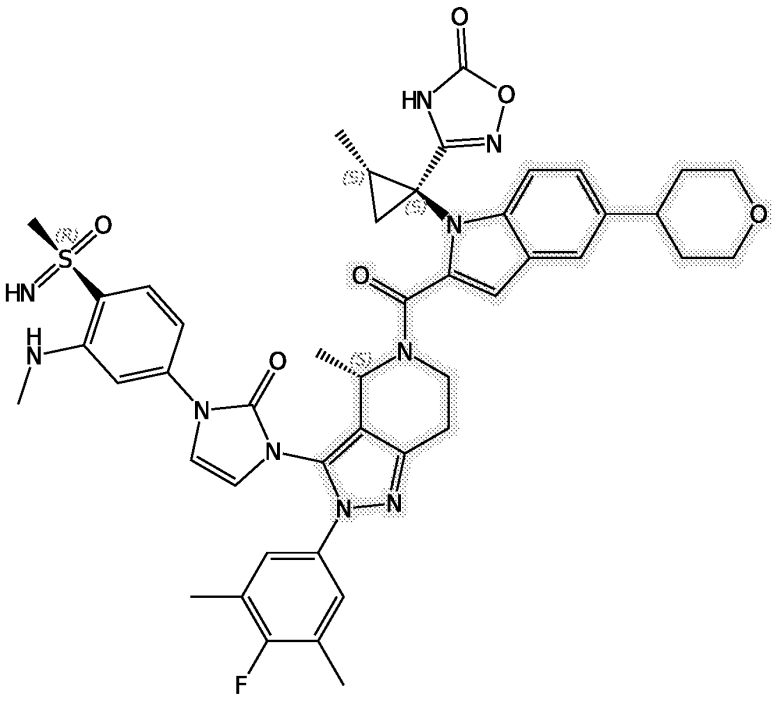
Absolute stereochemistry shown

RN 3054169-33-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



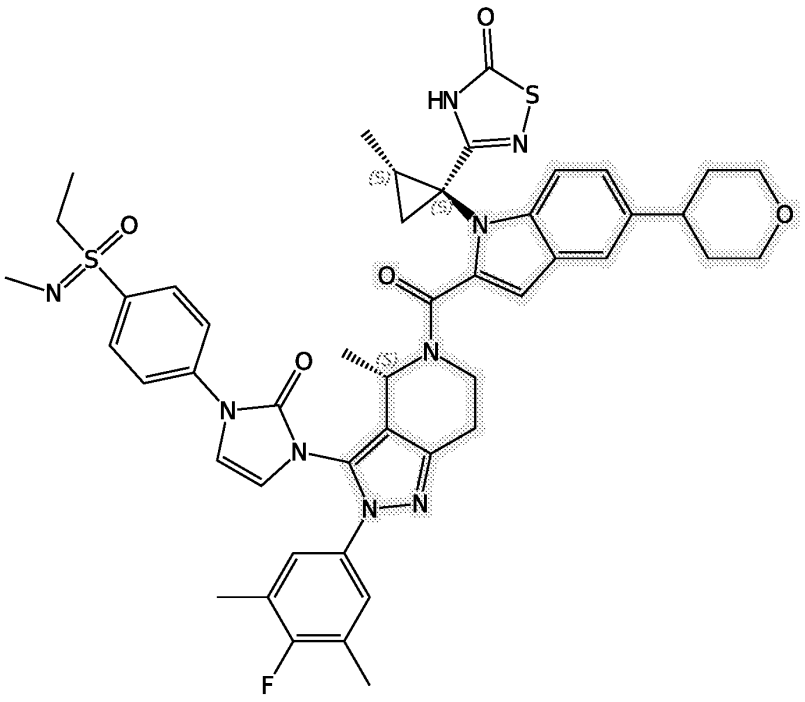
Absolute stereochemistry shown

RN 3054169-34-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



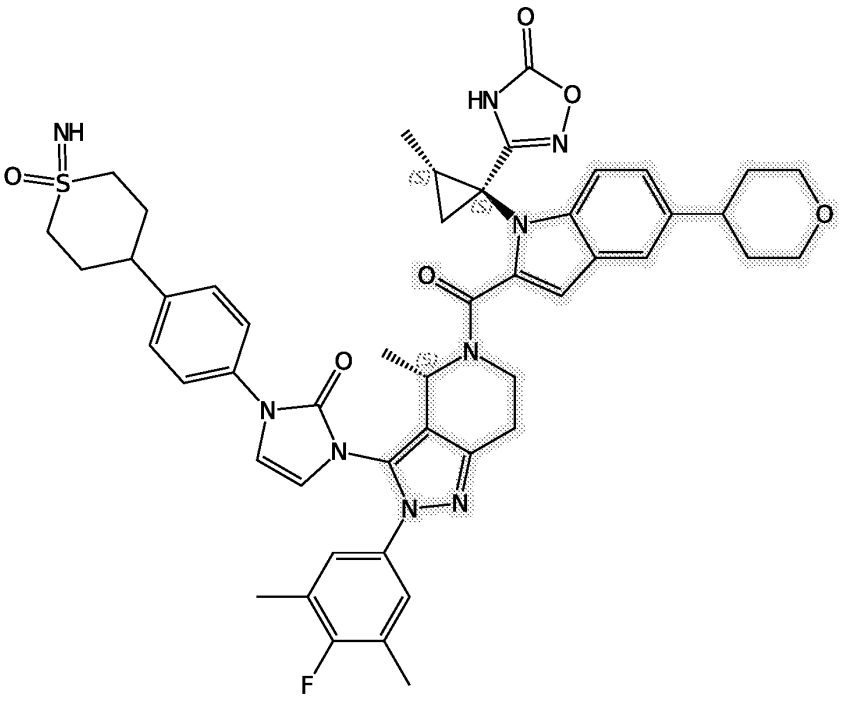
Absolute stereochemistry shown

RN 3054169-35-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



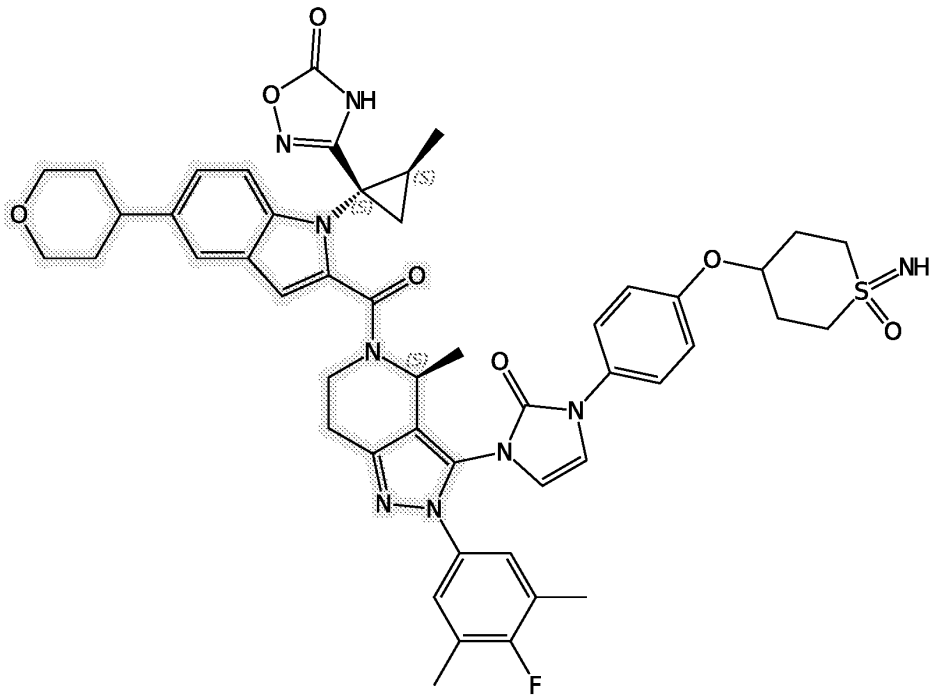
Absolute stereochemistry shown

RN 3054400-25-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



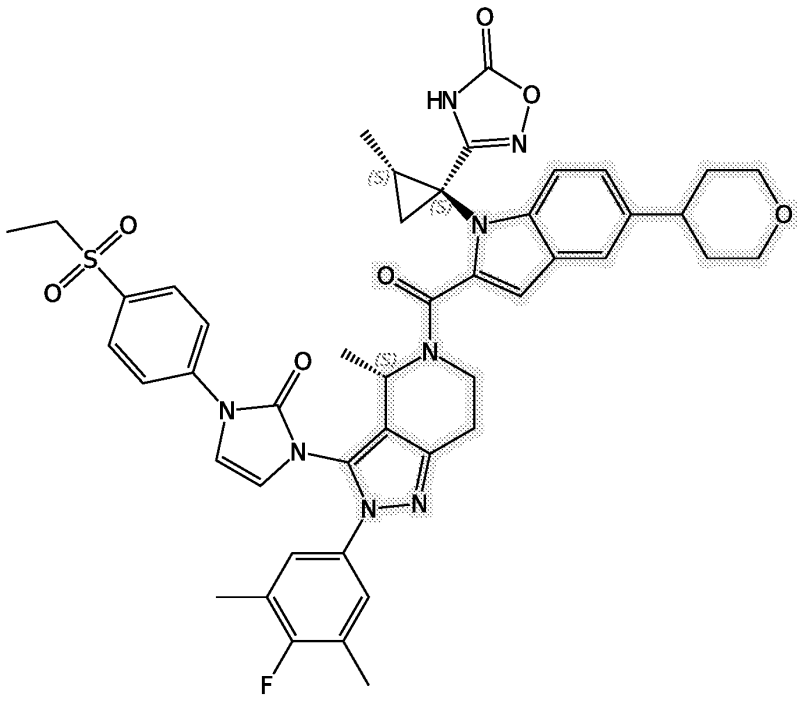
Absolute stereochemistry shown

RN 3054400-26-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



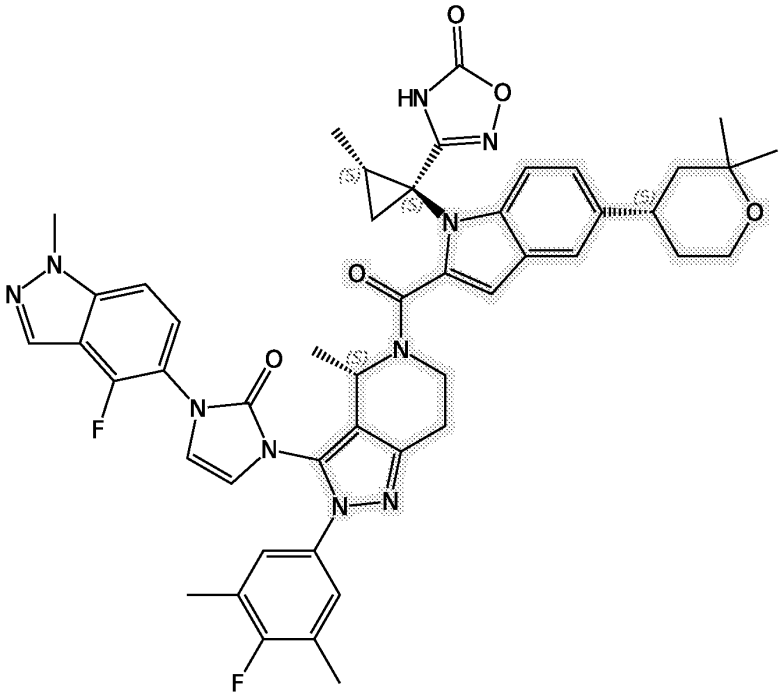
Absolute stereochemistry shown

IT 2212019-91-3 2212020-52-3 2763621-01-6
2763621-03-8 2763621-05-0 3054166-20-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 2212019-91-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(ethylsulfonyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



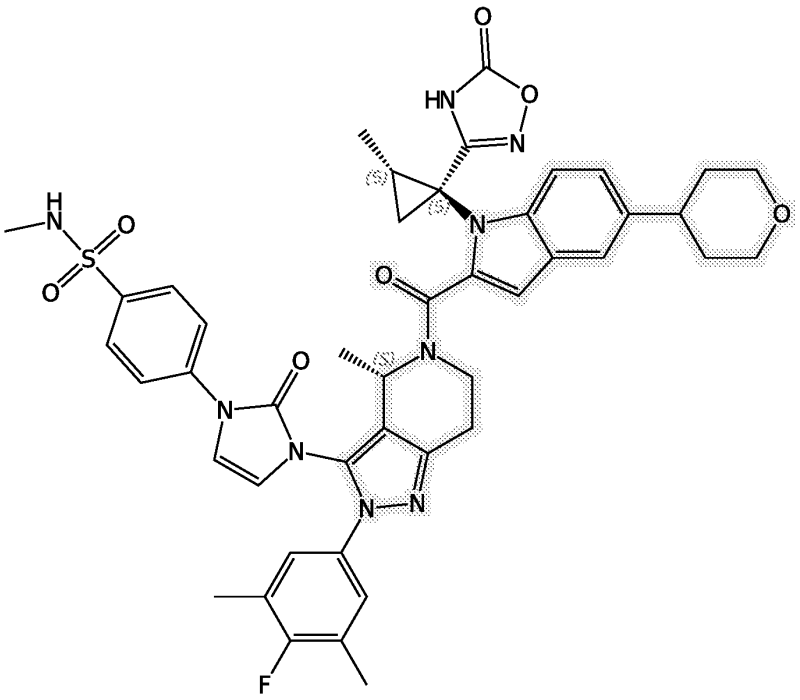
Absolute stereochemistry shown

RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



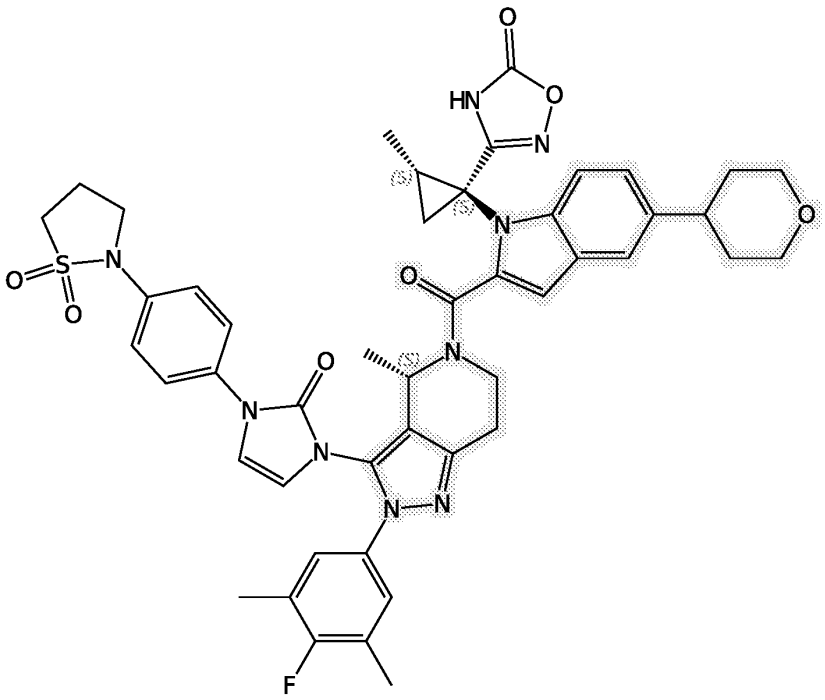
Absolute stereochemistry shown

RN 2763621-01-6 CAPLUS
CN Benzenesulfonamide, 4-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-N-methyl- (CA INDEX NAME)



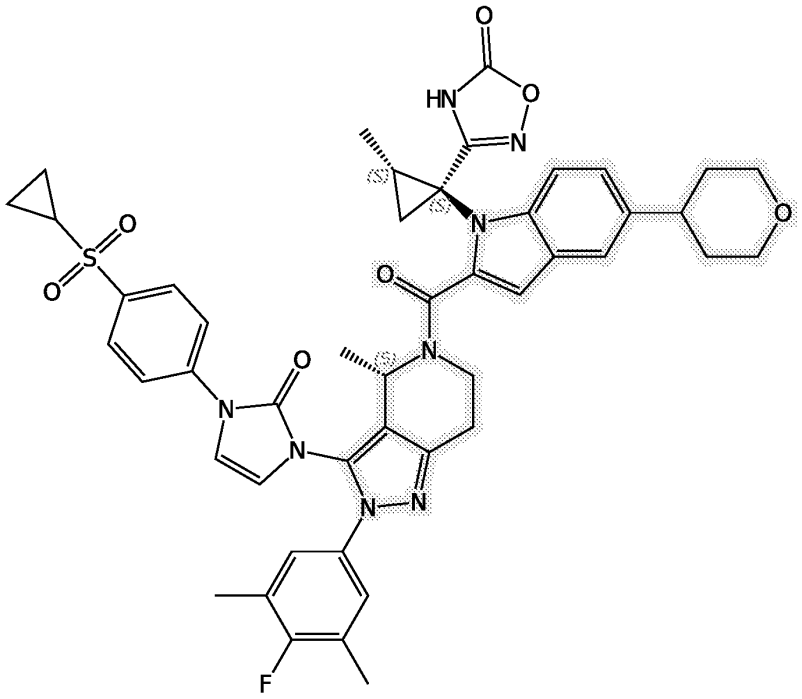
Absolute stereochemistry shown

RN 2763621-03-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(1,1-dioxido-2-isothiazolidinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



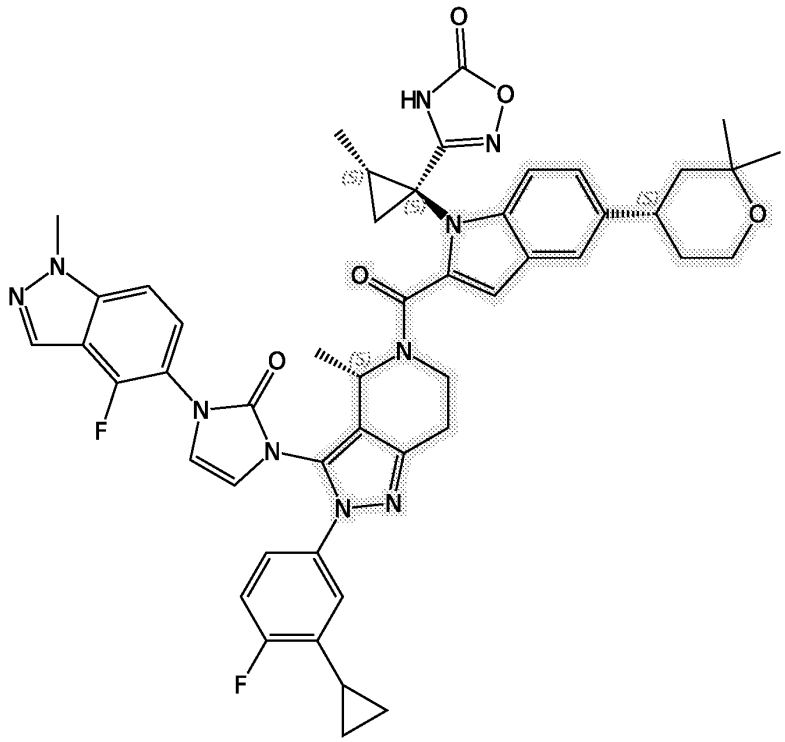
Absolute stereochemistry shown

RN 2763621-05-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(cyclopropylsulfonyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

RN 3054166-20-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(3-cyclopropyl-4-fluoro phenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1 -yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
US 20240327404 A1	US 2024-18628545	Register|Global Dossier
WO 2024169952 A1	WO 2024-CN77096	Register|Global Dossier

L3 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1667110 CAPLUS Full-text
DOCUMENT NUMBER: 187:300819
TITLE: Preparation of 3,4-bis(1H-indol-3-yl)-1H-pyrrole-2,5-dione derivatives, macrocyclic derivatives thereof, and related compounds and methods and compositions for treatment of obesity and diseases associated with fat cell enlargement
INVENTOR(S): Jagtap, Prakash; Pope, Benjamin D.; Basu, Madhumita; Glieberman, Aaron L.; Truex, Connor; Nnah, Prince
PATENT ASSIGNEE(S): MelliCell, Inc., USA
ULTIMATE OWNER: MELLICELL
ULTIMATE OWNER STANDARD: MELLICELL
SOURCE: PCT Int. Appl., 112pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2024159211	A1	20240802	WO 2024-US13317	20240129
PRIORITY APPLN. INFO.:			US 2023-63441716 P	20230127

PATENT STATUS PATENT INFORMATION:

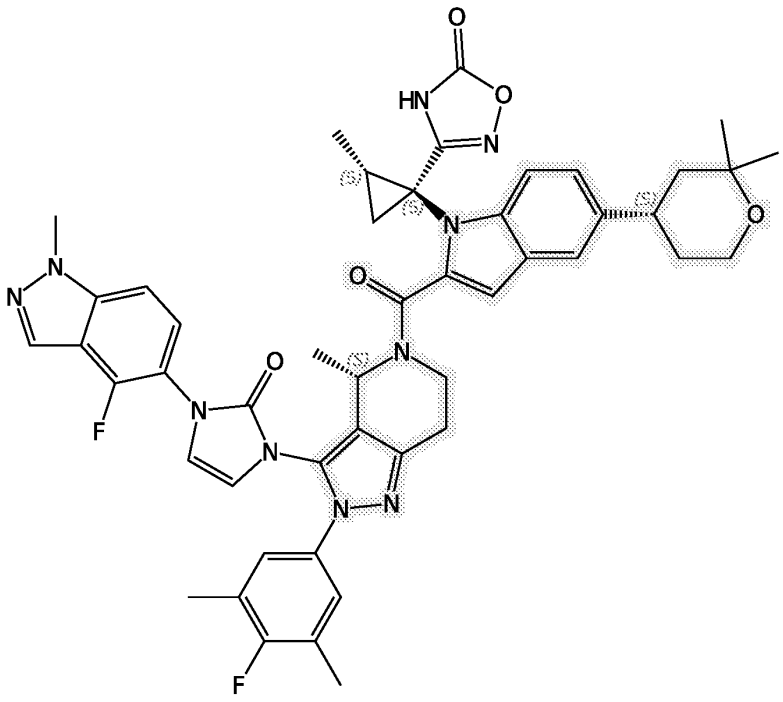
PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024159211	A1	Alive	20240815

AB The present invention provides novel bisindolylmaleimide, indolylmaleimide, triphenylethylene and bisindolylpyrazolone compds. for use in methods of treating fat cell assocd. diseases such as obesity, liposarcoma, and polycystic ovary syndrome (PCOS) by targeting adipocytes to induce adipocyte hypertrophy while minimizing hERG blocker (QTc prolongation) activity. The fluoro substituted secondary amino analogs and prodrugs of the present invention are an effective approach by which properties of these compds. such as drug pharmacokinetics, pharmacodynamics and toxicol. can be modulated. The compds. of the present invention were screened for inhibiting hERG, PKCα, PKCβ1, and PKCβ2 to identify a series of selective PKCβ inhibitors which would shrink fat cells for treating cell assocd. diseases. Thus, tert-Bu 4-[3-(2-methoxy-2-oxoacetyl)indol-1-yl]piperidine-1-carboxylate was cyclocondensed with 2-(1-methylindol-3-yl)acetamide in the presence of potassium tert-butoxide in THF at 45° for 1 h under nitrogen to give 81.0% tert-Bu 4-[3-[4-(1-methylindol-3-yl)-2,5-dioxo-1H-pyrrol-3-yl]indol-1-yl]piperidine-1-carboxylate which was stirred in 4 M HCl/EtOAc at room temp. for 1 h under nitrogen to give 94% 3-(1-methylindol-3-yl)-4-[1-(piperidin-4-yl)indol-3-yl]-1H-pyrrole-2,5-dione hydrochloride (I). The compd. (I) was stirred with 2,2,2-trifluoroethyl trifluoromethanesulfonate in the presence of Et3N in DMF at 75° overnight to give 63.7% 3-(1-methylindol-3-yl)-4-[1-[1-(2,2,2-trifluoroethyl)piperidin-4-yl]indol-3-yl]-1H-pyrrole-2,5-dione (II). The compd. (II) showed IC50 of >30,000, 79.4, 21.8, and 4.16 nM against hERG, PKCα, PKCβ1, and PKCβ2, resp., compared to 21, 0.74, 0.19, and 0.07 nM, resp., for ruboxistaurin (known PKCβ inhibitor).

IT 2212020-52-3, Orforglipron
RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use in combination chemotherapy; prepn. of bis(indolyl)pyrroledione derivs., macrocyclic derivs. thereof, and related compds. as inducers of adipocyte hypertrophy for treatment of fat cell assocd. diseases)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024159211 A1	WO 2024-US13317	Register|Global Dossier

L3 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1612998 CAPLUS Full-text
DOCUMENT NUMBER: 187:267325
TITLE: Crystalline forms of N-[4-[4-(4-Morpholinyl)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]-4-[[3(R)-[(1-oxo-2-propen-1-yl)amino]-1-piperidinyl]methyl]-2-pyridinecarboxamide as a covalent inhibitor of menin-MLL interaction
INVENTOR(S): Puppali, Satish Goud; Palmer, James T.; Kirschberg, Thorsten A.; Wong, Angelina Sau Man; Li, Jay; Lin, Ming; Gao, Ming; Li, Shuang; Gu, Yuyao; He, Hongyan; Zheng, Bo; Zhou, Yanjing; You, Mei; Ding, Junlu; Qiu, Yihong; Tan, Heow Meng
PATENT ASSIGNEE(S): Biomea Fusion, Inc., USA
ULTIMATE OWNER: BIOMEA FUSION, INC
ULTIMATE OWNER STANDARD: BIOMEA FUSION, INC
SOURCE: PCT Int. Appl., 187pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024155719	A1	20240725	WO 2024-US11848		20240117
US 20240300950	A1	20240912	US 2024-18427226		20240130
PRIORITY APPLN. INFO.:			US 2023-63480443	P	20230118
			US 2023-63483648	P	20230207
			US 2023-63486405	P	20230222
			US 2023-63492404	P	20230327

US 2023-63579754 P 20230830
WO 2024-US11848 A1 20240117

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024155719	A1	Alive	20240801
US 20240300950	A1	Alive	20240919

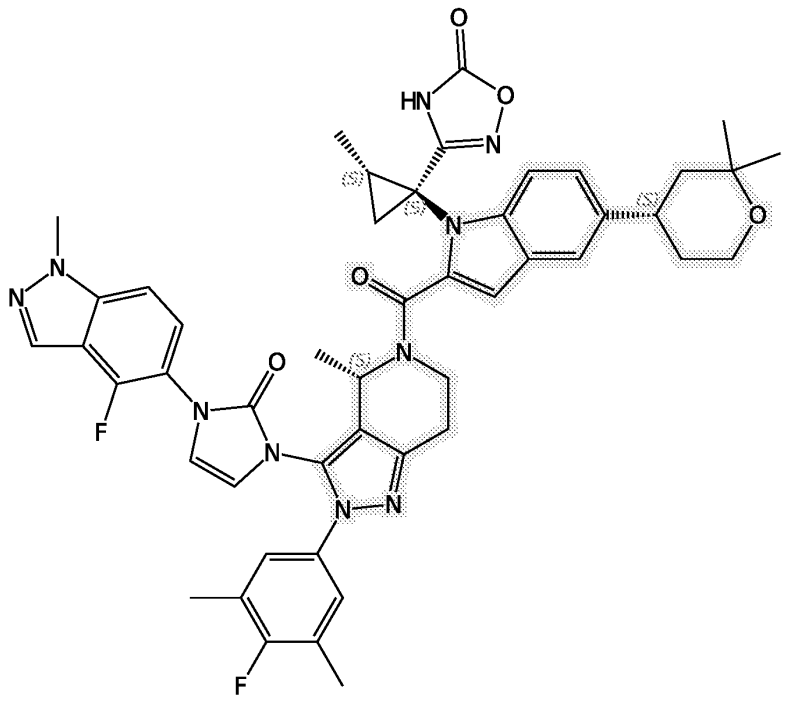
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Described herein is N-[4-[4-(4-morpholinyl)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]-4-[[3(R)-[(1-oxo-2-propen-1-yl)amino]-1-piperidinyl]methyl]-2-pyridinecarboxamide (Compd. A) (Formula I), including cryst. forms, solvates, and pharmaceutically acceptable salts thereof. Also disclosed are pharmaceutical compns. or pharmaceutical formulations that include the compd., as well as methods of using the compd., alone or in combination with other therapeutic agents, for the treatment of autoimmune diseases or conditions, heteroimmune diseases or conditions, cancer, including lymphoma, diabetes, and inflammatory diseases or conditions.

IT 2212020-52-3, Orforglipron
RL: SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cryst. forms of N-[4-[4-(4-Morpholinyl)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]-4-[[3(R)-[(1-oxo-2-propen-1-yl)amino]-1-piperidinyl]methyl]-2-pyridinecarboxamide as a covalent inhibitor of menin-MLL interaction)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
US 20240300950 A1	US 2024-18427226	Register|Global Dossier
WO 2024155719 A1	WO 2024-US11848	Register|Global Dossier

L3 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN

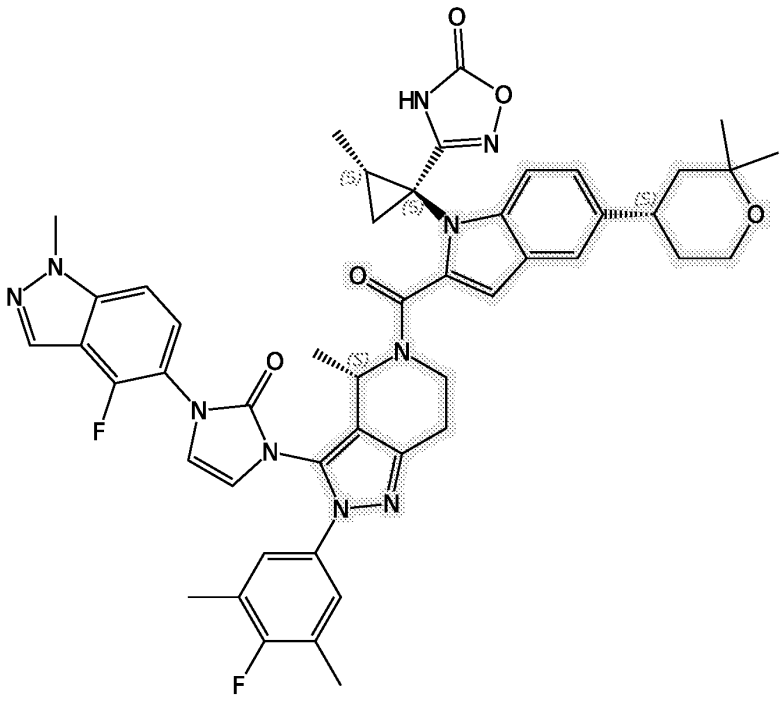
ACCESSION NUMBER: 2024:1612964 CAPLUS Full-text
DOCUMENT NUMBER: 187:241026
TITLE: Crystalline forms of n-[4-[4-(4-morpholinyl)-7h-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]-4-[[3(r)-[(1-oxo-2-propen-1-yl)amino]-1-piperidinyl]methyl]-2-pyridinecarboxamide and its therapeutic use
INVENTOR(S): Puppali, Satish Goud; Palmer, James T.; Kirschberg, Thorsten A.; Wong, Angelina Sau Man; Tan, Heow Meng; Li, Jay; Lin, Ming; Gao, Ming; Ding, Junlu; Li, Shuang; Gu, Yuyao; He, Hongyan; Zheng, Bo; Zhou, Yanjing; You, Mei; Qiu, Yihong
PATENT ASSIGNEE(S): Biomea Fusion, Inc., USA
ULTIMATE OWNER: BIOMEA FUSION, INC
ULTIMATE OWNER STANDARD: BIOMEA FUSION, INC
SOURCE: PCT Int. Appl., 405pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024155710	A1	20240725	WO 2024-US11830		20240117
PRIORITY APPLN. INFO.:			US 2023-63480443	P	20230118
			US 2023-63483648	P	20230207
			US 2023-63486405	P	20230222
			US 2023-63492404	P	20230327
			US 2023-63579754	P	20230830

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024155710	A1	Alive	20240801

AB Described herein is N-[4-[4-(4-morpholinyl)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]-4-[[3(R)-[(1-oxo-2-propen-1-yl)amino]-1-piperidinyl]methyl]-2-pyridinecarboxamide (Compd. A) (Formula I), including cryst. forms, solvates, and pharmaceutically acceptable salts thereof. Also disclosed are pharmaceutical compns. or pharmaceutical formulations that include the compd., as well as methods of using the compd., alone or in combination with other therapeutic agents, for the treatment of autoimmune diseases or conditions, heteroimmune diseases or conditions, cancer, including lymphoma, diabetes, and inflammatory diseases or conditions.
IT 2212020-52-3, Orforglipron
RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cryst. forms of n-[4-[4-(4-morpholinyl)-7h-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]-4-[[3(r)-[(1-oxo-2-propen-1-yl)amino]-1-piperidinyl]methyl]-2-pyridinecarboxamide and its therapeutic use)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024155710 A1	WO 2024-US11830	Register|Global Dossier

L3 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1603652 CAPLUS Full-text
DOCUMENT NUMBER: 187:252375
TITLE: Heterocyclic ketones as GLP-1R agonists and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Xu, Hua; Zhou, Chenglin; Zhao, Xingdong; Wang, Weibo
PATENT ASSIGNEE(S): Fochon Biosciences, Ltd., Peop. Rep. China
ULTIMATE OWNER: SHANGHAI FOSUN PHARMACEUTICAL (GROUP) CO., LTD.
ULTIMATE OWNER STANDARD: Fosun Pharma
SOURCE: PCT Int. Appl., 73pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024153070	A1	20240725	WO 2024-CN72529		20240116
PRIORITY APPLN. INFO.:			WO 2023-CN72748	A	20230117
			WO 2023-CN85615	A	20230331
			WO 2023-CN93742	A	20230512

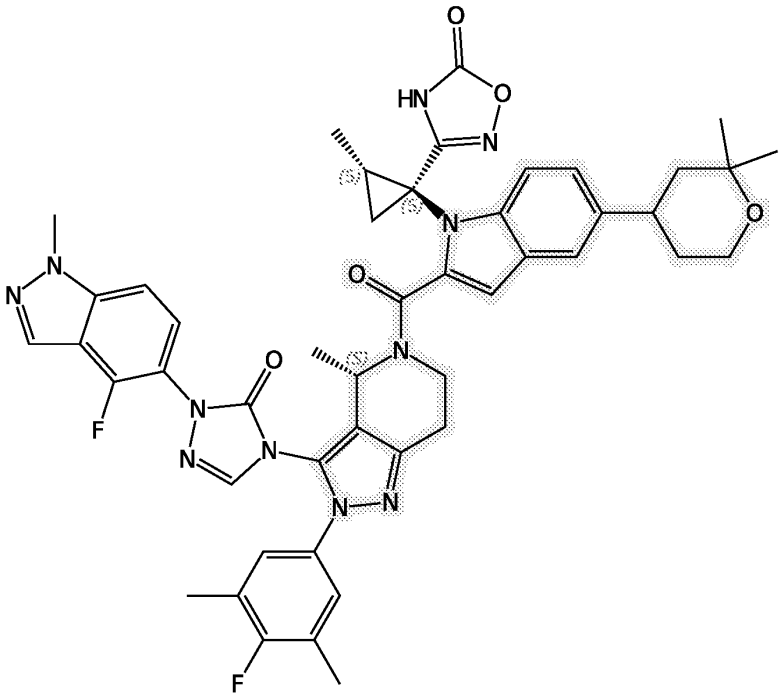
PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024153070	A1	Alive	20240801

AB Provided are certain GLP-1R agonists of heterocyclic ketones, pharmaceutical compns. thereof, and methods of use thereof. The invention compds. were prepd. by given steps. All the invention compds. were evaluated for their GLP-1R inhibitory activity.

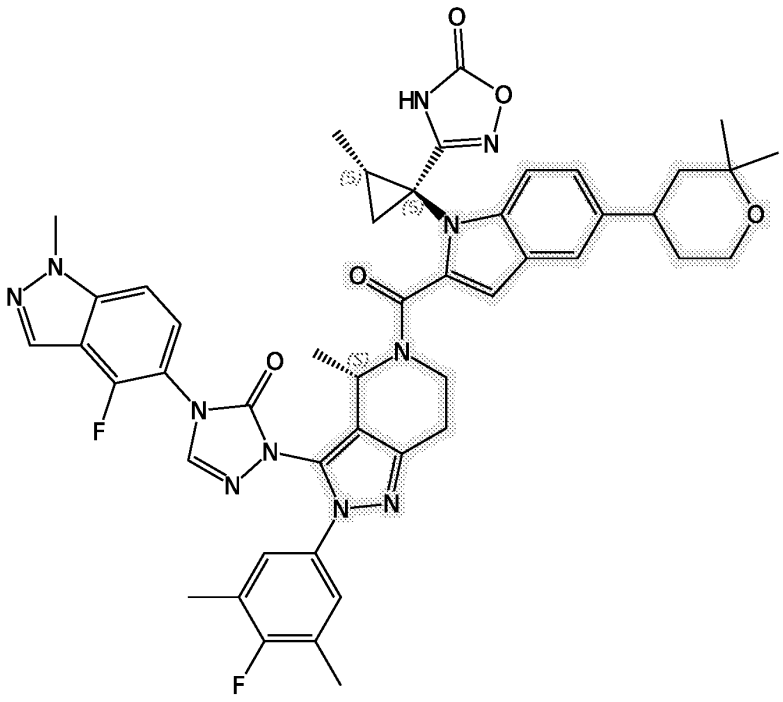
IT 3051607-09-8P 3051607-18-9P 3051607-21-4P
3051607-24-7P 3051607-26-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the treatment of diseases)

RN 3051607-09-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[1-(4-fluoro-1-methyl-1H-indazol-5-yl)-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



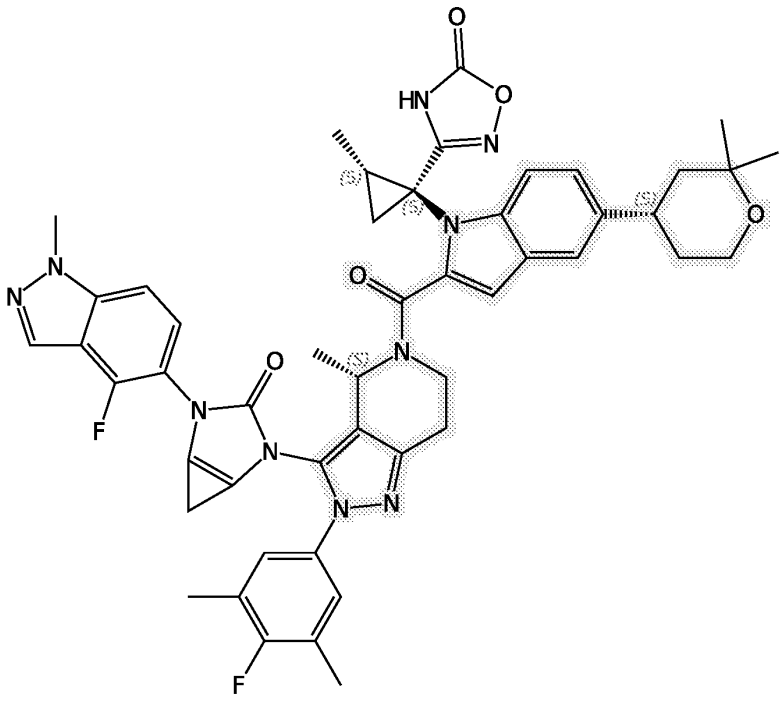
Absolute stereochemistry shown

RN 3051607-18-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[4-(4-fluoro-1-methyl-1H-indazol-5-yl)-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

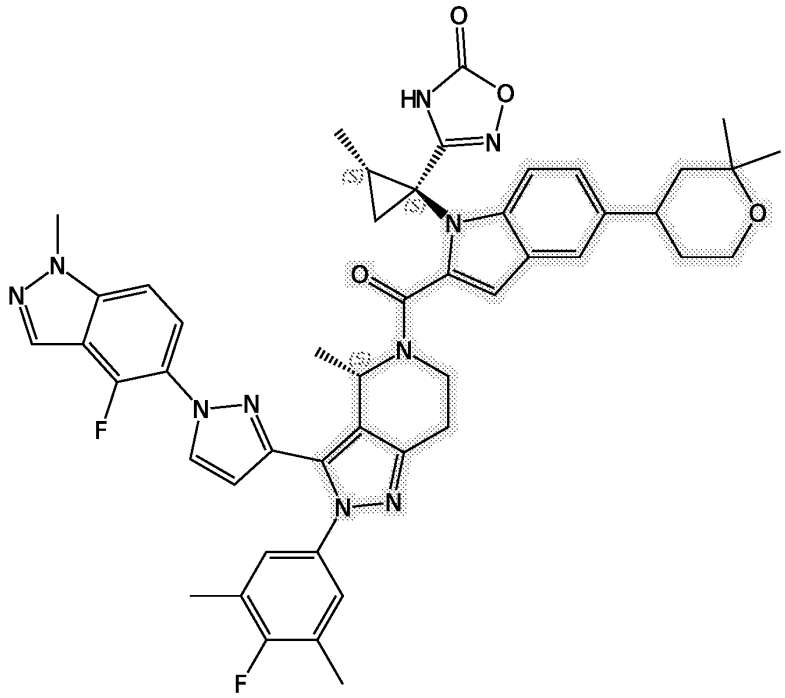
RN 3051607-21-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

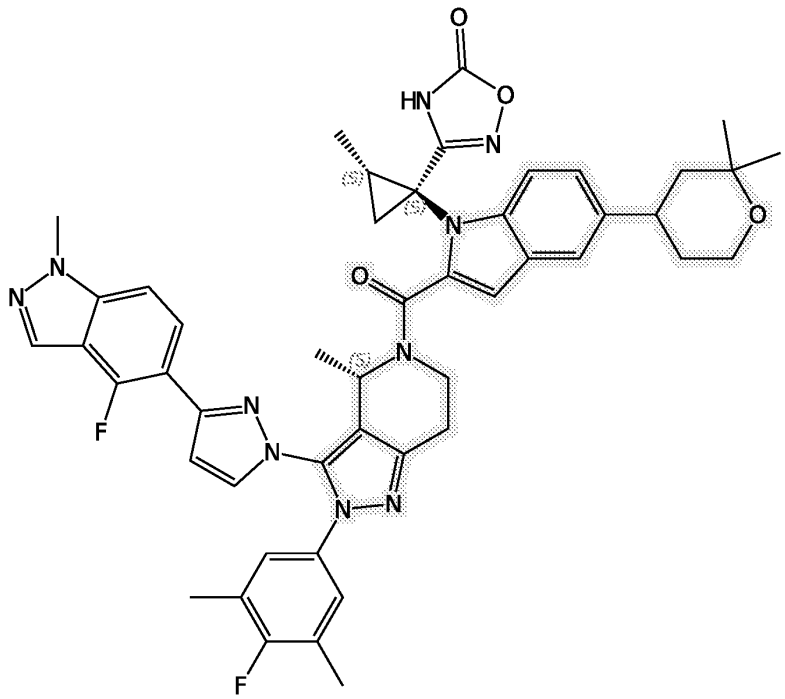
RN 3051607-24-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylp

henyl)-3-[1-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-pyrazol-3-yl]-2,4,6,7-tetrahy
dro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl
-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

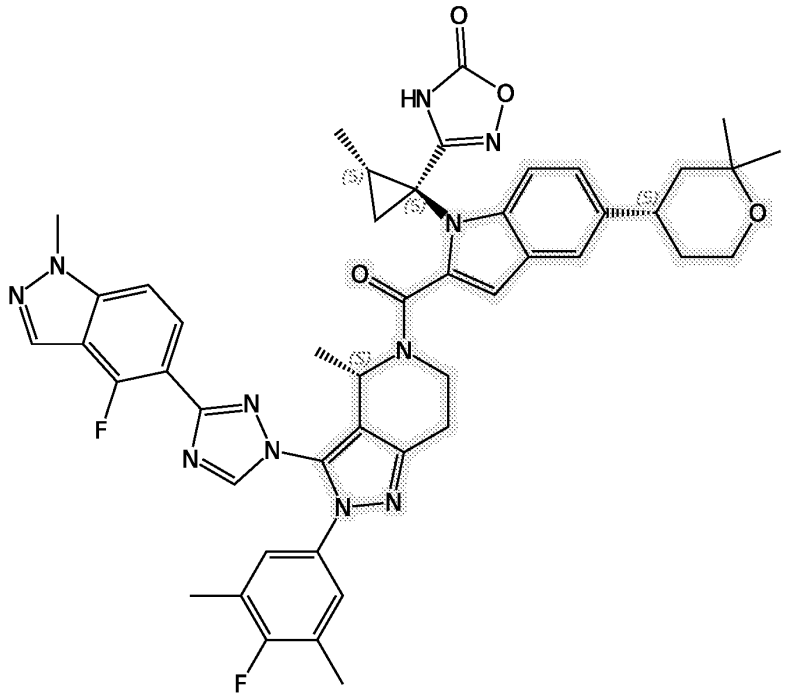
RN   3051607-26-9 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylp
henyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-pyrazol-1-yl]-2,4,6,7-tetrahy
dro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl
-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

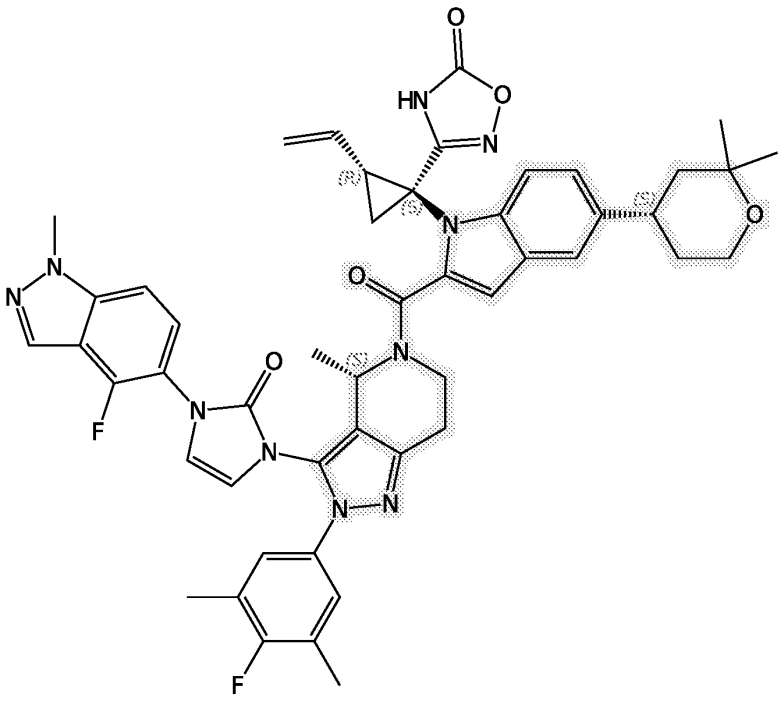
IT 3051607-28-1P 3051607-47-4P 3051607-50-9P
3051607-53-2P 3051607-59-8P 3051607-65-6P
3051607-68-9P 3051607-70-3P 3051607-72-5P
3051607-74-7P 3051607-77-0P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the treatment of diseases)

RN 3051607-28-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-1,2,4-triazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



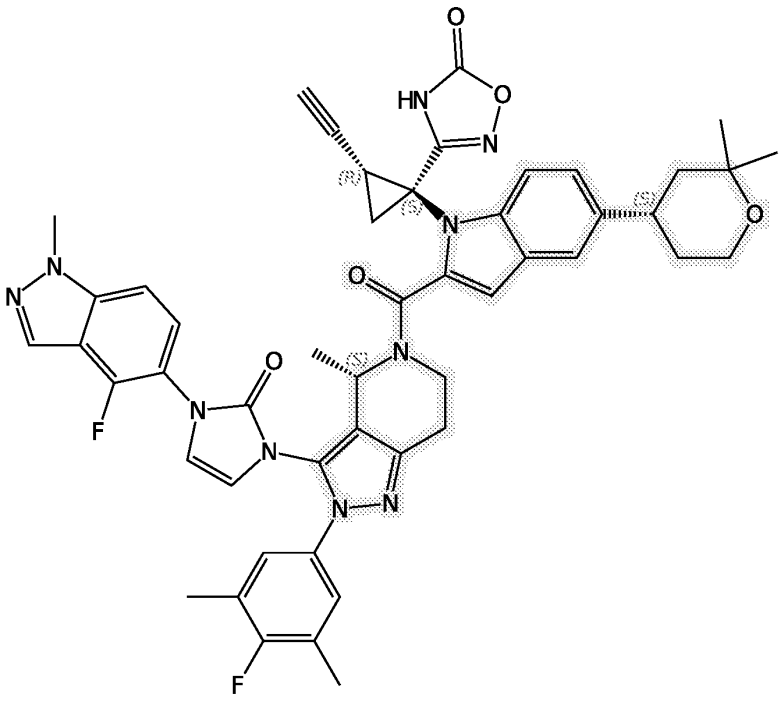
Absolute stereochemistry shown

RN 3051607-47-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



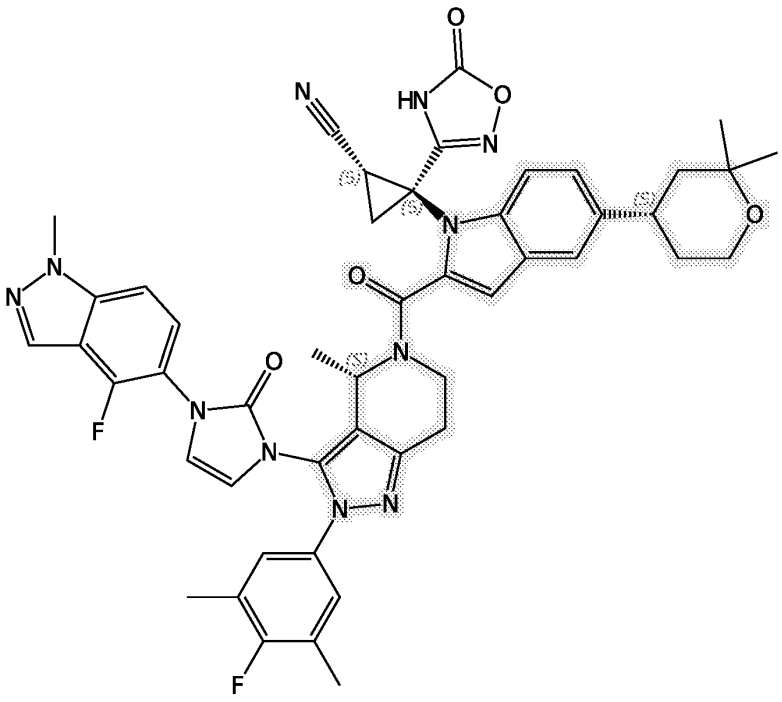
Absolute stereochemistry shown

RN 3051607-50-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



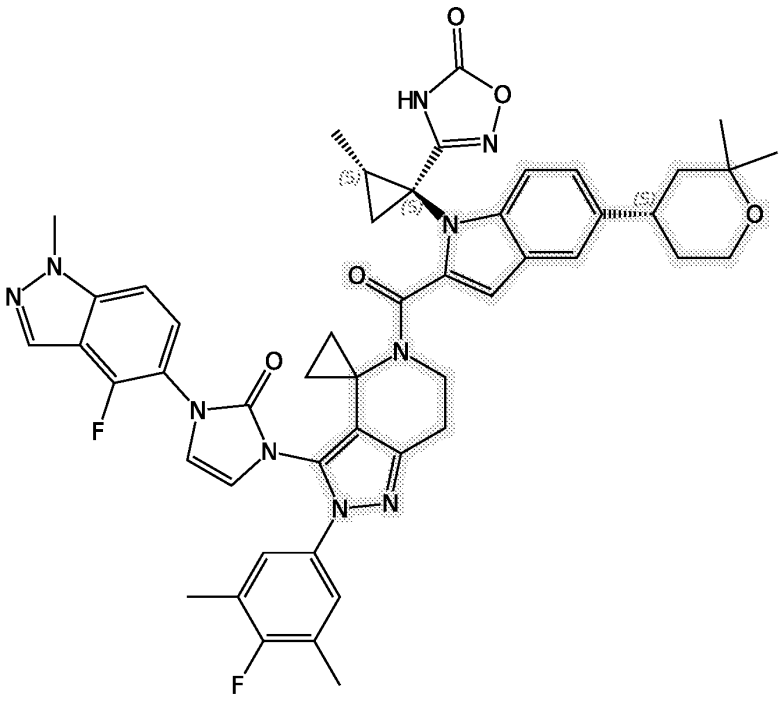
Absolute stereochemistry shown

RN 3051607-53-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



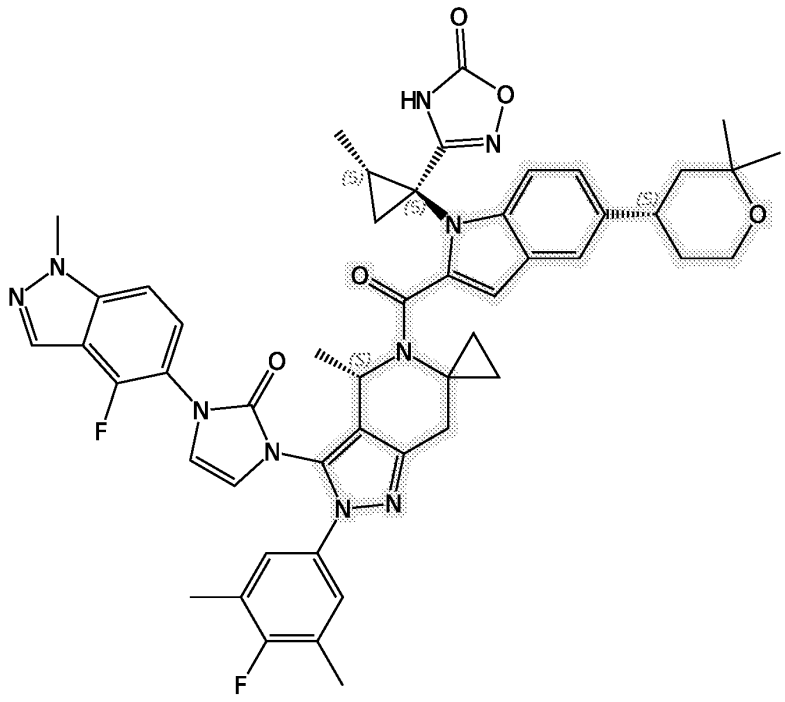
Absolute stereochemistry shown

RN 3051607-59-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



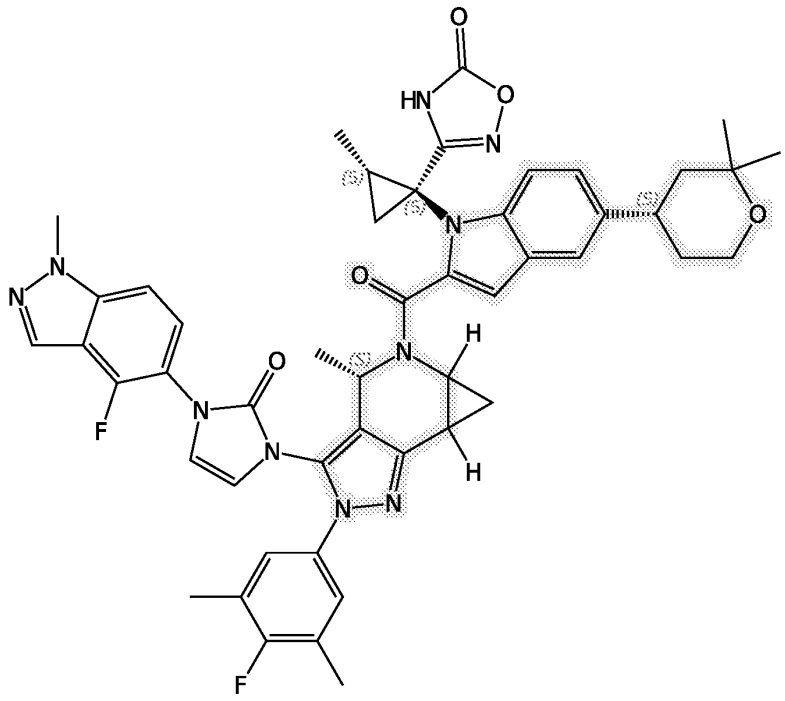
Absolute stereochemistry shown

RN 3051607-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

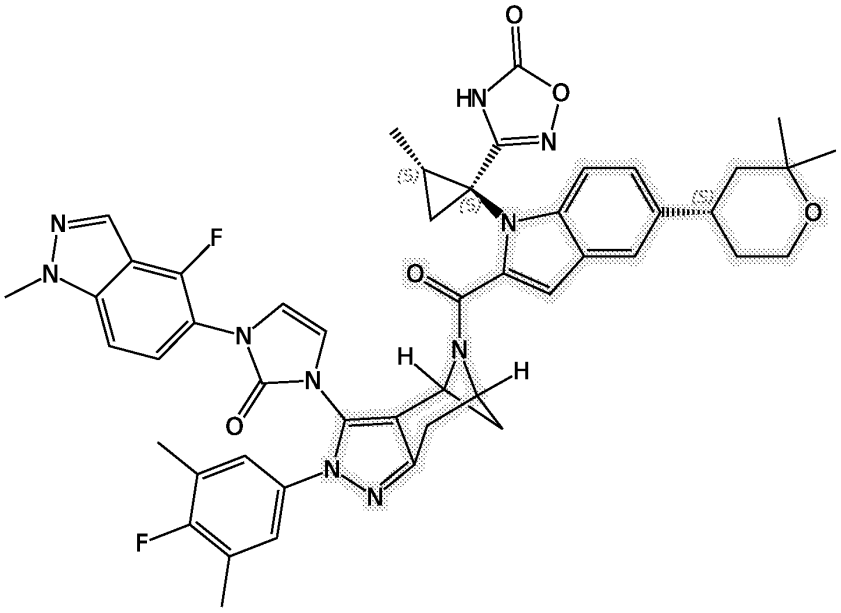
RN 3051607-68-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

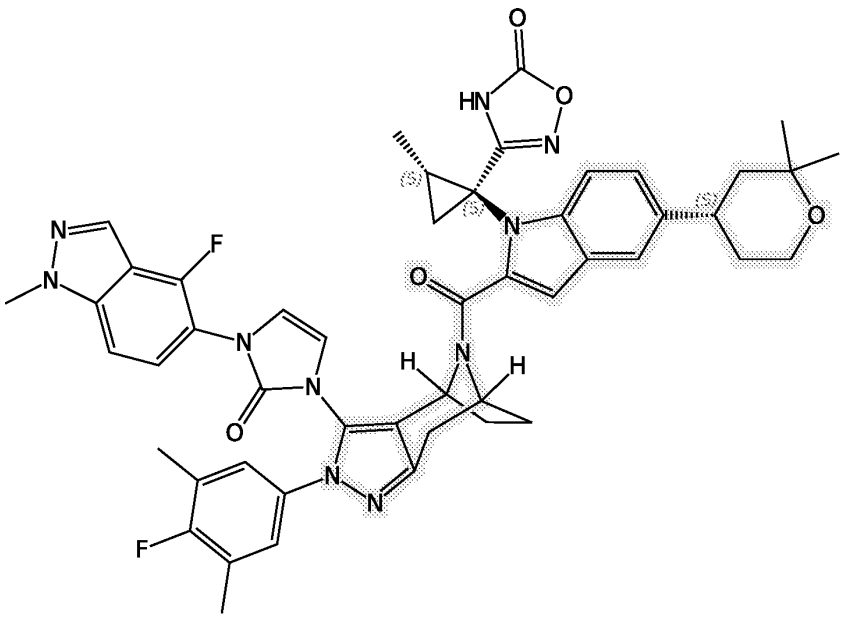
RN 3051607-70-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl

)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2
,4,6,7-tetrahydro-4,6-methano-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-t
etrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



Absolute stereochemistry shown

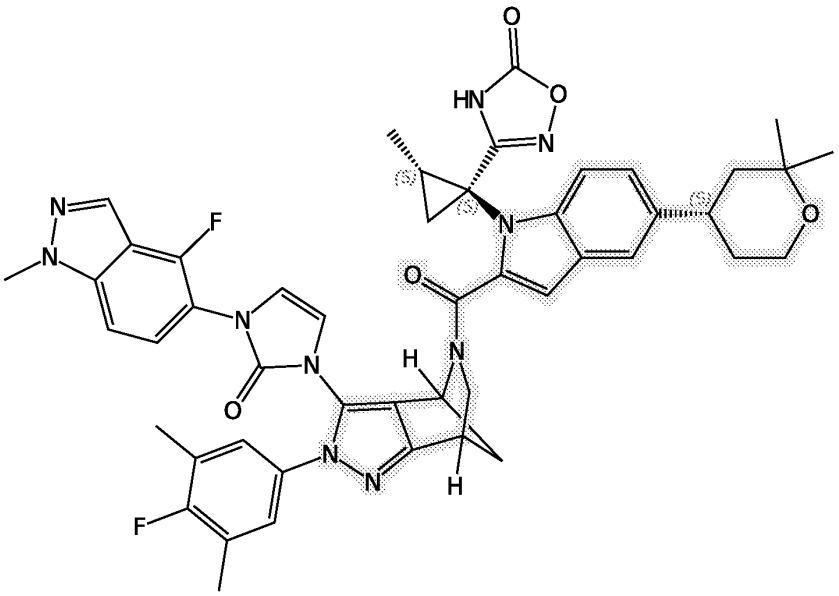
RN   3051607-72-5 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl
)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2
,4,5,6,7,8-hexahydro-4,7-iminocycloheptapyrazol-9-yl]carbonyl]-5-[(4S)-tetrahydr
o-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX
NAME)



Absolute stereochemistry shown

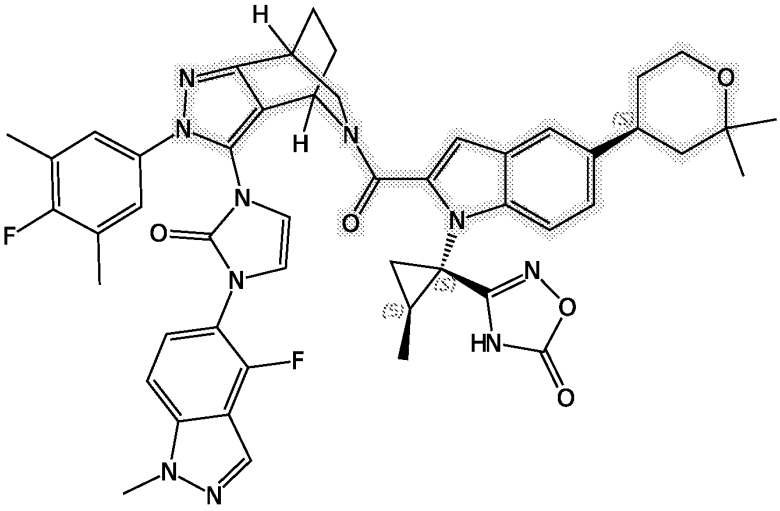
RN   3051607-74-7 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4,7-methano-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

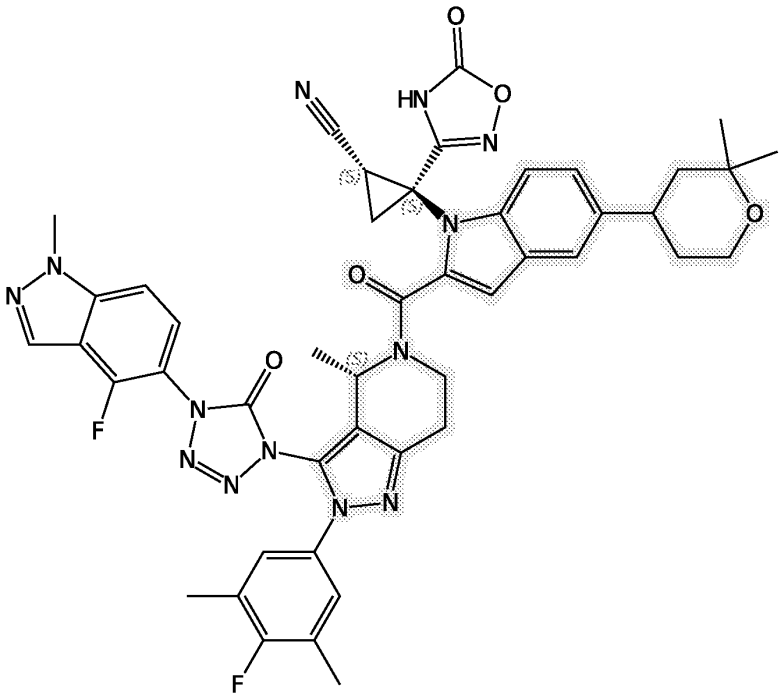
RN 3051607-77-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4,7-ethano-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

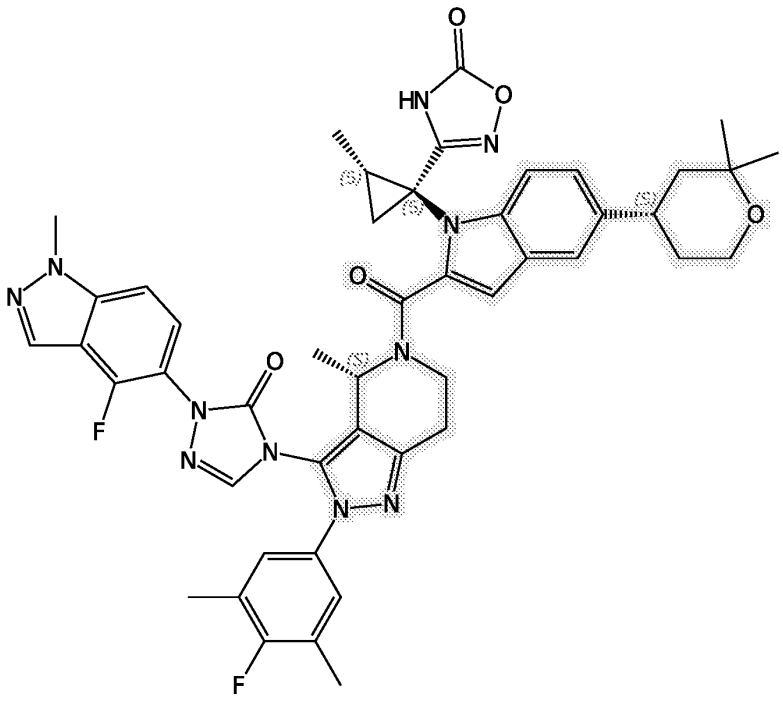
IT 3051607-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the

treatment of diseases)
RN 3051607-93-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



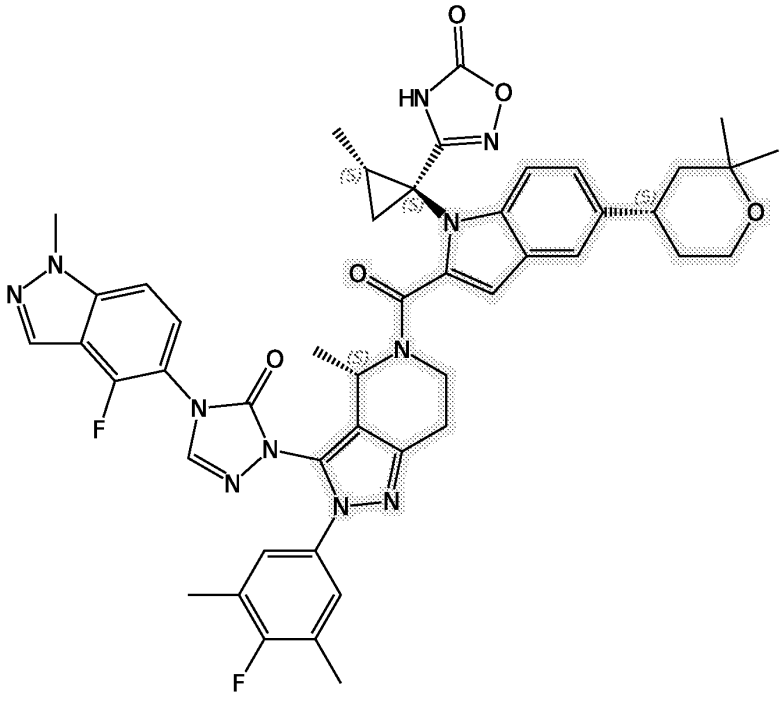
Absolute stereochemistry shown

IT 3051608-55-7P 3051608-57-9P 3051608-59-1P
3051608-61-5P 3051608-63-7P 3051609-26-5P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the treatment of diseases)
RN 3051608-55-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[1-(4-fluoro-1-methyl-1H-indazol-5-yl)-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



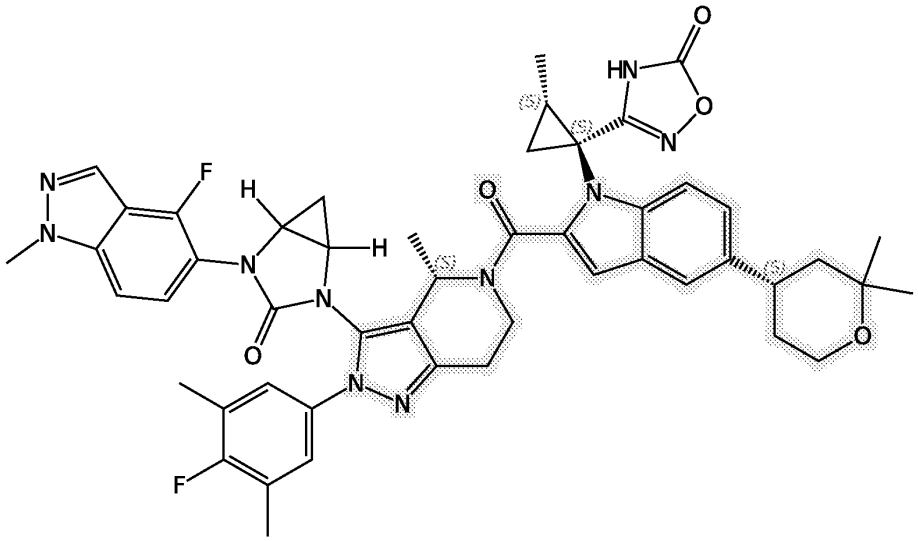
Absolute stereochemistry shown

RN 3051608-57-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[4-(4-fluoro-1-methyl-1H-indazol-5-yl)-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



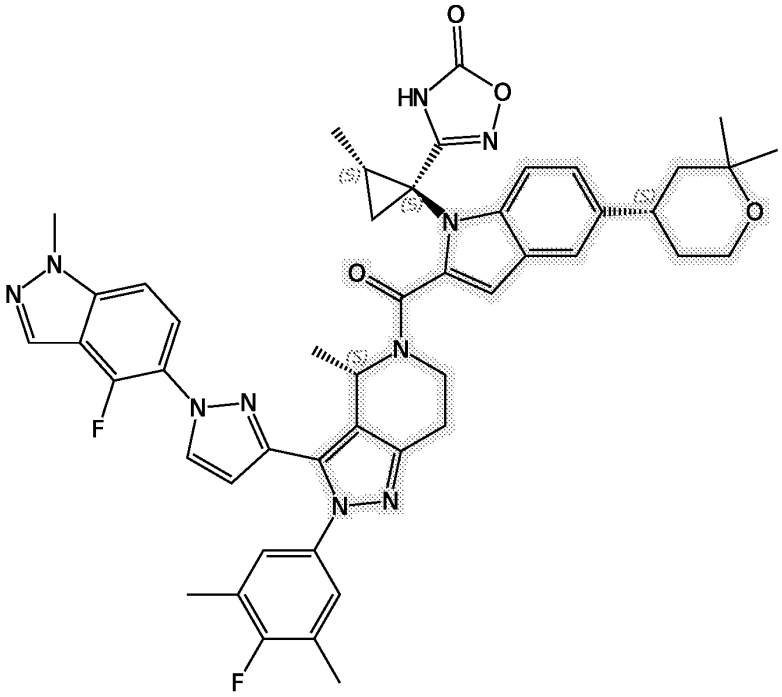
Absolute stereochemistry shown

RN 3051608-59-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

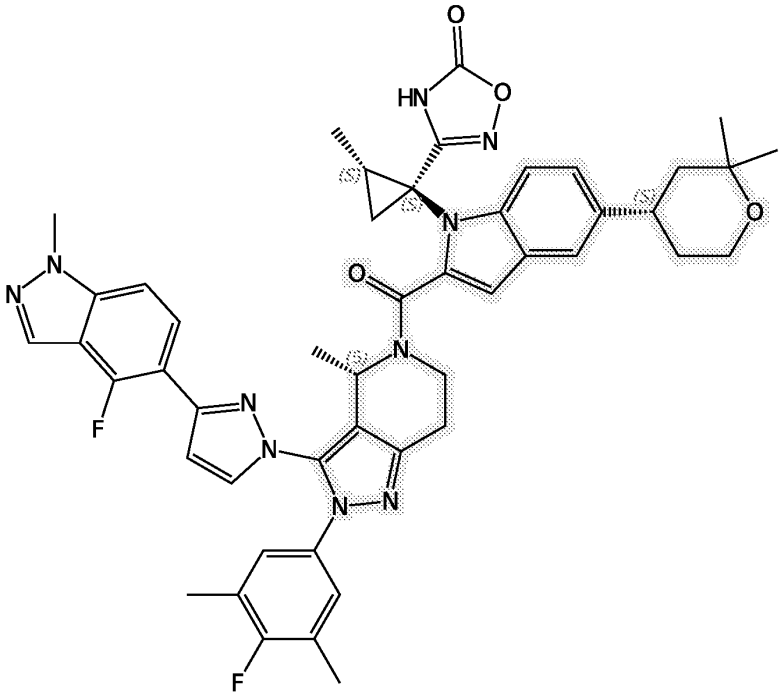
RN 3051608-61-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[1-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-pyrazol-3-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

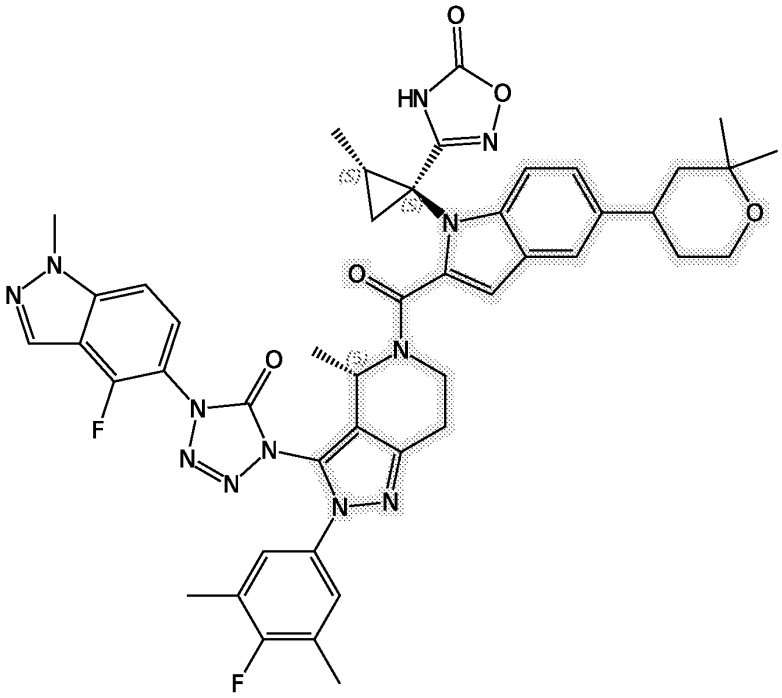
RN 3051608-63-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-pyrazol-1-yl]-2,4,6,7-tetrahy

dro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dim
ethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

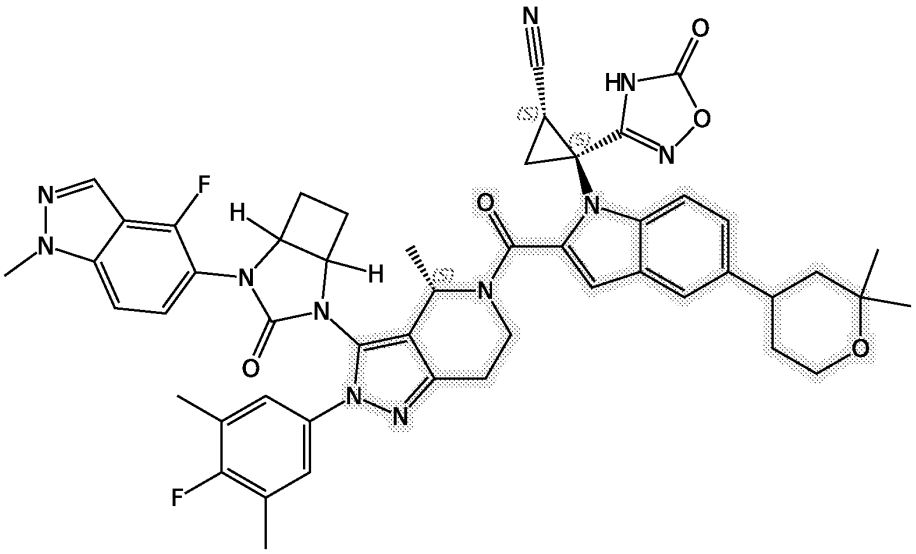
RN   3051609-26-5 CAPLUS
CN   INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

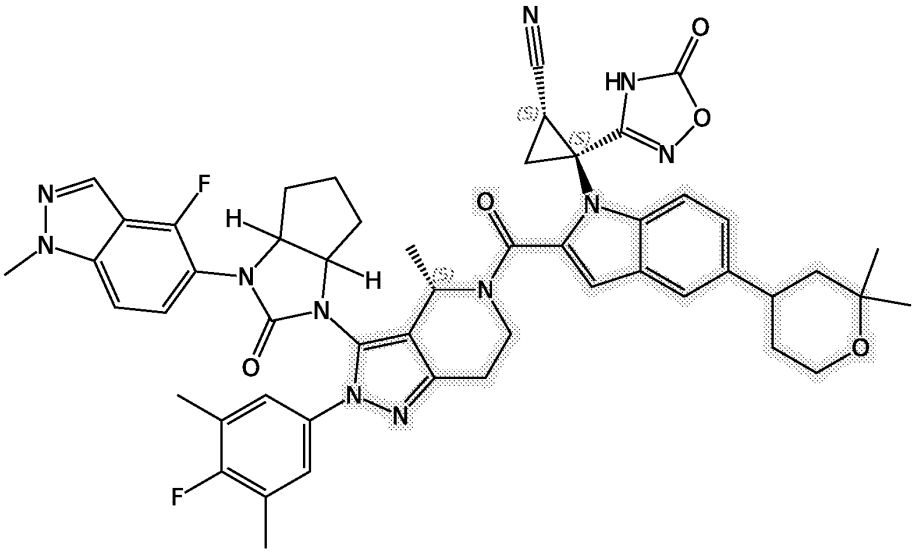
IT 3051800-62-2P 3051800-63-3P 3051800-64-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the treatment of diseases)

RN 3051800-62-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



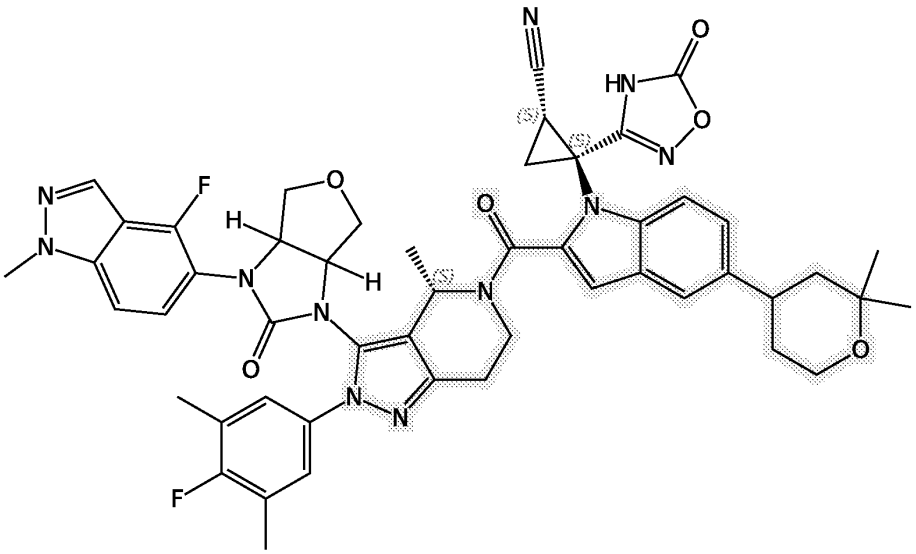
Absolute stereochemistry shown

RN 3051800-63-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



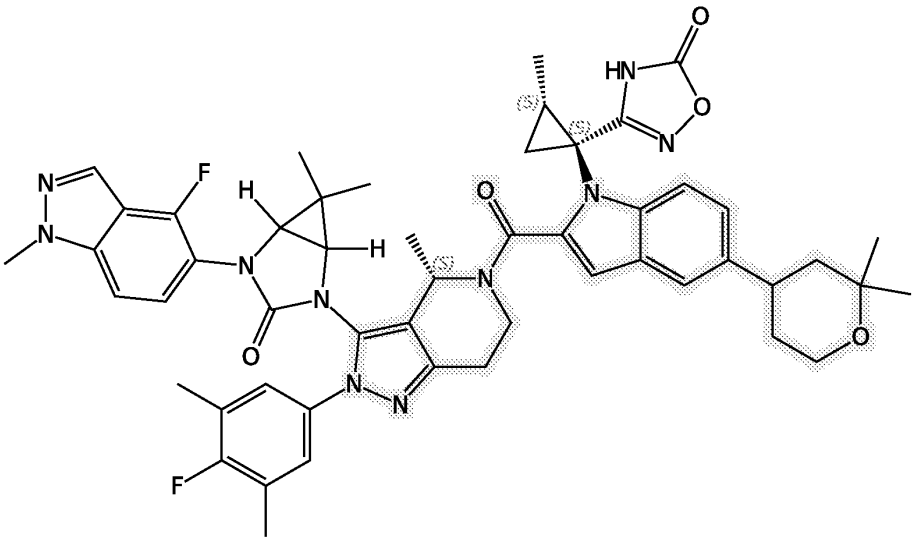
Absolute stereochemistry shown

RN 3051800-64-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



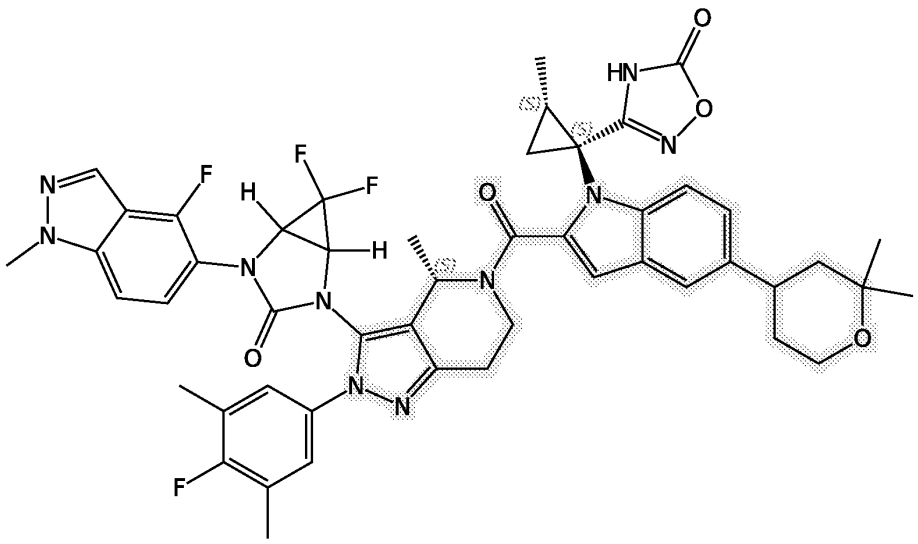
Absolute stereochemistry shown

IT 3051800-75-7P 3051800-76-8P 3051800-78-0P
3051800-79-1P 3051800-80-4P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the treatment of diseases)
RN 3051800-75-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



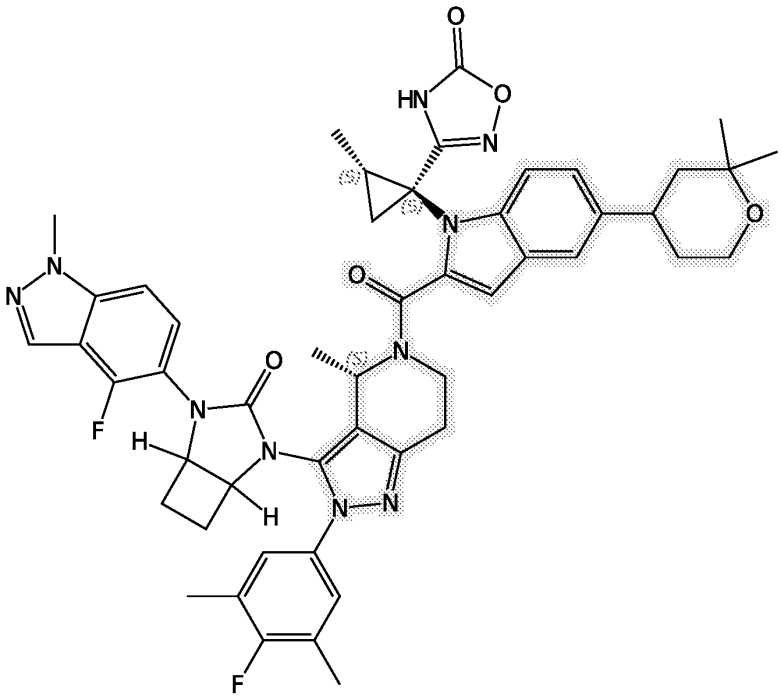
Absolute stereochemistry shown

RN 3051800-76-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



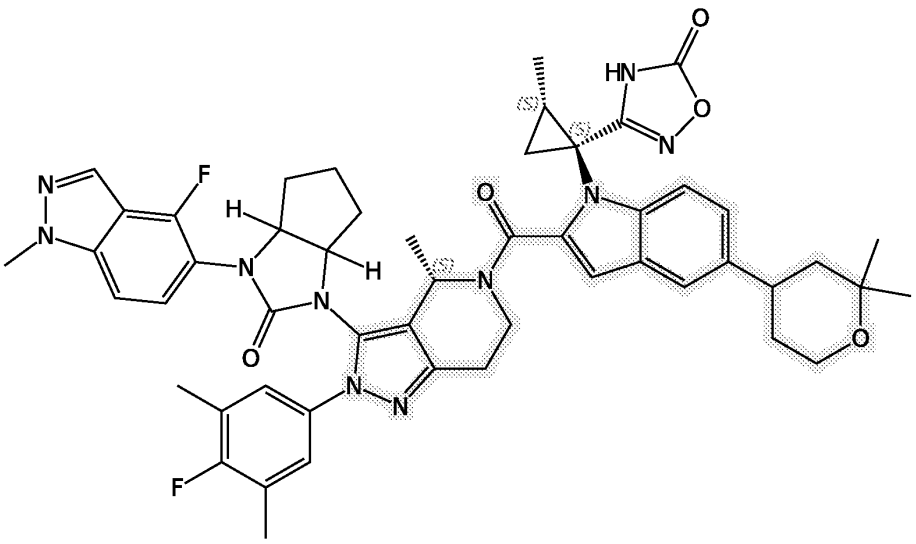
Absolute stereochemistry shown

RN 3051800-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



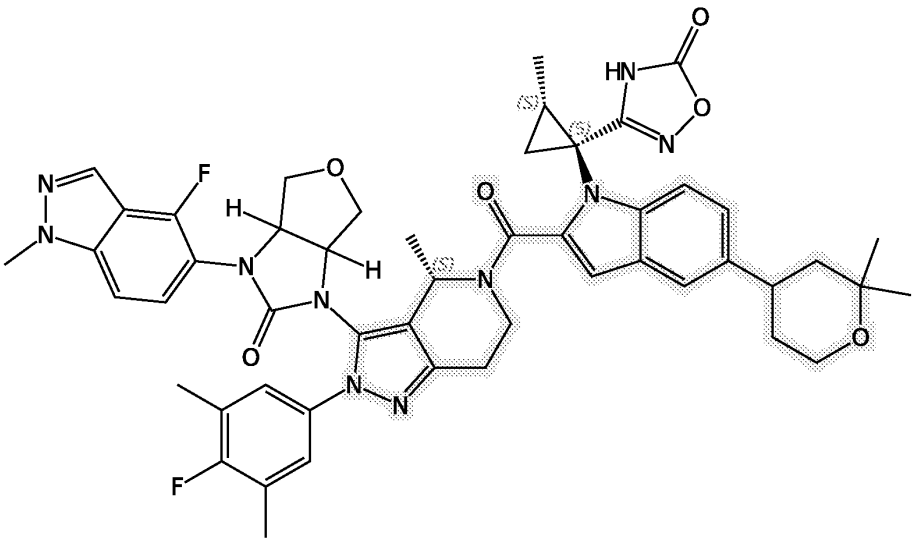
Absolute stereochemistry shown

RN 3051800-79-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

RN 3051800-80-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024153070 A1	WO 2024-CN72529	Register|Global Dossier

L3 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1509645 CAPLUS Full-text
DOCUMENT NUMBER: 187:224553
TITLE: Combination therapy of apelin receptor agonist and GLP-1 receptor agonist for treating a disease or condition associated with weight gain
INVENTOR(S): Fortney, Kristen Patricia; Morgen, Eric Kim; Rubin, Paul David; Pan, Yong; Wang, Yan; Leong, Peng Khun; Rebo, Justin

PATENT ASSIGNEE(S): BioAge Labs, Inc., USA
ULTIMATE OWNER: BIOAGE LABS INC
ULTIMATE OWNER STANDARD: BIOAGE LABS INC
SOURCE: PCT Int. Appl., 347pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024148104	A1	20240711	WO 2024-US10197		20240103
PRIORITY APPLN. INFO.:			US 2023-63478331	P	20230103
			US 2023-61514113	P	20230717
			US 2023-63517587	P	20230803
			US 2023-63520332	P	20230817
			US 2023-61520904	P	20230821
			US 2023-61580336	P	20230901

PATENT STATUS PATENT INFORMATION:

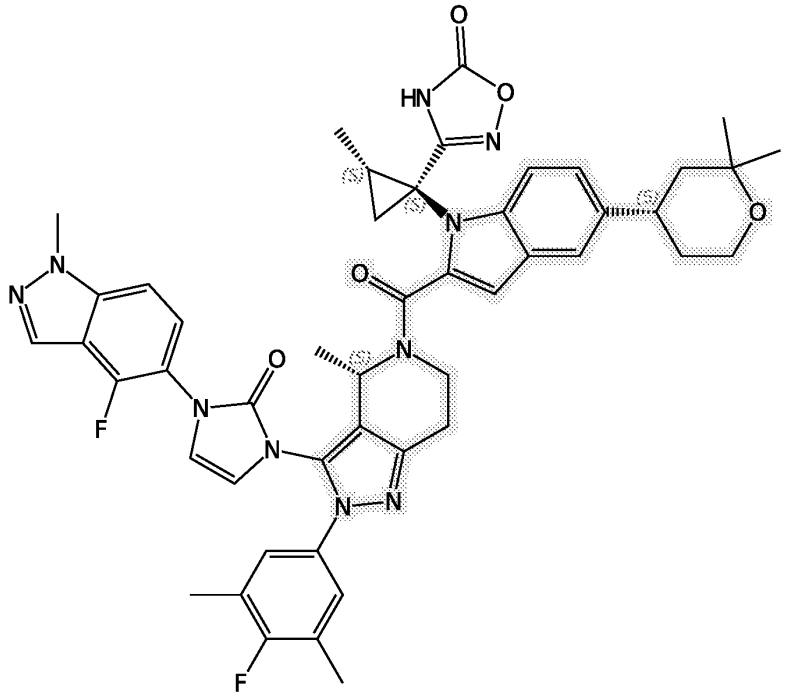
PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024148104	A1	Alive	20240718

AB This disclosure provides methods for treating a condition or disease assocd. with wt. gain that include coadministration of an apelin receptor agonist and a GLP-1 receptor agonist. Methods for increasing total wt. loss in the subject relative to wt. loss that would be caused by administration of a pre-detd. amt. of a GLP-1 receptor agonist alone are also provided. In some embodiments, the method is for preserving, or maintaining muscle mass, muscle function, and/or muscle strength in a subject undergoing wt. loss therapy.

IT 2212020-52-3, Orforglipron 2415797-61-2, LY-3502970
RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy of apelin receptor agonist and GLP-1 receptor agonist for treating a disease or condition assocd. with wt. gain)

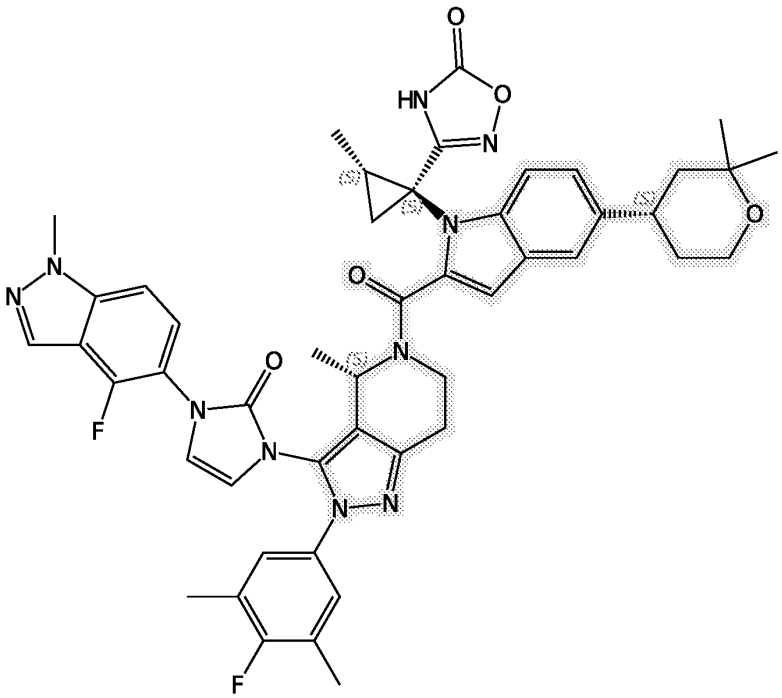
RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2415797-61-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-, calcium salt (2:1) (CA INDEX NAME)



• 1/2 Ca

Absolute stereochemistry shown

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024148104 A1	WO 2024-US10197	Register|Global Dossier

L3 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1469695 CAPLUS Full-text
DOCUMENT NUMBER: 187:208170
TITLE: Compositions and methods to increase the systemic bioavailability of a polypeptide therapeutic agent undergoing oral administration
INVENTOR(S): Rye, Philip Desmond; Aastrand, Ove Alexander Hoegmoen; Mikkelsen, Yngve
PATENT ASSIGNEE(S): AlgiPharma AS, Norway; Rowe, Daniel
ULTIMATE OWNER: ROWE DANIEL; ALGIPHARMA AS
ULTIMATE OWNER STANDARD: ROWE DANIEL; ALGIPHARMA AS
SOURCE: PCT Int. Appl., 147pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024141760	A1	20240704	WO 2023-GB53383		20231229
PRIORITY APPLN. INFO.:			GB 2022-19851	A	20221230
			GB 2023-4240	A	20230323

PATENT STATUS PATENT INFORMATION:

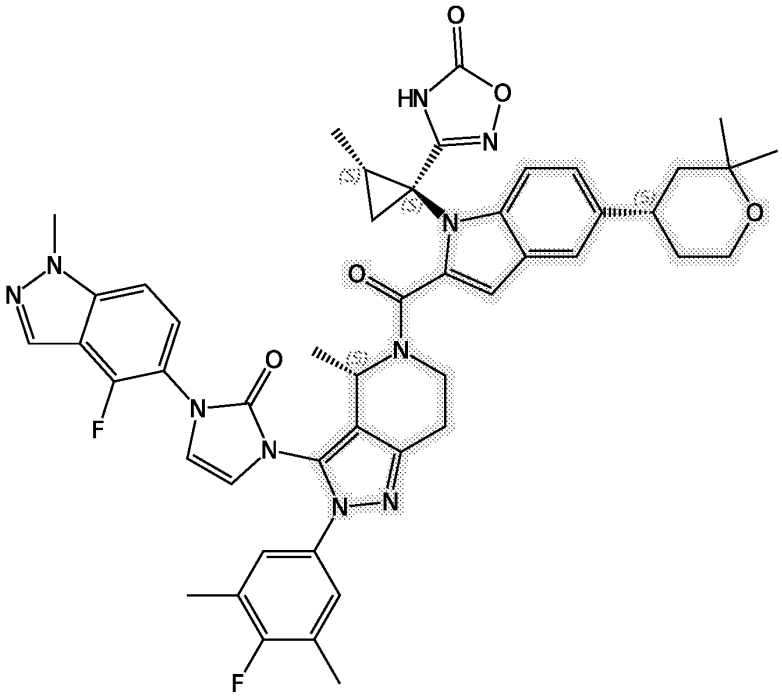
PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024141760	A1	Alive	20240718

AB The present invention provides method for increasing the systemic bioavailability of a polypeptide therapeutic agent undergoing oral, orogastric, nasogastric, or intragastric administration, said method comprising administering said polypeptide therapeutic agent together with an alginate oligomer, and optionally a gastrointestinal permeation enhancer, to a stomach of a human or non-human animal as part of one or more dosage forms, wherein said one or more dosage forms do not carry a coating in addn. to the polypeptide therapeutic agent, the alginate oligomer, and the gastrointestinal permeation enhancer if used, which would provide substantive protection from a gastric environment. Such methods allow for systemic treatment or prevention of a disease or condition or complication thereof which is responsive to, or which is prevented by, a polypeptide therapeutic agent via oral, orogastric, nasogastric, or intragastric administration routes. Further provided are compns. for use in such methods comprising alginate oligomers, polypeptide therapeutic agents, and optionally gastrointestinal permeation enhancers.

IT 2212020-52-3, LY3502970
RL: SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods to increase systemic bioavailability of polypeptide therapeutic agent undergoing oral administration)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024141760 A1	WO 2023-GB53383	Register|Global Dossier

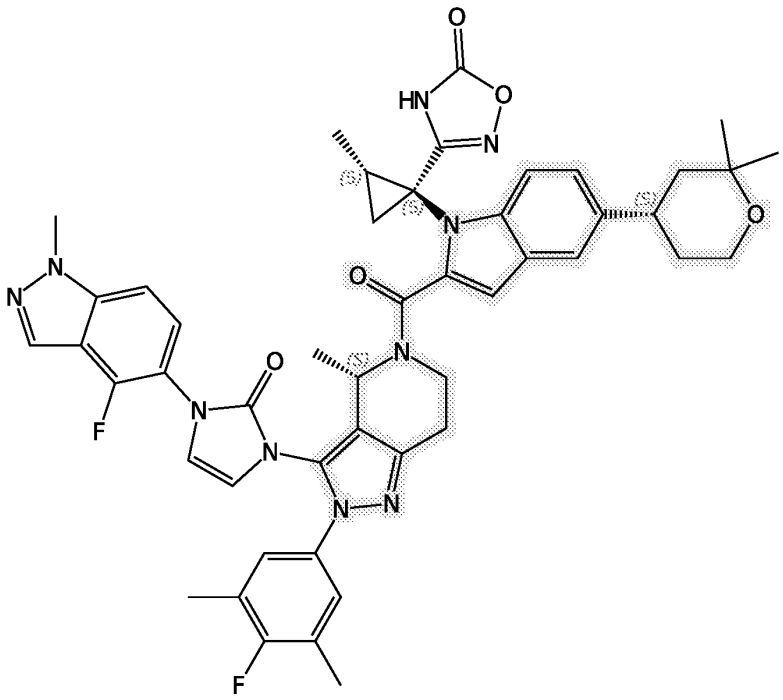
L3 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1416023 CAPLUS Full-text
DOCUMENT NUMBER: 187:158766
TITLE: Process to make GLP1RA and intermediates therefor
INVENTOR(S): Allian, Ayman D.; Berglund, Kenneth Derek; Cole, Kevin Paul; Davis, Ashlee Jeanette; Hess, Molly; Jemison, Adrianna; Kerr, Mark Steven; Mack, Audrey Grace; Ortiz-Medina, Xavier A.; Remick, David Michael; Starkey, Derek Robert; Vaid, Radhe Krishan; Yang, Qiang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
ULTIMATE OWNER: ELI LILLY & CO
ULTIMATE OWNER STANDARD: Eli Lilly
SOURCE: PCT Int. Appl., 74pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2024137426	A1	20240627	WO 2023-US84487	20231218
PRIORITY APPLN. INFO.:			US 2022-63433515 P	20221219

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024137426	A1	Alive	20240704

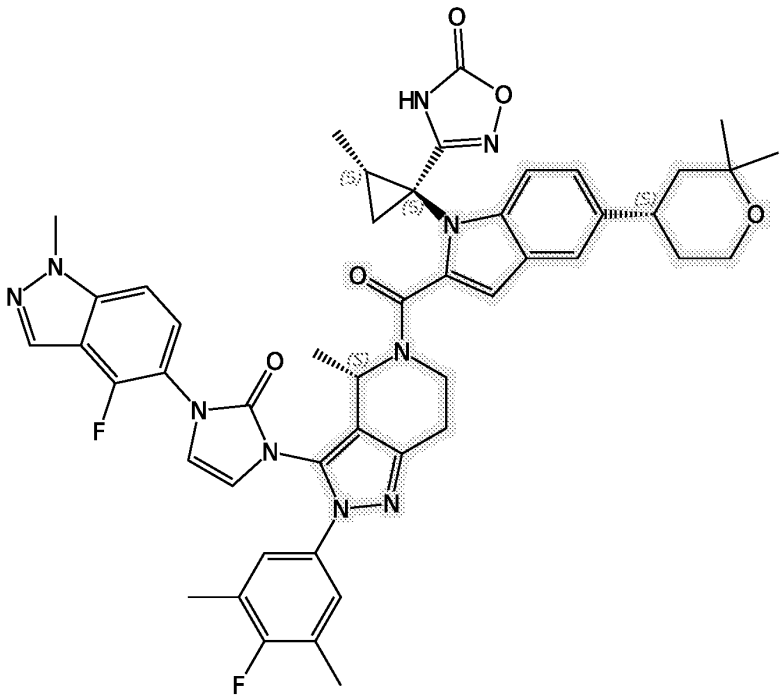
OTHER SOURCE(S): MARPAT 187:158766
AB The present invention relates to the synthesis of 3-[(1S,2S)-1-[5-[(4S)-2,2-dimethyloxan-4-yl]-2-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridine-5-carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one, or a salt thereof, and related synthetic intermediate compds.
IT 2415797-61-2P
RL: SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GLP1RA; process to make GLP1RA and intermediates therefor)
RN 2415797-61-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-, calcium salt (2:1) (CA INDEX NAME)



• 1/2 Ca

Absolute stereochemistry shown

IT 2212020-52-3P
RL: RCT (Reactant); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(process to make GLP1RA and intermediates therefor)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024137426 A1	WO 2023-US84487	Register|Global Dossier

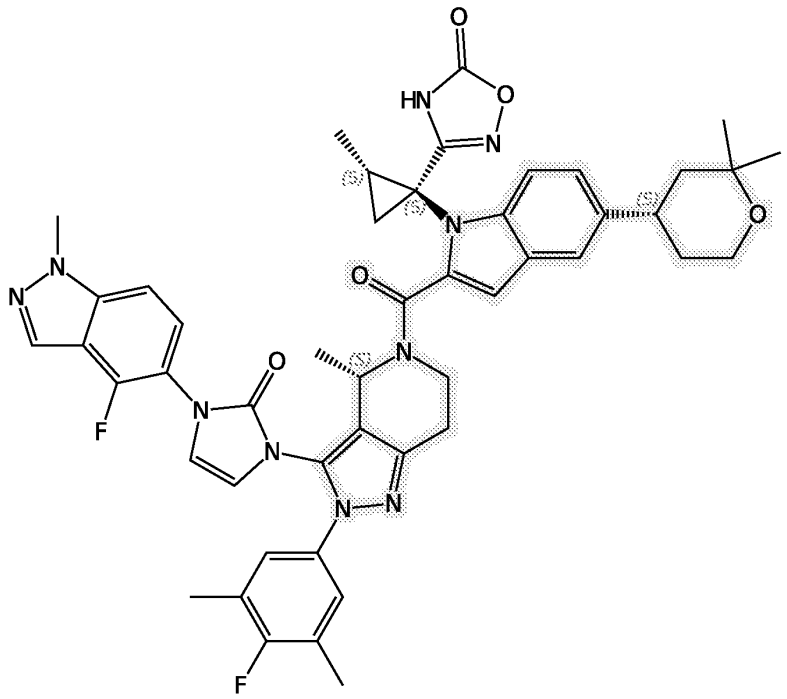
L3 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1370256 CAPLUS Full-text
DOCUMENT NUMBER: 188:98545
TITLE: Oral glucagon-like peptide-1 receptor agonists and combinations of entero-pancreatic hormones as treatments for adults with type 2 diabetes: where are we now?
AUTHOR(S): Gogineni, Prathima; Melson, Eka; Papamargaritis, Dimitris; Davies, Melanie
CORPORATE SOURCE: Diabetes Research Centre, University of Leicester College of Life Sciences, Leicester, UK
SOURCE: Expert Opinion on Pharmacotherapy (2024), 25(7), 801-818
CODEN: EOPHF7; ISSN: 1465-6566
DIGITAL OBJECT ID: 10.1080/14656566.2024.2356254
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal; General Review; (online computer file)
LANGUAGE: English
AB A review. Glucagon-like peptide-1 (GLP-1) receptor agonists (RAs) have changed the landscape of type 2 diabetes (T2D) management due to their cardio-renal benefits, their glucose-lowering efficacy and wt. loss (WL) maintenance. However, the response to GLP-1 RA monotherapy is heterogeneous. Addnl., the majority of GLP-1 RAs are injectable treatments. Oral GLP-1 RAs and injectable combinations of GLP-1 with other entero-pancreatic hormones (glucose-dependent insulinotropic polypeptide (GIP), glucagon and amylin) are under development for T2D and obesity management. Areas coveredHerein, we review the data on (i) oral GLP-1 RAs (oral semaglutide 25/50 mg and orforglipron) and (ii) dual/triple agonists (tirzepatide, cagrilintide 2.4 mg/semaglutide 2.4 mg, survodutide, mazdutide, retatrutide) that have recently completed phase 3 trials for T2D or are currently in phase 3 clin. trials. Tirzepatide is the first approved dual agonist (GLP-1/GIP) for T2D and obesity

management. Expert opinionWe are in a new era in T2D management where entero-pancreatic hormone-based treatments can result in ≥15% WL and euglycemia for many people with T2D. Multiple mols. with different mechanisms of action are under development for T2D, obesity and other metabolic complications. Data on their cardio-renal benefits, long-term efficacy and safety as well as their cost-effectiveness will better inform their position in treatment algorithms.

IT 2212020-52-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(review on oral GLP-1RA and combinations of entero-pancreatic hormones as treatments for adults with type 2 diabetes)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 101 THERE ARE 101 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1351623 CAPLUS Full-text
DOCUMENT NUMBER: 187:112024
TITLE: Salts and solid forms of a compound having GLP-1 agonist activity
INVENTOR(S): Chen, Libo; Gu, Xiaodong; Jiang, Xinglong
PATENT ASSIGNEE(S): Gasherbrum Bio, Inc., USA
ULTIMATE OWNER: STRUCTURE THERAPEUTICS INC
ULTIMATE OWNER STANDARD: Structure Therapeutics
SOURCE: PCT Int. Appl., 167pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2024125602	A1	20240620	WO 2023-CN138803	20231214
PRIORITY APPLN. INFO.:			WO 2022-CN139277 A	20221215

PATENT STATUS PATENT INFORMATION:

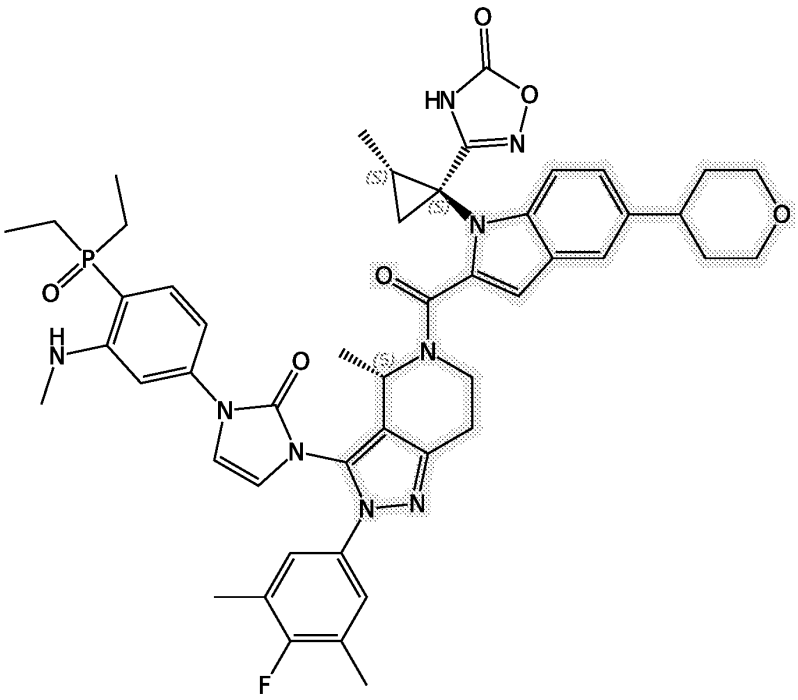
PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024125602	A1	Alive	20240627

AB The present disclosure relates to salts and solid forms of a compd. that is GLP-1 agonist, and its use as a therapeutic agent for treating diseases disorders, or conditions assocd. with GLP-1, such as type 2 diabetes mellitus (T2DM).

IT 2685823-26-9P 3044052-98-1P 3044052-99-2P
3044053-00-8P 3044053-01-9P 3044053-02-0P
RL: PRP (Properties); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(salts and solid forms of a compd. having GLP-1 agonist activity)

RN 2685823-26-9 CAPLUS

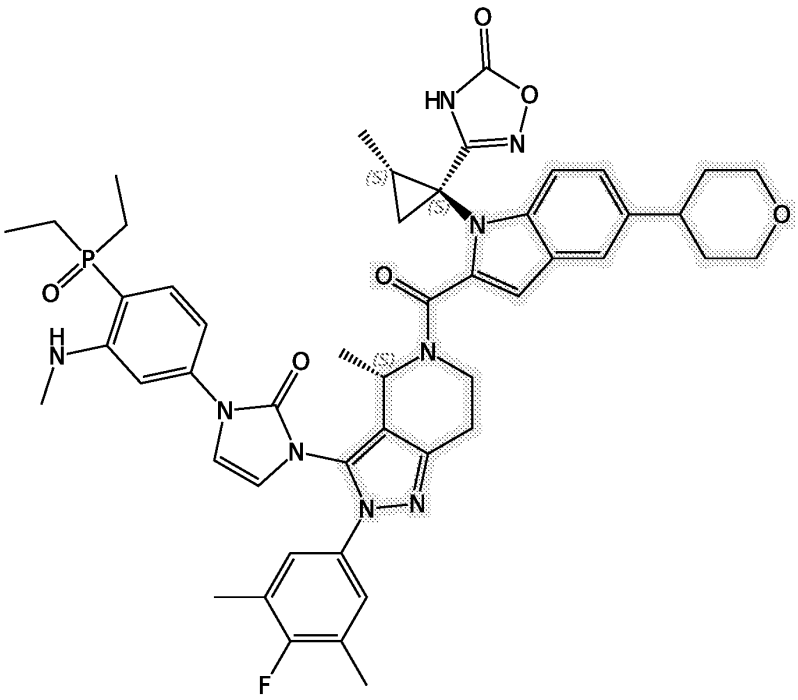
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

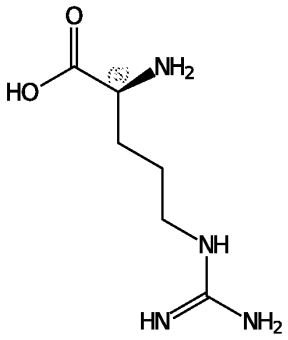
RN 3044052-98-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED
CM 1
CRN 2685823-26-9
CMF C49 H55 F N9 O6 P



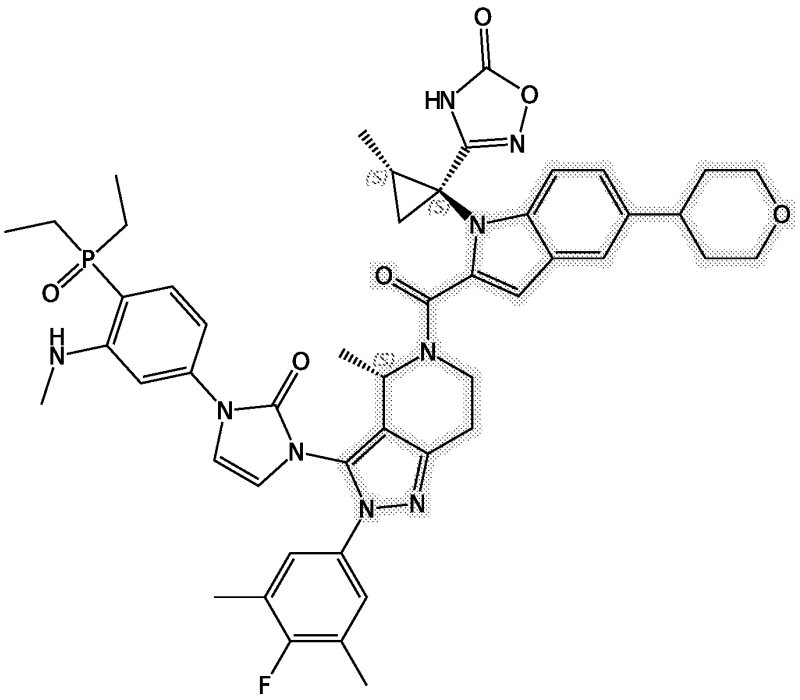
Absolute stereochemistry shown

CM 2
CRN 74-79-3
CMF C6 H14 N4 O2



Absolute stereochemistry shown

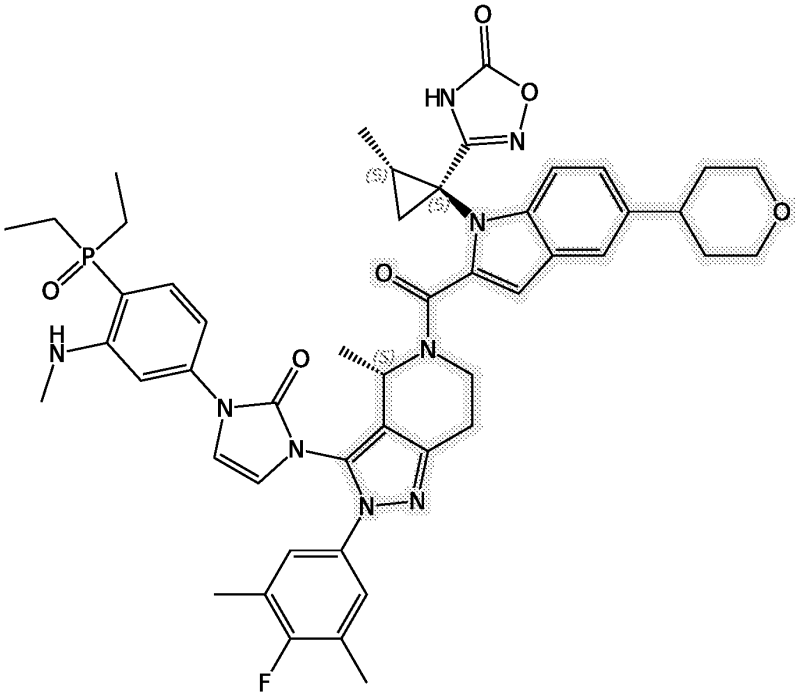
RN 3044052-99-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• Na

Absolute stereochemistry shown

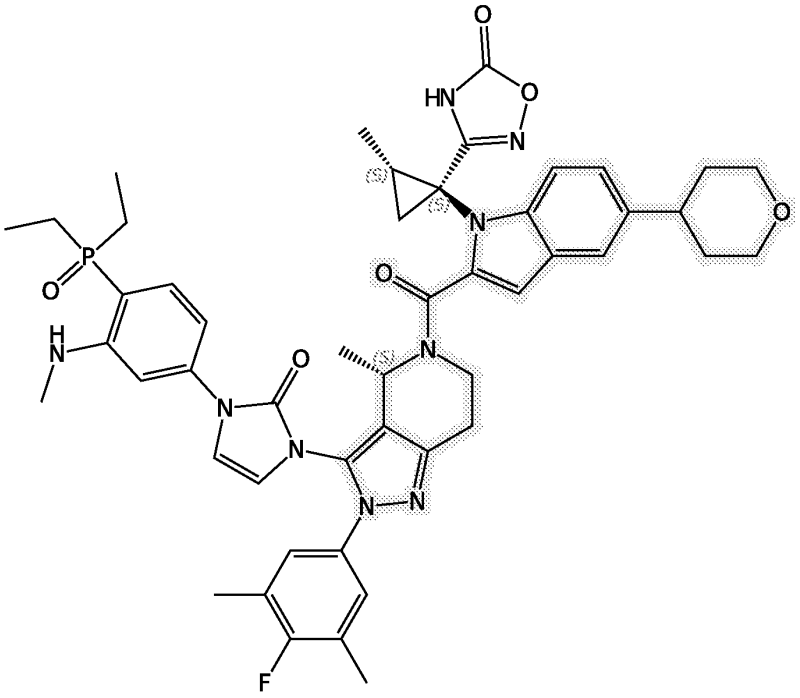
RN 3044053-00-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• K

Absolute stereochemistry shown

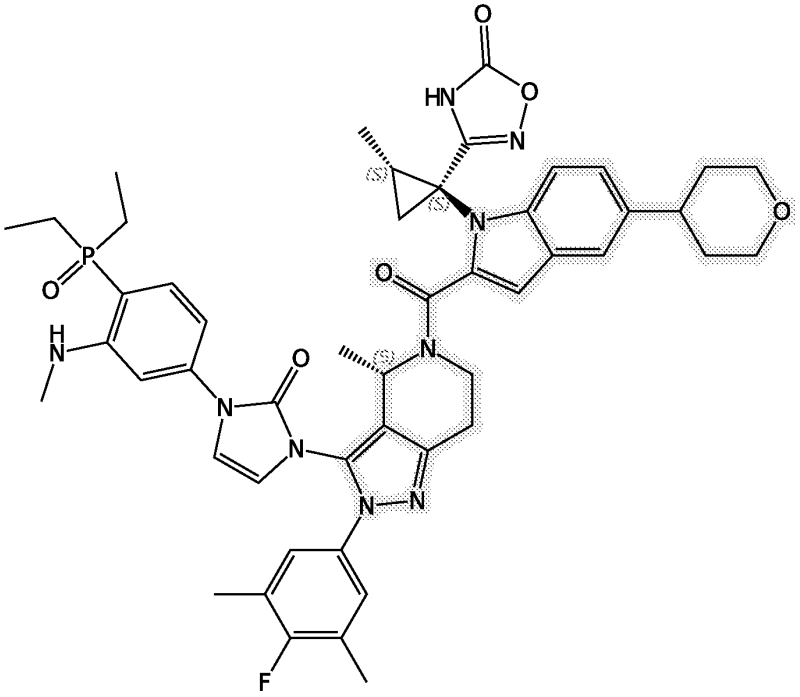
RN 3044053-01-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• 1/2 Ca

Absolute stereochemistry shown

RN 3044053-02-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• 1/2 Mg

Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024125602 A1	WO 2023-CN138803	Register|Global Dossier

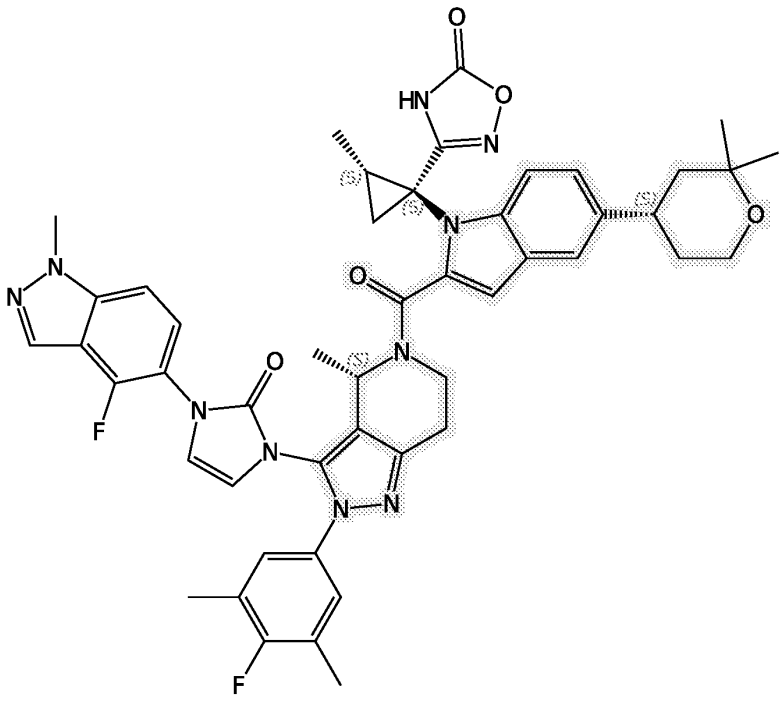
L3 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1345182 CAPLUS Full-text
DOCUMENT NUMBER: 187:129138
TITLE: Composition containing orforglipron and method for treating subject with type 2 diabetes (T2D), obesity, or overweight with at least one weight related comorbidity
INVENTOR(S): Bethel, Mary Angelyn; Cox, David Andrew; Keding, Stacy Jo; Konig, Manige; Liu, Rong; Ma, Xiaosu; Pratt, Edward John; Robins, Deborah Ann
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
ULTIMATE OWNER: ELI LILLY & CO
ULTIMATE OWNER STANDARD: Eli Lilly
SOURCE: PCT Int. Appl., 95pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2024129676	A1	20240620	WO 2023-US83545		20231212
PRIORITY APPLN. INFO.:			US 2022-63432197	P	20221213
			US 2023-63499328	P	20230501

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024129676	A1	Alive	20240627

AB The invention relates to a method for treating a subject with T2D, obesity, or overweight with at least one wt. related comorbidity using a glucagon-like peptide-1 (GLP-1) receptor non-peptide agonist (NPA) by oral administration, and the uses of the GLP-1 receptor NPA for the manuf. of a medicament for treating T2D, obesity, or overweight with at least one wt. related comorbidity.
IT 2212020-52-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compn. contg. orforglipron and method for treating subject with type 2 diabetes (T2D), obesity, or overweight with at least one wt. related comorbidity)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2024129676 A1	WO 2023-US83545	Register|Global Dossier

L3 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1002431 CAPLUS Full-text
DOCUMENT NUMBER: 186:346310
TITLE: Composition comprising GLP-1 receptor agonist and ACAT inhibitor for controlling body weight
INVENTOR(S): Kim, Jeong-Hoon; Choi, Mu Lim; Kim, Kee-Hong
PATENT ASSIGNEE(S): EFIL BioScience Inc., S. Korea; Purdue Research Foundation
ULTIMATE OWNER: EFIL BIOSCIENCE
ULTIMATE OWNER STANDARD: EFIL BIOSCIENCE
SOURCE: U.S. Pat. Appl. Publ., 12pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

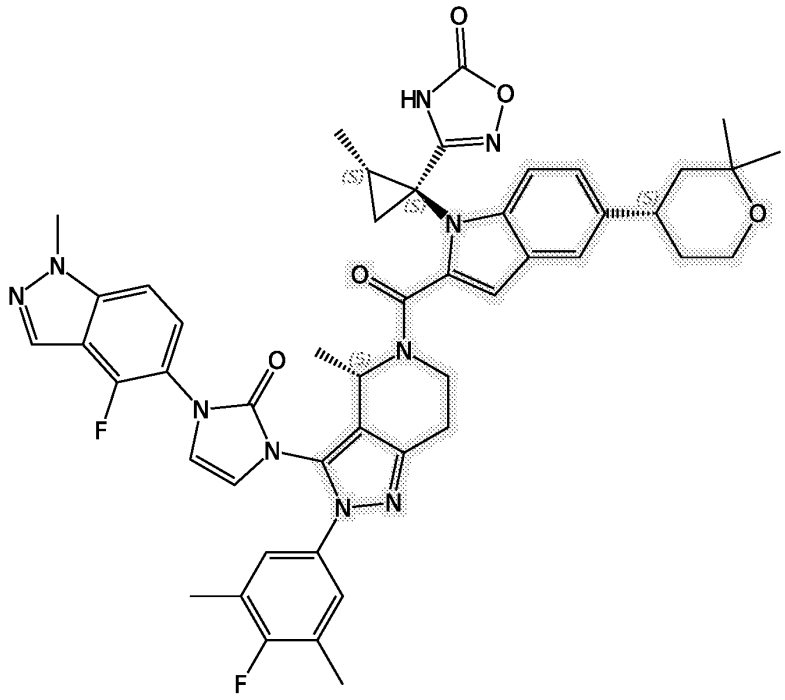
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20240148833	A1	20240509	US 2023-18501740		20231103
WO 2024098005	A1	20240510	WO 2023-US78704		20231103
PRIORITY APPLN. INFO.:			US 2022-63382287	P	20221103

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
US 20240148833	A1	Alive	20240516
WO 2024098005	A1	Alive	20240516

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB This present invention provides a pharmaceutical compn. comprising one or more acyl-CoA cholesterol acyltransferase (ACAT) inhibitors and one or more Glucagon-like peptide-1 receptor agonists (GLP-1 RAs). It also provides a method for controlling body wt. comprising co-administering to a subject in need one or more ACAT inhibitors and one or more GLP-1RAs.

IT 2212020-52-3, Orforglipron
RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compn. comprising GLP-1 receptor agonist and ACAT inhibitor for controlling body wt.)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
US 20240148833 A1	US 2023-18501740	Register|Global Dossier
WO 2024098005 A1	WO 2023-US78704	Register|Global Dossier

L3 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:949966 CAPLUS Full-text
DOCUMENT NUMBER: 186:336228
TITLE: Preparation of GLP-1 receptor agonist orforglipron
INVENTOR(S): Liang, Yonghong; Huang, Jianyi
PATENT ASSIGNEE(S): Shanghai Sunway Pharmaceutical Technology Co., Ltd., Peop. Rep. China
ULTIMATE OWNER: SHANGHAI XIANGHUI MEDICAL TECH
ULTIMATE OWNER STANDARD: SHANGHAI XIANGHUI MEDICAL TECH
SOURCE: Faming Zhuanli Shenqing, 9pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 117964617	A	20240503	CN 2023-11862364	20231229

PRIORITY APPLN. INFO.: CN 2023-11862364 20231229

PATENT STATUS PATENT INFORMATION:

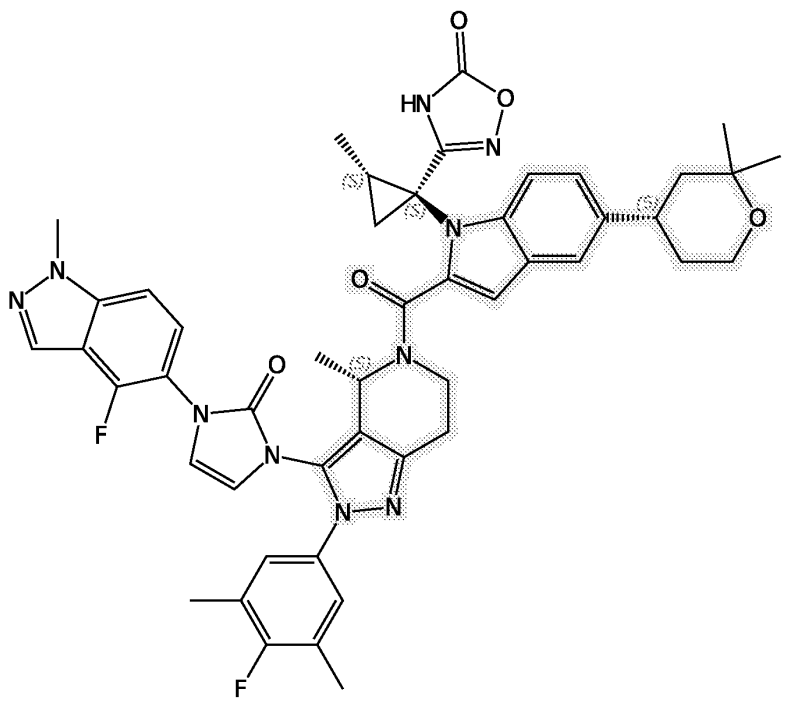
PATENT NO.	KIND	STATUS	STATUS DATE
CN 117964617	A	Alive	20240516

AB The present invention relates to the prepn. of GLP-1 receptor agonist orforglipron. In particular, the prepn. comprises of following steps: (1) cyclizing dihydropyridine contg. different protective groups with (4-fluoro-3,5-dimethylphenyl)hydrazine and reacting at 0-120°C for 0-15h to obtain a key intermediate; (2) reacting product from step (1) with 2-isocyanato-1,1-dimethoxyethane at 20-150°C under alk. conditions to obtain tert-butylamino(fluorodimethylphenyl)pyrazolopyridinecarboxylate; (3) cyclizing the product from step (2) under acidic conditions and reacting at 10-140°C for 3-24 h to obtain tert-butyldimethoxyethylureido fluorodimethylphenylpyrazolo pyridinecarboxylate. Further, (4) reacting product from step (3) with 4-fluoro-1-methyl-1H-indazole at 90-120°C for 2-10 h via Buchwald coupling reaction to obtain fluorodimethyl phenyloxodihydroimidazolyl pyrazolopyridinecarboxylic acid tert Bu ester; (5) saltifying product from step (4) with hydrochloric acid and the amino protecting group is removed to obtain fluoromethyl indazoleyl fluorodimethylphenyl pyrazolopyridinyl dihydroimidazoleoxazolone hydrochloride; and (6) reacting product from step (5) with 5-((S)-2,2-dimethyltetrahydro-2H-pyran-4-yl)-1-((1S,2S)-2-methyl-1-(5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl)cyclopropyl)-1H-indole-2-carboxylic acid at -40-50°C for 0-24h to obtain orforglipron.

IT 2212020-52-3P
RL: SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of GLP-1 receptor agonist orforglipron)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

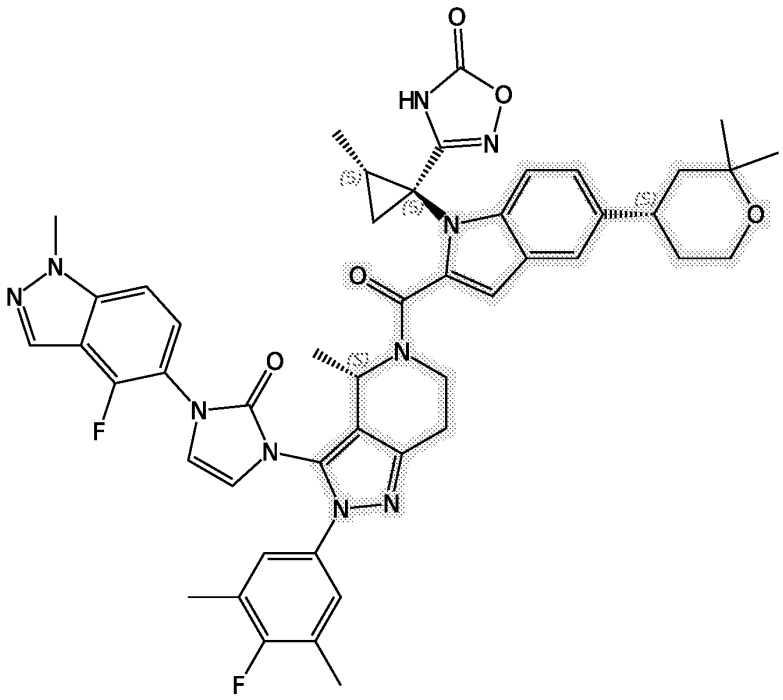


Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 117964617 A	CN 2023-11862364	Register|Global Dossier

L3 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:216555 CAPLUS Full-text
DOCUMENT NUMBER: 186:316906
TITLE: Efficacy and safety of GLP-1RAs for people with obesity: A systematic review based on RCT and Bayesian network meta-analysis
AUTHOR(S): Li, Hong; Yu, Guanzheng; Huang, Qi; Yang, Bao; Nie, Juan; Liu, Yinbei; Tu, Xing
CORPORATE SOURCE: Chinese Medicinal Materials Products Quality Supervision and Inspection Center in Wuling Mountainous Area, Hubei Minzu University, Hubei, Peop. Rep. China
SOURCE: Biomedicine & Pharmacotherapy (2024), 171, 116150
CODEN: BIPHEX; ISSN: 0753-3322
DIGITAL OBJECT ID: 10.1016/j.biopha.2024.116150
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB GLP-1 receptor agonists (GLP-1RAs) reduce glucagon and glycogen secretion, inhibit appetite and slow gastric empties and have recently been approved to treat obesity. To explore the safety and efficacy of GLP-1RAs in the treatment of obesity and clarify the optimal GLP-1RAs treatment regimen. PubMed, Embase, Web of Science, and Cochrane Library databases were searched for English randomized controlled trials (RCTs) on GLP-1RAs in the treatment and management of obesity published before July 18, 2023. Literature screening and data extn. were performed independently by three researchers. Bayesian random effect model was used to compare the effects of interventions. Continuous variables were expressed as mean difference with 95% CI, and dichotomous variables were reported as RR with 95% CI. A total of 29 studies with 10,333 participants were included in the present study. The combination of cagrilintide and semaglutide (short for cagrANDsema) was an optimal strategy for wt. loss and glycosylated Hb (HbA1c) redn. Compared to placebo, cagrANDsema reduced wt. by - 14.13 kg (95% CI: -16.49, -11.73) and HbA1c by - 0.33% (95% CI: -0.41, -0.25). Moreover, this study indicated that orforglipron and semaglutide also had relatively good effects on wt. loss. Meta-regression results indicated that higher dose levels might have better effects on wt. loss. CagrANDsema exerts the best effect for wt. loss. In terms of current dose levels, a higher dose gets better wt.-loss effects without increasing the risk of adverse events.
IT 2212020-52-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy and safety of GLP1RAs for people with obesity)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:186541 CAPLUS Full-text
DOCUMENT NUMBER: 186:239317
TITLE: Deuterated indolizine compounds, pharmaceutical compositions and applications
INVENTOR(S): Liu, Chunhe; Meng, Xiangjie; Guo, Binghua
PATENT ASSIGNEE(S): Yaokang Zhongtuo (Beijing) Pharmaceutical Technology Co., Ltd., Peop. Rep. China
ULTIMATE OWNER: YAOKANG ZHONGTUO BEIJING PHARMACEUTICAL TECH
ULTIMATE OWNER STANDARD: YAOKANG ZHONGTUO BEIJING PHARMACEUTICAL TECH
SOURCE: Faming Zhuanli Shenqing, 12pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

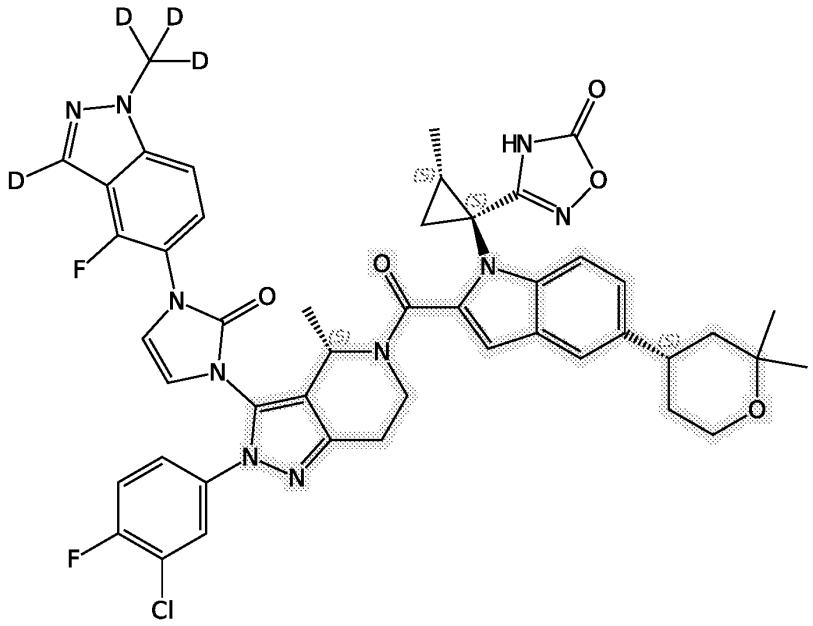
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 117447493	A	20240126	CN 2023-11785556	20231225

PRIORITY APPLN. INFO.: CN 2023-11785556 20231225
PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
CN 117447493	A	Alive	20240201

OTHER SOURCE(S): MARPAT 186:239317
AB The present invention discloses compds. of formula I, or pharmaceutically acceptable salts, isomers, metabolites, prodrugs, solvates or hydrates thereof, pharmaceutical compns. and uses thereof. The compd. represented by formula I provided in the present invention can be used as GLP-1 receptor agonist for treating non-dependent diabetes mellitus, hyperglycemia, impaired glucose tolerance and insulin-dependent diabetes mellitus, obesity, complications of diabetes, hypertension, hyperlipidemia, atherosclerosis, coronary heart disease, cerebral

infarction, non-alc. steatohepatitis, Parkinson's disease or dementia.
IT 3034115-79-9P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of deuterated indolizine deriv. and application as GLP-1 receptor agonist)
RN 3034115-79-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 117447493 A	CN 2023-11785556	Register|Global Dossier

L3 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:2460554 CAPLUS Full-text
DOCUMENT NUMBER: 185:30523
TITLE: Preparation of substituted imidazoles as GLP-1 receptor modulators
INVENTOR(S): Ren, Zaifang; Sun, Xuefeng; Zhou, Jingye; Xu, Qing
PATENT ASSIGNEE(S): Eccogene (Shanghai) Co., Ltd., Peop. Rep. China
ULTIMATE OWNER: ECCOGENE INC.
ULTIMATE OWNER STANDARD: ECCOGENE INC.
SOURCE: U.S., 249pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 11584751	B1	20230221	US 2021-17516932	20211102
US 20230051320	A1	20230216	US 2021-17380084	20210720
US 12037339	B2	20240716		

PRIORITY APPLN. INFO.: WO 2020-CN102955 A 20200720
WO 2021-CN70120 A 20210104
US 2021-17380084 A1 20210720

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE

US 11584751	B1	Alive	20230302
US 20230051320	A1	Alive	20230831
US 12037339	B2	Alive	20230831

OTHER SOURCE(S): CASREACT 185:30523; MARPAT 185:30523

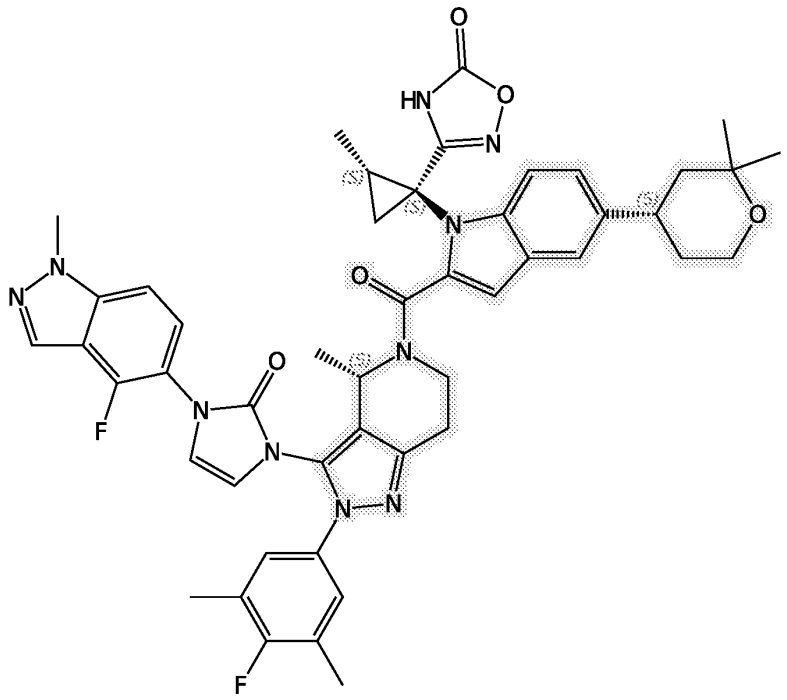
AB The application relates to a substituted imidazole compd. or a pharmaceutically acceptable salt, hydrate, solvate, prodrug, stereoisomer, or tautomer thereof, which modulates the activity of GLP-1 receptor, a pharmaceutical compn. comprising a substituted imidazole compd., and a method of treating or preventing a disease in which GLP-1 receptor plays a role. Example compd. was prepd. by a multistep procedure (procedure given). The invention compds. were evaluated for their GLP-1 receptor modulatory activity. From the assay, it was detd. that the compd. exhibited EC50 value of > 0 nM.

IT 2212020-52-3

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

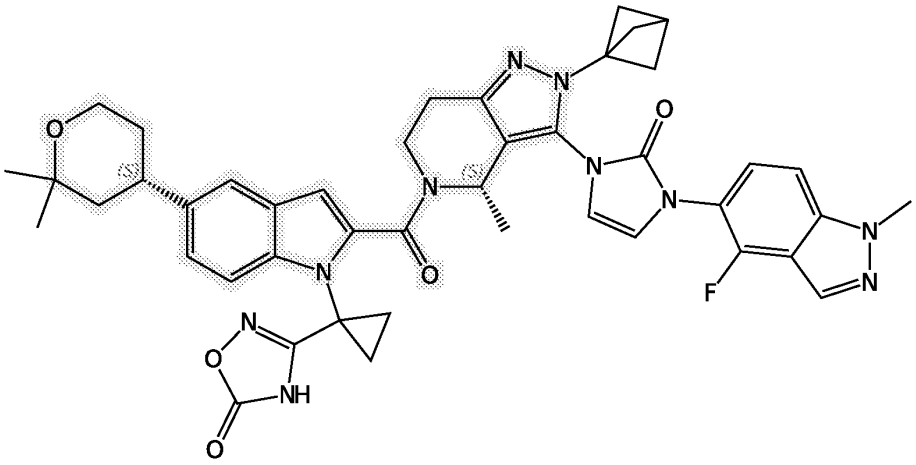
IT 2758658-74-9P 2758658-76-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)

RN 2758658-74-9 CAPLUS

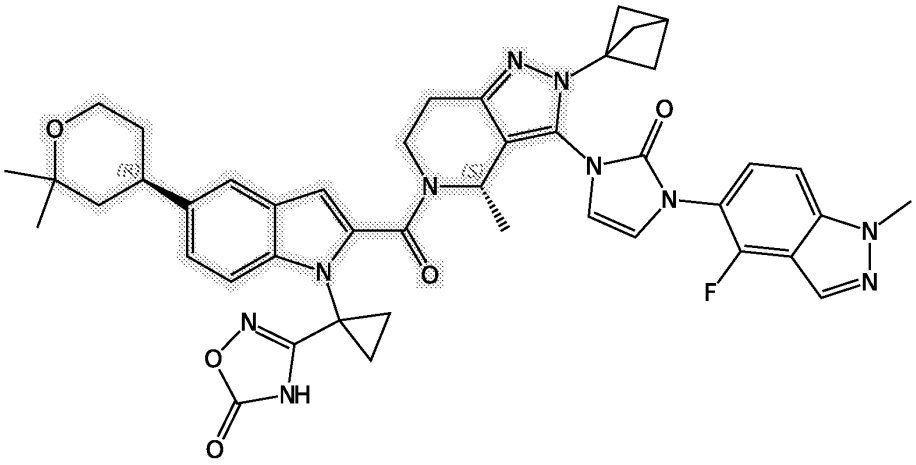
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-bicyclo[1.1.1]pent-1-yl-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,

2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



Absolute stereochemistry shown

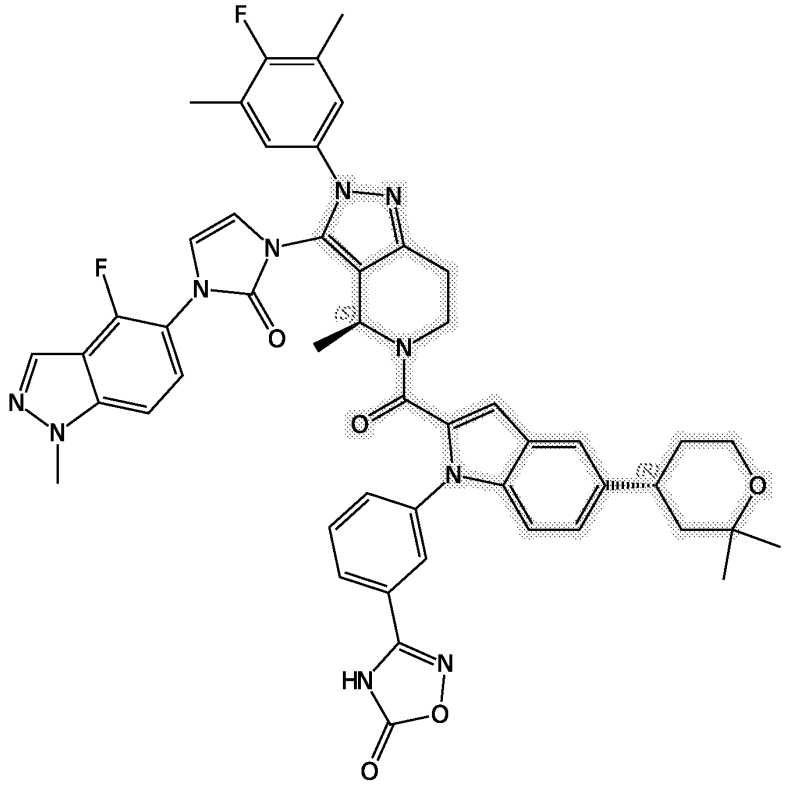
RN 2758658-76-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-bicyclo[1.1.1]pent-1-yl-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



Absolute stereochemistry shown

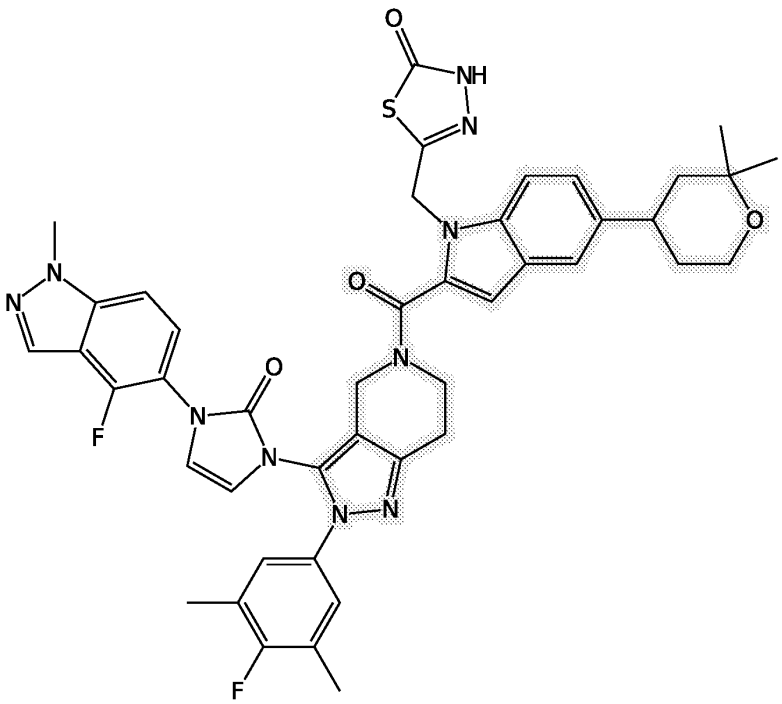
IT 2758657-82-6P 2758657-96-2P 2758658-00-1P
2758658-04-5P 2758658-07-8P 2758658-10-3P
2758658-14-7P 2758658-17-0P 2758658-20-5P
2758658-24-9P 2758658-27-2P 2758658-31-8P
2758658-34-1P 2758658-37-4P 2758658-40-9P
2758658-57-8P 2758658-63-6P 2758658-66-9P
2758658-72-7P 2758658-83-0P 3008600-59-4P
3008601-07-5P 3008601-78-0P 3008606-62-7P
3008607-13-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)

RN 2758657-82-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[3-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]phenyl]- (CA INDEX NAME)

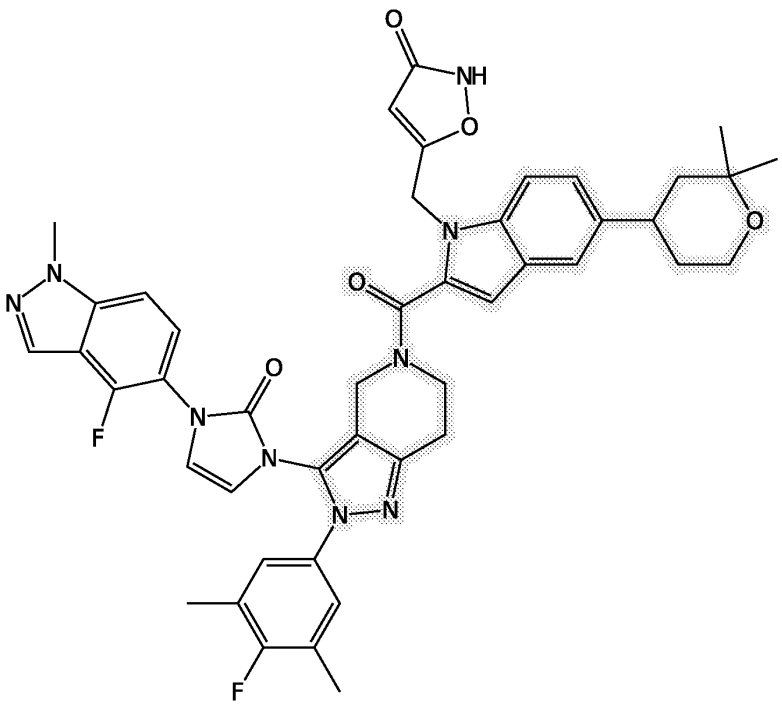


Absolute stereochemistry shown

RN 2758657-96-2 CAPLUS
CN 1,3,4-Thiadiazol-2(3H)-one, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

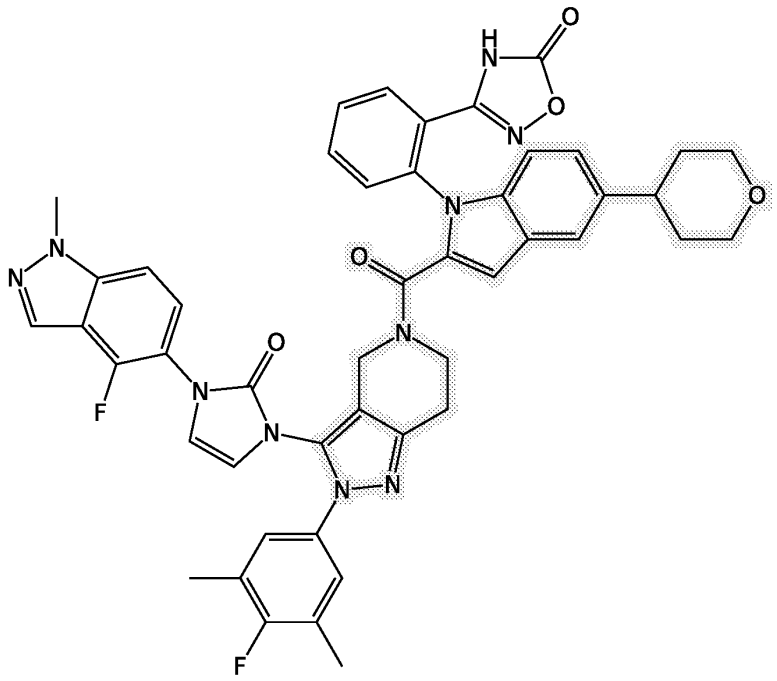


RN 2758658-00-1 CAPLUS
CN 3(2H)-Isoxazolone, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

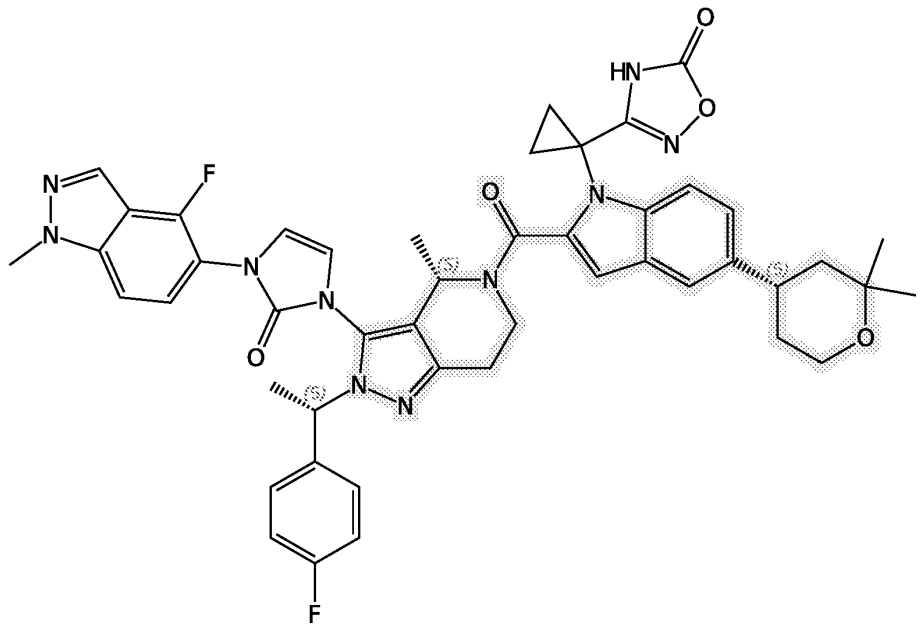


RN 2758658-04-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[2-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(

4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-t
etrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
1H-indol-1-yl]phenyl]- (CA INDEX NAME)



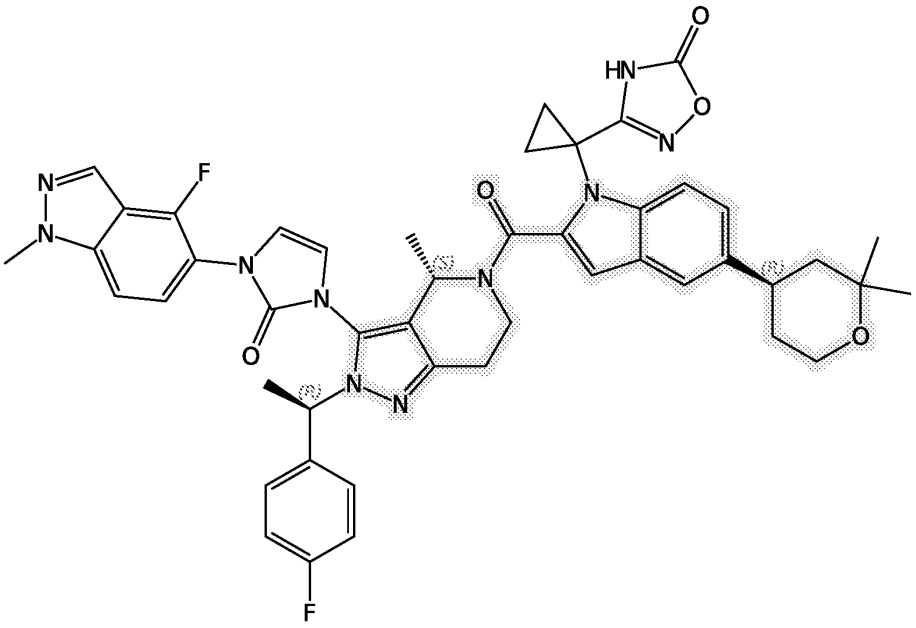
RN   2758658-07-8 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

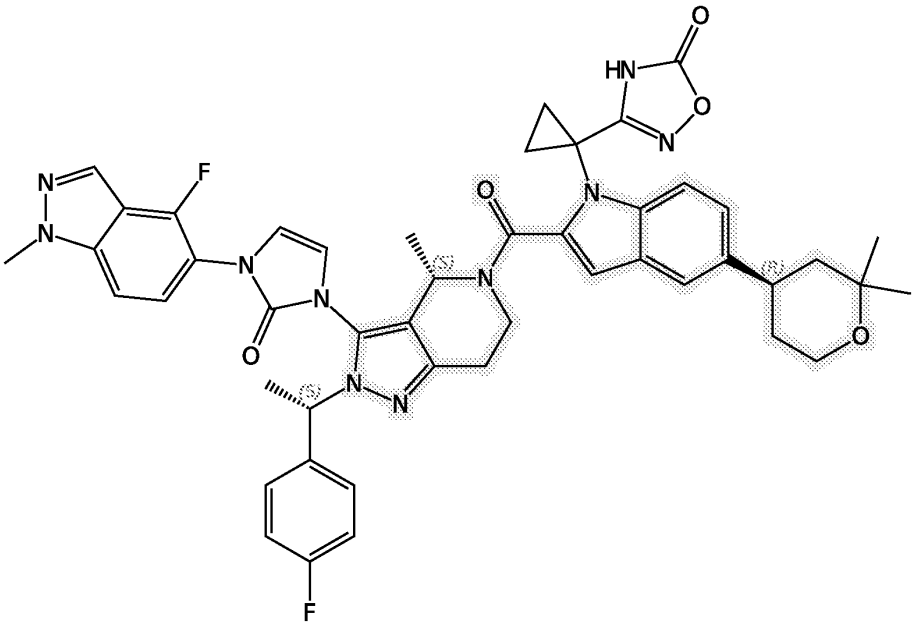
RN   2758658-10-3 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1R)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

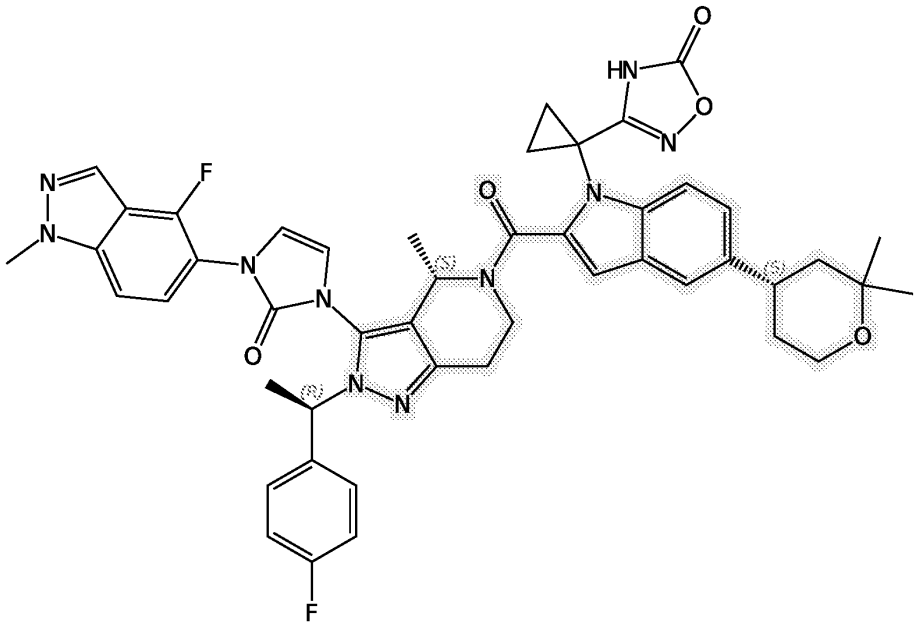
RN   2758658-14-7 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

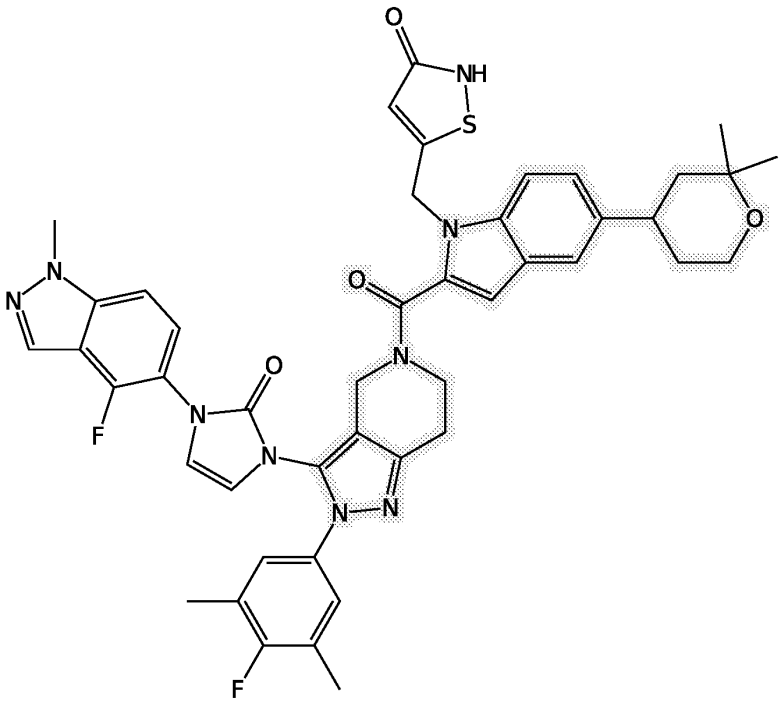
RN   2758658-17-0 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1R)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



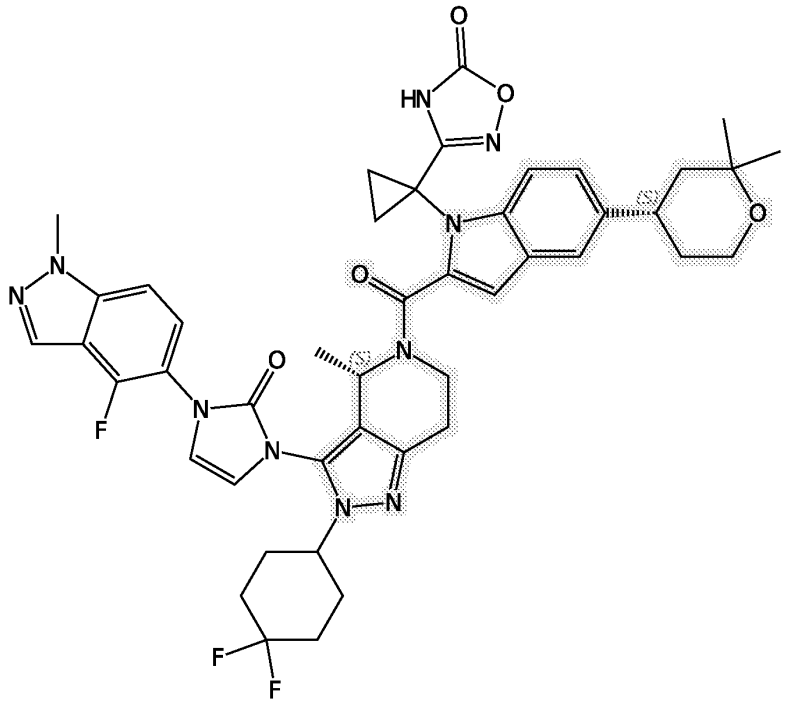
Absolute stereochemistry shown

RN   2758658-20-5 CAPLUS
CN   3(2H)-Isothiazolone, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro
-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydr
o-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4
-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



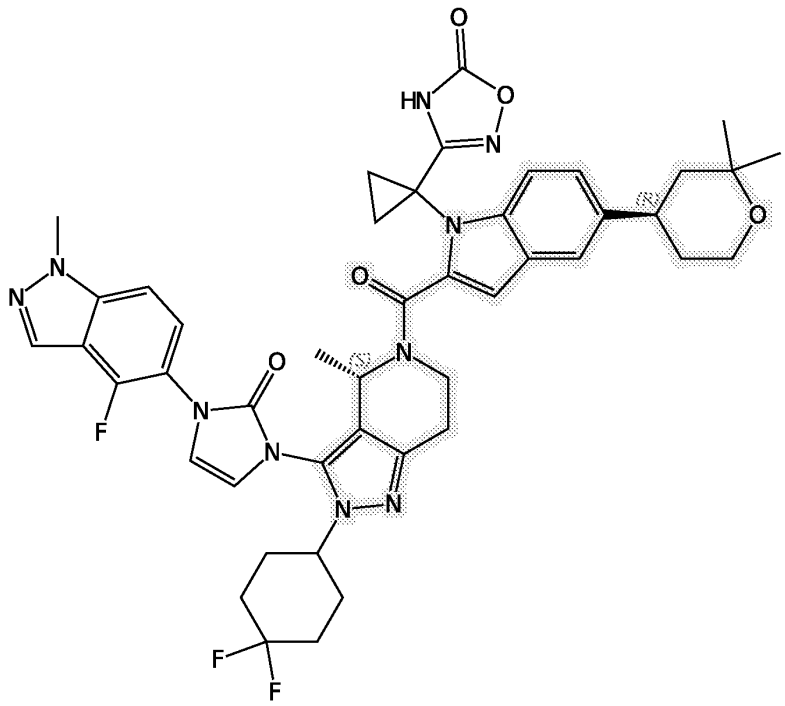
RN   2758658-24-9 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-(4,4-difluorocyclohexyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



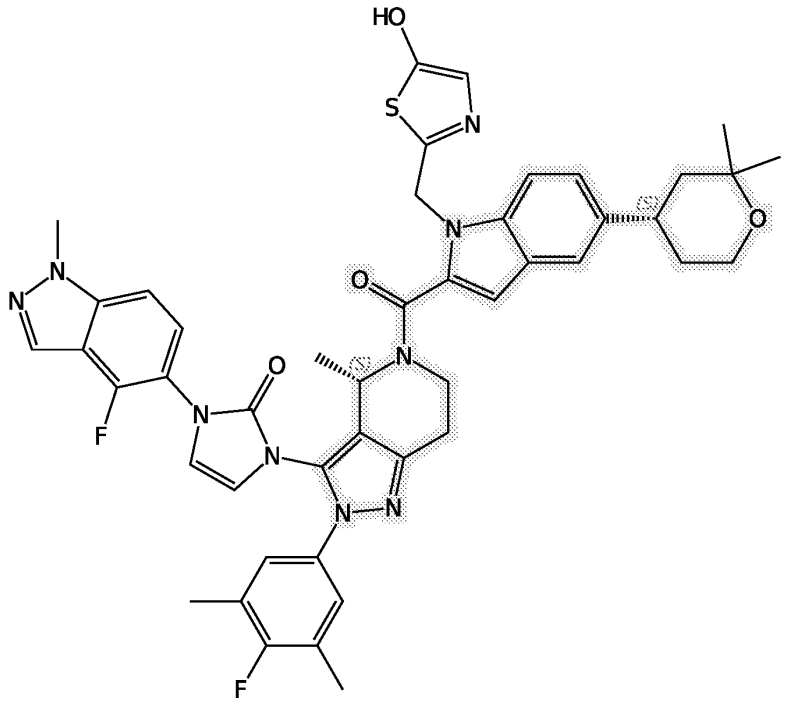
Absolute stereochemistry shown

RN 2758658-27-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-(4,4-difluorocyclohexyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



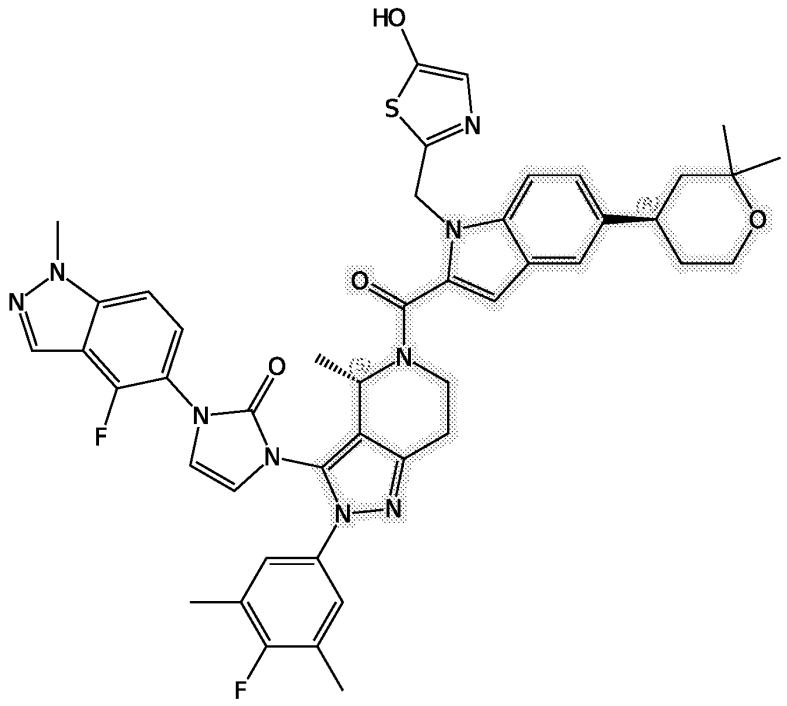
Absolute stereochemistry shown

RN 2758658-31-8 CAPLUS
CN 2H-Imidazol-2-one, 1-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[1-[(5-hydroxy-2-thiazolyl)methyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1,3-dihydro- (CA INDEX NAME)



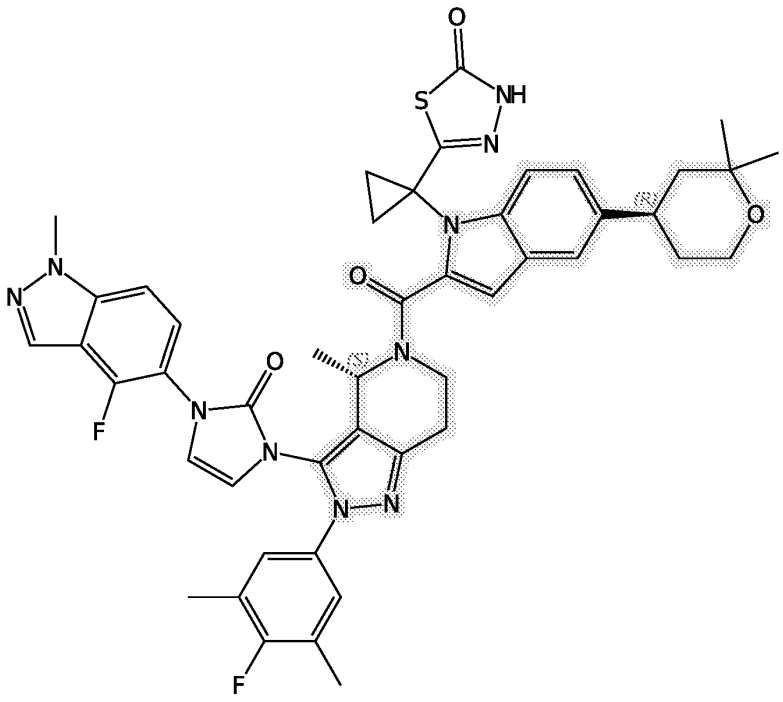
Absolute stereochemistry shown

RN 2758658-34-1 CAPLUS
CN 2H-Imidazol-2-one, 1-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahyd
ro-5-[[1-[(5-hydroxy-2-thiazolyl)methyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyra
n-4-yl]-1H-indol-2-yl]carbonyl]-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-3-(4-fl
uoro-1-methyl-1H-indazol-5-yl)-1,3-dihydro- (CA
INDEX NAME)



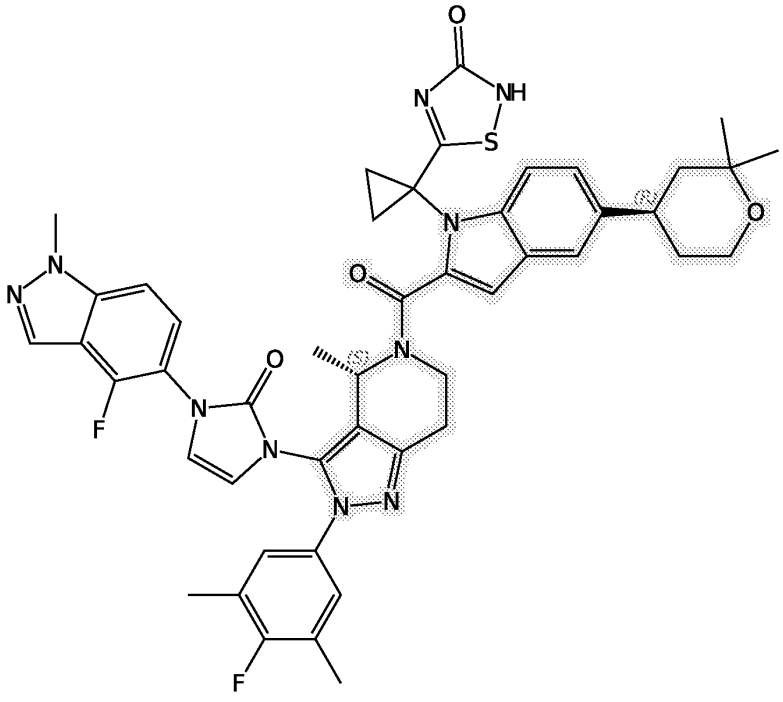
Absolute stereochemistry shown

RN 2758658-37-4 CAPLUS
CN 1,3,4-Thiadiazol-2(3H)-one, 5-[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-
3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



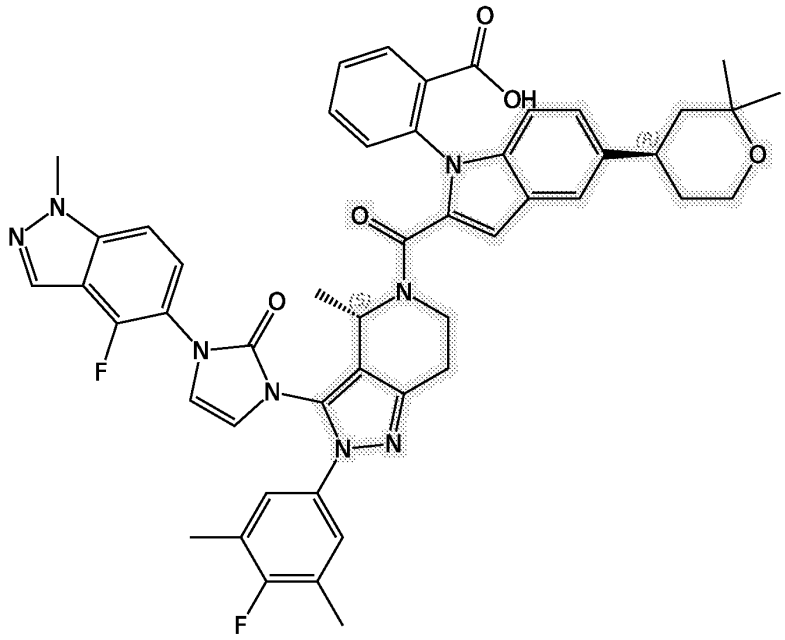
Absolute stereochemistry shown

RN 2758658-40-9 CAPLUS
CN 1,2,4-Thiadiazol-3(2H)-one, 5-[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



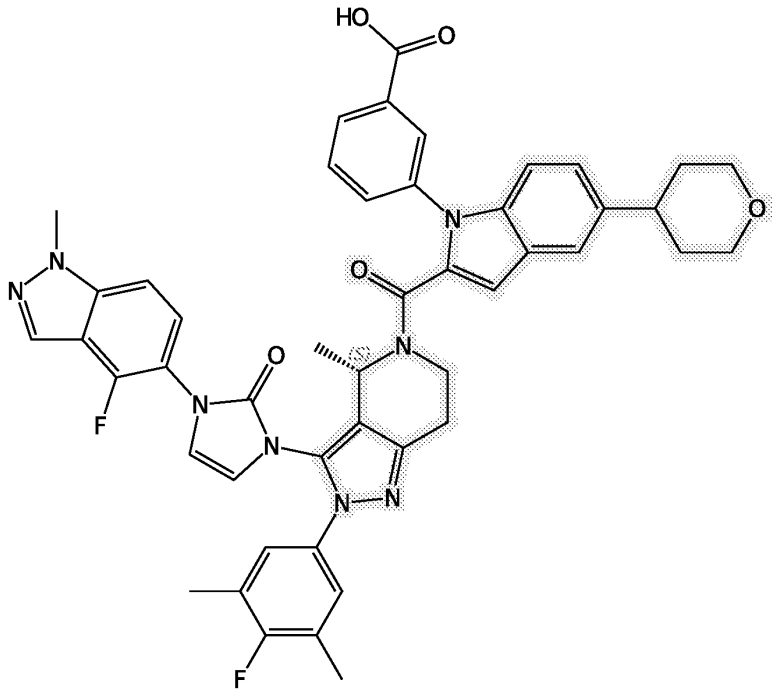
Absolute stereochemistry shown

RN 2758658-57-8 CAPLUS
CN Benzoic acid, 2-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]- (CA INDEX NAME)



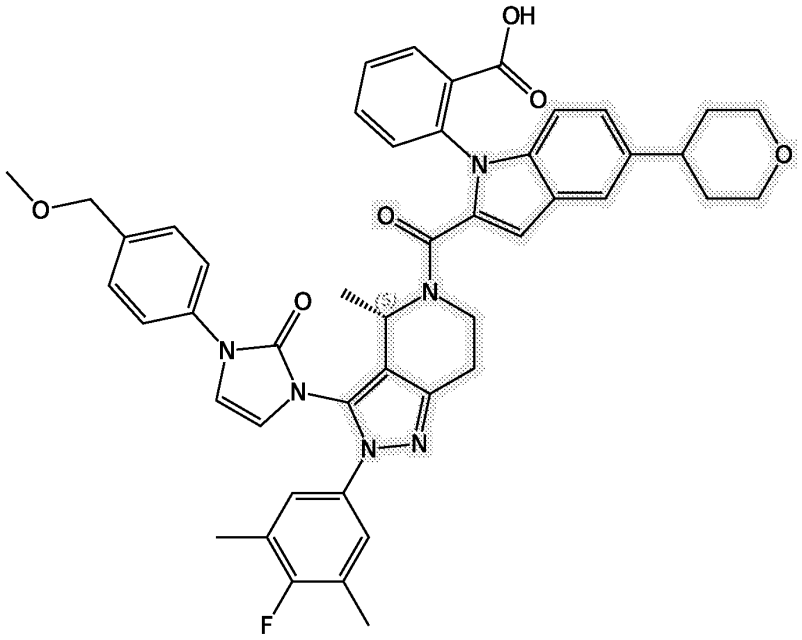
Absolute stereochemistry shown

RN 2758658-63-6 CAPLUS
CN Benzoic acid, 3-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]- (CA INDEX NAME)



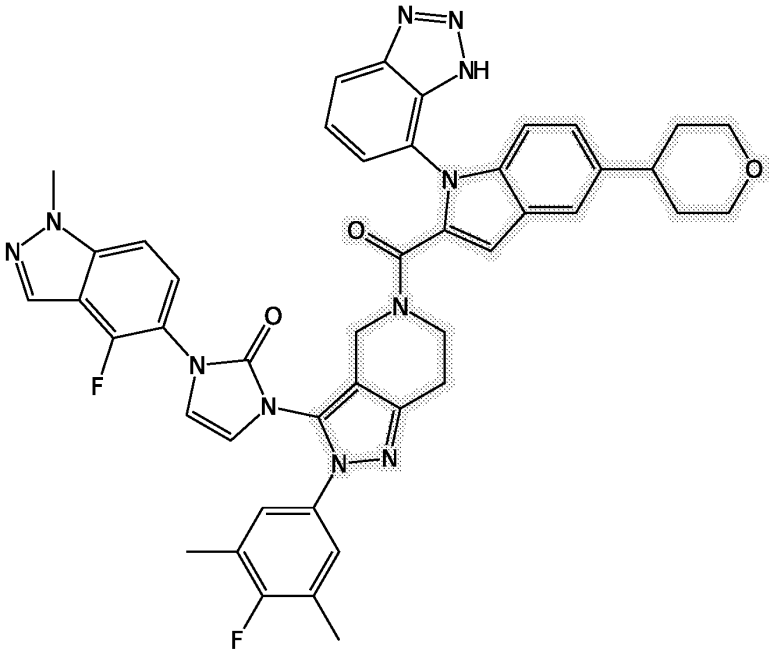
Absolute stereochemistry shown

RN 2758658-66-9 CAPLUS
CN Benzoic acid, 2-[2-[[(4S)-3-[2,3-dihydro-3-[4-(methoxymethyl)phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]- (CA INDEX NAME)

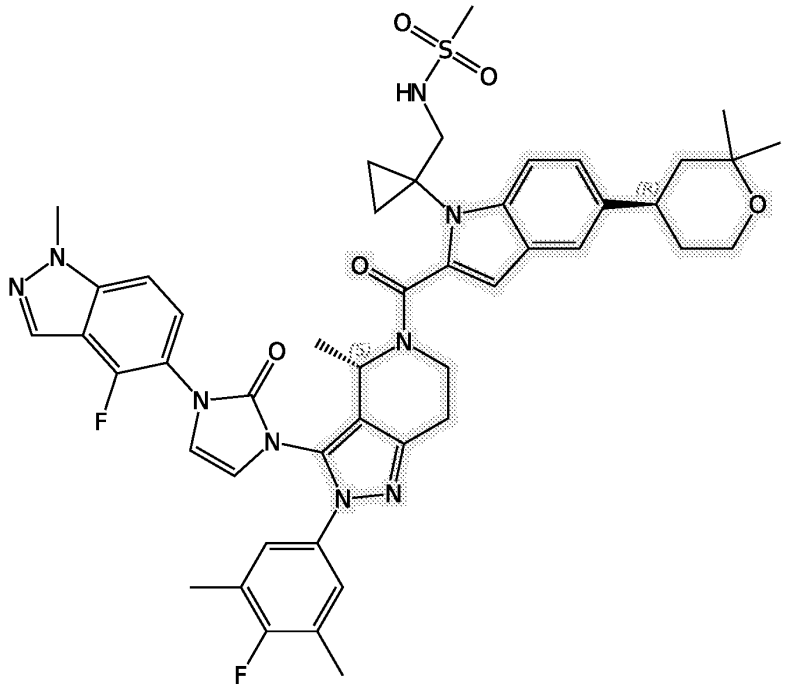


Absolute stereochemistry shown

RN 2758658-72-7 CAPLUS
CN 2H-Imidazol-2-one, 1-[5-[[1-(1H-benzotriazol-7-yl)-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridin-3-yl]-3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1,3-dihydro- (CA INDEX NAME)

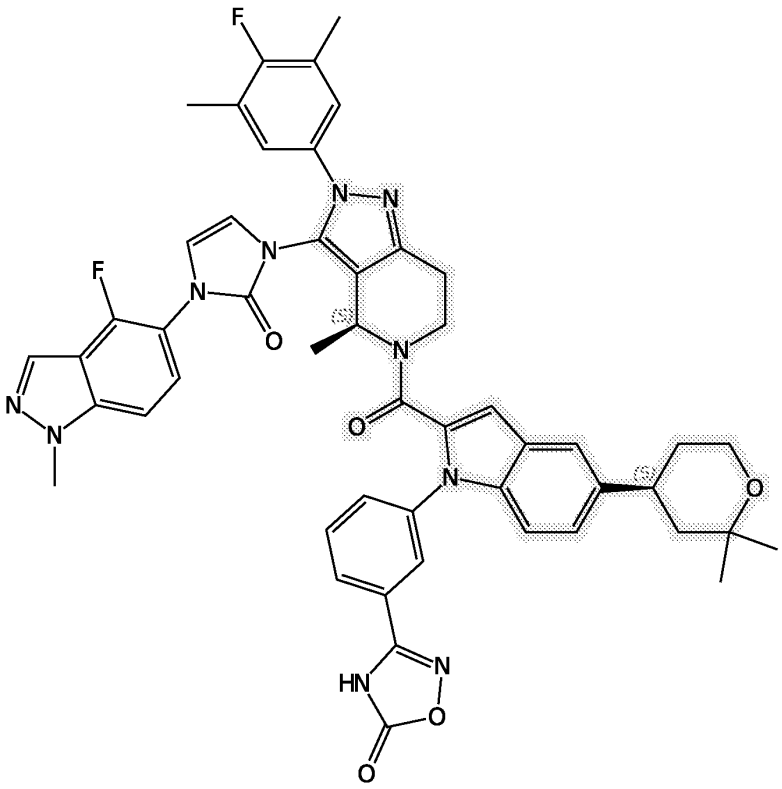


RN 2758658-83-0 CAPLUS
CN Methanesulfonamide, N-[[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]methyl]- (CA INDEX NAME)



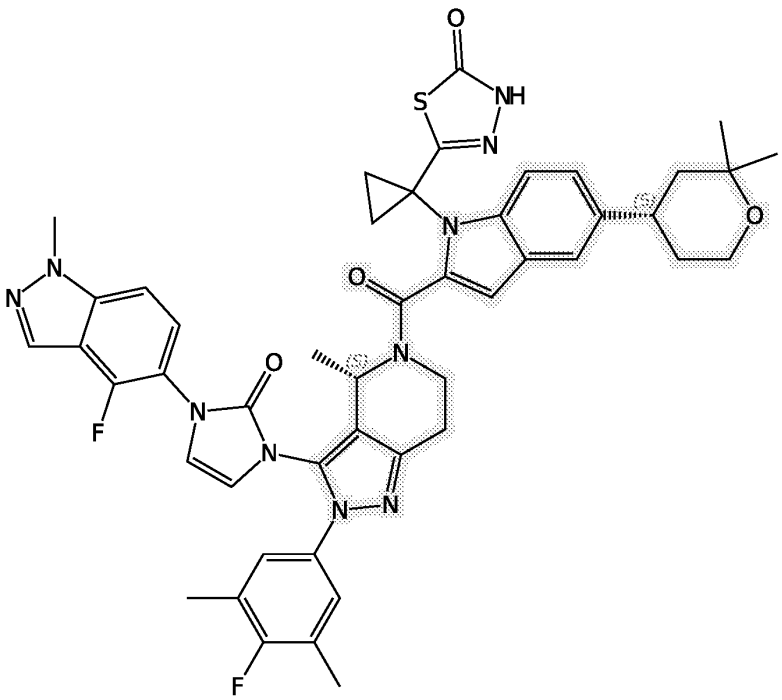
Absolute stereochemistry shown

RN 3008600-59-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[3-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]phenyl]- (CA INDEX NAME)



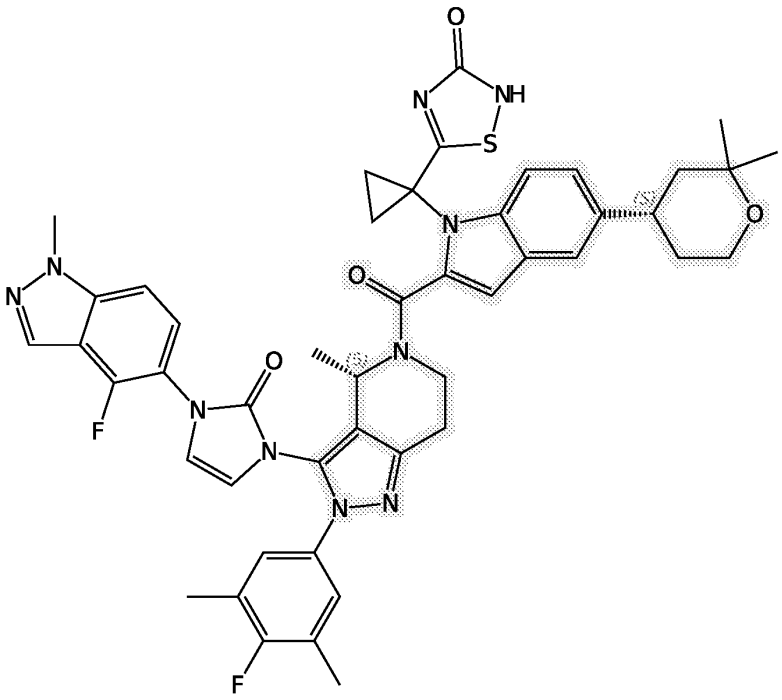
Absolute stereochemistry shown

RN 3008601-07-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



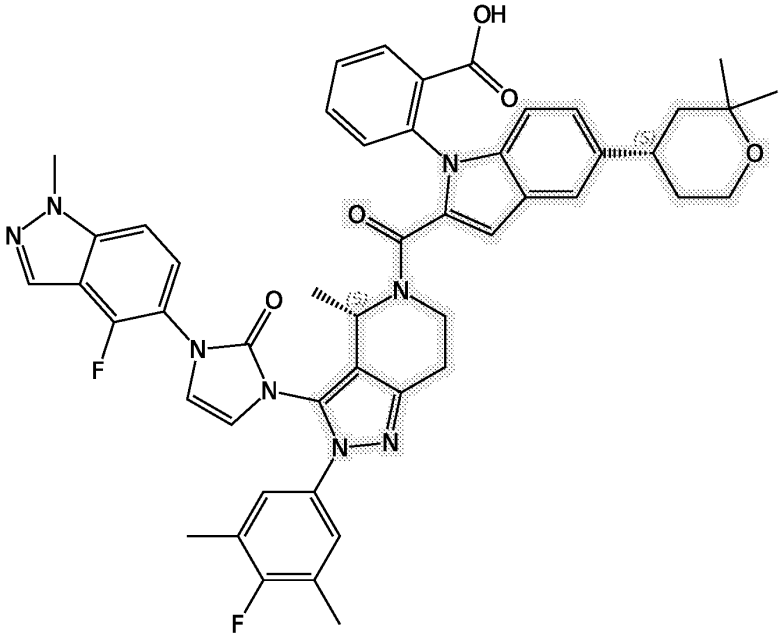
Absolute stereochemistry shown

RN 3008601-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



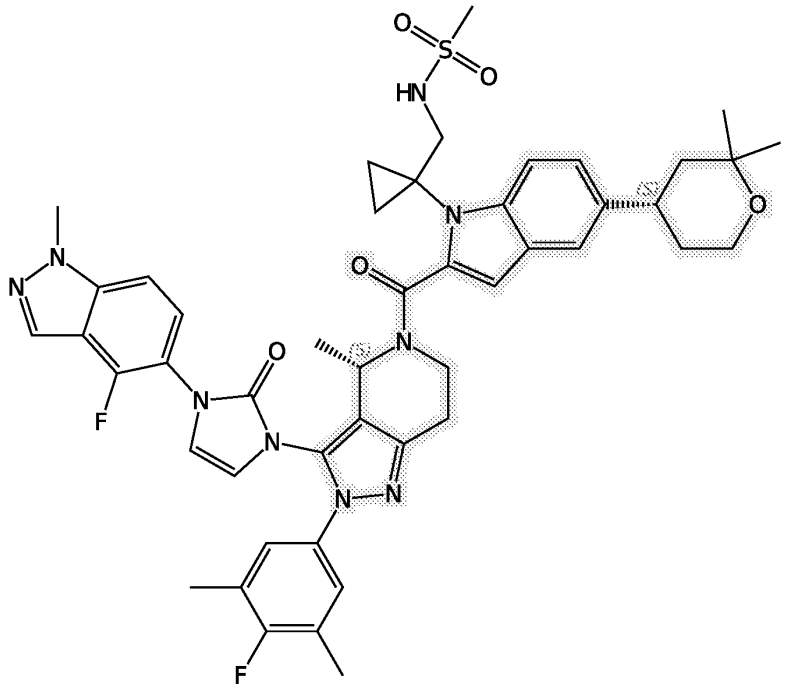
Absolute stereochemistry shown

RN 3008606-62-7 CAPLUS
CN Benzoic acid, 2-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]- (CA INDEX NAME)



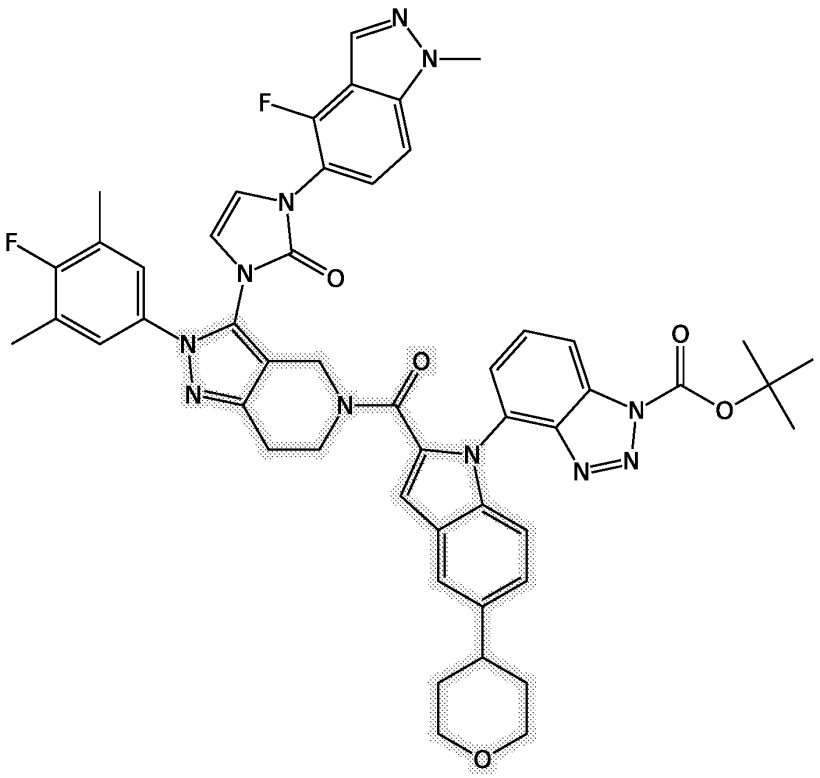
Absolute stereochemistry shown

RN 3008607-13-1 CAPLUS
CN Methanesulfonamide, N-[[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]methyl]- (CA INDEX NAME)



Absolute stereochemistry shown

IT 2758662-12-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)
RN 2758662-12-1 CAPLUS
CN 1H-Benzotriazole-1-carboxylic acid, 4-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
US 12037339 B2	US 2021-17380084	Register|Global Dossier
US 20230051320 A1	US 2021-17380084	Register|Global Dossier
US 11584751 B1	US 2021-17516932	Register|Global Dossier

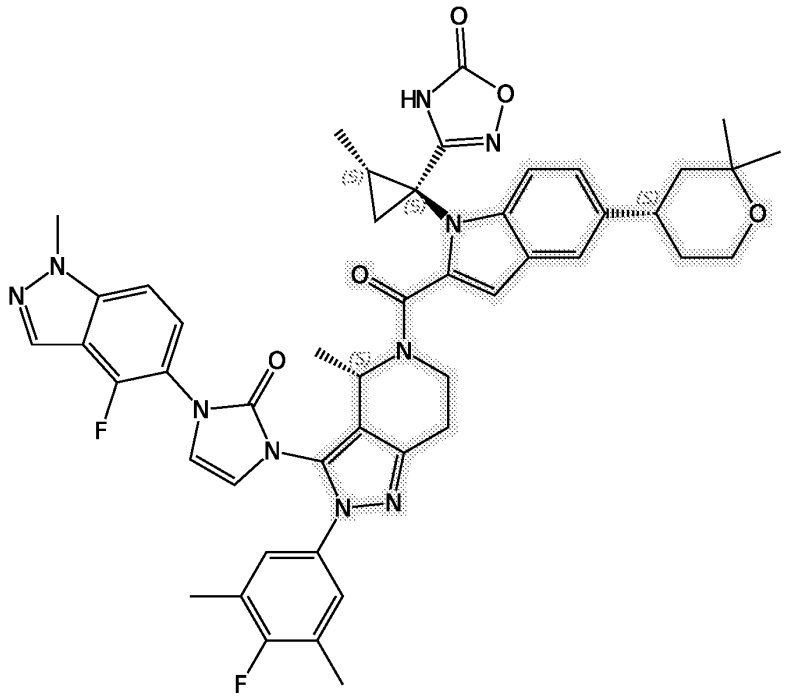
L3 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:2360096 CAPLUS Full-text
DOCUMENT NUMBER: 184:347210
TITLE: Pharmaceutical tablet composition containing glucagon-like peptide-1 for treating Type 2 diabetes mellitus
INVENTOR(S): Aburub, Aktham; Allgeier, Matthew Carl; Hanson, Joshua M.; Huang, Siyuan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
ULTIMATE OWNER: ELI LILLY & CO
ULTIMATE OWNER STANDARD: Eli Lilly
SOURCE: PCT Int. Appl., 65pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2023220112	A1	20231116	WO 2023-US21641		20230510
PK 2023000288	A0	20230519	PK 2023-288		20230511
PRIORITY APPLN. INFO.:			US 2022-63340595	P	20220511

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2023220112	A1	Alive	20231123

OTHER SOURCE(S): CASFORMULTNS 2023:2360096
AB The invention relates to a tablet compn. comprising: spray dried dispersion (SDD) of 3-[(1S,2S)-1-[5-[(4S)-2,2-dimethyloxan-4-yl]-2-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridine-5-carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one, or a pharmaceutically acceptable salt thereof; and a pH modifier, wherein the SDD also comprises a polymer to maintain an amorphous state.
IT 2212020-52-3
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical tablet compn. contg. glucagon-like peptide-1 for treating Type 2 diabetes mellitus)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2023220112 A1	WO 2023-US21641	Register|Global Dossier

L3 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:2360082 CAPLUS Full-text
DOCUMENT NUMBER: 185:59037
TITLE: Glp1 pharmaceutical compositions
INVENTOR(S): Burns, Lee Joseph
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
ULTIMATE OWNER: ELI LILLY & CO
ULTIMATE OWNER STANDARD: Eli Lilly
SOURCE: PCT Int. Appl., 38pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2023220109	A1	20231116	WO 2023-US21637		20230510
PK 2023000287	A0	20230519	PK 2023-287		20230511
PRIORITY APPLN. INFO.:			US 2022-63340591	P	20220511

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2023220109	A1	Alive	20231123

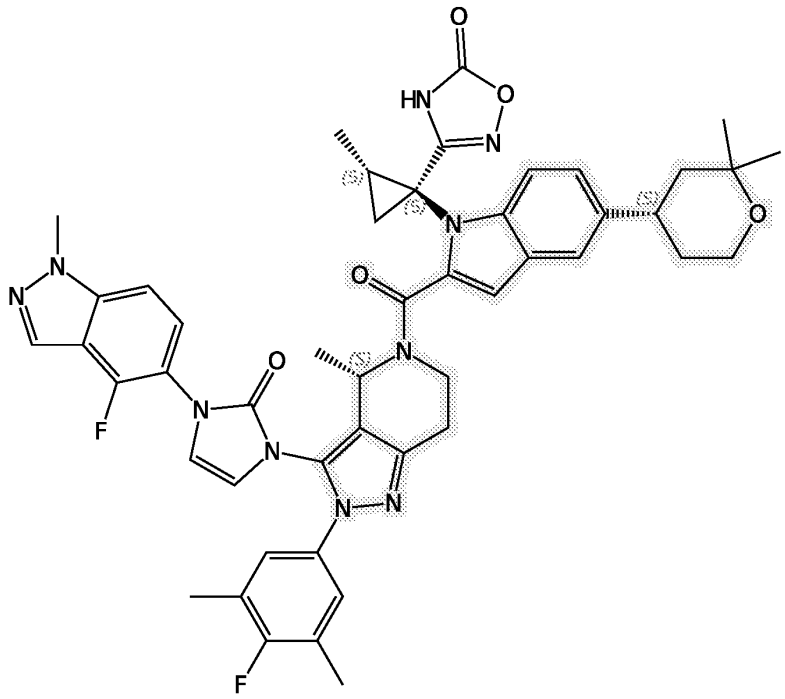
OTHER SOURCE(S): CASFORMULTNS 2023:2360082

AB The present invention relates to an oral capsule compn. comprising 3-[(1S,2S)-1-[5-[(4S)-2,2-dimethyloxan-4-yl]-2-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridine-5-carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one,or a pharmaceutically acceptable salt thereof; and a pH modifier.

IT 3008544-96-2
RL: PRP (Properties); RCT (Reactant); SCLM (Substance claimed); RACT (Reactant or reagent)
(Glp1 pharmaceutical compns.)

RN 3008544-96-2 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-, calcium salt, hydrate (2:1:2) (CA INDEX NAME)



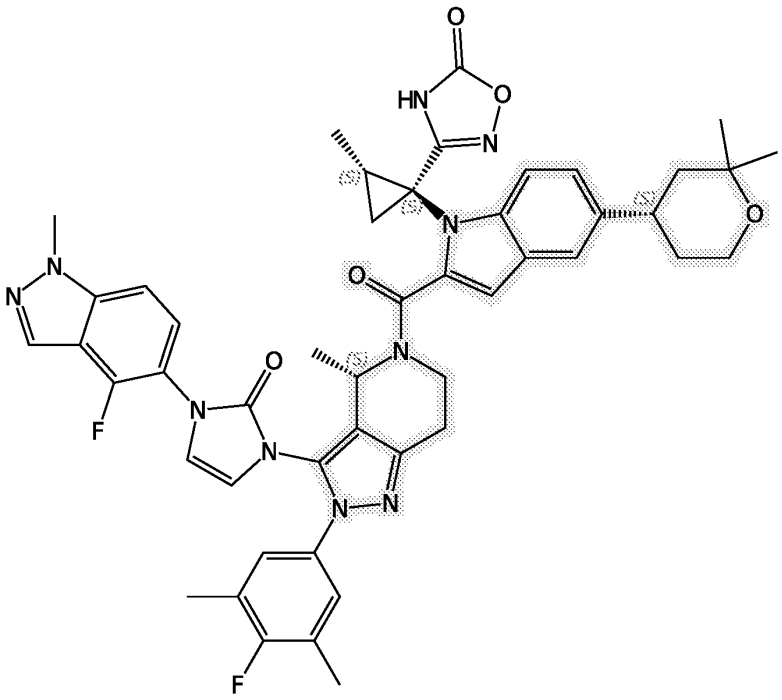
• 1/2 Ca

• H_2O

Absolute stereochemistry shown

IT 2212020-52-3P
RL: PRP (Properties); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Glp1 pharmaceutical compns.)

RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2023220109 A1	WO 2023-US21637	Register|Global Dossier

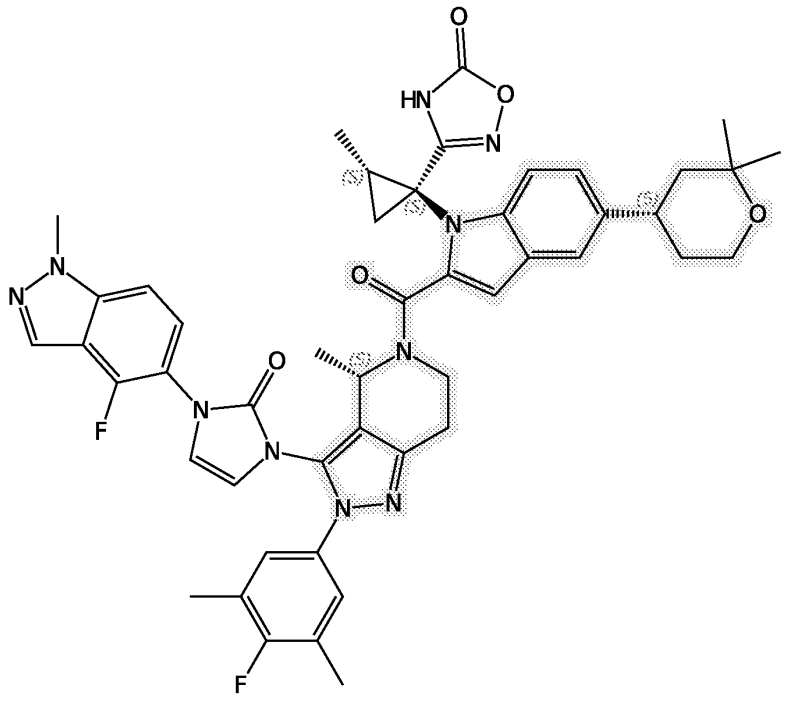
L3 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:2160033 CAPLUS Full-text
DOCUMENT NUMBER: 184:327495
TITLE: Safety and efficacy of the new, oral, small-molecule, GLP-1 receptor agonists orforglipron and danuglipron for the treatment of type 2 diabetes and obesity: systematic review and meta-analysis of randomized controlled trials
AUTHOR(S): Karakasis, Paschalis; Patoulias, Dimitrios; Pamporis, Konstantinos; Stachteas, Panagiotis; Bougioukas, Konstantinos I.; Klisic, Aleksandra; Fragakis, Nikolaos; Rizzo, Manfredi
CORPORATE SOURCE: Second Department of Cardiology, Aristotle University of Thessaloniki, General Hospital Hippokration, Greece
SOURCE: Metabolism, Clinical and Experimental (2023), 149, 155710
CODEN: METAAJ; ISSN: 0026-0495
DIGITAL OBJECT ID: 10.1016/j.metabol.2023.155710
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB The present systematic review aimed to synthesize available data from recently published randomized trials (RCTs) investigating the efficacy and safety of the novel, orally administered, small-mol. glucagon-like peptide

1 receptor agonists (GLP-1RAs) orforglipron and danuglipron for the treatment of type 2 diabetes mellitus (T2DM), obesity or both. Literature search was performed through Medline (via PubMed), Cochrane Library and Scopus until August 16, 2023. Double-independent study selection, data extn. and quality assessment were performed. Evidence was pooled with random effects meta-anal. Totally, 1037 patients among seven RCTs were analyzed. All RCTs had low risk of bias according to the Cochrane Collaboration tool (RoB2). Novel GLP-1RAs led to significant redn. in HbA1c in patients with T2DM compared to controls (MD = -1.03%; 95% CI = [-1.29, -0.77]; P < 0.001). A significantly greater wt. redn. was also noted both in patients with T2DM or obesity compared to controls (MD = -3.26 kg; 95% CI = [-4.79, -1.72]; P < 0.001 and MD = -7.52 kg; 95% CI = [-14.63, -0.41]; P = 0.038, resp.; P for subgroup differences = 0.25). Regarding safety, novel GLP-1RAs showed a neutral effect on the odds of severe hypoglycemia or serious adverse events (OR = 0.34; 95% CI = [0.09, 1.31]; P = 0.11 and OR = 0.95; 95% CI = [0.39, 2.34]; P = 0.91, resp.) and significantly higher odds of gastrointestinal, treatment-emergent adverse events (OR = 2.57; 95% CI = [1.49, 4.42]; P < 0.001) and adverse events leading to discontinuation (OR = 2.89; 95% CI = [1.22, 6.87]; P = 0.016). Preliminary evidence supports that orforglipron and danuglipron are efficient in glycemic control and wt. redn. in T2DM, obesity or both. More longitudinal research is warranted in order to provide deeper insights into their efficacy, safety and tolerability before their potential incorporation in the pharmacol. arsenal against T2DM or obesity.

IT 2212020-52-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(safety and efficacy of the new, oral, small-mol., GLP-1 receptor agonists orforglipron and danuglipron for the treatment of type 2 diabetes and obesity)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

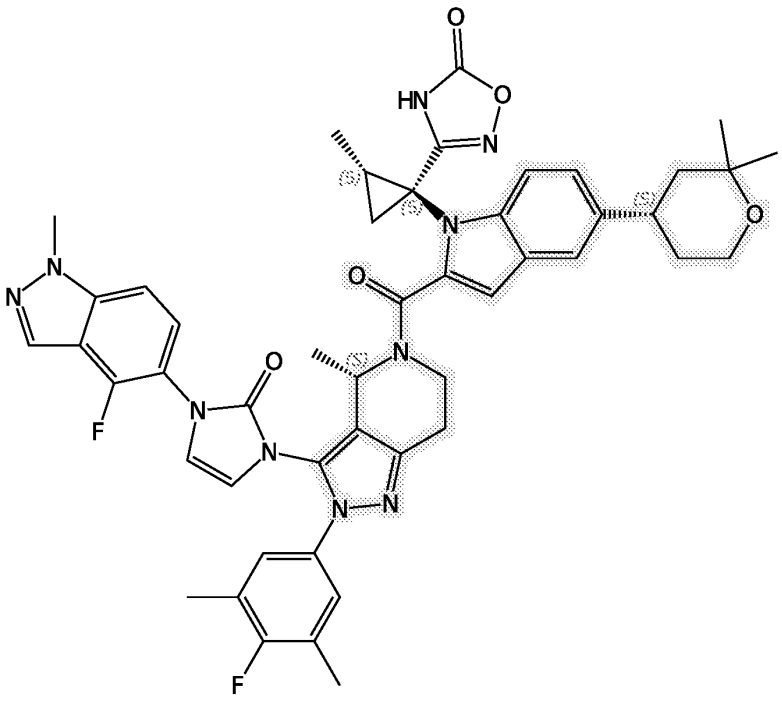


Absolute stereochemistry shown

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (10 CITINGS)
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:1886467 CAPLUS Full-text
DOCUMENT NUMBER: 184:241213
TITLE: Daily oral GLP-1 receptor agonist orforglipron for adults with obesity
AUTHOR(S): Wharton, Sean; Blevins, Thomas; Connery, Lisa; Rosenstock, Julio; Raha, Sohini; Liu, Rong; Ma, Xiaosu; Mather, Kieren J.; Haupt, Axel; Robins, Deborah; Pratt, Edward; Kazda, Christof; Konig, Manige
CORPORATE SOURCE: The GZGI Investigators, McMaster University, Toronto, ON, Can.
SOURCE: New England Journal of Medicine (2023), 389(10), 877-888
CODEN: NEJMAG; ISSN: 1533-4406
URL: http://www.nejm.org/medical-index
DIGITAL OBJECT ID: 10.1056/nejmoa2302392
PUBLISHER: Massachusetts Medical Society
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB Background Obesity is a major risk factor for many leading causes of illness and death worldwide. Data are needed regarding the efficacy and safety of the nonpeptide glucagon-like peptide-1 (GLP-1) receptor agonist orforglipron as a once-daily oral therapy for wt. redn. in adults with obesity. methods In this phase 2, randomized, double-blind trial, we enrolled adults with obesity, or with overweight plus at least one wt.-related coexisting condition, and without diabetes. Participants were randomly assigned to receive orforglipron at one of four doses (12, 24, 36, or 45 mg) or placebo once daily for 36 wk. The percentage change from baseline in body wt. was assessed at week 26 (primary end point) and at week 36 (secondary end point). results A total of 272 participants underwent randomization. At baseline, the mean body wt. was 108.7 kg, and the mean body-mass index (the wt. in kilograms divided by the square of the height in meters) was 37.9. At week 26, the mean change from baseline in body wt. ranged from -8.6% to -12.6% across the orforglipron dose cohorts and was -2.0% in the placebo group. At week 36, the mean change ranged from -9.4% to -14.7% with orforglipron and was -2.3% with placebo. A wt. redn. of at least 10% by week 36 occurred in 46 to 75% of the participants who received orforglipron, as compared with 9% who received placebo. The use of orforglipron led to improvement in all prespecified wt.-related and cardiometabolic measures. The most common adverse events reported with orforglipron were gastrointestinal events, which were mild to moderate, occurred primarily during dose escalation, and led to discontinuation of orforglipron in 10 to 17% of participants across dose cohorts. The safety profile of orforglipron was consistent with that of the GLP-1 receptor agonist class. conclusions Daily oral orforglipron, a nonpeptide GLP-1 receptor agonist, was assocd. with wt. redn. Adverse events reported with orforglipron were similar to those with injectable GLP-1 receptor agonists.
IT 2212020-52-3
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(daily oral GLP-1 receptor agonist orforglipron for adults with obesity)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:1379711 CAPLUS Full-text
DOCUMENT NUMBER: 183:320858
TITLE: Efficacy and safety of oral orforglipron in patients with type 2 diabetes: a multicentre, randomised, dose-response, phase 2 study
AUTHOR(S): Frias, Juan P.; Hsia, Stanley; Eyde, Sarah; Liu, Rong; Ma, Xiaosu; Konig, Manige; Kazda, Christof; Mather, Kieren J.; Haupt, Axel; Pratt, Edward; Robins, Deborah
CORPORATE SOURCE: Velocity Clinical Research, Los Angeles, CA, USA
SOURCE: Lancet (2023), 402(10400), 472-483
CODEN: LANCAO; ISSN: 0140-6736
DIGITAL OBJECT ID: 10.1016/s0140-6736(23)01302-8
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB Orforglipron, an oral, non-peptide glucagon-like peptide-1 (GLP-1) receptor agonist, is in development for type 2 diabetes and obesity. We assessed the efficacy and safety of orforglipron vs. placebo or dulaglutide in participants with type 2 diabetes. In this 26-wk, phase 2, double-blind, randomised, multicentre study, participants were recruited from 45 centers (private clinics, hospitals, and research centers) in the USA, Hungary, Poland, and Slovakia. Adult participants aged 18 years or older with type 2 diabetes treated with diet and exercise, with or without metformin, and with a glycated Hb (HbA1c) of 7.0-10.5%, and stable BMI of 23 kg/m2 or more, were randomly assigned (5:5:5:5:5:3:3:3:3) via an interactive web-response system to placebo, dulaglutide 1.5 mg once per wk, or orforglipron 3 mg, 12 mg, 24 mg, 36 mg (group 1), 36 mg (group 2), 45 mg (group 1), or 45 mg (group 2) once per day with no food or water restrictions. Two different dose escalation regimens were evaluated for each of the 36 mg and 45 mg cohorts. Participants were masked to the study drug, dulaglutide, and placebo. The primary efficacy outcome The primary efficacy outcome was mean change in HbA1c from baseline with

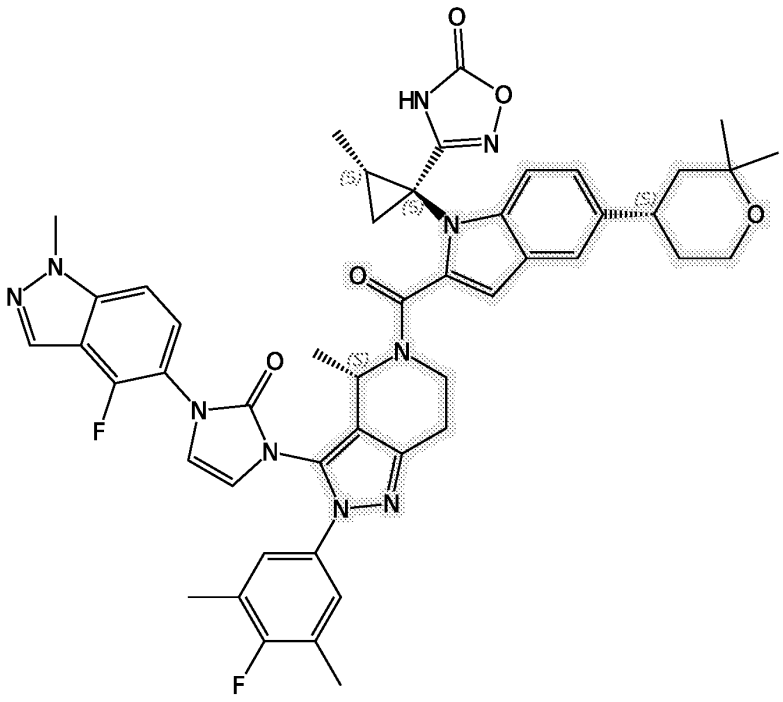
orforglipron vs. placebo at week 26. Efficacy was analyzed in all randomly assigned participants who received at least one dose of study drug and excluded data after the permanent discontinuation of study drug or initiation of rescue medication. Safety was analyzed in all participants who received at least one dose of study treatment. This trial is registered at ClinicalTrials.gov (NCT05048719) and is completed. Between Sept 15, 2021, and Sept 30, 2022, 569 participants were screened and 383 were enrolled and randomly assigned to a group. 352 (92%) completed the study and 303 (79%) completed 26 wk of treatment. At baseline, the mean age was 58.9 years, HbA1c was 8.1%, BMI was 35.2 kg/m2, 226 (59%) were men, and 157 (41%) were women. At week 26, mean change in HbA1c with orforglipron was up to -2.10% (-1.67% placebo adjusted), vs. -0.43% with placebo and -1.10% with dulaglutide. HbA1c redn. was statistically superior with orforglipron vs. placebo (estd. treatment difference -0.8% to -1.7%). Change in mean bodyweight at week 26 was up to -10.1 kg (95% CI -11.5 to -8.7; 7.9 kg placebo adjusted [-9.9 to -5.9]) with orforglipron vs. -2.2 kg (-3.6 to -0.7) for placebo and -3.9 kg (-5.3 to -2.4) for dulaglutide. The incidence of treatment-emergent adverse events ranged from 61.8% to 88.9% in orforglipron-treated participants, compared with 61.8% with placebo and 56.0% with dulaglutide. The majority were gastrointestinal events (44.1% to 70.4% with orforglipron, 18.2% with placebo, and 34.0% with dulaglutide) of mild to moderate severity. Three participants receiving orforglipron and one participant receiving dulaglutide had clin. significant (<54 mg/dL [<3 mmol/L]) hypoglycemia and no participants had severe hypoglycemia. One death occurred in the placebo group and was not related to study treatment. In this phase 2 trial the novel, oral, non-peptide GLP-1 receptor agonist orforglipron at doses of 12 mg or greater showed significant redns. in HbA1c and bodyweight compared with placebo or dulaglutide. The adverse event profile was similar to other GLP-1 receptor agonists in similar stage of development. Orforglipron might provide an alternative to injectable GLP-1 receptor agonists and oral semaglutide, with the prospect of less burdensome administration to achieve treatment goals in people with type 2 diabetes.Eli Lilly and Company.

IT 2212020-52-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy and safety of oral orforglipron in patients with type 2 diabetes)

RN 2212020-52-3 CAPLUS

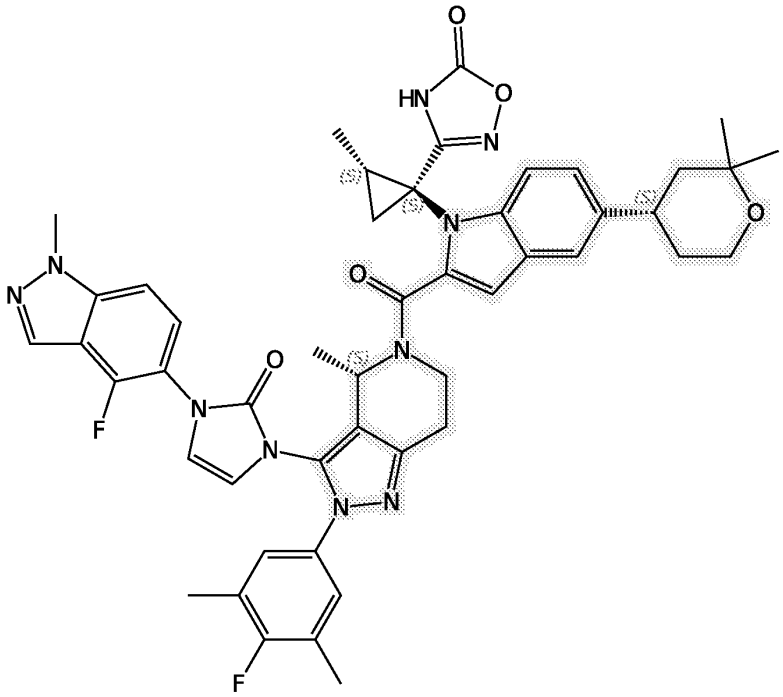
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:1379710 CAPLUS Full-text
DOCUMENT NUMBER: 183:320857
TITLE: Non-peptide, once-per-day oral orforglipron to compete with established peptide-based, injectable GLP-1 receptor agonists
AUTHOR(S): Nauck, Michael A.; Horowitz, Michael
CORPORATE SOURCE: Diabetes, Endocrinology, Metabolism Section, Medical Department I, St Josef Hospital, Katholisches Klinikum Bochum, Ruhr-University Bochum, Bochum, 44791, Germany
SOURCE: Lancet (2023), 402(10400), 429-431
CODEN: LANCAO; ISSN: 0140-6736
DIGITAL OBJECT ID: 10.1016/s0140-6736(23)01201-1
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB This study was a 26-wk multicentre trial performed in four countries (the USA, Hungary, Poland, and Slovakia). 383 Patients with type 2 diabetes were enrolled and randomly assigned to one of five once-per-day doses of orforglipron (3 mg, 12 mg, 24 mg, 36 mg, or 45 mg), placebo, or the active comparator (dulaglutide 1.5 mg once-per-week; an injectable GLP-1 receptor agonist).
IT 2212020-52-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(non-peptide, once-per-day oral orforglipron to compete with established peptide-based, injectable GLP-1 receptor agonists)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:1298430 CAPLUS Full-text
DOCUMENT NUMBER: 183:258513
TITLE: Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1a, blinded, placebo-controlled, randomized, single- and multiple-ascending-dose study in healthy participants
AUTHOR(S): Pratt, Edward; Ma, Xiaosu; Liu, Rong; Robins, Deborah; Haupt, Axel; Coskun, Tamer; Sloop, Kyle W.; Benson, Charles
CORPORATE SOURCE: Lilly Centre for Clinical Pharmacology Pte Ltd, Singapore
SOURCE: Diabetes, Obesity and Metabolism (2023), 25(9), 2634-2641
CODEN: DOMEF6; ISSN: 1462-8902
DIGITAL OBJECT ID: 10.1111/dom.15184
PUBLISHER: Wiley-Blackwell
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

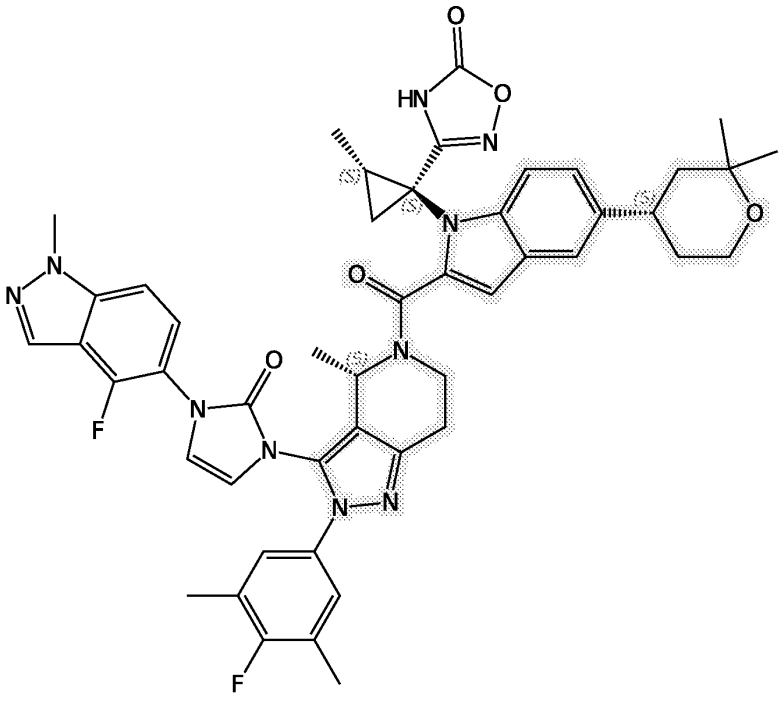
AB To evaluate the safety, tolerability, pharmacokinetics and pharmacodynamics of single and multiple doses of orforglipron (LY3502970), an oral, non-peptide glucagon-like peptide-1 receptor agonist (GLP-1RA) in healthy participants. This was a double-blind, placebo-controlled, Phase 1 study. Overtly healthy adults aged 18 to 65 years with body mass index of 20 to 40 kg/m2 and glycated Hb concn. of 47.5 mmol/mol (<6.5%) were eligible. In Part A, participants received single-dose orforglipron, with four cohorts receiving escalating doses (0.3-6 mg). In Part B, participants received 4 wk of daily repeated oral orforglipron with doses escalating weekly to four different final target doses (2-24 mg). Ninety-two participants enrolled and received at least one study drug dose (32 in Part A [mean age 43.4 years] and 60 in Part B [mean age 42.5 years]). The most common adverse events were gastrointestinal tract-related. Pharmacokinetics were approx. dose proportional, and the mean t1/2 was 24.6 to 35.3 h after a single dose (0.3-6 mg). On Day 28,

the mean t1/2 was 48.1 to 67.5 h across the dose range (2-24 mg). Substantial redns. in body wt. of up to 5.4 kg were obsd. after 4 wk in orforglipron-treated participants, compared to a redn. of 2.4 kg with placebo ($P < 0.05$). Orforglipron decreased fasting glucose levels across Days 1 to 28, and gastric emptying was delayed on Day 28. Orforglipron's long half-life (25-68 h) allows once-daily oral dosing, without water and food restrictions. Orforglipron had a pharmacodynamic and safety profile similar to that of injectable GLP-1RAs, which supports continued clin. development.

IT 2212020-52-3
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(orforglipron (LY3502970), is novel, oral non-peptide glucagon-like peptide-1 receptor agonist)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN

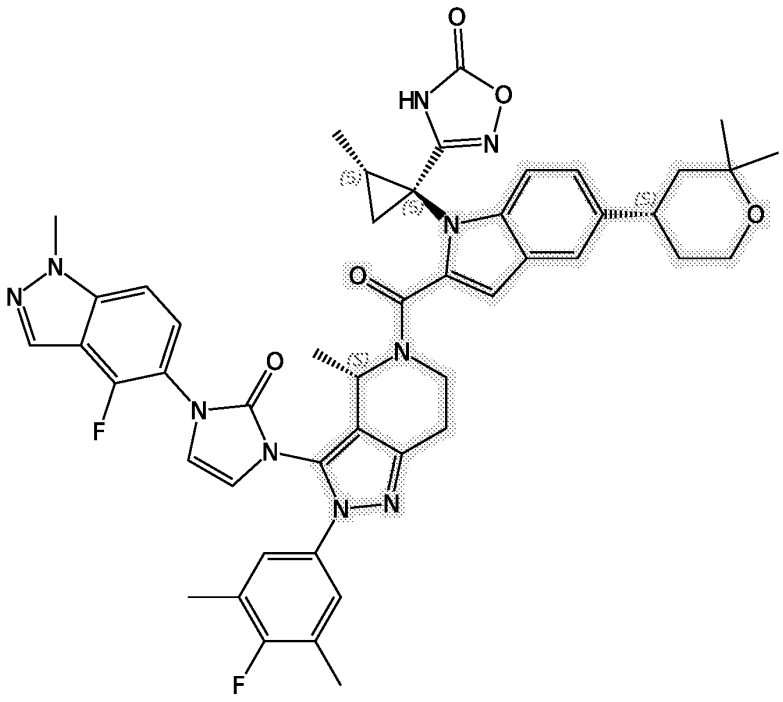
ACCESSION NUMBER: 2023:1194747 CAPLUS Full-text

DOCUMENT NUMBER: 183:258556

TITLE: Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1b, multicentre, blinded, placebo-controlled, randomized, multiple-ascending-dose study in people with type 2 diabetes

AUTHOR(S): Pratt, Edward; Ma, Xiaosu; Liu, Rong; Robins, Deborah; Coskun, Tamer; Sloop, Kyle W.; Haupt, Axel; Benson, Charles

CORPORATE SOURCE: Lilly Centre for Clinical Pharmacology Pte Ltd, Singapore
SOURCE: Diabetes, Obesity and Metabolism (2023), 25(9), 2642-2649
CODEN: DOMEF6; ISSN: 1462-8902
DIGITAL OBJECT ID: 10.1111/dom.15150
PUBLISHER: Wiley-Blackwell
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB Aim : To report the results of a Phase 1b trial evaluating the safety, pharmacokinetics and pharmacodynamics of orforglipron (LY3502970), an oral, non-peptide glucagon-like peptide-1 receptor agonist (GLP-1RA), in patients with type 2 diabetes (T2D). Materials and Methods : This was a double-blind, placebo-controlled Phase 1 study evaluating five different dosing regimens. The first group established that weekly dose escalation of the daily doses of orforglipron was generally well tolerated. This enabled a parallel-arm design for the four groups following. Participants were randomized 3:1 to daily doses of orforglipron or placebo for 12 wk. Eligible participants with T2D were aged 18 to 70 years and had glycated Hb (HbA1c) levels ≥53.0 mmol/mol (7.0%) and ≤91.3 mmol/mol (10.5%). Results : A total of 51 participants received orforglipron and 17 received placebo. In the placebo and orforglipron groups, resp., baseline HbA1c was 8.1% and 8.0%, and baseline body wt. was 90.3 and 88.4 kg. The most common adverse events were gastrointestinal-related, and occurred early in treatment, similar to findings with other GLP-1RAs. At Week 12, mean t1/2 ranged from 29 to 49 h. Mean HbA1c change ranged from -1.5% to -1.8% across orforglipron doses, vs. -0.4% with placebo, and body wt. change was -0.24 to -5.8 kg across orforglipron doses, vs. 0.5 kg with placebo. Conclusions : Orforglipron treatment resulted in meaningful redns. in HbA1c and body wt., with an adverse event profile consistent with that of other GLP-1RAs. Orforglipron may provide a safe and effective once-daily oral treatment alternative to injectable GLP-1RAs or peptide oral formulations without water and food restrictions.
IT 2212020-52-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Orforglipron (LY3502970), novel, oral non-peptide glucagon-like peptide-1 receptor agonist phase 1b, multicentre, blinded, placebo-controlled, people with type 2 diabetes)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:1177017 CAPLUS Full-text
TITLE: Class B1 GPCR activation by an intracellular agonist
AUTHOR(S): Kobayashi, Kazuhiro; Kawakami, Kouki; Kusakizako, Tsukasa; Tomita, Atsuhiro; Nishimura, Michihiro; Sawada, Kazuhiro; Okamoto, Hiroyuki H.; Hiratsuka, Suzune; Nakamura, Gaku; Kuwabara, Riku; Noda, Hiroshi; Muramatsu, Hiroyasu; Shimizu, Masaru; Taguchi, Tomohiko; Inoue, Asuka; Murata, Takeshi; Nureki, Osamu
CORPORATE SOURCE: Department of Biological Sciences, Graduate School of Science, The University of Tokyo, Tokyo, Japan
SOURCE: Nature (London, United Kingdom) (2023), 618(7967), 1085-1093
CODEN: NATUAS; ISSN: 1476-4687
DIGITAL OBJECT ID: 10.1038/s41586-023-06169-3
PUBLISHER: Nature Portfolio
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB G protein-coupled receptors (GPCRs) generally accommodate specific ligands in the orthosteric-binding pockets. Ligand binding triggers a receptor allosteric conformational change that leads to the activation of intracellular transducers, G proteins and β-arrestins. Because these signals often induce adverse effects, the selective activation mechanism for each transducer must be elucidated. Thus, many orthosteric-biased agonists have been developed, and intracellular-biased agonists have recently attracted broad interest. These agonists bind within the receptor intracellular cavity and preferentially tune the specific signalling pathway over other signalling pathways, without allosteric rearrangement of the receptor from the extracellular side1-3. However, only antagonist-bound structures are currently available1,4-6, and there is no evidence to support that biased agonist binding occurs within the intracellular cavity. This limits the comprehension of intracellular-biased agonism and potential drug development. Here we

report the cryogenic electron microscopy structure of a complex of Gs and the human parathyroid hormone type 1 receptor (PTH1R) bound to a PTH1R agonist, PCO371. PCO371 binds within an intracellular pocket of PTH1R and directly interacts with Gs. The PCO371-binding mode rearranges the intracellular region towards the active conformation without extracellularly induced allosteric signal propagation. PCO371 stabilizes the significantly outward-bent conformation of transmembrane helix 6, which facilitates binding to G proteins rather than β-arrestins. Furthermore, PCO371 binds within the highly conserved intracellular pocket, activating 7 out of the 15 class B1 GPCRs. Our study identifies a new and conserved intracellular agonist-binding pocket and provides evidence of a biased signalling mechanism that targets the receptor-transducer interface.

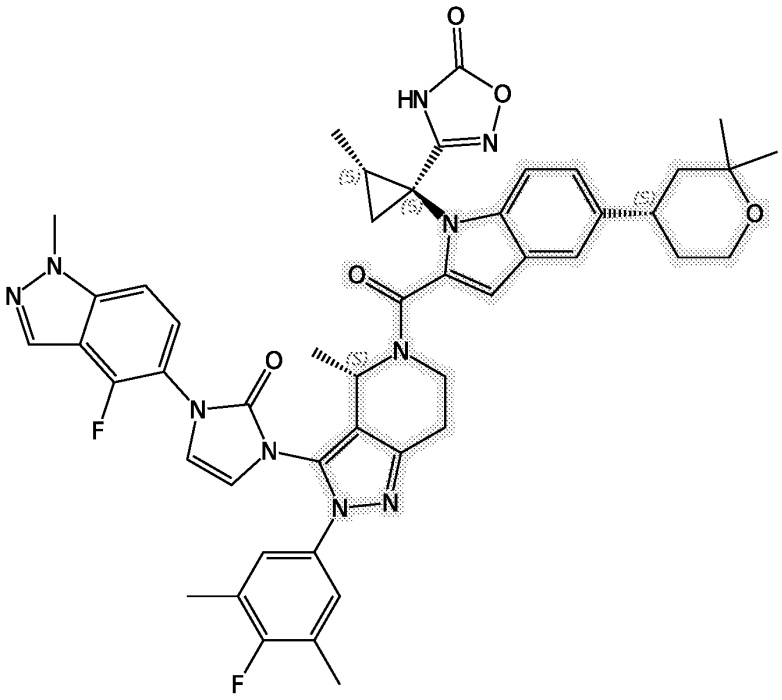
IT INDEXING IN PROGRESS

IT 2212020-52-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(class B1 GPCR activation by intracellular agonist)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN

ACCESSION NUMBER: 2023:862780 CAPLUS Full-text

DOCUMENT NUMBER: 182:380686

TITLE: Preparation of deuterated indazole compounds as GLP-1 receptor agonists

INVENTOR(S): Guo, Binghua; Yan, Hailei; Wen, Jie

PATENT ASSIGNEE(S): Yaokang Zhongtuo (Beijing) Pharmaceutical Technology Co., Ltd., Peop. Rep. China

ULTIMATE OWNER: YAOKANG ZHONGTUO BEIJING PHARMACEUTICAL TECH

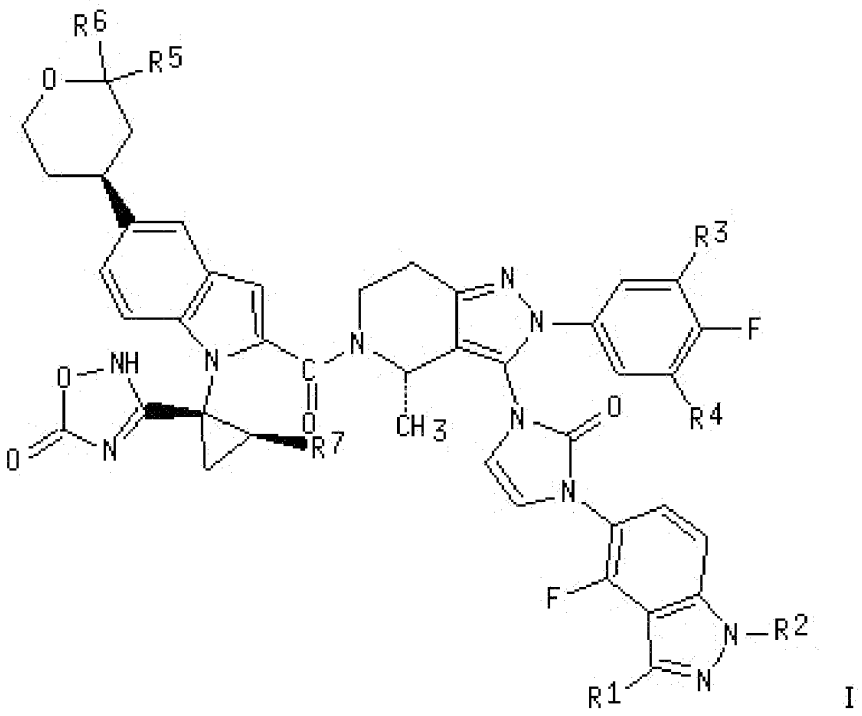
ULTIMATE OWNER STANDARD: YAOKANG ZHONGTUO BEIJING PHARMACEUTICAL TECH
SOURCE: Faming Zhuanli Shenqing, 13pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 116003403	A	20230425	CN 2022-11451463	20221120
CN 116003403	B	20240723		
CN 118791482	A	20241018	CN 2024-10776755	20221120

PRIORITY APPLN. INFO.: CN 2022-11451463 A3 20221120
PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
CN 116003403	A	Alive	20230504
CN 116003403	B	Alive	20230504
CN 118791482	A	Alive	20241024

OTHER SOURCE(S): CASREACT 182:380686; MARPAT 182:380686
GI

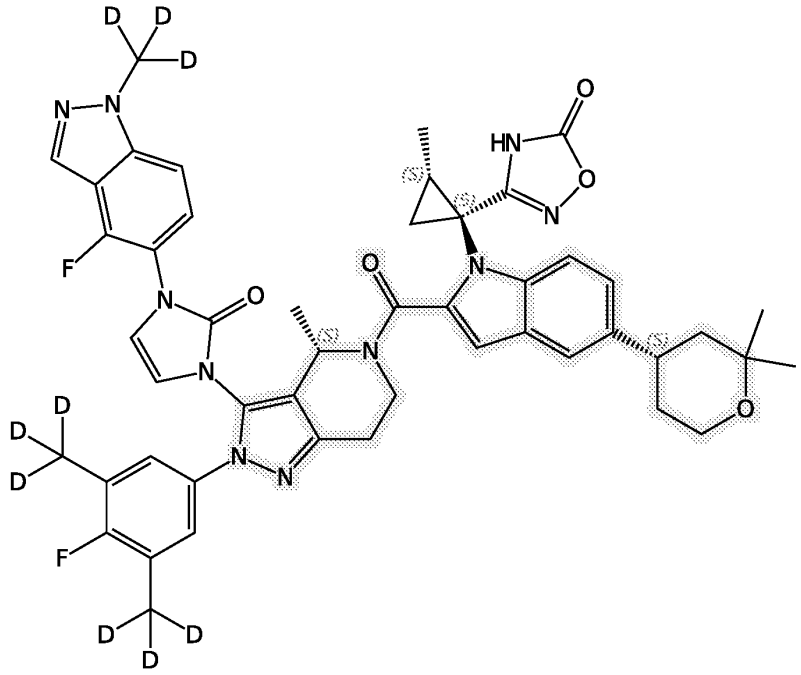


I

AB The present invention relates to the prepn. of deuterated indazole compds. as GLP-1 receptor agonists. In particular, the deuterated indazole compd. I (wherein, R1 = hydrogen or deuterium and R2, R3, R4, R5, R6 and R7 = independently CD3 or CH3; when R1 = hydrogen, at least one of R2, R3, R4, R5, R6 and R7 = CD3) or a pharmaceutically acceptable salt, isomer, metabolite, prodrug, or solvate or hydrates were prepd. The inventive compds. have good therapeutic effects on non-insulin dependent diabetes mellitus (type 2 diabetes), obesity etc.

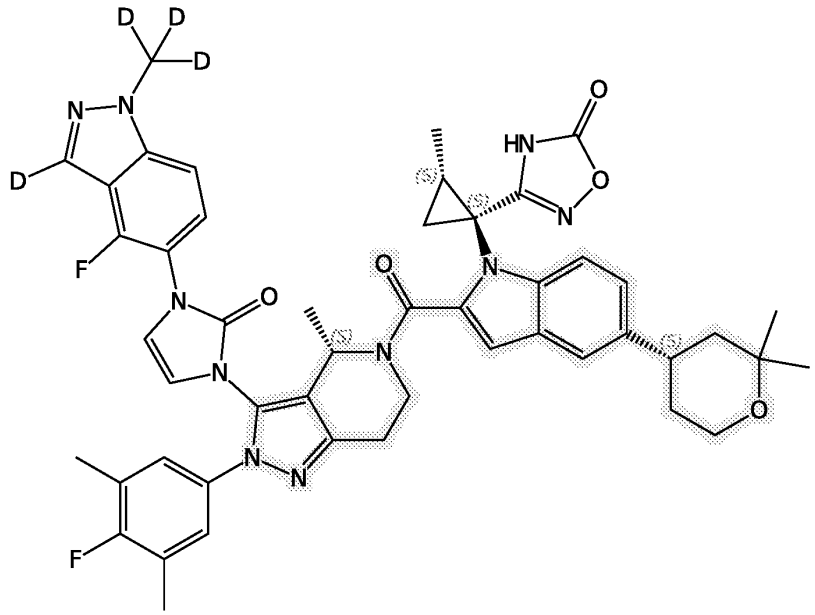
IT 2923760-27-2P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of deuterated indazole compds. as GLP-1 receptor agonists)

RN 2923760-27-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



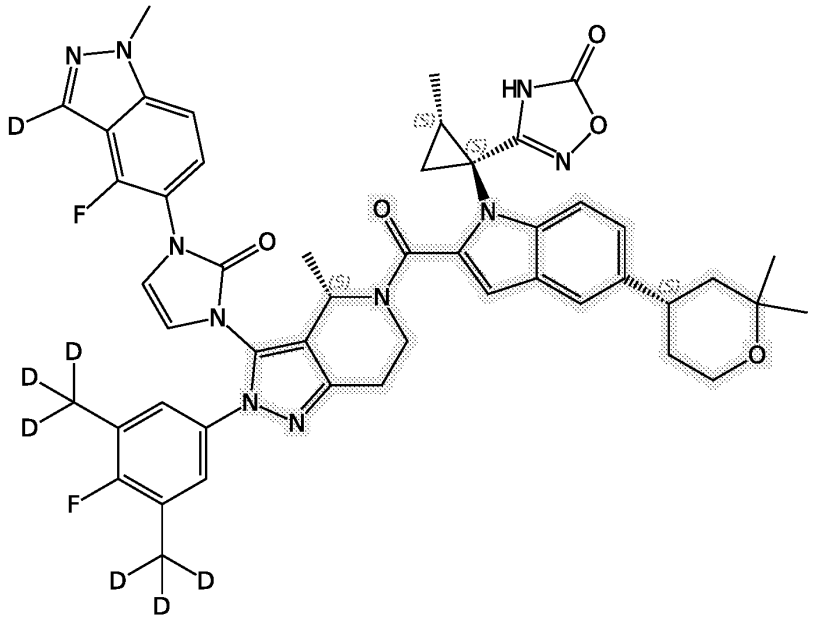
Absolute stereochemistry shown

IT 2923760-29-4P 2923760-31-8P 2923760-33-0P
2923760-36-3P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of deuterated indazole compds. as GLP-1 receptor agonists)
RN 2923760-29-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



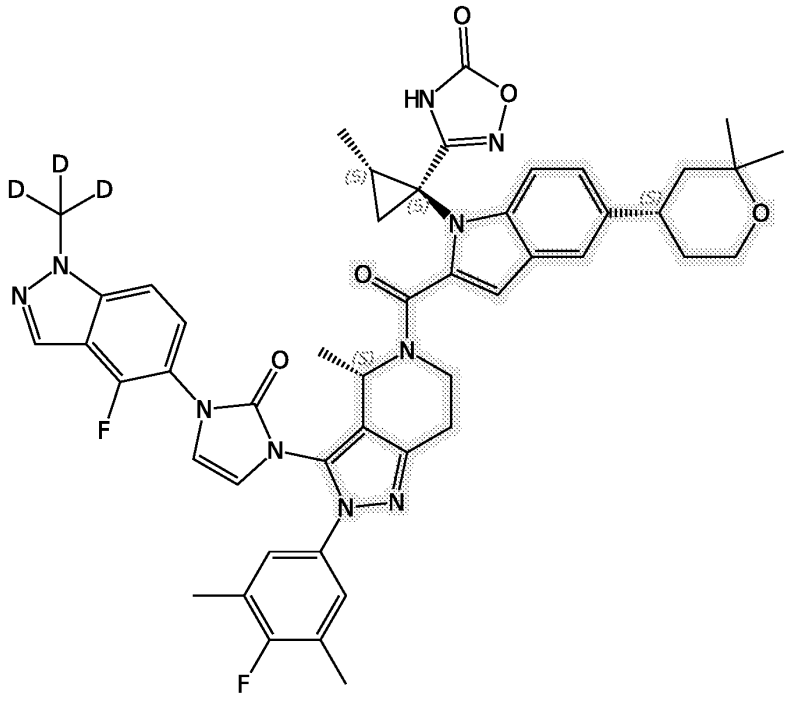
Absolute stereochemistry shown

RN 2923760-31-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



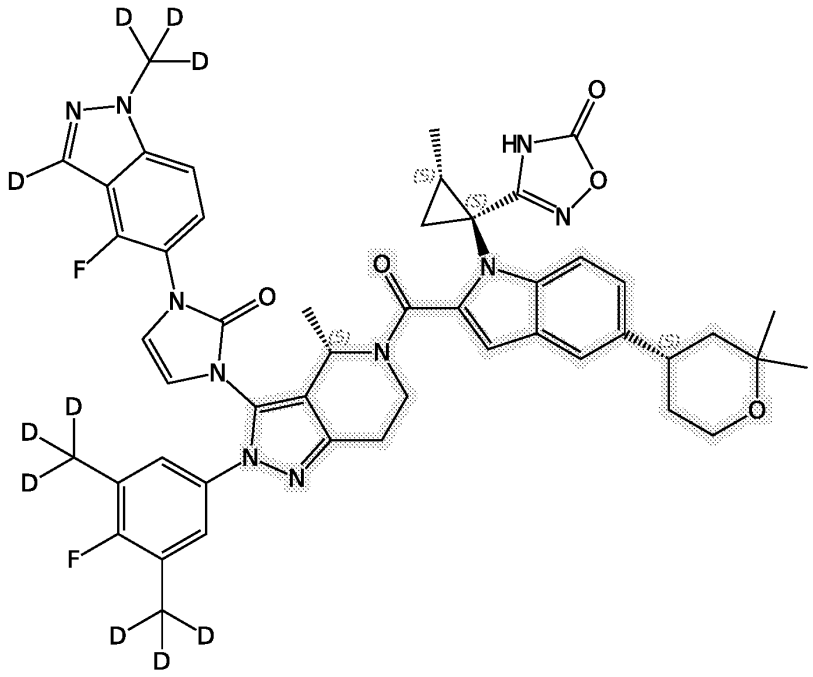
Absolute stereochemistry shown

RN 2923760-33-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



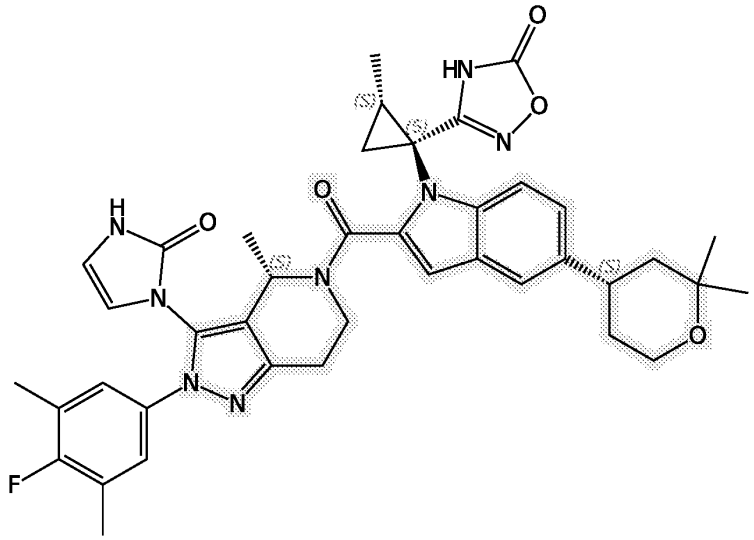
Absolute stereochemistry shown

RN 2923760-36-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

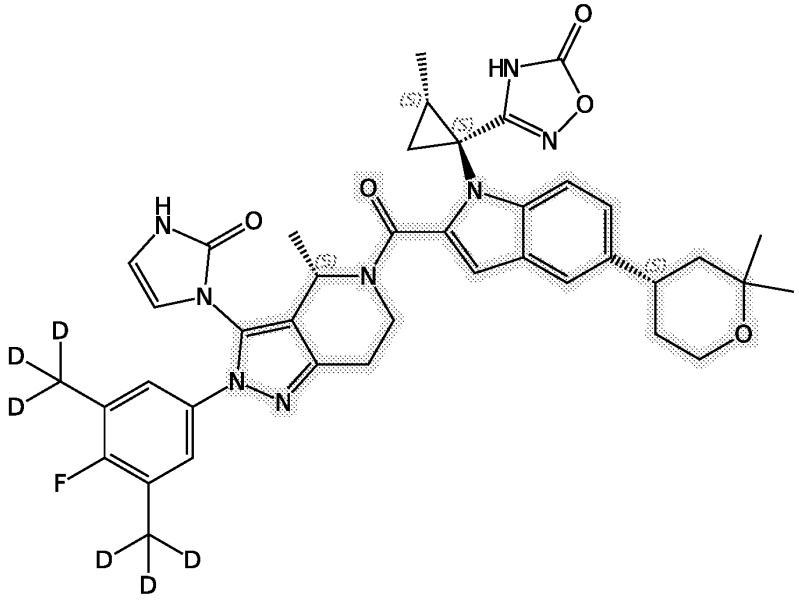
IT 2212020-29-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of deuterated indazole compds. as GLP-1 receptor agonists)
RN 2212020-29-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

IT 2923760-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of deuterated indazole compds. as GLP-1 receptor agonists)
RN 2923760-46-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 116003403 A	CN 2022-11451463	Register|Global Dossier
CN 116003403 B	CN 2022-11451463	Register|Global Dossier
CN 118791482 A	CN 2024-10776755	Register|Global Dossier

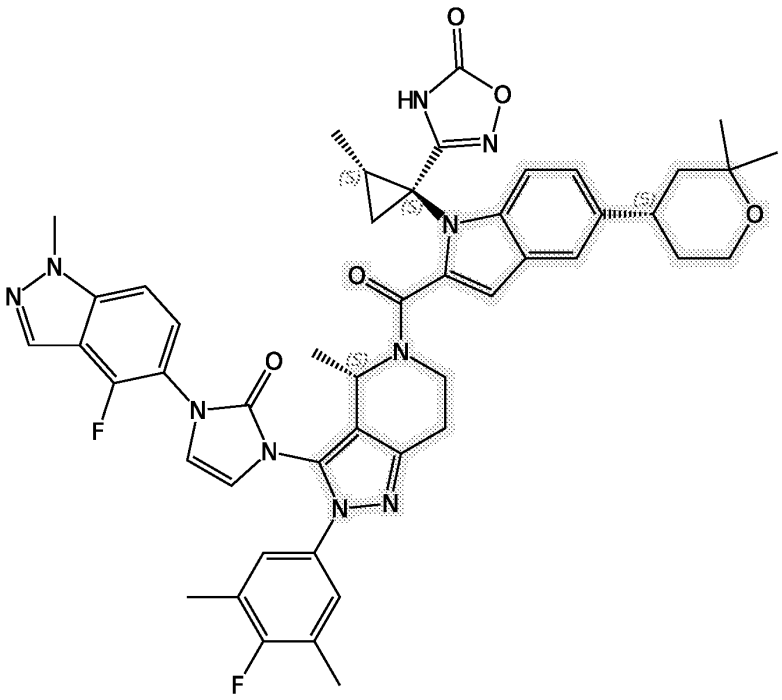
L3 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:528384 CAPLUS Full-text
DOCUMENT NUMBER: 182:173340
TITLE: Medicine for prevention and treatment of diseases linked to anti-obesity activity
INVENTOR(S): Takemoto, Kosuke; Kusumoto, Keisuke
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
ULTIMATE OWNER: SHIONOGI & CO LTD
ULTIMATE OWNER STANDARD: Shionogi & Co
SOURCE: PCT Int. Appl., 79pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2023038039	A1	20230316	WO 2022-JP33492		20220907
CA 3231153	A1	20230316	CA 2022-3231153		20220907
AU 2022344074	A1	20240229	AU 2022-344074		20220907
KR 2024056719	A	20240430	KR 2024-7006808		20220907
CN 118215478	A	20240618	CN 2022-80070367		20220907
EP 4400105	A1	20240717	EP 2022-867361		20220907
PRIORITY APPLN. INFO.:			JP 2021-146025	A	20210908
			WO 2022-JP33492	W	20220907

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2023038039	A1	Alive	20230323
CA 3231153	A1	Alive	20240321
AU 2022344074	A1	Alive	20240307

KR 2024056719 A Alive 20240516
CN 118215478 A Alive 20240627
EP 4400105 A1 Alive 20240718
OTHER SOURCE(S): MARPAT 182:173340
AB The present invention provides a medicine characterized by the combination of: a compd. represented by (A) or a pharmaceutically acceptive salt thereof; and (B) at least one drug selected from the group consisting of drugs having exhibiting anti-obesity activity, drugs for controlling blood sugar level, drugs for controlling blood cholesterol and/or triglycerides, and drugs for controlling blood pressure. The medicine is useful for the prevention and treatment of diseases linked to anti-obesity activity.
IT 2212020-52-3, LY-3502970
RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination drug for prevention and treatment of diseases linked to anti-obesity activity)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2022344074 A1	AU 2022-344074	Register
CA 3231153 A1	CA 2022-3231153	Register
CN 118215478 A	CN 2022-80070367	Register|Global Dossier
EP 4400105 A1	EP 2022-867361	Register|Federated Reg.
KR 2024056719 A	KR 2024-7006808	Register|Global Dossier
WO 2023038039 A1	WO 2022-JP33492	Register|Global Dossier

L3 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:321715 CAPLUS Full-text

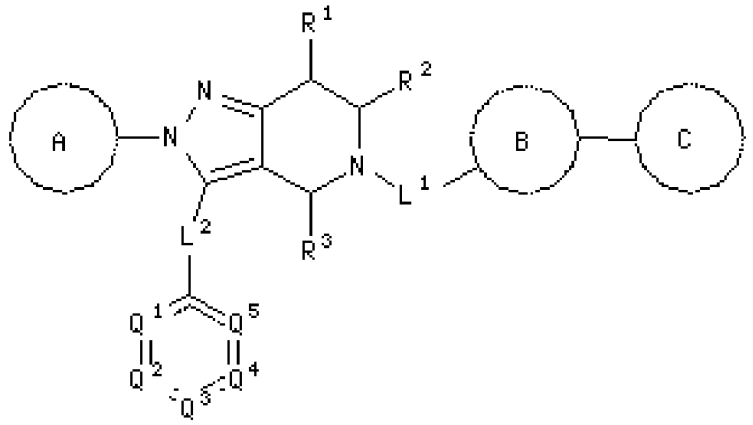
DOCUMENT NUMBER: 182:36684
TITLE: Preparation of heterocyclic compounds as GLP-1 agonists
INVENTOR(S): Meng, Qinghua; Lin, Xichen; Zhang, Haizhen; Xing, Weiqiang; Lei, Hui; Jennings, Andrew
PATENT ASSIGNEE(S): Gasherbrum Bio, Inc., USA
ULTIMATE OWNER: STRUCTURE THERAPEUTICS INC
ULTIMATE OWNER STANDARD: Structure Therapeutics
SOURCE: PCT Int. Appl., 256pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2023016546	A1	20230216	WO 2022-CN112061		20220812
PRIORITY APPLN. INFO.:			WO 2021-CN112339	A	20210812

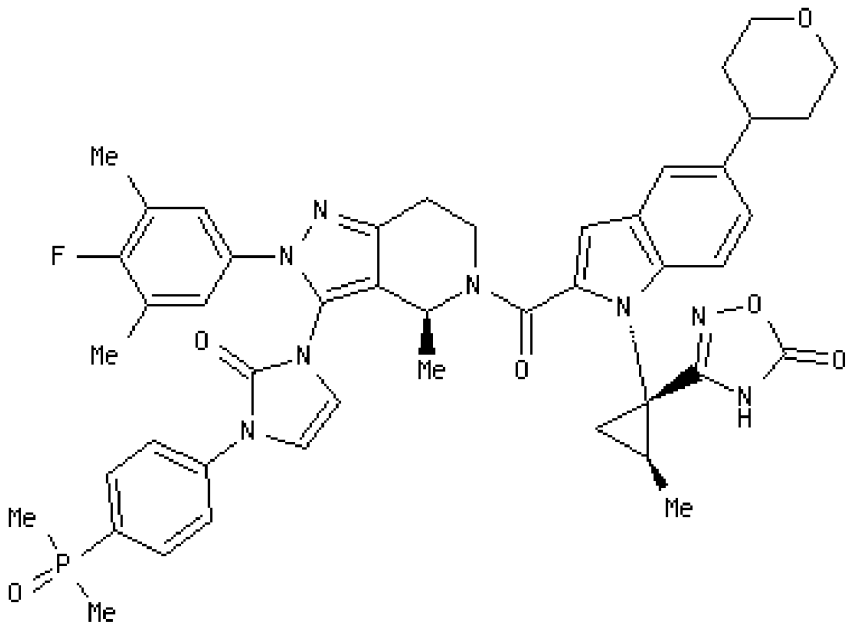
PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2023016546	A1	Alive	20230223

OTHER SOURCE(S): CASREACT 182:36684; MARPAT 182:36684
GI



I



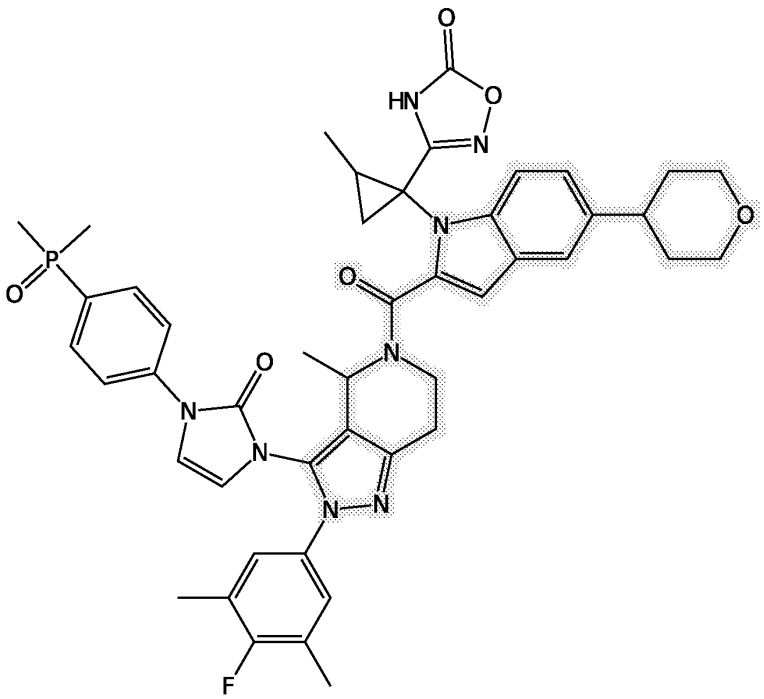
II

AB The invention relates to GLP-1 agonists I [Q1 and Q5 = independently N, CH, and CRQA; Q2-4 = independently N, CH, and CRQB, provided that at least one of Q2-4 is CRQB, each dashed bond is single and double bond, provided that the ring including Q1-5 is arom.; or Q1 = a bond; Q2-5 = independently O, S, N, CH, CRQB, etc.; provided that at least one of Q2-5 is CRQB; each dashed bond is single and double bond, provided that the ring including Q1-5 is arom.; RQB = PORaRb; Ra, Rb = independently (un)substituted C1-6 alkyl C3-6 cycloalkyl C6-10 aryl; or RaPRb = (un)substituted ring contg. 5-8 ring atoms, wherein from 0-2 ring atoms are selected from O, S, and N; each RQA = halo, CN, OH, etc.,.; or a pair of RQA on adjacent C atoms, taken together with the atom to which each is attached, forms an (un)substituted ring including from 5-8 ring atoms, wherein from 0-2 ring atoms are heteroatoms are selected from O, S, and N; L2 = NHCONH, NH, 1,3-dihydro-2H-2-oxoimidazolylene, etc.; A = (un)substituted C6-10 aryl, C5-7 cycloalkyl, 5-7 membered heterocyclyl, etc.; R1-3 = independently H, (un)substituted C1-6 alkyl; L1 = CO, CH2, SO2 etc.; B = 2,5-1H-indolylene, 2,5-1H-pyrrolo[2,3-b]pyridinylene, 2,5-1H-pyrrolo[3,2-b]pyridinylene; C = (un)substituted 3-12 membered heterocyclyl, C3-15 cycloalkyl, 5-10 membered heteroaryl], their pharmaceutically acceptable salts and solvates, and their pharmaceutical compns. The invention also relates to a method for treating a

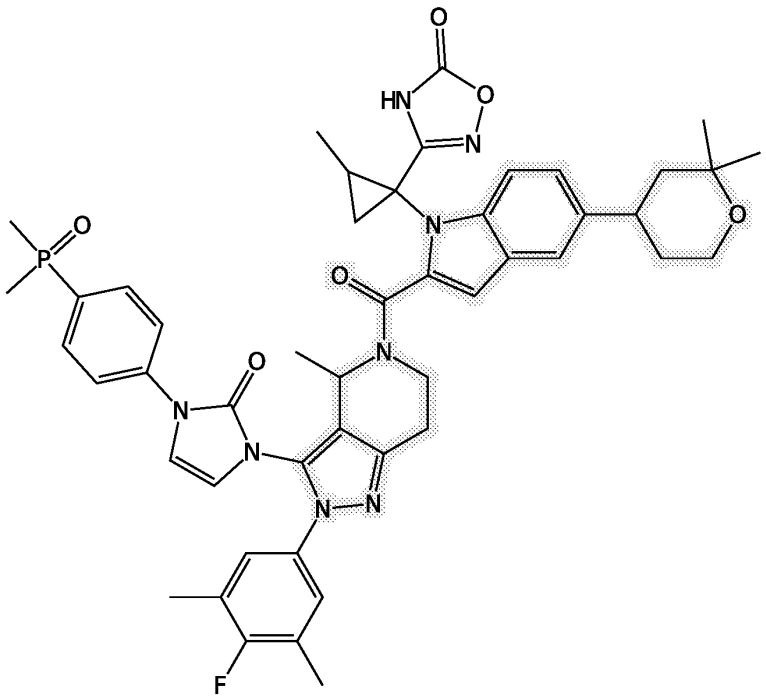
GLP-1-assocd. disease, disorder, or condition, in a patient in need thereof, the method comprising administering to the patient a therapeutically effective amt. of an anti-emetic agent and a therapeutically effective amt. of a compd. I. Thus, II was prepd. by a multistep procedure (procedure given). The invention compds. were evaluated for their GLP-1 agonistic activity. From the assay, it was detd. that compd. II exhibited EC50 value in the range of 0.1-0.2 nM.

IT 2685823-79-2P 2685823-81-6P 2685823-83-8P
2685823-85-0P 2685823-87-2P 2685823-89-4P
2685823-91-8P 2685823-93-0P 2685823-95-2P
2685823-97-4P 2685823-99-6P 2685824-01-3P
2685824-03-5P 2685824-05-7P 2685824-07-9P
2685824-09-1P 2685824-11-5P 2685824-13-7P
2685824-15-9P 2685824-17-1P 2685824-19-3P
2685824-21-7P 2685824-23-9P 2685824-25-1P
2685824-27-3P 2685824-29-5P 2685824-31-9P
2685824-33-1P 2685824-35-3P 2685824-37-5P
2685824-39-7P 2685824-41-1P 2685824-45-5P
2685824-49-9P 2685824-51-3P 2685824-53-5P
2685824-55-7P 2685824-57-9P 2685824-59-1P
2685824-61-5P 2685824-63-7P 2685824-65-9P
2685824-67-1P 2685824-69-3P 2685824-71-7P
2685824-73-9P 2685824-75-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed; prepn. of heterocyclic compds. as GLP-1 agonists)

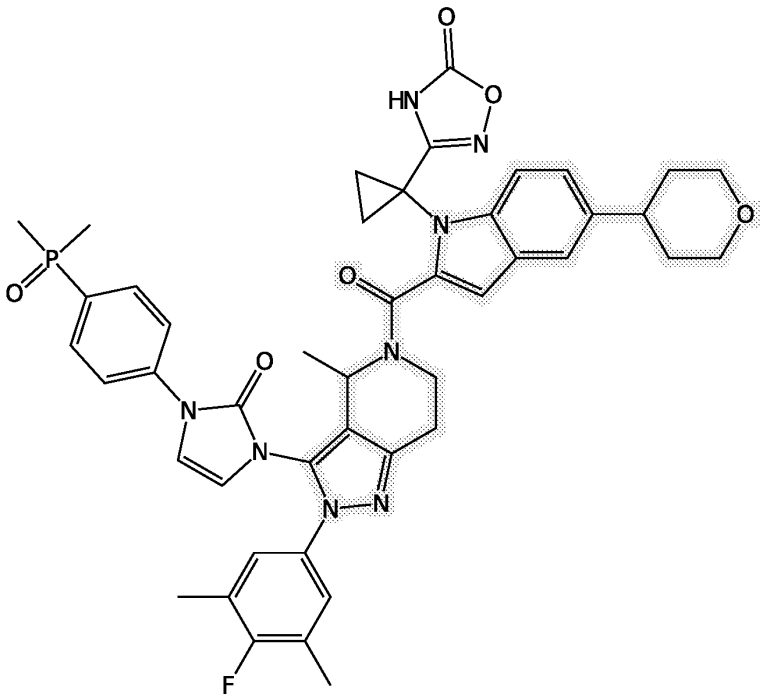
RN 2685823-79-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



RN 2685823-81-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

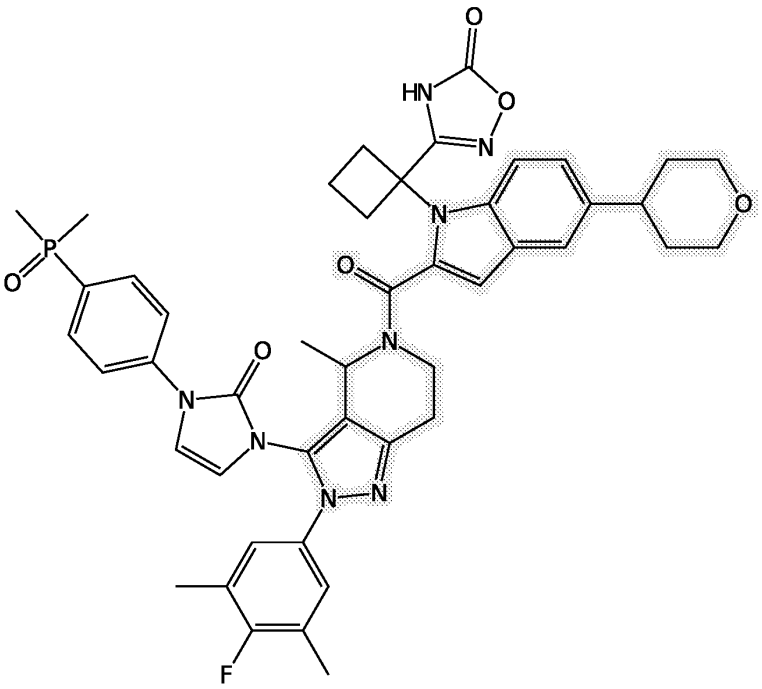


RN 2685823-83-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)

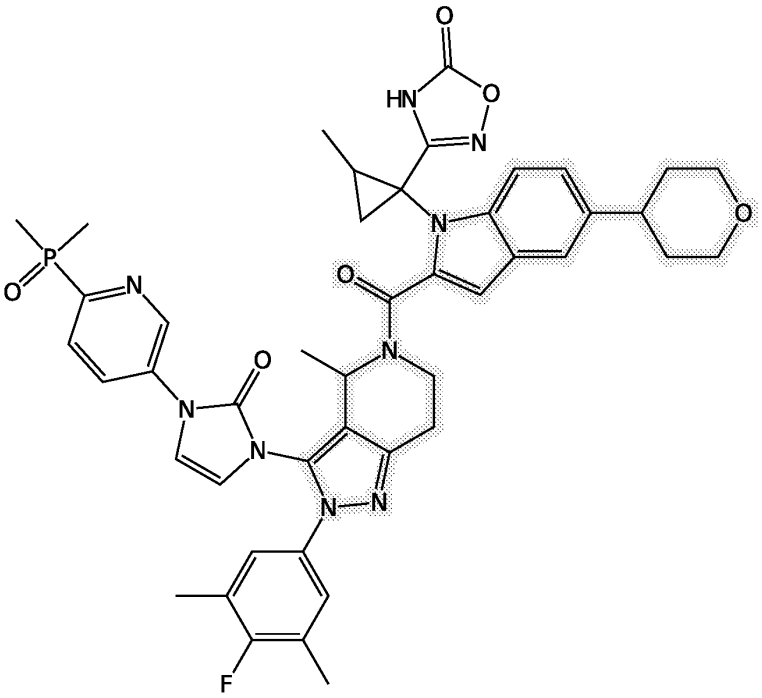


RN 2685823-85-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrah

ydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclobutyl]- (CA INDEX NAME)

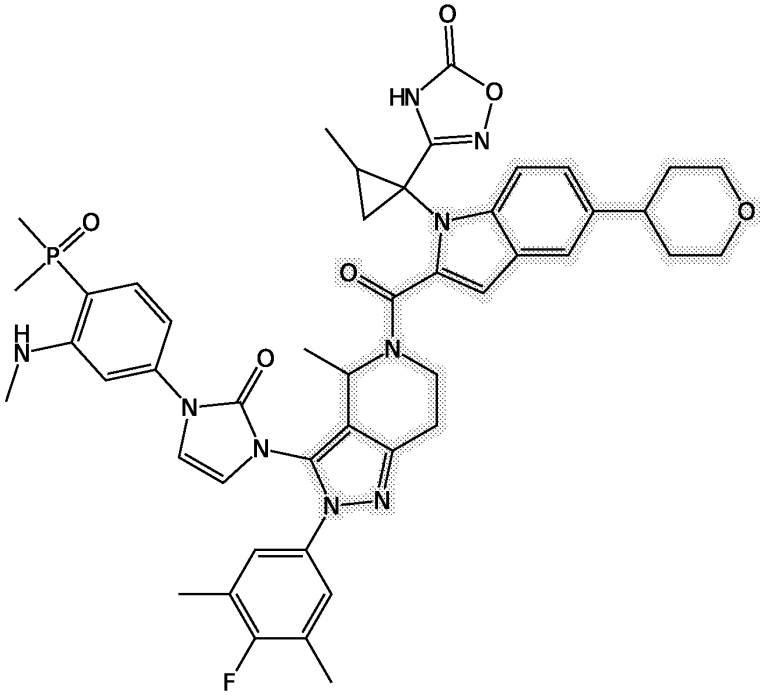


RN   2685823-87-2 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

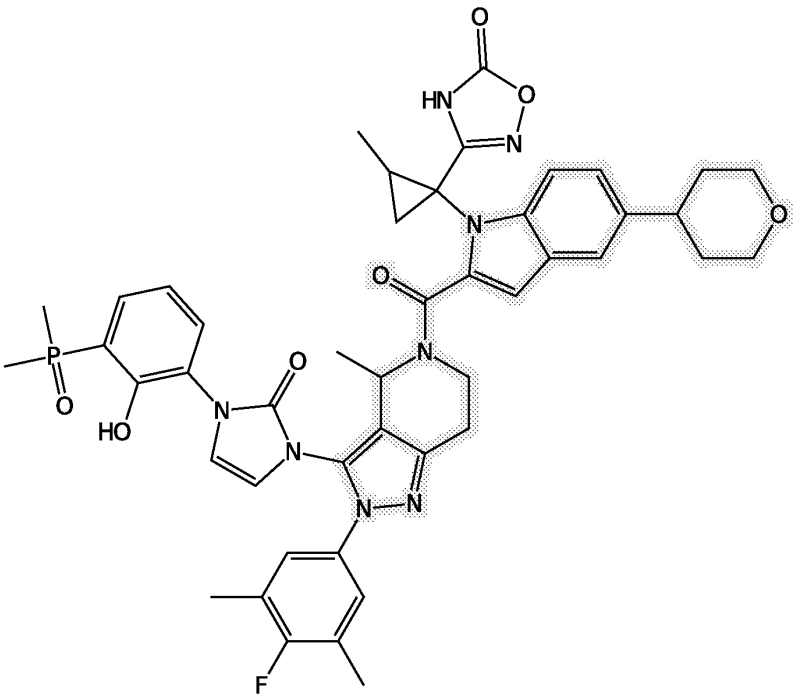


RN   2685823-89-4 CAPLUS

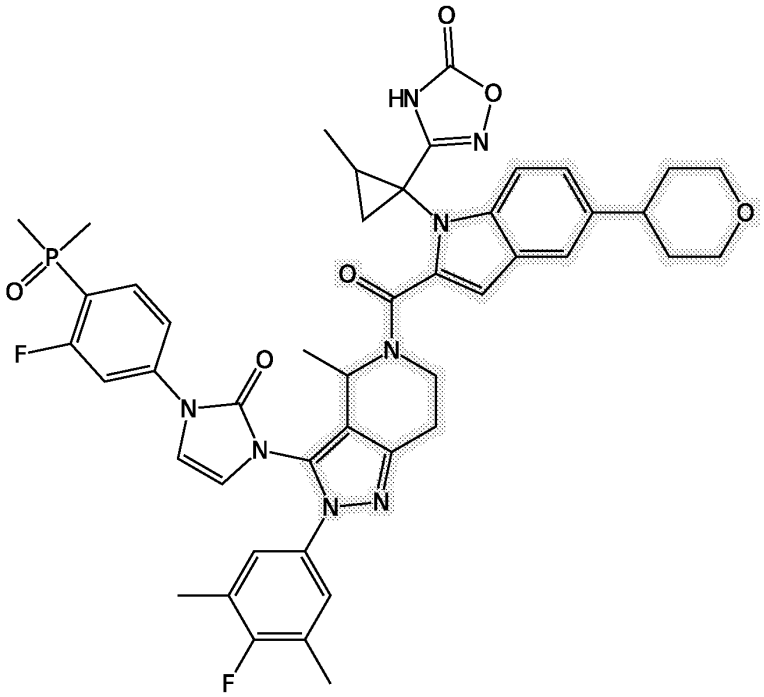
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(methyl amino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrah ydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



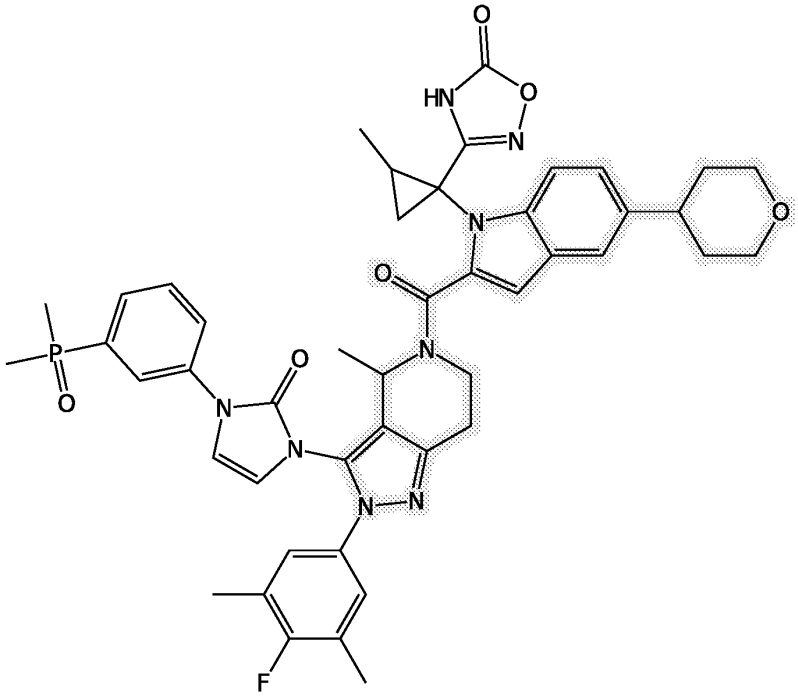
RN 2685823-91-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)-2-hydroxy phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4, 6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2 H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



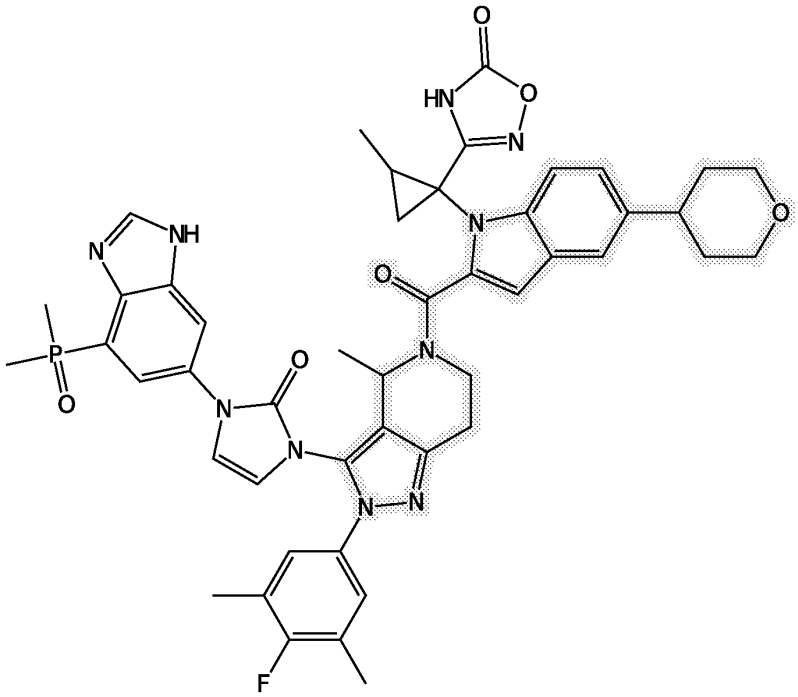
RN 2685823-93-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



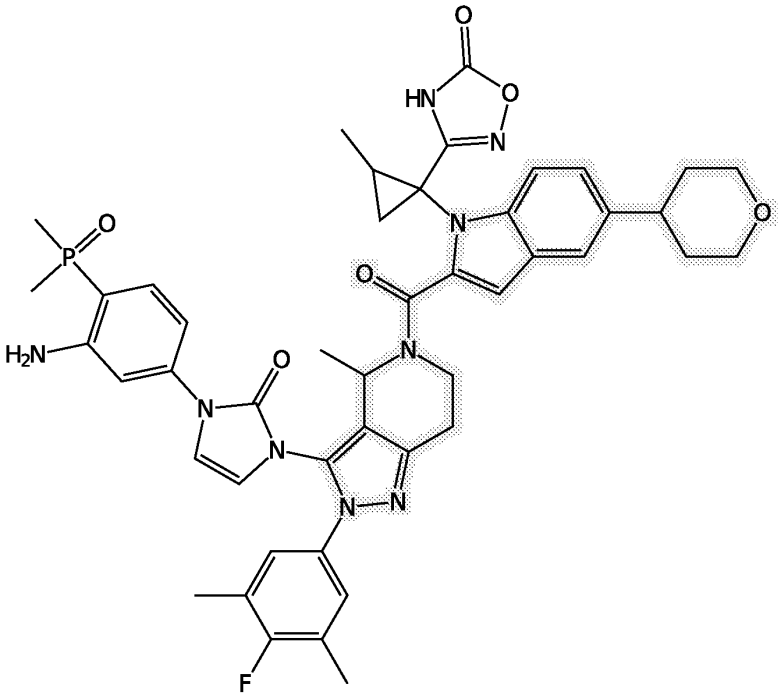
RN 2685823-95-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



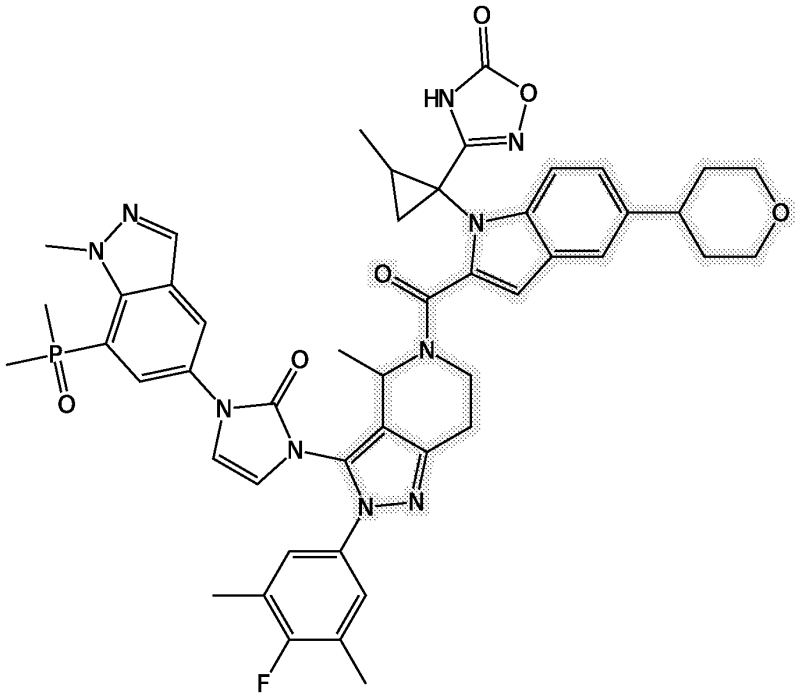
RN 2685823-97-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1H-benzimidazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



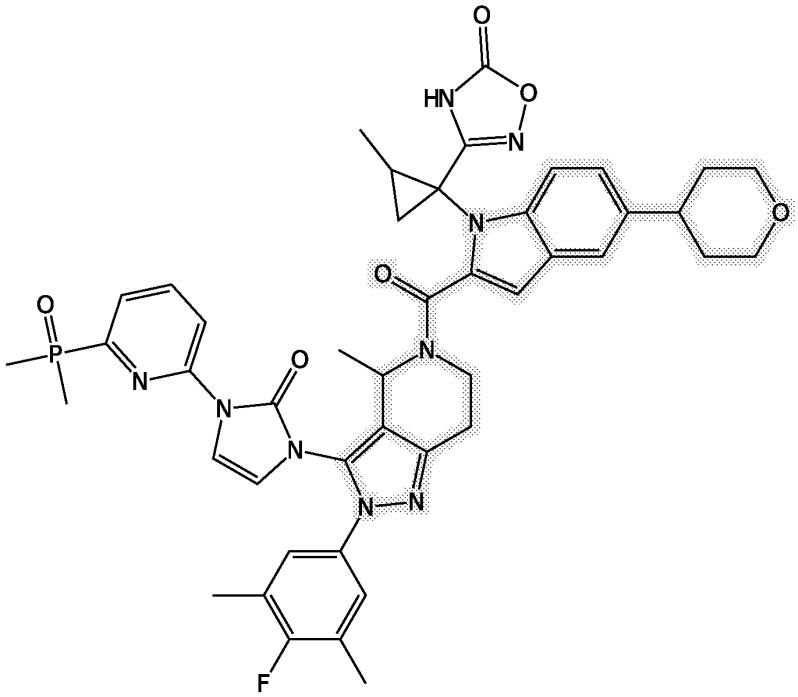
RN 2685823-99-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



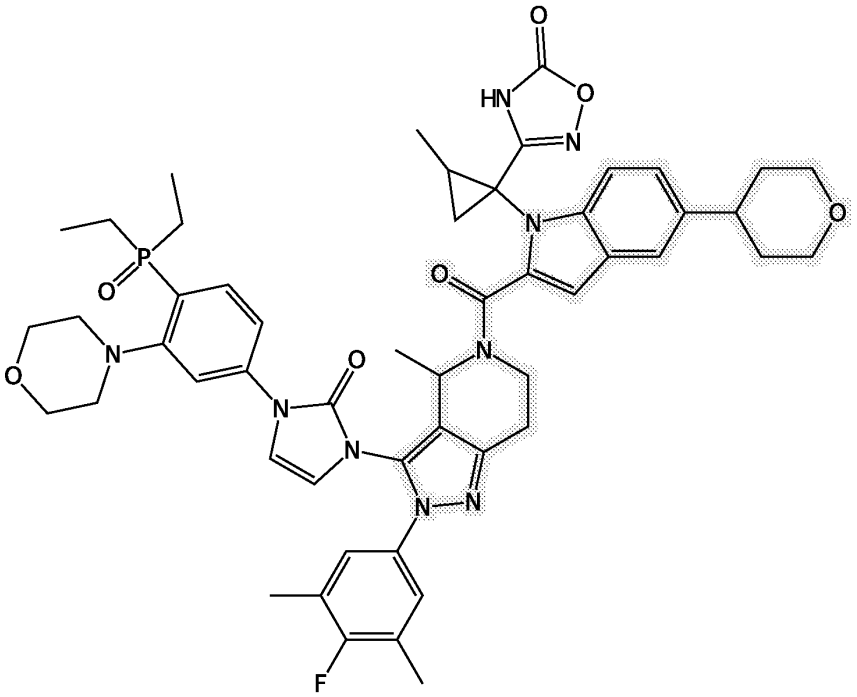
RN 2685824-01-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1-methyl-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



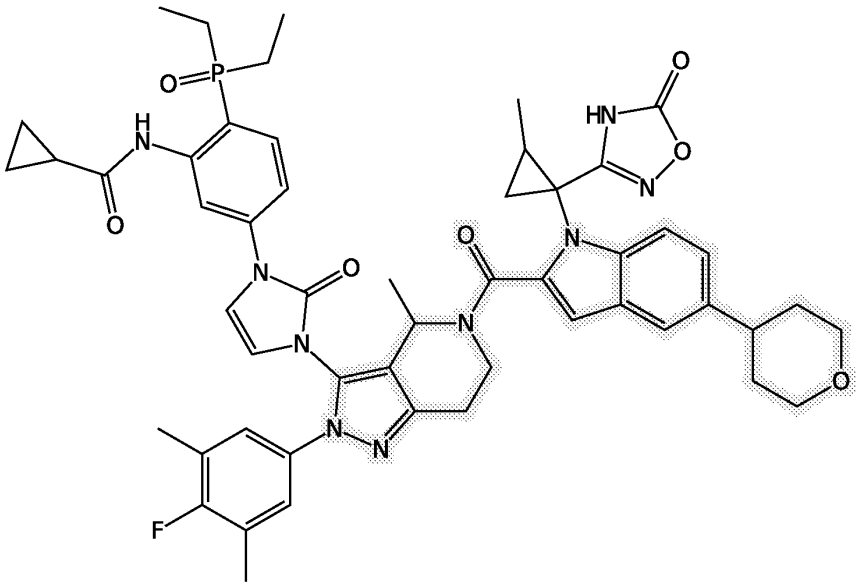
RN 2685824-03-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-2-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



RN 2685824-05-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

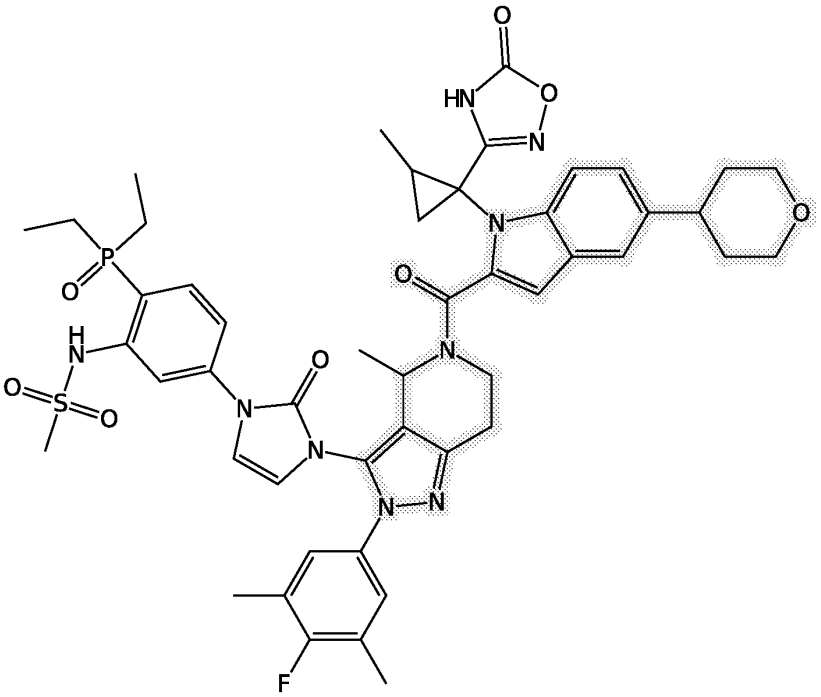


RN 2685824-07-9 CAPLUS
CN Cyclopropanecarboxamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)

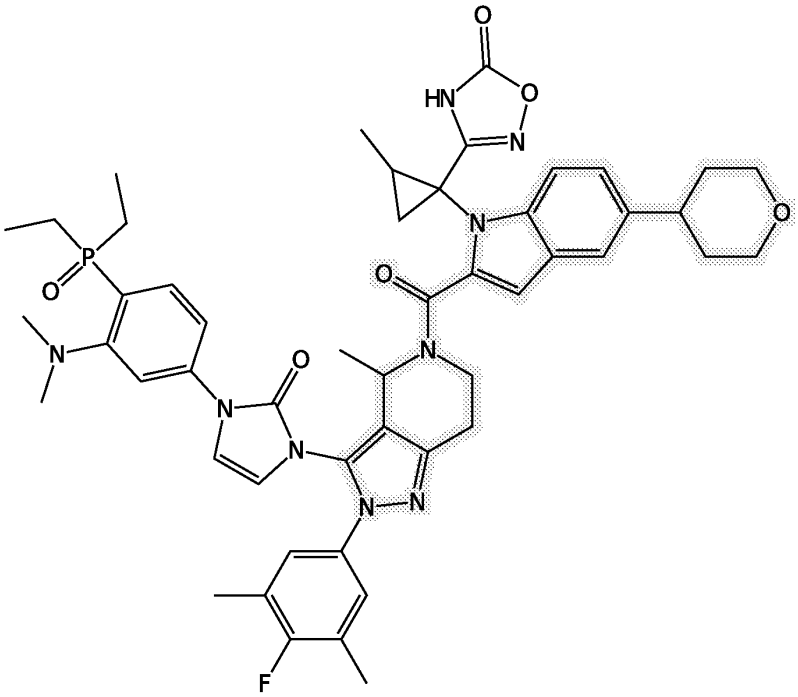


RN 2685824-09-1 CAPLUS

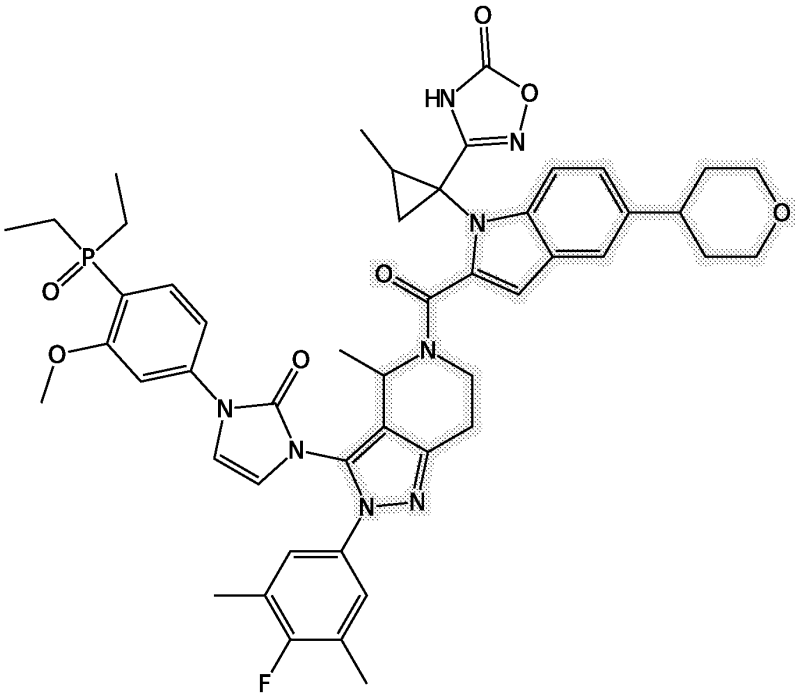
CN Methanesulfonamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



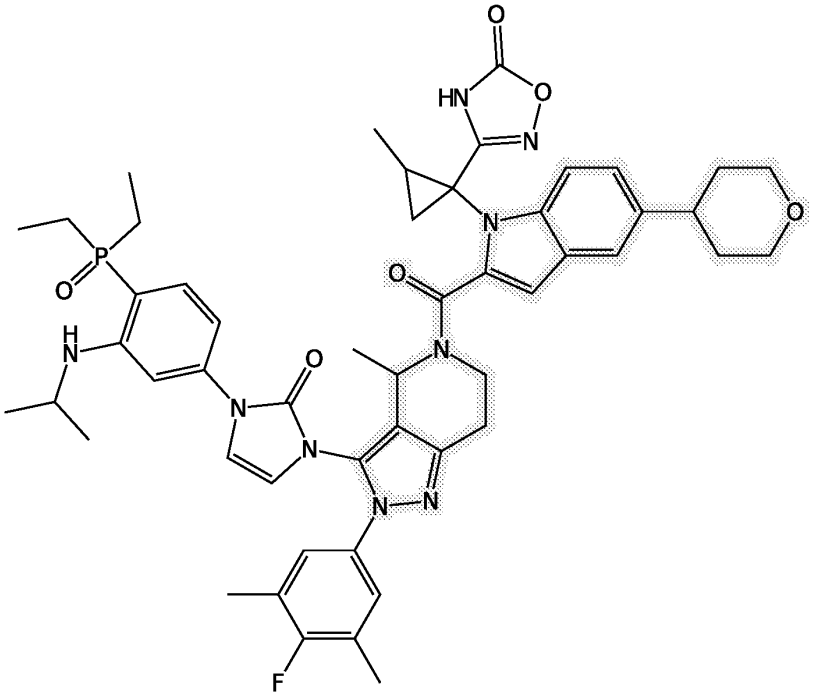
RN 2685824-11-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



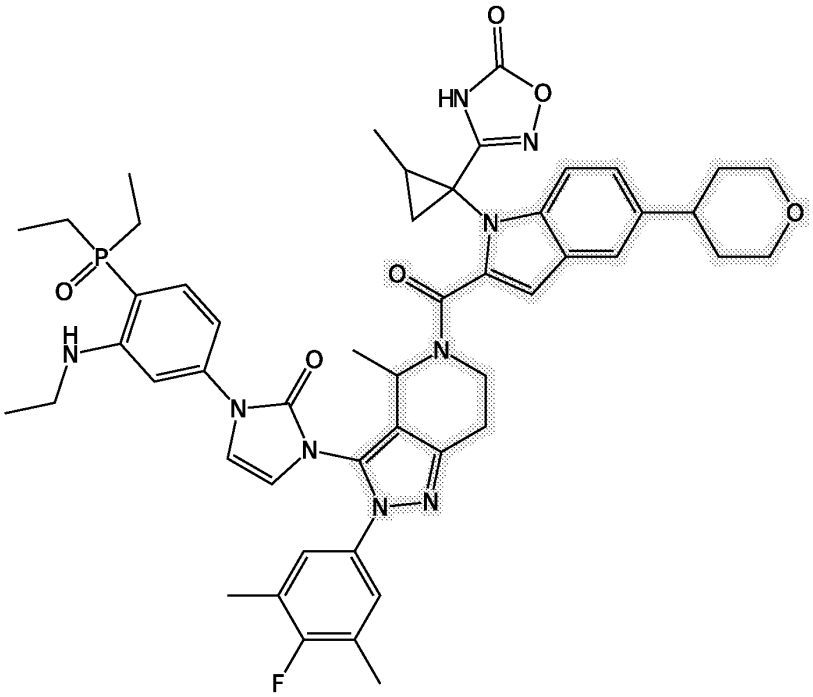
RN 2685824-13-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methoxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



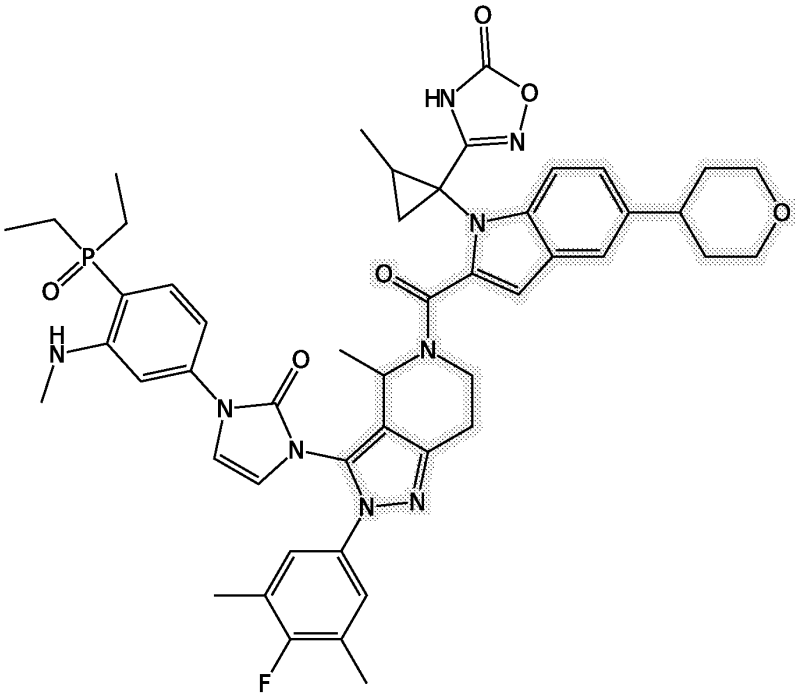
RN 2685824-15-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(1-methylethyl)amino]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



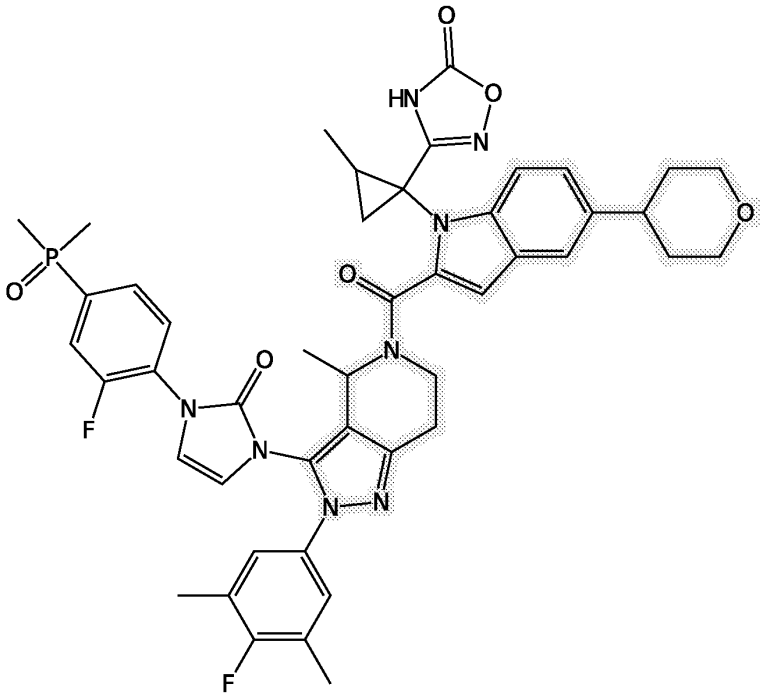
RN 2685824-17-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(ethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



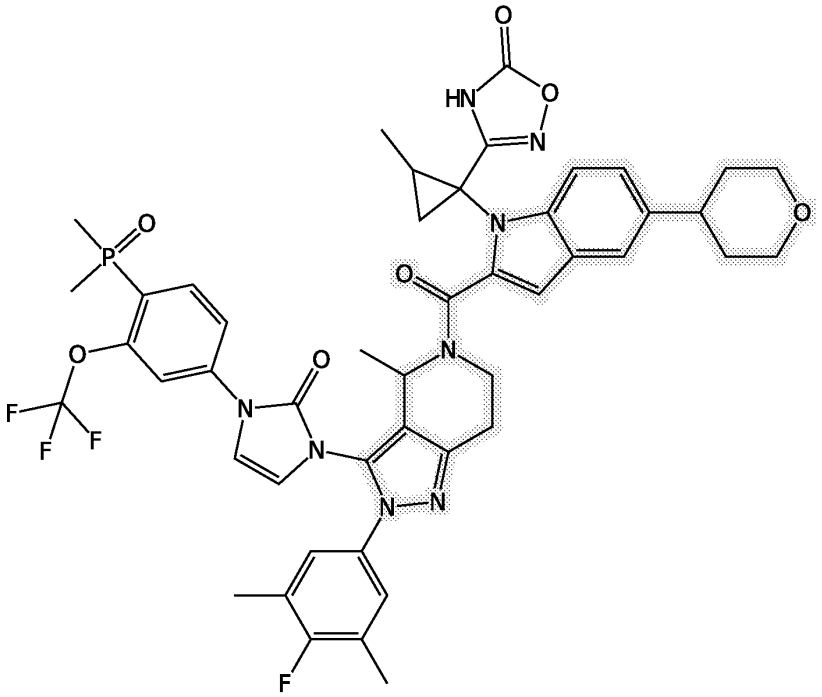
RN 2685824-19-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



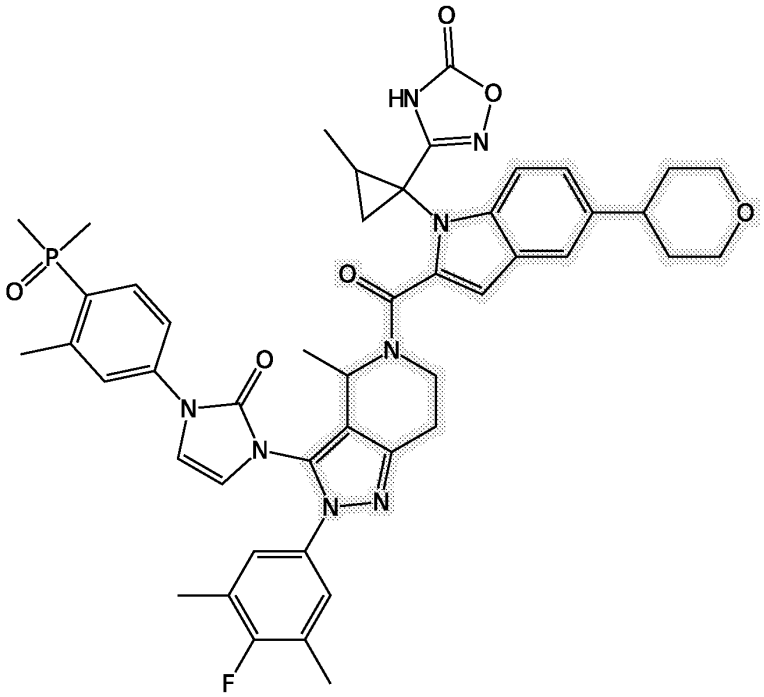
RN 2685824-21-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



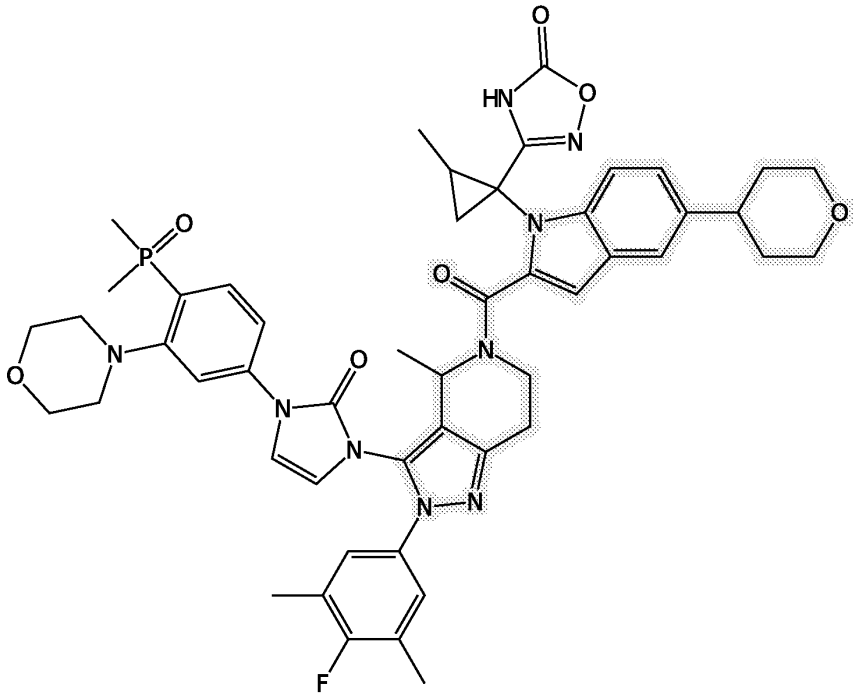
RN 2685824-23-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(trifluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



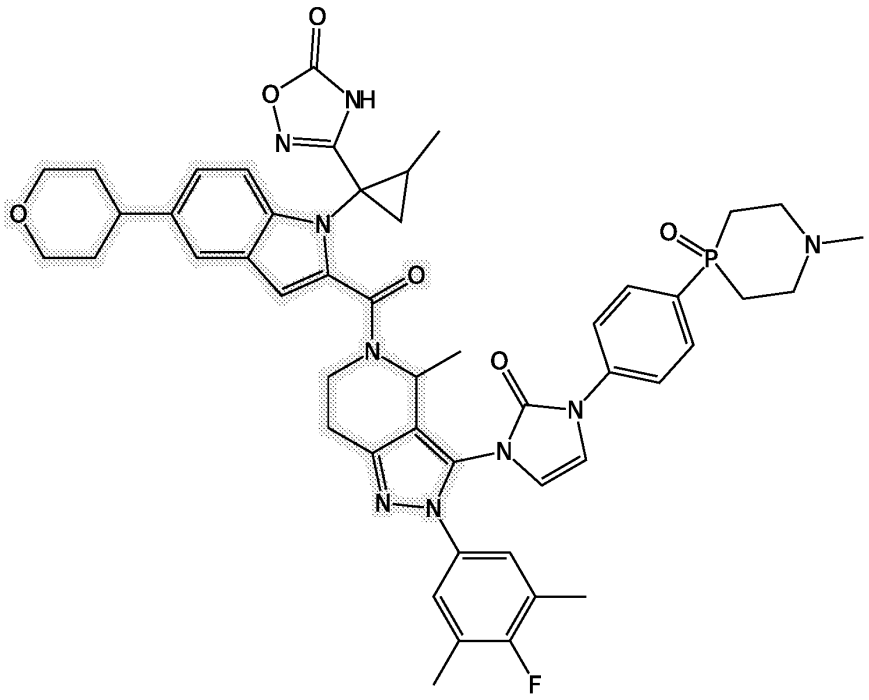
RN 2685824-25-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-methylphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



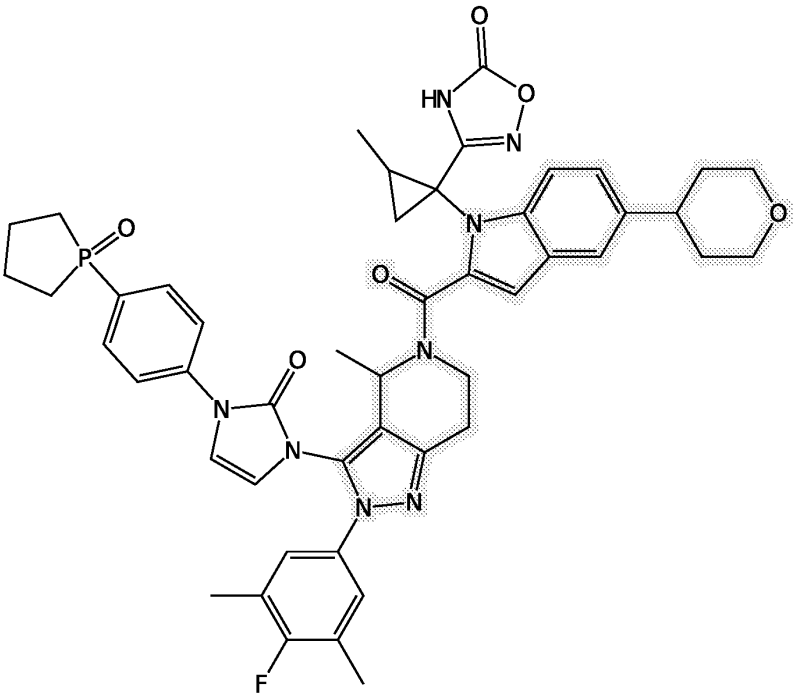
RN 2685824-27-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



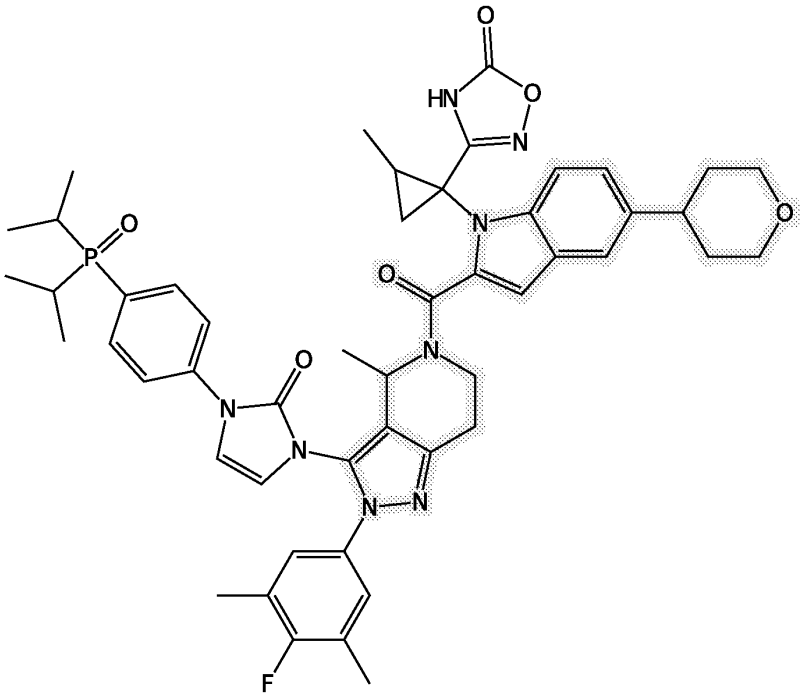
RN 2685824-29-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



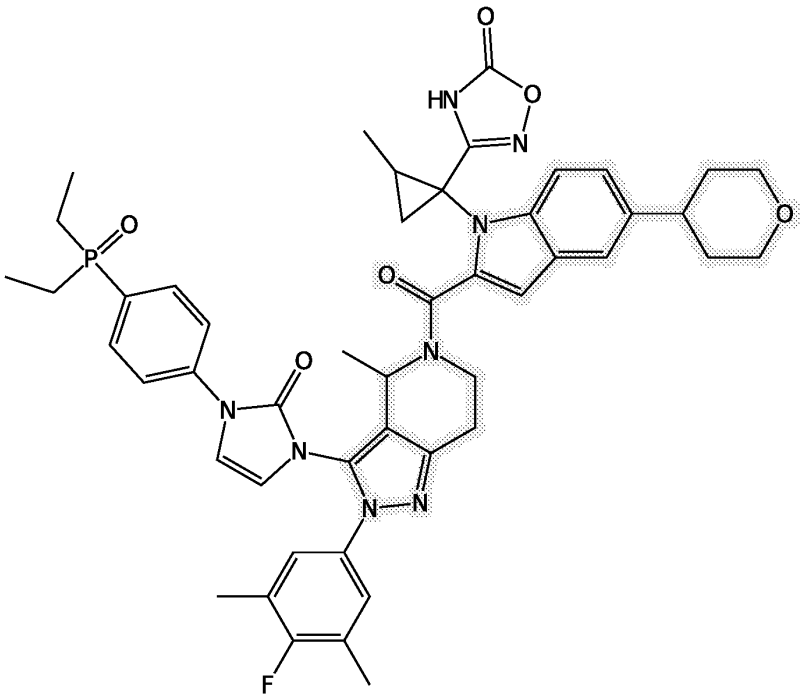
RN 2685824-31-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[4-[(1-oxido-2-phosph
olanyl)(1-oxido-5-phospholanyl)phosphinyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-f
luoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-
5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-
(CA INDEX NAME)



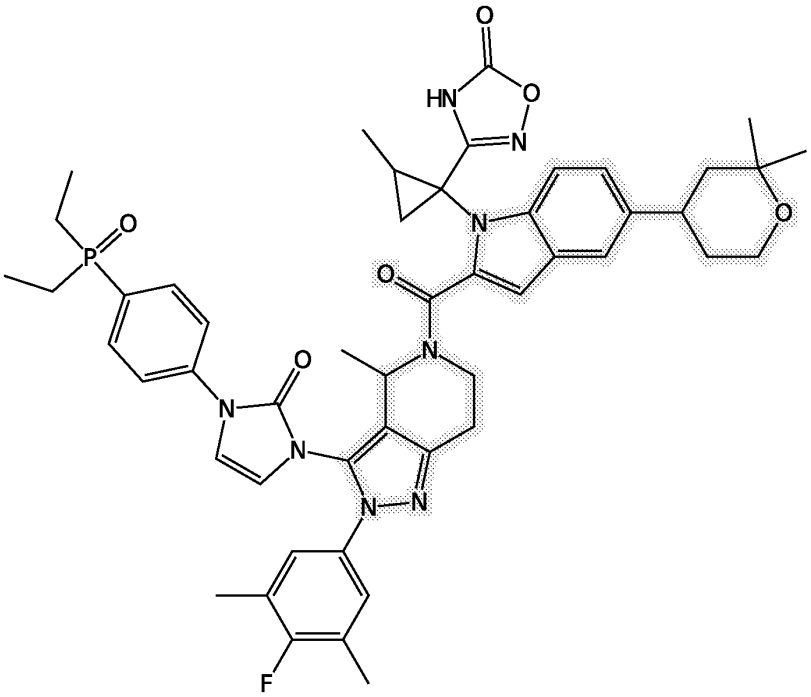
RN 2685824-33-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



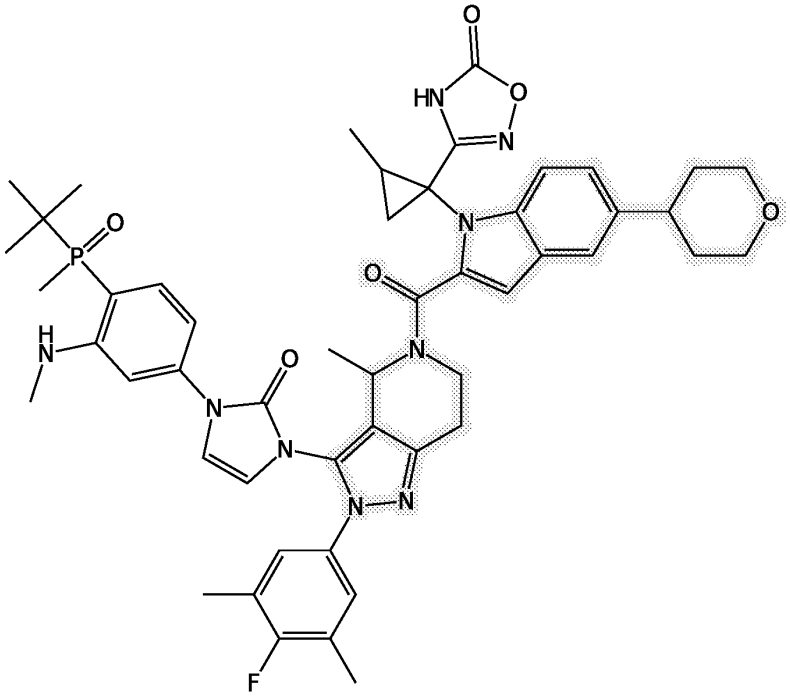
RN 2685824-35-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



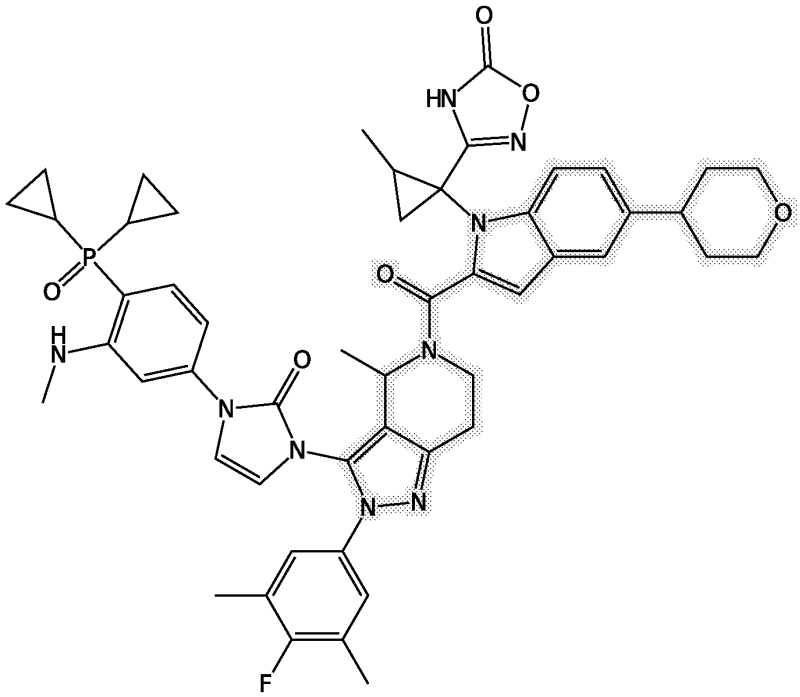
RN 2685824-37-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



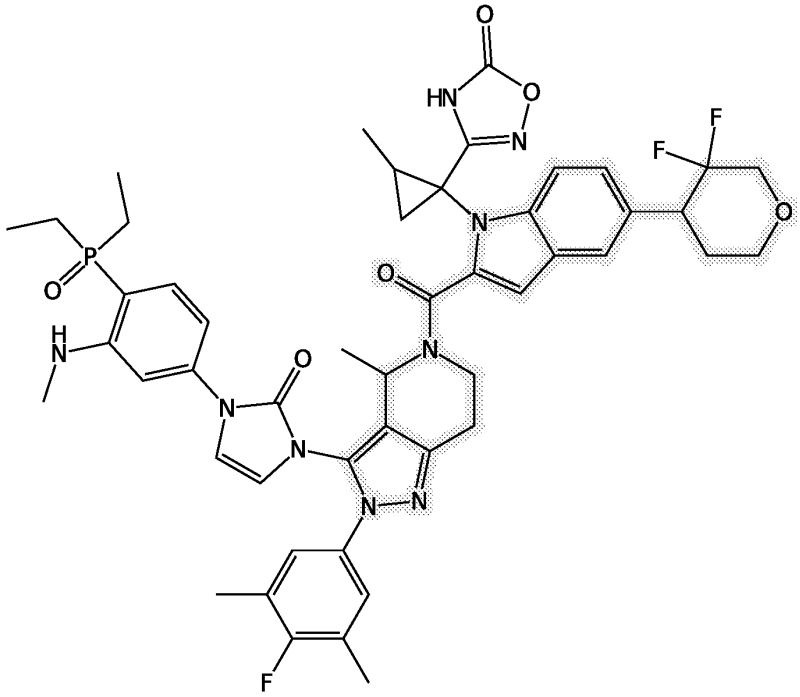
RN 2685824-39-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



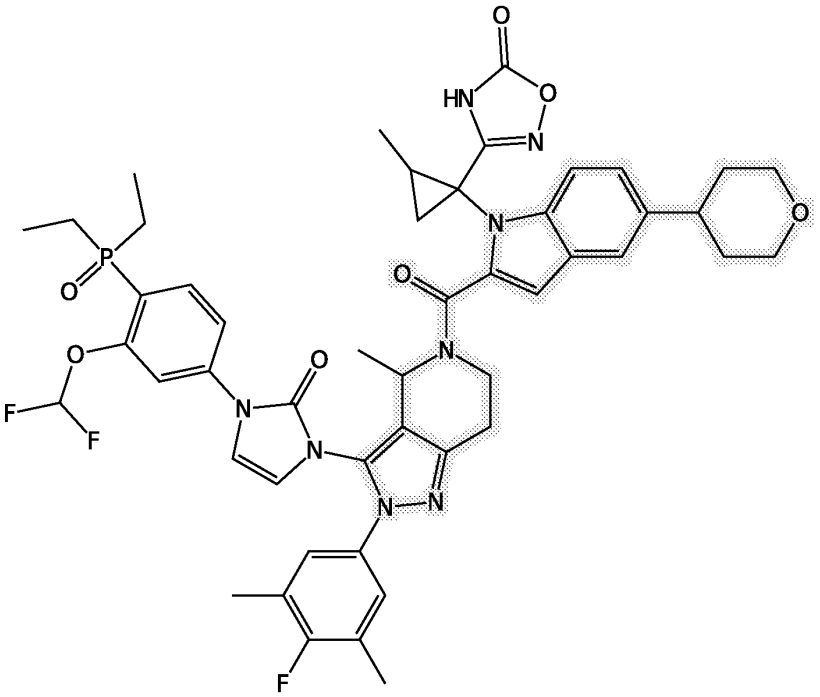
RN 2685824-41-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



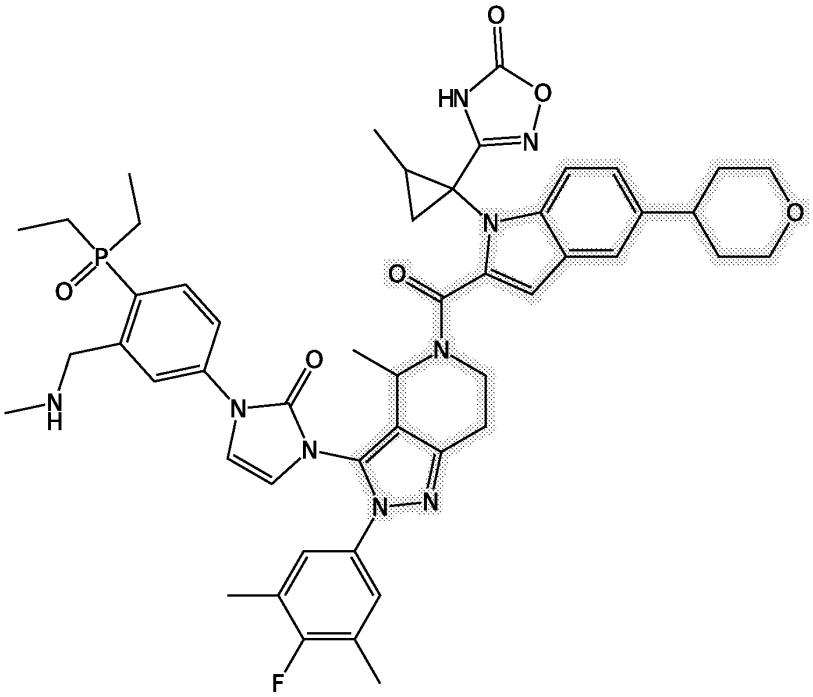
RN 2685824-45-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(3,3-difluorotetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



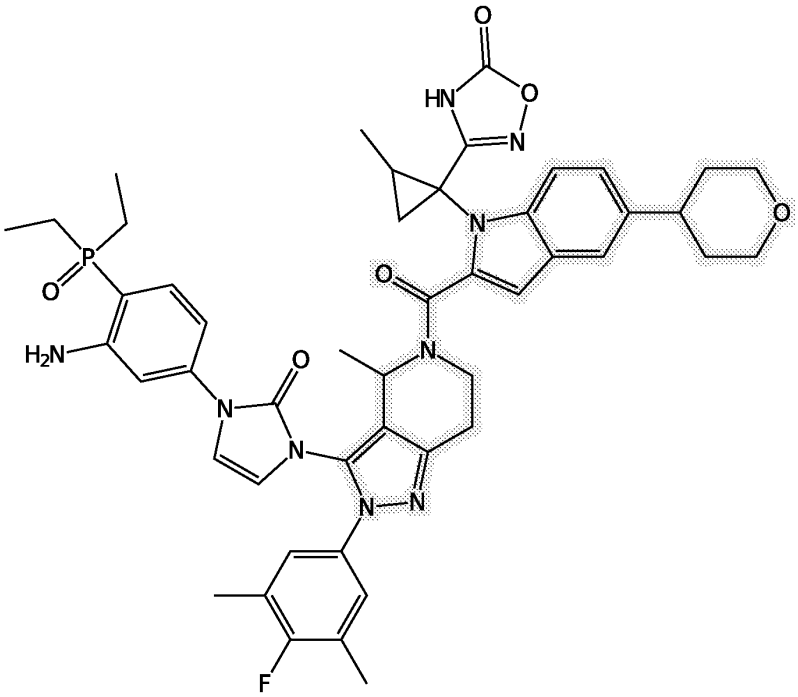
RN 2685824-49-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



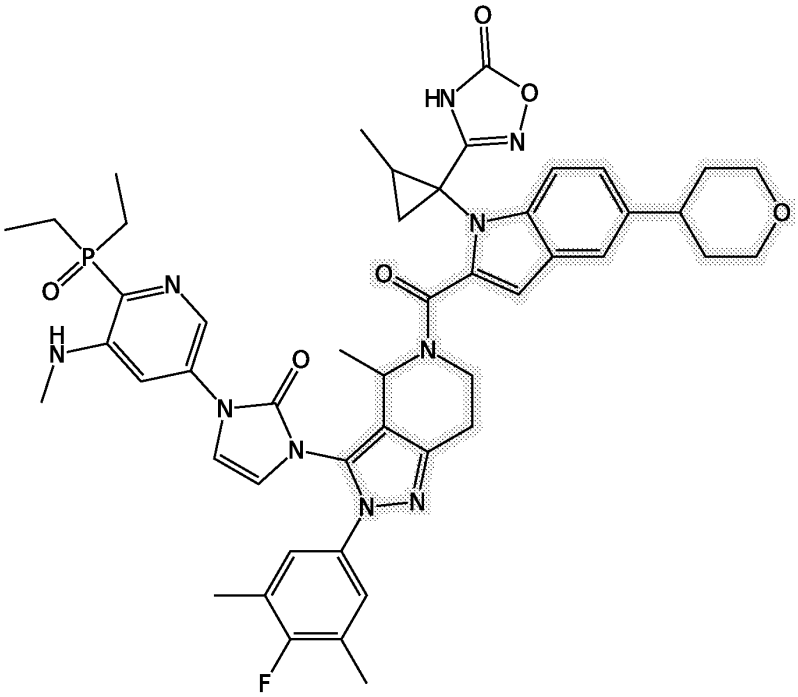
RN 2685824-51-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(methylamino)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



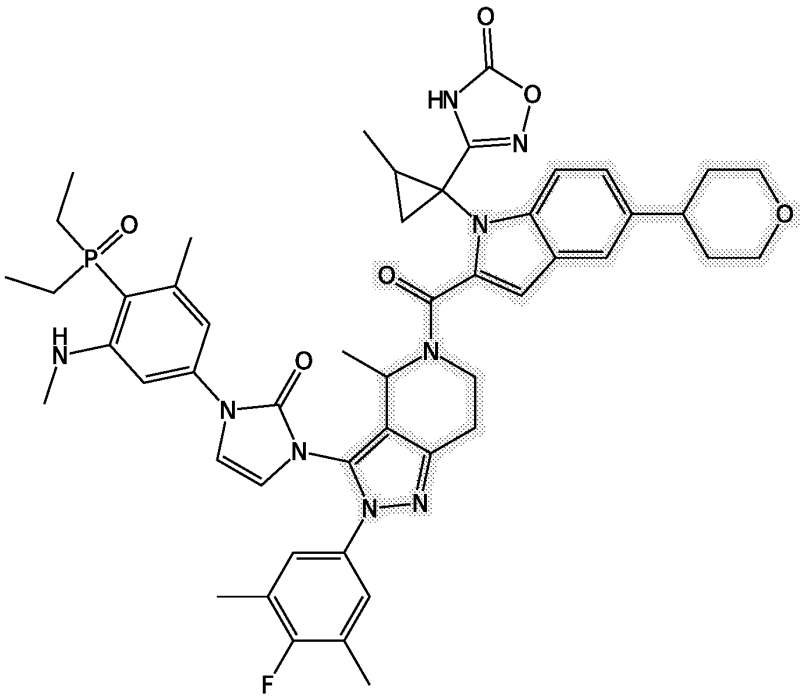
RN 2685824-53-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



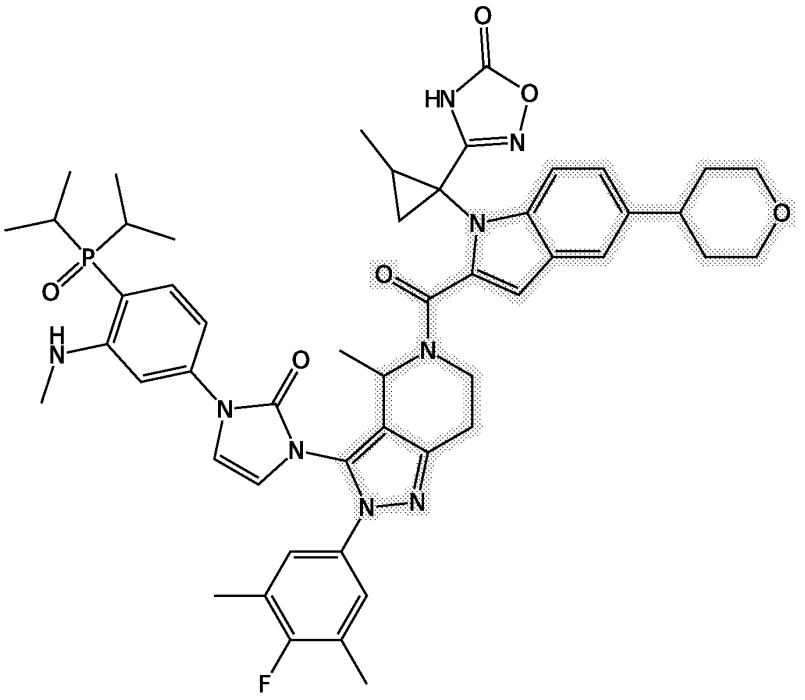
RN 2685824-55-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(diethylphosphinyl)-5-(methylamino)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



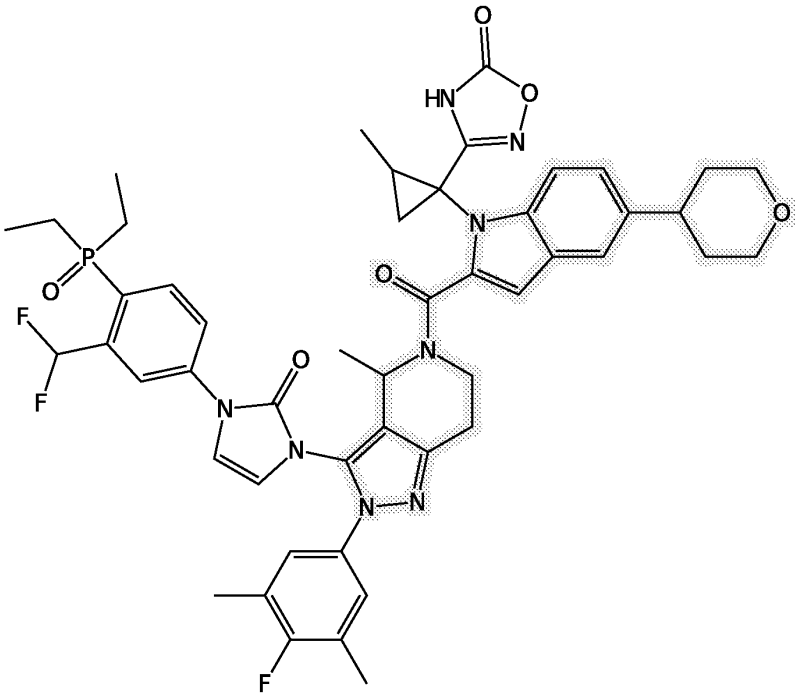
RN 2685824-57-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methyl-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



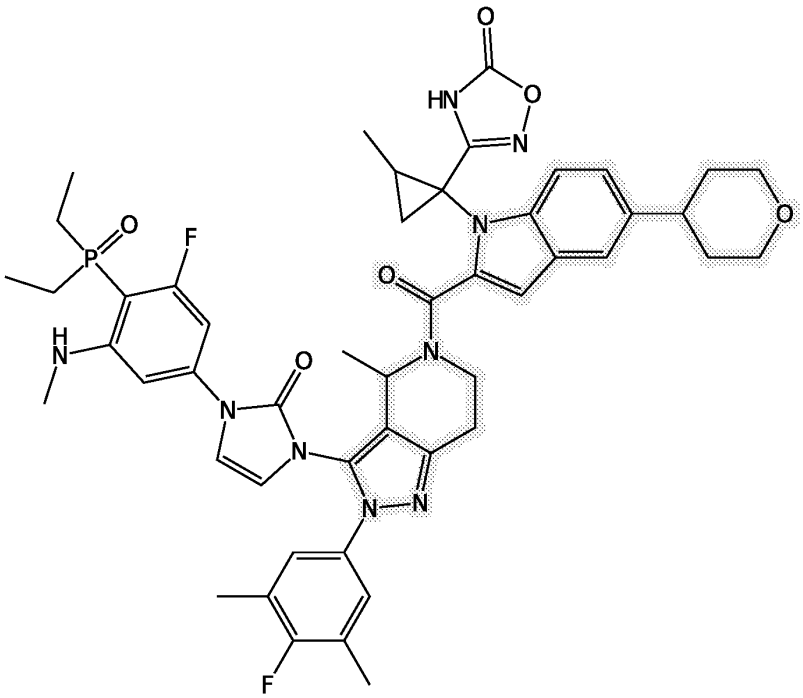
RN 2685824-59-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



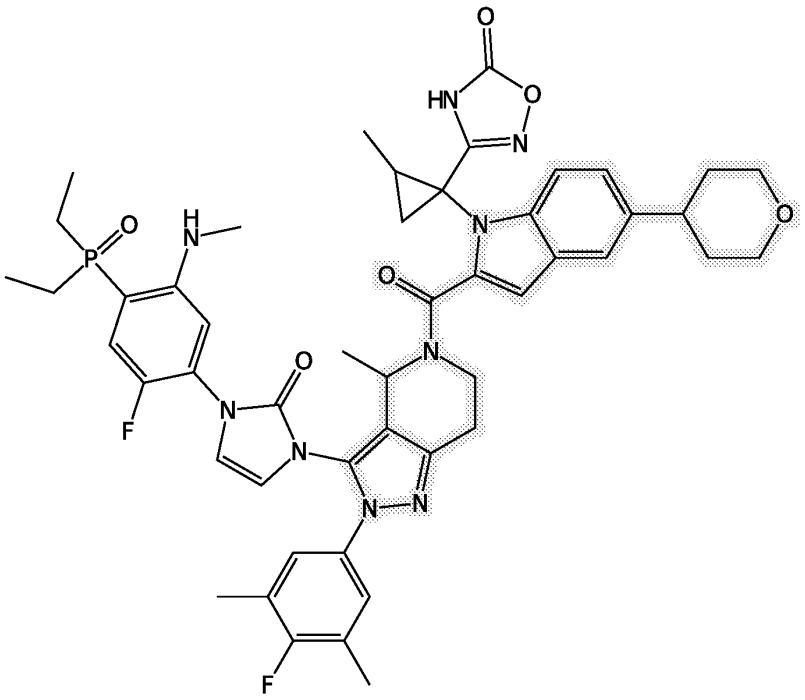
RN 2685824-61-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



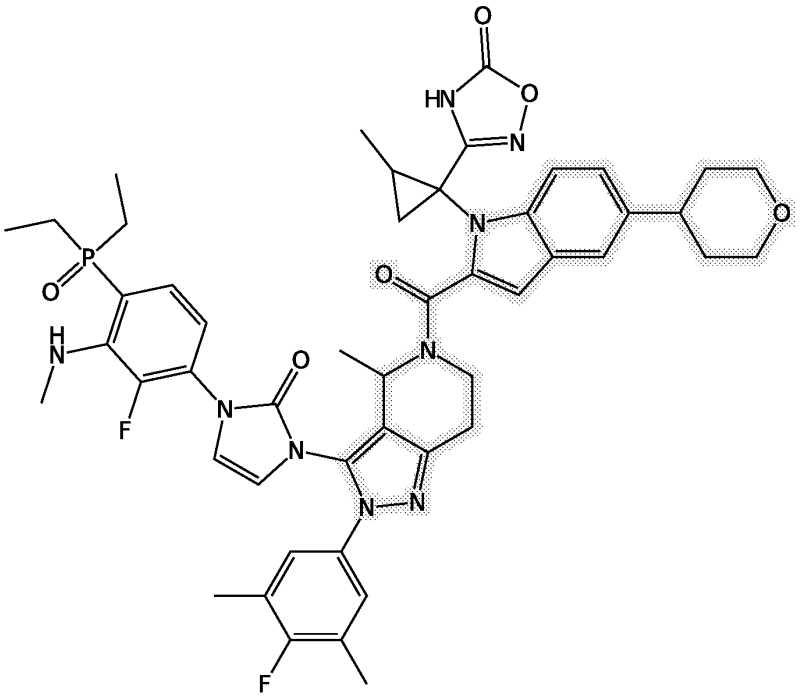
RN 2685824-63-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



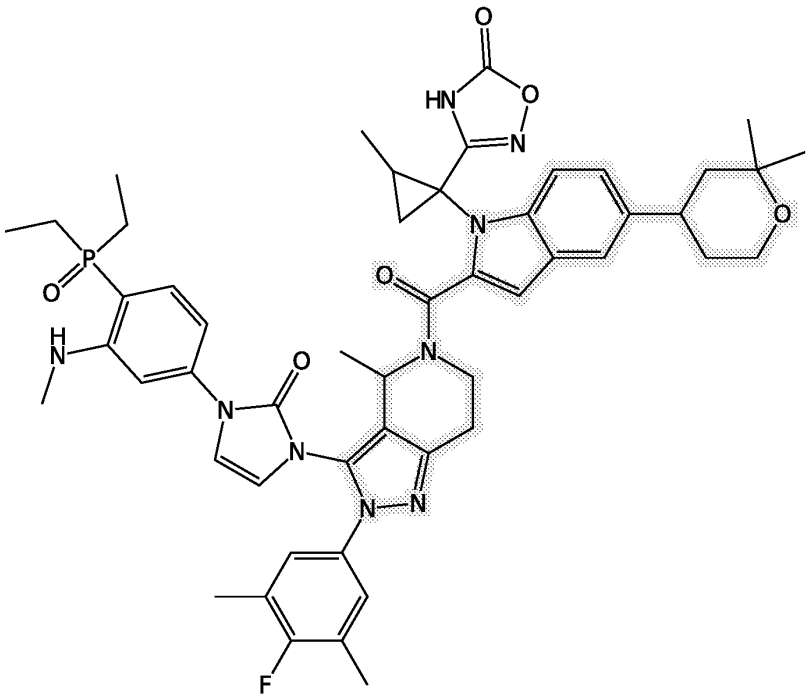
RN 2685824-65-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-2-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



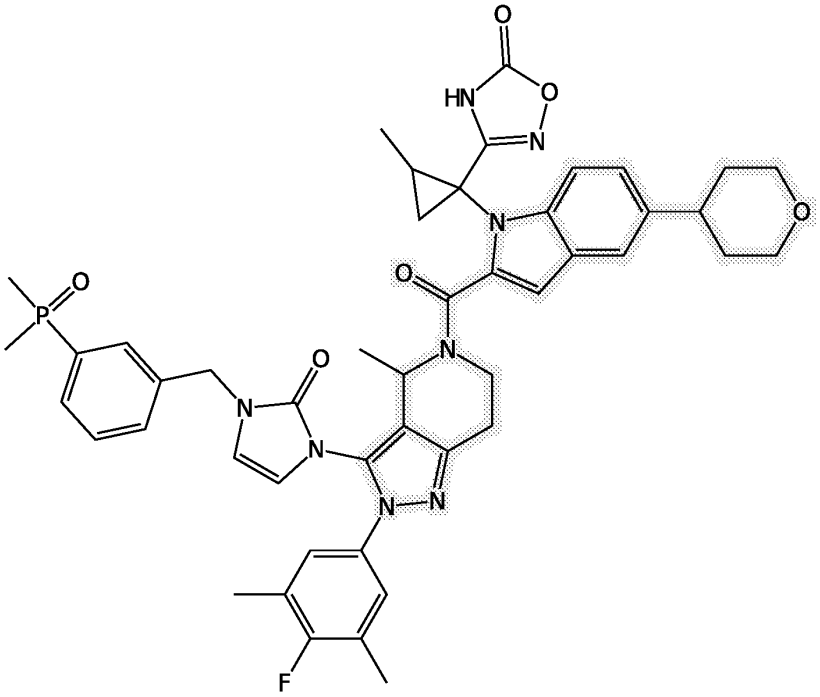
RN 2685824-67-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-2-fluoro-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



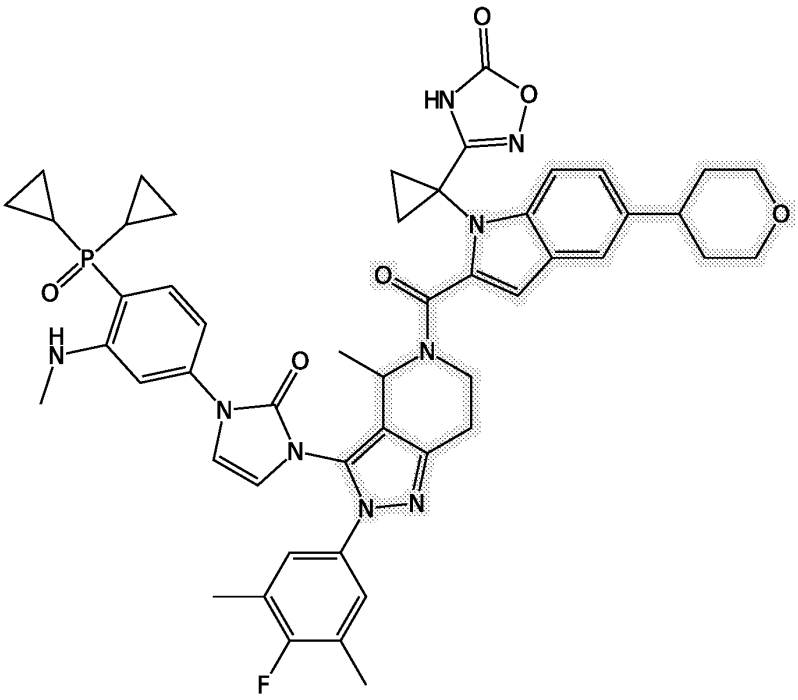
RN 2685824-69-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



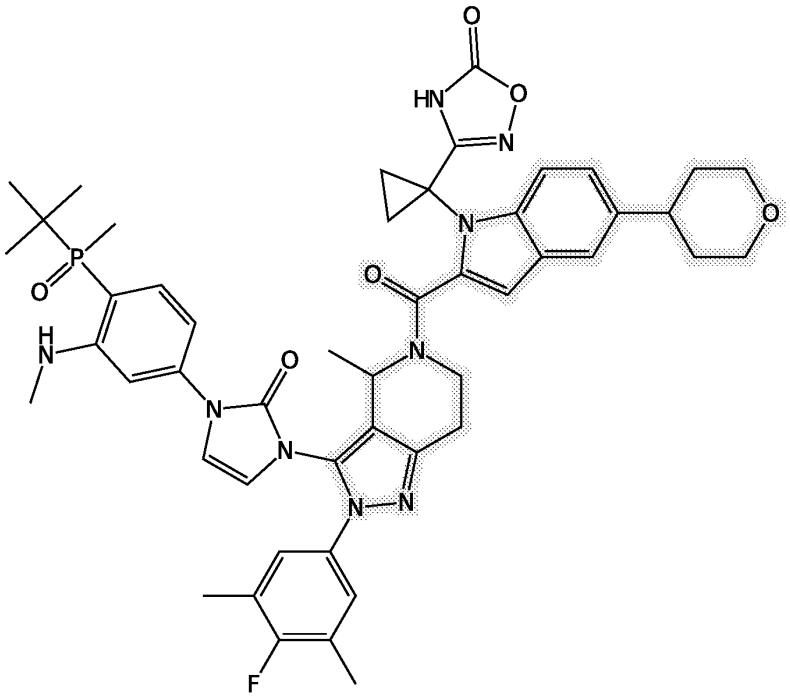
RN 2685824-71-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[[3-(dimethylphosphinyl)phenyl]methyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



RN 2685824-73-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)

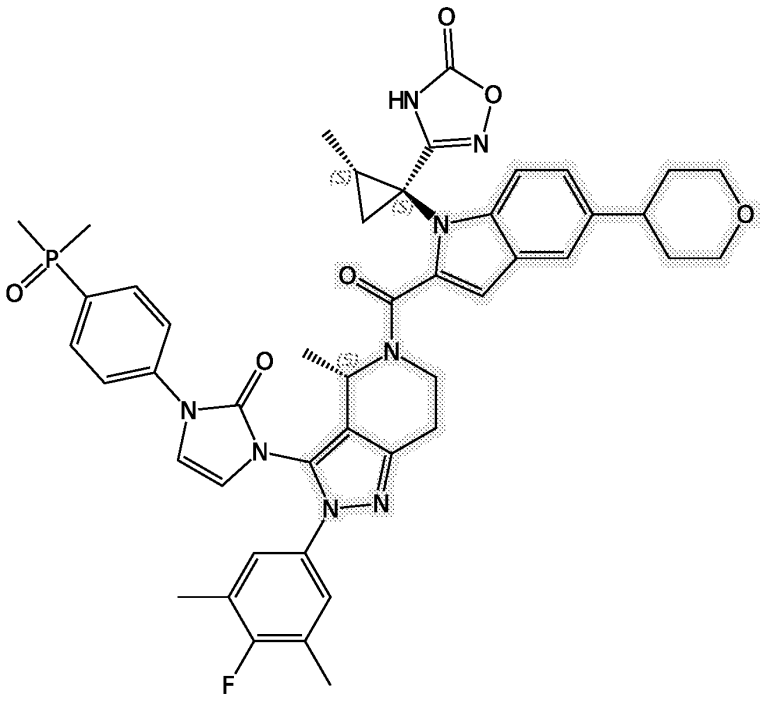


RN 2685824-75-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



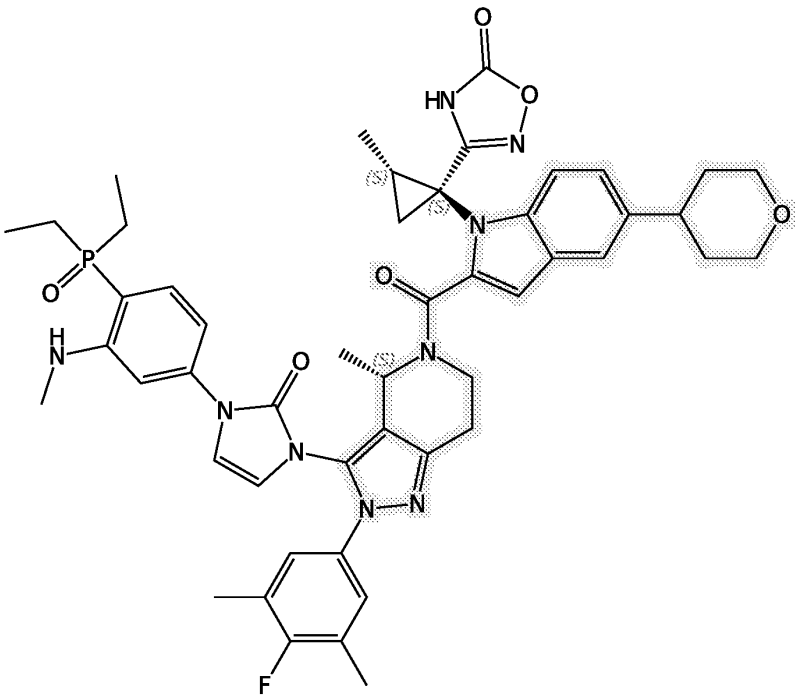
IT 2685823-25-8P 2685823-26-9P 2685823-27-0P
2685823-28-1P 2685823-29-2P 2685823-30-5P
2685823-31-6P 2685823-32-7P 2685823-33-8P
2685823-34-9P 2685823-35-0P 2685823-36-1P
2685823-37-2P 2685823-38-3P 2685823-39-4P
2685823-40-7P 2685823-41-8P 2685823-42-9P
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2685823-46-3P 2685823-47-4P 2685823-48-5P
2685823-49-6P 2685823-50-9P 2685823-51-0P
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2685823-55-4P 2685823-56-5P 2685823-57-6P
2685823-58-7P 2685823-59-8P 2685823-60-1P
2685823-61-2P 2685823-62-3P 2685823-63-4P
2685823-64-5P 2685823-65-6P 2685823-66-7P
2685823-67-8P 2685823-69-0P 2685823-71-4P
2685823-73-6P 2685824-85-3P 2685824-87-5P
2685824-89-7P 2766050-03-5P 2906933-51-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as GLP-1 agonists)

RN 2685823-25-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



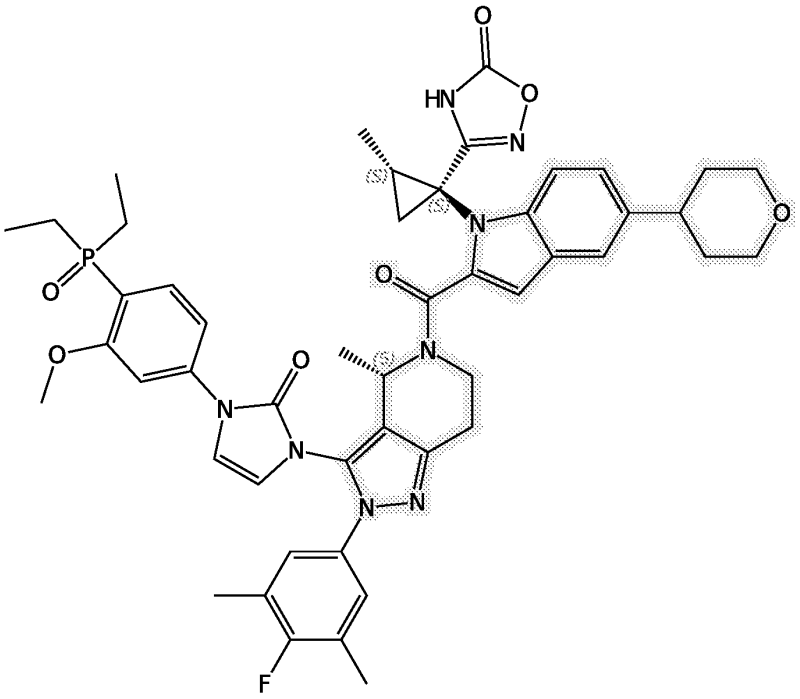
Absolute stereochemistry shown

RN 2685823-26-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



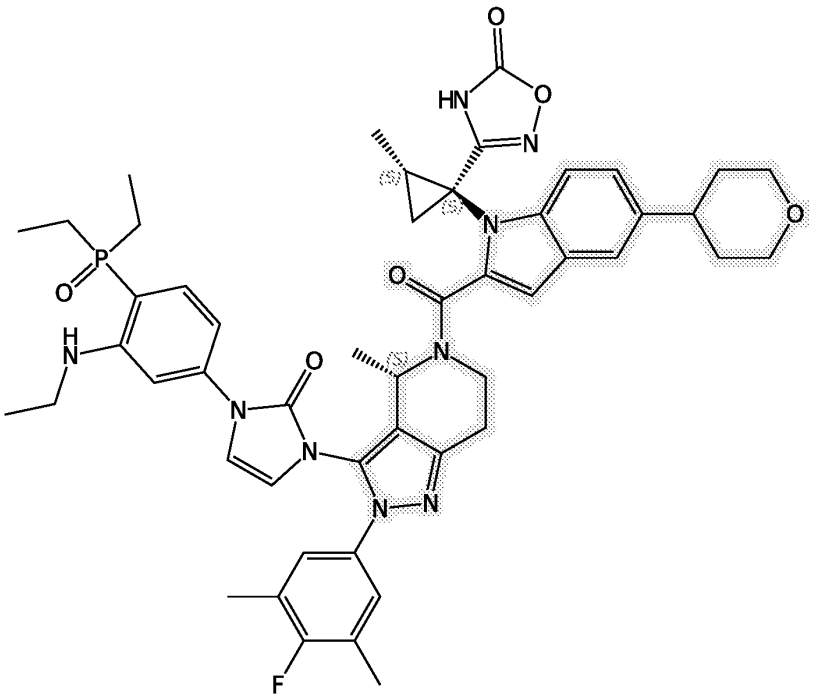
Absolute stereochemistry shown

RN 2685823-27-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-methoxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



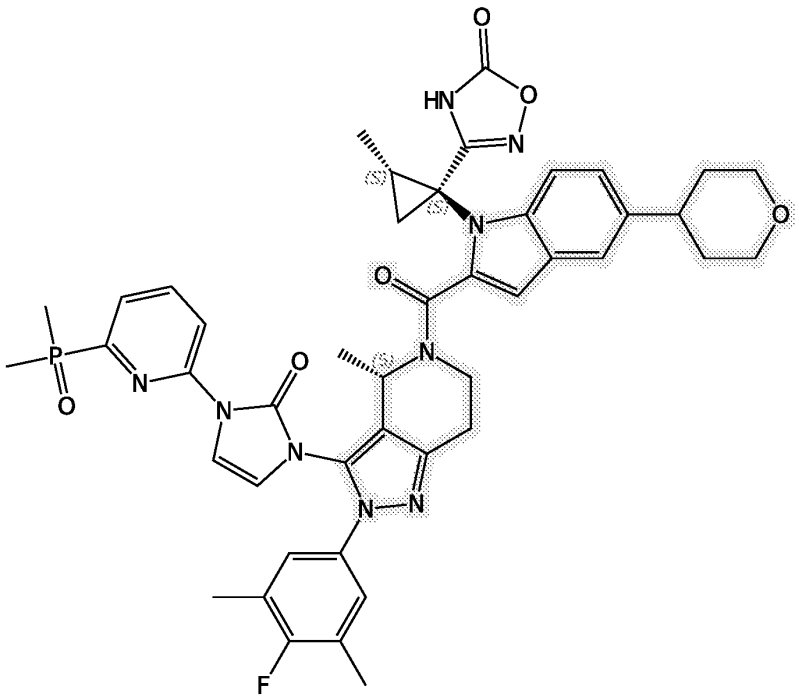
Absolute stereochemistry shown

RN 2685823-28-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(ethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



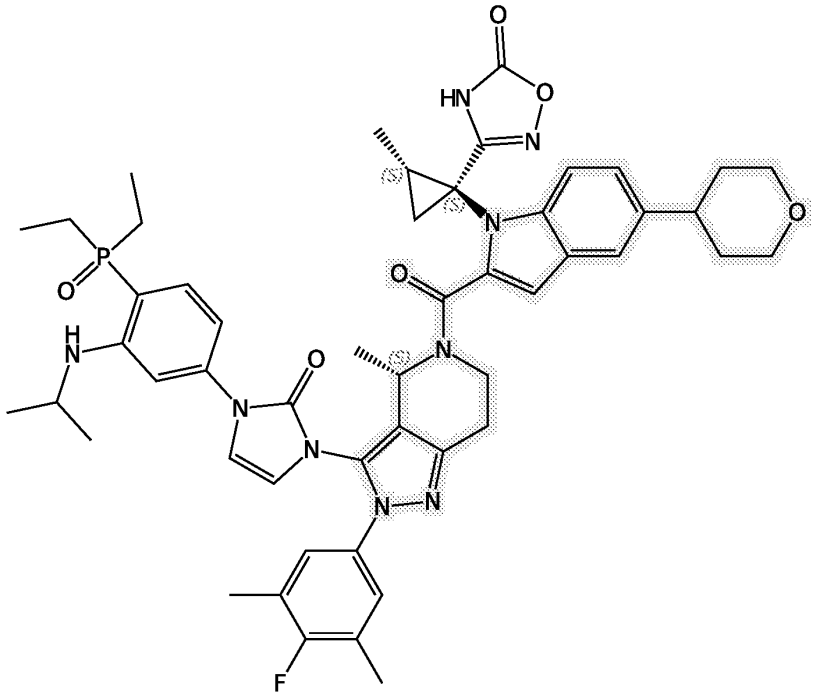
Absolute stereochemistry shown

RN 2685823-29-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[6-(dimethylphosphinyl)-2-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



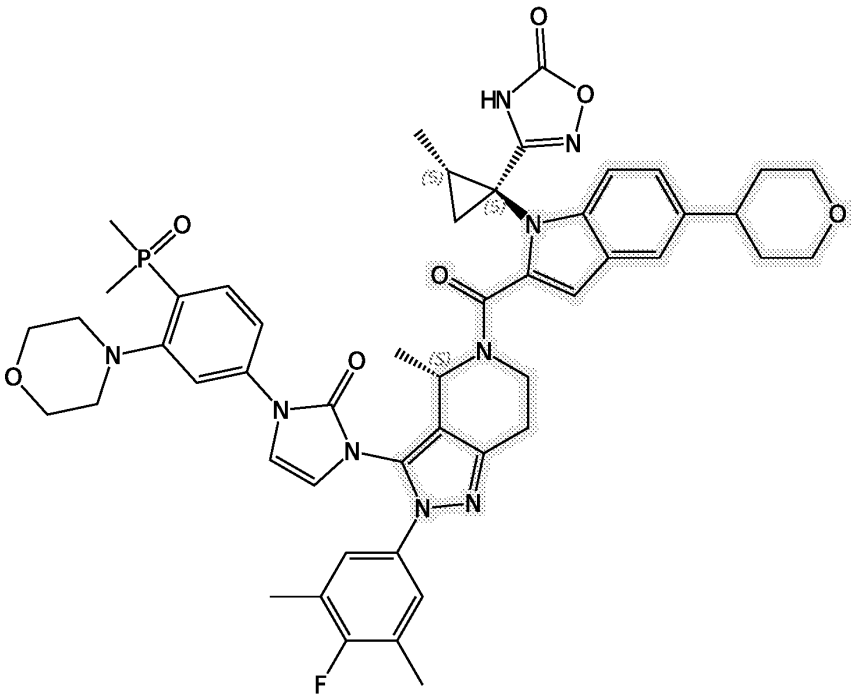
Absolute stereochemistry shown

RN 2685823-30-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-[(1-methylethyl)amino]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



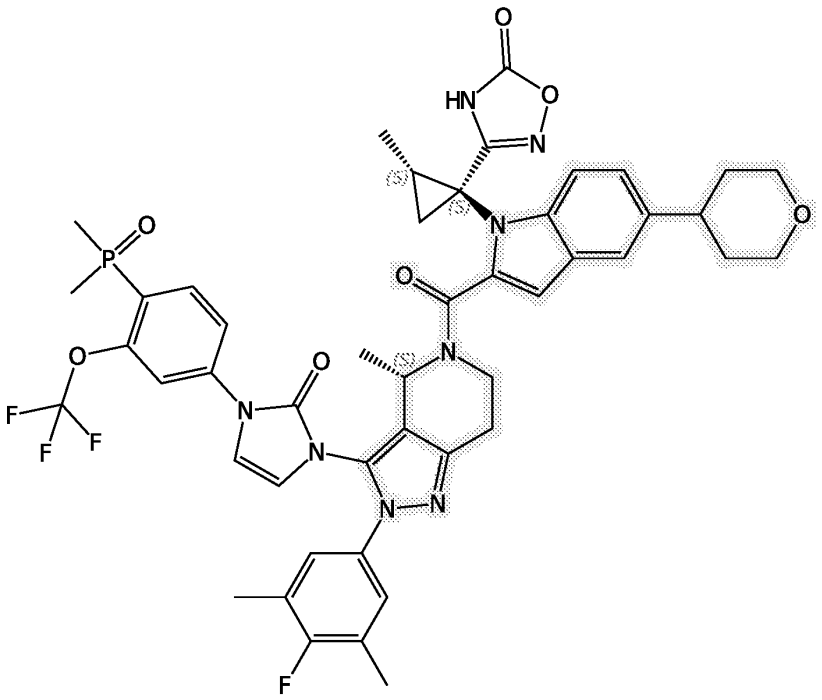
Absolute stereochemistry shown

RN 2685823-31-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



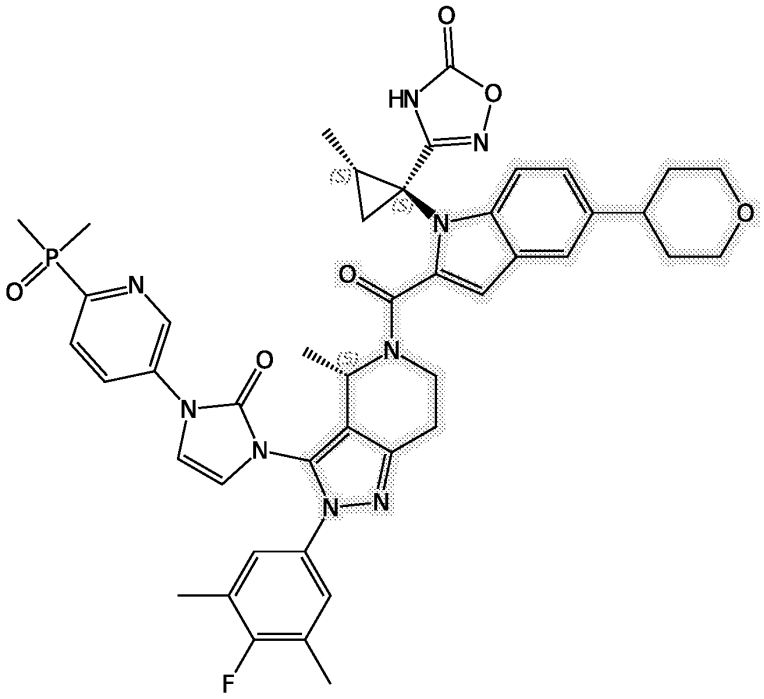
Absolute stereochemistry shown

RN 2685823-32-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)-3-(trifluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



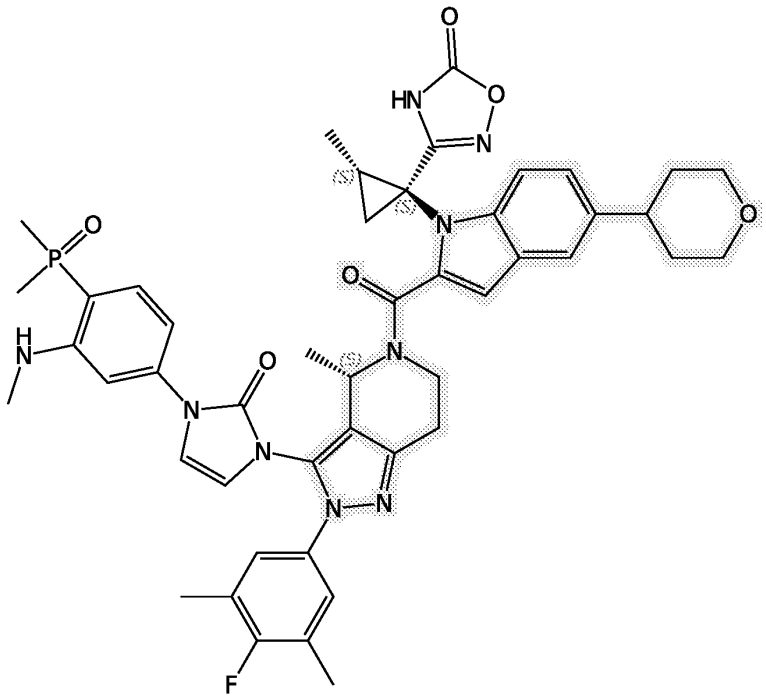
Absolute stereochemistry shown

RN 2685823-33-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[6-(dimethylphosphinyl)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



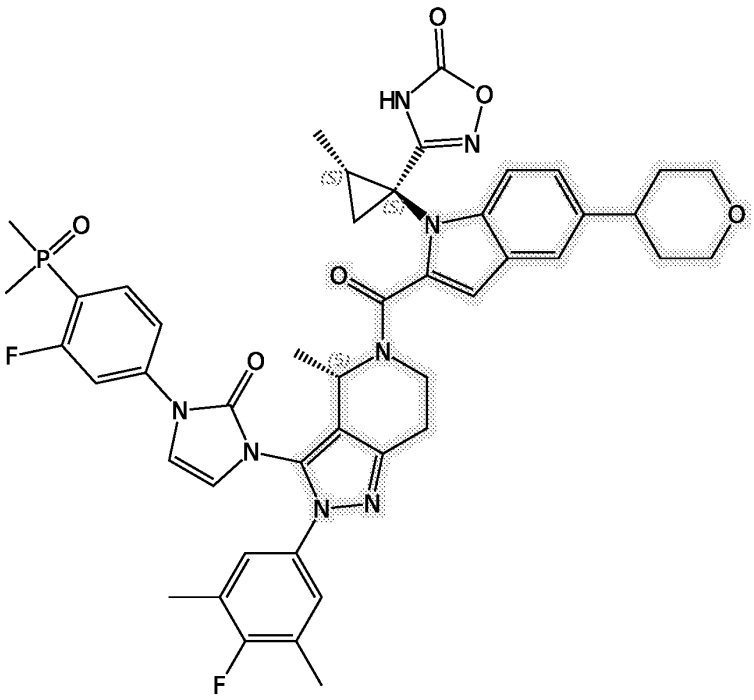
Absolute stereochemistry shown

RN 2685823-34-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



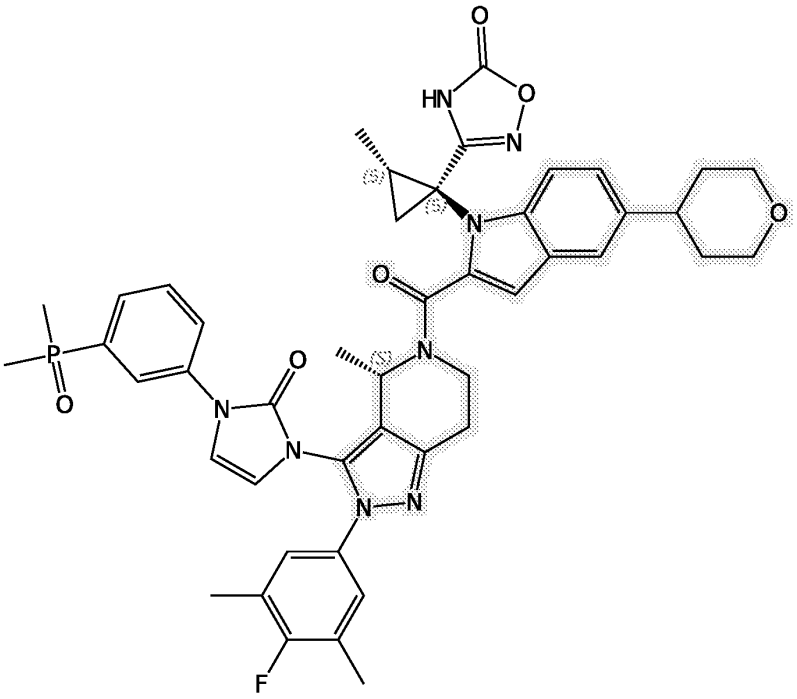
Absolute stereochemistry shown

RN 2685823-35-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)-3-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



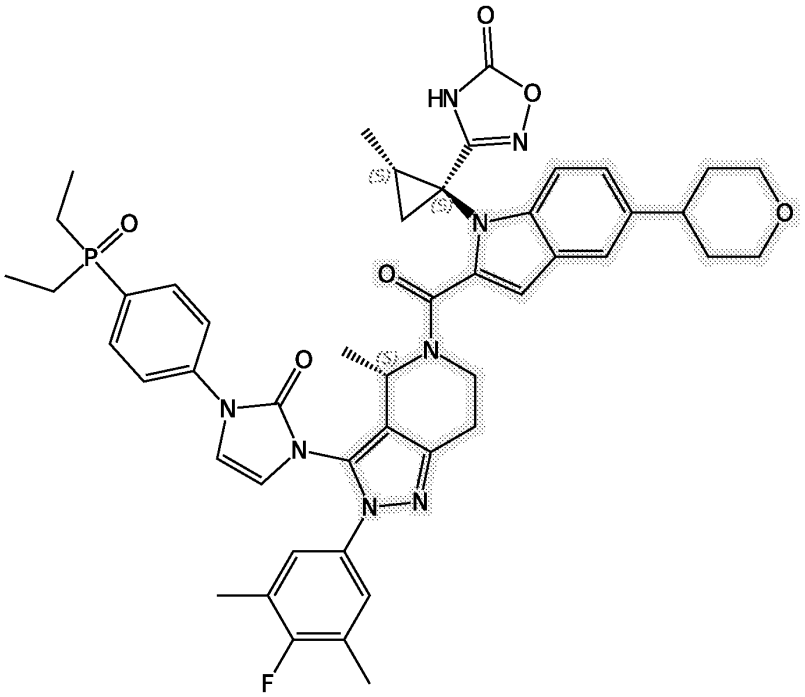
Absolute stereochemistry shown

RN 2685823-36-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



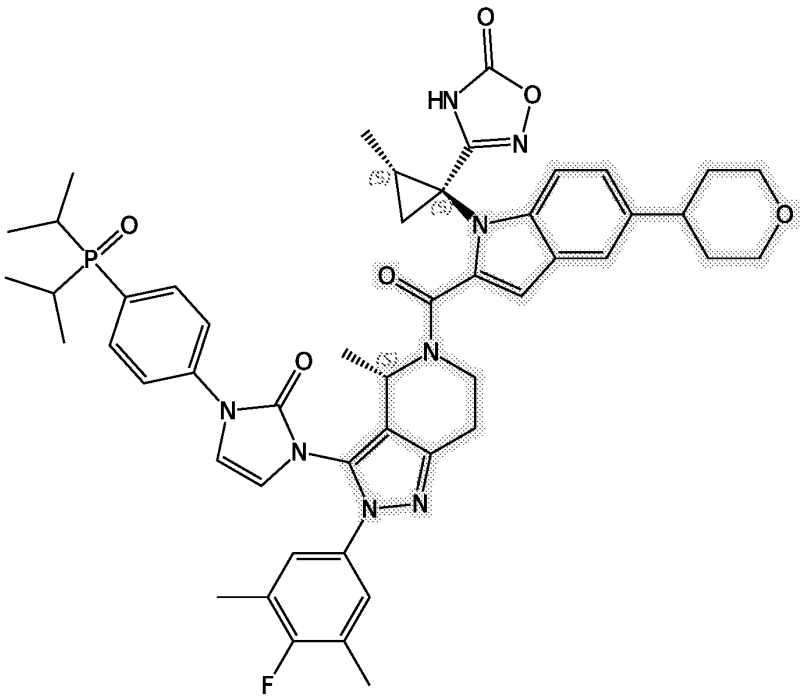
Absolute stereochemistry shown

RN 2685823-37-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



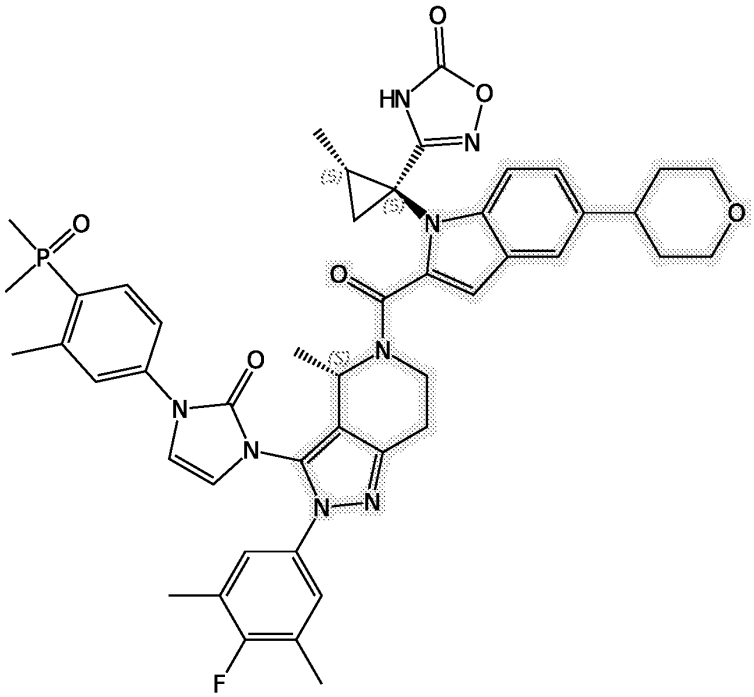
Absolute stereochemistry shown

RN 2685823-38-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[bis(1-methylethyl)phosphinyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



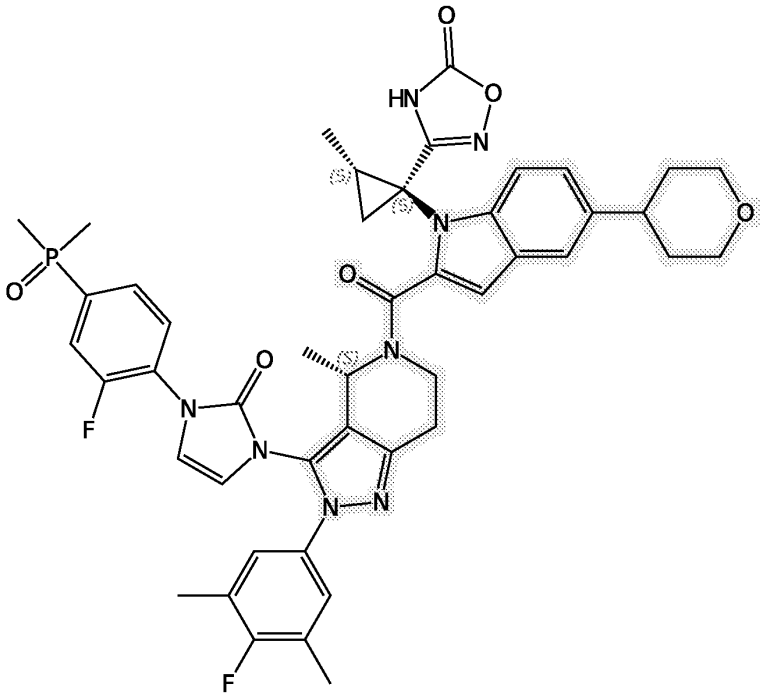
Absolute stereochemistry shown

RN 2685823-39-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)-3-methylphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



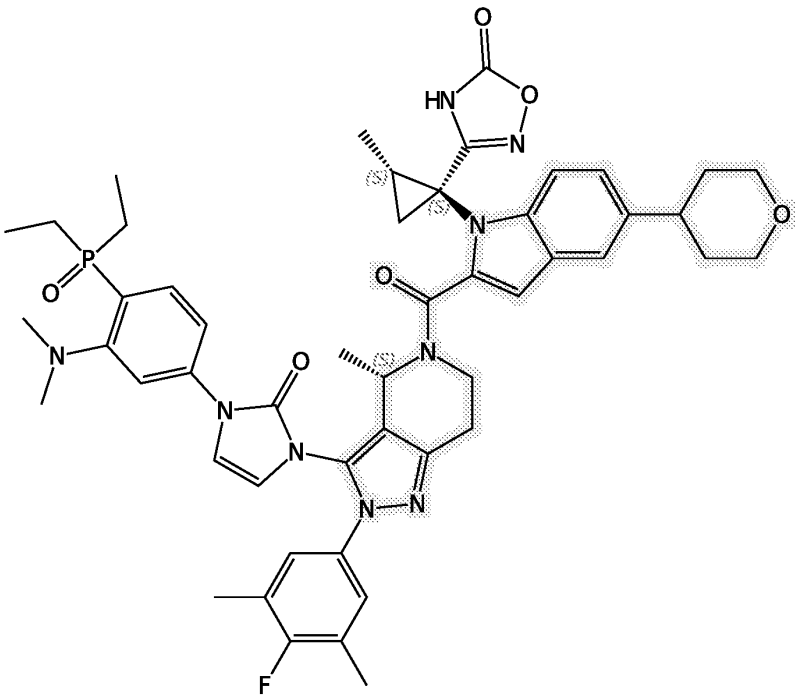
Absolute stereochemistry shown

RN 2685823-40-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



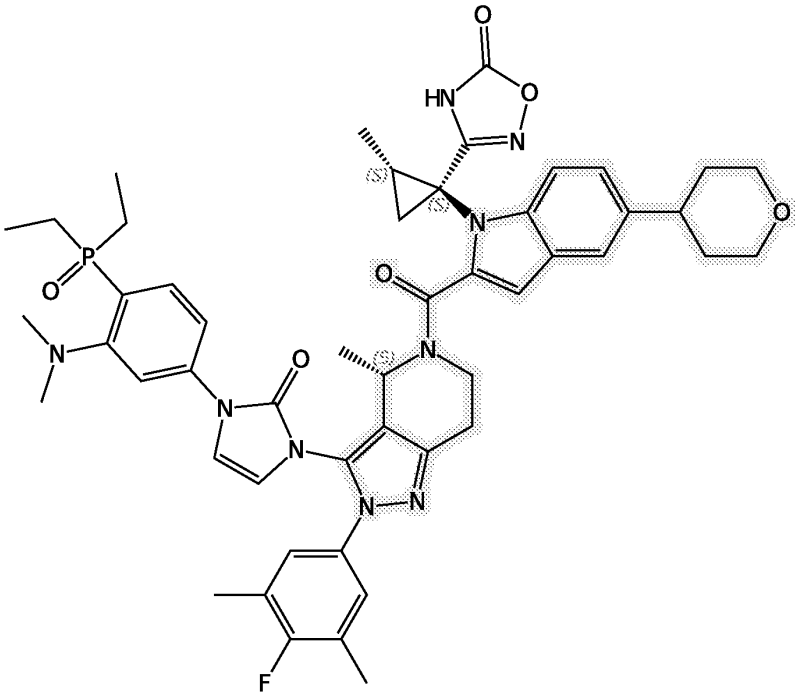
Absolute stereochemistry shown

RN 2685823-41-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

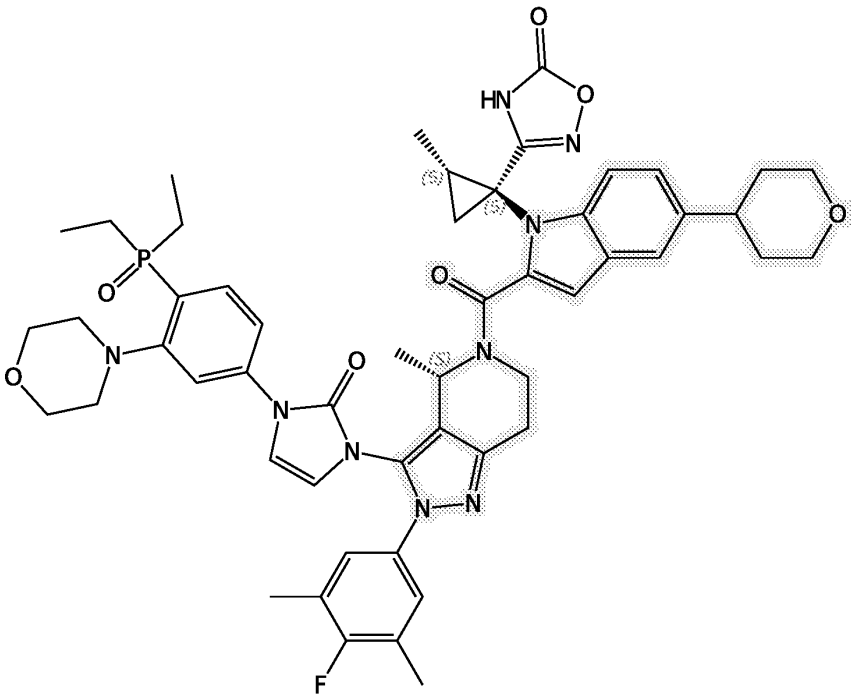
RN 2685823-42-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)



• HCl

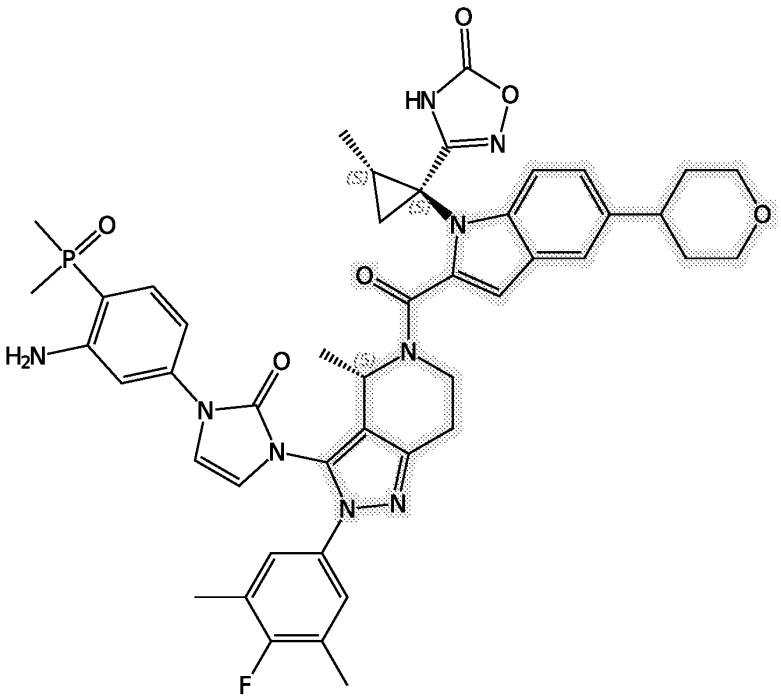
Absolute stereochemistry shown

RN 2685823-43-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



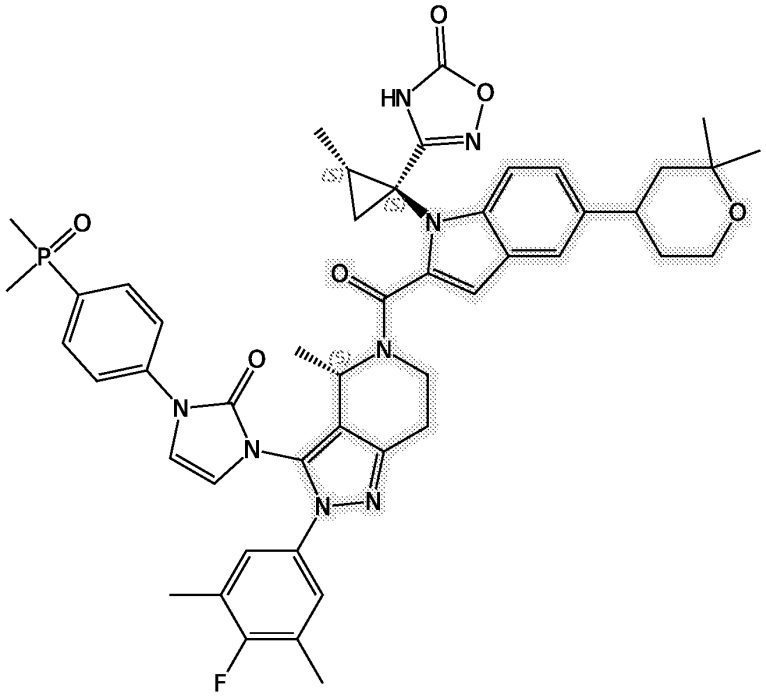
Absolute stereochemistry shown

RN 2685823-44-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-amino-4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



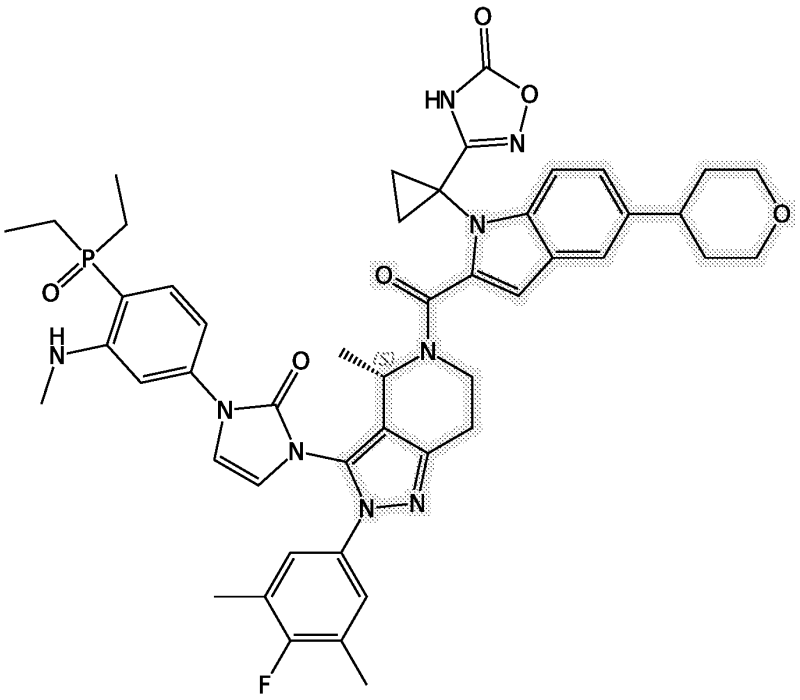
Absolute stereochemistry shown

RN 2685823-45-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



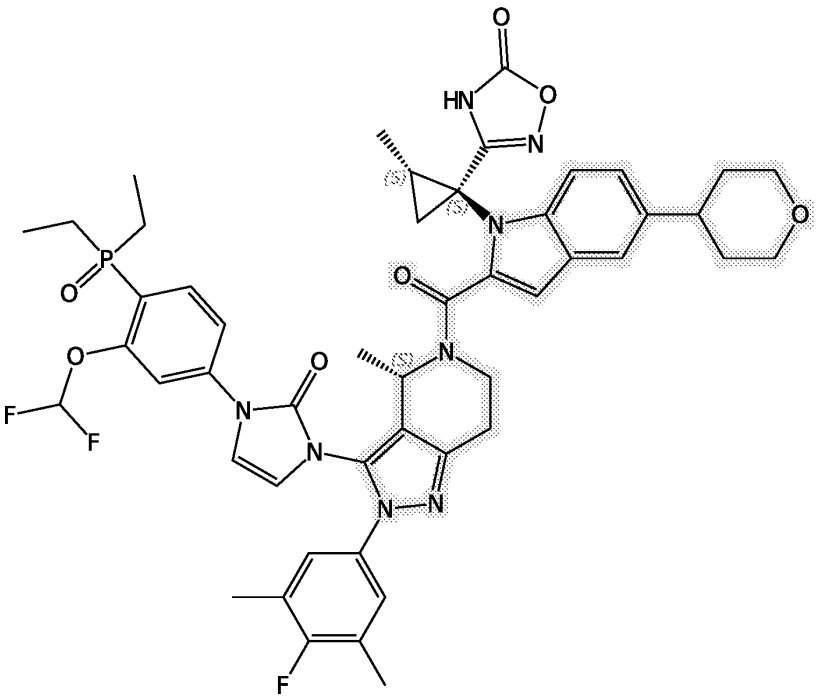
Absolute stereochemistry shown

RN 2685823-46-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



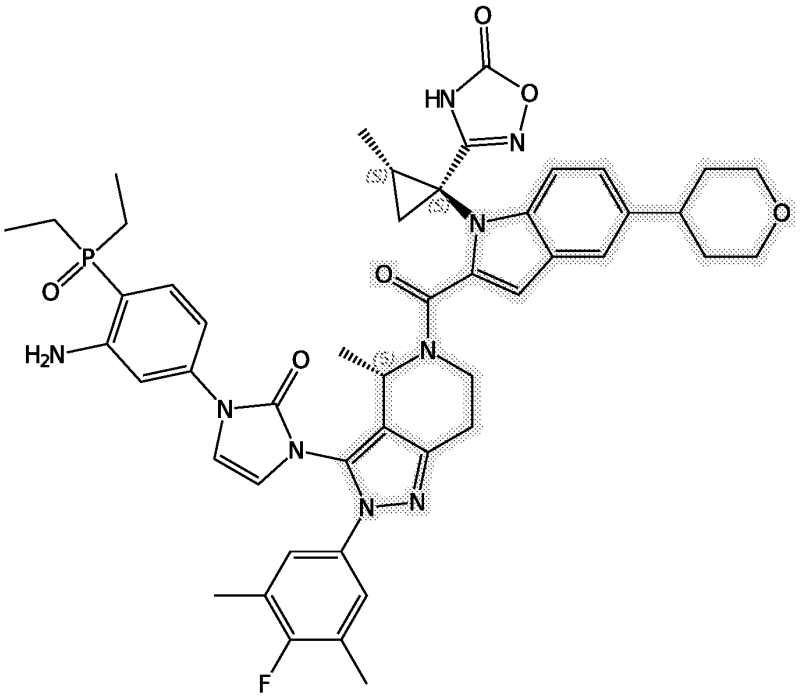
Absolute stereochemistry shown

RN 2685823-47-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(difluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



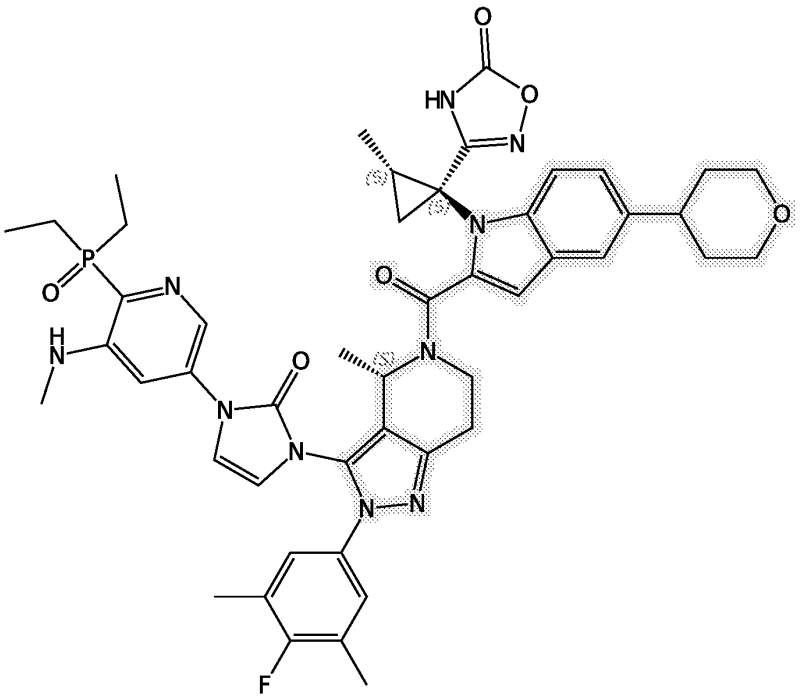
Absolute stereochemistry shown

RN 2685823-48-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-amino-4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



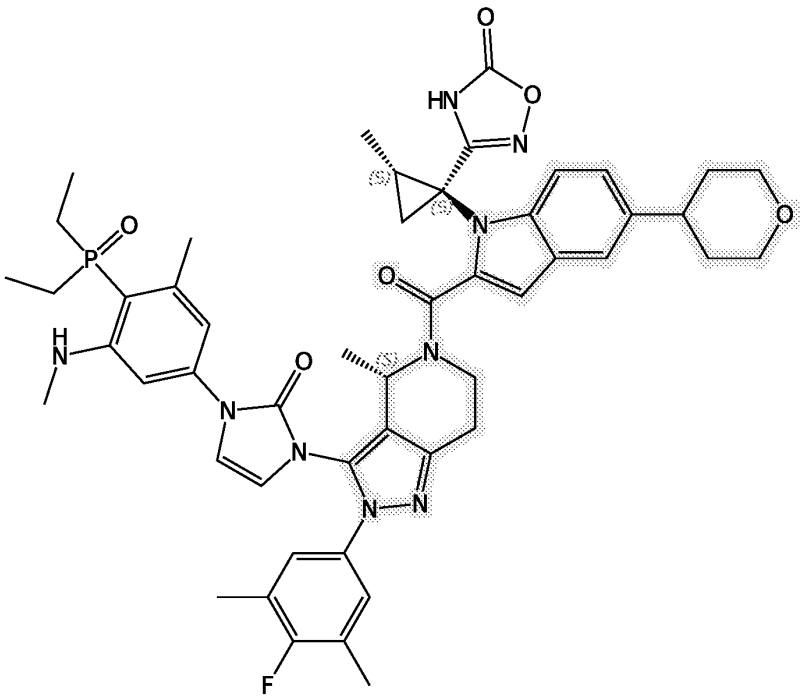
Absolute stereochemistry shown

RN 2685823-49-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[6-(diethylphosphinyl)-5-(methylamino)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



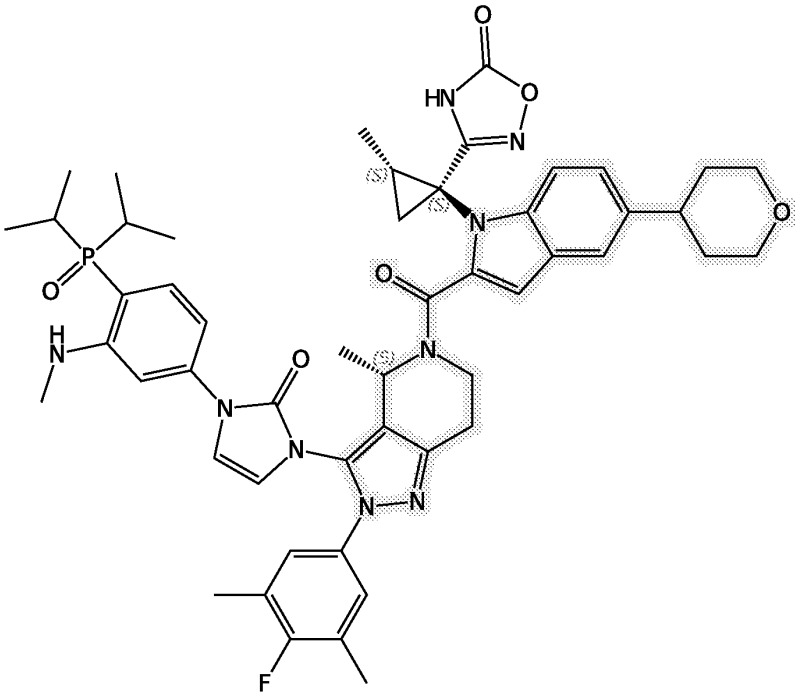
Absolute stereochemistry shown

RN 2685823-50-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-methyl-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



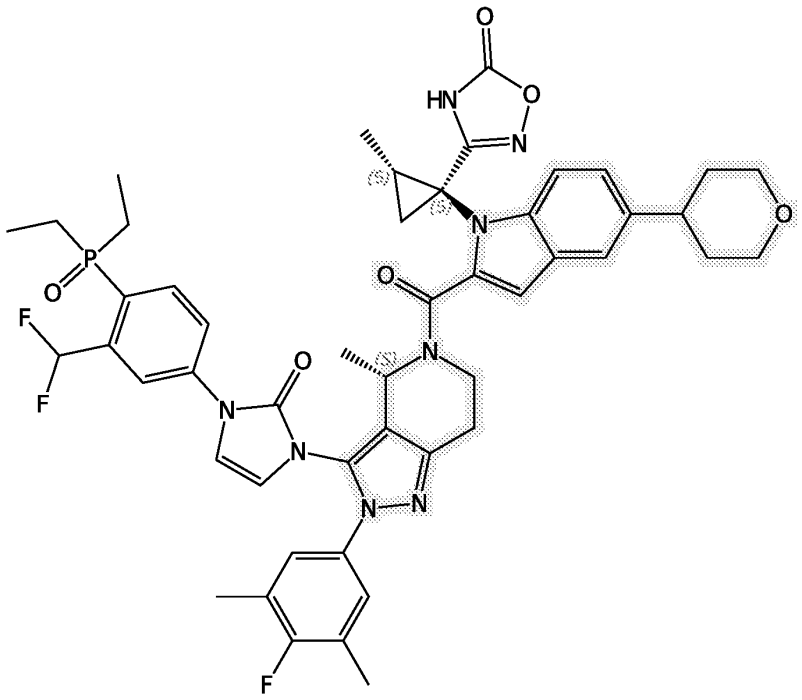
Absolute stereochemistry shown

RN 2685823-51-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[bis(1-methylethyl)phosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-
(CA INDEX NAME)



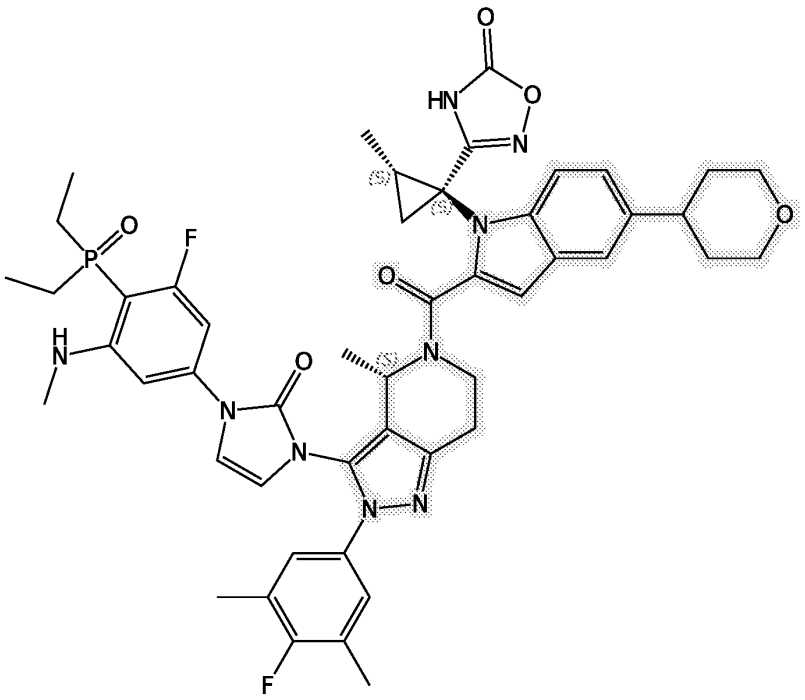
Absolute stereochemistry shown

RN 2685823-52-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(difluoromethyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



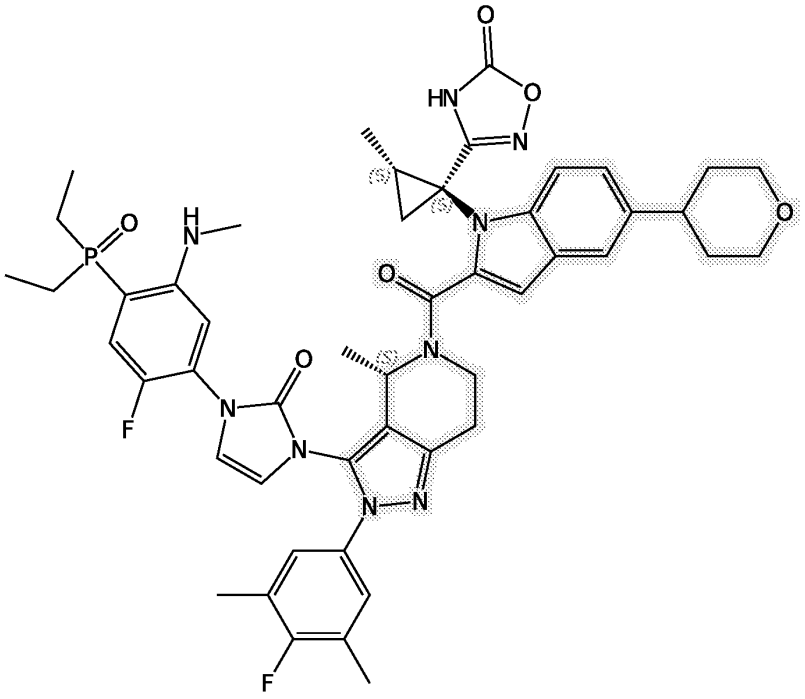
Absolute stereochemistry shown

RN 2685823-53-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



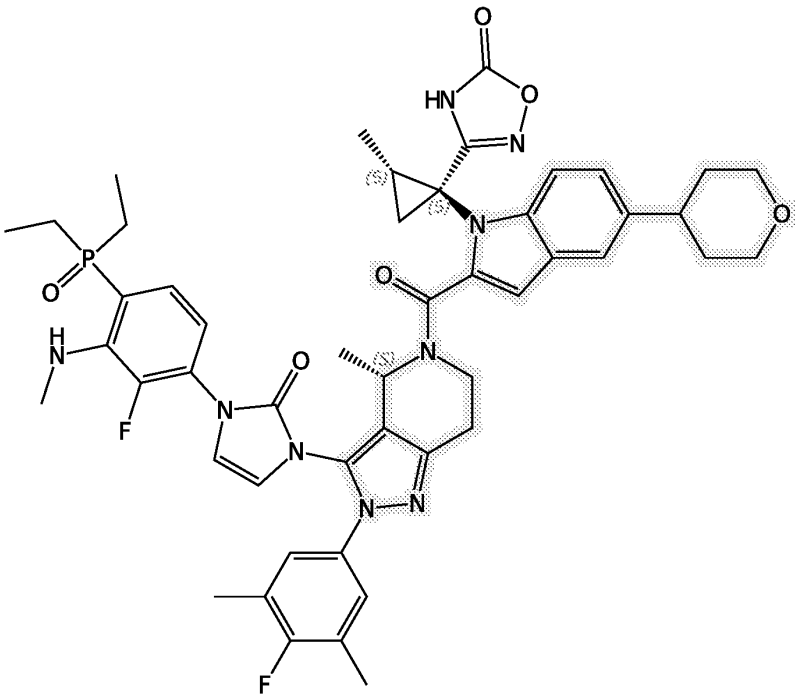
Absolute stereochemistry shown

RN 2685823-54-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-2-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



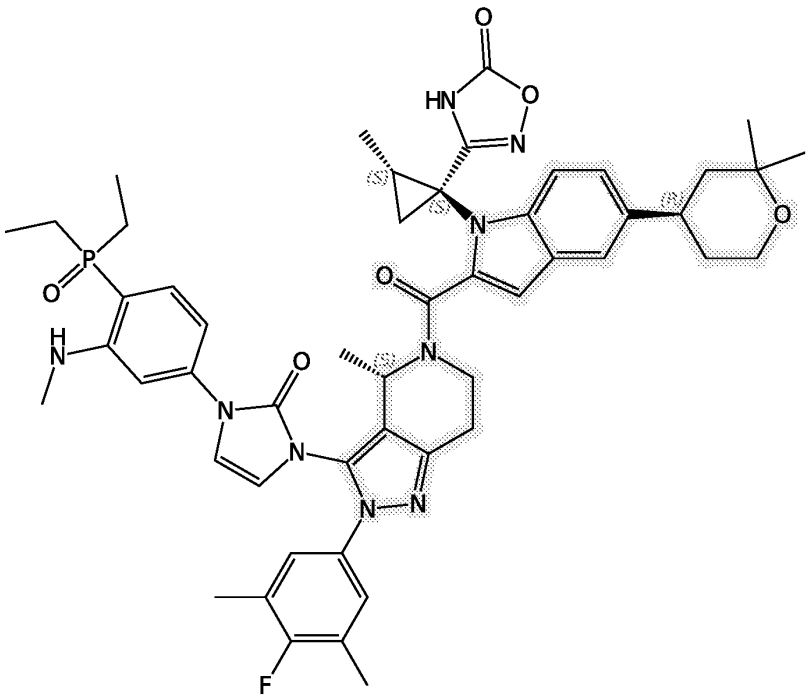
Absolute stereochemistry shown

RN 2685823-55-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-2-fluoro-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



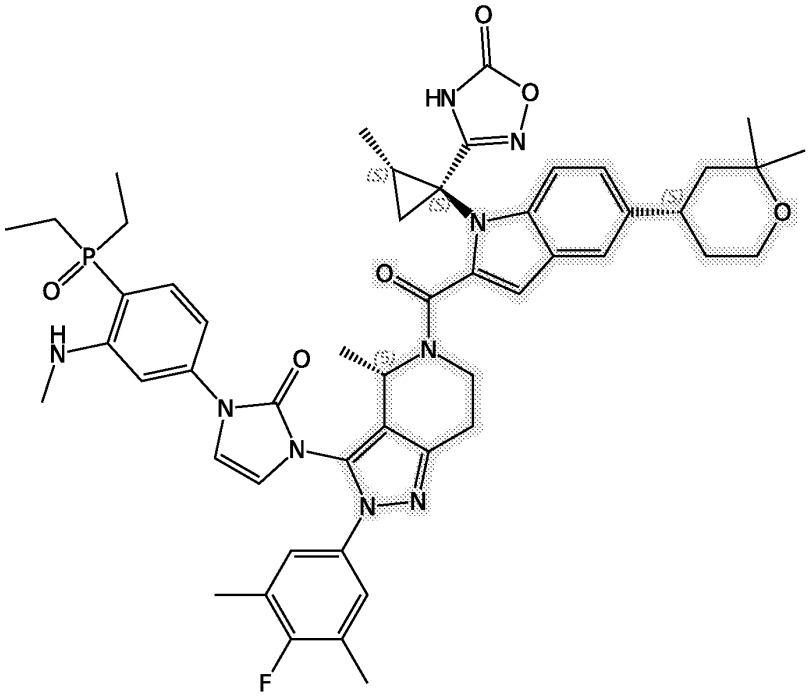
Absolute stereochemistry shown

RN 2685823-56-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



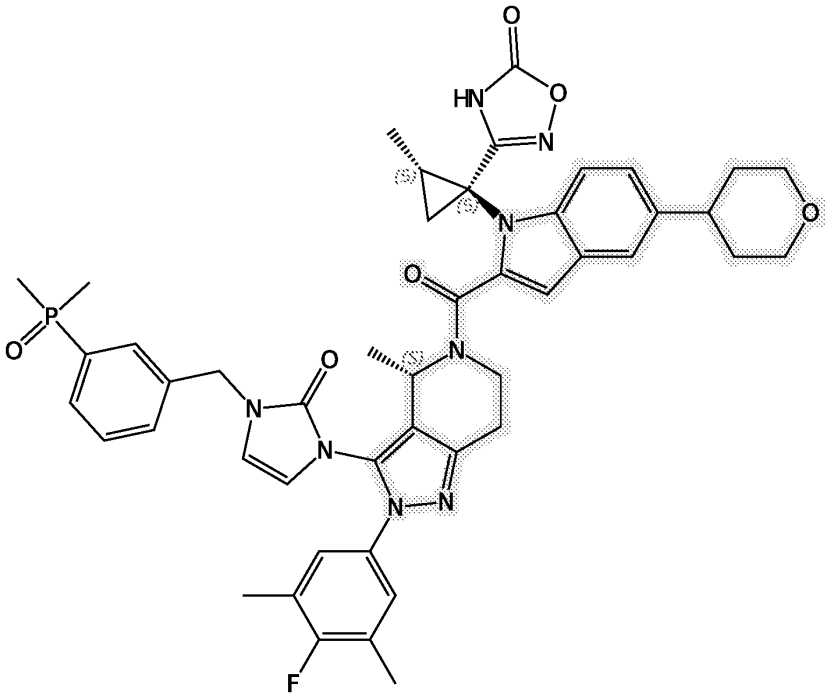
Absolute stereochemistry shown

RN 2685823-57-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



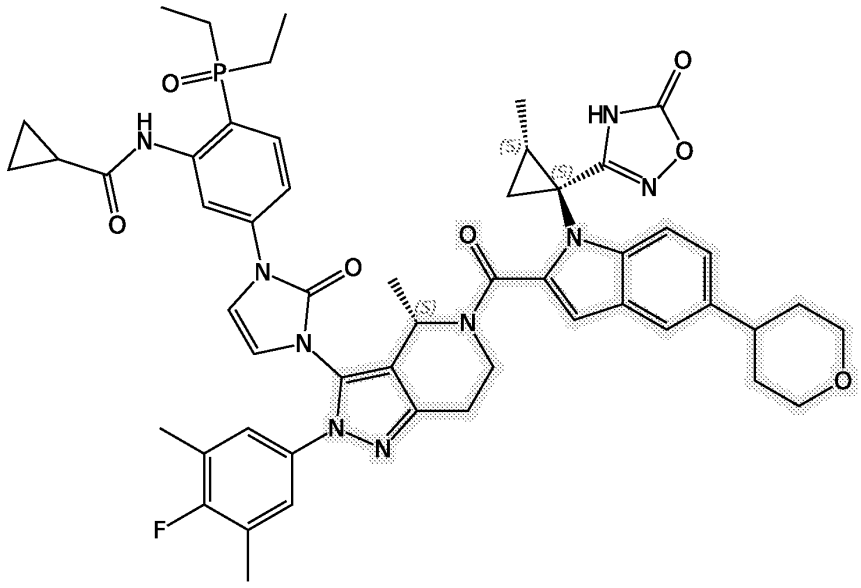
Absolute stereochemistry shown

RN 2685823-58-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[[3-(dimethylphosphinyl)phenyl]methyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



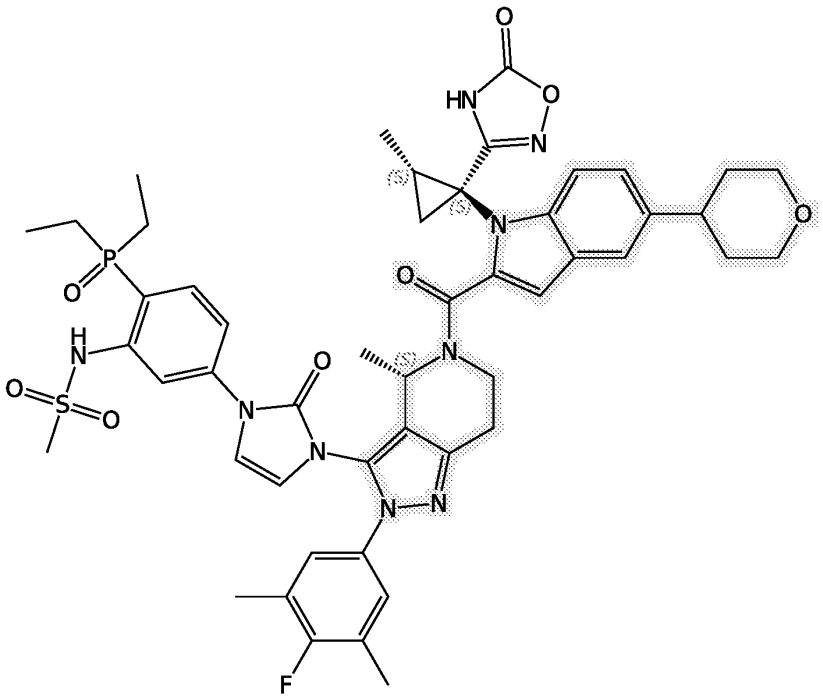
Absolute stereochemistry shown

RN 2685823-59-8 CAPLUS
CN Cyclopropanecarboxamide, N-[2-(diethylphosphinyl)-5-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



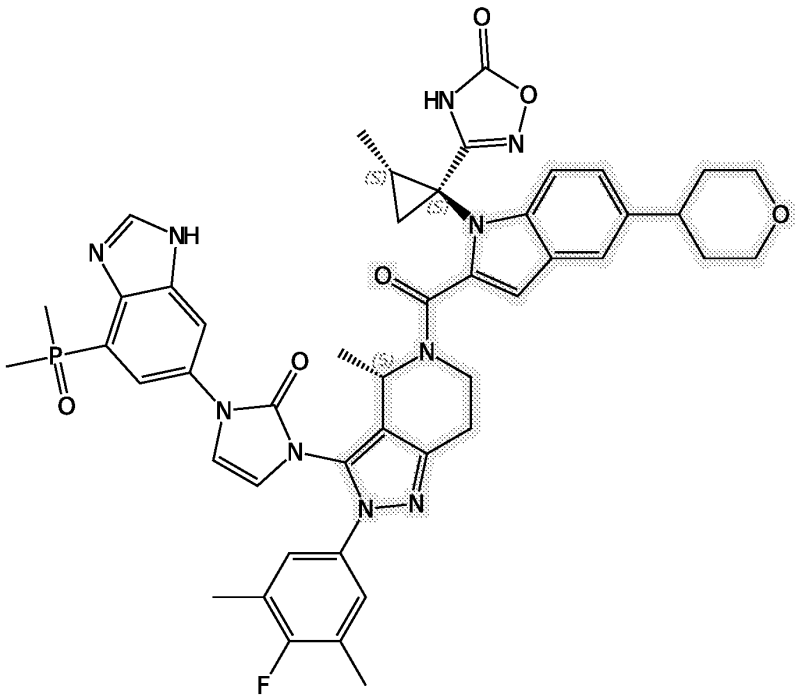
Absolute stereochemistry shown

RN 2685823-60-1 CAPLUS
CN Methanesulfonamide, N-[2-(diethylphosphinyl)-5-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



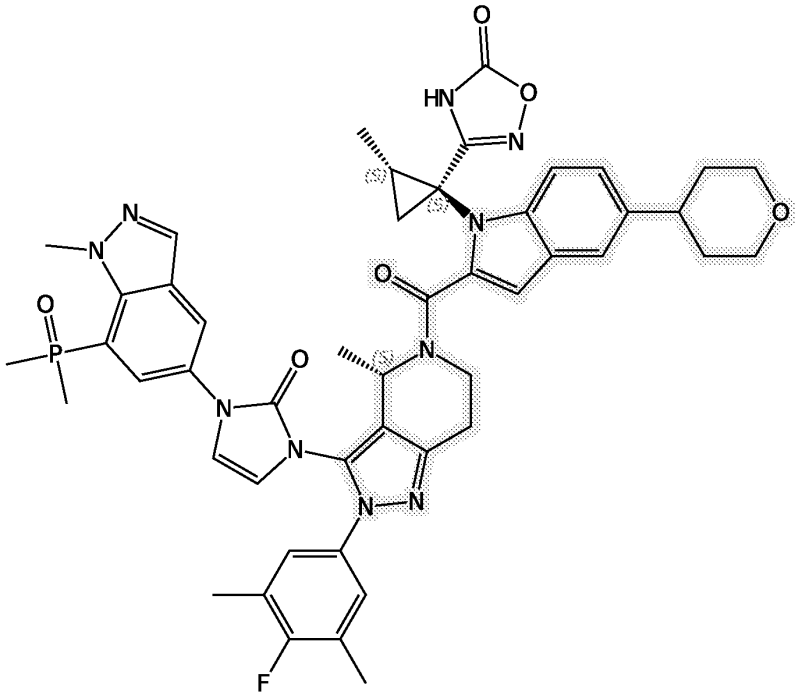
Absolute stereochemistry shown

RN 2685823-61-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[7-(dimethylphosphinyl)-1H-benzimidazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



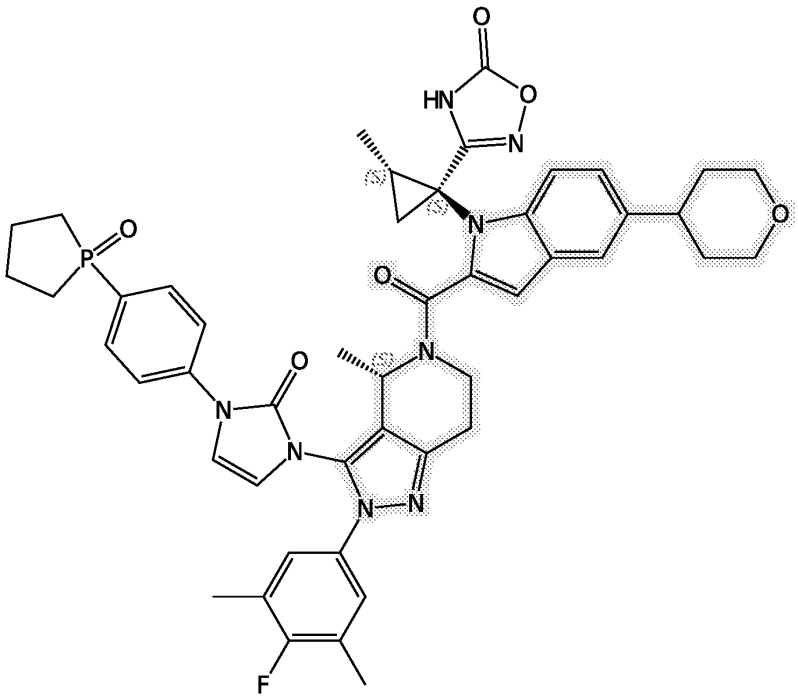
Absolute stereochemistry shown

RN 2685823-62-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[7-(dimethylphosphinyl)-1-methyl-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



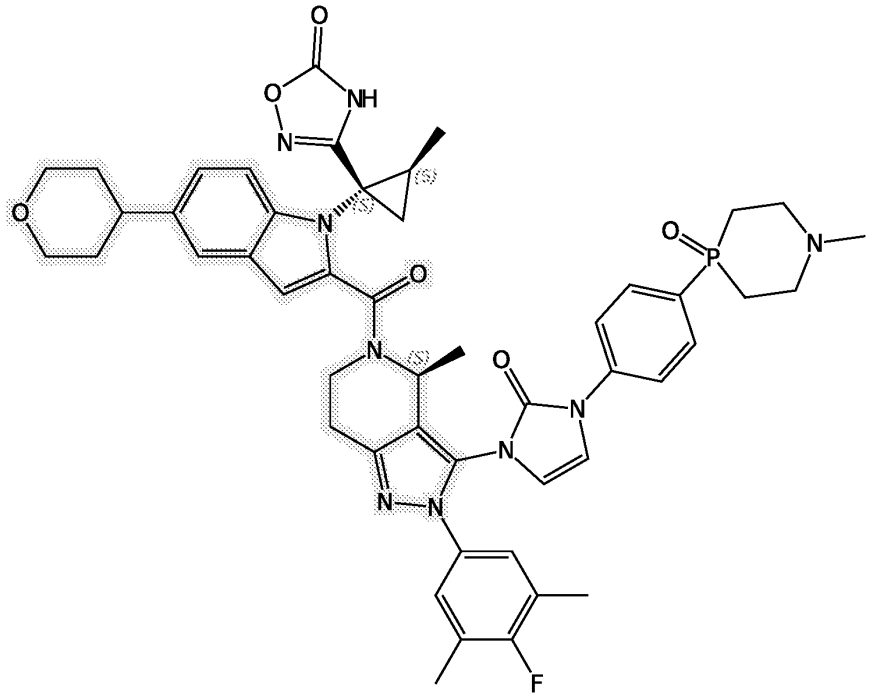
Absolute stereochemistry shown

RN 2685823-63-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[4-[(1-oxido-2-phospholanyl)(1-oxido-5-phospholanyl)phosphinyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



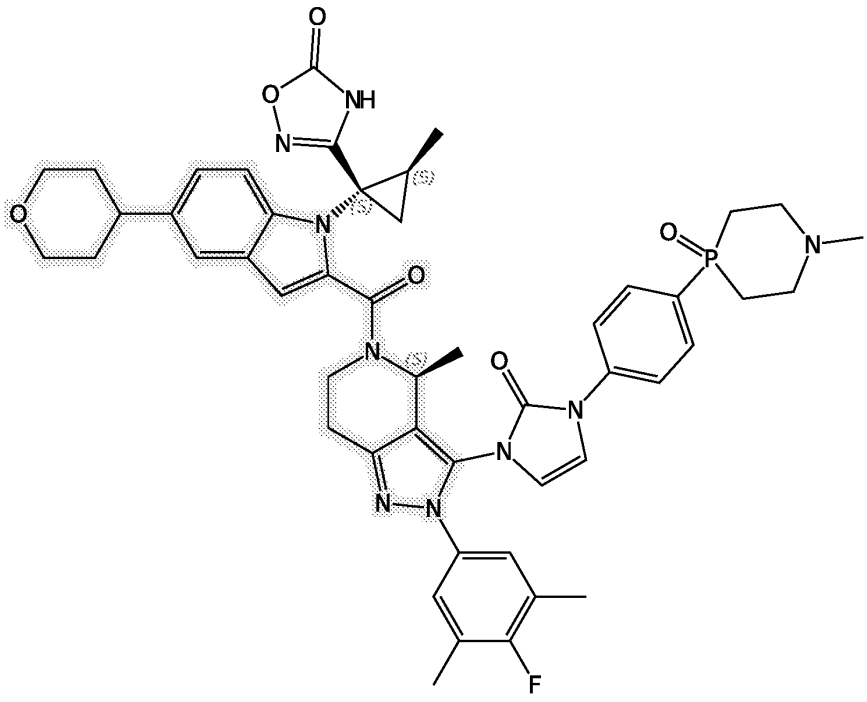
Absolute stereochemistry shown

RN 2685823-64-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

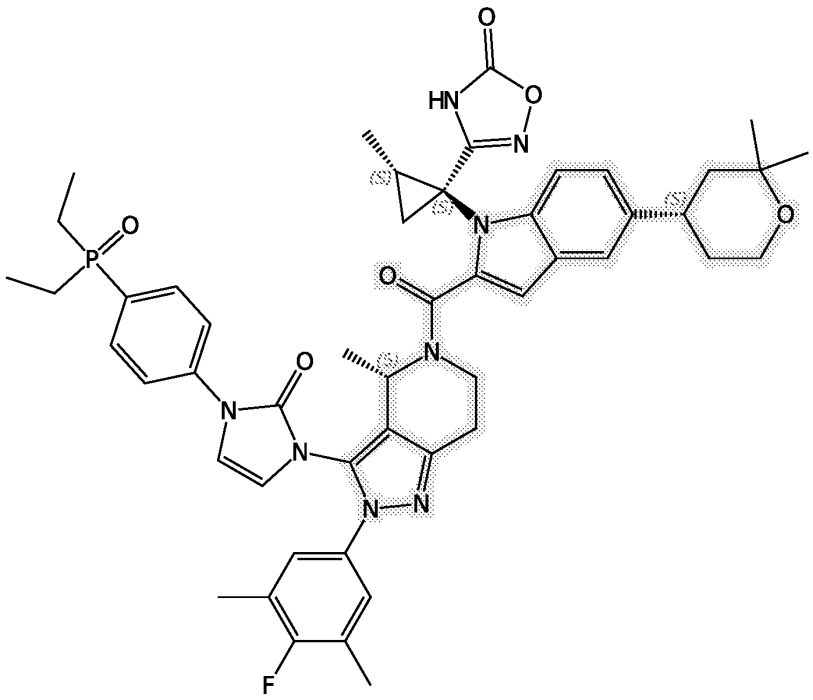
RN 2685823-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• HCl

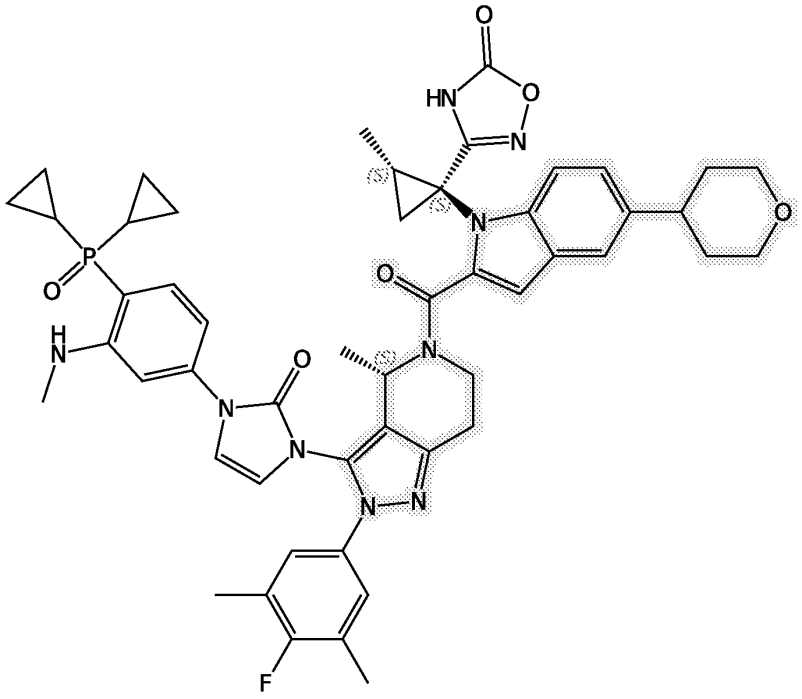
Absolute stereochemistry shown

RN 2685823-66-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



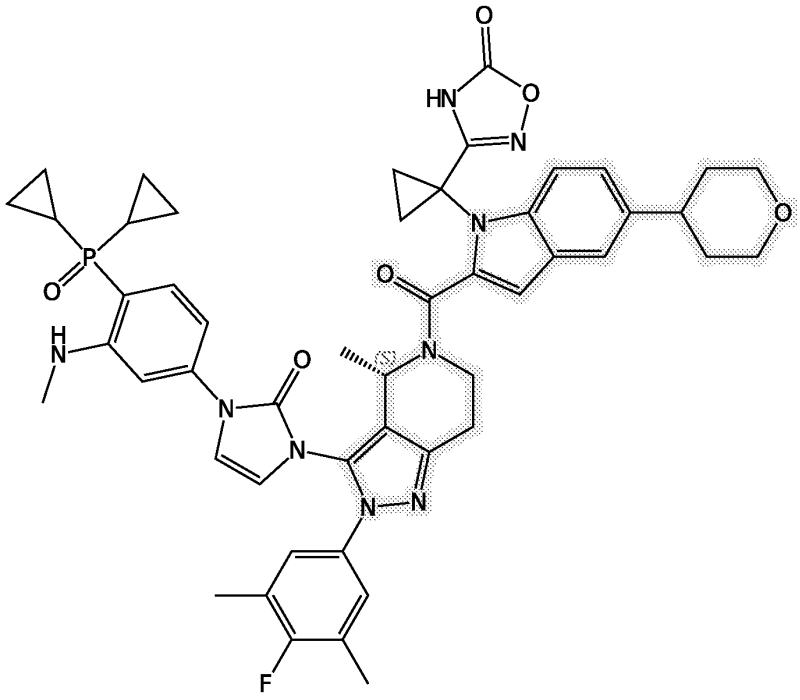
Absolute stereochemistry shown

RN 2685823-67-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



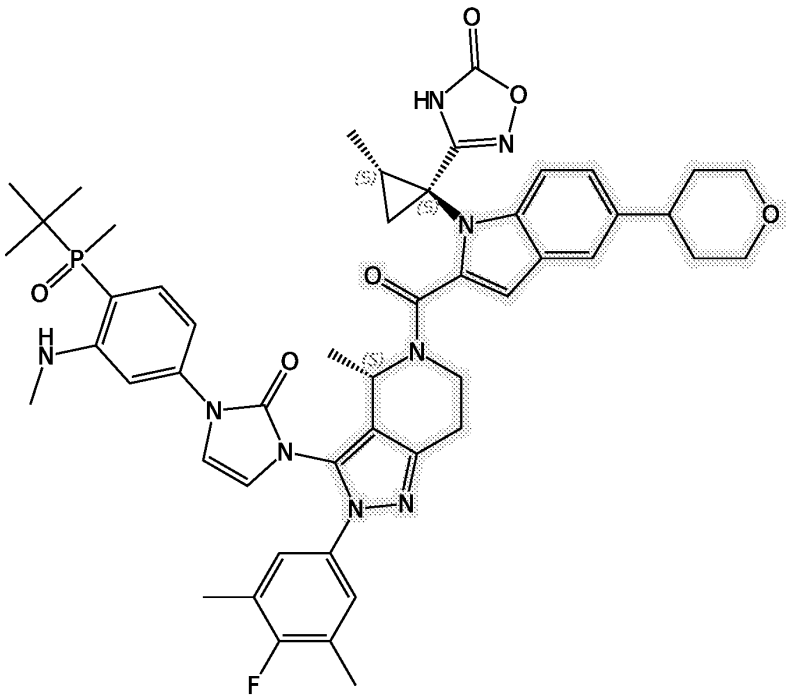
Absolute stereochemistry shown

RN 2685823-69-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



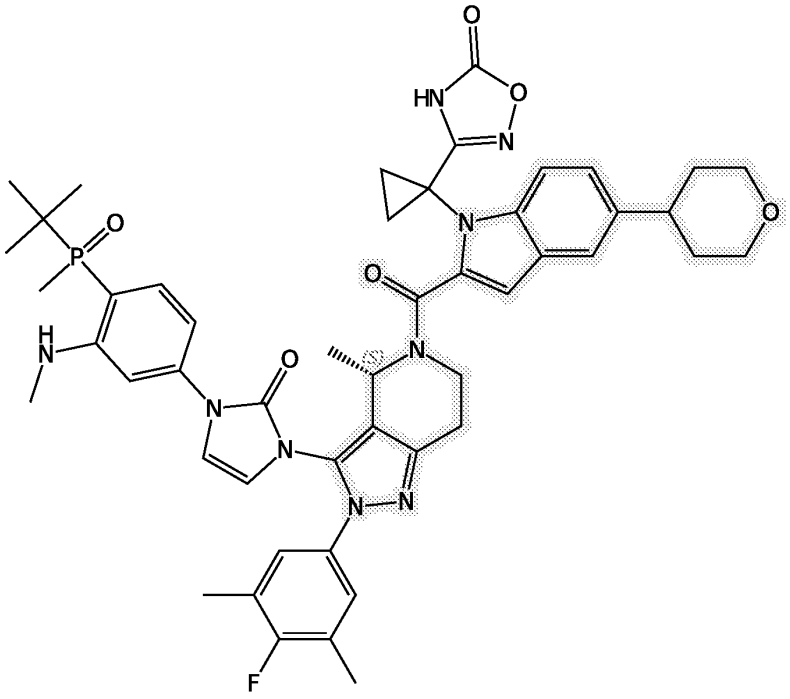
Absolute stereochemistry shown

RN 2685823-71-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



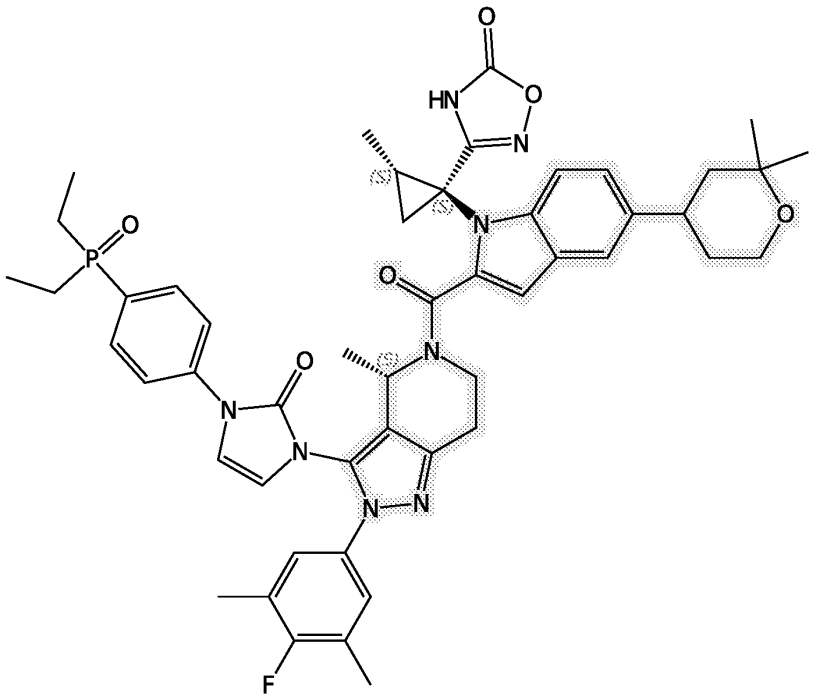
Absolute stereochemistry shown

RN 2685823-73-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



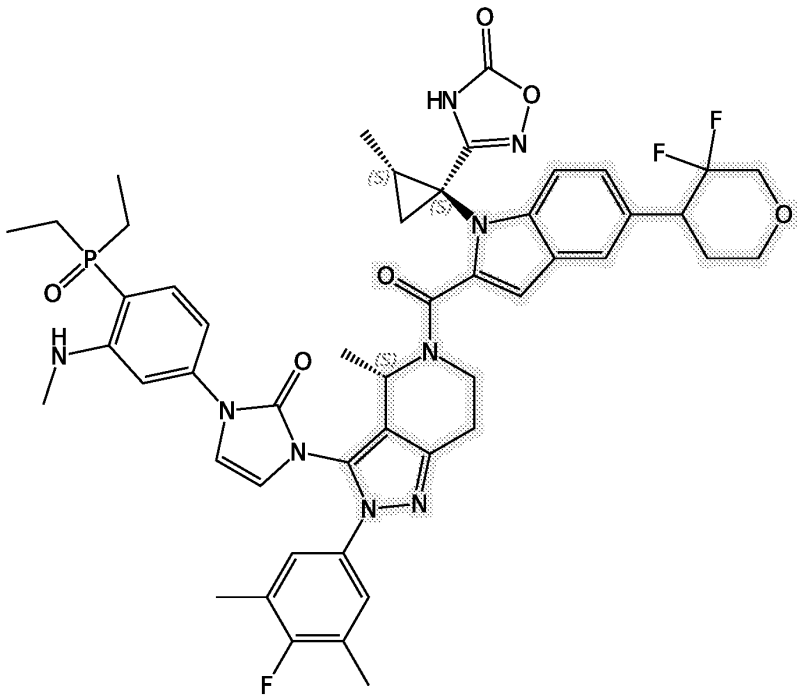
Absolute stereochemistry shown

RN 2685824-85-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



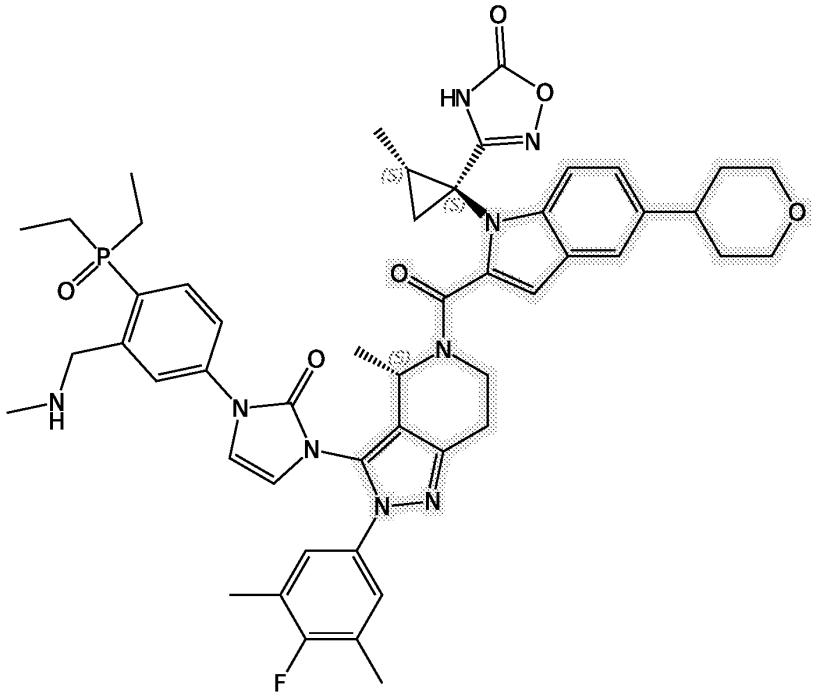
Absolute stereochemistry shown

RN 2685824-87-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(3,3-difluorotetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



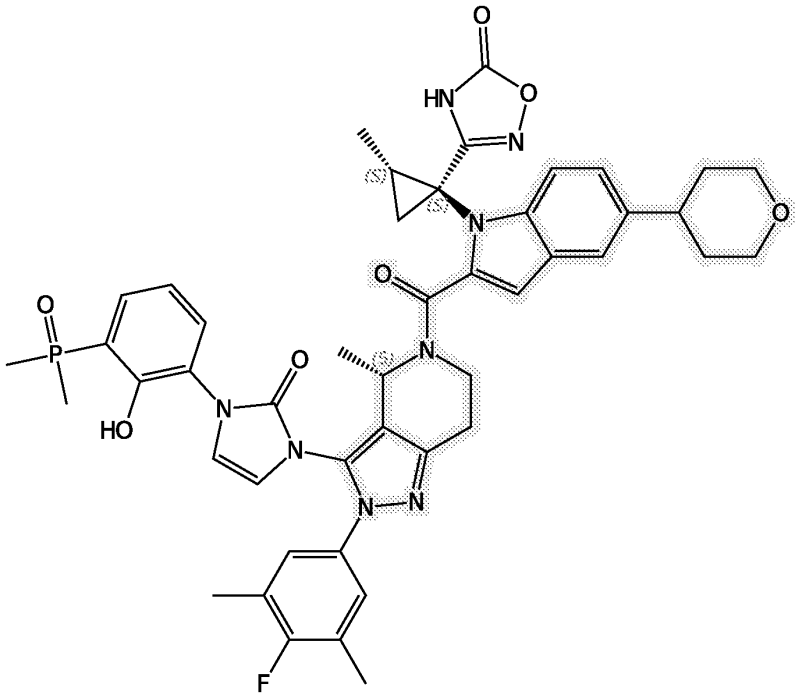
Absolute stereochemistry shown

RN 2685824-89-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-[(methylamino)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



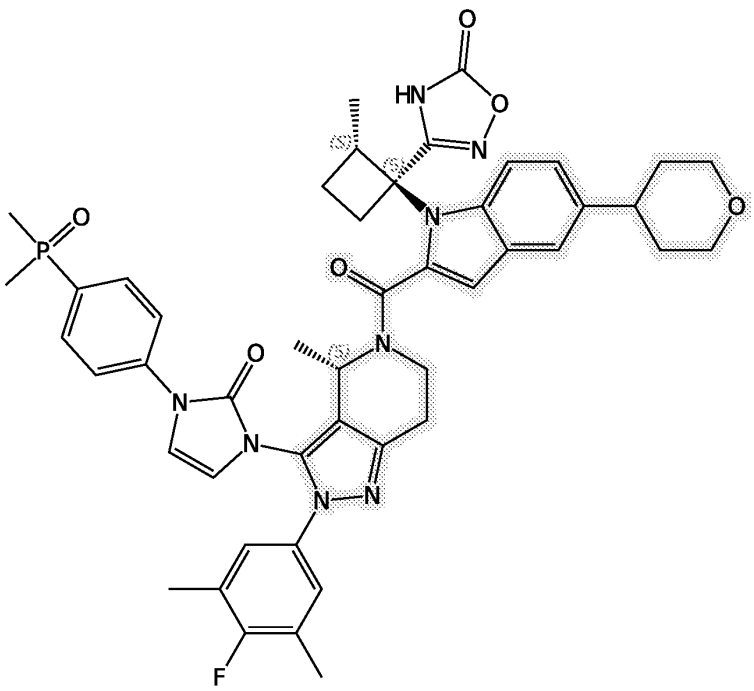
Absolute stereochemistry shown

RN 2766050-03-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-(dimethylphosphinyl)-2-hydroxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2906933-51-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclobutyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2023016546 A1	WO 2022-CN112061	Register|Global Dossier

L3 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN

ACCESSION NUMBER: 2022:3104554 CAPLUS Full-text
DOCUMENT NUMBER: 181:196805
TITLE: Treatment of obesity and obesity-related disorders with glucose-dependent insulinotropic peptide receptor and a glucagon-like peptide-1 receptor agonist
INVENTOR(S): Hovard Sparre-Ulrich, Alexander; Rosenkilde, Mette Marie
PATENT ASSIGNEE(S): Antag Therapeutics ApS, Den.
ULTIMATE OWNER: ANTAG THERAPEUTICS APS
ULTIMATE OWNER STANDARD: ANTAG THERAPEUTICS APS
SOURCE: PCT Int. Appl., 95pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2022258805	A1	20221215	WO 2022-EP65826		20220610
CA 3221661	A1	20221215	CA 2022-3221661		20220610
AU 2022289247	A1	20231221	AU 2022-289247		20220610
SG 11202309107	A	20231229	SG 2023-11202309107		20220610
IL 309128	A0	20240101	IL 2022-309128		20220610
KR 2024021212	A	20240216	KR 2024-7000556		20220610
BR 112023024968	A2	20240220	BR 2023-112023024968		20220610
CN 117881415	A	20240412	CN 2022-80040922		20220610
EP 4351630	A1	20240417	EP 2022-733387		20220610
JP 2024521398	T	20240531	JP 2023-575695		20220610
US 20240277813	A1	20240822	US 2023-18565984		20231130
IL 309128	A	20240201	IL 2023-309128		20231206
PRIORITY APPLN. INFO.:			EP 2021-178712	A	20210610

WO 2022-EP65826 W 20220610

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2022258805	A1	Alive	20221222
CA 3221661	A1	Alive	20231228
AU 2022289247	A1	Alive	20231228
KR 2024021212	A	Alive	20240314
BR 112023024968	A2	Alive	20240418
CN 117881415	A	Alive	20240418
EP 4351630	A1	Alive	20240418
JP 2024521398	T	Alive	20240613
US 20240277813	A1	Alive	20240829
IL 309128	A	Alive	20240222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 181:196805

AB The present invention relates to a glucose-dependent insulinotropic peptide (GIP) receptor (GIPR) antagonist GIP peptide in combination with a glucagon-like peptide-1 (GLP-1) receptor agonist, such as a GLP-1 peptide, for use in the treatment of obesity and obesity-related disorders, as well as GIPR antagonist GIP peptides for treating a subset of obesity-related disorders.

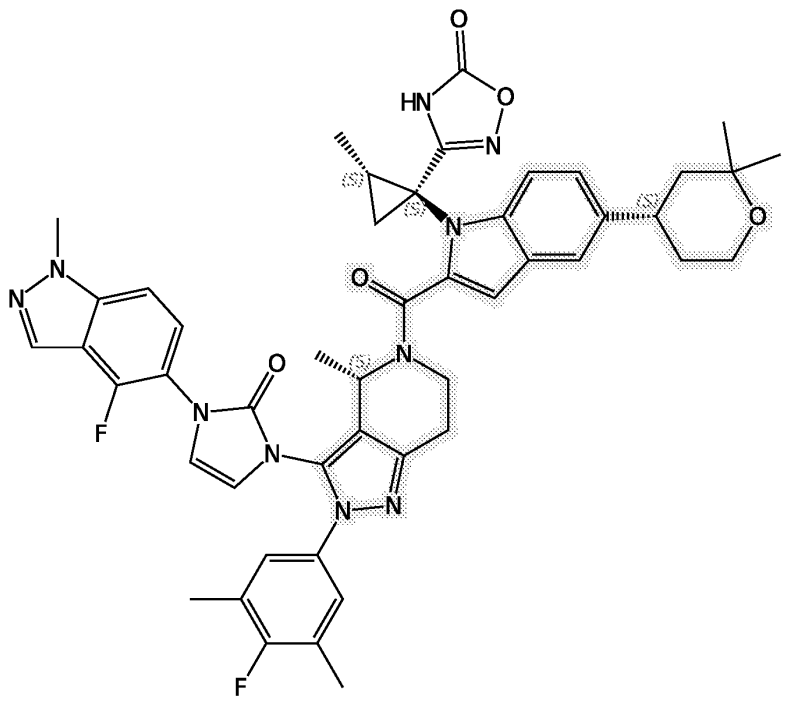
IT 2212020-52-3, Owl833

RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of obesity and obesity-related disorders with glucose-dependent insulinotropic peptide receptor and a glucagon-like peptide-1 receptor agonist)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2022289247 A1	AU 2022-289247	Register
BR 112023024968 A2	BR 2023-112023024968	Register
CA 3221661 A1	CA 2022-3221661	Register
CN 117881415 A	CN 2022-80040922	Register|Global Dossier
EP 4351630 A1	EP 2022-733387	Register|Federated Reg.
IL 309128 A0	IL 2022-309128	Register
IL 309128 A	IL 2023-309128	Register
JP 2024521398 T	JP 2023-575695	Register|Global Dossier
KR 2024021212 A	KR 2024-7000556	Register|Global Dossier
SG 11202309107 A	SG 2023-11202309107	Register
US 20240277813 A1	US 2023-18565984	Register|Global Dossier
WO 2022258805 A1	WO 2022-EP65826	Register|Global Dossier

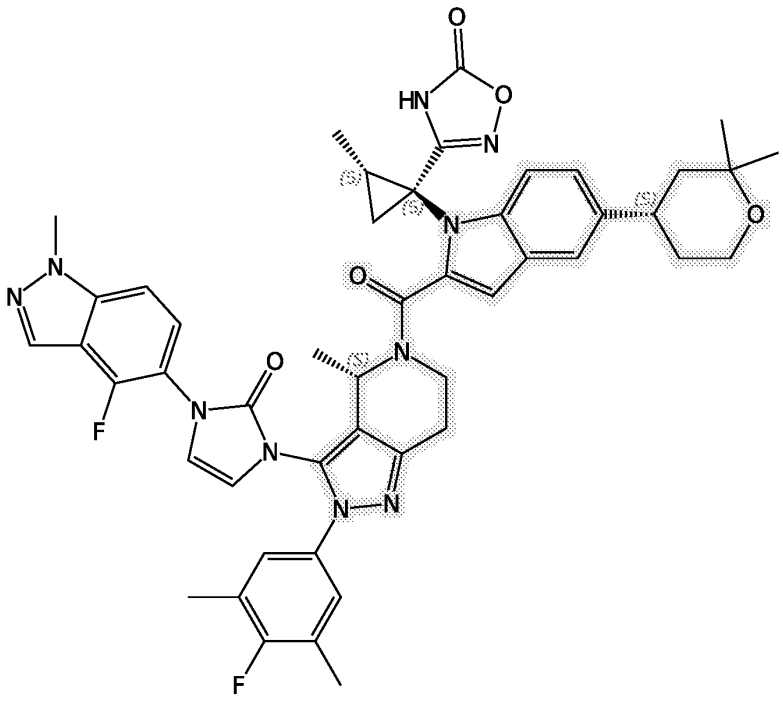
L3 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2022:2947018 CAPLUS Full-text
DOCUMENT NUMBER: 181:95196
TITLE: Methods and compositions for inducing brown adipogenesis
INVENTOR(S): Boss, Olivier D.; Freeman, Brian
PATENT ASSIGNEE(S): Energesis Pharmaceuticals, Inc., USA
ULTIMATE OWNER: ENERGESIS PHARMACEUTICALS
ULTIMATE OWNER STANDARD: ENERGESIS PHARMACEUTICALS
SOURCE: PCT Int. Appl., 69pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2022246230	A1	20221124	WO 2022-US30299		20220520
CA 3218880	A1	20221124	CA 2022-3218880		20220520
AU 2022276512	A1	20231130	AU 2022-276512		20220520
CN 117355297	A	20240105	CN 2022-80036497		20220520
BR 112023024099	A2	20240206	BR 2023-112023024099		20220520
EP 4340824	A1	20240327	EP 2022-805598		20220520
JP 2024521091	T	20240528	JP 2023-571654		20220520
IL 308617	A	20240101	IL 2023-308617		20231116
US 20240238374	A1	20240718	US 2023-18561769		20231117
IN 202317082503	A	20240927	IN 2023-17082503		20231204
PRIORITY APPLN. INFO.:			US 2021-63191271	P	20210520
			WO 2022-US30299	W	20220520

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2022246230	A1	Alive	20221201
CA 3218880	A1	Alive	20231130
AU 2022276512	A1	Alive	20231207
CN 117355297	A	Alive	20240111
BR 112023024099	A2	Alive	20240307
EP 4340824	A1	Alive	20240328
JP 2024521091	T	Alive	20240606
IL 308617	A	Alive	20240118
US 20240238374	A1	Alive	20240725

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASFORMULTNS 2022:2947018
AB This disclosure features compns. and methods for the treatment of metabolic disorders such as diabetes and obesity.
IT 2212020-52-3
RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. for inducing brown adipogenesis)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2022276512 A1	AU 2022-276512	Register
BR 112023024099 A2	BR 2023-112023024099	Register
CA 3218880 A1	CA 2022-3218880	Register
CN 117355297 A	CN 2022-80036497	Register|Global Dossier
EP 4340824 A1	EP 2022-805598	Register|Federated Reg.
IL 308617 A	IL 2023-308617	Register
IN 202317082503 A	IN 2023-17082503	Register
JP 2024521091 T	JP 2023-571654	Register|Global Dossier
US 20240238374 A1	US 2023-18561769	Register|Global Dossier
WO 2022246230 A1	WO 2022-US30299	Register|Global Dossier

L3 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2022:1655947 CAPLUS Full-text
TITLE: Investigating Potential GLP-1 Receptor Agonists in Cyclopeptides from Pseudostellaria heterophylla, Linum usitatissimum, and Drymaria diandra, and Peptides Derived from Heterophyllin B for the Treatment of Type 2 Diabetes: An In Silico Study
AUTHOR(S): Liao, Hui-Jun; Tzen, Jason T. C.
CORPORATE SOURCE: Graduate Institute of Biotechnology, National Chung Hsing University, Taiwan
SOURCE: Metabolites (2022), 12(6), 549
CODEN: METALU; ISSN: 2218-1989
URL: https://www.mdpi.com/journal/metabolites
DIGITAL OBJECT ID: 10.3390/metabo12060549
PUBLISHER: MDPI AG
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB GLP-1 receptor agonists stimulate GLP-1R to promote insulin secretion, whereas DPP4 inhibitors slow GLP-1 degrdn. Both approaches are

incretin-based therapies for T2D. In addn. to GLP-1 analogs, small nonpeptide GLP-1RAs such as LY3502970, TT-OAD2, and PF-06882961 have been considered as possible therapeutic alternatives. Pseudostellaria heterophylla, Linum usitatissimum, and Drymaria diandra are plants rich in cyclopeptides with hypoglycemic effects. Our previous study demonstrated the potential of their cyclopeptides for DPP4 inhibition. Reports of cyclic setmelanotide as an MC4R (GPCR) agonist and cyclic α-conotoxin chimeras as GLP-1RAs led to docking studies of these cyclopeptides with GLP-1R. Heterophyllin B, Pseudostellarin B, Cyclolinopeptide B, Cyclolinopeptide C, Drymarin A, and Diandrine C are abundant in these plants, with binding affinities of -9.5, -10.4, -10.3, -10.6, -11.2, and -11.9 kcal/mol, resp. The configuration they demonstrated established multiple hydrogen bonds with the transmembrane region of GLP-1R. DdC:(cyclo)-GGPYWP showed the most promising docking score. The results suggest that, in addn. to DPP4, GLP-1R may be a hypoglycemic target of these cyclopeptides. This may bring about more discussion of plant cyclopeptides as GLP-1RAs. Moreover, peptides derived from the HB precursor (IFGGLPPP), including IFGGWPPP, IFPGWPPP, IFGGYWPPP, and IFGYGWPPPP, exhibited diverse interactions with GLP-1R and displayed backbones available for further research.

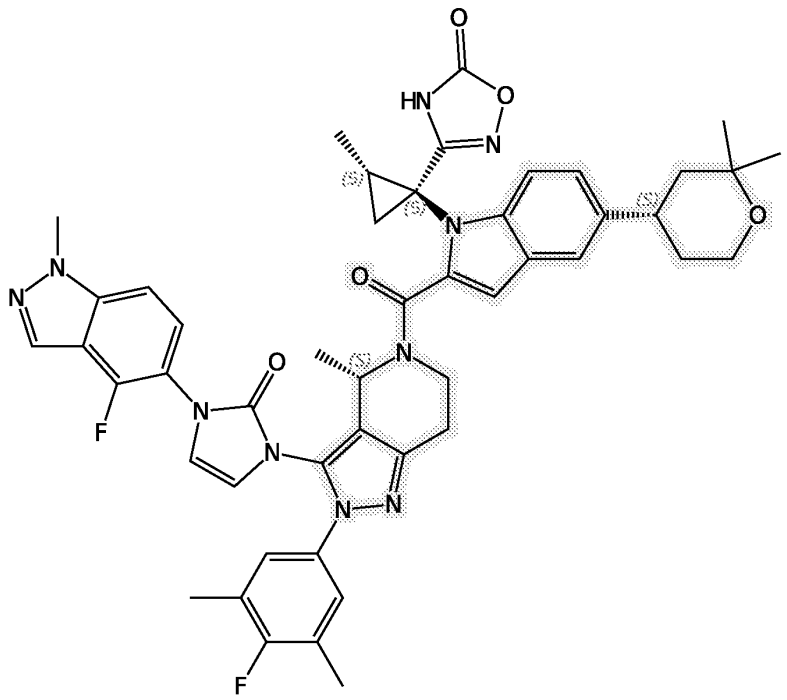
IT INDEXING IN PROGRESS

IT 2212020-52-3

RL: PRP (Properties)
(potential glp-1 receptor agonists in cyclopeptides from Pseudostellaria heterophylla, Linum usitatissimum and Drymaria diandra, and peptides derived from heterophyllin b for treatment of type 2 diabetes)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

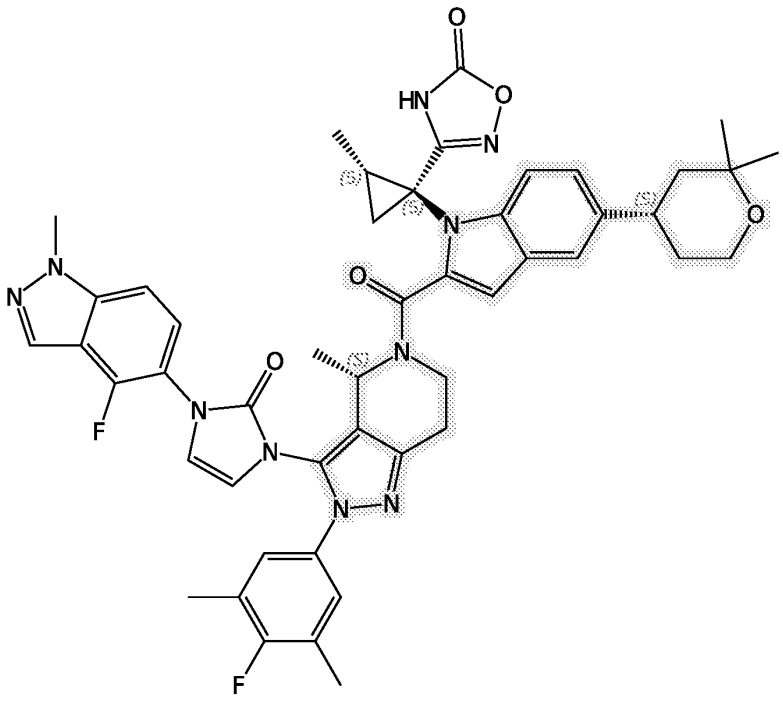
L3 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2022:1555619 CAPLUS Full-text
DOCUMENT NUMBER: 179:153914
TITLE: A method for reversing aging brain functional decline
INVENTOR(S): Ko, Ho; Li, Zhonggi; Chen, Xinyi; Vong, Si Long; Zhao, Lei; Mok, Chung Tong Vincent; Huang, Junzhe; Yan, Yik Chun Leo; Lai, Hei Ming
PATENT ASSIGNEE(S): The Chinese University of Hong Kong, Peop. Rep. China
ULTIMATE OWNER: CHINESE UNIVERSITY OF HONG KONG
ULTIMATE OWNER STANDARD: Chinese University of Hong Kong
SOURCE: PCT Int. Appl., 49pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2022127868	A1	20220623	WO 2021-CN138827	20211216
AU 2021399517	A1	20230706	AU 2021-399517	20211216
AU 2021399517	A9	20240620		
EP 4262873	A1	20231025	EP 2021-905794	20211216
CN 117320755	A	20231229	CN 2021-80093732	20211216
JP 2024500410	T	20240109	JP 2023-536878	20211216
US 20240050531	A1	20240215	US 2023-18257745	20230615
PRIORITY APPLN. INFO.:			US 2020-63126122 P	20201216
			WO 2021-CN138827 W	20211216

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2022127868	A1	Alive	20220630
AU 2021399517	A1	Alive	20230713
AU 2021399517	A9	Alive	20230713
EP 4262873	A1	Alive	20231026
CN 117320755	A	Alive	20240111
JP 2024500410	T	Alive	20240118
US 20240050531	A1	Alive	20240222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB Provided herein are methods to treat brain functional decline during aging, which involve administration of glucagon-like peptide-1 receptor (GLP-1R) agonists (GLP-1RAs) that treat the aging-assocd. changes of the brain. The GLP-1R agonist is exenatide, liraglutide, lixisenatide, albiglutide, dulaglutide, semaglutide, taspoglutide, PF-06882961, OWL-833, TTP-273, or any other mol. that activates GLP-1R.
IT 2212020-52-3
RL: PAC (Pharmacological activity); SCLM (Substance claimed); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(OWL 833; method for reversing aging brain functional decline)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2021399517 A1	AU 2021-399517	Register
AU 2021399517 A9	AU 2021-399517	Register
CN 117320755 A	CN 2021-80093732	Register|Global Dossier
EP 4262873 A1	EP 2021-905794	Register|Federated Reg.
JP 2024500410 T	JP 2023-536878	Register|Global Dossier
US 20240050531 A1	US 2023-18257745	Register|Global Dossier
WO 2022127868 A1	WO 2021-CN138827	Register|Global Dossier

L3 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2022:627285 CAPLUS Full-text
DOCUMENT NUMBER: 178:123279
TITLE: Heterocyclic compounds as GLP-1 agonists and their preparation
INVENTOR(S): Meng, Qinghua; Xing, Weiqiang; Zhang, Haizhen; Lin, Xichen; Lei, Hui; Jennings, Andrew
PATENT ASSIGNEE(S): Gasherbrum Bio, Inc., USA
ULTIMATE OWNER: STRUCTURE THERAPEUTICS INC
ULTIMATE OWNER STANDARD: Structure Therapeutics
SOURCE: PCT Int. Appl., 140pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2022048665	A1	20220310	WO 2021-CN116684		20210906
EP 4211133	A1	20230719	EP 2021-863727		20210906
CN 116615430	A	20230818	CN 2021-80073815		20210906
JP 2023540558	T	20230925	JP 2023-515088		20210906
US 20230322771	A1	20231012	US 2023-18044196		20230306
PRIORITY APPLN. INFO.:			WO 2020-CN113758	A	20200907
			WO 2021-CN116684	W	20210906

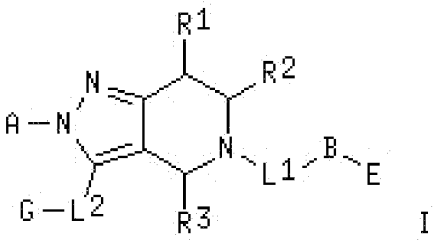
PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2022048665	A1	Alive	20220317
EP 4211133	A1	Alive	20230720
CN 116615430	A	Alive	20230907
JP 2023540558	T	Alive	20231005
US 20230322771	A1	Alive	20231019

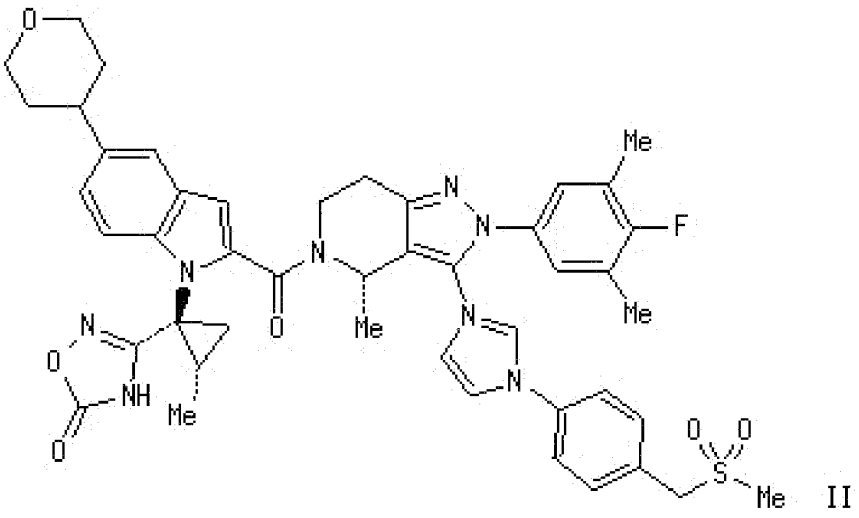
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 178:123279; MARPAT 178:123279

GI



I



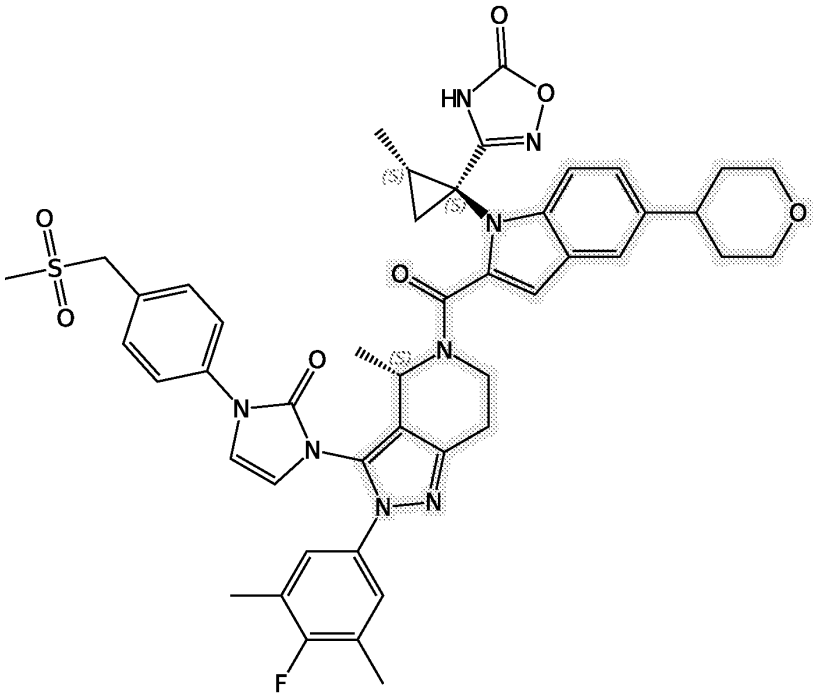
II

AB This disclosure relates to GLP-1 agonists of formula I, including pharmaceutically acceptable salts and solvates thereof, and pharmaceutical compns. including the same. Compds. of formula I wherein A is (un)substituted C6-10 aryl, (un)substituted C5-10 cycloalkyl, 5- to 10-membered heterocyclyl and 5- to 10-membered heteroaryl; B is is substituted indolyl, and substituted azaindolyl; E is (un)substituted 3- to 12-membered heterocyclyl, (un)substituted C3-12 cycloalkyl and (un)substituted 5- to 10-membered heteroaryl; G is (un)substituted C3-15 cycloalkyl, (un)substituted 3- to 12-membered heterocyclyl, (un)substituted 5- to 10-membered heteroaryl; L1 is CO, CH2, CH-C1-6 alkyl and SO2; L2 is 2-oxoimidazolyl, 1-(C1-10 alkyl)-2-oxoimidazol-3-yl, 1-oxoisoindolyl, etc.; R1, R2 and R3 are independently H and (un)substituted C1-6 alkyl; and pharmaceutically acceptable salts and solvates thereof, are claimed. Example compd. II was prepd. by a multistep procedure (procedure given). The invention compds. were evaluated for their GLP-1 agonistic activity. From the assay, it was detd. that compd. II exhibited EC50 value of 0.03 nM.

IT 2763620-98-8P 2763620-99-9P 2763621-00-5P
2763621-01-6P 2763621-02-7P 2763621-03-8P

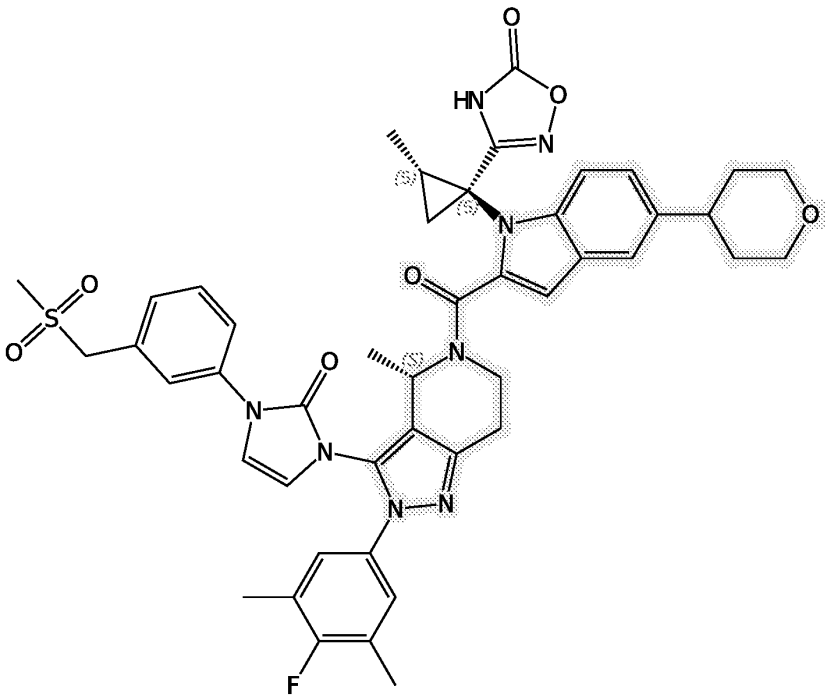
2763621-04-9P 2763621-05-0P 2763621-06-1P
2763621-07-2P 2763621-08-3P 2763621-09-4P
2763621-10-7P 2763621-11-8P 2763621-12-9P
2763621-13-0P 2763621-14-1P 2763621-15-2P
2763621-16-3P 2763621-17-4P 2763621-18-5P
2763621-19-6P 2763621-20-9P 2763621-21-0P
2763621-22-1P 2763621-23-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as GLP-1 agonists)

RN 2763620-98-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[4-[(methylsulfonyl)methyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



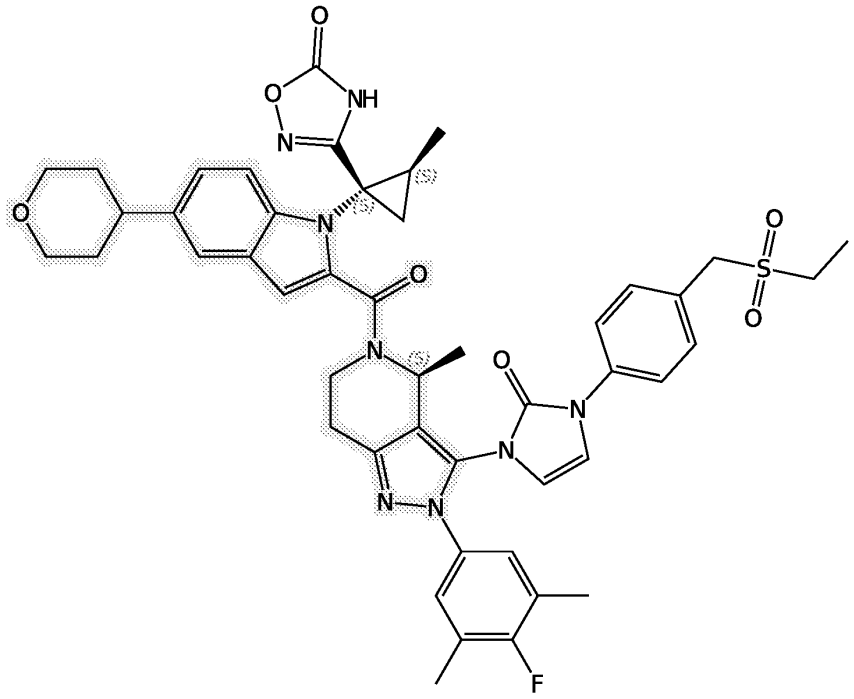
Absolute stereochemistry shown

RN 2763620-99-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[3-[(methylsulfonyl)methyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



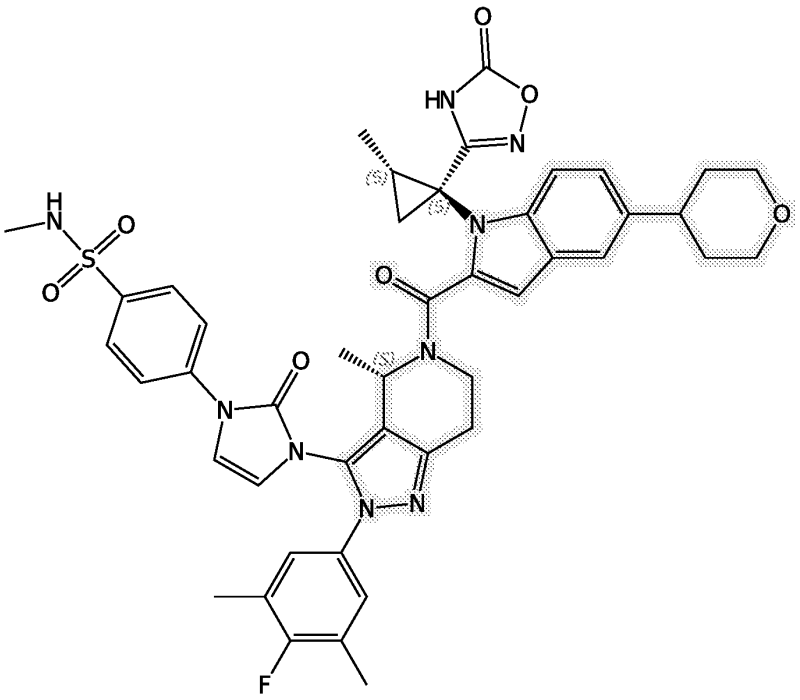
Absolute stereochemistry shown

RN 2763621-00-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[(ethylsulfonyl)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



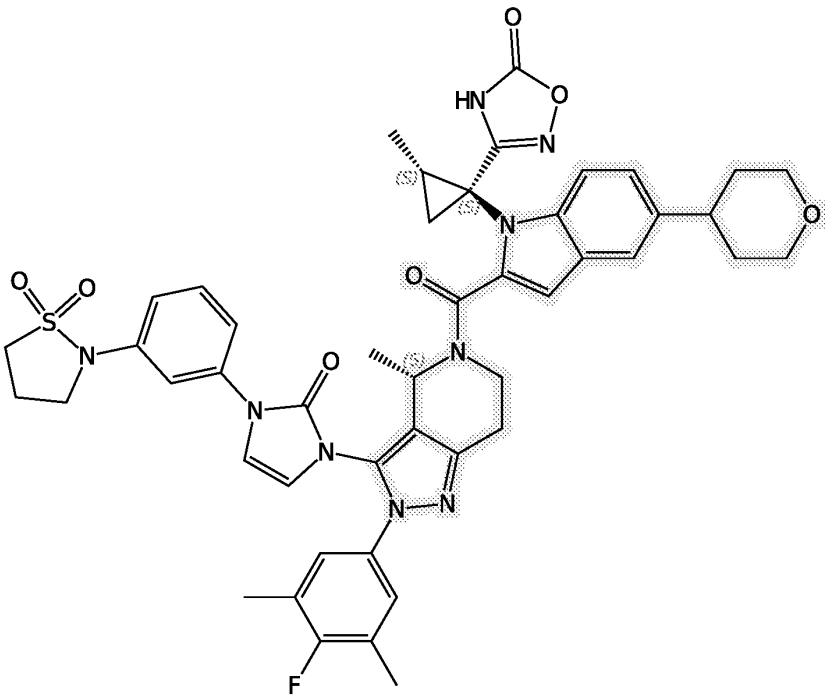
Absolute stereochemistry shown

RN 2763621-01-6 CAPLUS
CN Benzenesulfonamide, 4-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-N-methyl- (CA INDEX NAME)



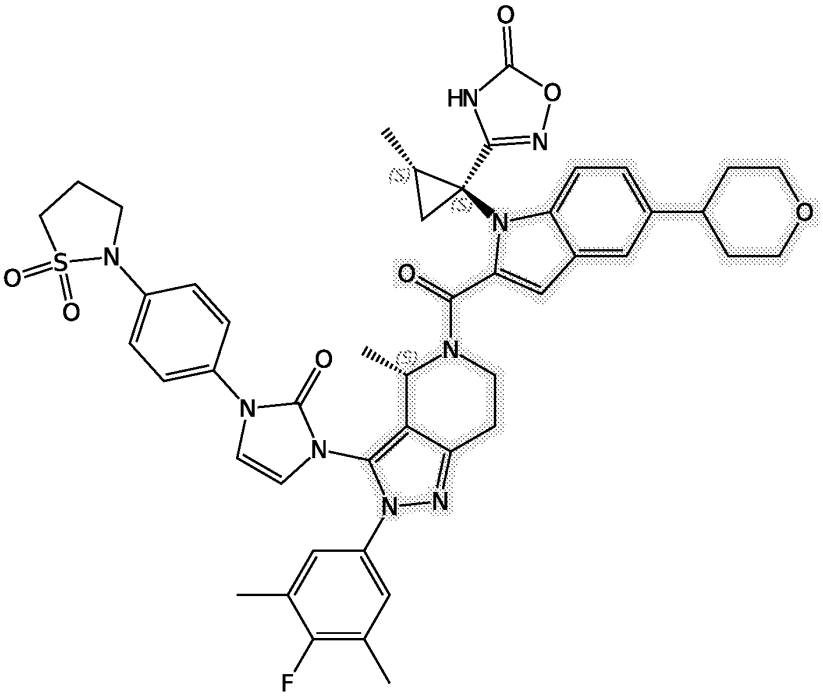
Absolute stereochemistry shown

RN 2763621-02-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-(1,1-dioxido-2-isothiazolidinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



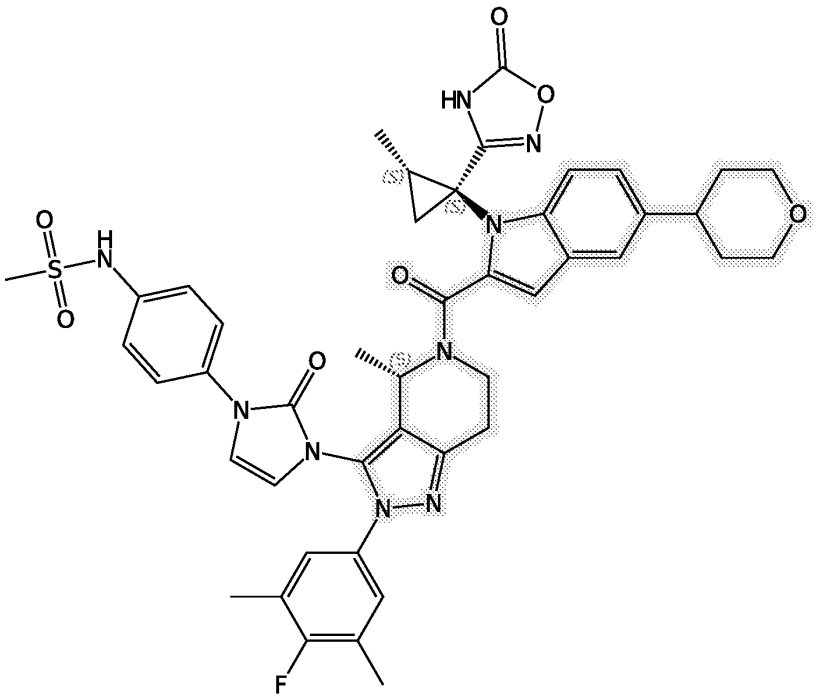
Absolute stereochemistry shown

RN 2763621-03-8 CAPLUS
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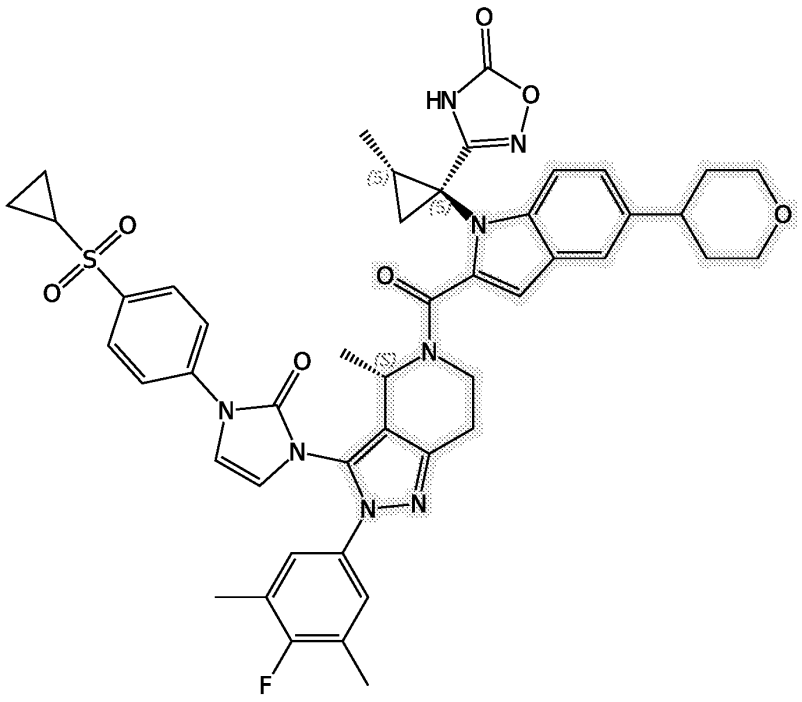
Absolute stereochemistry shown

RN 2763621-04-9 CAPLUS
CN Methanesulfonamide, N-[4-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



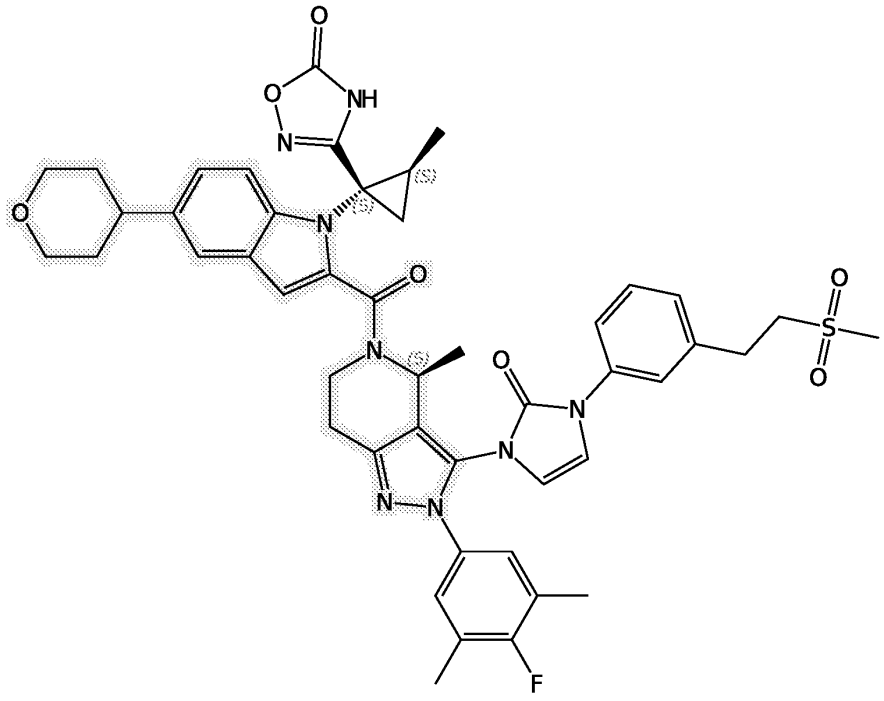
Absolute stereochemistry shown

RN 2763621-05-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(cyclopropylsulfonyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



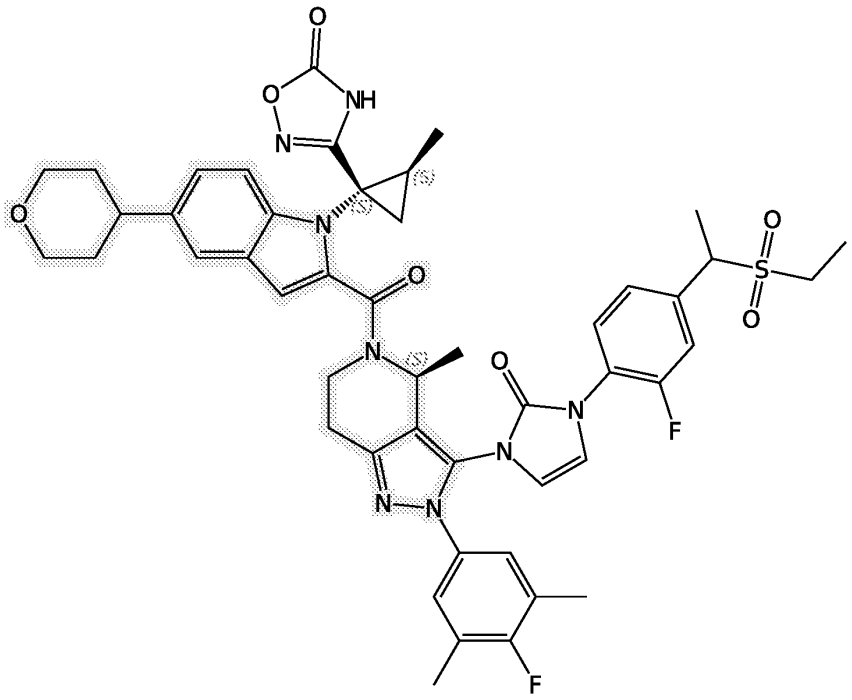
Absolute stereochemistry shown

RN 2763621-06-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[3-[2-(m
ethylsulfonyl)ethyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphen
yl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetr
ahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



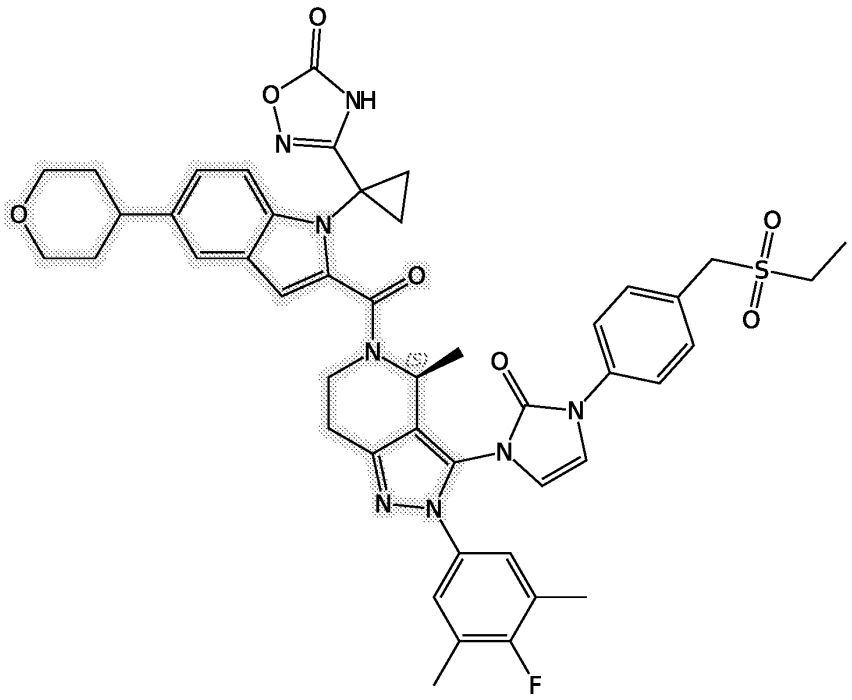
Absolute stereochemistry shown

RN 2763621-07-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[1-(ethylsulfonyl)ethyl]-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



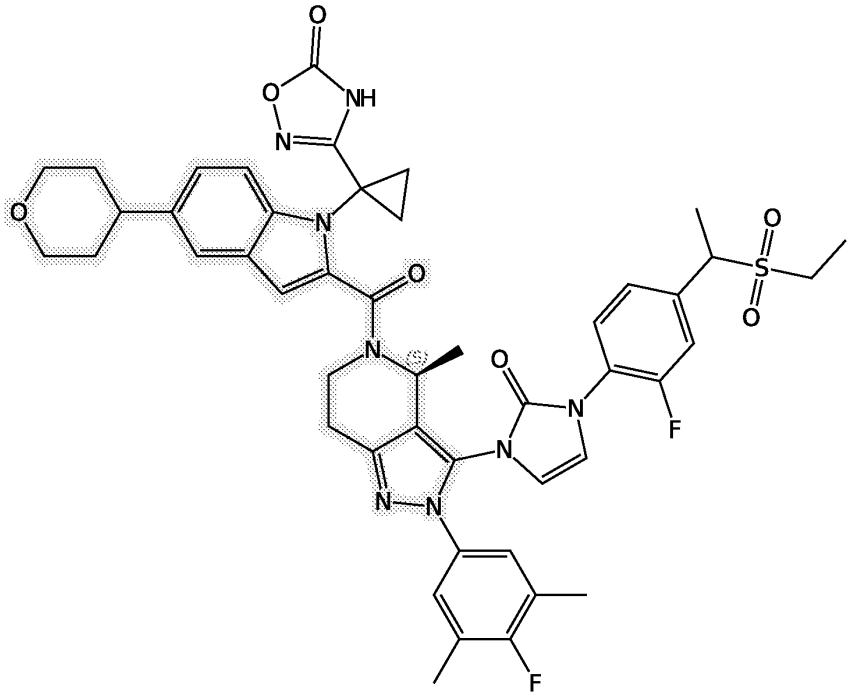
Absolute stereochemistry shown

RN 2763621-08-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-[(ethylsulfonyl)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



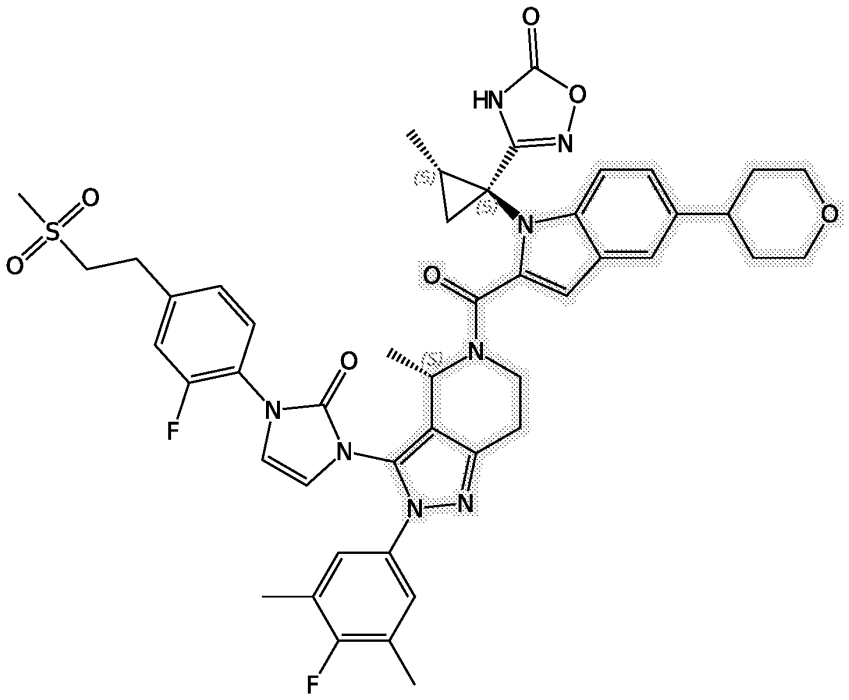
Absolute stereochemistry shown

RN 2763621-09-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-[1-(ethylsulfonyl)ethyl]-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



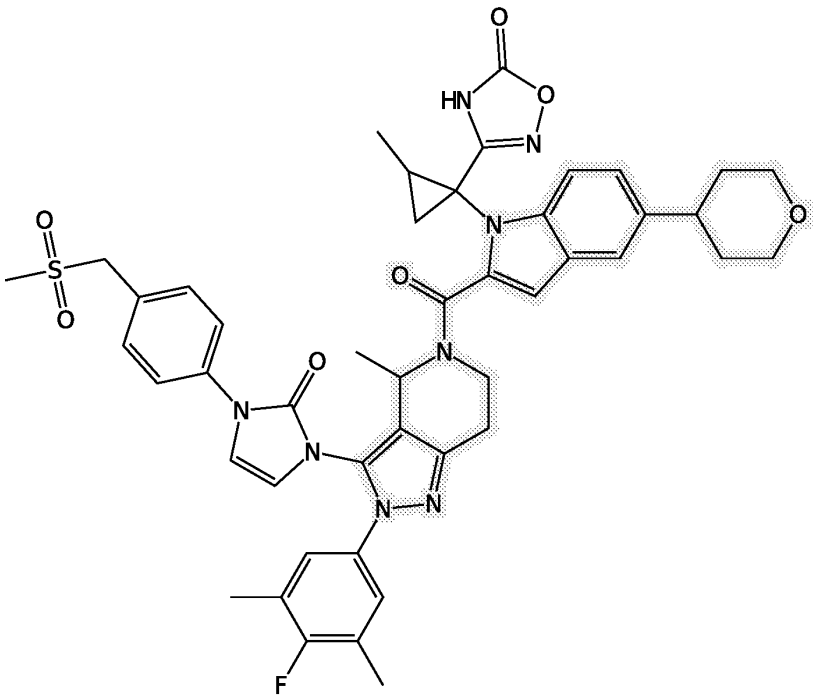
Absolute stereochemistry shown

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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[2-fluoro-4-[2-(methylsulfonyl)ethyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

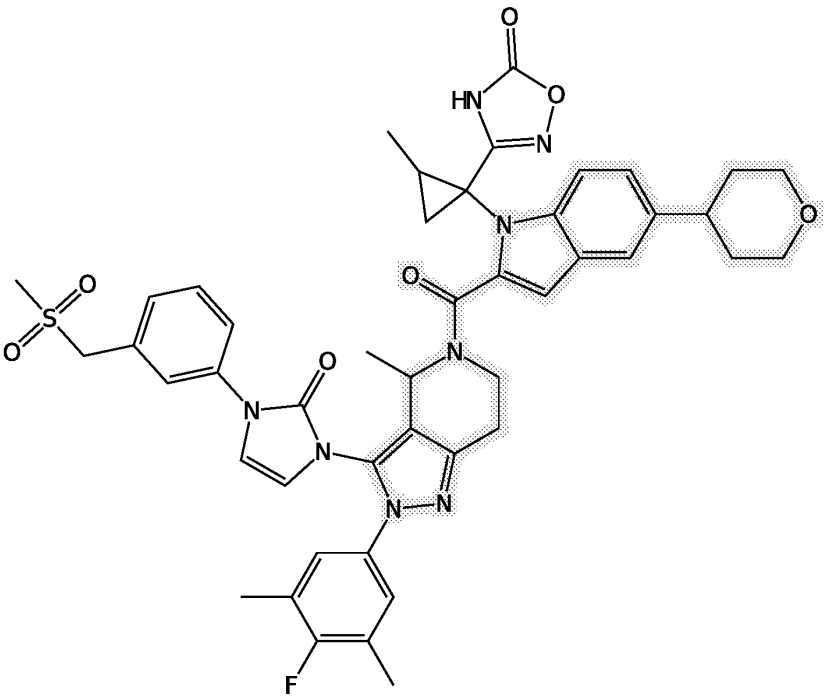


Absolute stereochemistry shown

RN 2763621-11-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[4-[(methylsulfonyl)methyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

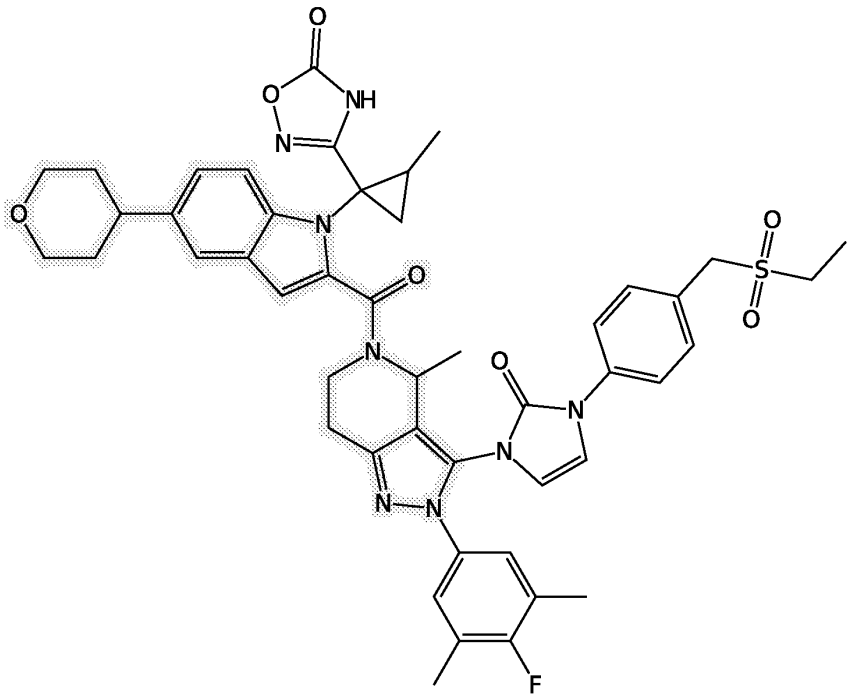


RN 2763621-12-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[3-[(methylsulfonyl)methyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

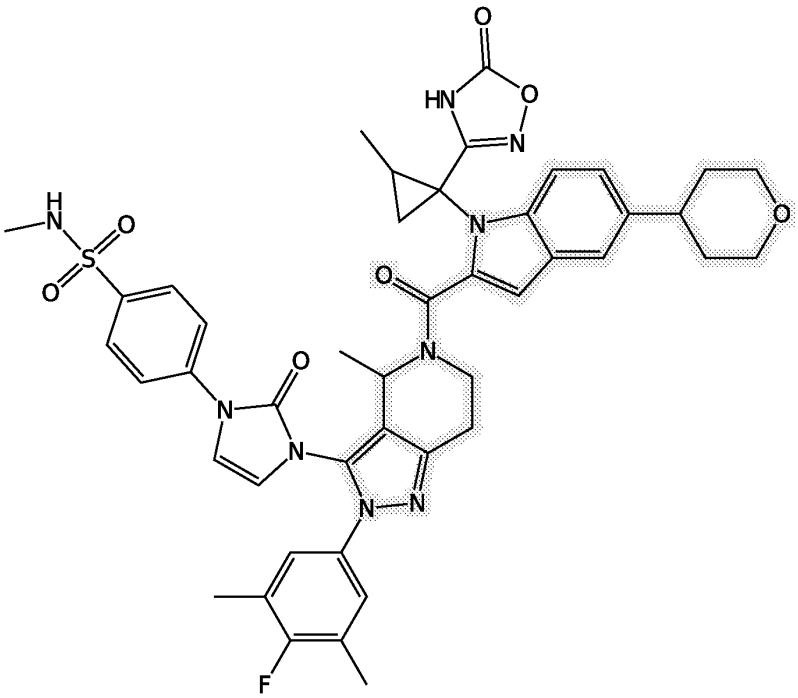


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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(ethylsulfonyl)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tet

rahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran
-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

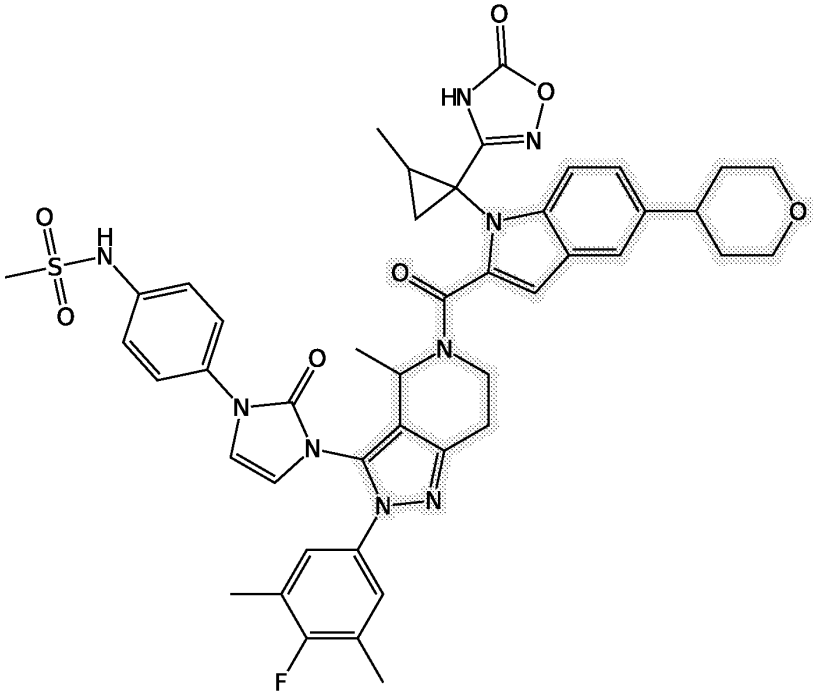


RN   2763621-14-1 CAPLUS
CN   Benzenesulfonamide, 4-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)
-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-
fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin
-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-N-methyl- (CA INDEX NAME)

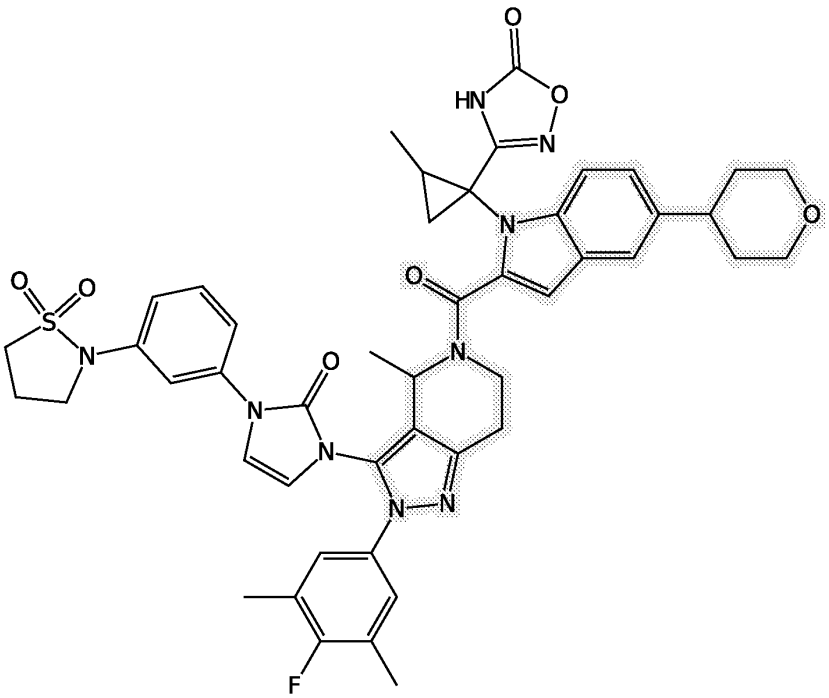


RN   2763621-15-2 CAPLUS

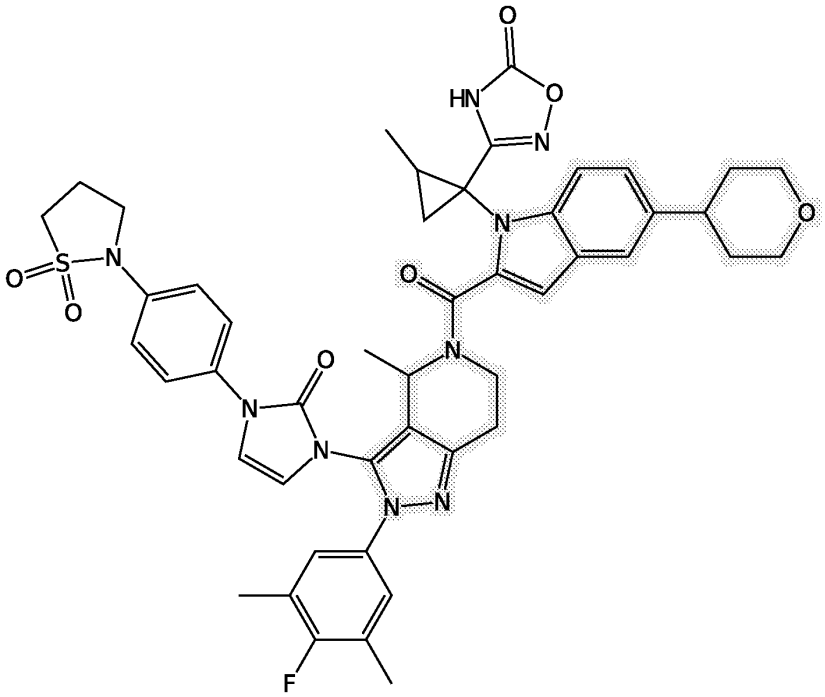
CN Methanesulfonamide, N-[4-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]-(CA INDEX NAME)



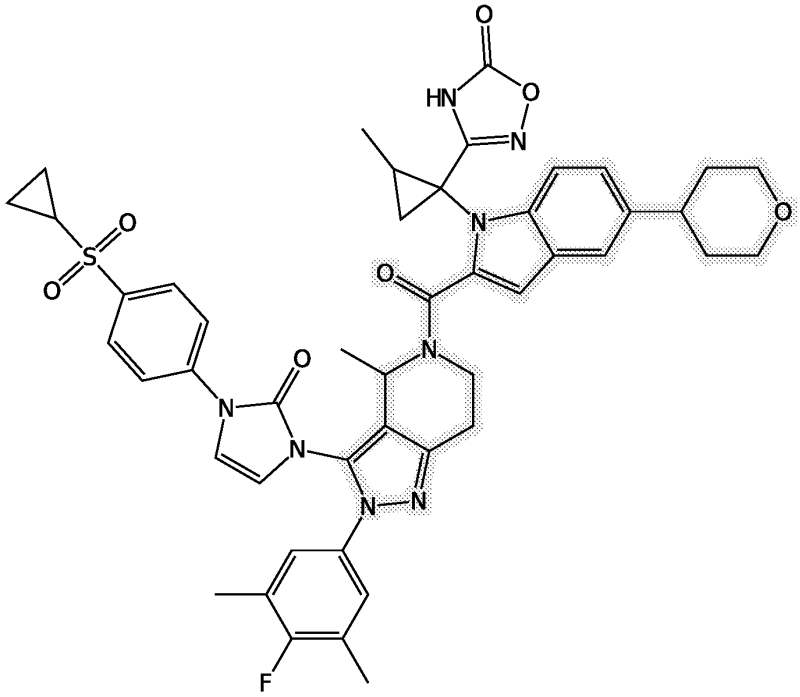
RN 2763621-16-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(1,1-dioxido-2-isothiazolidinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



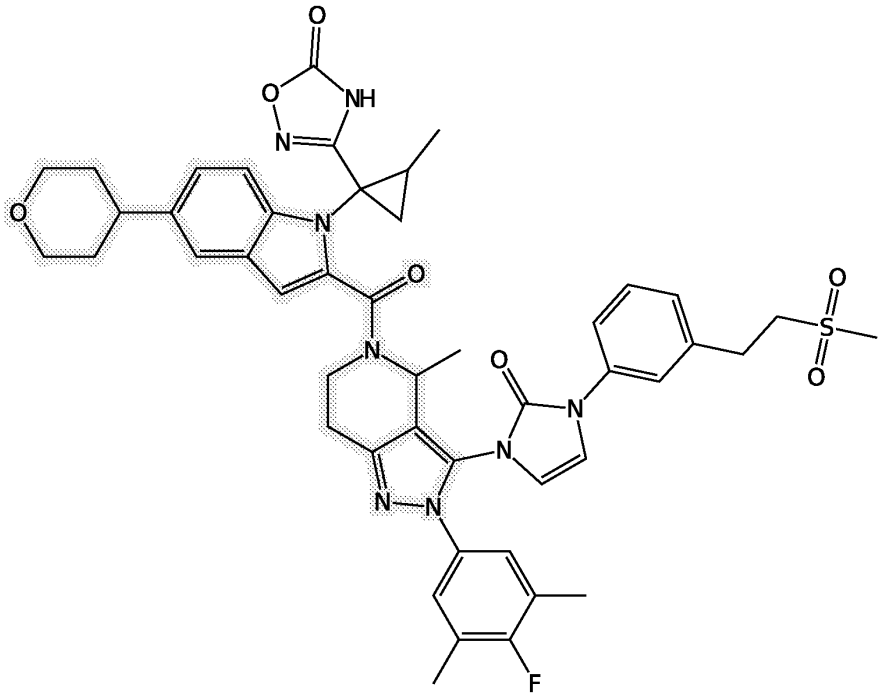
RN 2763621-17-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(1,1-dioxido-2-isothiazolidinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



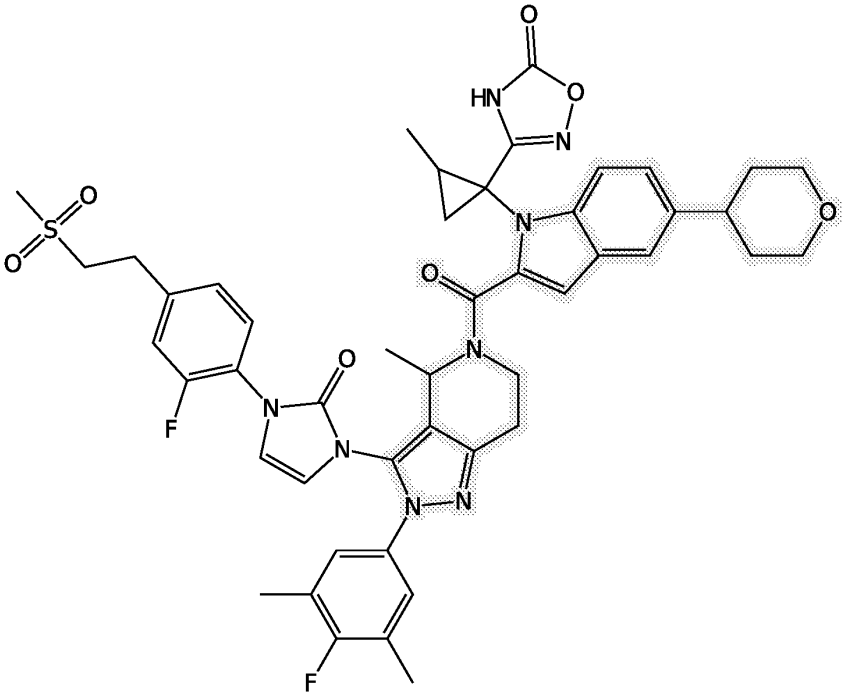
RN 2763621-18-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(cyclopropylsulfonyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



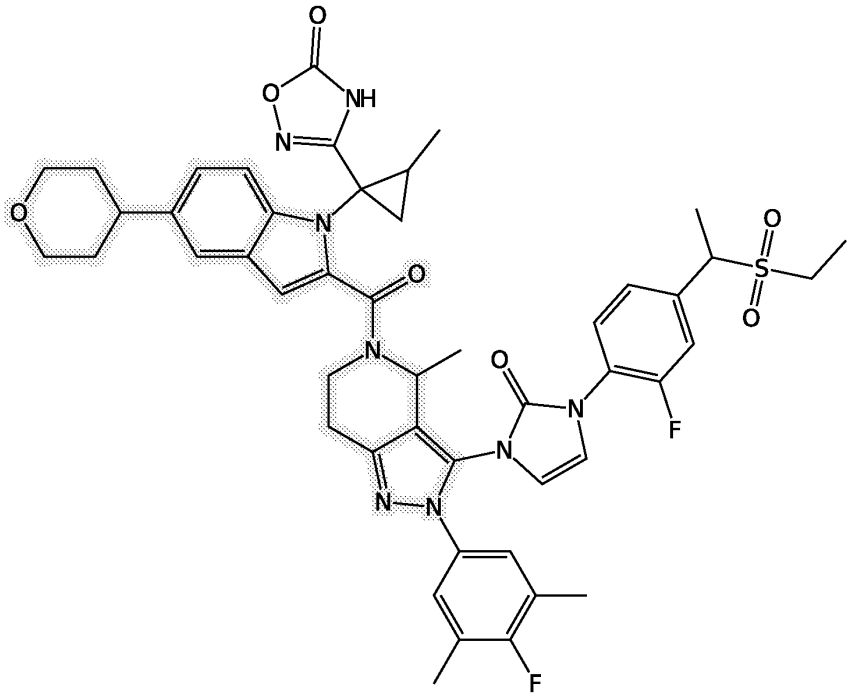
RN 2763621-19-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[3-[2-(methylsulfonyl)ethyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



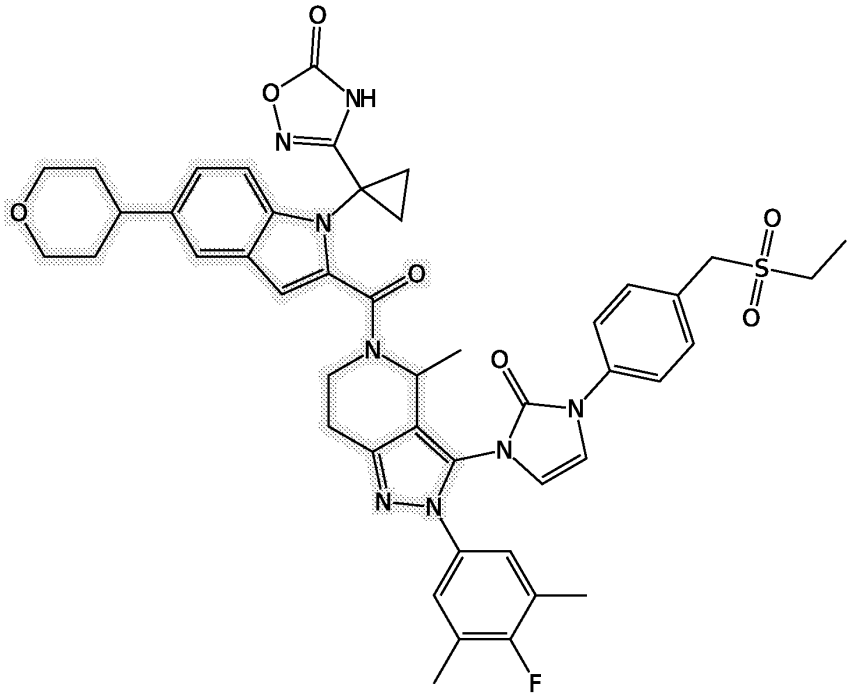
RN 2763621-20-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[2-fluoro-4-[2-(methylsulfonyl)ethyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



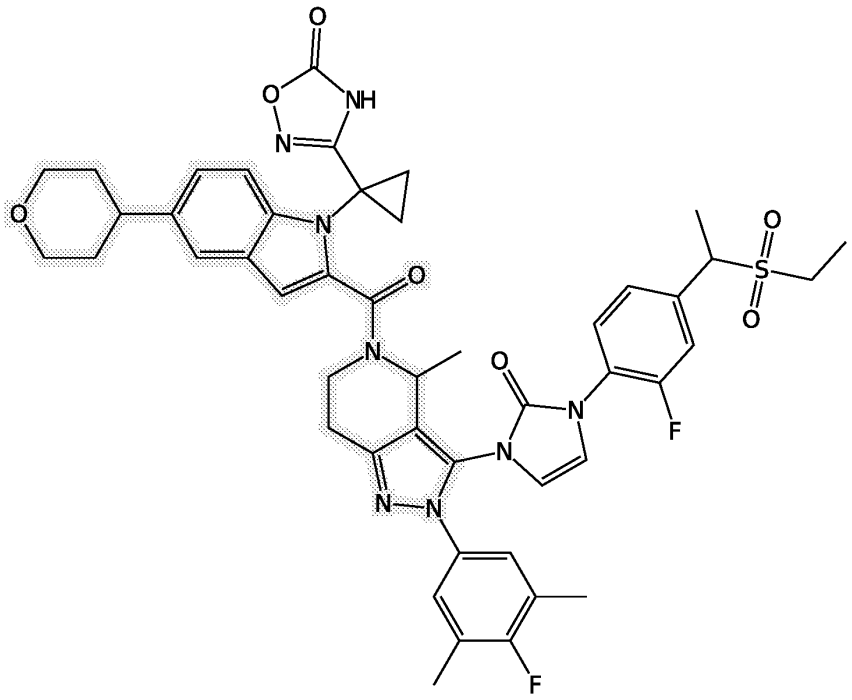
RN 2763621-21-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[1-(ethylsulfonyl)ethyl]-2-flu
orophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2
,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydr
o-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



RN 2763621-22-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(ethylsulfonyl)methyl]phenyl]
-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tet
rahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran
-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



RN 2763621-23-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[1-(ethylsulfonyl)ethyl]-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 116615430 A	CN 2021-80073815	Register|Global Dossier
EP 4211133 A1	EP 2021-863727	Register|Federated Reg.
JP 2023540558 T	JP 2023-515088	Register|Global Dossier
US 20230322771 A1	US 2023-18044196	Register|Global Dossier
WO 2022048665 A1	WO 2021-CN116684	Register|Global Dossier

L3 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2022:191074 CAPLUS Full-text
DOCUMENT NUMBER: 177:295250
TITLE: Preparation of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone or tetrahydropyrazolo-pyridinyl-dihydroimidazolone compounds as GLP-1 receptor modulators and methods of using same
INVENTOR(S): Ren, Zaifang; Sun, Xuefeng; Zhou, Jingye; Xu, Qing
PATENT ASSIGNEE(S): Eccogene (Shanghai) Co., Ltd., Peop. Rep. China
ULTIMATE OWNER: ECCOGENE INC.
ULTIMATE OWNER STANDARD: ECCOGENE INC.
SOURCE: PCT Int. Appl., 310 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

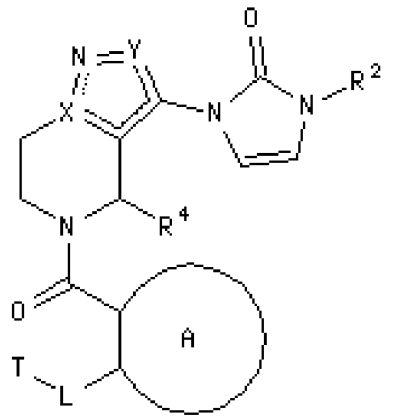
WO 2022017338	A1	20220127	WO 2021-CN107216		20210720
CA 3186217	A1	20220127	CA 2021-3186217		20210720
AU 2021311567	A1	20230216	AU 2021-311567		20210720
SG 11202300100	A	20230228	SG 2023-11202300100		20210720
KR 2023048056	A	20230410	KR 2023-7005845		20210720
EP 4182020	A1	20230524	EP 2021-749074		20210720
PE 2023000854	A1	20230529	PE 2023-103		20210720
CN 116390926	A	20230704	CN 2021-80063652		20210720
JP 2023534983	T	20230815	JP 2023-504008		20210720
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VN 97031	A	20230825	VN 2023-945		20210720
BR 112023000932	A2	20231003	BR 2023-112023000932		20210720
IN 202317003213	A	20240412	IN 2023-17003213		20230116
CO 2023001407	A2	20230216	CO 2023-1407		20230209
PRIORITY APPLN. INFO.:			WO 2020-CN102955	A	20200720
			WO 2021-CN70120	A	20210104
			WO 2021-CN107216	W	20210720

PATENT STATUS PATENT INFORMATION:

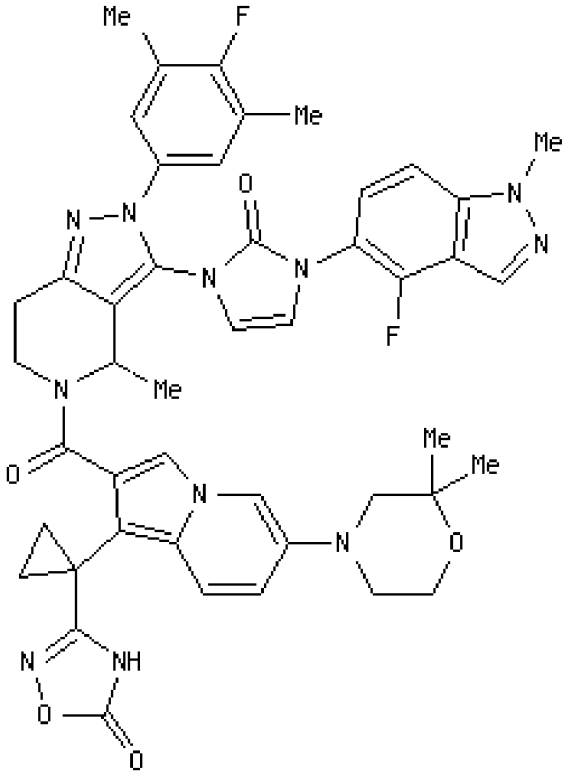
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WO 2022017338	A1	Alive	20220203
CA 3186217	A1	Alive	20230330
AU 2021311567	A1	Alive	20230223
KR 2023048056	A	Alive	20230427
EP 4182020	A1	Alive	20230525
PE 2023000854	A1	Alive	20230720
CN 116390926	A	Alive	20230713
JP 2023534983	T	Alive	20230824
JP 7569443	B2	Alive	20230824
BR 112023000932	A2	Alive	20231221
CO 2023001407	A2	Alive	20230223

OTHER SOURCE(S): CASREACT 177:295250; MARPAT 177:295250

GI



I



II

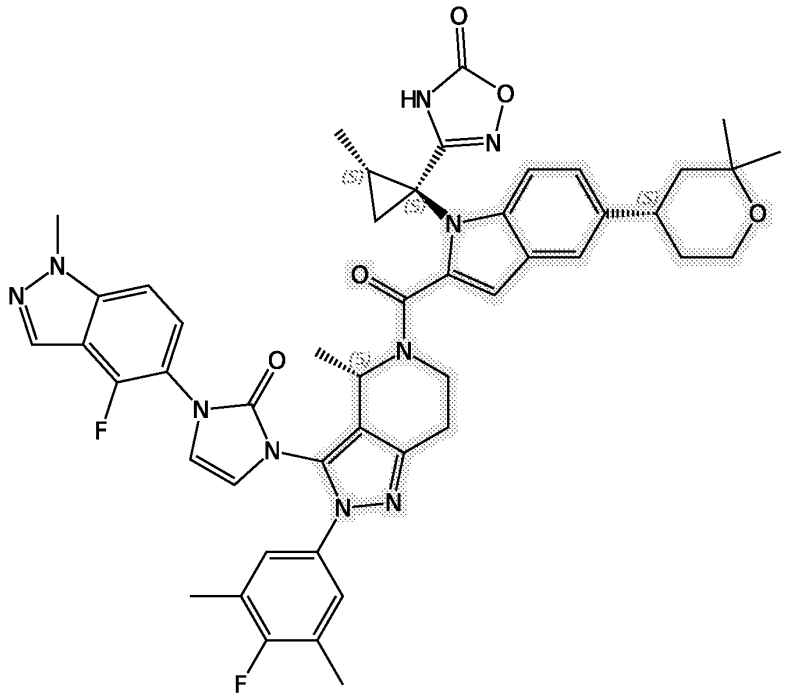
AB The application relates to a compd. of formula I or a pharmaceutically acceptable salt, hydrate, solvate, prodrug, stereoisomer, or tautomer thereof, which modulates the activity of GLP-1 receptor, a pharmaceutical compn. comprising a compd. of formula I, and a method of treating or preventing a disease in which GLP-1 receptor plays a role. Compds. of formula I wherein dashed bond is single and double bond; X is C and N; Y is NR1 and CR1; R1 is (CRcRc)0-2-C3-6 cycloalkyl, (CRcRc)0-2-Ph and (CRcRc)0-2-heteroaryl; each Rc is independently H, C1-3 alkyl and C1-3 haloalkyl; R2 is (un)substituted C3-10 cycloalkyl, (un)substituted Ph and (un)substituted heterocyclyl; ring A is (un)substituted pyrrolopyridine, (un)substituted indole, (un)substituted pyrazolopyridine, etc.; R4 is C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, etc.; L is CR5R6 and (un)substituted phenylenyl; R5 and R6 are independently H, C1-6 alkyl, C1-6 haloalkyl, C16 alkoxy, OH, etc.; T is CO2H, CH2NHSO2-C1-6 alkyl and heteroaryl; and with provisions; and pharmaceutically acceptable salts, solvates, prodrugs, stereoisomers, and tautomers thereof, are claimed. Example compd. II was

prepd. by a multistep procedure (procedure given). The invention compds. were evaluated for their GLP-1 receptor modulatory activity. From the assay, it was detd. that compd. II exhibited EC50 value of > 0 nM.

IT 2212020-52-3
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)

RN 2212020-52-3 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

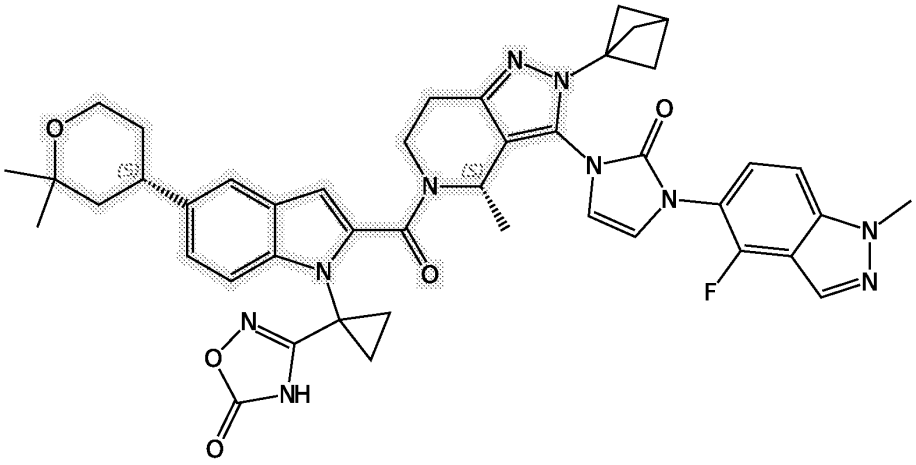


Absolute stereochemistry shown

IT 2758658-74-9P 2758658-76-1P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)

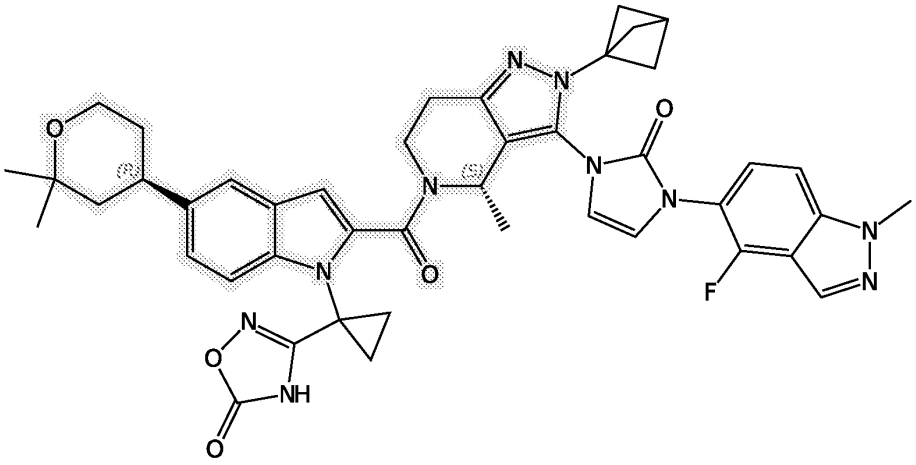
RN 2758658-74-9 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-bicyclo[1.1.1]pent-1-yl-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

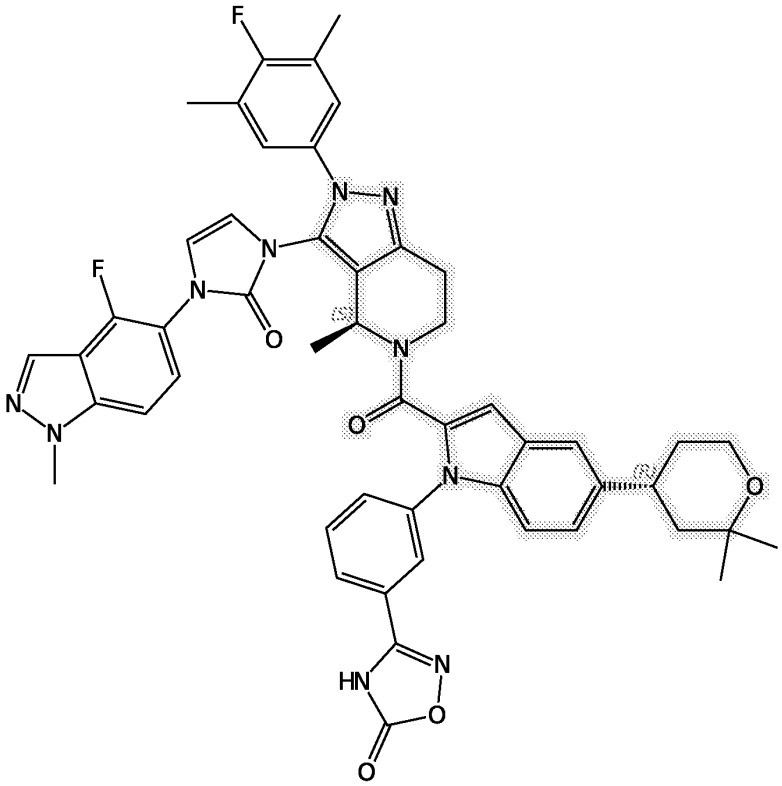
RN 2758658-76-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-bicyclo[1.1.1]pent-1-yl-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



Absolute stereochemistry shown

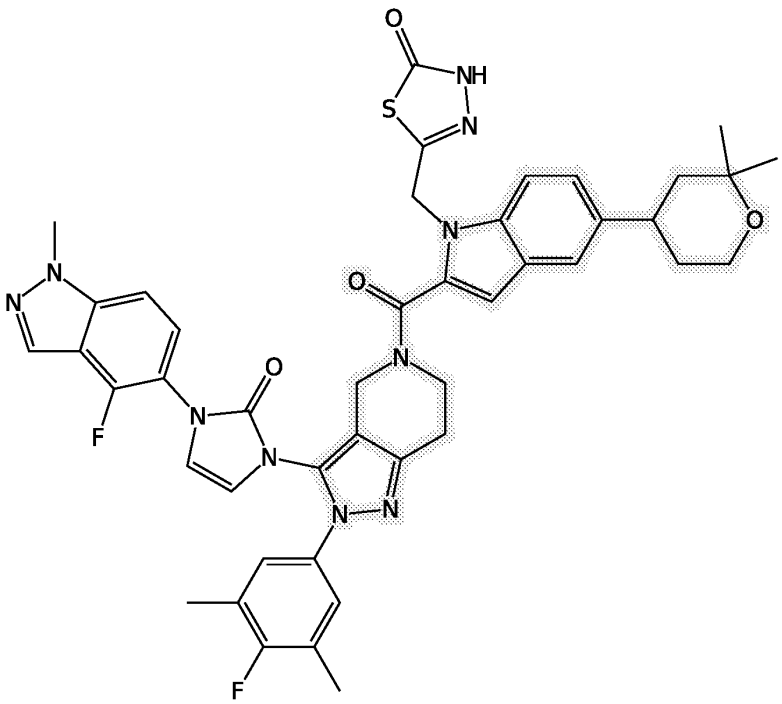
IT 2758657-82-6P 2758657-96-2P 2758658-00-1P
2758658-04-5P 2758658-07-8P 2758658-10-3P
2758658-14-7P 2758658-17-0P 2758658-20-5P
2758658-24-9P 2758658-27-2P 2758658-31-8P
2758658-34-1P 2758658-37-4P 2758658-40-9P
2758658-57-8P 2758658-63-6P 2758658-66-9P
2758658-72-7P 2758658-83-0P 3008600-59-4P
3008601-07-5P 3008601-78-0P 3008606-62-7P
3008607-13-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)
RN 2758657-82-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[3-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3

-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,
6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahy
dro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]phenyl]- (CA
INDEX NAME)

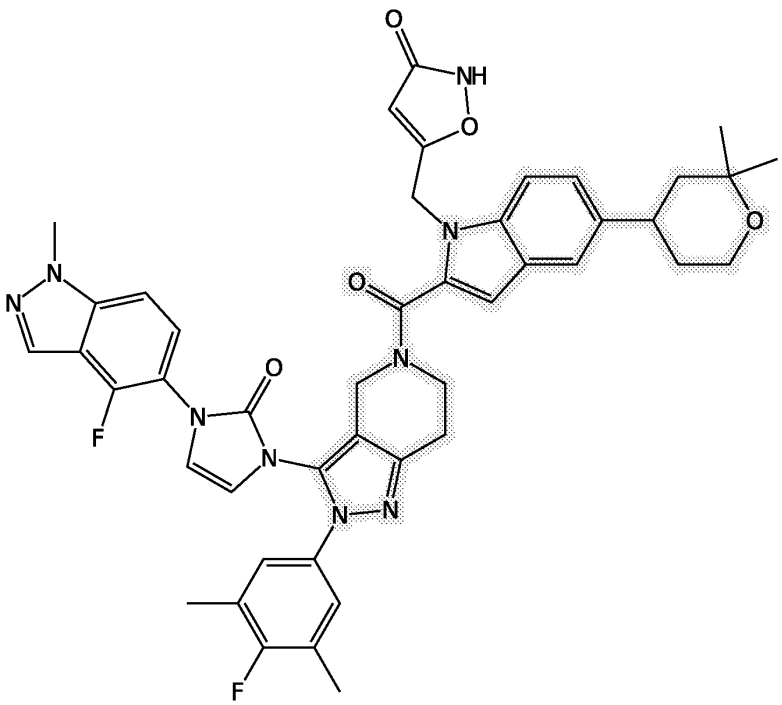


Absolute stereochemistry shown

RN 2758657-96-2 CAPLUS
CN 1,3,4-Thiadiazol-2(3H)-one, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4
-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-te
trahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-
pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

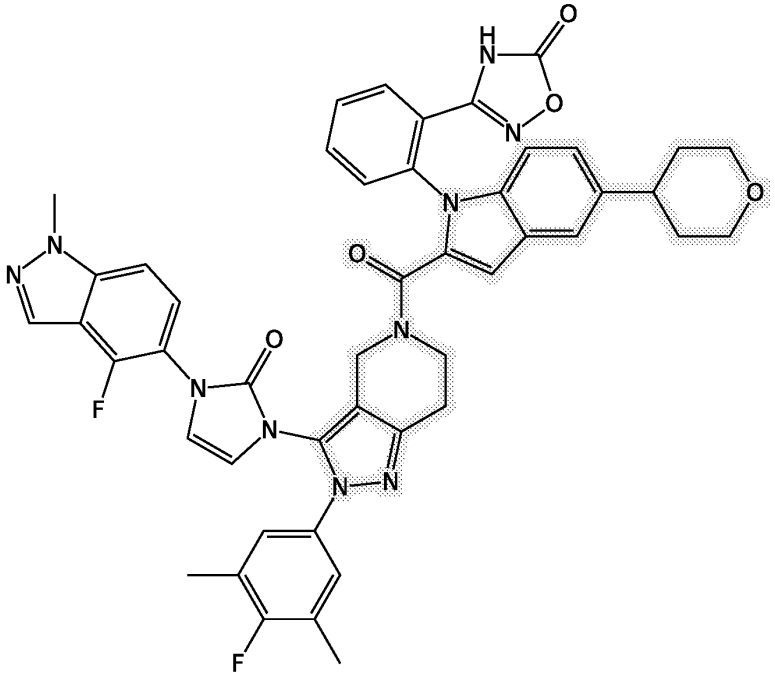


RN 2758658-00-1 CAPLUS
CN 3(2H)-Isoxazolone, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

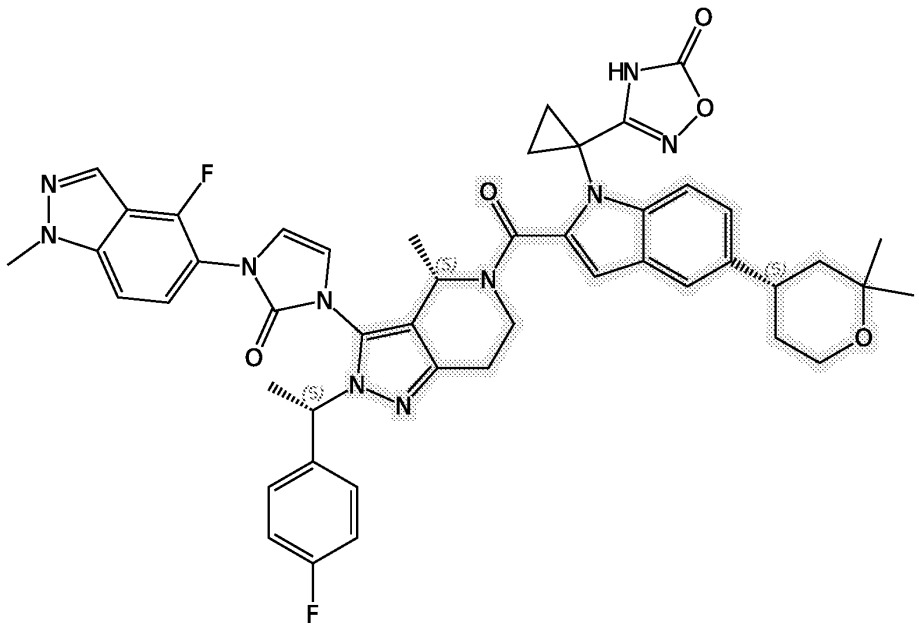


RN 2758658-04-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[2-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(

4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-t
etrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
1H-indol-1-yl]phenyl]- (CA INDEX NAME)



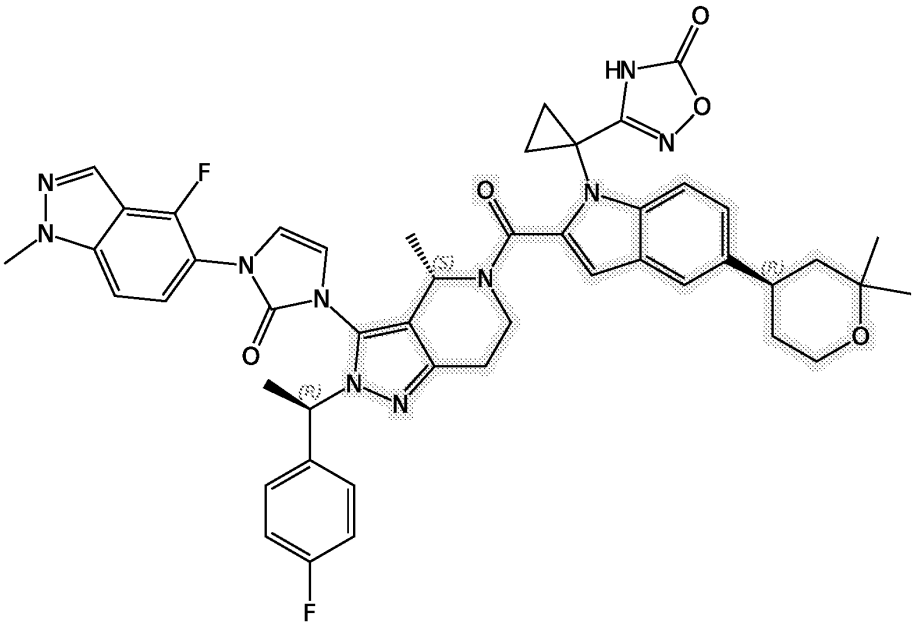
RN   2758658-07-8 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

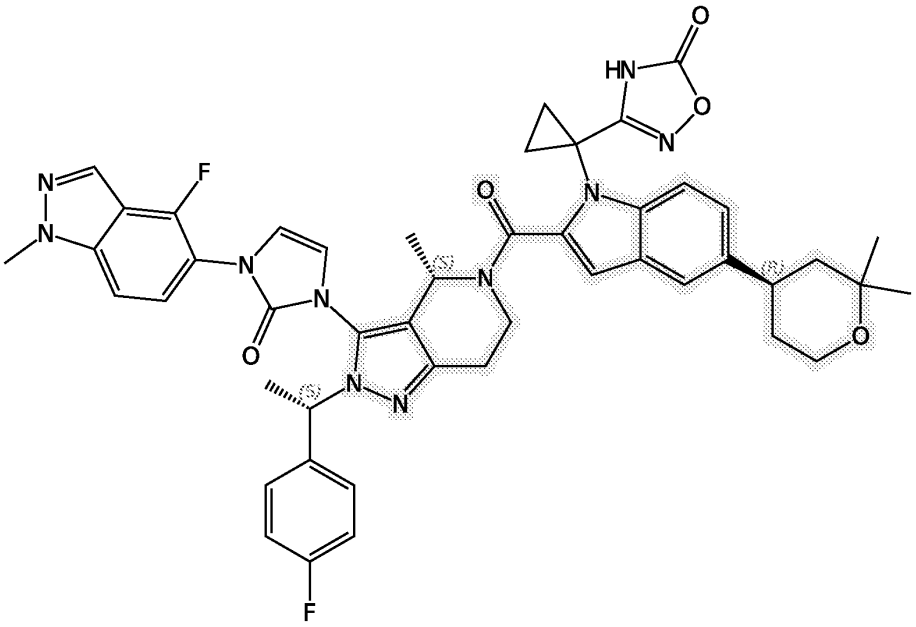
RN   2758658-10-3 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1R)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

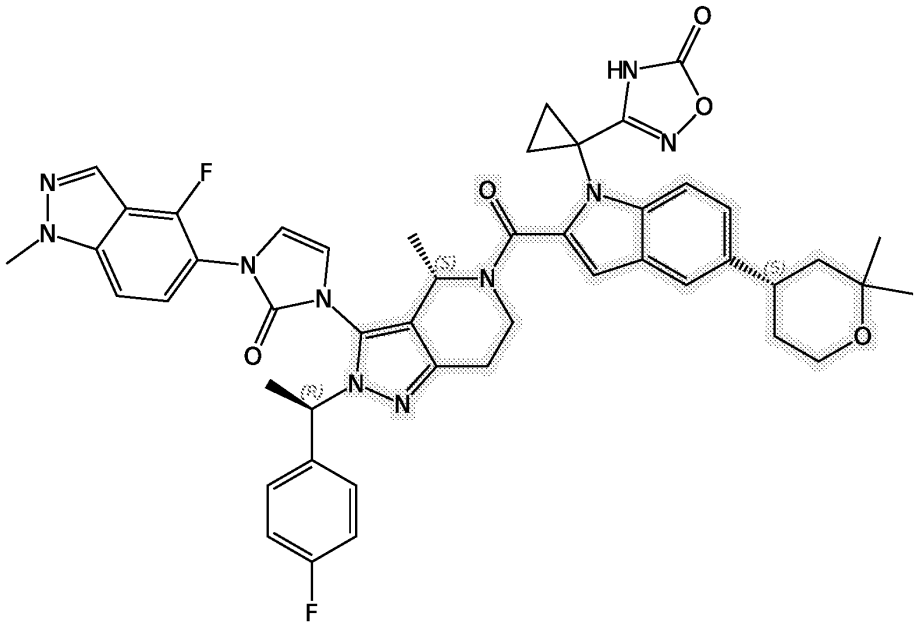
RN   2758658-14-7 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

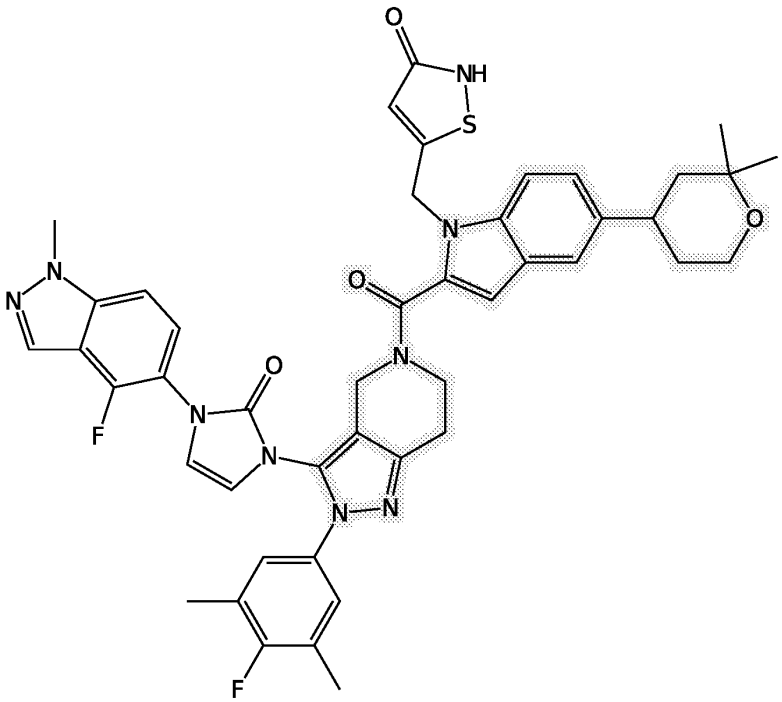
RN   2758658-17-0 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1R)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



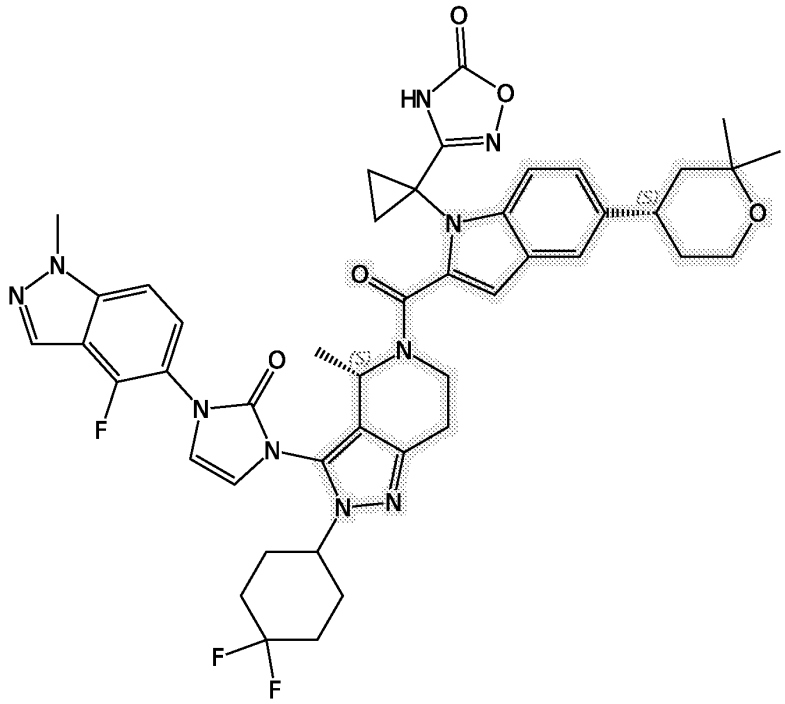
Absolute stereochemistry shown

RN   2758658-20-5 CAPLUS
CN   3(2H)-Isothiazolone, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro
-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydr
o-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4
-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



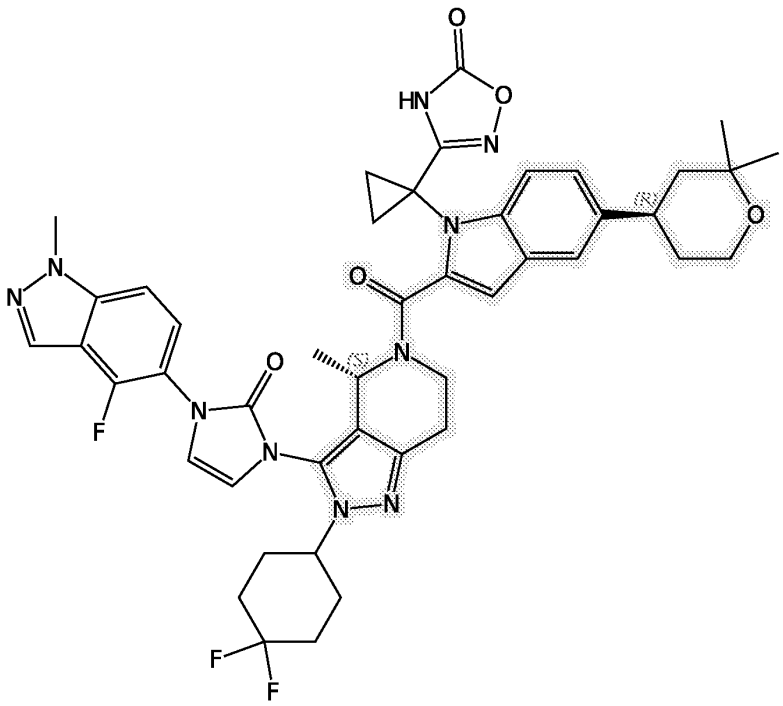
RN   2758658-24-9 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-(4,4-difluorocyclohexyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



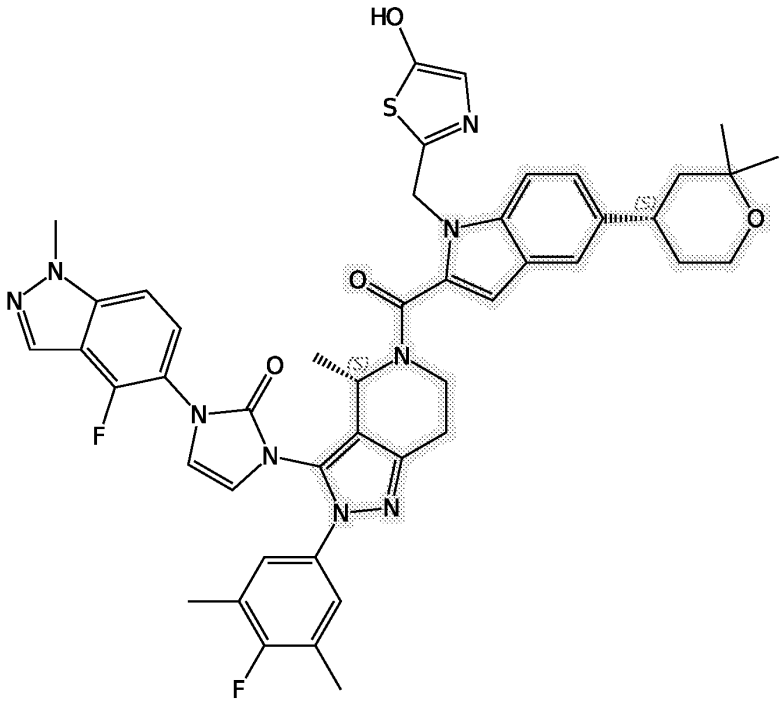
Absolute stereochemistry shown

RN 2758658-27-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-(4,4-difluorocyclohexyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



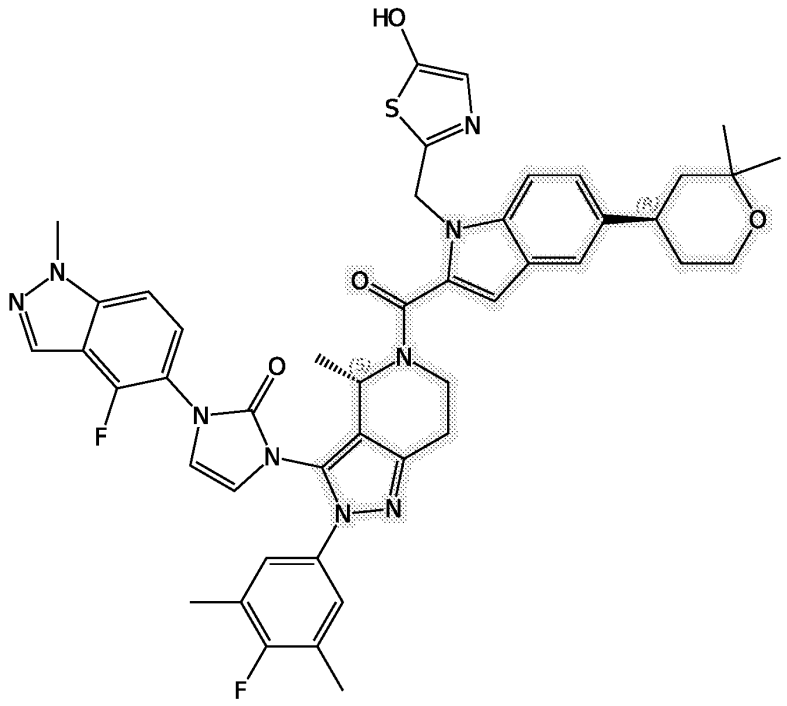
Absolute stereochemistry shown

RN 2758658-31-8 CAPLUS
CN 2H-Imidazol-2-one, 1-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[1-[(5-hydroxy-2-thiazolyl)methyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1,3-dihydro- (CA INDEX NAME)



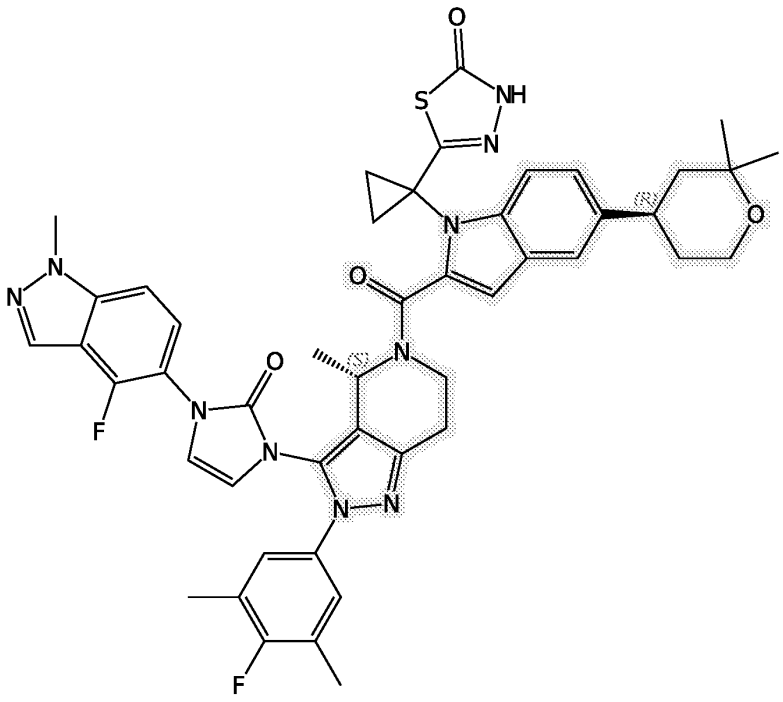
Absolute stereochemistry shown

RN 2758658-34-1 CAPLUS
CN 2H-Imidazol-2-one, 1-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahyd
ro-5-[[1-[(5-hydroxy-2-thiazolyl)methyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyra
n-4-yl]-1H-indol-2-yl]carbonyl]-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-3-(4-fl
uoro-1-methyl-1H-indazol-5-yl)-1,3-dihydro- (CA
INDEX NAME)



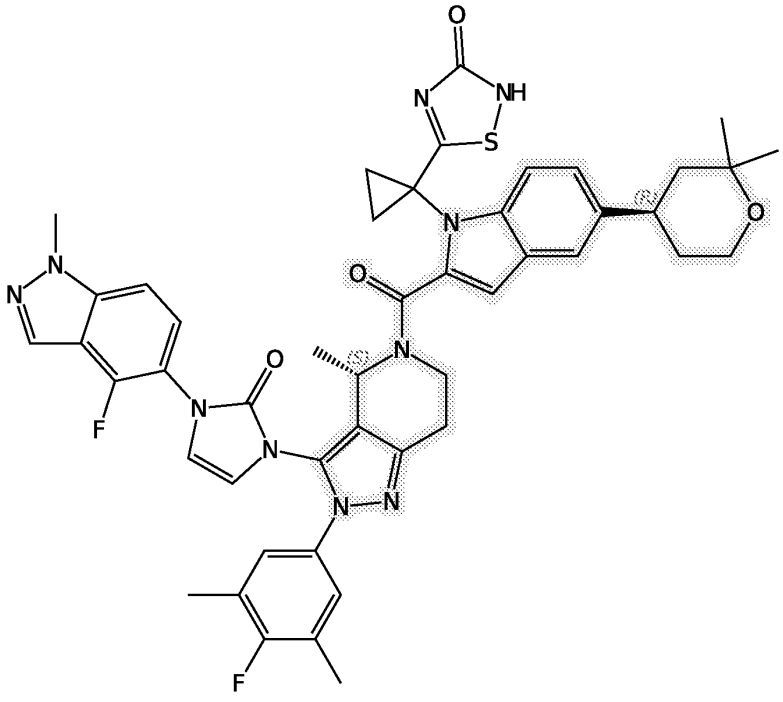
Absolute stereochemistry shown

RN 2758658-37-4 CAPLUS
CN 1,3,4-Thiadiazol-2(3H)-one, 5-[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-
3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



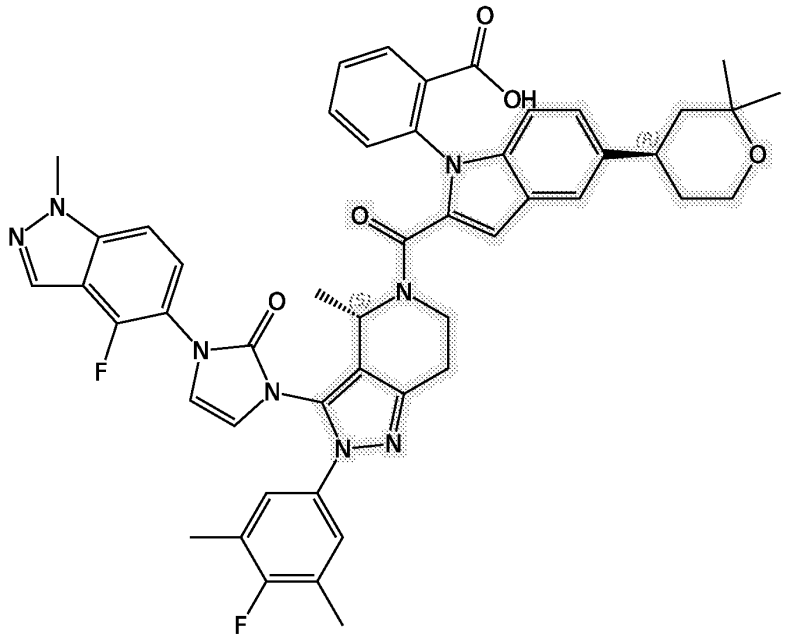
Absolute stereochemistry shown

RN 2758658-40-9 CAPLUS
CN 1,2,4-Thiadiazol-3(2H)-one, 5-[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



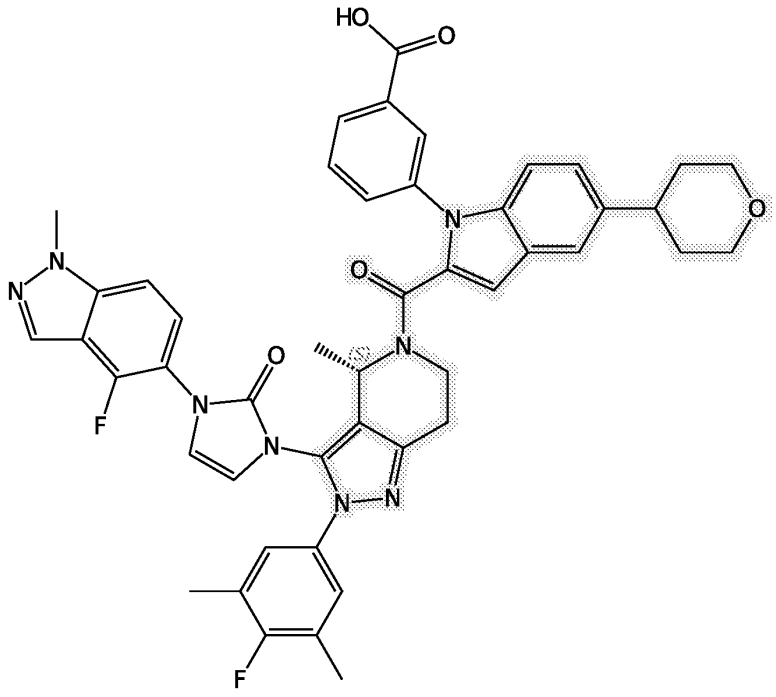
Absolute stereochemistry shown

RN 2758658-57-8 CAPLUS
CN Benzoic acid, 2-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]- (CA INDEX NAME)



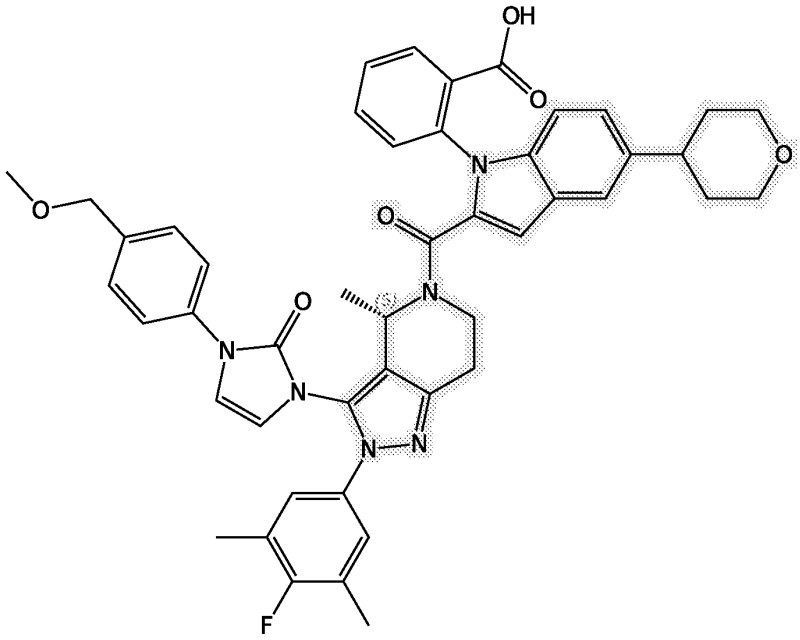
Absolute stereochemistry shown

RN 2758658-63-6 CAPLUS
CN Benzoic acid, 3-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]- (CA INDEX NAME)



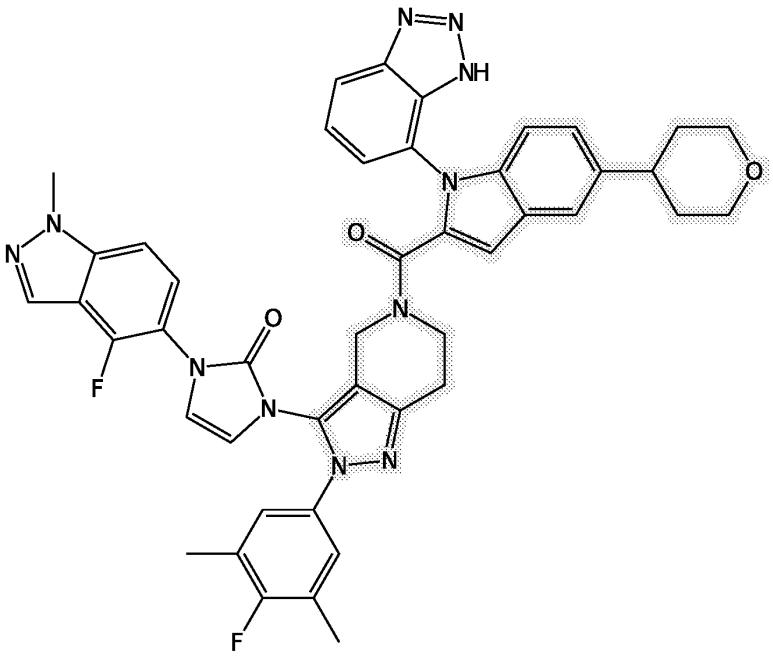
Absolute stereochemistry shown

RN 2758658-66-9 CAPLUS
CN Benzoic acid, 2-[2-[[(4S)-3-[2,3-dihydro-3-[4-(methoxymethyl)phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]- (CA INDEX NAME)

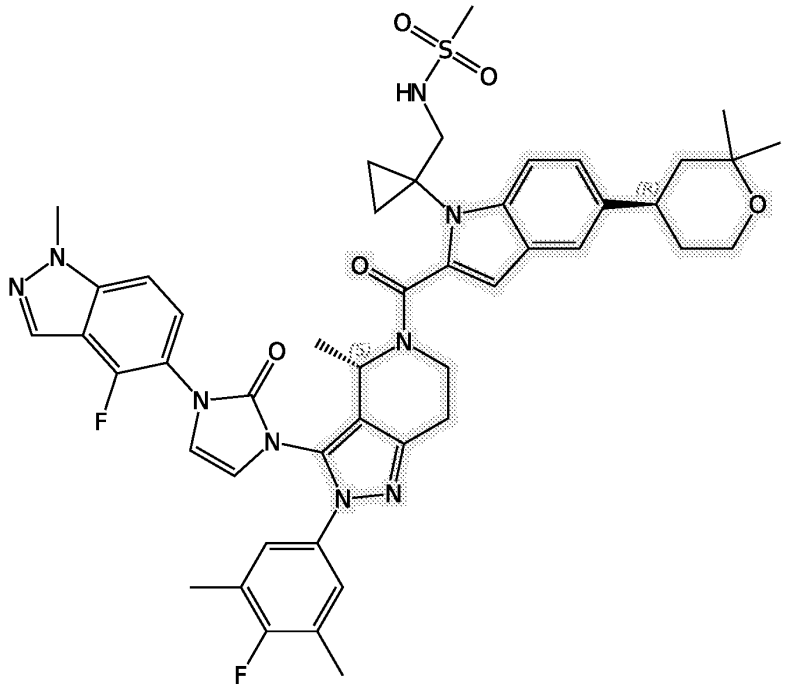


Absolute stereochemistry shown

RN 2758658-72-7 CAPLUS
CN 2H-Imidazol-2-one, 1-[5-[[1-(1H-benzotriazol-7-yl)-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridin-3-yl]-3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1,3-dihydro- (CA INDEX NAME)

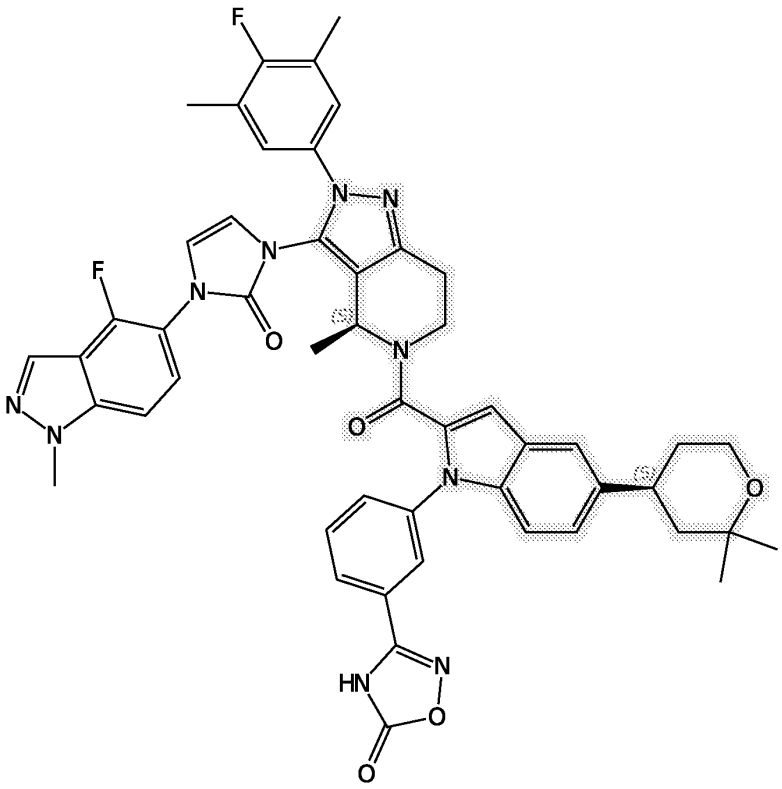


RN 2758658-83-0 CAPLUS
CN Methanesulfonamide, N-[[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]methyl]- (CA INDEX NAME)



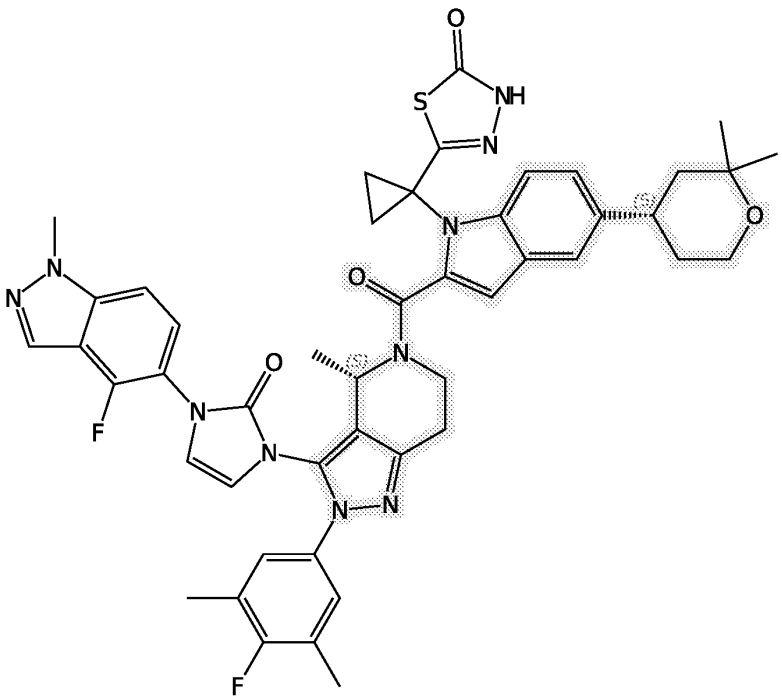
Absolute stereochemistry shown

RN 3008600-59-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[3-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]phenyl]- (CA INDEX NAME)



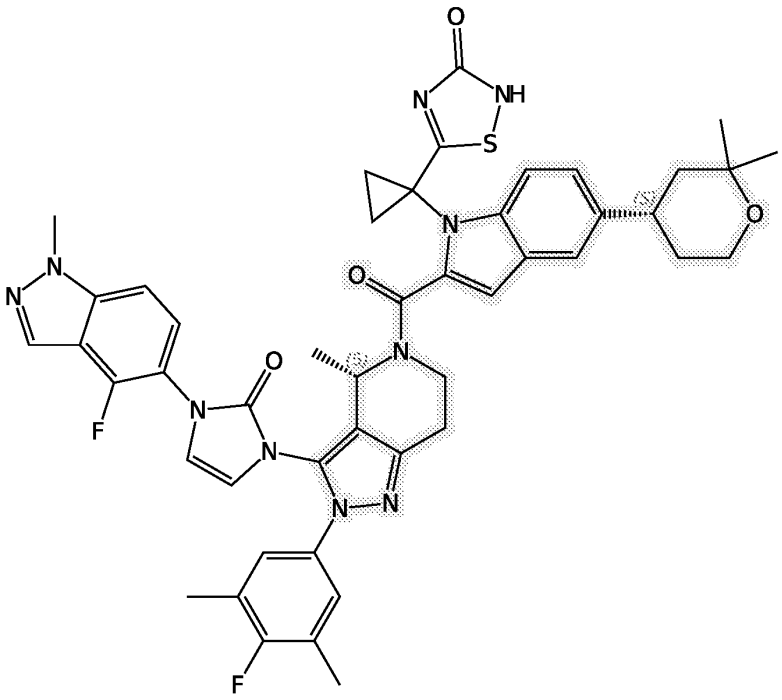
Absolute stereochemistry shown

RN 3008601-07-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



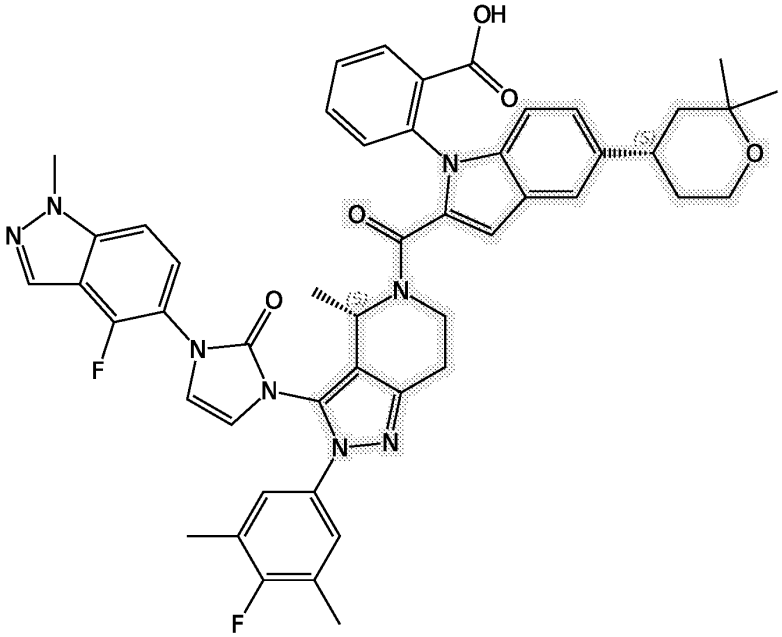
Absolute stereochemistry shown

RN 3008601-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



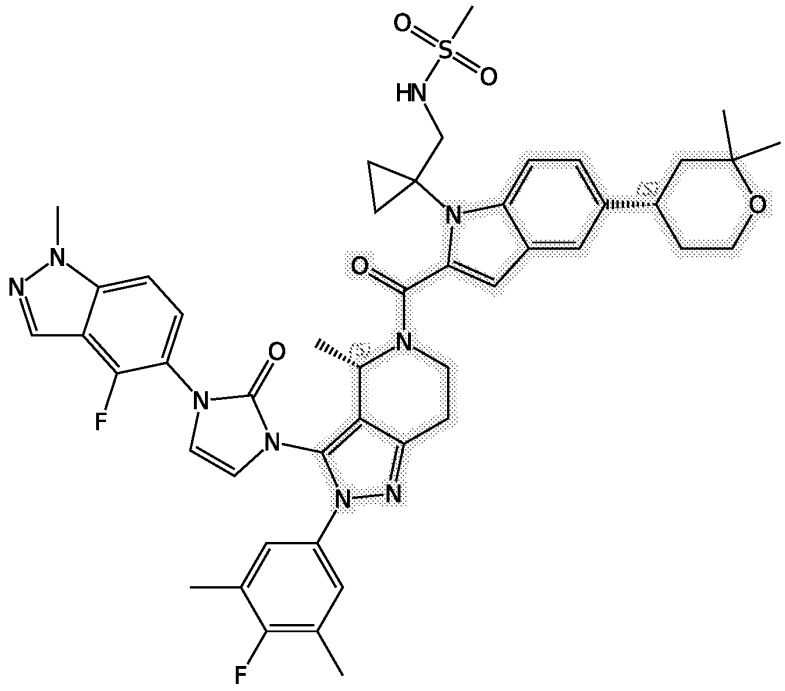
Absolute stereochemistry shown

RN 3008606-62-7 CAPLUS
CN Benzoic acid, 2-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]- (CA INDEX NAME)



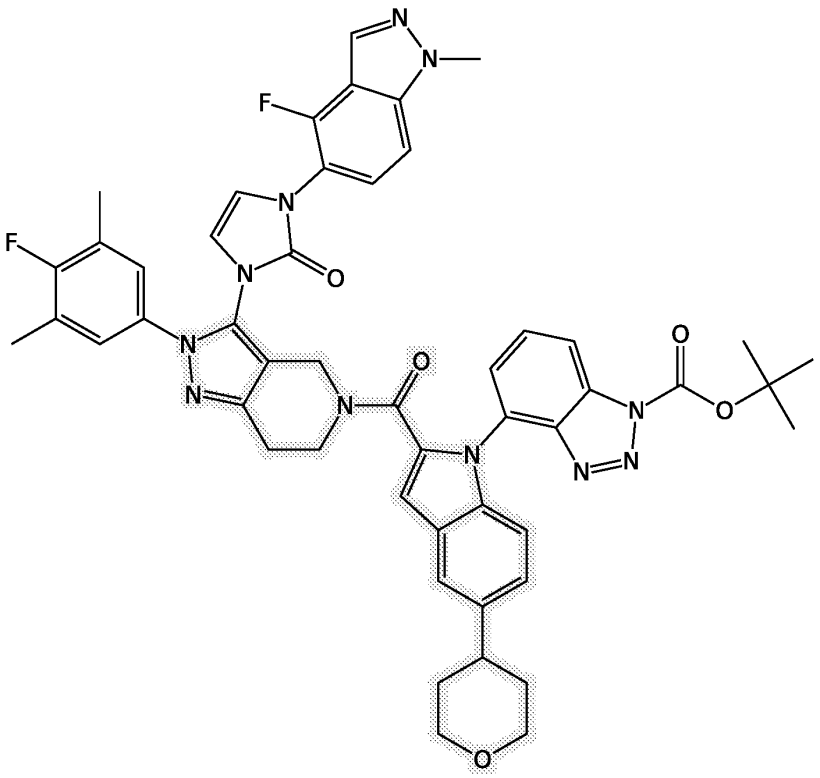
Absolute stereochemistry shown

RN 3008607-13-1 CAPLUS
CN Methanesulfonamide, N-[[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]methyl]- (CA INDEX NAME)



Absolute stereochemistry shown

IT 2758662-12-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tetrahydropyrazolo-pyrazinyl-dihydroimidazolone and tetrahydropyrazolo-pyridinyl-dihydroimidazolone compds. as GLP-1 receptor modulators)
RN 2758662-12-1 CAPLUS
CN 1H-Benzotriazole-1-carboxylic acid, 4-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2021311567 A1	AU 2021-311567	Register
BR 112023000932 A2	BR 2023-112023000932	Register
CA 3186217 A1	CA 2021-3186217	Register
CN 116390926 A	CN 2021-80063652	Register|Global Dossier
CO 2023001407 A2	CO 2023-1407	Register
EP 4182020 A1	EP 2021-749074	Register|Federated Reg.
IN 202317003213 A	IN 2023-17003213	Register
JP 2023534983 T	JP 2023-504008	Register|Global Dossier
JP 7569443 B2	JP 2023-504008	Register|Global Dossier
KR 2023048056 A	KR 2023-7005845	Register|Global Dossier
PE 2023000854 A1	PE 2023-103	Register
SG 11202300100 A	SG 2023-11202300100	Register
VN 97031 A	VN 2023-945	Register
WO 2022017338 A1	WO 2021-CN107216	Register|Global Dossier

L3 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2021:1749958 CAPLUS Full-text
DOCUMENT NUMBER: 176:10828
TITLE: Preparation of 4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridine compounds as GLP-1 agonists
INVENTOR(S): Meng, Qinghua; Lin, Xichen; Zhang, Haizhen; Xing, Weiqiang; Lei, Hui; Jennings, Andrew
PATENT ASSIGNEE(S): Gasherbrum Bio, Inc., USA
ULTIMATE OWNER: STRUCTURE THERAPEUTICS INC
ULTIMATE OWNER STANDARD: Structure Therapeutics
SOURCE: PCT Int. Appl., 247pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

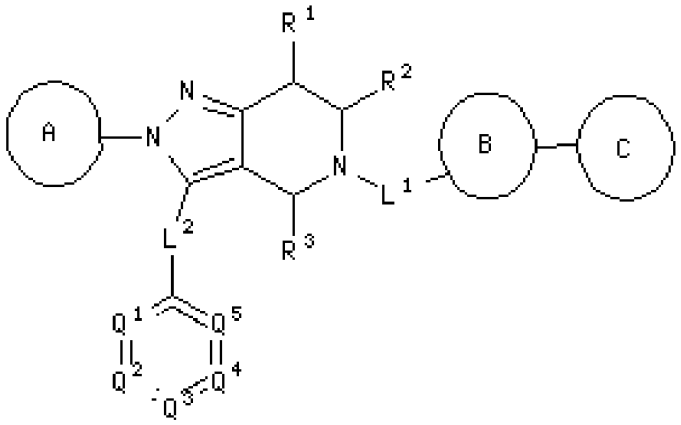
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2021155841	A1	20210812	WO 2021-CN75488	20210205
CA 3169975	A1	20210812	CA 2021-3169975	20210205
AR 121279	A1	20220504	AR 2021-100307	20210205
AU 2021216324	A1	20220825	AU 2021-216324	20210205
SG 11202251758	A	20220830	SG 2022-11202251758	20210205
CR 20220371	A	20221027	CR 2022-371	20210205
KR 2022156535	A	20221125	KR 2022-7030940	20210205
EP 4097099	A1	20221207	EP 2021-751080	20210205
EP 4097099	B1	20240626		
CN 115698003	A	20230203	CN 2021-80013186	20210205
CN 115698003	B	20241011		
JP 2023513272	T	20230330	JP 2022-548499	20210205
PE 2023001066	A1	20230717	PE 2022-1553	20210205
VN 97587	A	20230925	VN 2022-5721	20210205
MA 58498	B1	20240830	MA 2021-58498	20210205
RS 65877	B1	20240930	RS 2024-939	20210205
US 20220213130	A1	20220707	US 2022-17571351	20220107
US 11492365	B2	20221108		
US 20230174565	A1	20230608	US 2022-17853755	20220629
US 11926643	B2	20240312		
CO 2022011065	A2	20221031	CO 2022-11065	20220804
CL 2022002105	A1	20230317	CL 2022-2105	20220804
PA 9404201	A1	20230726	PA 2022-9404201	20220804
IN 202217050547	A	20230616	IN 2022-17050547	20220905
PRIORITY APPLN. INFO.:			WO 2020-CN74537 A	20200207
			WO 2020-CN109304 A	20200814
			WO 2021-CN75488 W	20210205
			US 2022-17571351 A1	20220107

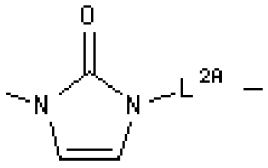
PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2021155841	A1	Dead	20241010
CA 3169975	A1	Alive	20220915
AR 121279	A1	Alive	20220728
AU 2021216324	A1	Alive	20220901
KR 2022156535	A	Alive	20221215
EP 4097099	A1	Indeterminate	20240627
EP 4097099	B1	Indeterminate	20240627
CN 115698003	A	Alive	20230209
CN 115698003	B	Alive	20230209
JP 2023513272	T	Alive	20230413
PE 2023001066	A1	Alive	20230824
RS 65877	B1	Alive	20241010
US 20220213130	A1	Alive	20220714
US 11492365	B2	Alive	20221117
US 20230174565	A1	Alive	20230615
US 11926643	B2	Alive	20240321
CO 2022011065	A2	Alive	20221110
CL 2022002105	A1	Alive	20230420

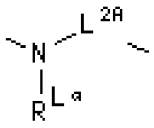
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 176:10828; MARPAT 176:10828
GI



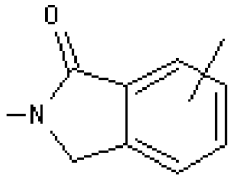
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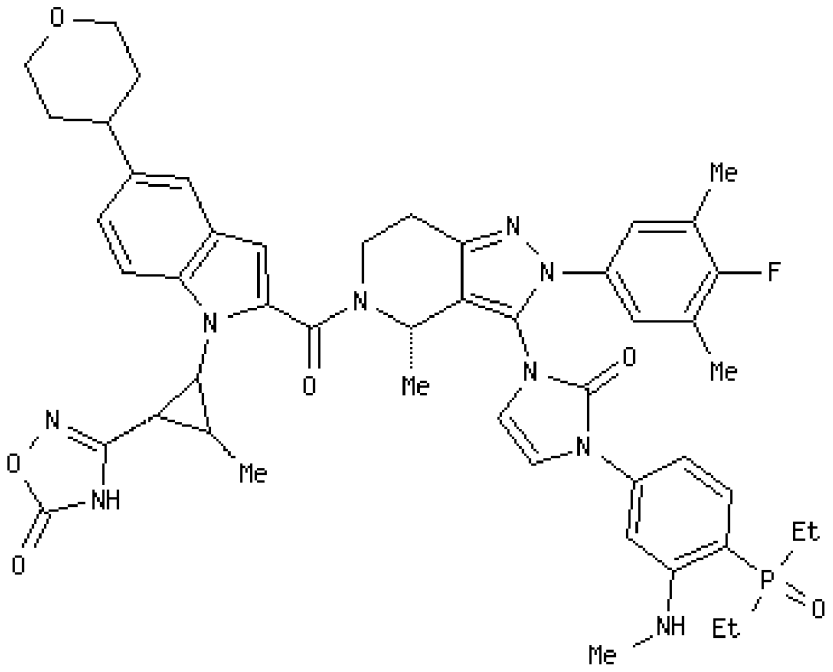
II



III



IV



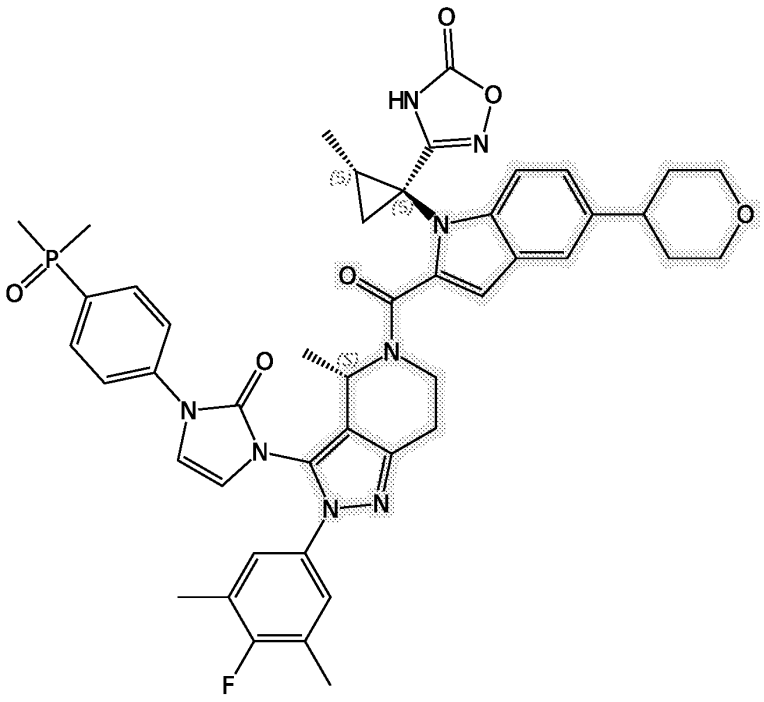
V

AB This disclosure relates to GLP-1 agonists of formula I as glucagon-like peptide-1 (GLP-1) agonists useful alone or in combination with addnl. therapeutic agents for treatment of GLP-1 assocd. diseases such as diabetes mellitus. GLP-1 agonists of Formula I [wherein Q1, Q2, Q3, Q4, and Q5 are defined according to (AA) or (BB); (AA) Q1 and Q5 independently = N, CH, C-halo, C-CN, etc.; Q2, Q3,and Q4 = N, CH, C-halo, P(=O)RaRb with proviso; wherein Ra and Rb independently = (un)substituted C1-6alkyl;

dotted lines = single or double bond, provided ring including Q1-Q5 is arom.; (BB) Q1 = bond, Q2, Q3, Q4, and Q5 independently = O, S, N, NH, CH, etc., with proviso; L2 = II, III, and IV, L2A = bond or C1-10alkylene; RLa = H, C1-6alkyl, and C(=O)(C1-6alkyl); Ring A = C6-10 aryl, C5-7 cycloalkyl, 5-7 membered heterocyclyl, etc.; R1, R2, and R3 independently = H or (un)substituted C1-6 alkyl; L1 = C(=O), CH2, CH(C1-6 alkyl), etc.; Ring B = substituted indolyl, 6-azaindolyl, 7-azaindolyl, etc.; Ring C = 3-12 membered heterocyclyl, C3-15 cycloalkyl, or 5-10 membered heteroaryl, each of which is optionally substituted] or pharmaceutically acceptable salts and solvates thereof, and pharmaceutical compns. thereof are claimed and exemplified. Example compd. V was prepd. from a multistep procedure (prepn. given). Exemplified I were evaluated for GLP1R agonistic activity from which V demonstrated a pEC50 = ≥ 10.

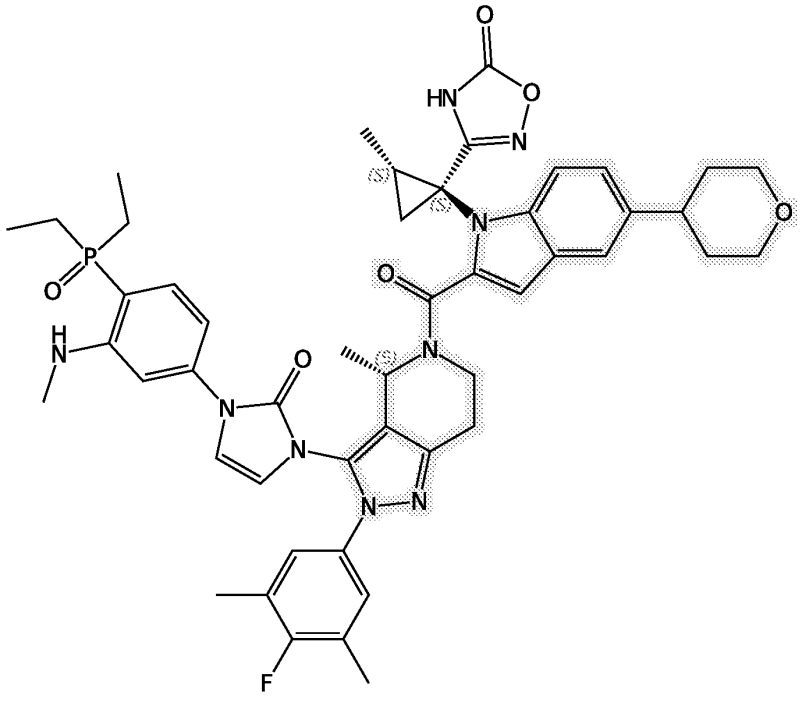
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2685824-89-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridine compds. as GLP-1 agonists)

RN 2685823-25-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



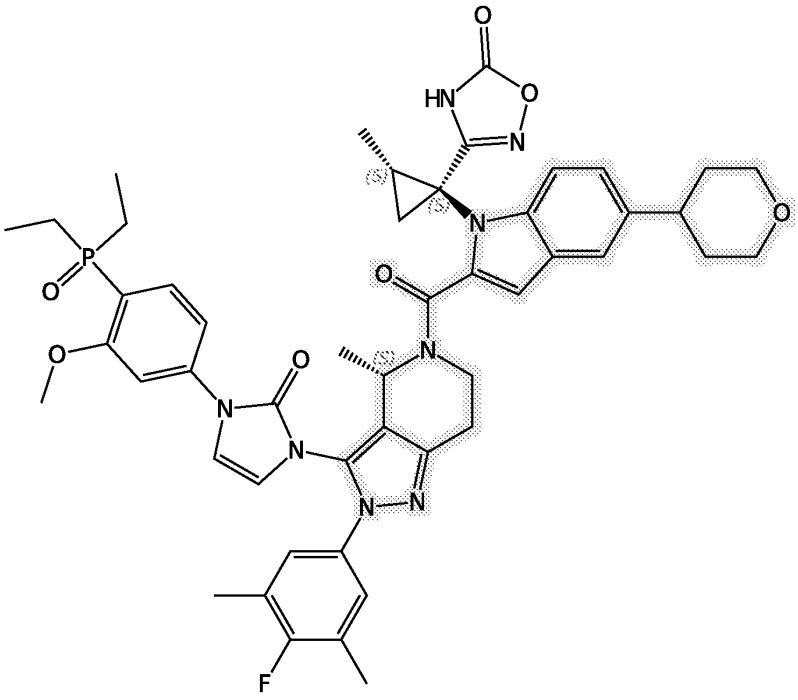
Absolute stereochemistry shown

RN 2685823-26-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



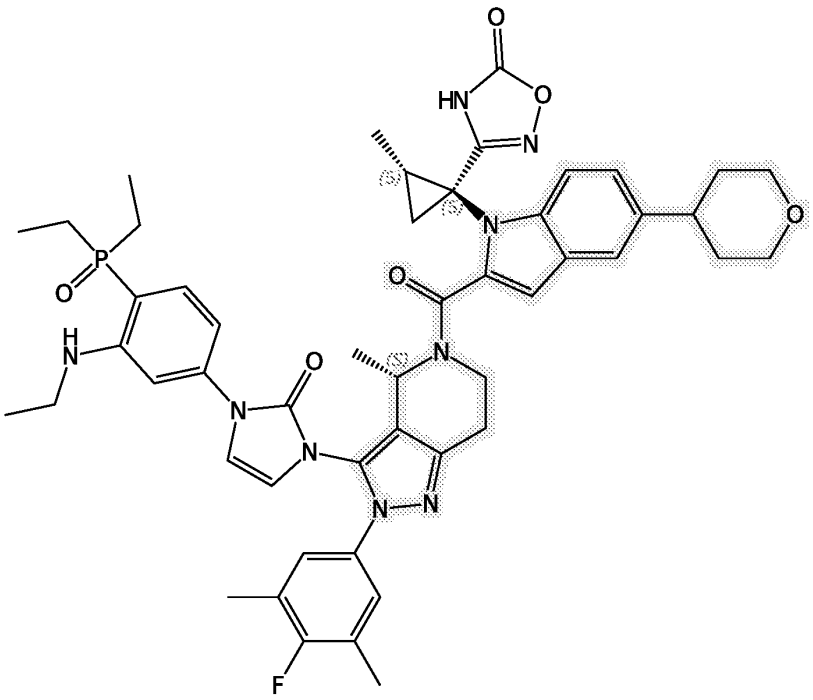
Absolute stereochemistry shown

RN 2685823-27-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-methoxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



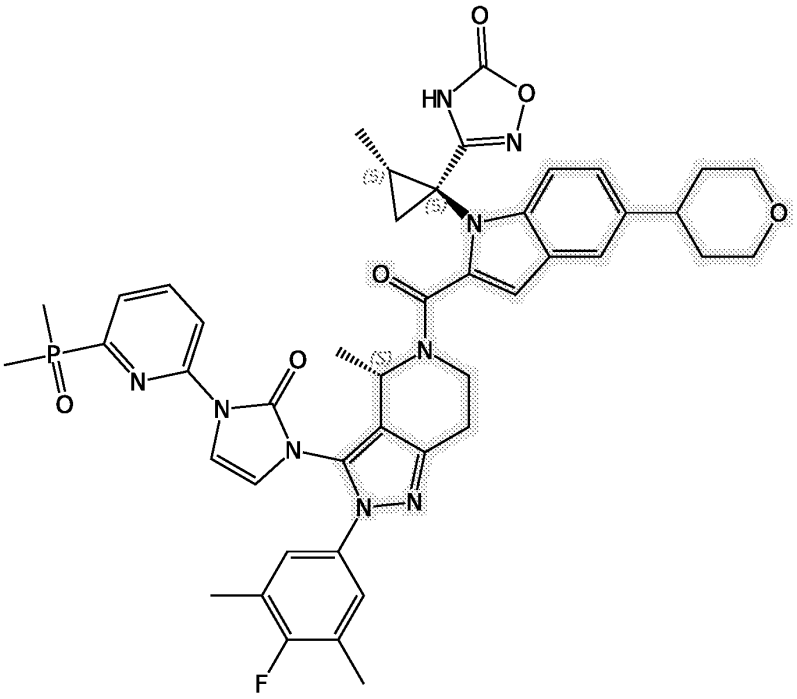
Absolute stereochemistry shown

RN 2685823-28-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(ethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



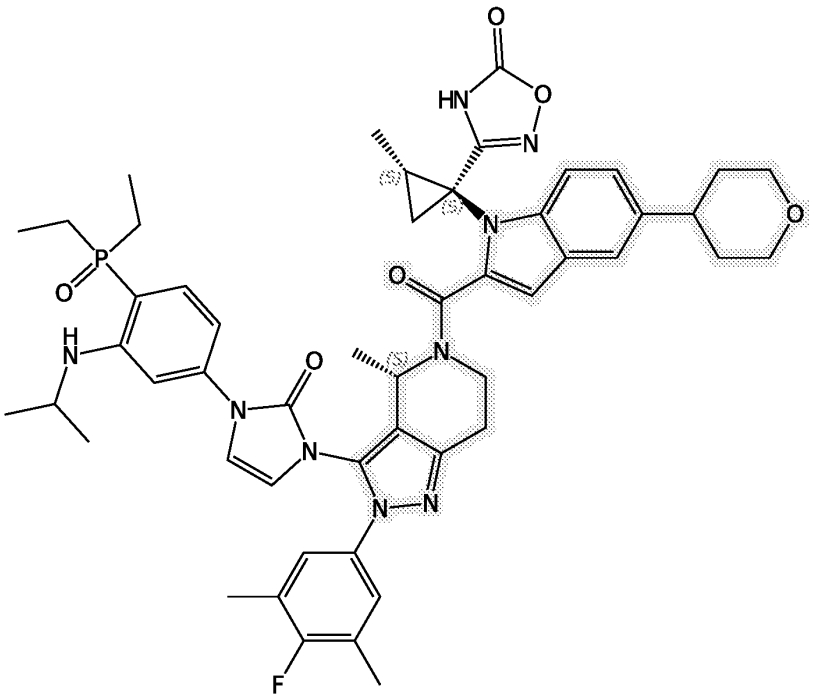
Absolute stereochemistry shown

RN 2685823-29-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[6-(dimethylphosphinyl)-2-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



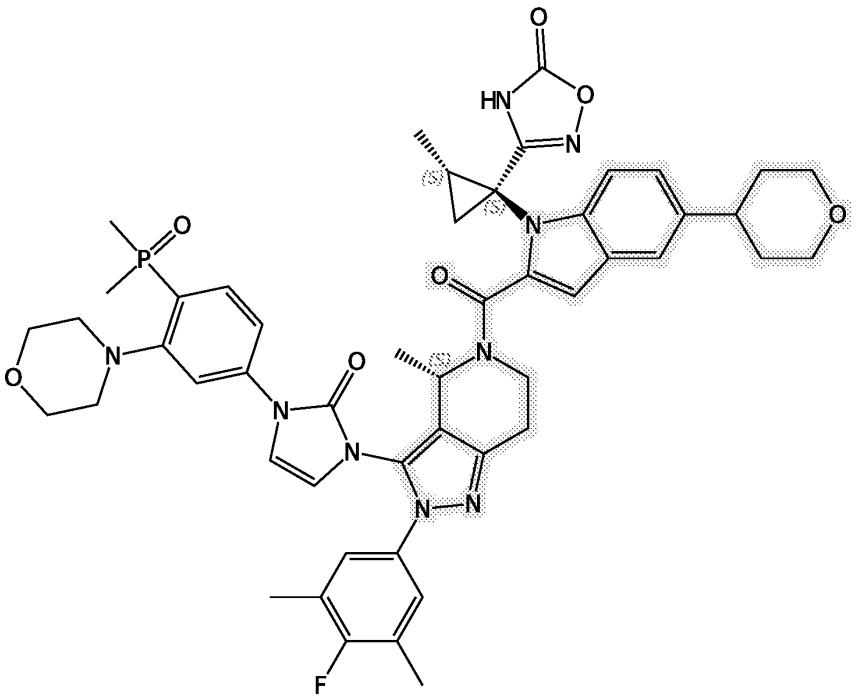
Absolute stereochemistry shown

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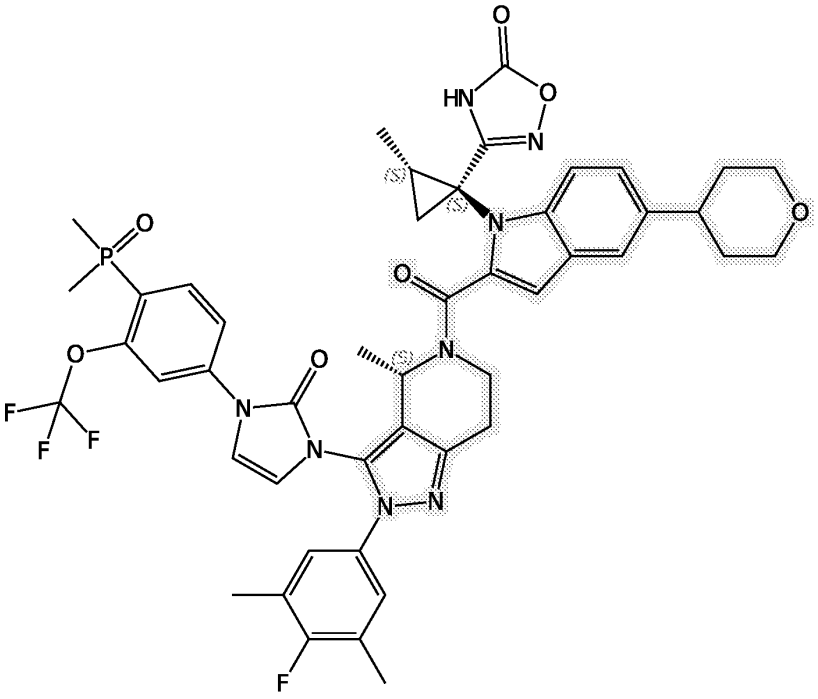
Absolute stereochemistry shown

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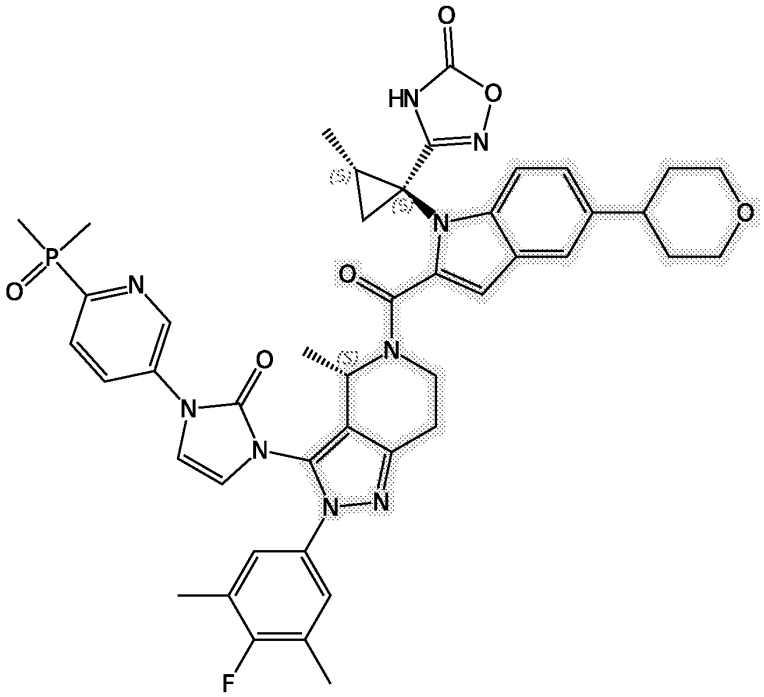
Absolute stereochemistry shown

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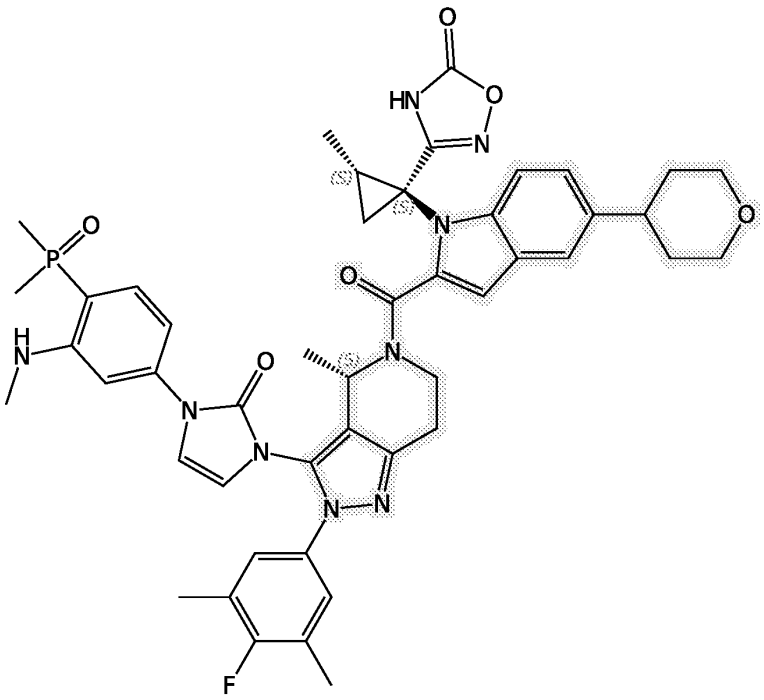
Absolute stereochemistry shown

RN 2685823-33-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[6-(dimethylphosphinyl)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



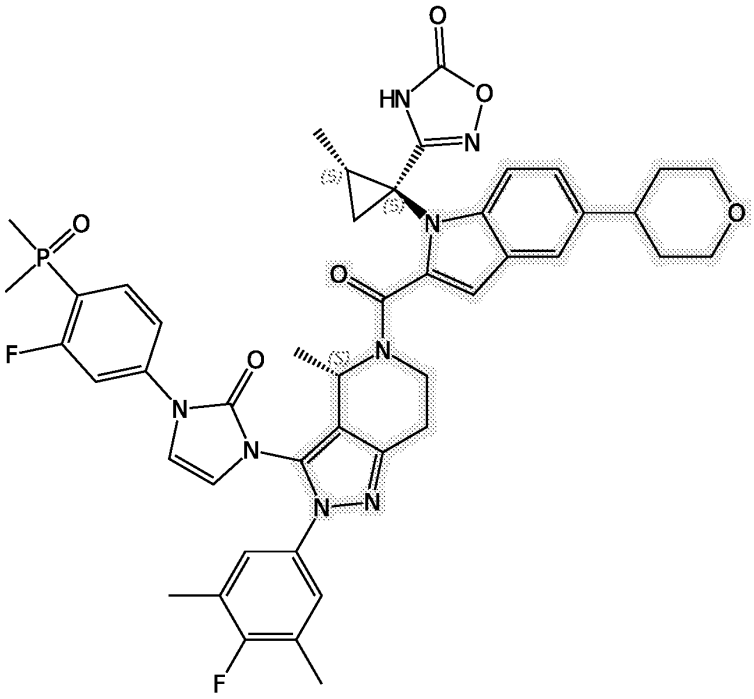
Absolute stereochemistry shown

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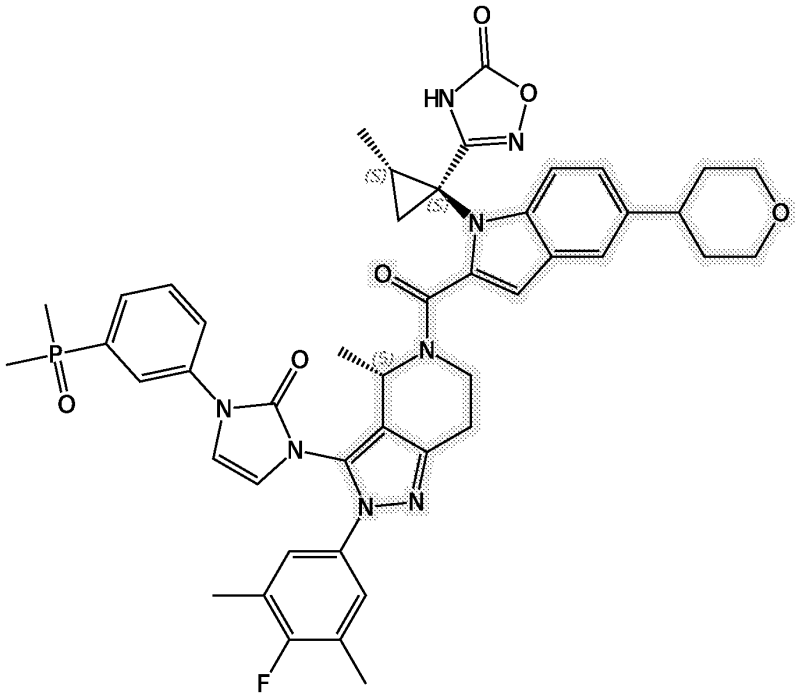
Absolute stereochemistry shown

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phenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(
tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



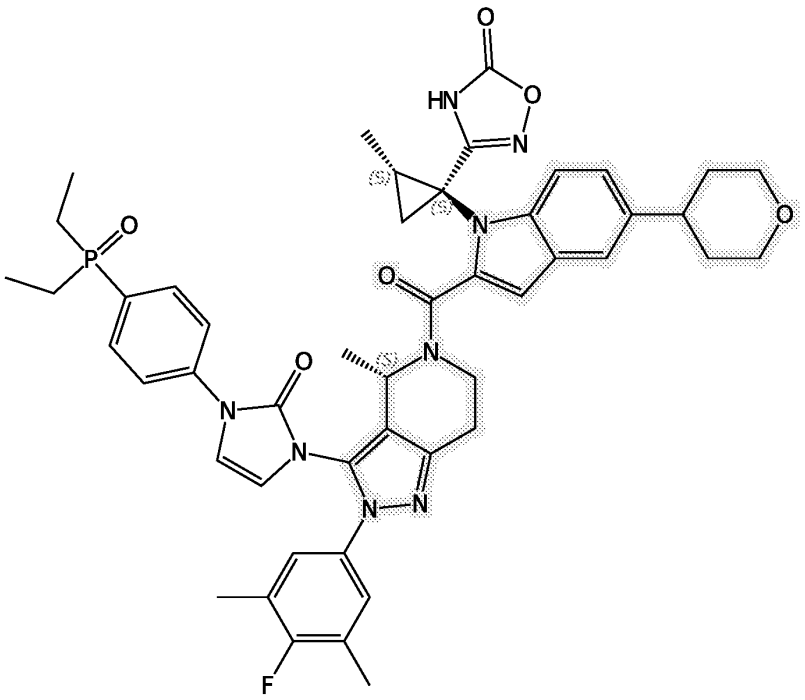
Absolute stereochemistry shown

RN 2685823-36-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



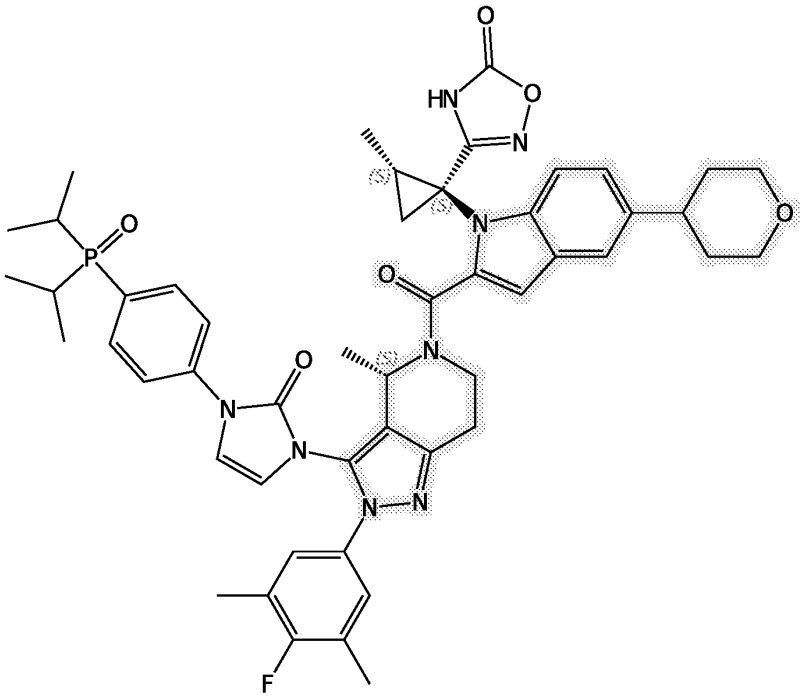
Absolute stereochemistry shown

RN 2685823-37-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



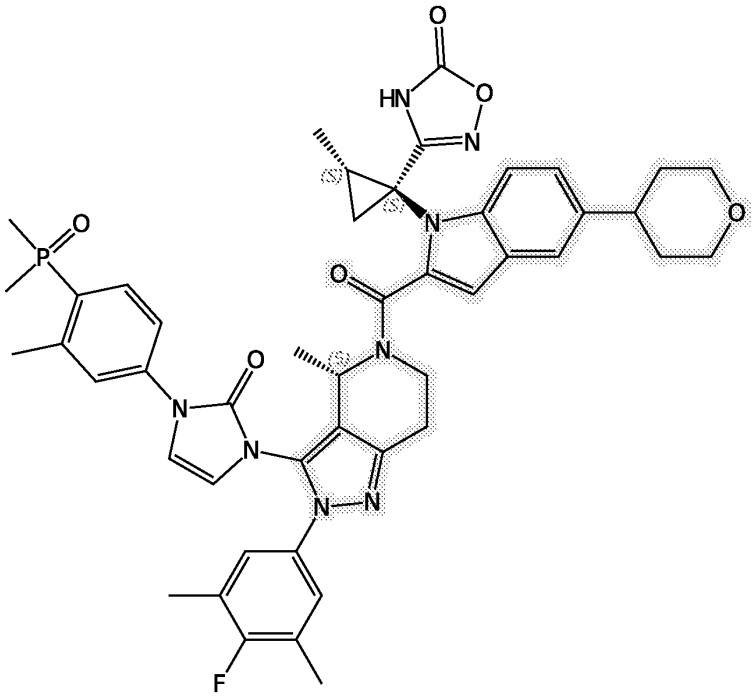
Absolute stereochemistry shown

RN 2685823-38-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[bis(1-methylethyl)phosphinyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



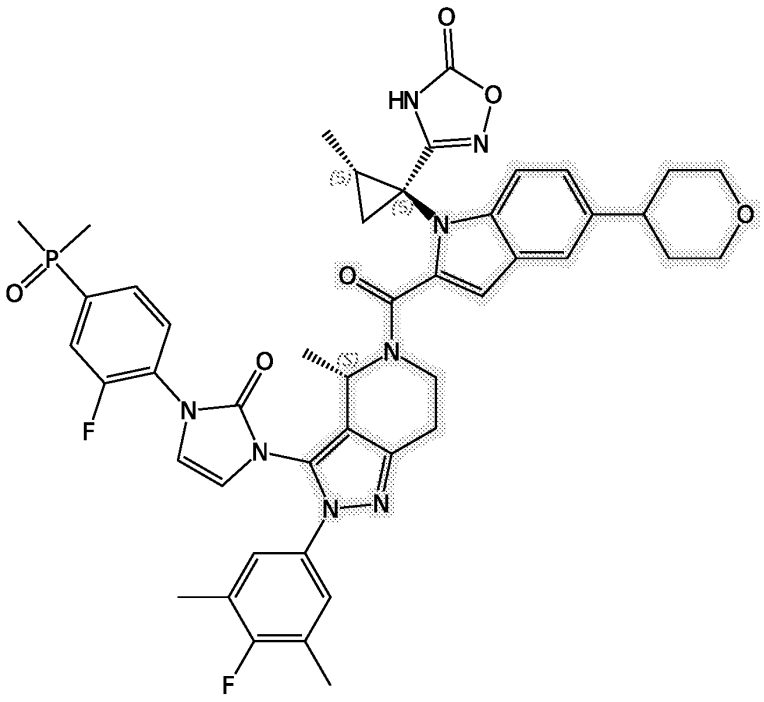
Absolute stereochemistry shown

RN 2685823-39-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphin
yl)-3-methylphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethyl
phenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(
tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



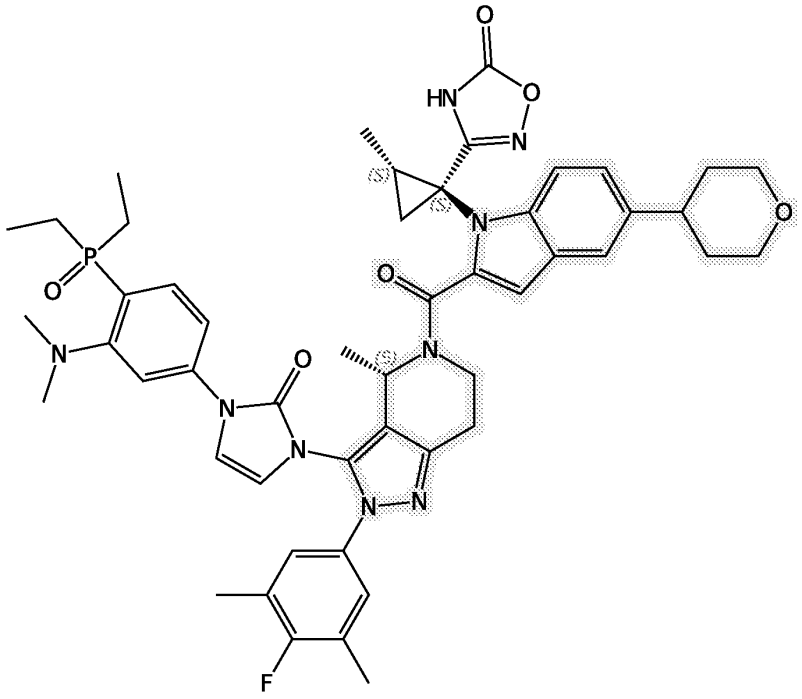
Absolute stereochemistry shown

RN 2685823-40-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphin
yl)-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethyl
phenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(
tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

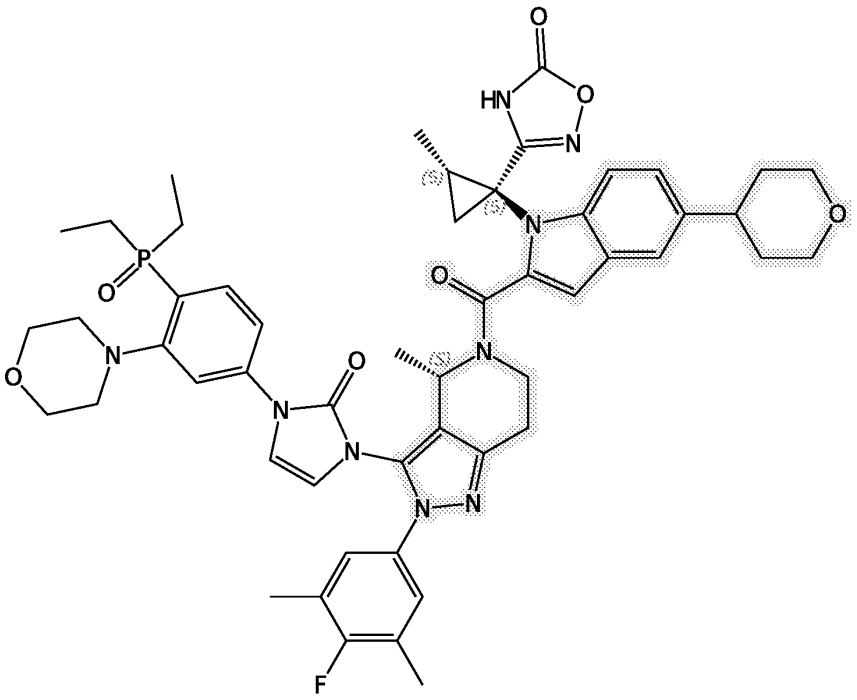
RN 2685823-42-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)



• HCl

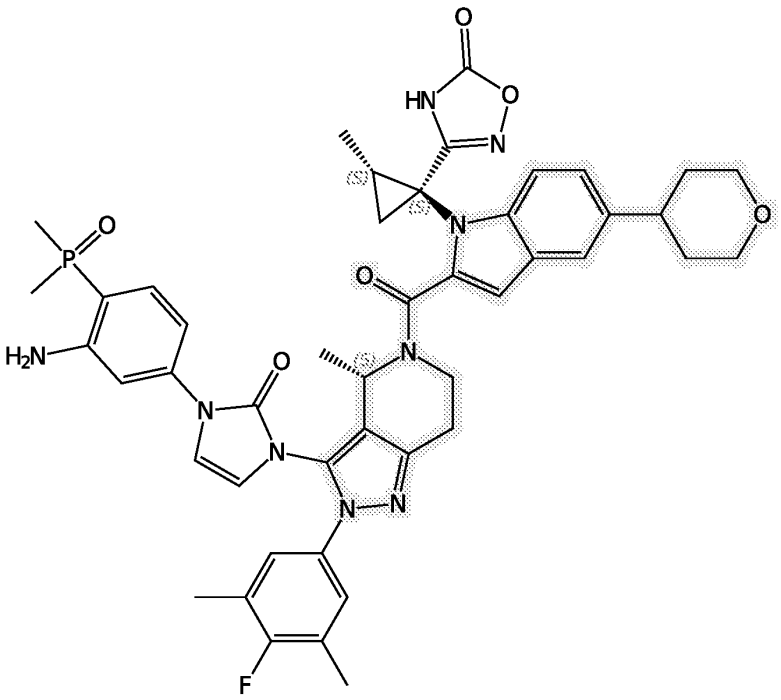
Absolute stereochemistry shown

RN 2685823-43-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



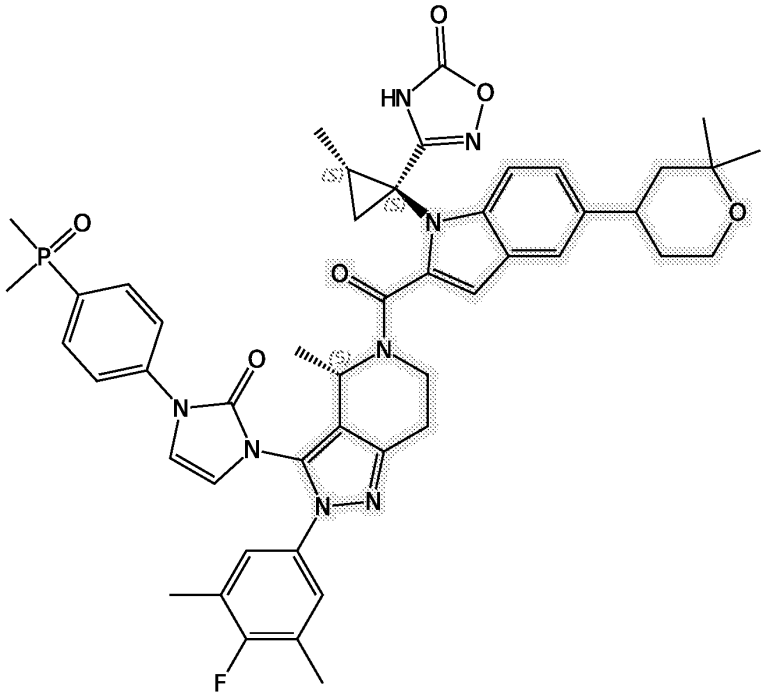
Absolute stereochemistry shown

RN 2685823-44-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-amino-4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



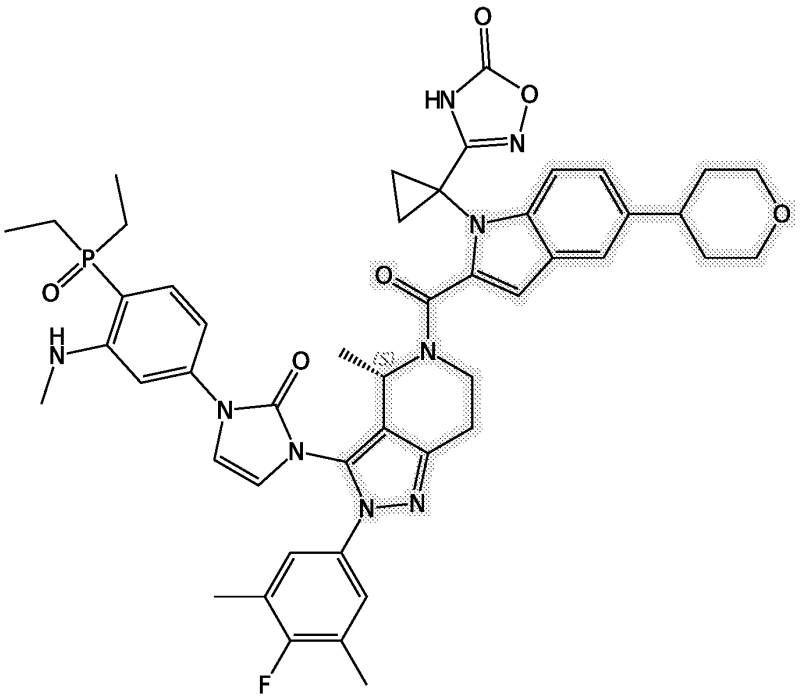
Absolute stereochemistry shown

RN 2685823-45-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



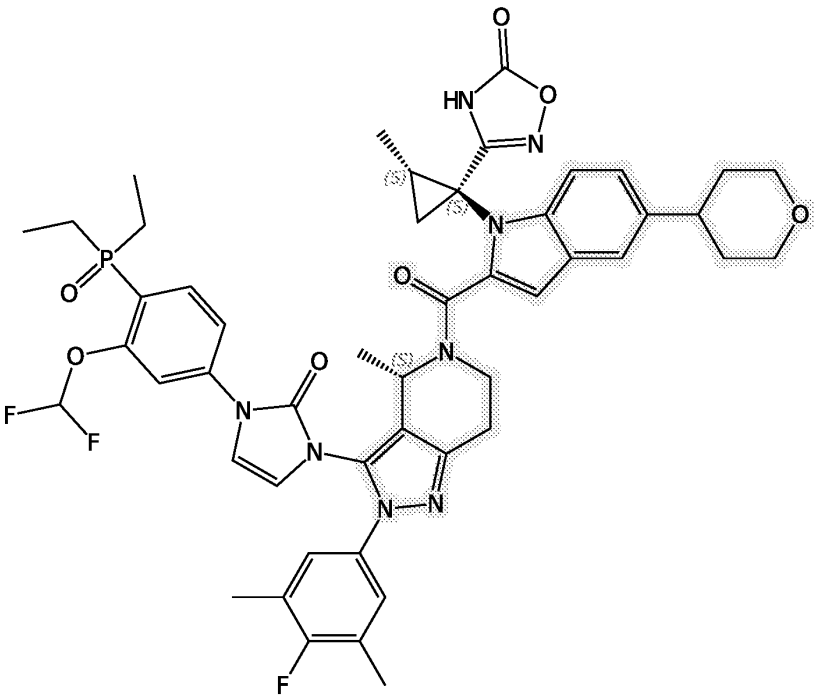
Absolute stereochemistry shown

RN 2685823-46-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



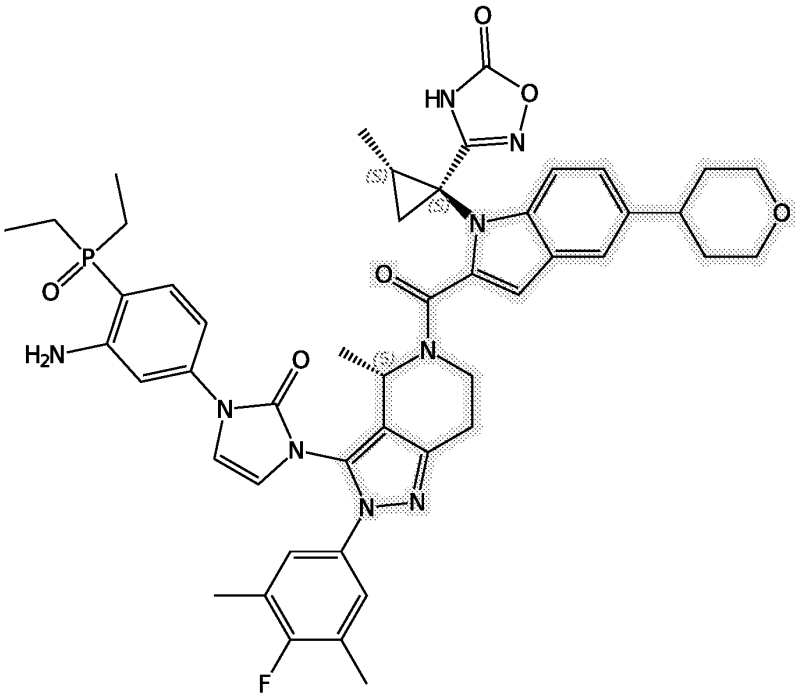
Absolute stereochemistry shown

RN 2685823-47-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(difluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



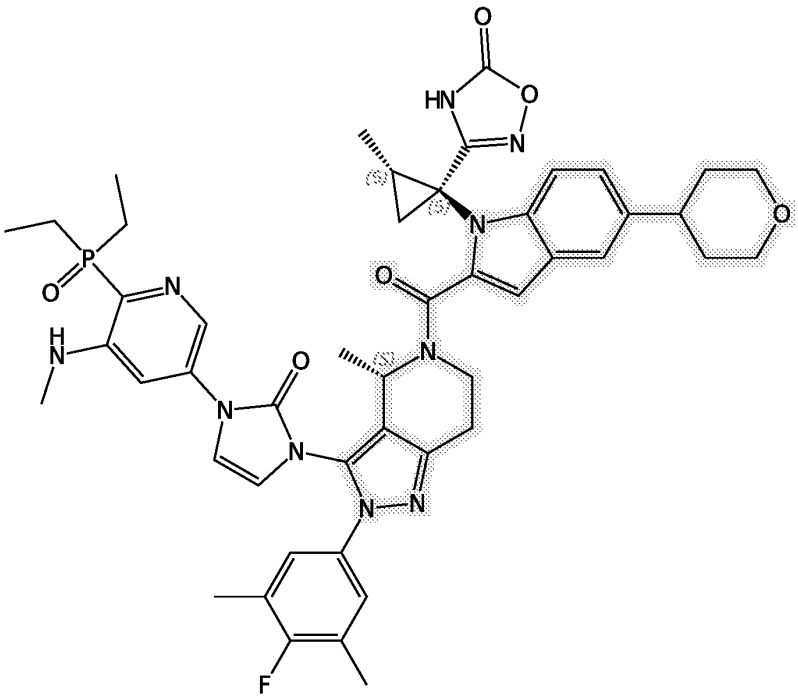
Absolute stereochemistry shown

RN 2685823-48-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-amino-4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



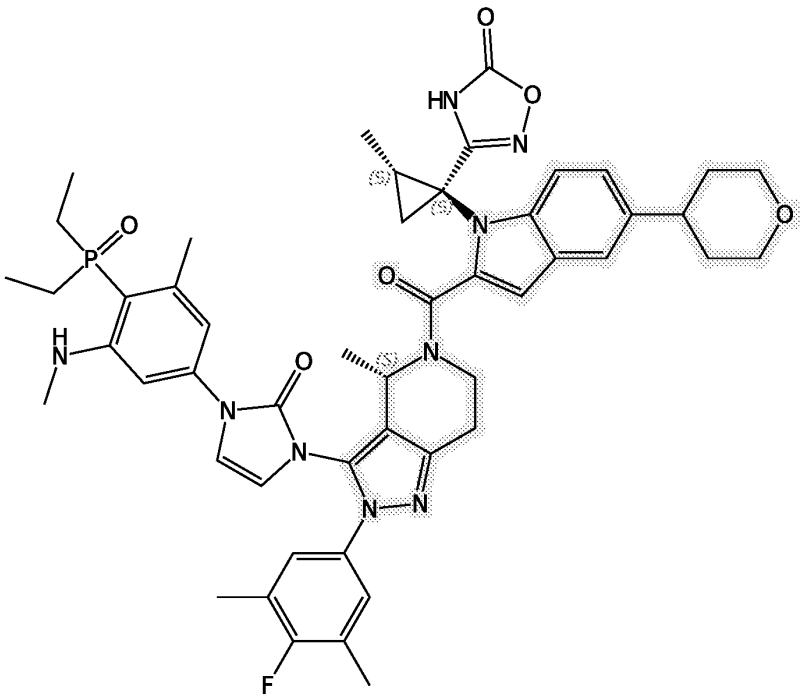
Absolute stereochemistry shown

RN 2685823-49-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[6-(diethylphosphinyl)-5-(methylamino)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



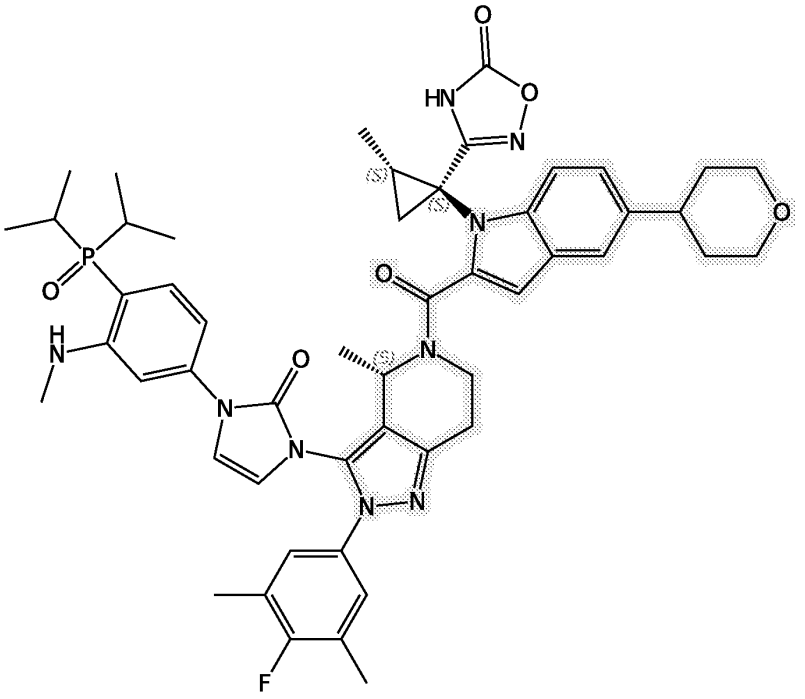
Absolute stereochemistry shown

RN 2685823-50-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-methyl-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



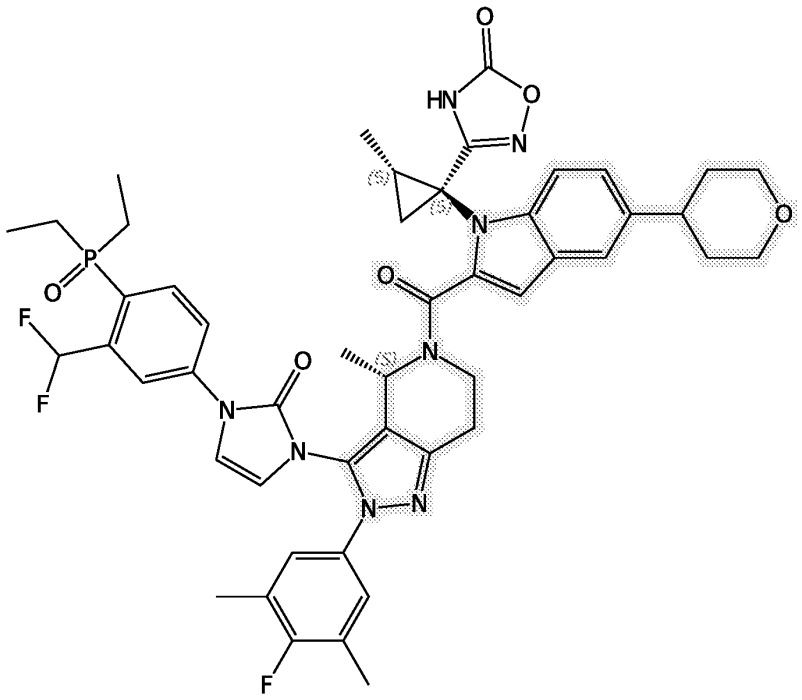
Absolute stereochemistry shown

RN 2685823-51-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[bis(1-methylethyl)phosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-
(CA INDEX NAME)



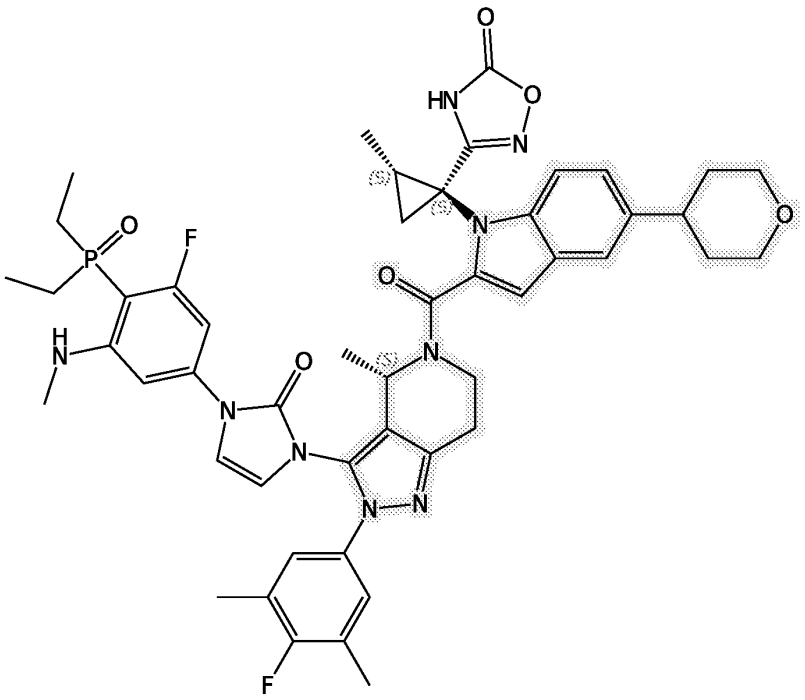
Absolute stereochemistry shown

RN 2685823-52-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(difluoromethyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



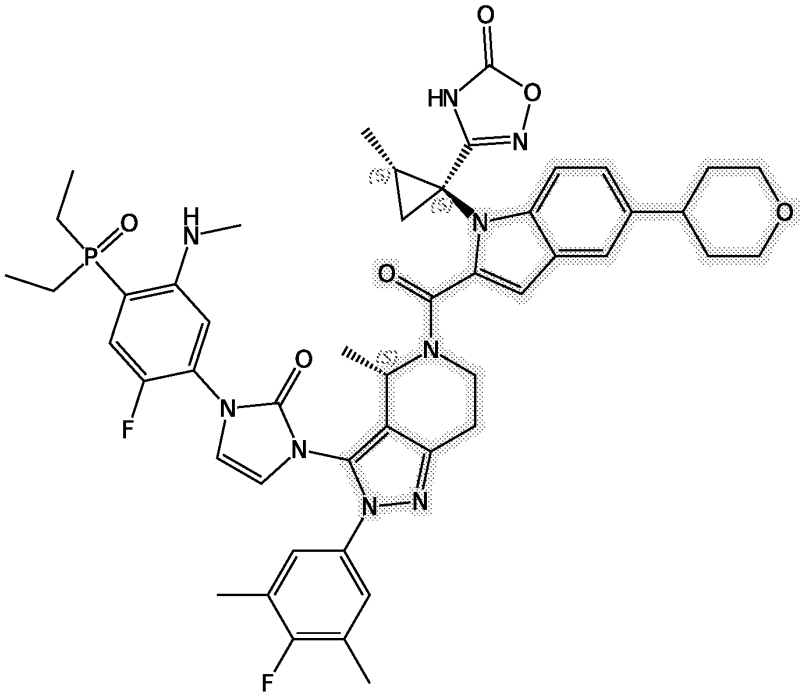
Absolute stereochemistry shown

RN 2685823-53-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



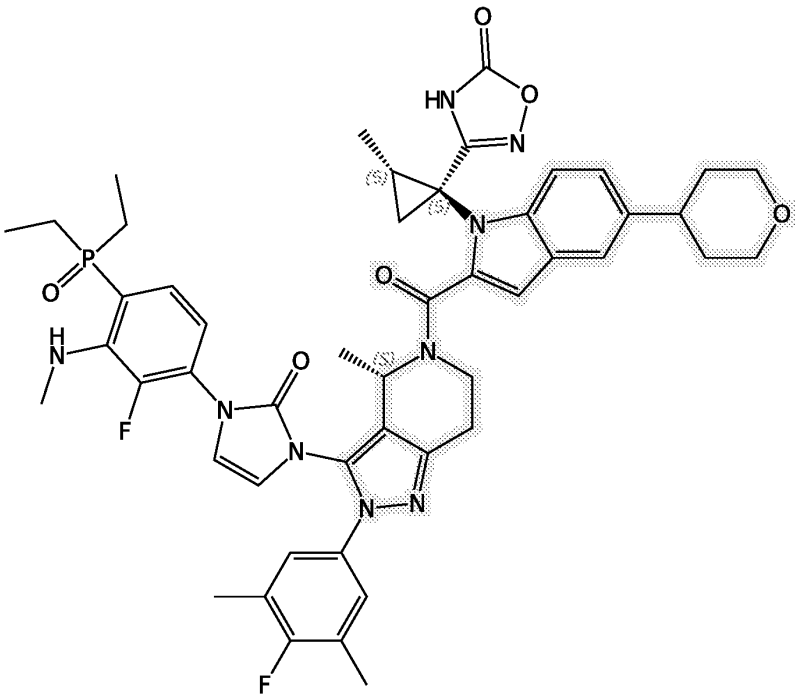
Absolute stereochemistry shown

RN 2685823-54-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-2-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



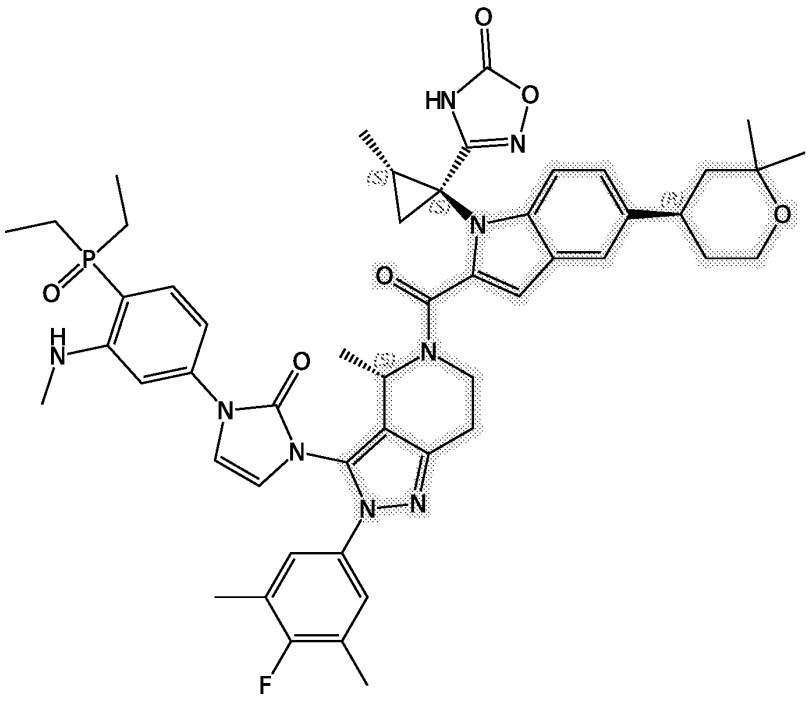
Absolute stereochemistry shown

RN 2685823-55-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-2-fluoro-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



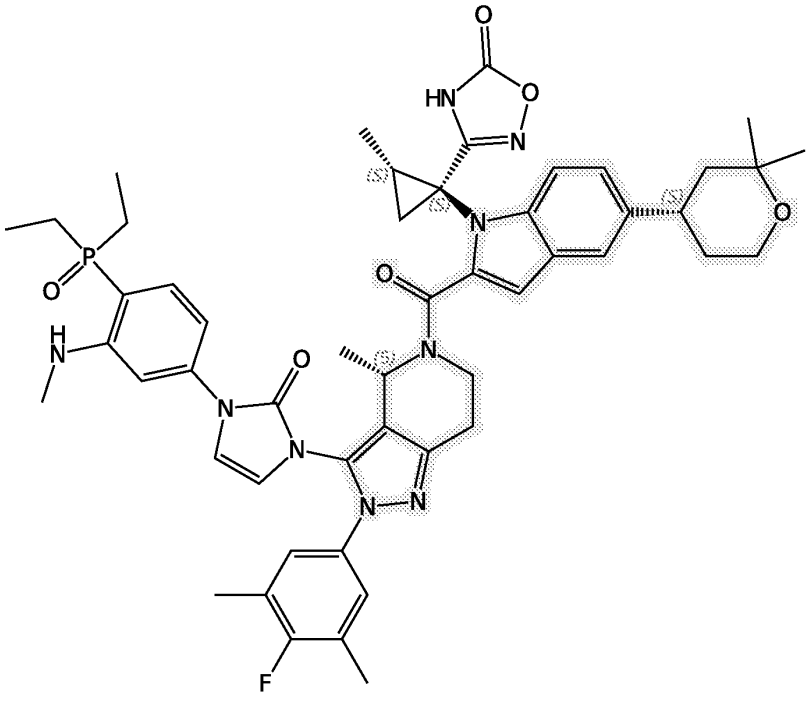
Absolute stereochemistry shown

RN 2685823-56-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



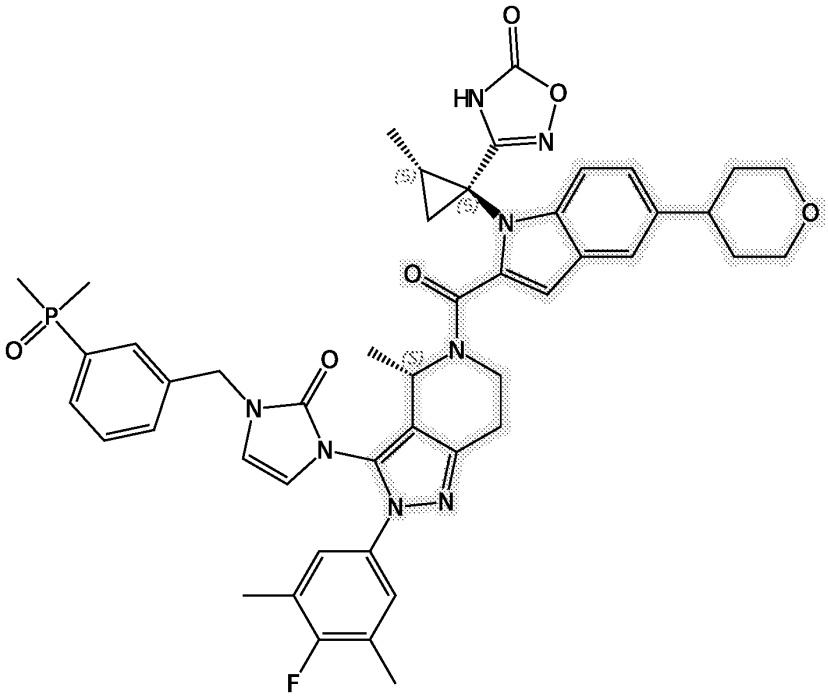
Absolute stereochemistry shown

RN 2685823-57-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



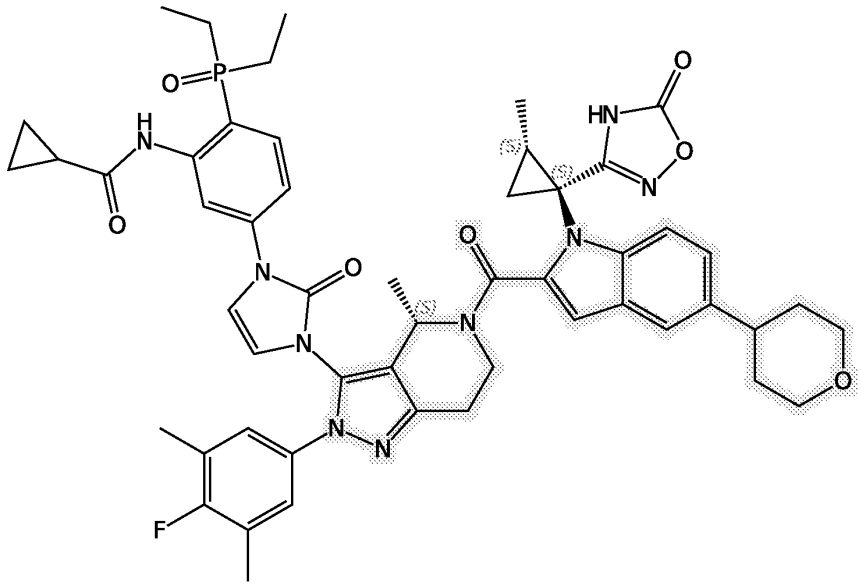
Absolute stereochemistry shown

RN 2685823-58-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[[3-(dimethylphosphinyl)phenyl]methyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



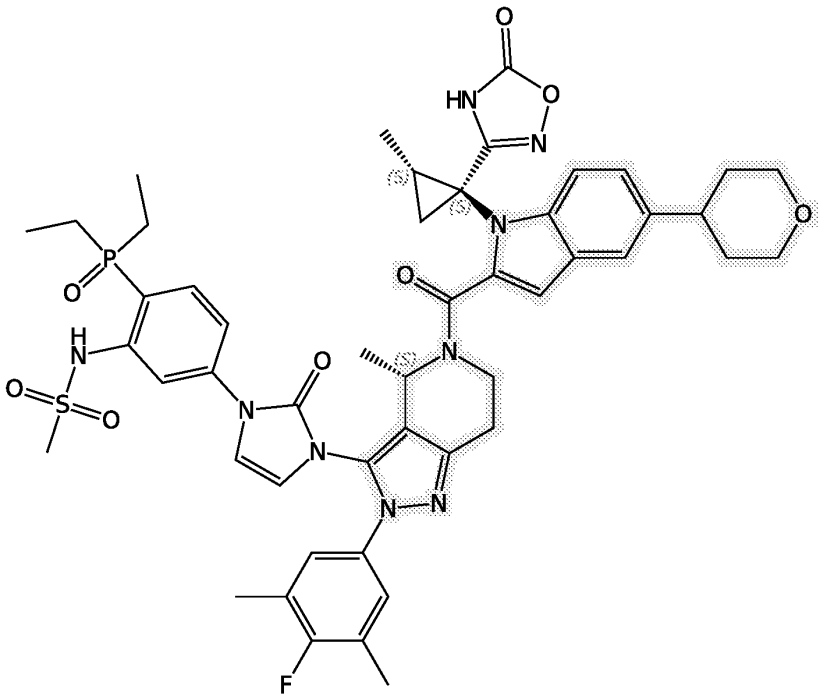
Absolute stereochemistry shown

RN 2685823-59-8 CAPLUS
CN Cyclopropanecarboxamide, N-[2-(diethylphosphinyl)-5-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



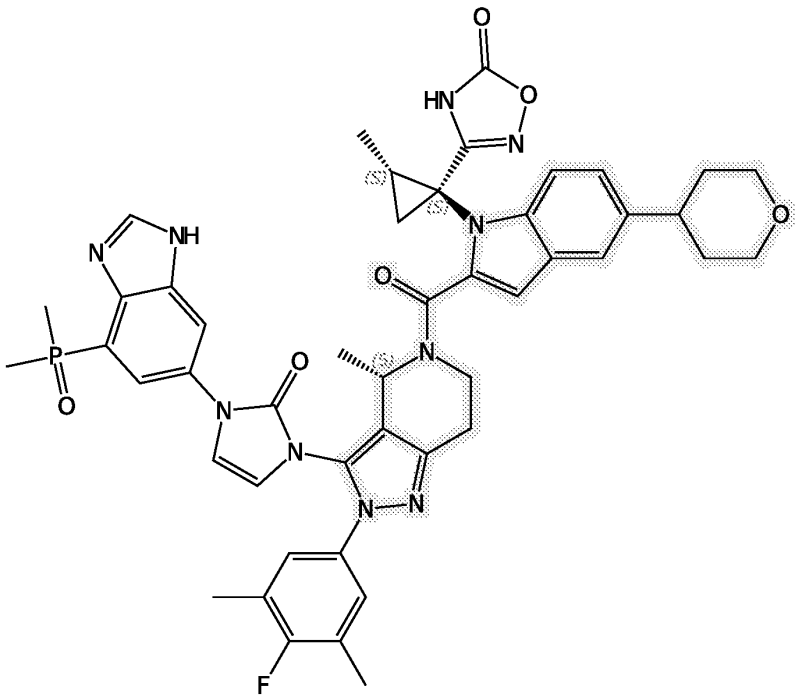
Absolute stereochemistry shown

RN 2685823-60-1 CAPLUS
CN Methanesulfonamide, N-[2-(diethylphosphinyl)-5-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



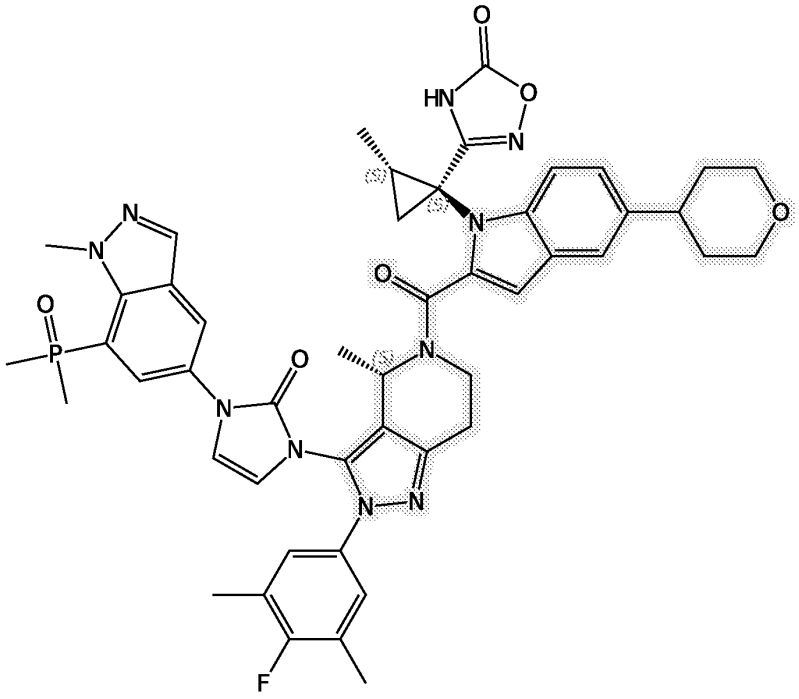
Absolute stereochemistry shown

RN 2685823-61-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[7-(dimethylphosphinyl)-1H-benzimidazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



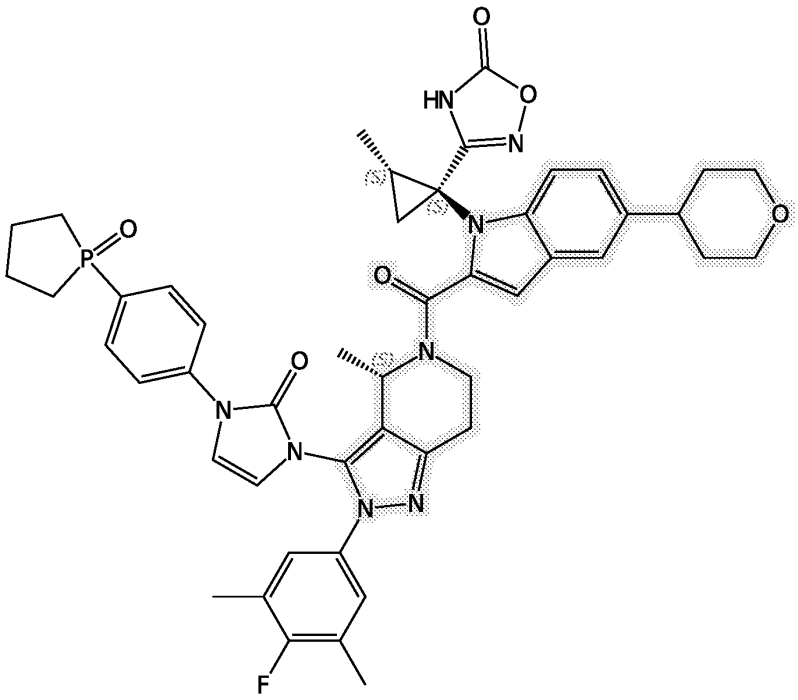
Absolute stereochemistry shown

RN 2685823-62-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[7-(dimethylphosphinyl)-1-methyl-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



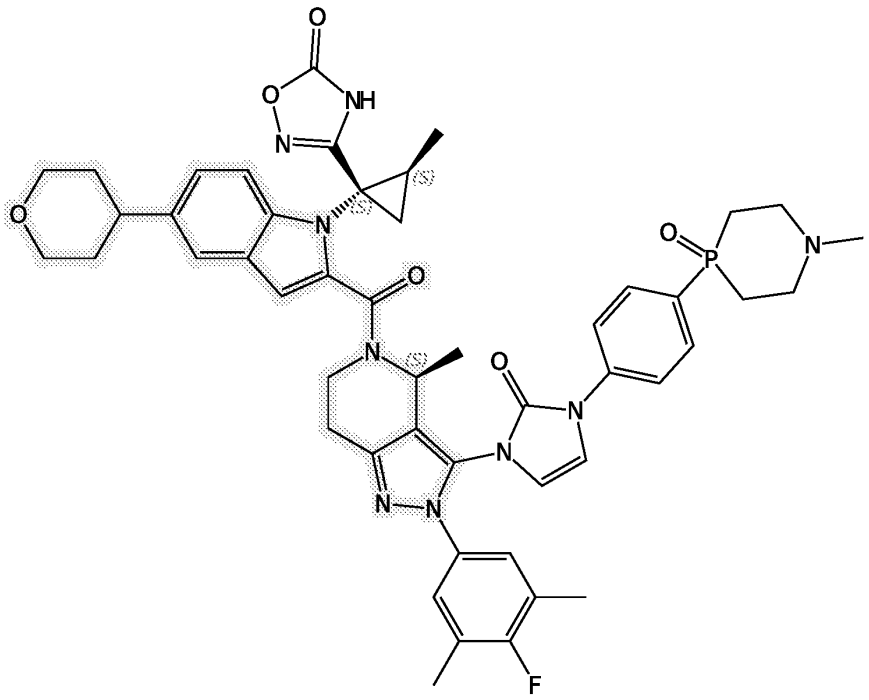
Absolute stereochemistry shown

RN 2685823-63-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[4-[(1-oxido-2-phospholanyl)(1-oxido-5-phospholanyl)phosphinyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

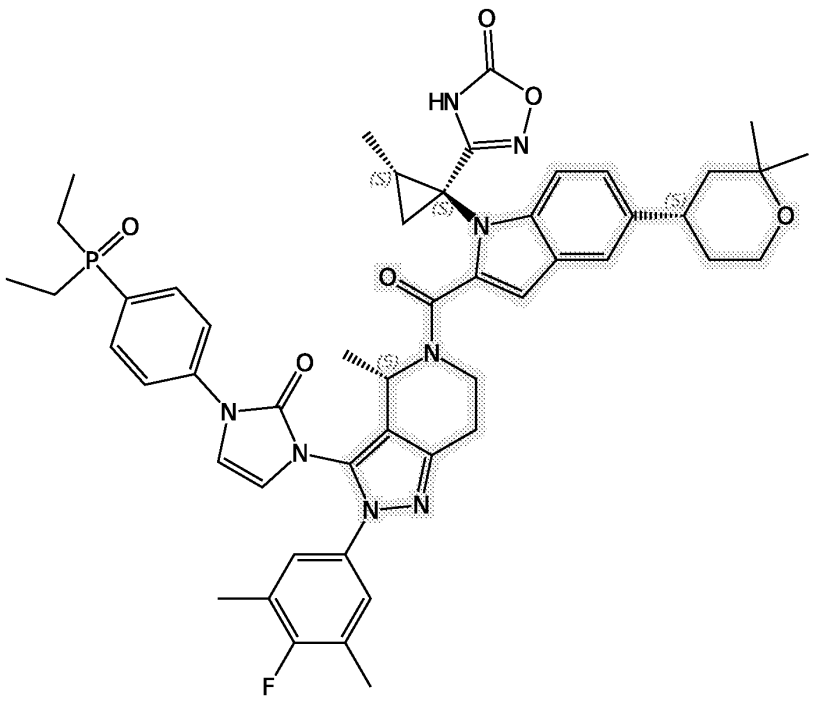
RN 2685823-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• HCl

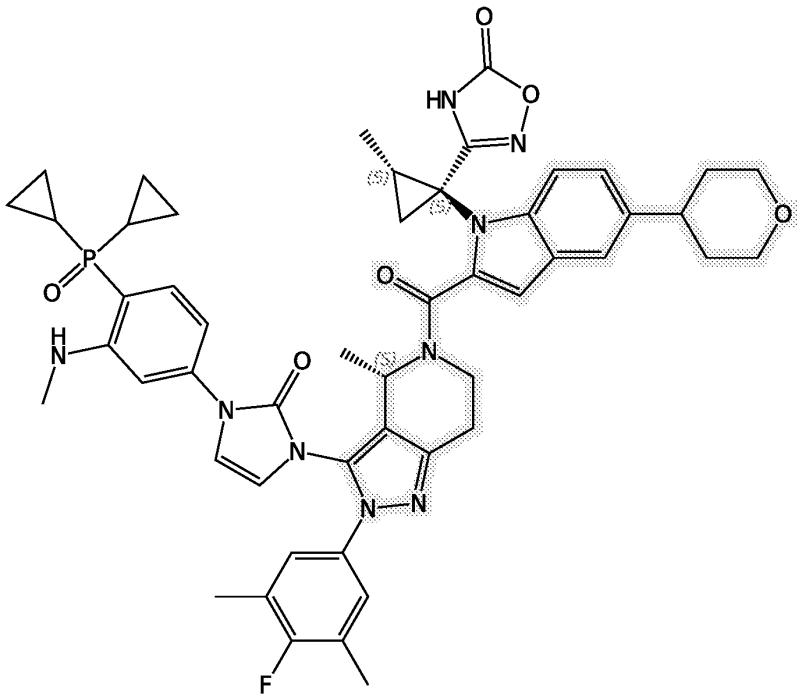
Absolute stereochemistry shown

RN 2685823-66-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



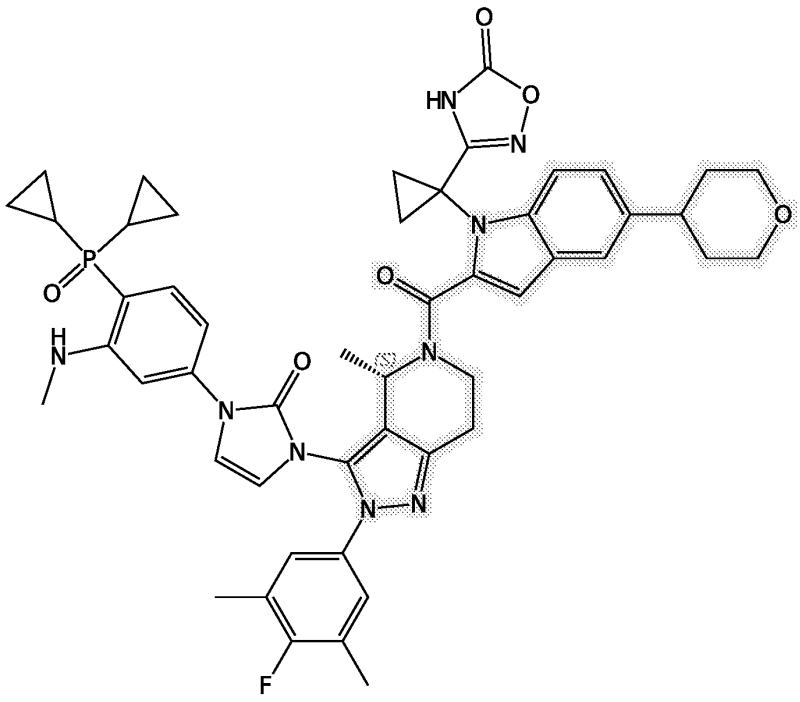
Absolute stereochemistry shown

RN 2685823-67-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



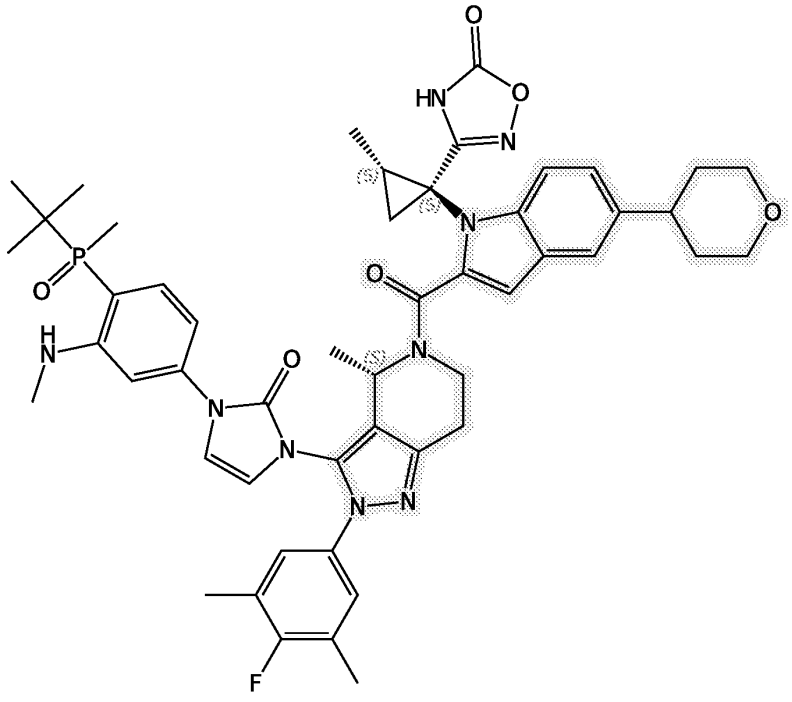
Absolute stereochemistry shown

RN 2685823-69-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



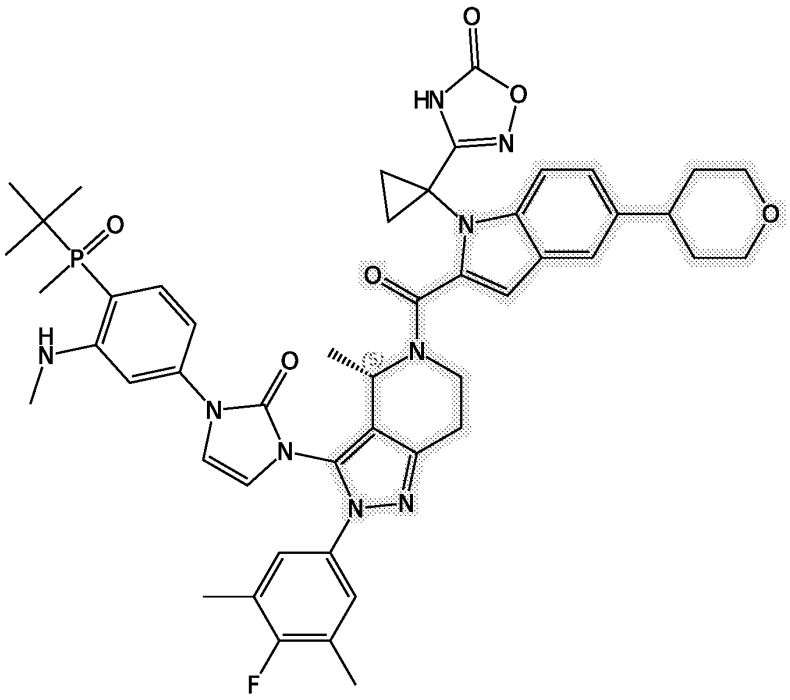
Absolute stereochemistry shown

RN 2685823-71-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[(1,1-dimethyleth
yl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-
2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]py
ridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopr
opyl]- (CA INDEX NAME)



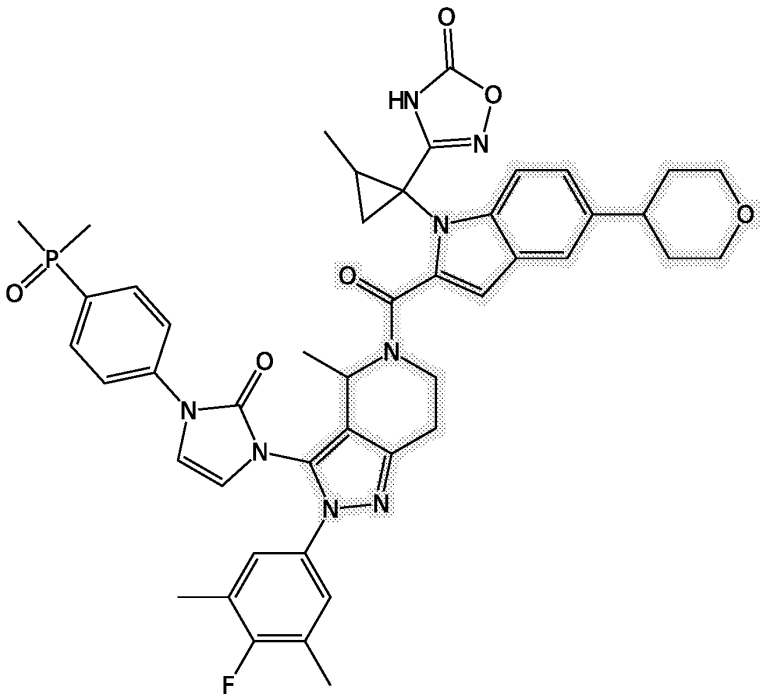
Absolute stereochemistry shown

RN 2685823-73-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)

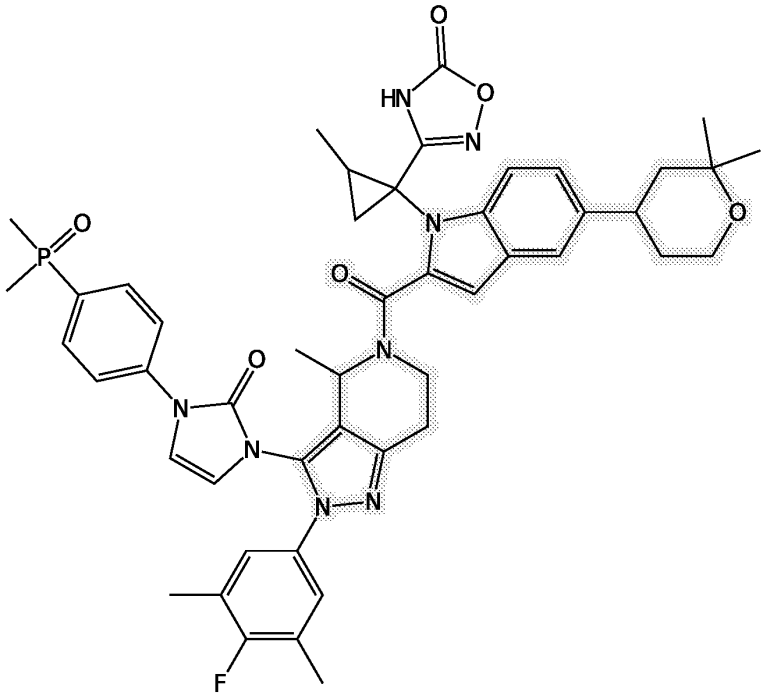


Absolute stereochemistry shown

RN 2685823-79-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

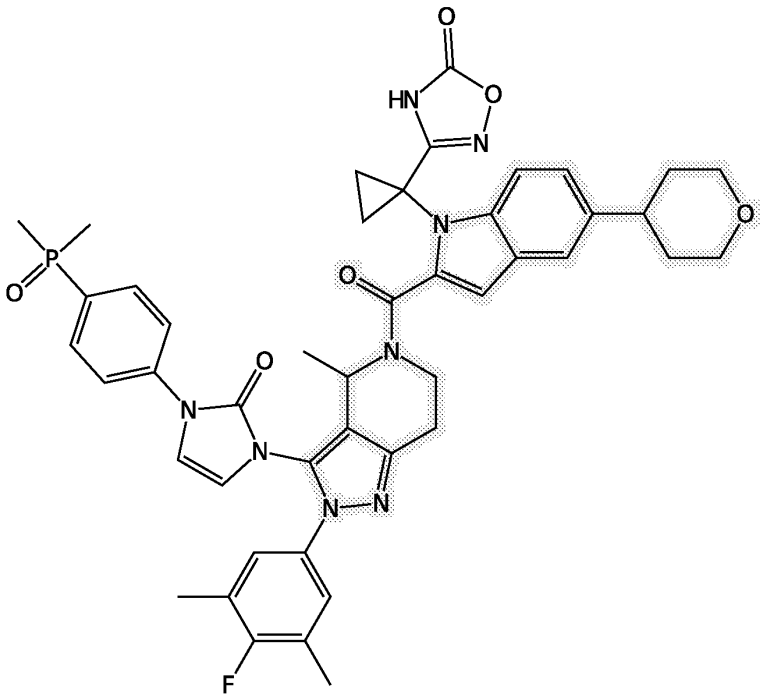


RN 2685823-81-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

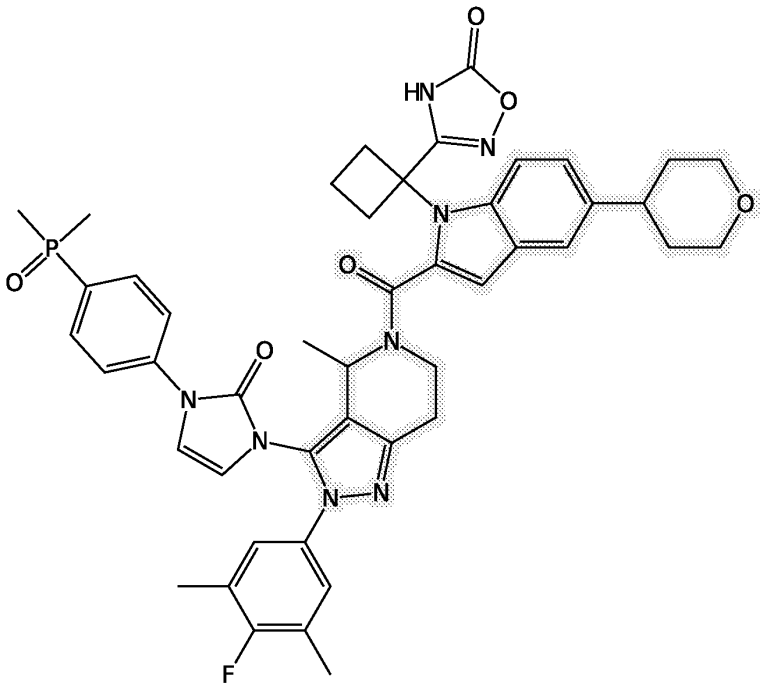


RN 2685823-83-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrah

ydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)

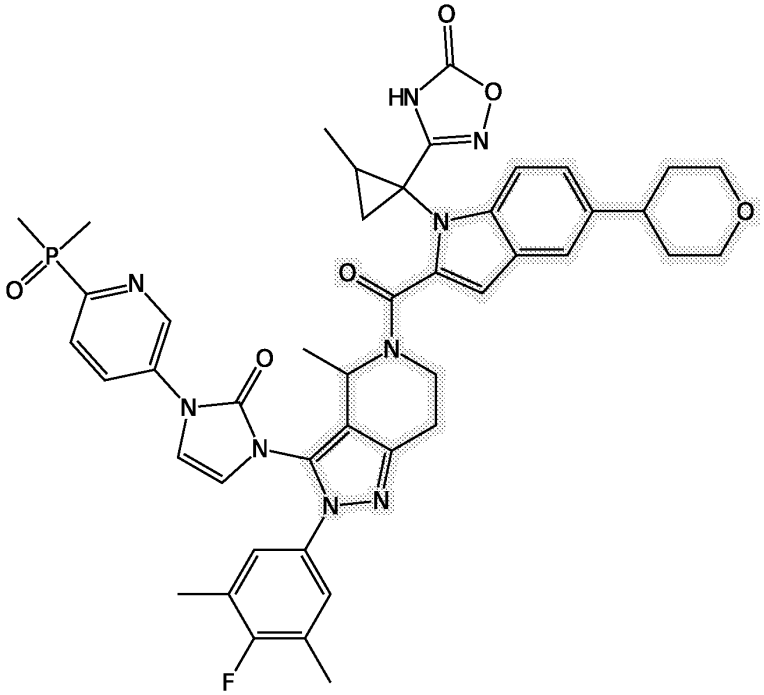


RN   2685823-85-0 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclobutyl]- (CA INDEX NAME)

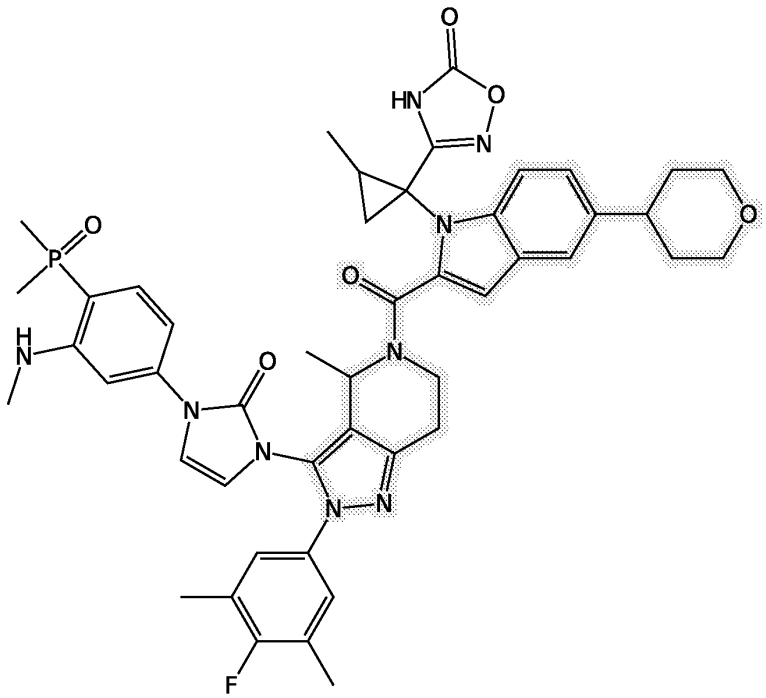


RN   2685823-87-2 CAPLUS

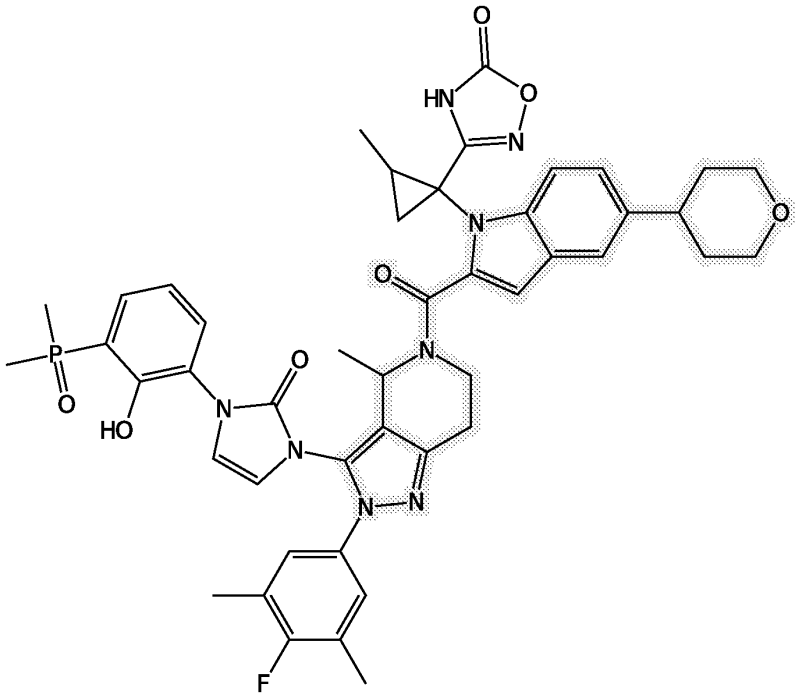
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



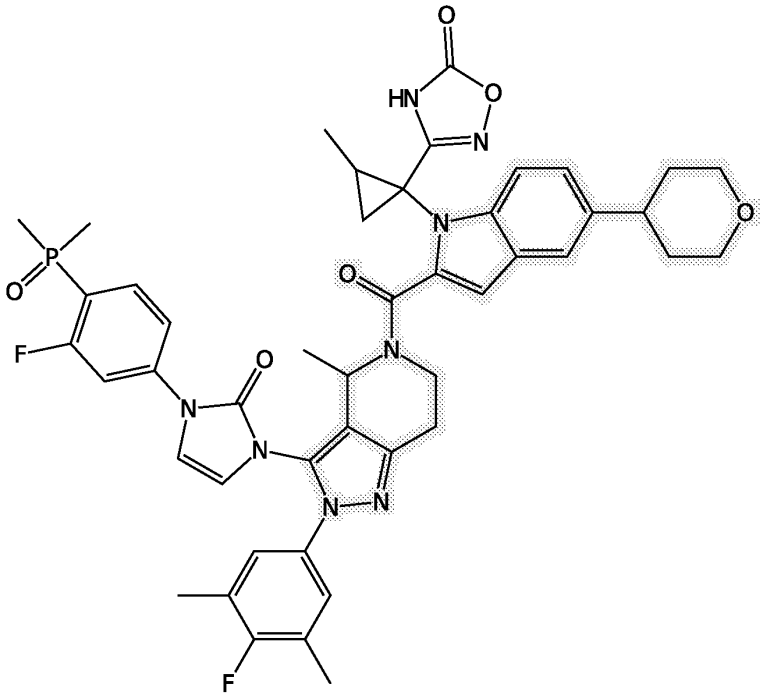
RN 2685823-89-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



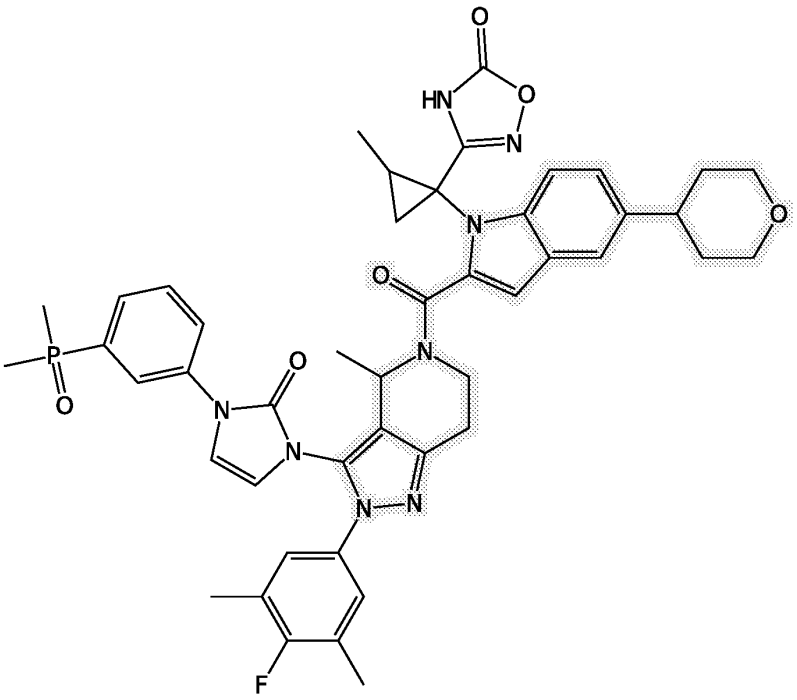
RN 2685823-91-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)-2-hydroxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



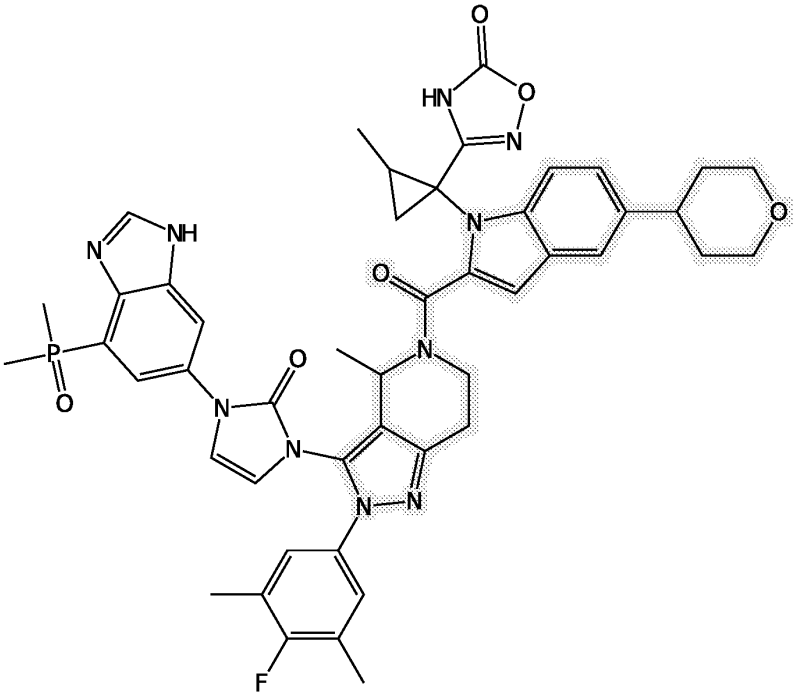
RN 2685823-93-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



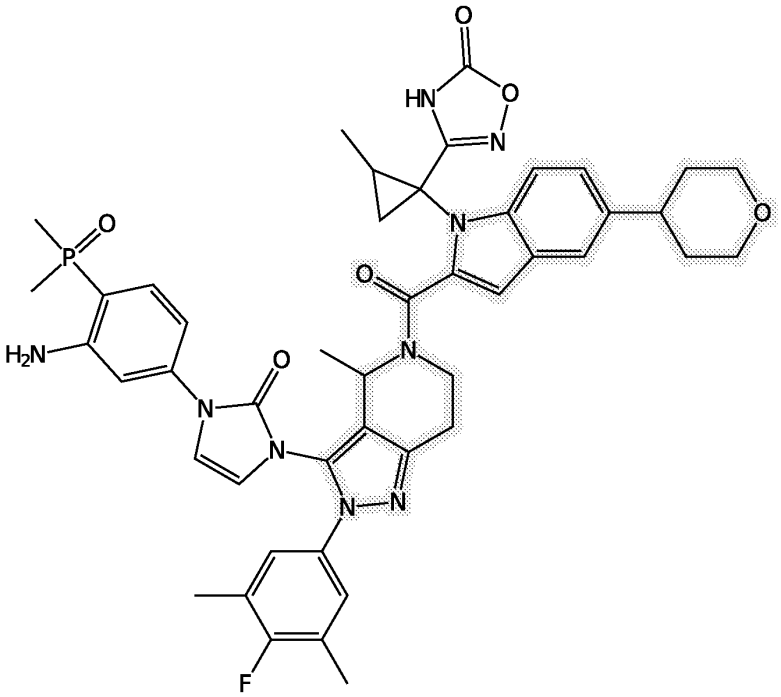
RN 2685823-95-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



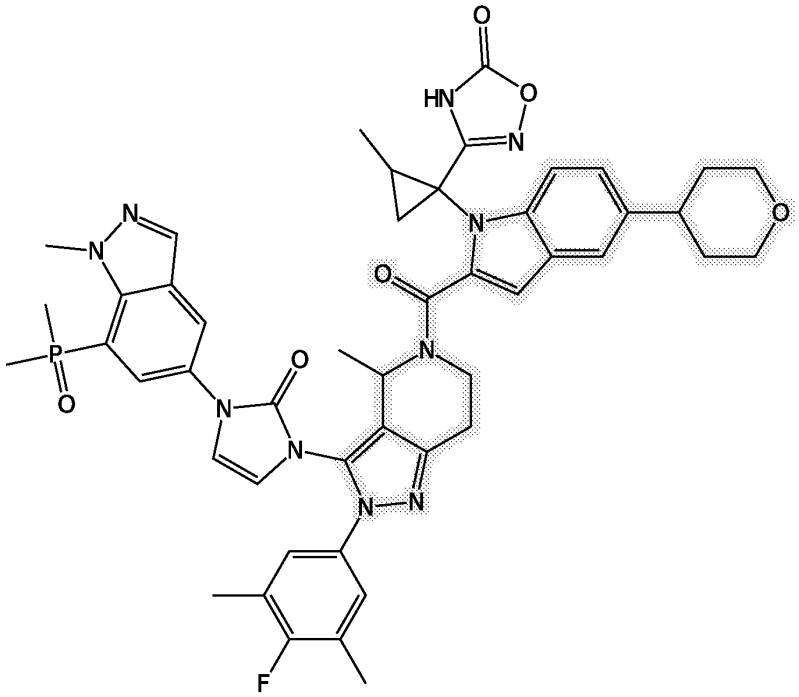
RN 2685823-97-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1H-benzimidazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



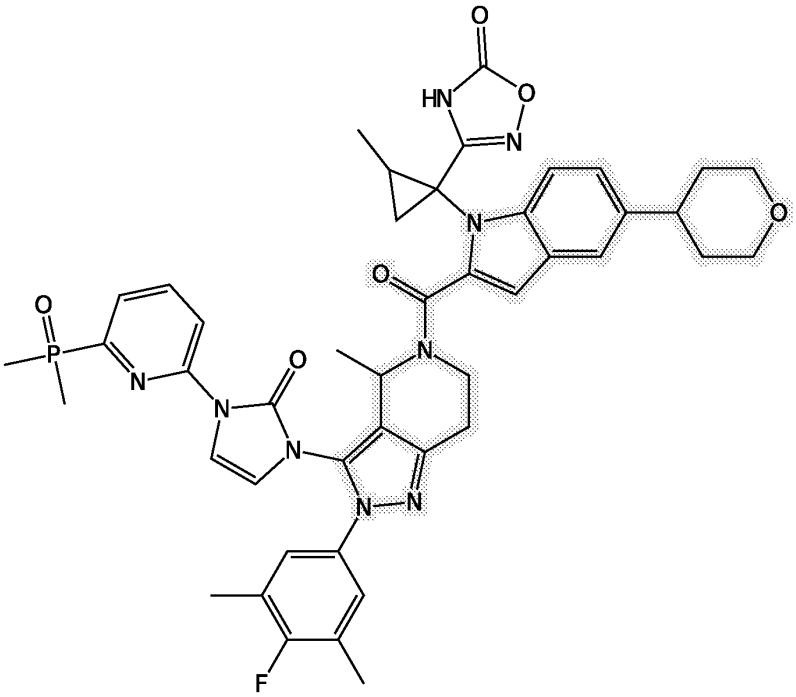
RN 2685823-99-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(dimethylphosphinyl)ph
enyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,
7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-
pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



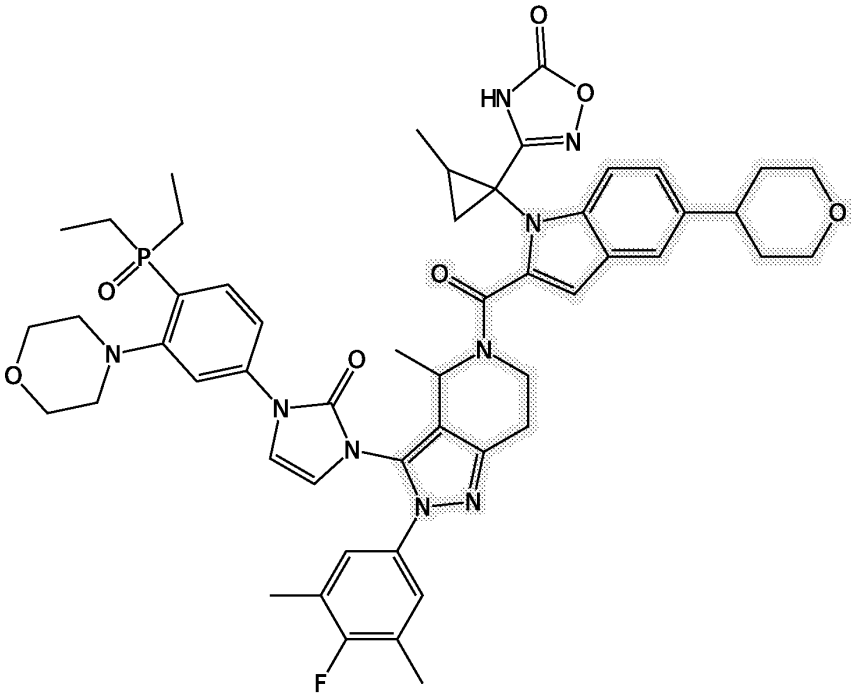
RN 2685824-01-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1-methyl-
1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphe
nyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tet
rahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



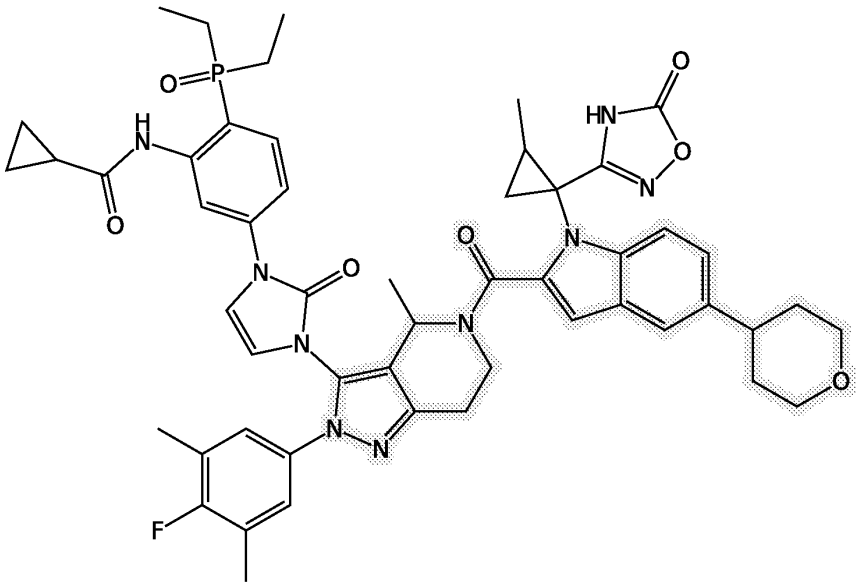
RN 2685824-03-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-2-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



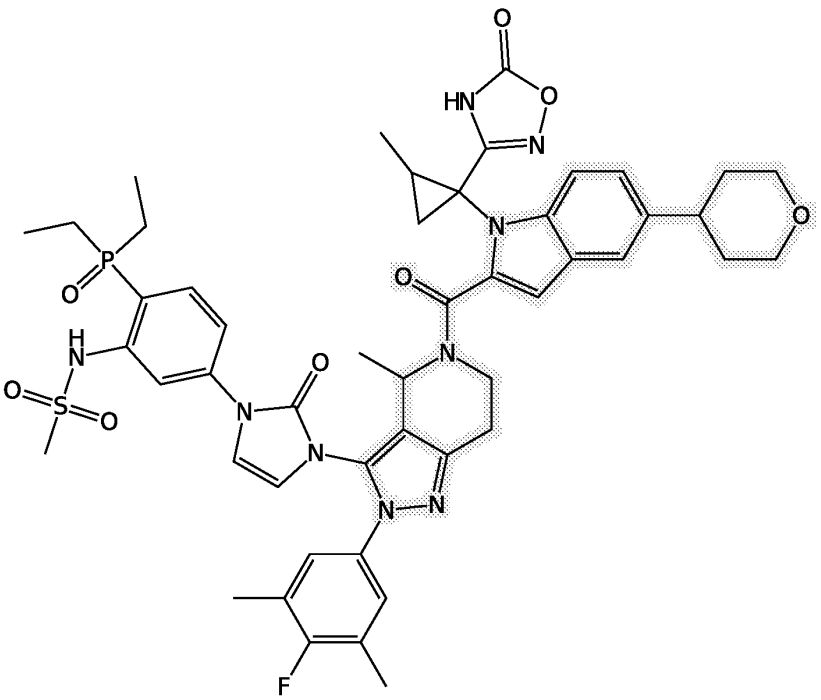
RN 2685824-05-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



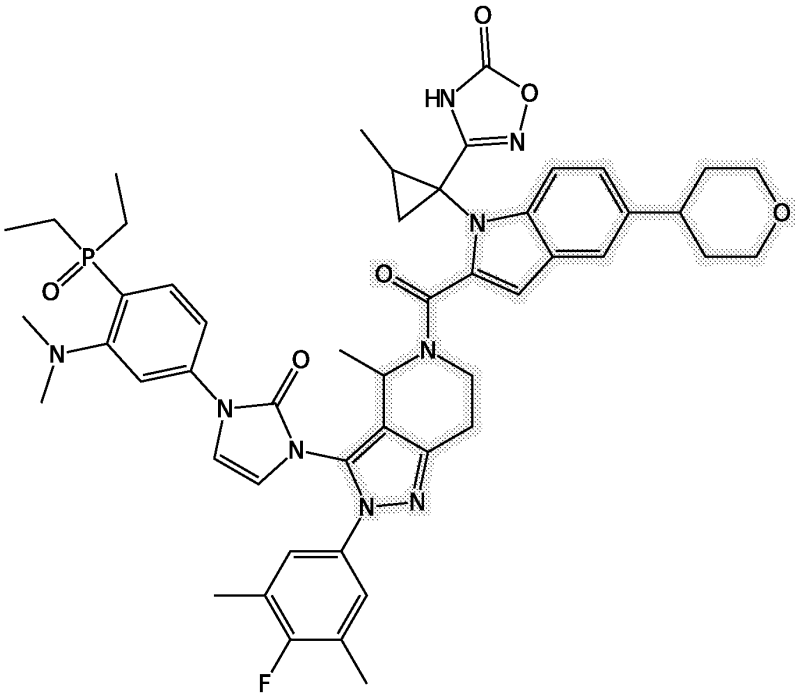
RN 2685824-07-9 CAPLUS
CN Cyclopropanecarboxamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



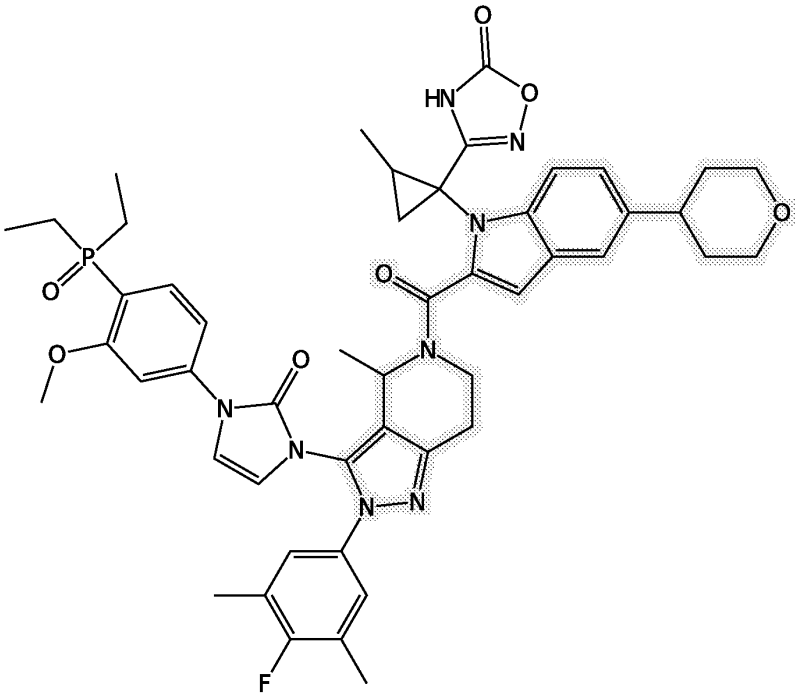
RN 2685824-09-1 CAPLUS
CN Methanesulfonamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



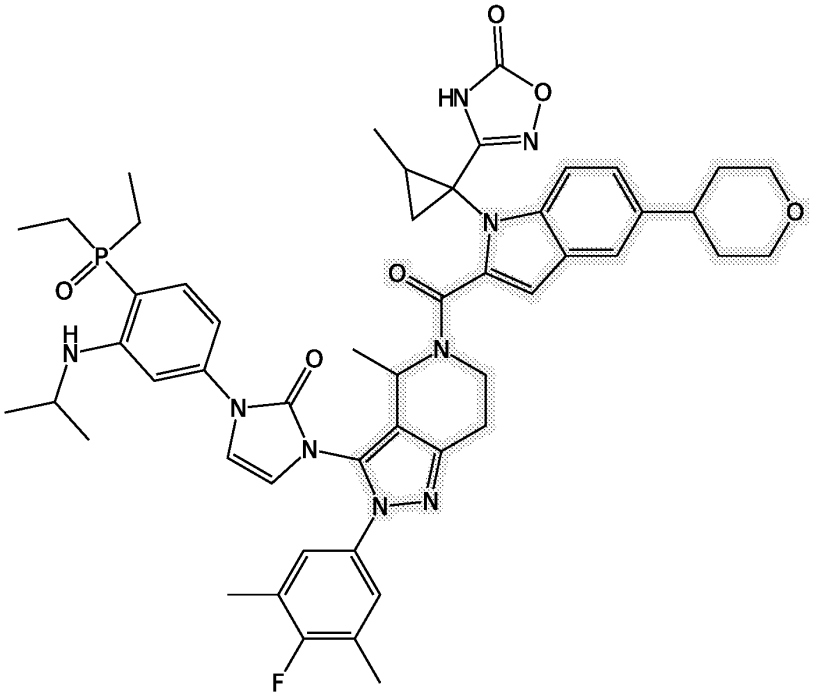
RN 2685824-11-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



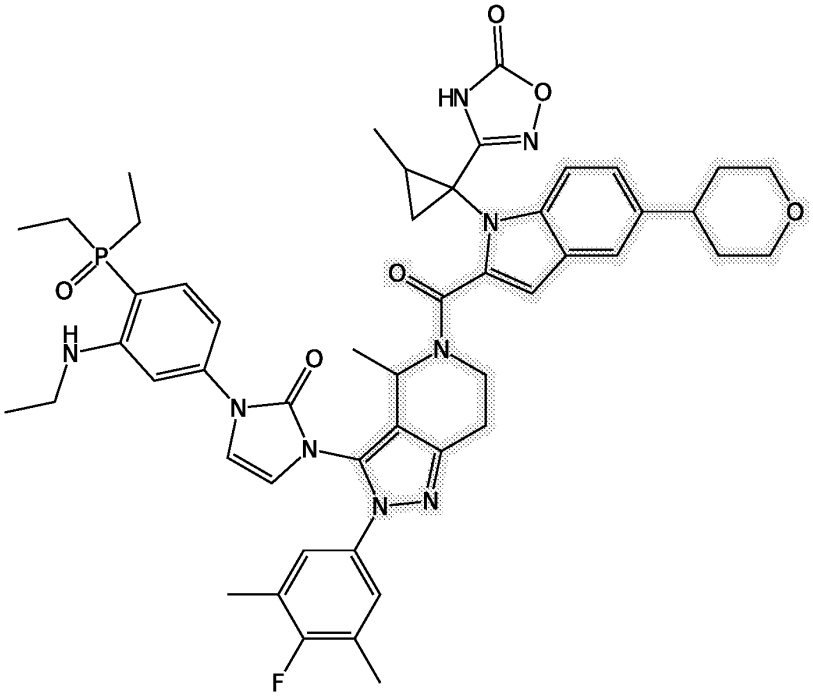
RN 2685824-13-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methoxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



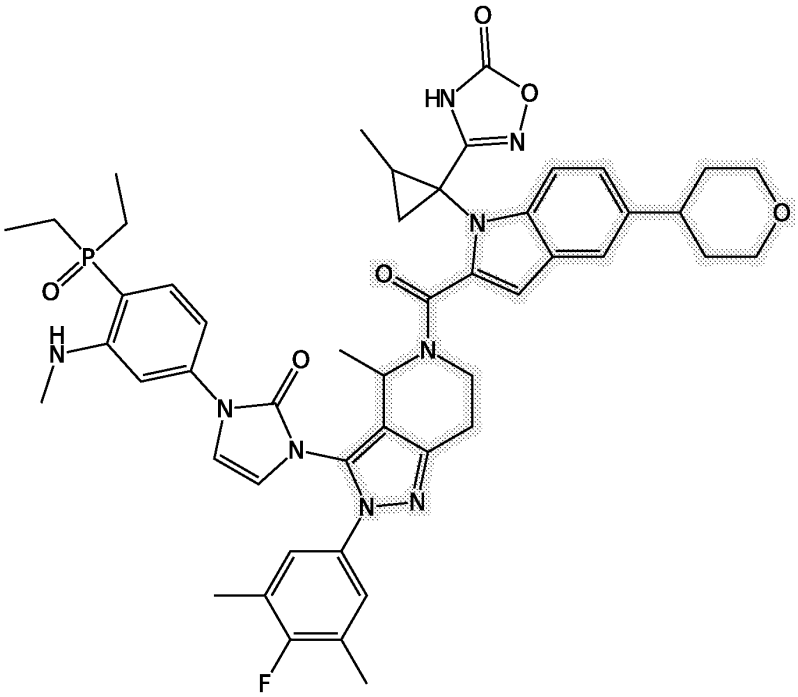
RN 2685824-15-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(1-meth
ylethyl)amino]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimeth
ylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5
-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX
NAME)



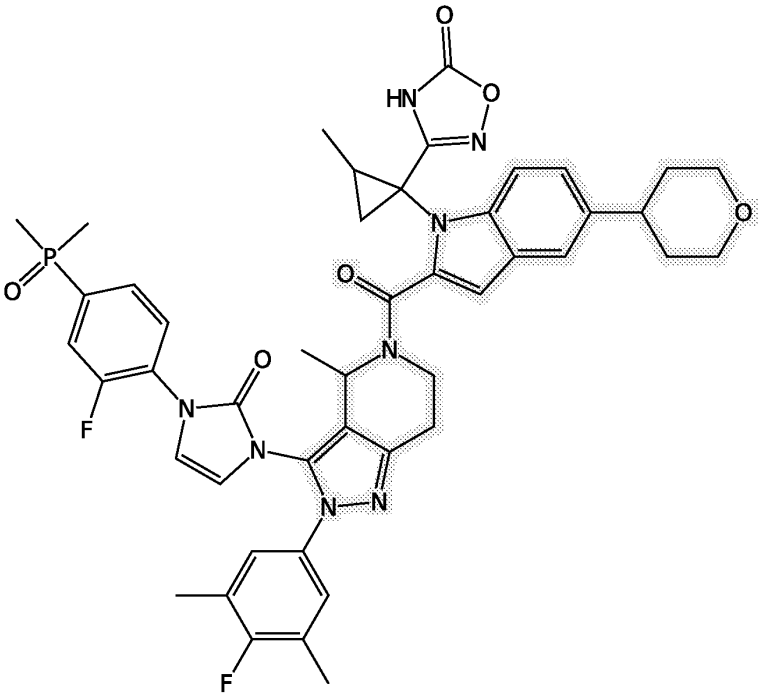
RN 2685824-17-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(ethylam
ino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-
2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahyd
ro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



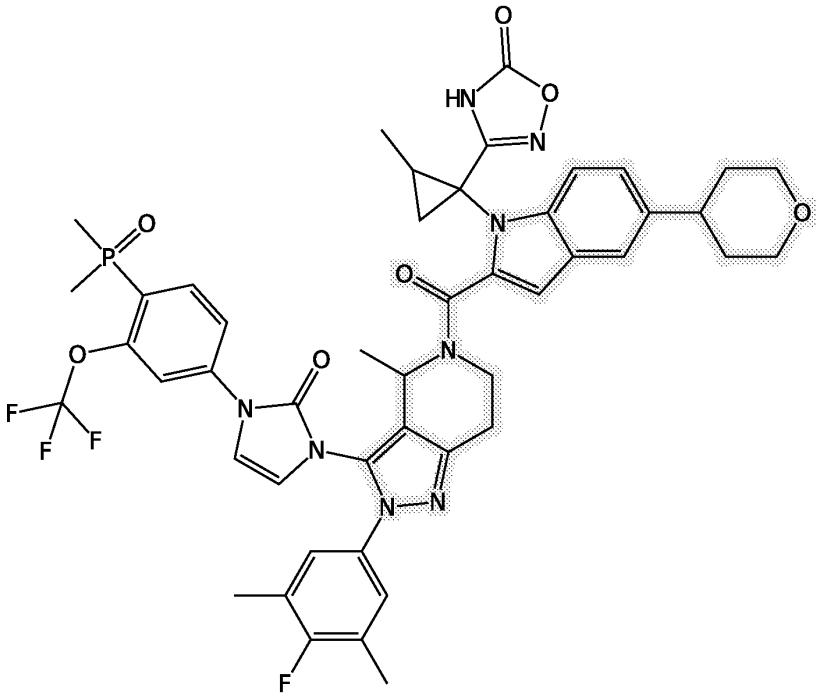
RN 2685824-19-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



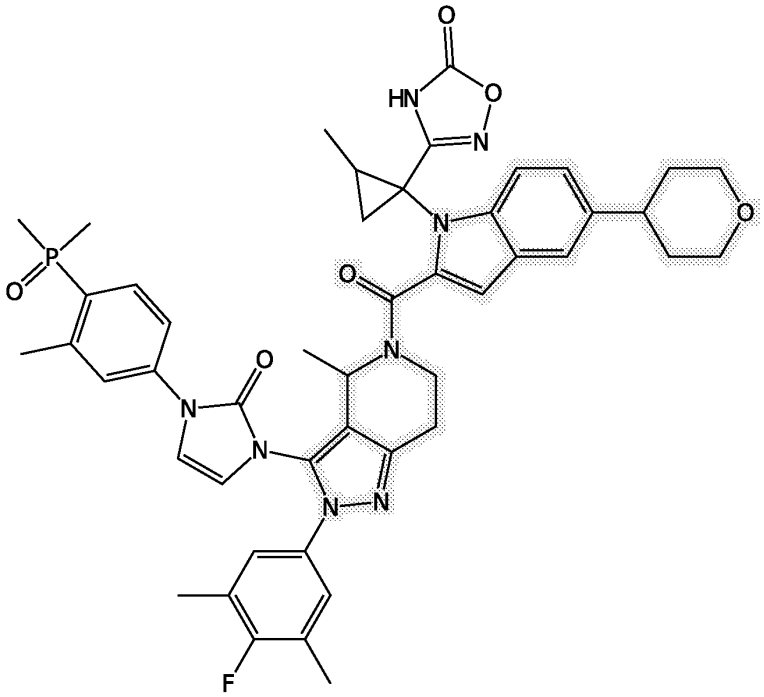
RN 2685824-21-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



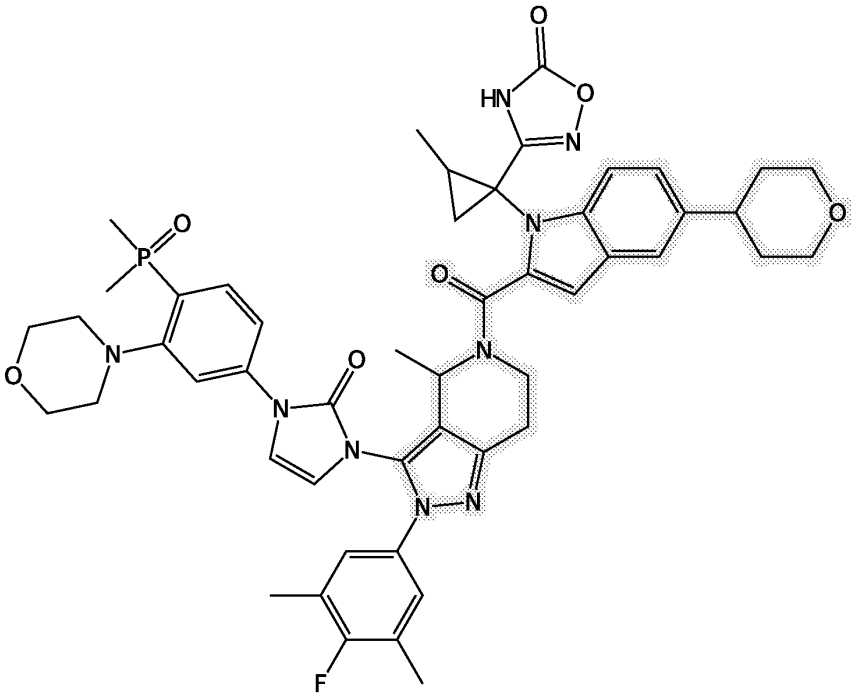
RN 2685824-23-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(triflu
oromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylp
henyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(t
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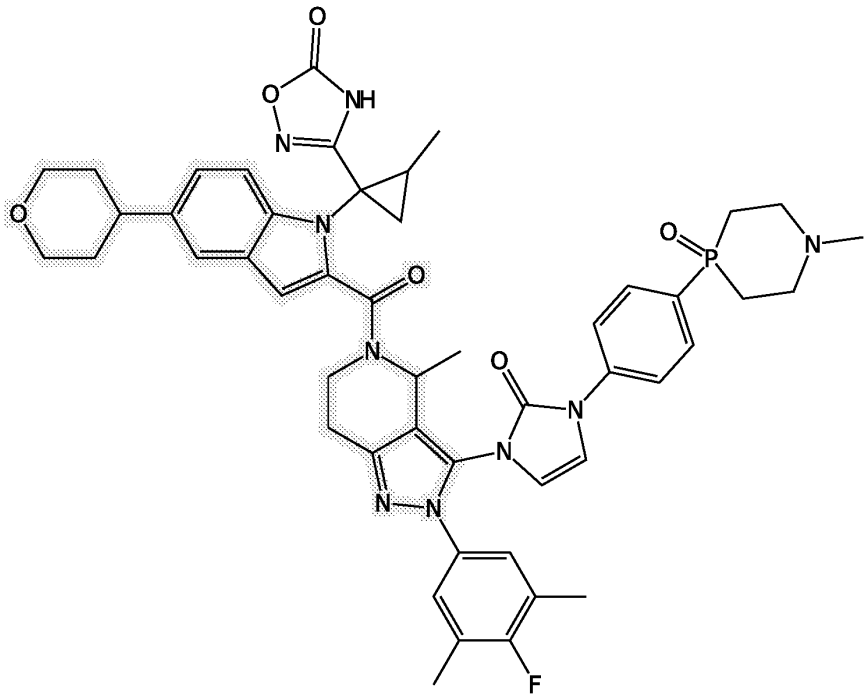
RN 2685824-25-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-methylp
henyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6
,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H
-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



RN 2685824-27-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

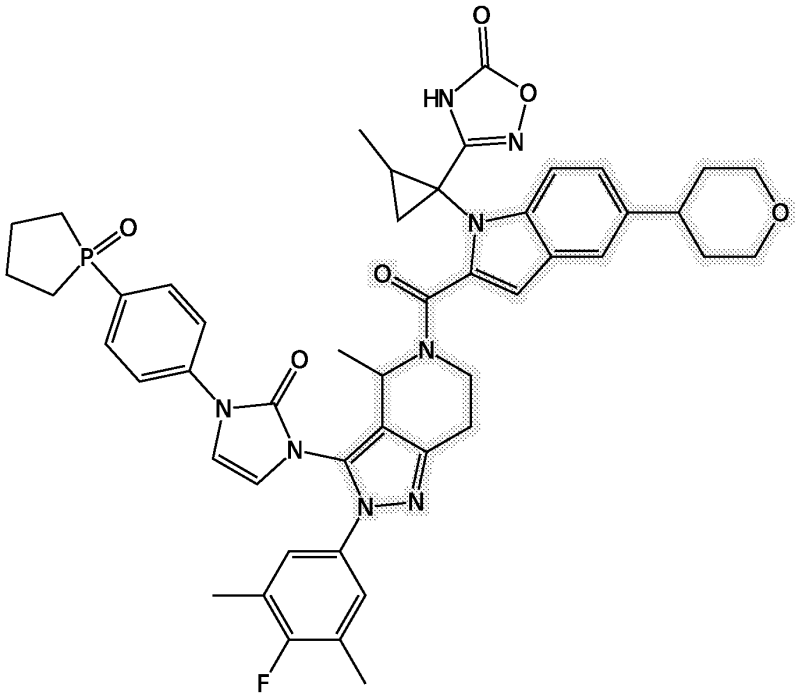


RN 2685824-29-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

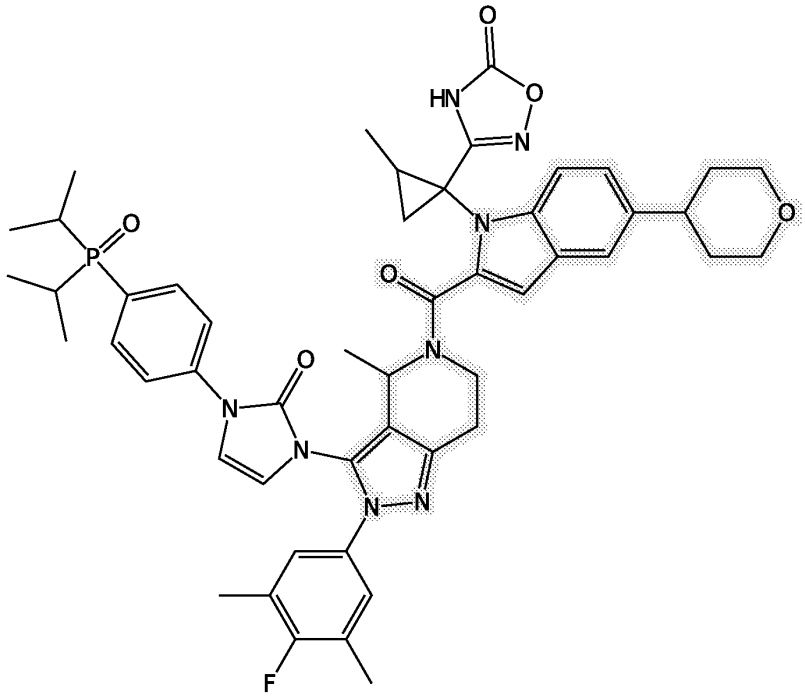


RN 2685824-31-9 CAPLUS

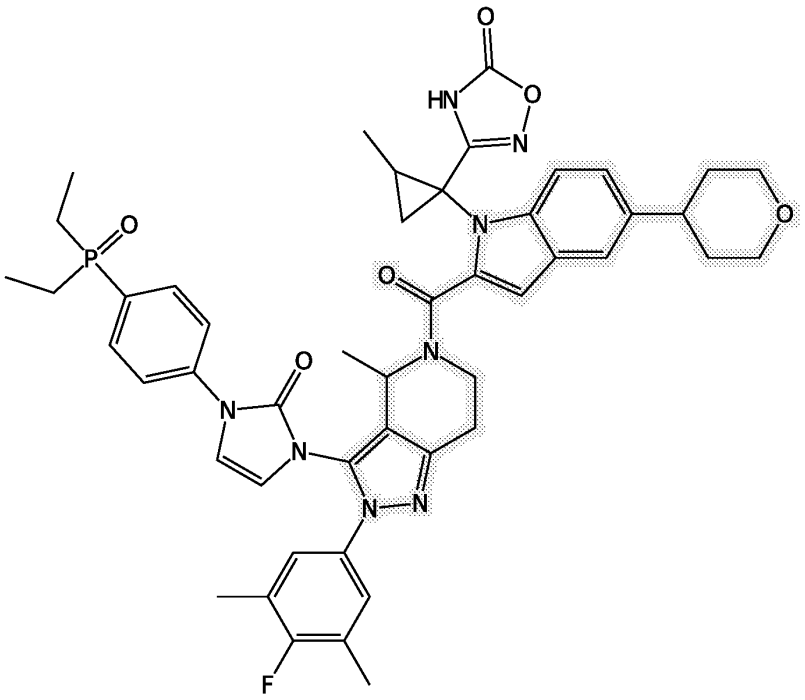
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[4-[(1-oxido-2-phosph
olanyl)(1-oxido-5-phospholanyl)phosphinyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-f
luoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-
5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-
(CA INDEX NAME)



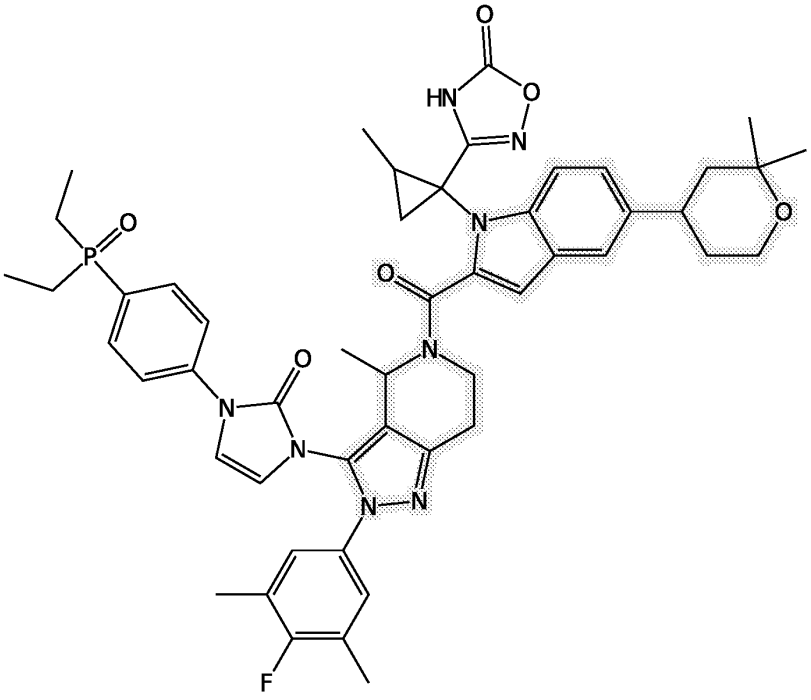
RN 2685824-33-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]
phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,
6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2
H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



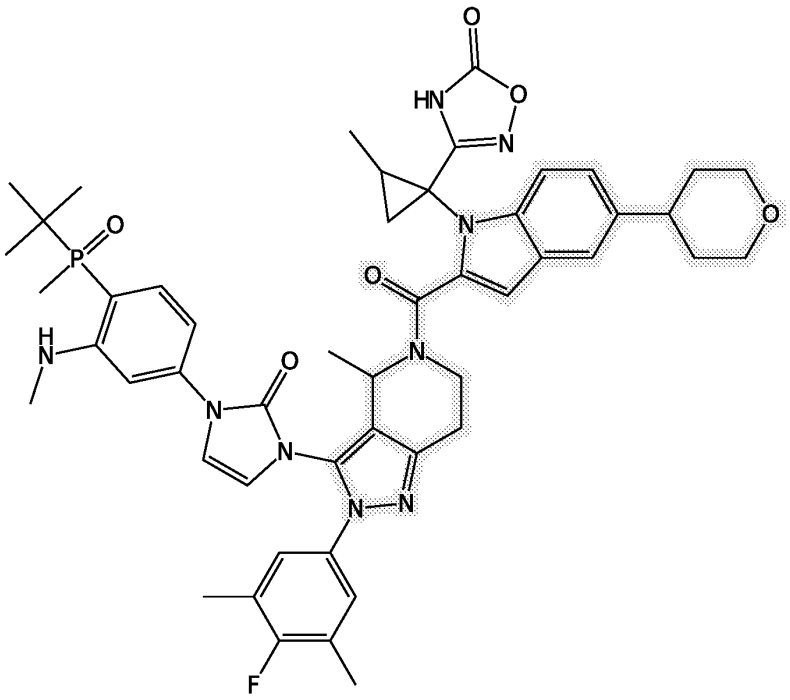
RN 2685824-35-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



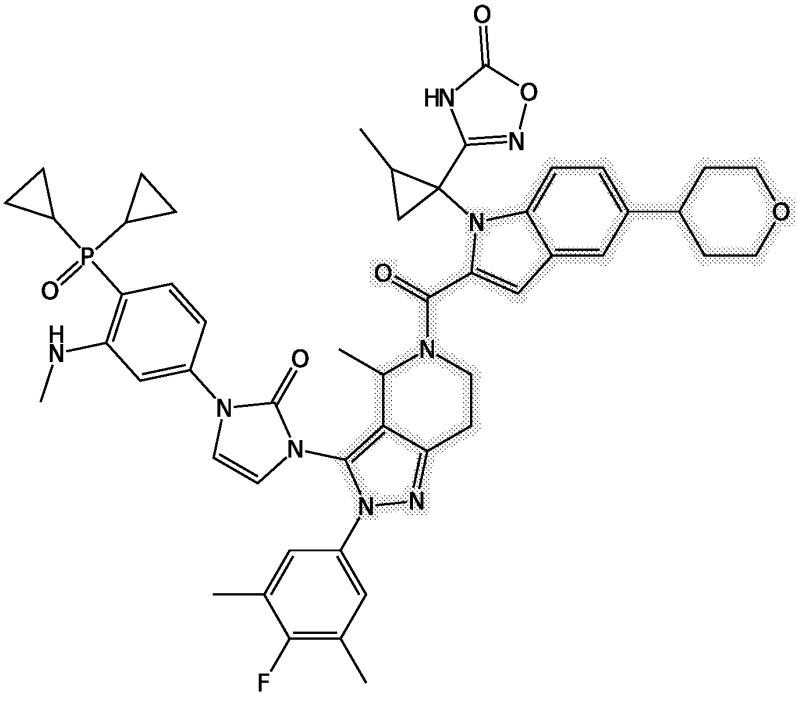
RN 2685824-37-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



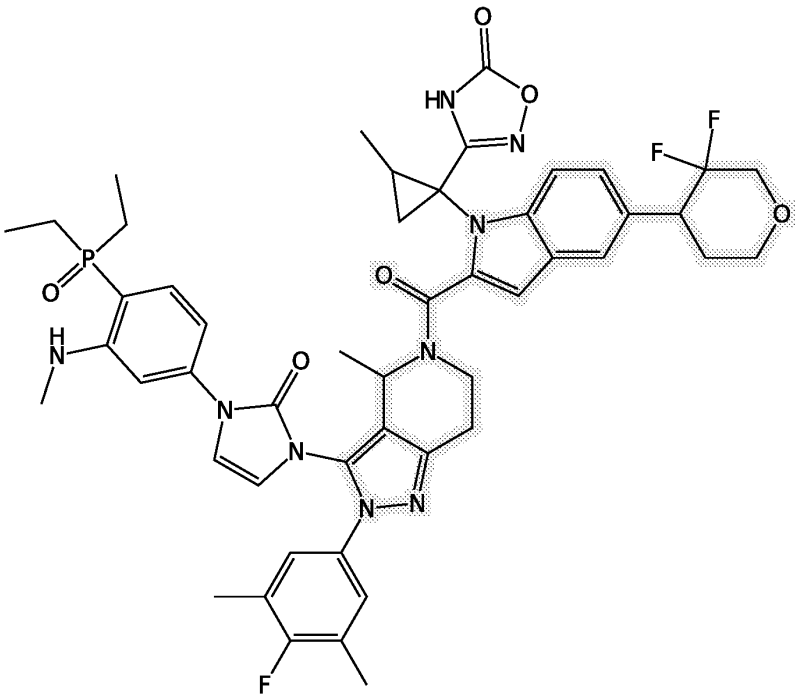
RN 2685824-39-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(1,1-dimethylethyl)methylphos
phinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3
,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]ca
rbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



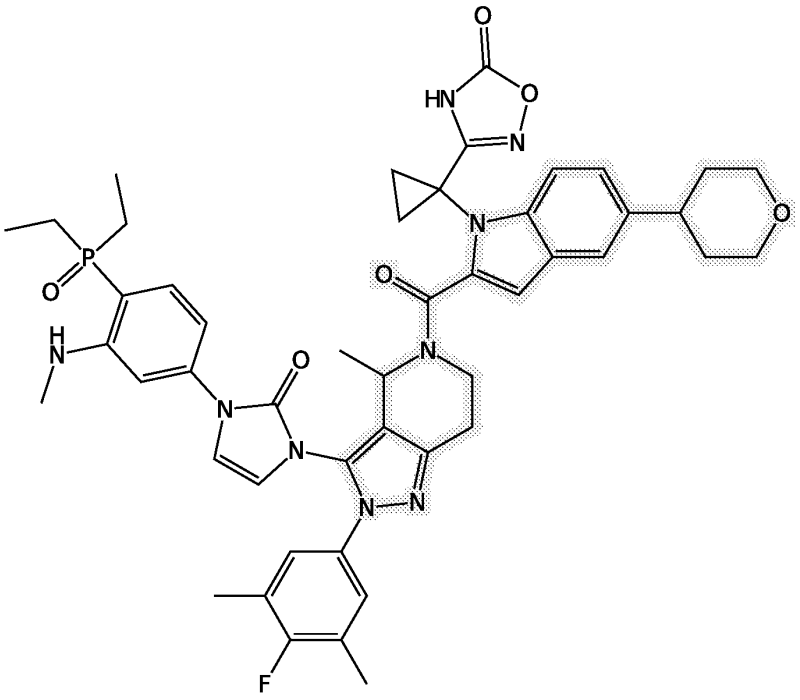
RN 2685824-41-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dicyclopropylphosphinyl)-3-(m
ethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylp
henyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(t
etrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



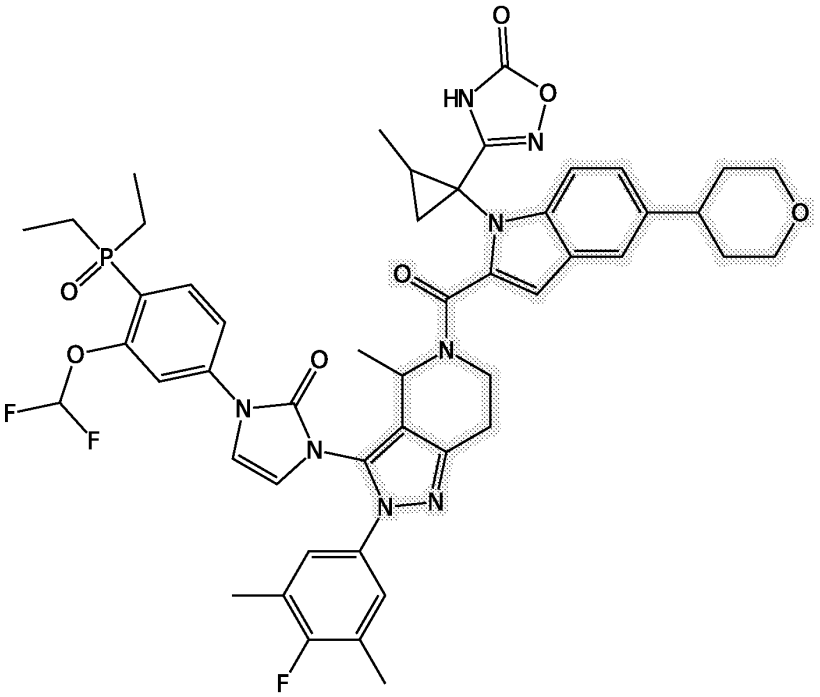
RN 2685824-45-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(3,3-difluorotetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



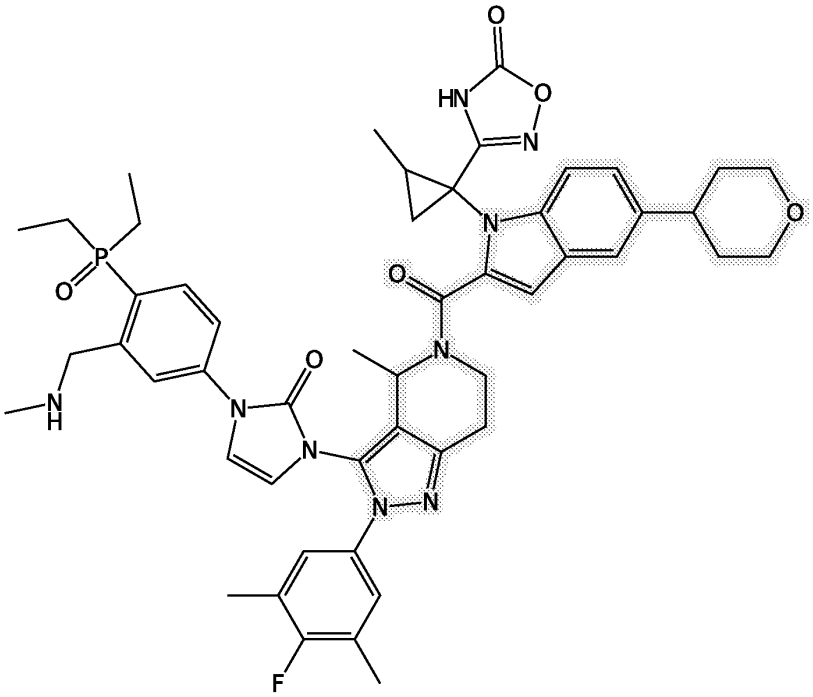
RN 2685824-47-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



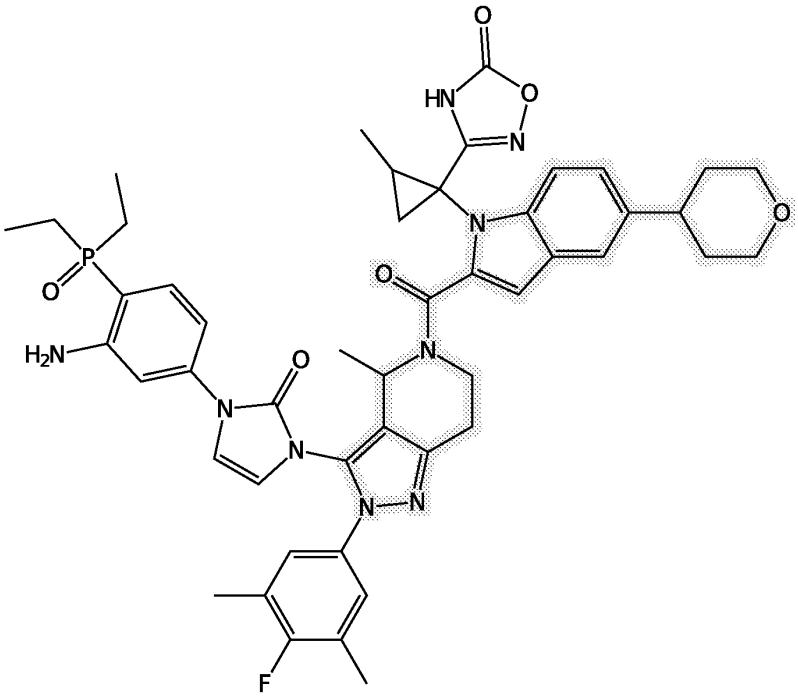
RN 2685824-49-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



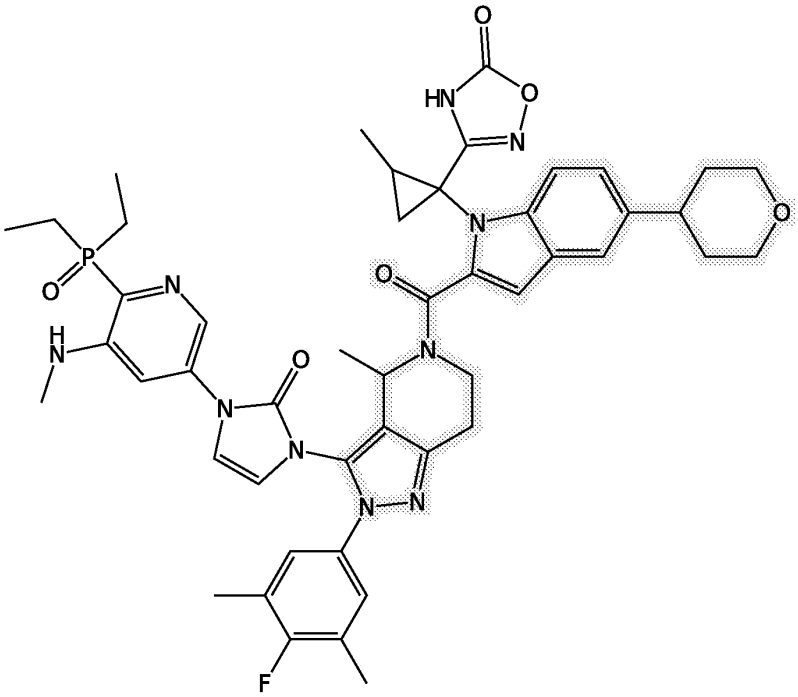
RN 2685824-51-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(methylamino)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



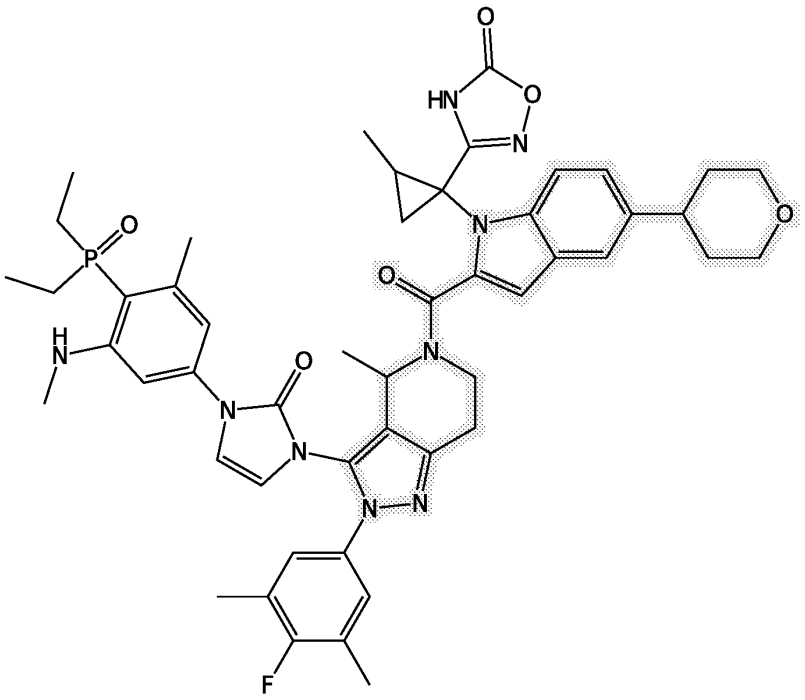
RN 2685824-53-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



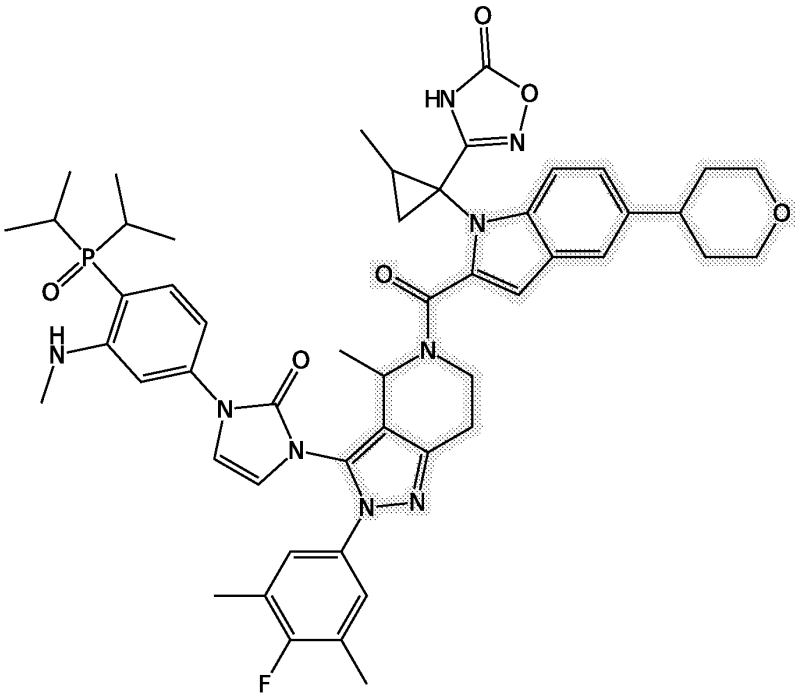
RN 2685824-55-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(diethylphosphinyl)-5-(methylamino)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



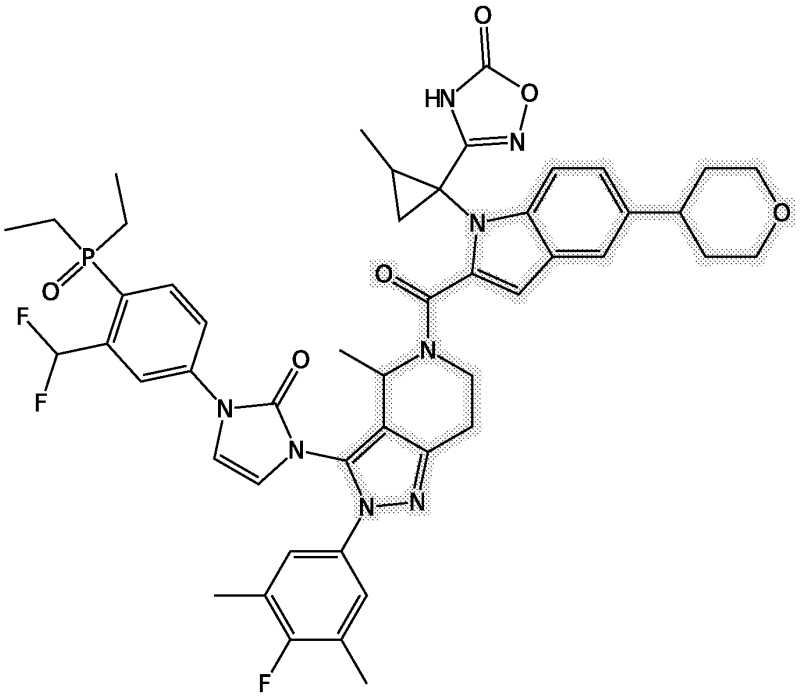
RN 2685824-57-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methyl-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



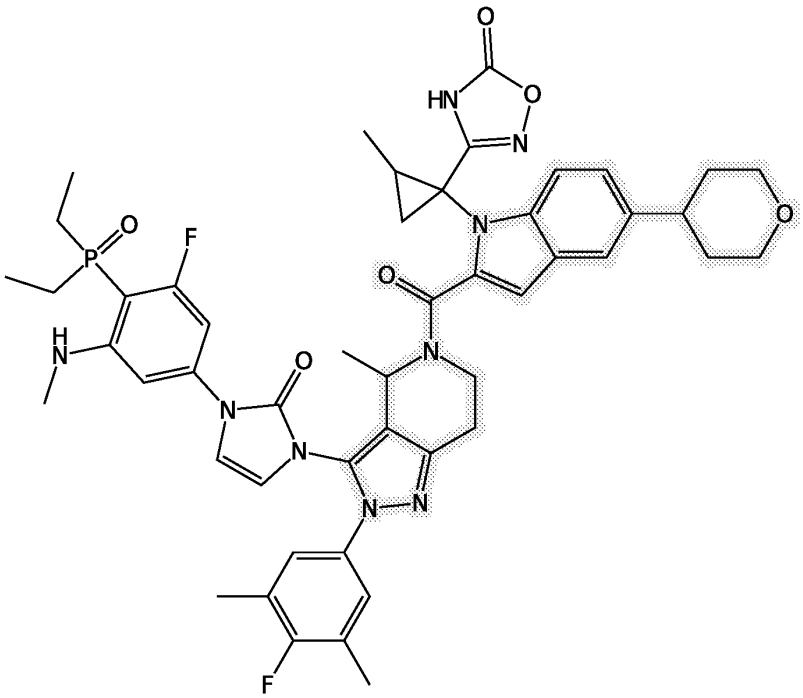
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



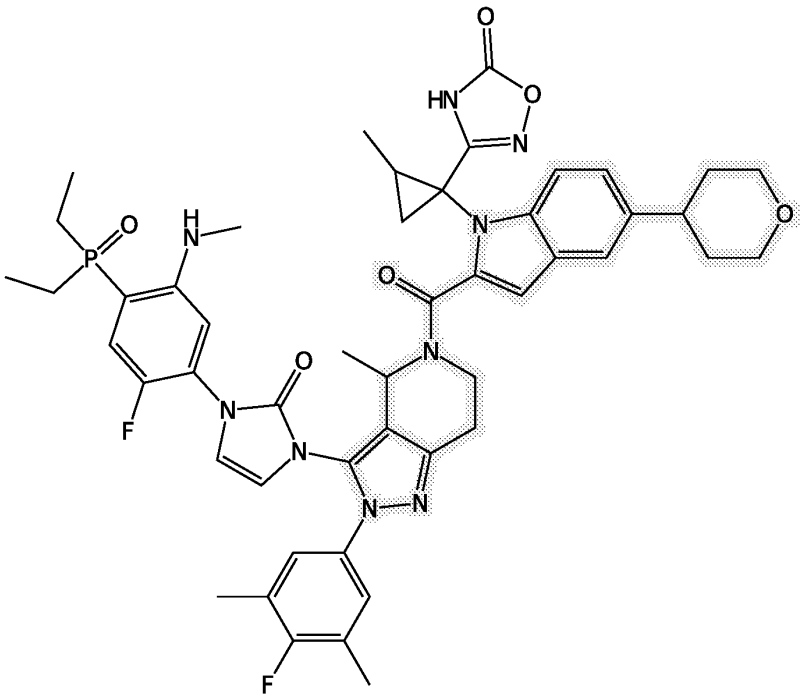
RN 2685824-61-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



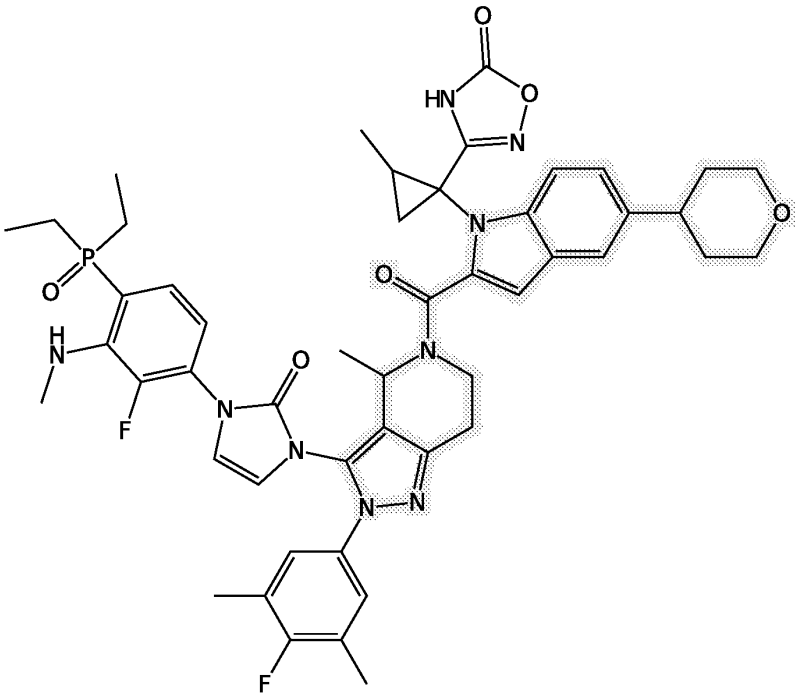
RN 2685824-63-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



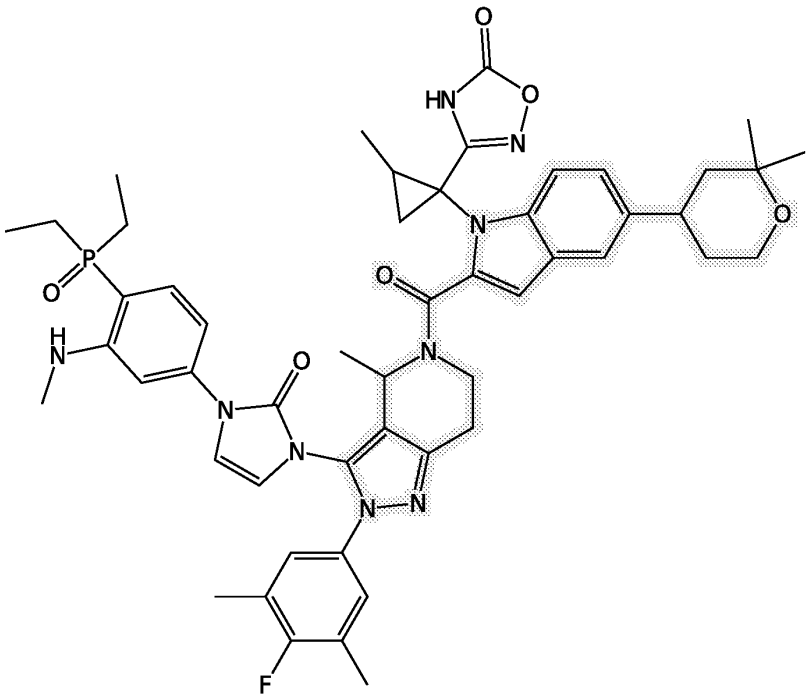
RN 2685824-65-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-2-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



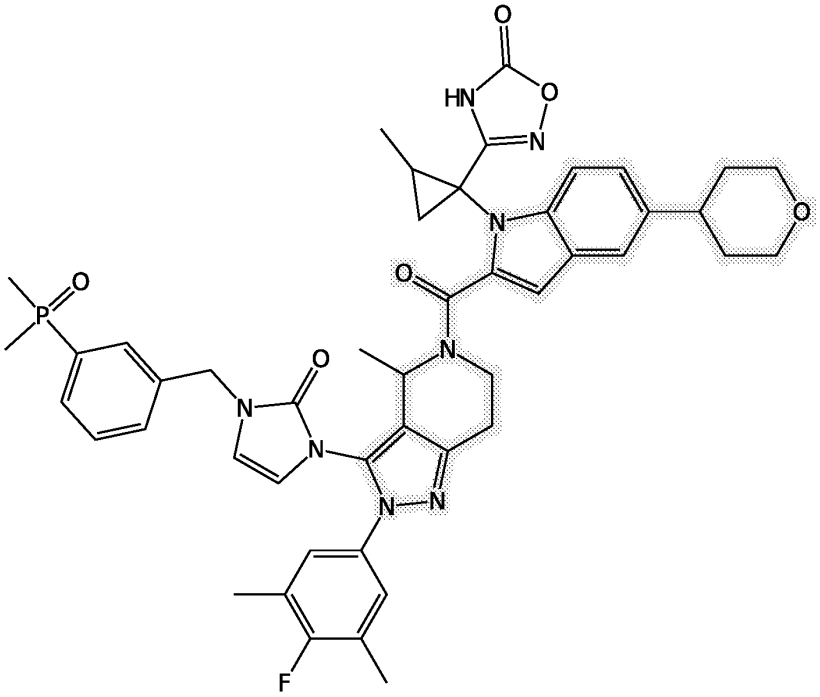
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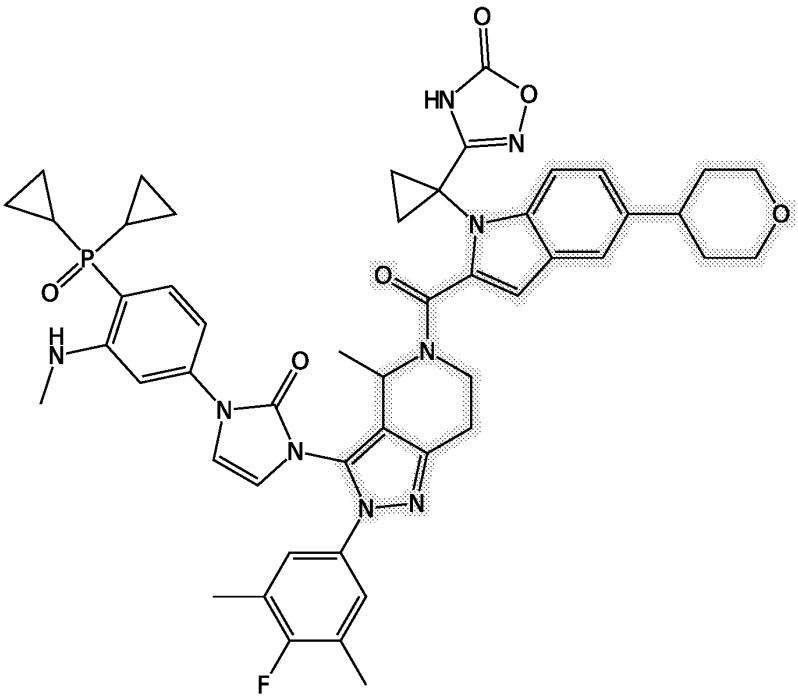
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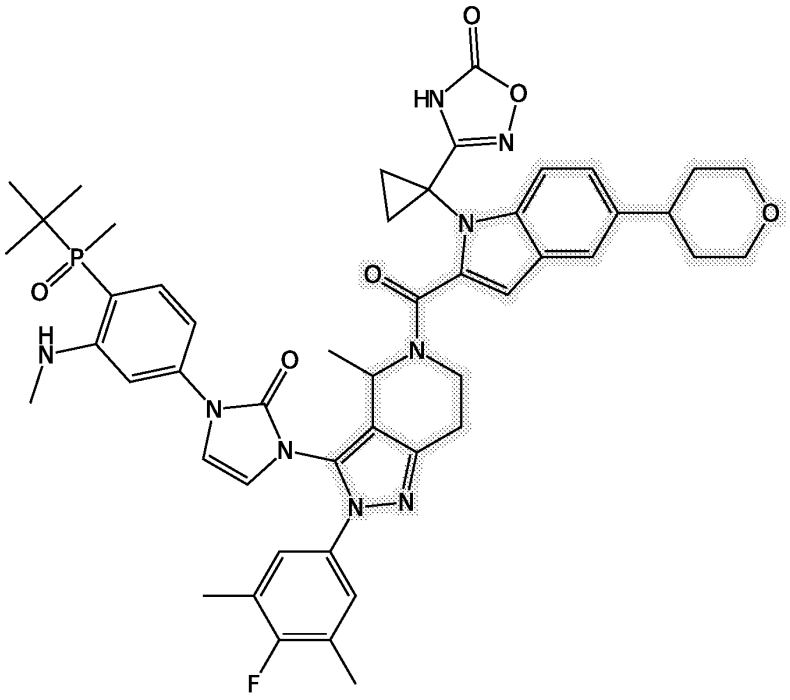
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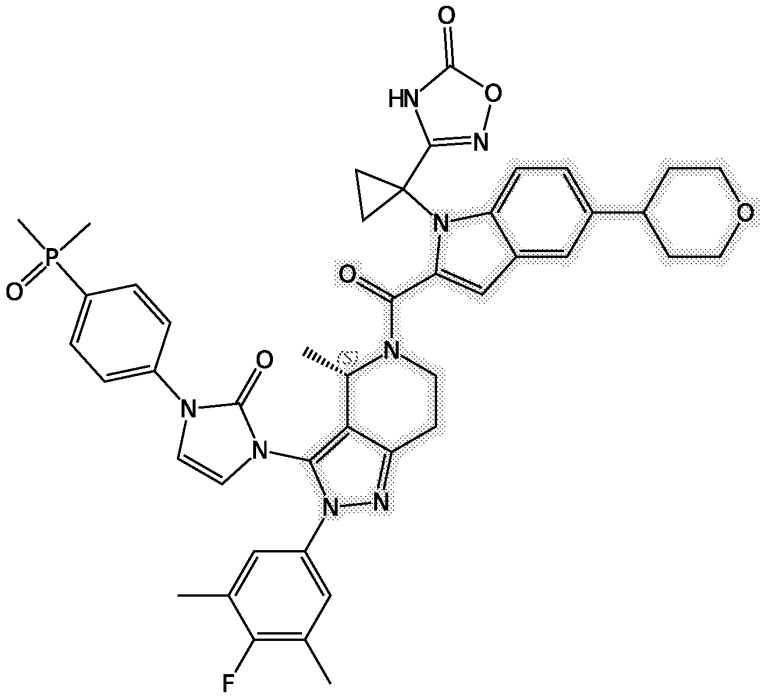
RN 2685824-73-9 CAPLUS
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RN 2685824-75-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)

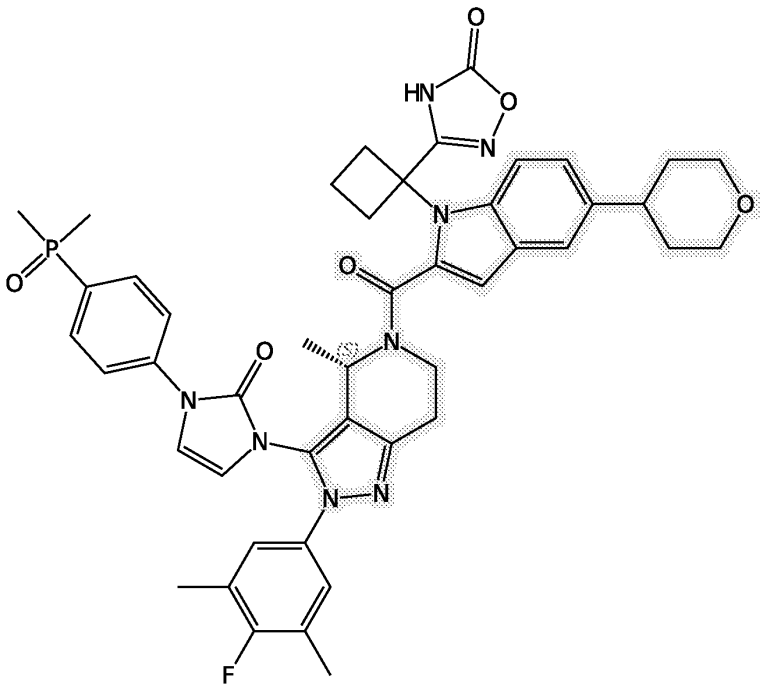


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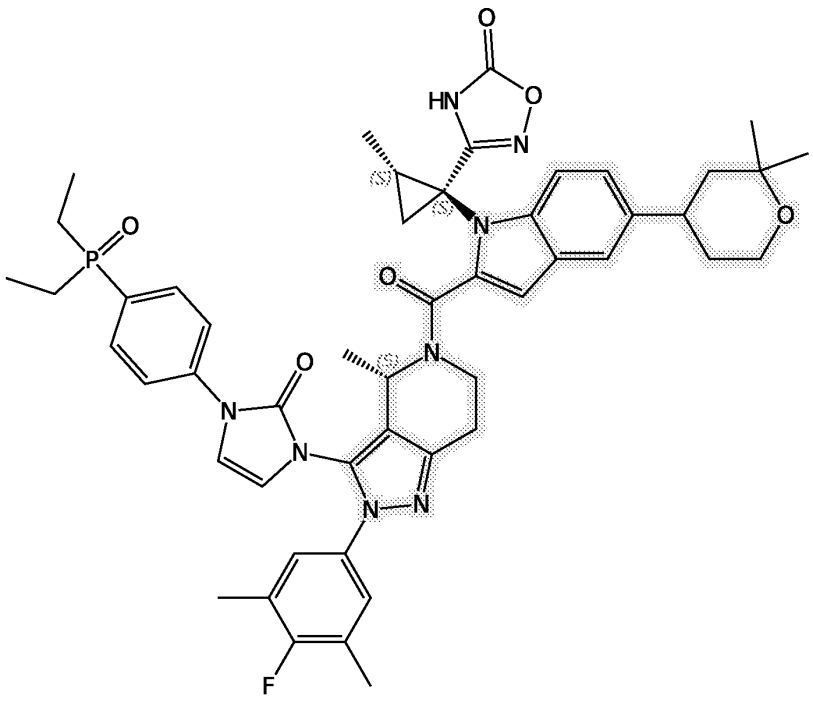
Absolute stereochemistry shown

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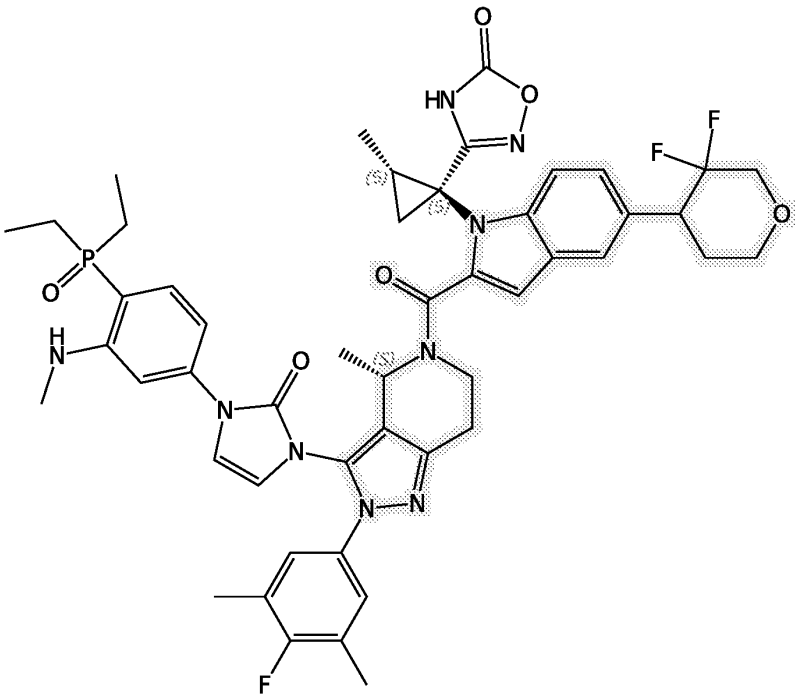
Absolute stereochemistry shown

RN 2685824-85-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



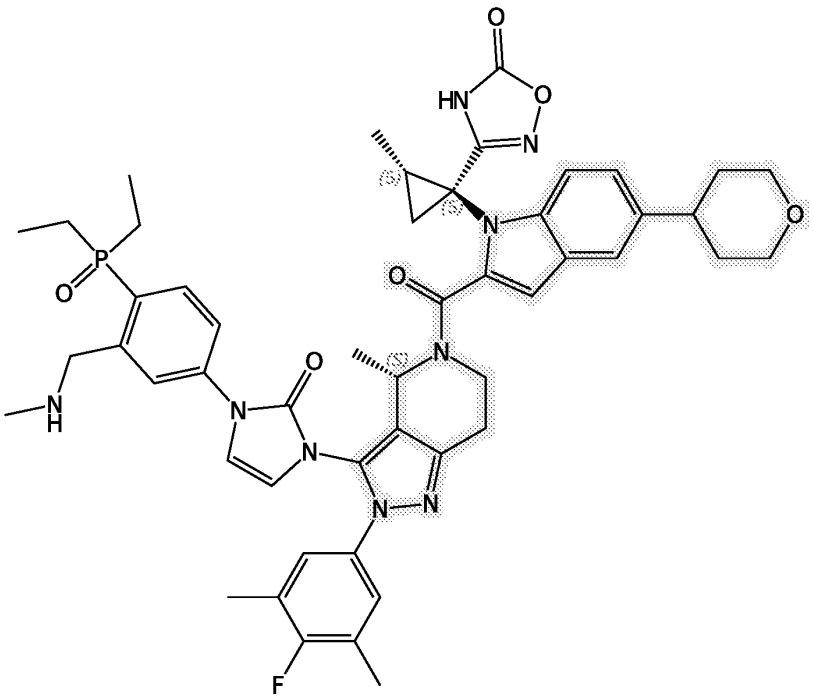
Absolute stereochemistry shown

RN 2685824-87-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(3,3-difluorotetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



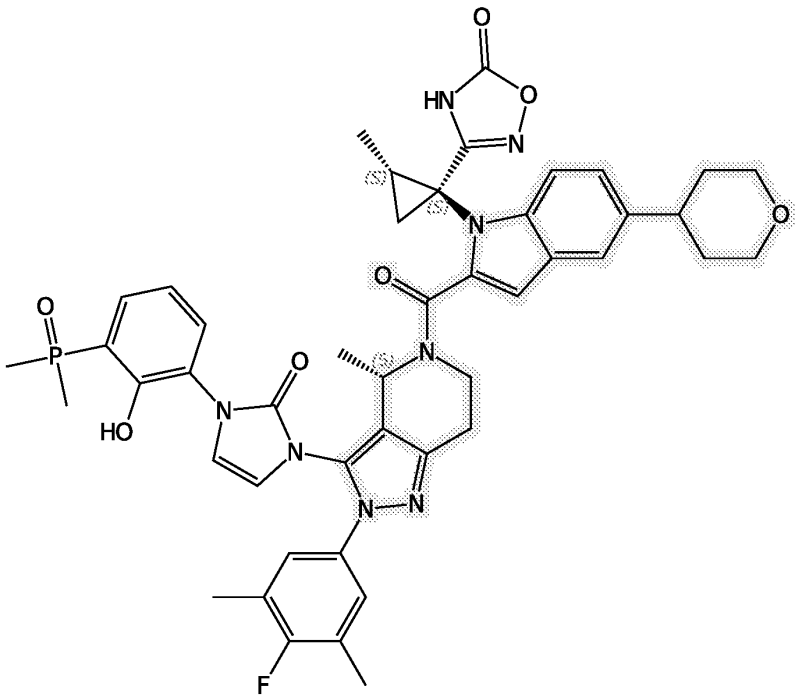
Absolute stereochemistry shown

RN 2685824-89-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-[(methylamino)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

IT 2766050-03-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridine compds. as GLP-1 agonists)
RN 2766050-03-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-(dimethylphosphinyl)-2-hydroxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



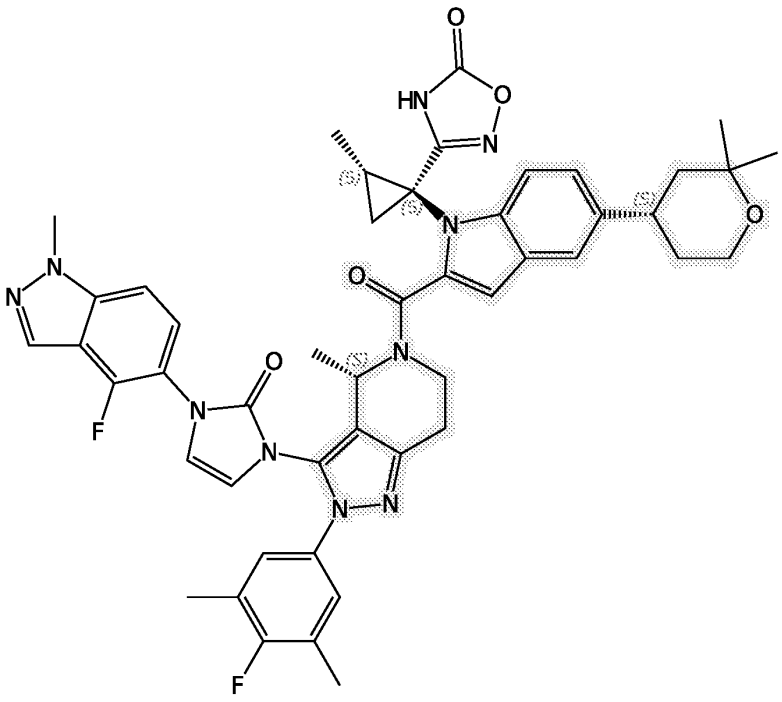
Absolute stereochemistry shown

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AR 121279 A1	AR 2021-100307	Register
AU 2021216324 A1	AU 2021-216324	Register
CA 3169975 A1	CA 2021-3169975	Register
CL 2022002105 A1	CL 2022-2105	Register
CN 115698003 A	CN 2021-80013186	Register|Global Dossier
CN 115698003 B	CN 2021-80013186	Register|Global Dossier
CO 2022011065 A2	CO 2022-11065	Register
EP 4097099 A1	EP 2021-751080	Register|Federated Reg.
EP 4097099 B1	EP 2021-751080	Register|Federated Reg.
IN 202217050547 A	IN 2022-17050547	Register
JP 2023513272 T	JP 2022-548499	Register|Global Dossier
KR 2022156535 A	KR 2022-7030940	Register|Global Dossier
MA 58498 B1	MA 2021-58498	Register
PE 2023001066 A1	PE 2022-1553	Register
RS 65877 B1	RS 2024-939	Register
SG 11202251758 A	SG 2022-11202251758	Register
US 11492365 B2	US 2022-17571351	Register|Global Dossier
US 20220213130 A1	US 2022-17571351	Register|Global Dossier
US 11926643 B2	US 2022-17853755	Register|Global Dossier
US 20230174565 A1	US 2022-17853755	Register|Global Dossier
VN 97587 A	VN 2022-5721	Register
WO 2021155841 A1	WO 2021-CN75488	Register|Global Dossier

L3 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2020:2464056 CAPLUS Full-text
DOCUMENT NUMBER: 176:205249
TITLE: Structural basis for GLP-1 receptor activation by LY3502970, an orally active nonpeptide agonist
AUTHOR(S): Kawai, Takahiro; Sun, Bingfa; Yoshino, Hitoshi; Feng, Dan; Suzuki, Yoshiyuki; Fukazawa, Masanori; Nagao, Shunsuke; Wainscott, David B.; Showalter, Aaron D.; Droz, Brian A.; Kobilka, Tong Sun; Coghlan, Matthew P.; Willard, Francis S.; Kawabe, Yoshiki; Kobilka, Brian K.; Sloop, Kyle W.

CORPORATE SOURCE: Research Division, Chugai Pharmaceutical Co., Ltd., Gotemba, Shizuoka, 412-8513, Japan
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2020), 117(47), 29959-29967
CODEN: PNASA6; ISSN: 0027-8424
DIGITAL OBJECT ID: 10.1073/pnas.2014879117
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB Glucagon-like peptide-1 receptor (GLP-1R) agonists are efficacious antidiabetic medications that work by enhancing glucose-dependent insulin secretion and improving energy balance. Currently approved GLP-1R agonists are peptide based, and it has proven difficult to obtain small-mol. activators possessing optimal pharmaceutical properties. We report the discovery and mechanism of action of LY3502970 (OWL833), a nonpeptide GLP-1R agonist. LY3502970 is a partial agonist, biased toward G protein activation over β-arrestin recruitment at the GLP-1R. The mol. is highly potent and selective against other class B G protein-coupled receptors (GPCRs) with a pharmacokinetic profile favorable for oral administration. A high-resoln. structure of LY3502970 in complex with active-state GLP-1R revealed a unique binding pocket in the upper helical bundle where the compd. is bound by the extracellular domain (ECD), extracellular loop 2, and transmembrane helixes 1, 2, 3, and 7. This mechanism creates a distinct receptor conformation that may explain the partial agonism and biased signaling of the compd. Further, interaction between LY3502970 and the primate-specific Trp33 of the ECD informs species selective activity for the mol. In efficacy studies, oral administration of LY3502970 resulted in glucose lowering in humanized GLP-1R transgenic mice and insulinotropic and hypophagic effects in nonhuman primates, demonstrating an effect size in both models comparable to injectable exenatide. Together, this work detd. the mol. basis for the activity of an oral agent being developed for the treatment of type 2 diabetes mellitus, offering insights into the activation of class B GPCRs by nonpeptide ligands.
IT 2212020-52-3
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(LY3502970; structural basis for GLP-1 receptor activation by LY3502970, orally active nonpeptide agonist)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 64 THERE ARE 64 CAPLUS RECORDS THAT CITE THIS RECORD (64 CITINGS)
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2019:1222516 CAPLUS Full-text
DOCUMENT NUMBER: 171:134236
TITLE: Pharmaceutical composition containing pyrazolopyridine derivative having GLP-1 receptor agonist effect
INVENTOR(S): Yoshino, Hitoshi; Tsuchiya, Satoshi; Matsuo, Atsushi; Sato, Tsutomu; Nishimoto, Masahiro; Oguri, Kyoko; Ogawa, Ayako; Nishimura, Yoshikazu; Furuta, Yoshiyuki; Kashiwagi, Hirotaka; Hori, Nobuyuki; Iekado, Takuma; Shiraishi, Takuya; Yoshida, Masanobu; Kawai, Takahiro; Tanida, Satoshi; Aoki, Masahide
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
ULTIMATE OWNER: Chugai Pharmaceutical (in: Roche); ROCHE HOLDING AG
ULTIMATE OWNER STANDARD: Chugai Pharmaceutical (in: Roche); Roche
SOURCE: Jpn. Kokai Tokkyo Koho, 169pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

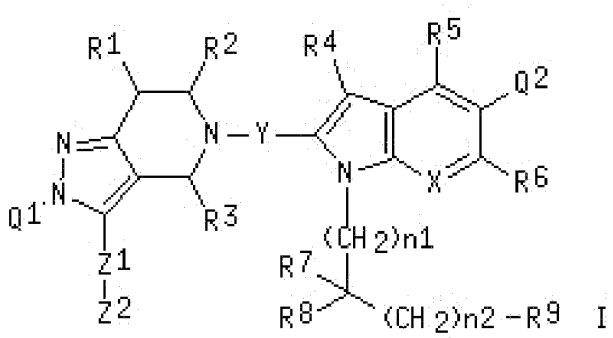
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2019099571	A	20190624	JP 2018-223465	20181129
JP 7461104	B2	20240403		
JP 2024079762	A	20240611	JP 2024-45935	20240322

PRIORITY APPLN. INFO.: JP 2017-228661 A 20171129
JP 2018-223465 A3 20181129

PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
JP 2019099571	A	Alive	20201121
JP 7461104	B2	Alive	20240411
JP 2024079762	A	Alive	20240627

OTHER SOURCE(S): MARPAT 171:134236
GI



AB The present invention relates to a pharmaceutical compn. comprising a pyrazolopyridine deriv. compd. having a basic skeleton represented by formula [I; X = N or (un)substituted CH; Y = C(O), C1-66 alkyl-(un)substituted CH2, or S(O)2; Q1 = each (un)substituted C6-10 aryl or 5- to 10-membered heteroaryl; Q2 = each (un)substituted 3- to 12-membered heterocyclyl or 5- to 10-membered heteroaryl; R1, R2, R3 = each independently H or (un)substituted C1-6 alkyl; R4, R5, R6 = H, halo, or C1-6 alkyl; R7, R8 = each independently H or (un)substituted C1-6 alkyl; or CR7R8 together form (un)substituted C3-15 cycloalkane ring; n1 = 0, 1, 2, or 3; n2 = an integer of 0-5; R9 = each (un)substituted 1,2,3,4-1H-tetrazol-5-yl, 5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl, 2H-1,2,4-triazol-3-yl, or 5-oxo-4,5-dihydro-1,2,4-thiadiazol-3-yl; Z1 = Q3, Q4, Q5, or each N-(un)substituted NH or CHCONH(CH2)n6; n4 = 1, 2, or 3; n5, n6 = each independently an integer of 0-10; Z2 = C1-6 alkyl or each (un)substituted C3-15 cycloalkyl, 3- to 12-membered heterocyclyl, C6-10 aryl, or 5- to 10-membered heteroaryl], salts thereof, or solvates thereof. as an active ingredient. The pharmaceutical compn. is used in the prevention or treatment of non-insulin dependent diabetes mellitus (type-2 diabetes) or obesity. The pharmaceutical compn. has pyrazolopyridine deriv. having the same action as GLP-1 peptide as a GLP-1 receptor agonist, and is capable of non-invasive administration and improving activity, metabolic stability and bioavailability.

IT 2212020-51-2P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

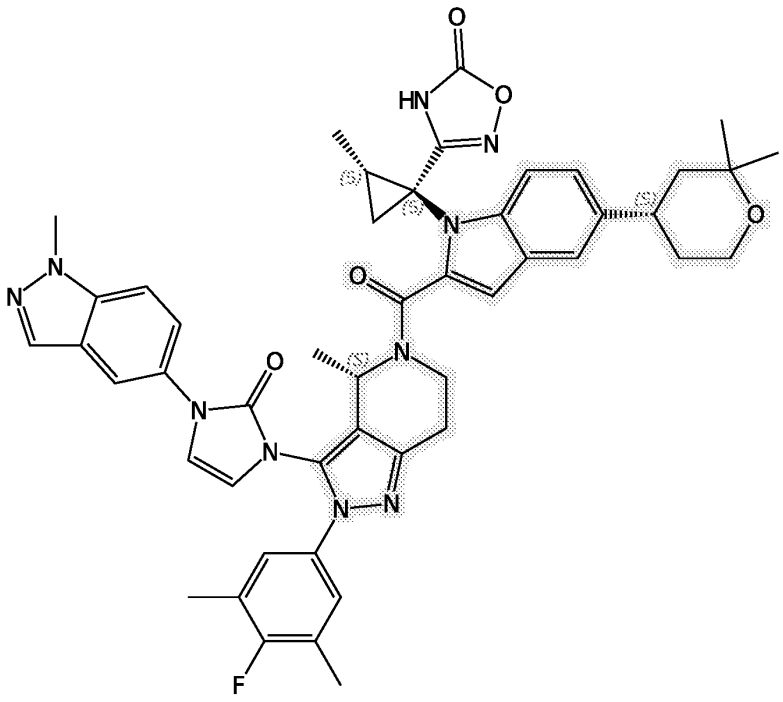
2212022-83-6P, 3-[(1S,2S)-1-[5-(2-Ethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(pharmaceutical compn. contg. pyrazolopyridine deriv. having GLP-1 receptor agonist effect)

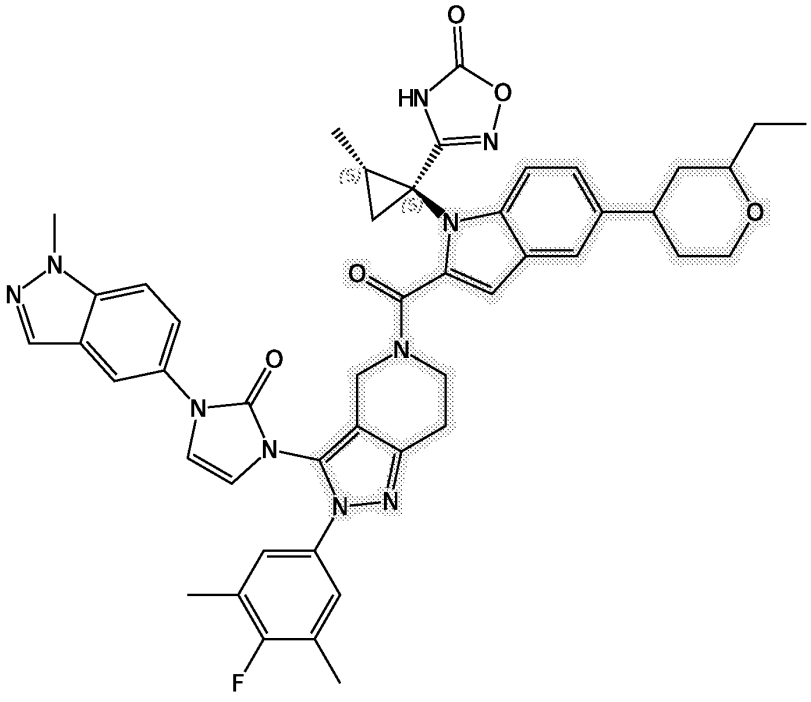
RN 2212020-51-2 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

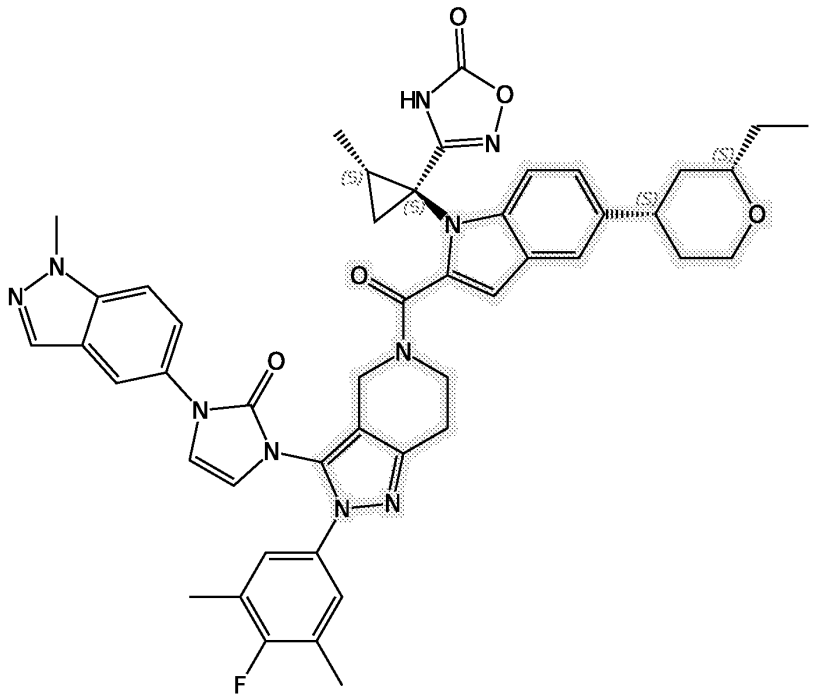
RN 2212022-83-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(2-ethyltetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

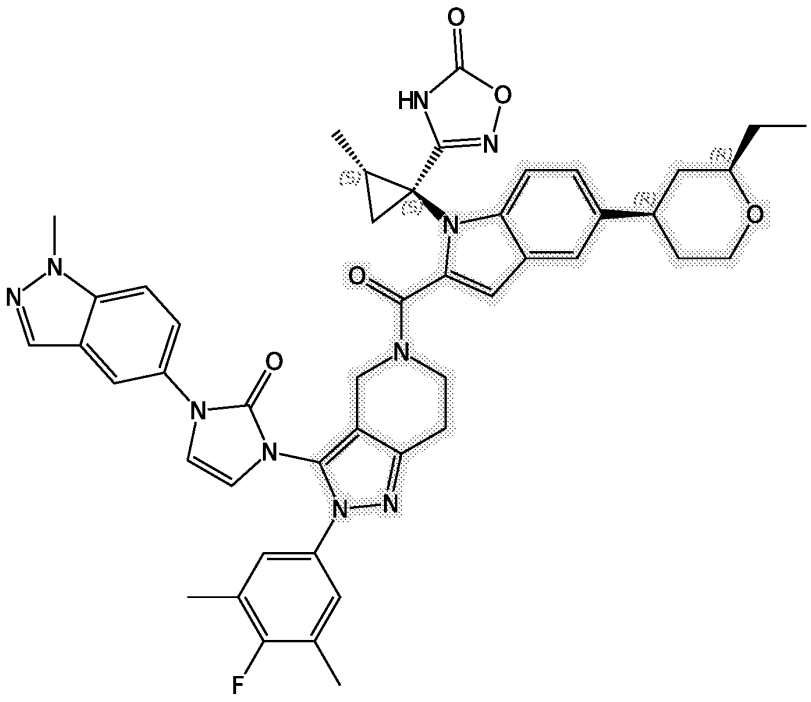
IT 2212020-62-5P, 3-[(1S,2S)-1-[5-((2S,4S)-2-Ethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212022-84-7P,
3-[(1S,2S)-1-[5-((2R,4R)-2-Ethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compn. contg. pyrazolopyridine deriv. having GLP-1 receptor agonist effect)

RN 2212020-62-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-2-ethyltetrahydro-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



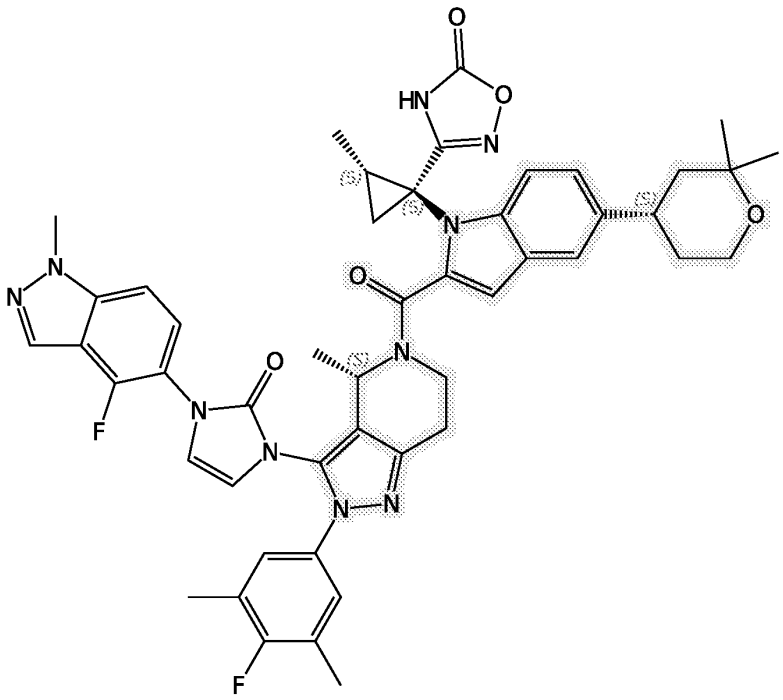
Absolute stereochemistry shown

RN 2212022-84-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2R,4R)-2-ethyltetrahydro-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

IT 2212020-52-3P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(pharmaceutical compn. contg. pyrazolopyridine deriv. having GLP-1 receptor agonist effect)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

IT 2212019-78-6P, 3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[2-oxo-3-[1-((3R)-oxolan-3-yl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-79-7P,
N-[4-[3-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[1-[(1S,2S)-2-methyl-1-(5-oxo-4H-1,2,4-oxadiazol-3-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-2-oxoimidazol-1-yl]-2-methoxyphenyl]-N-(3-methoxypropyl)acetamide 2212019-80-0P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[2-oxo-3-[1-(2,2,2-trifluoroethyl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-86-6P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-87-7P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[1-[(3-methyloxetan-3-yl)methyl]indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-88-8P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(3-methyl-1,2-benzothiazol-6-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-89-9P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-(2-hydroxyethoxy)-3-methylphenyl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-90-2P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-[(1-hydroxy-2-methylpropan-2-yl)oxy]-3-methoxyphenyl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-91-3P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Ethylsulfonylphenyl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-92-4P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[2-oxo-3-[1-((3S)-oxolan-3-yl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]p

yridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxa
diazol-5-one 2212019-93-5P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadia
zol-5-one 2212019-94-6P,
    3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,1-Dimethyl-3,4-dihydroisochromen-6-yl)-2-oxo
imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[
4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,
2,4-oxadiazol-5-one 2212019-95-7P,
    3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[6-(Dimethylamino)pyrimidin-4-yl]-3-methylph
enyl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4
H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopr
opyl]-4H-1,2,4-oxadiazol-5-one 2212019-96-8P
    , 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-fluoroe
thyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]py
ridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxad
iazol-5-one 2212019-97-9P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadia
zol-5-one 2212019-98-0P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,
2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]
-4H-1,2,4-oxadiazol-5-one 2212019-99-1P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3
-methyloxetan-3-yl)methyl]indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro
-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclo
propyl]-4H-1,2,4-oxadiazol-5-one 2212020-00-1P***,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpro
,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-3-[3-(1,3-dimethyl-2-
oxobenzimidazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-meth
yl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclo
propyl]-4H-1,2,4-oxadiazol-5-one 2212020-03-4P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[1-(2-methoxyethyl)-3-methyl-2-oxobenzimidazol-5-yl]-2-oxoimidaz
ol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl
]-2-methylcyclopropyl]-4,2,4-oxadiazol-5-one
    2212020-04-5P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-(1H-indazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,
7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropy
l]-4H-1,2,4-oxadiazol-5-one
    2212020-05-6P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2
-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]
indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-06-7P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-
methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylc
yclopropyl]-4H-1,2,4-oxadiazol-5-one
    2212020-07-8P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3-methyloxetan-3-yl)methyl]indazol-
5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]ca
rbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-08-9P
,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpropyl)indazol-5-yl]-2-oxoimidazo
l-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]
-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
    2212020-09-0P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-4-methyl-3-[3-(2-methyl-3-oxo-1,4-dihydroisoquinolin-6
-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]ind
ol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-10-3P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[4-fluoro-1-((3S)-oxolan-3-yl)indazol-5-yl]-2-oxoimidazol-1-yl]-
4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methy
lcyclopropyl]-4H-1,2,4-oxadiazol-5-one
    2212020-11-4P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-
(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyra
zolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-methyloxan-4-yl)indol-1-yl]-2-methy

lcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-12-5P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-13-6P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-14-7P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-15-8P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-16-9P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3-methylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-17-0P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-Fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-18-1P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-1,2,4-oxadiazol-5-one 2212020-19-2P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Chloro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-20-5P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3-methylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-39-6P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-40-9P,
3-[(1S,2S)-1-[2-[[2-(4-Chloro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-42-1P,
N-[4-[3-[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-5-[[1-[(1S,2S)-2-methyl-1-(5-oxo-4H-1,2,4-oxadiazol-3-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-2-oxoimidazol-1-yl]cuban-1-yl]-N-(2-methoxyethyl)acetamide 2212020-44-3P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-45-4P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[2-(3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-46-5P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-2-methyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-47-6P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-2-methyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-48-7P
, 3-[(1S,2S)-1-[7-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-49-8P,
3-[(1S,2S)-1-[7-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[2-oxo-3-[1-((3R)-oxolan-3-yl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-

1,2,4-oxadiazol-5-one 2212020-50-1P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl
]-4H-1,2,4-oxadiazol-5-one
2212020-53-4P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Chloro-1-methylindazol-5-yl)-
2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyra
zolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-me
thylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-54-5P, 3-[(1S,2S)-1-[5-((4S)
-2,2-Dimethyloxan-4-yl)-2-[[(4S)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimida
zol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyr
idin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-55-6P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-y
l]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-me
thylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-56-7P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-[6-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoim
idazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-
1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-57-8P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Chloro-1-methylindazol-5-yl)-2-oxoimidazol-1
-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadia
zol-5-one 2212020-60-3P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y
l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(6
-oxaspiro[4.5]decan-9-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-o
ne 2212020-61-4P,
3-[(1S,2S)-1-[5-(2,2-Dimethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)
-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazo
lo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiaz
ol-5-one 2212020-63-6P,
3-[(1S,2S)-2-Ethyl-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(3-methylind
azol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbon
yl]-5-(oxan-4-yl)indol-1-yl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-64-7P
,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y
l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(o
xan-4-yl)indol-1-yl]-2-(hydroxymethyl)cyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-65-8P
,
3-[(1S,2S)-1-[2-[[(4S,6R)-2-(4-Fluoro-3,5-dimethylphenyl)-4,6-dimethyl-3-[3
-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridi
n-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazo
l-5-one 2212020-74-9P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylin
dazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbo
nyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-78-3P
,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y
l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(5
-oxaspiro[3.5]nonan-8-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-o
ne 2212020-81-8P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]
carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-82-9P
,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[4-(ox
an-4-yl)phenyl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]c
arbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-84-1P
,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-((3S)-1-Acetylpyrrolidin-3-yl)indazol-5-yl]-
2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyra
zolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-
4H-1,2,4-oxadiazol-5-one 2212020-85-2P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[1-[2-
[4-(oxetan-3-yl)piperazin-1-yl]ethyl]indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihyd
ro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyc
lopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-86-3P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[3-met
hyl-4-[6-(4-methylpiperazin-1-yl)pyrimidin-4-yl]phenyl]-2-oxoimidazol-1-yl]-6,7-
dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-meth
ylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-87-4P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[2-oxo-3-[1-(2,2,2-trifluoroethyl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-88-5P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(3-methylimidazo[1,5-a]pyridin-7-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-89-6P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(3-methylimidazo[1,5-a]pyridin-6-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-90-9P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-Dimethylindazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-91-0P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-[2-(Dimethylamino)ethyl]indazol-5-yl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-93-1P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-Dimethylindazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-94-3P, 3-[(1S,2S)-1-[6-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-95-4P, 3-[(1S,2S)-1-[3-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-96-5P, 3-[(1S,2S)-1-[4-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-97-6P, 3-[1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-98-7P, 3-[1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-99-8P, 3-[[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]methyl]-4H-1,2,4-oxadiazol-5-one

2212021-00-4P, 3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-(methoxymethyl)cyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212021-02-6P, 3-[(1S,2R)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-[(morpholin-4-yl)methyl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one formate

2212021-03-7P, 3-[(2S,3R)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2,3-dimethylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212021-05-9P, 3-[(1R)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]ethyl]-4H-1,2,4-oxadiazol-5-one

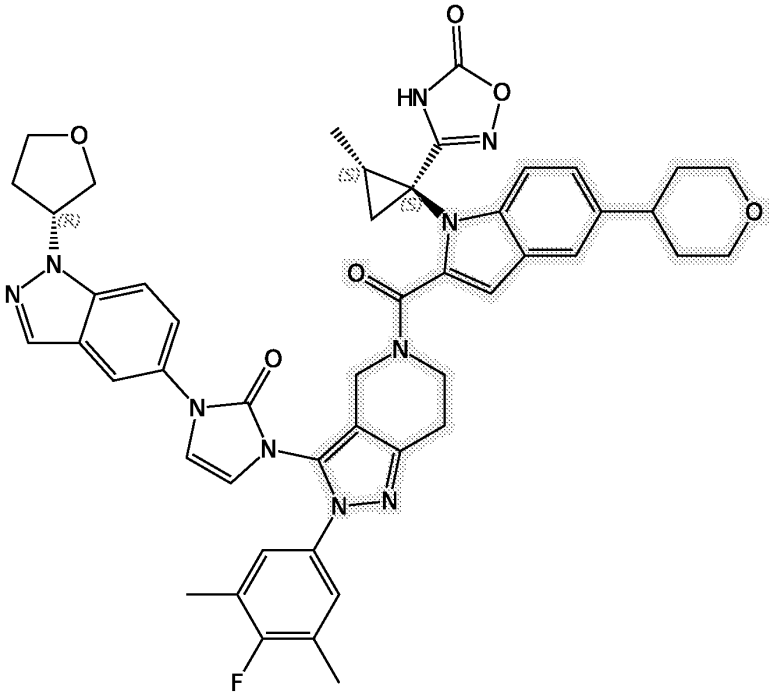
2212021-08-2P, 2-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]acetic acid

2212021-10-6P, 1-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[5-(oxan-4-yl)-1-[(1H-1,2,4-triazol-5-yl)methyl]indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-3-(1-methylindazol-5-yl)imidazol-2-one

2212021-11-7P, 1-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[1-[(1S,2S)-2-methyl-1-(5-sulfanylidene-4H-1,2,4-oxadiazol-3-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-3-(1-methylindazol-5-yl)imidazol-2-one

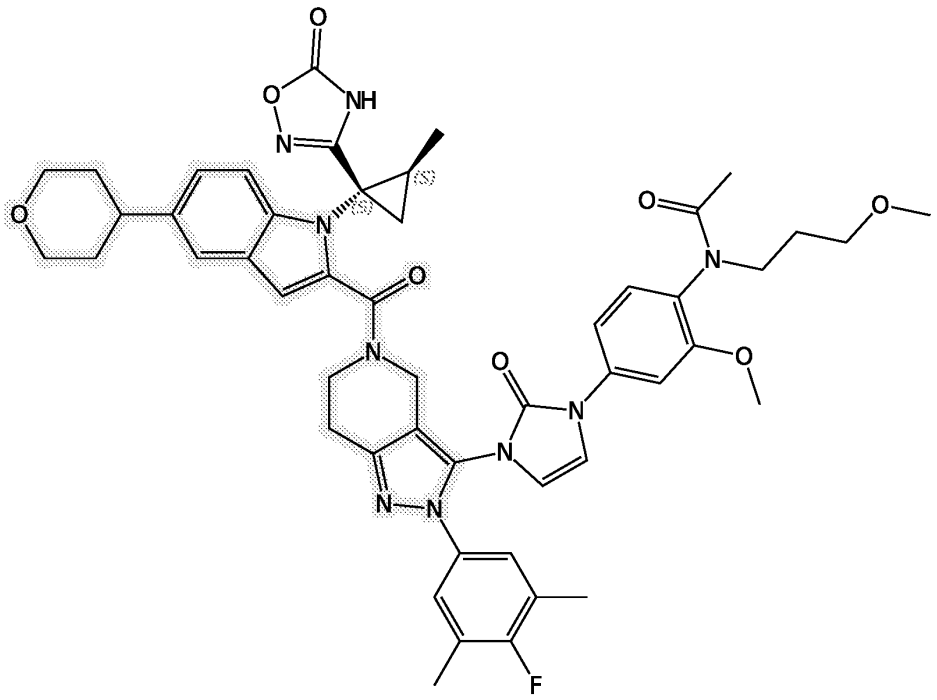
2212021-12-8P, 3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y

l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(o
xan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-thiadiazol-5-one 2212021-13-9P
,
    1-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[1-[(1S,2S)-2-methyl-1-(1H-tetrazol-5
-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c
]pyridin-3-yl]-3-(1-methylindazol-5-yl)imidazol-2-one
    2212021-14-0P, (1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methy
lindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]ca
rbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methyl-N-(methylsulfonyl)cyclopropane-1-carbo
xamide 2212021-26-4P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7
-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl
]-4H-1,2,4-oxadiazol-5-one sodium salt hydrate
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
       (pharmaceutical compn. contg. pyrazolopyridine deriv. having GLP-1
       receptor agonist effect)
RN 2212019-78-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-2-oxo-3-[1-[(3R
)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimet
hylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrah
ydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



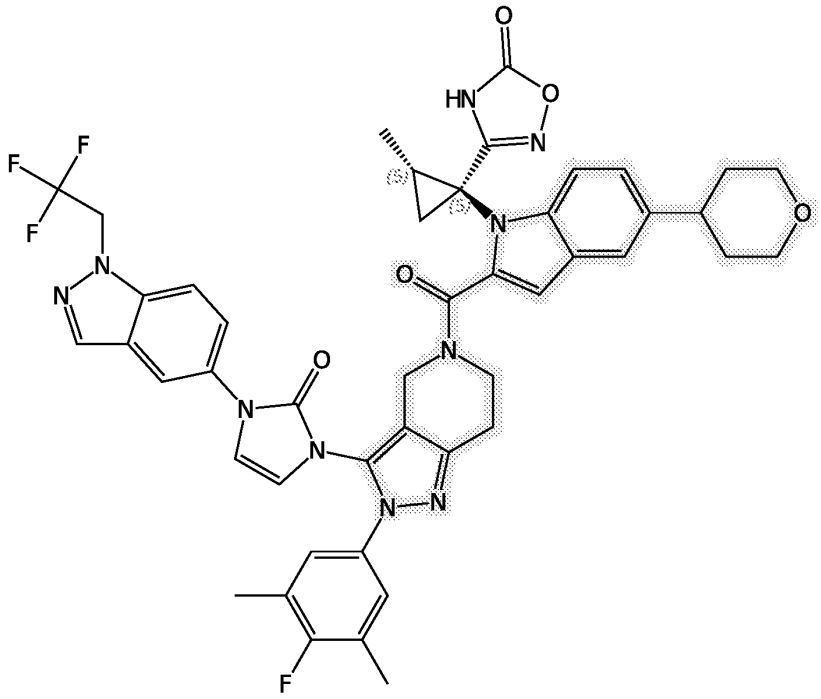
Absolute stereochemistry shown

RN 2212019-79-7 CAPLUS
CN Acetamide, N-[4-[3-[5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-y
l)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(
4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridin-3-yl]-
2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-methoxyphenyl]-N-(3-methoxypropyl)- (CA
INDEX NAME)



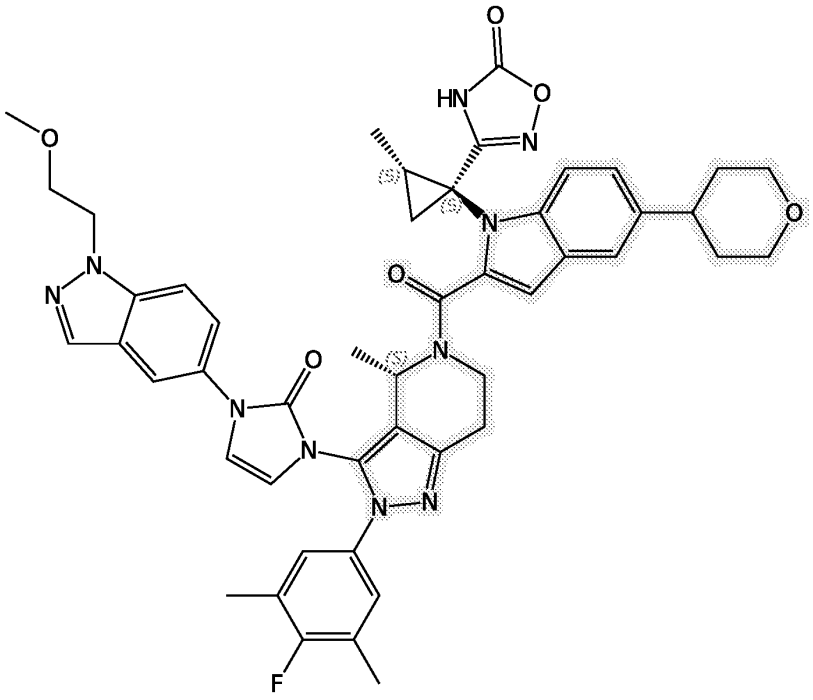
Absolute stereochemistry shown

RN 2212019-80-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-2-oxo-3-[1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



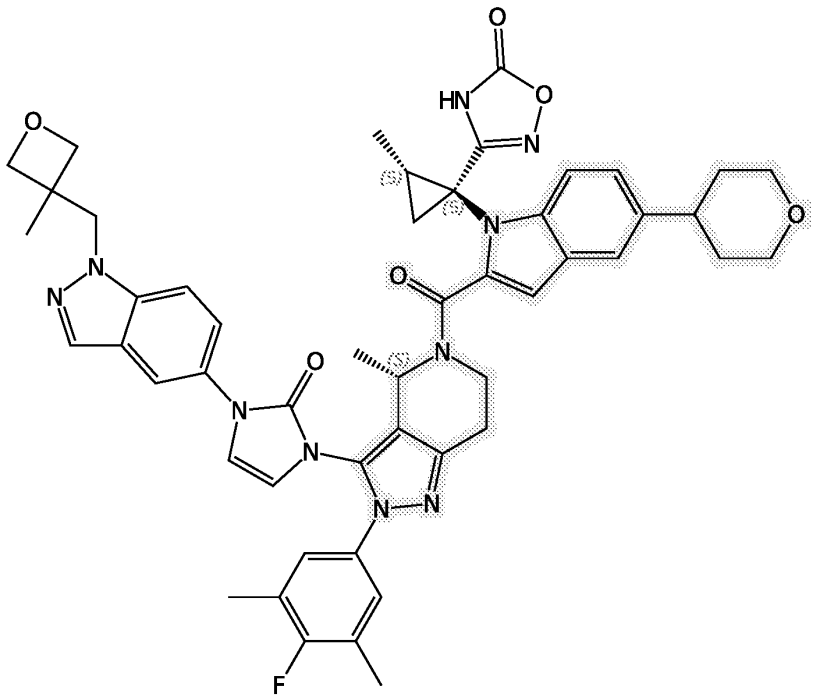
Absolute stereochemistry shown

RN 2212019-86-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



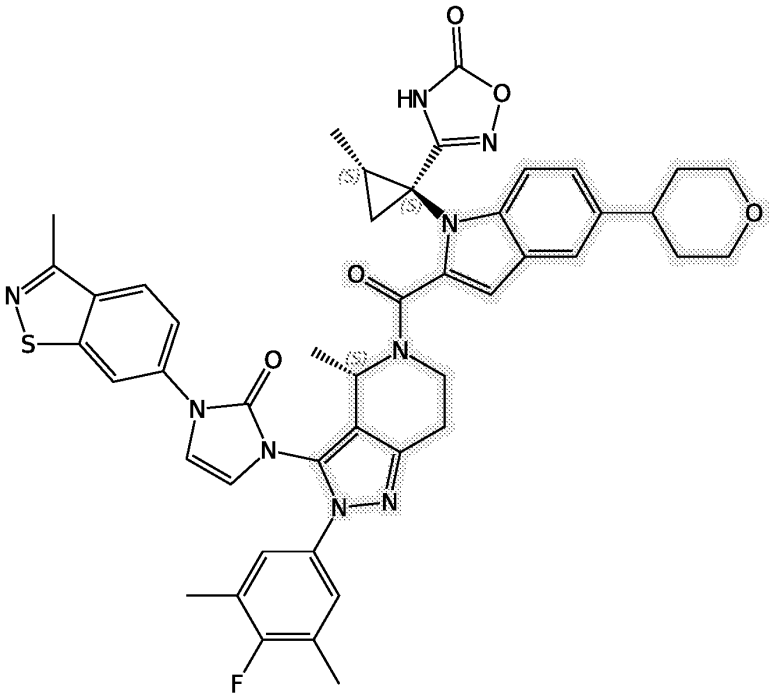
Absolute stereochemistry shown

RN 2212019-87-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-[(3-methyl-3-oxetanyl)methyl]-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



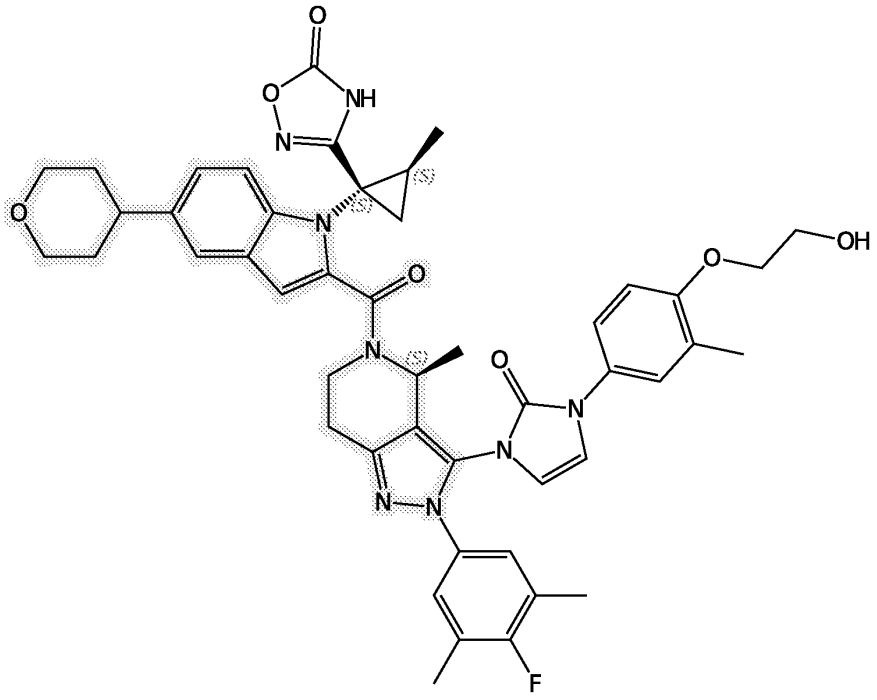
Absolute stereochemistry shown

RN 2212019-88-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(3-methyl-1,2-benzisothiazol-6-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



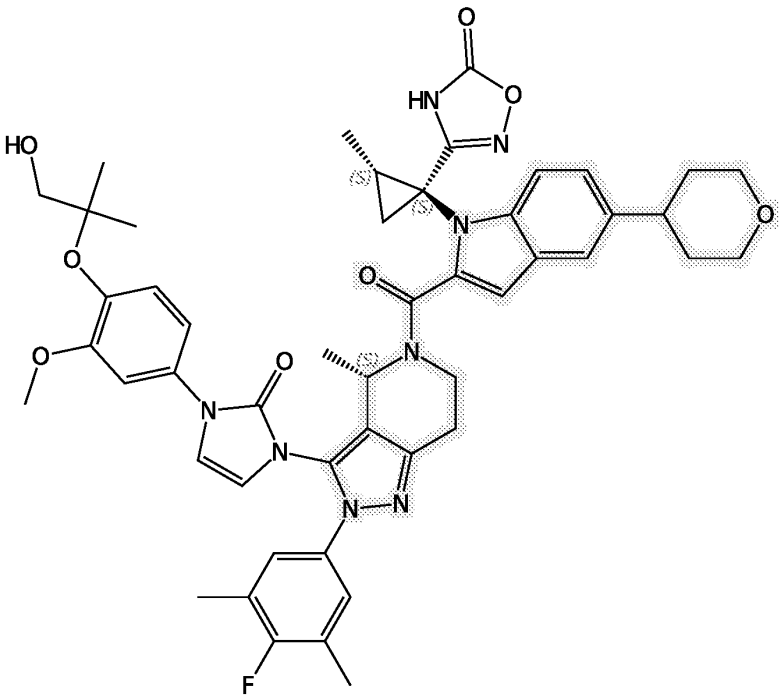
Absolute stereochemistry shown

RN 2212019-89-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[4-(2-hydroxyethoxy)-3-methylphenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



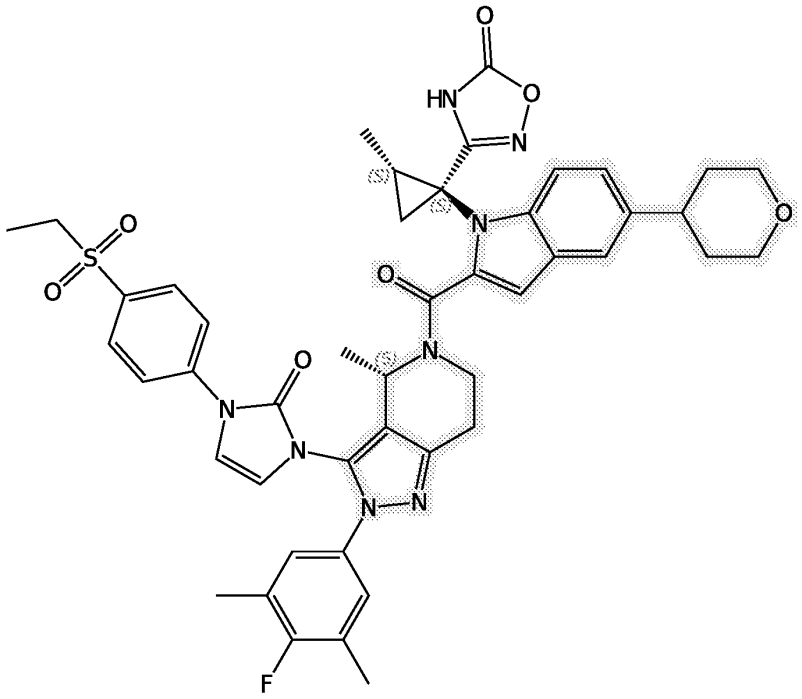
Absolute stereochemistry shown

RN 2212019-90-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[4-(2-hydroxy-1,1-dimethylethoxy)-3-methoxyphenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



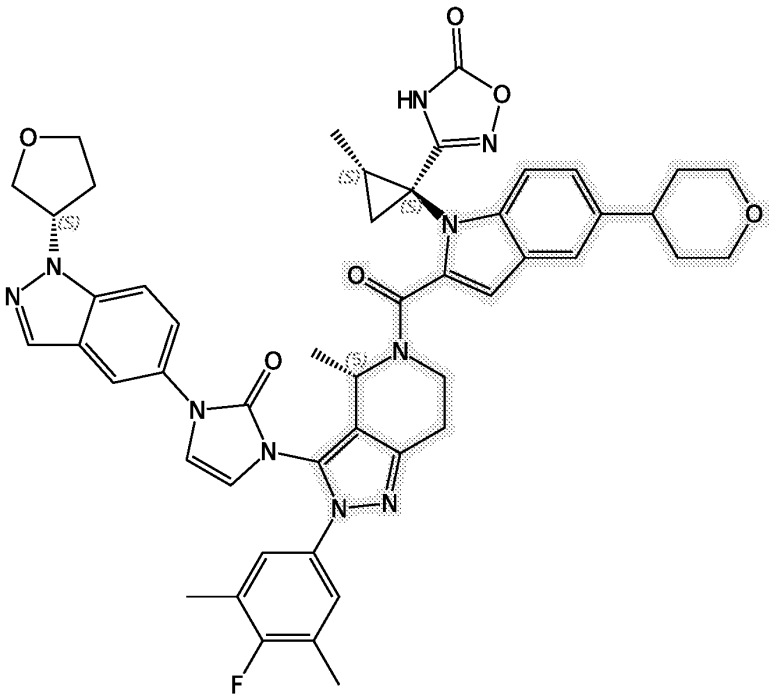
Absolute stereochemistry shown

RN 2212019-91-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(ethylsulfonyl)ph
enyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,
7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-
pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



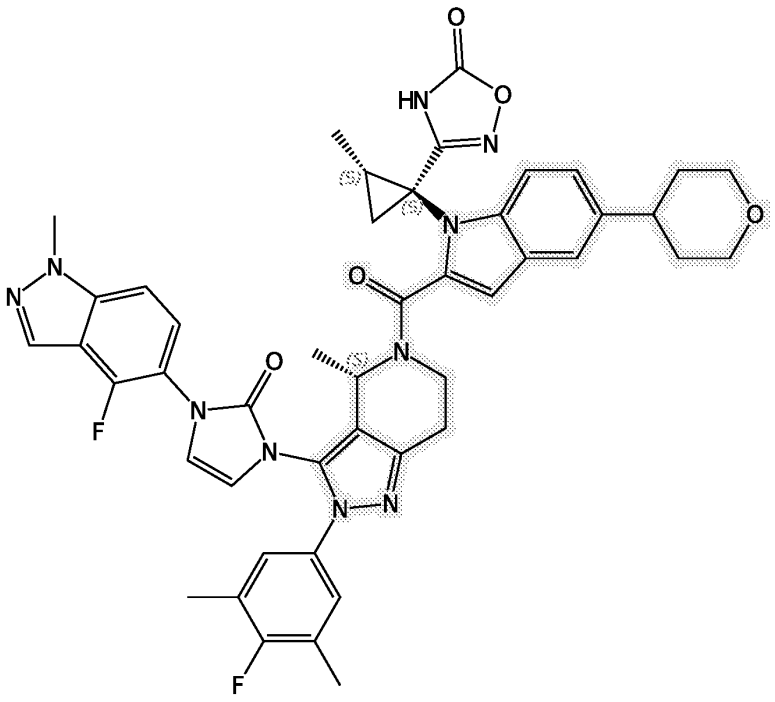
Absolute stereochemistry shown

RN 2212019-92-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[1-[(3S)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



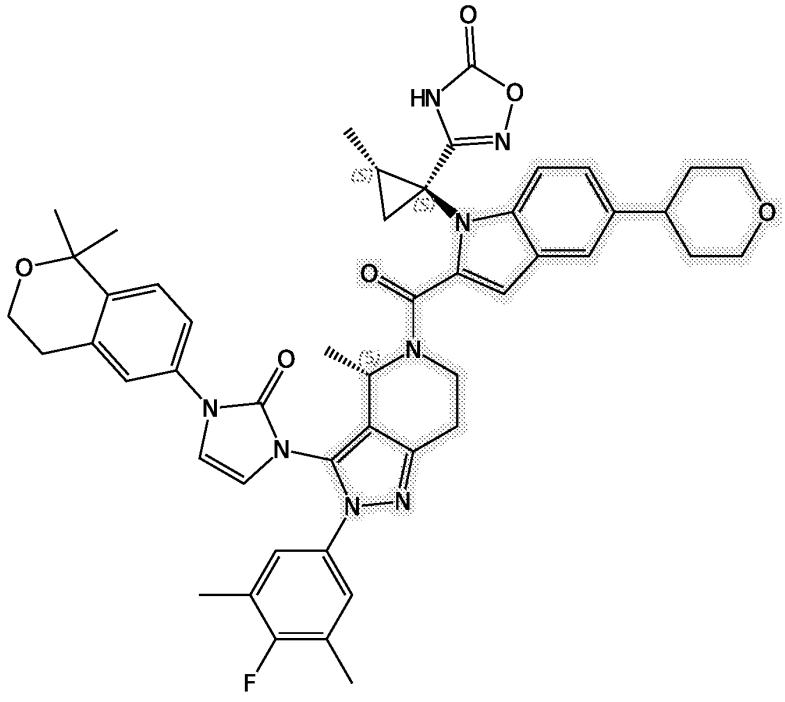
Absolute stereochemistry shown

RN 2212019-93-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



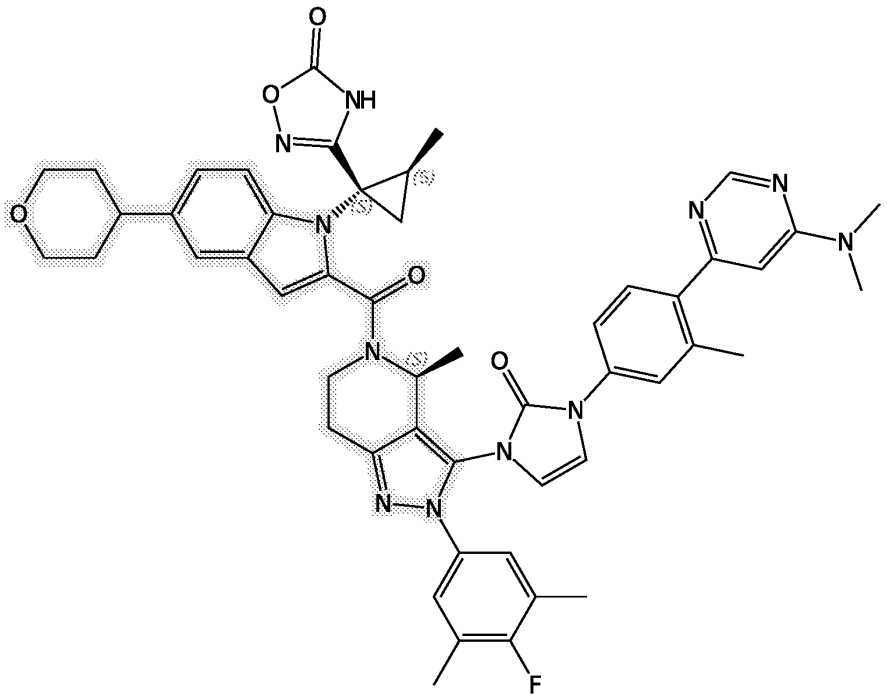
Absolute stereochemistry shown

RN 2212019-94-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(3,4-dihydro-1,1-dimethyl-1H-2-benzopyran-6-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



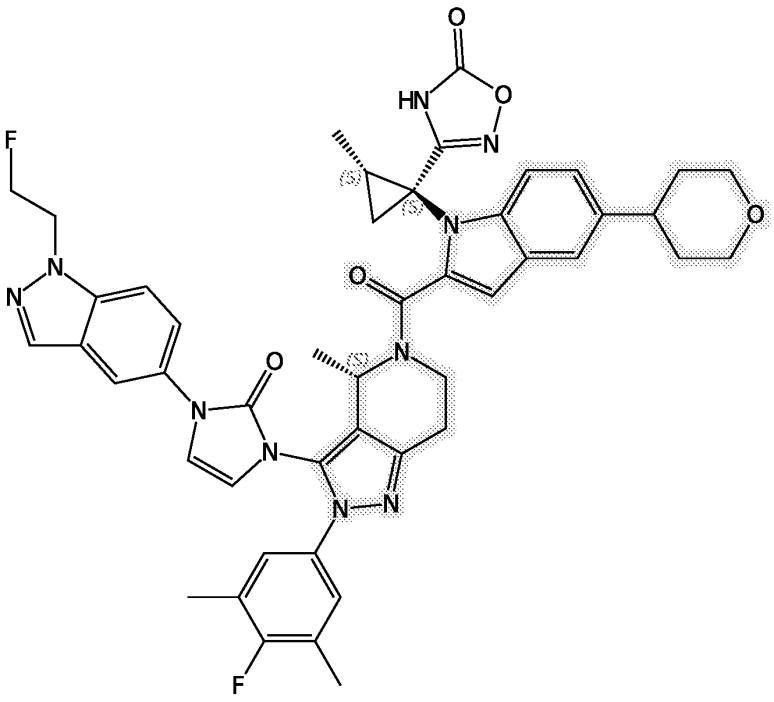
Absolute stereochemistry shown

RN 2212019-95-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[6-(dimethylamino)-4-pyrimidinyl]-3-methylphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



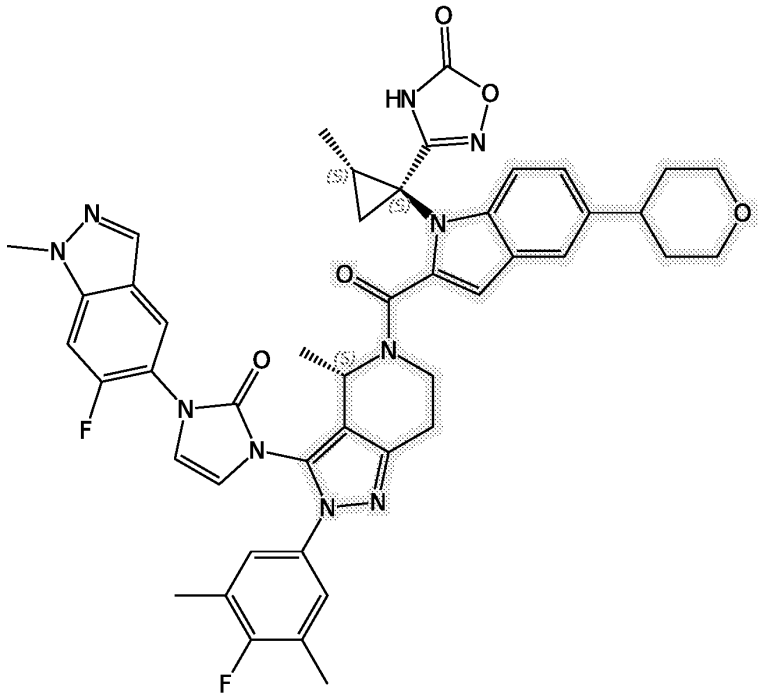
Absolute stereochemistry shown

RN 2212019-96-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-fluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



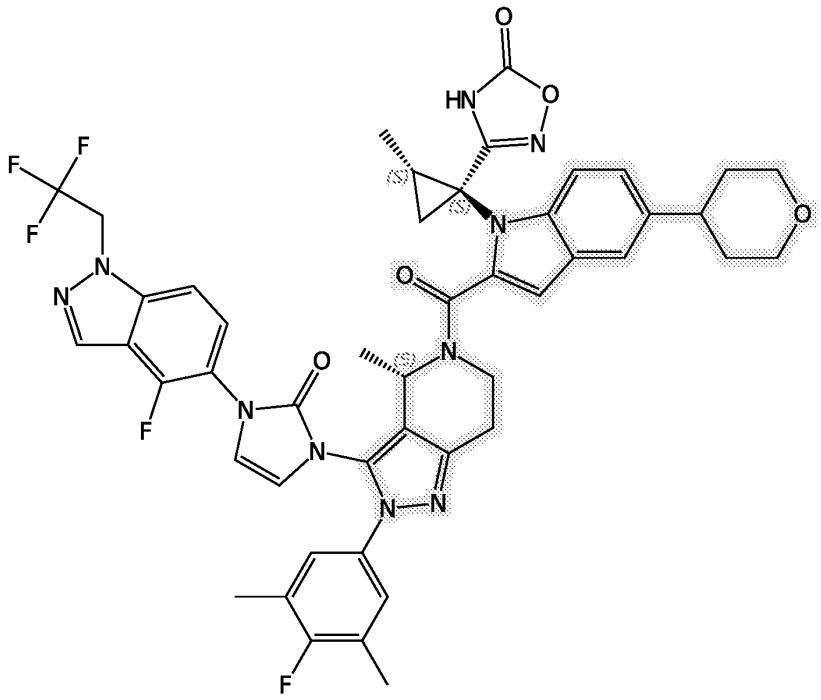
Absolute stereochemistry shown

RN 2212019-97-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



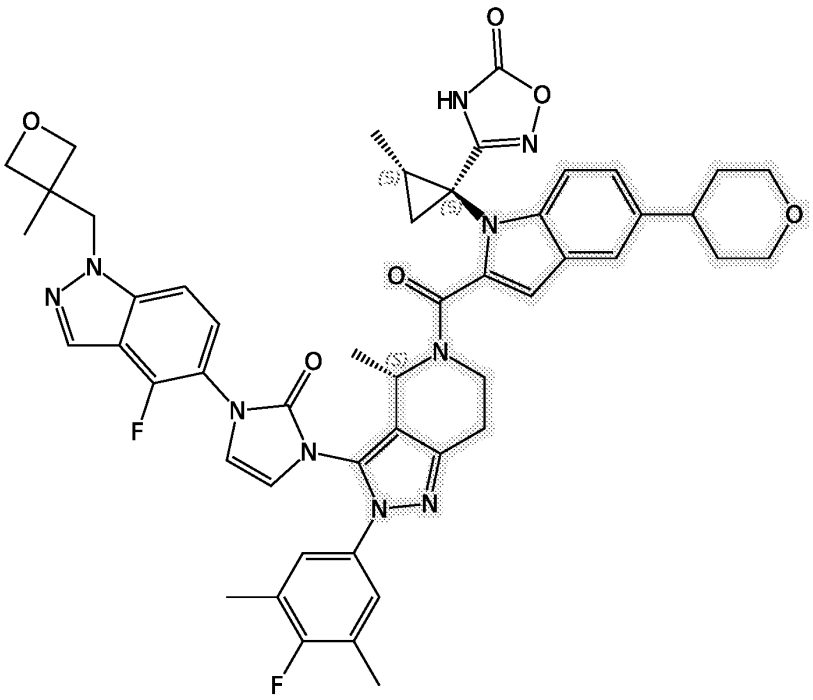
Absolute stereochemistry shown

RN 2212019-98-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



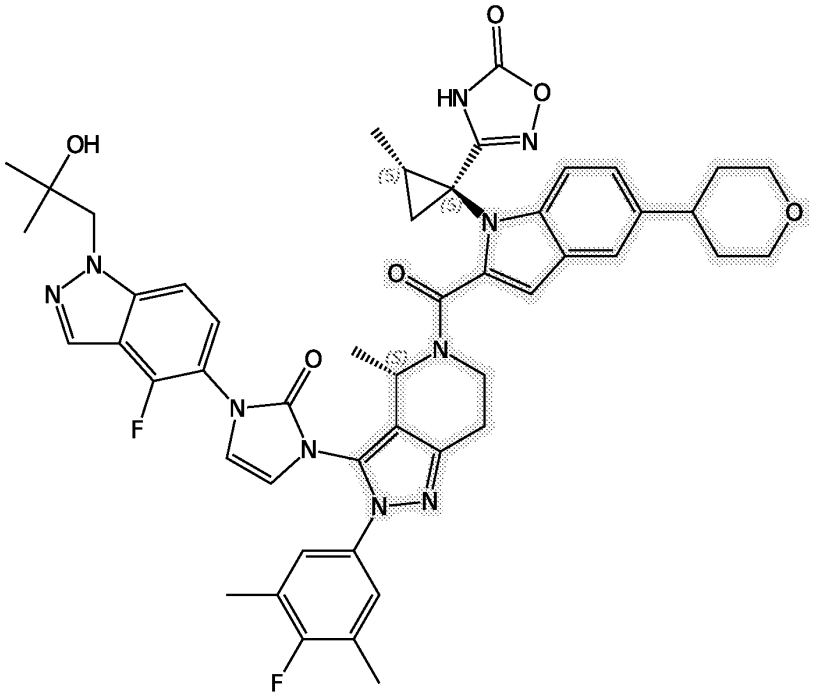
Absolute stereochemistry shown

RN 2212019-99-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3-methyl-3-oxetanyl)methyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



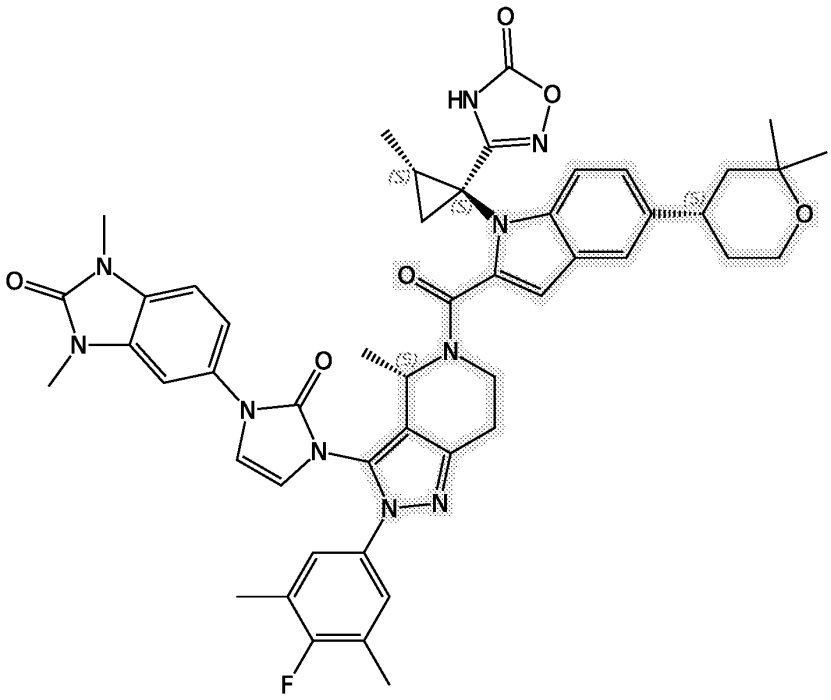
Absolute stereochemistry shown

RN 2212020-00-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpropyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



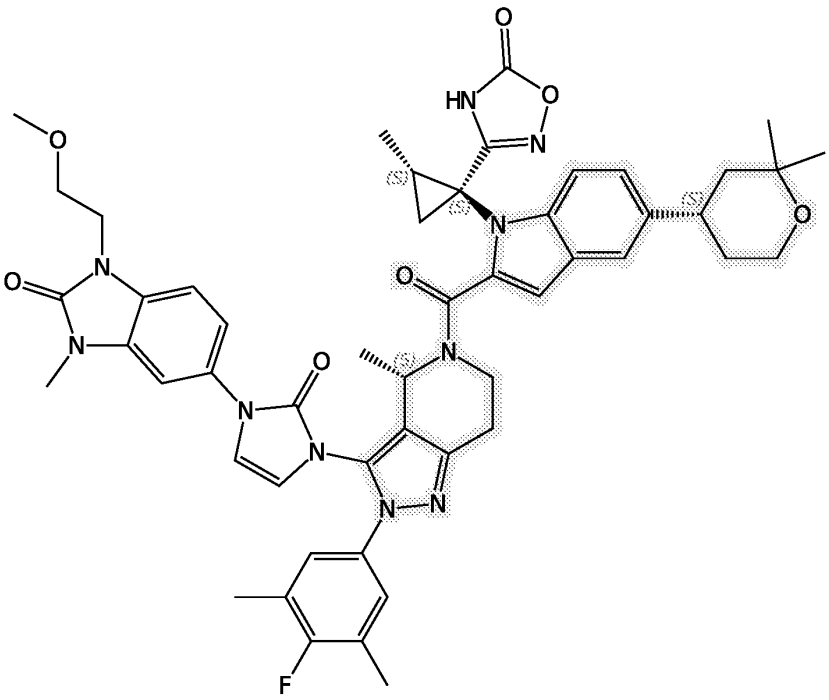
Absolute stereochemistry shown

RN 2212020-02-3 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-1,3-dihydro-1,3-dimethyl- (CA INDEX NAME)



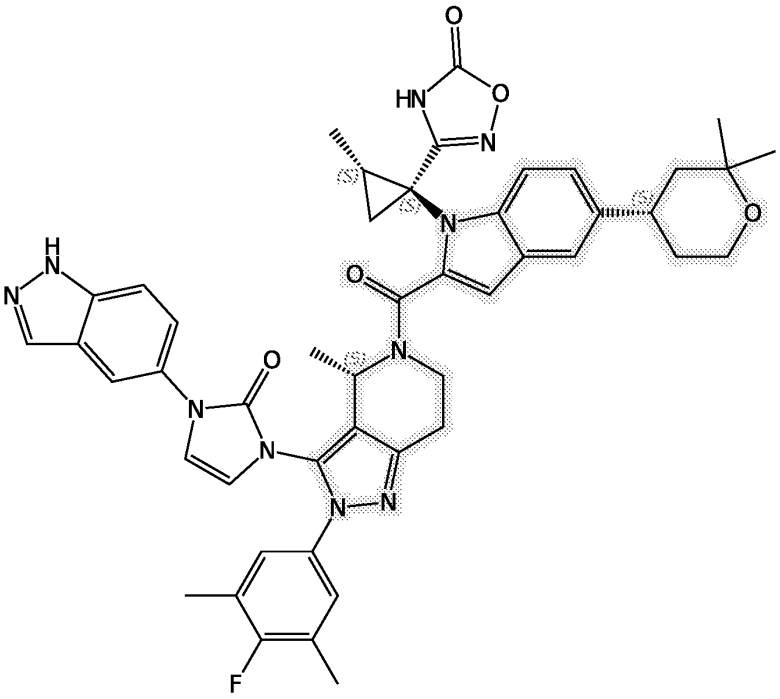
Absolute stereochemistry shown

RN 2212020-03-4 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[3-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-5-[[1-[(1S,2S)-2-methyl-1-(4-oxo-4H-imidazol-2-yl)cyclopropyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-1,3-dihydro-1-(2-methoxyethyl)-3-methyl- (CA INDEX NAME)



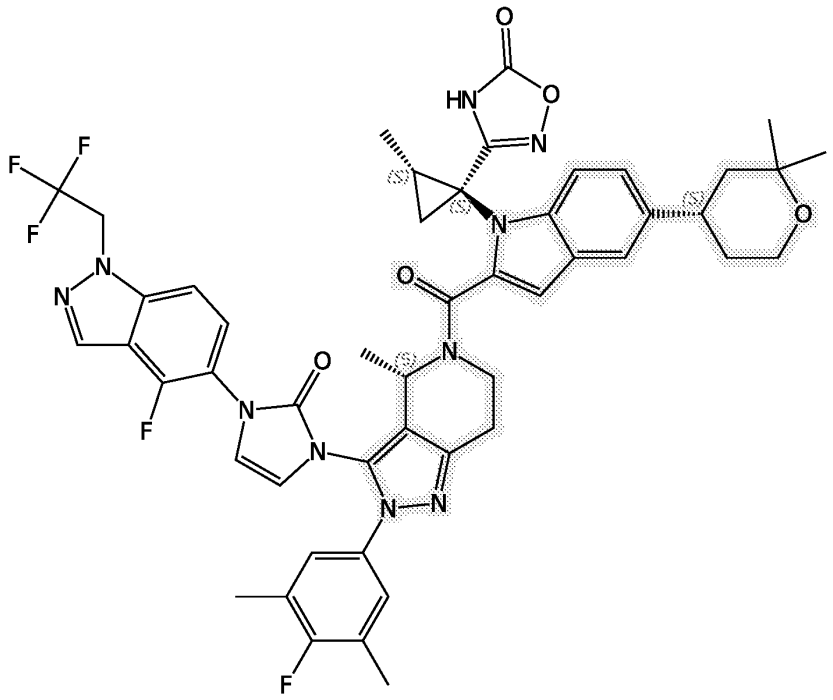
Absolute stereochemistry shown

RN 2212020-04-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1H-inda
zol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrah
ydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-di
methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



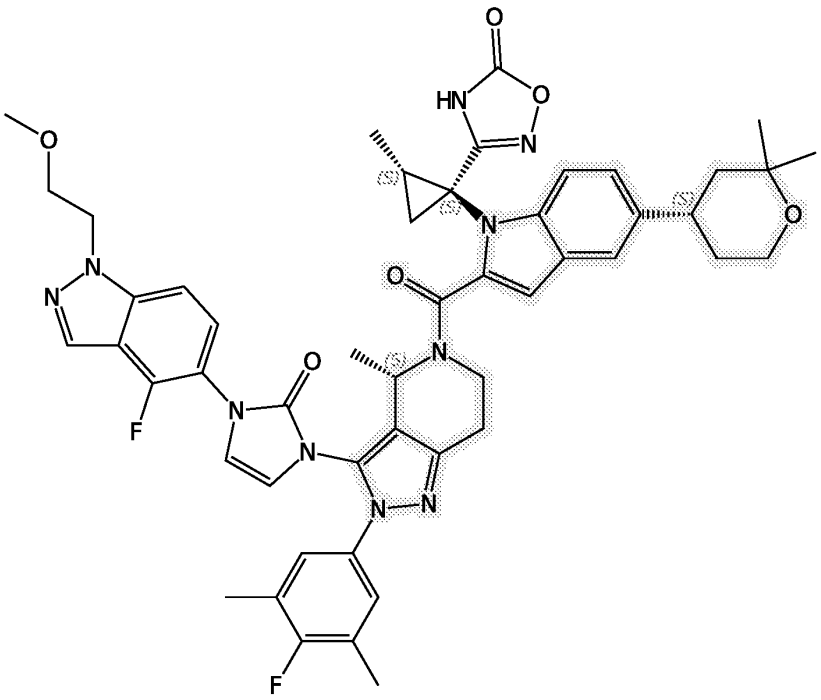
Absolute stereochemistry shown

RN 2212020-05-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



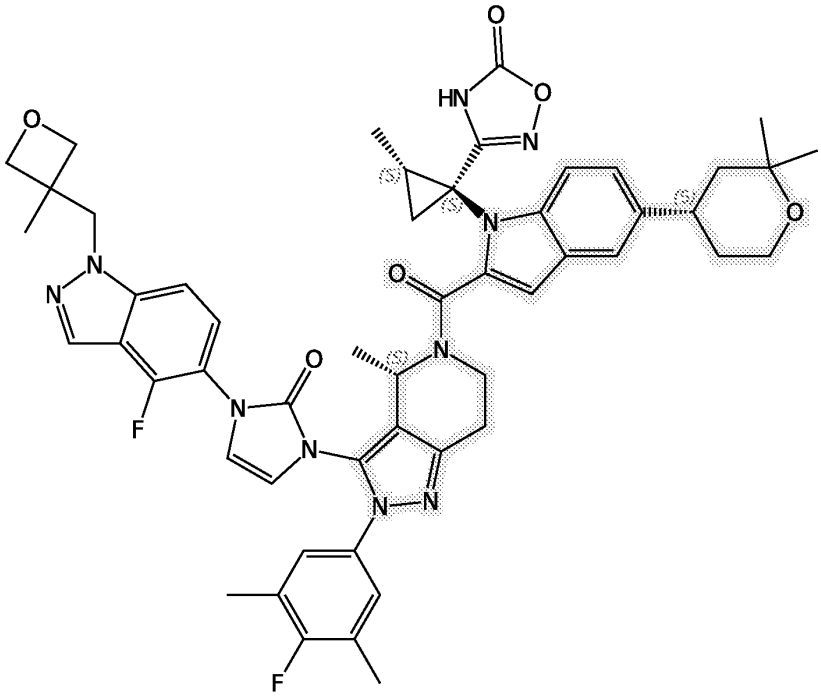
Absolute stereochemistry shown

RN 2212020-06-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



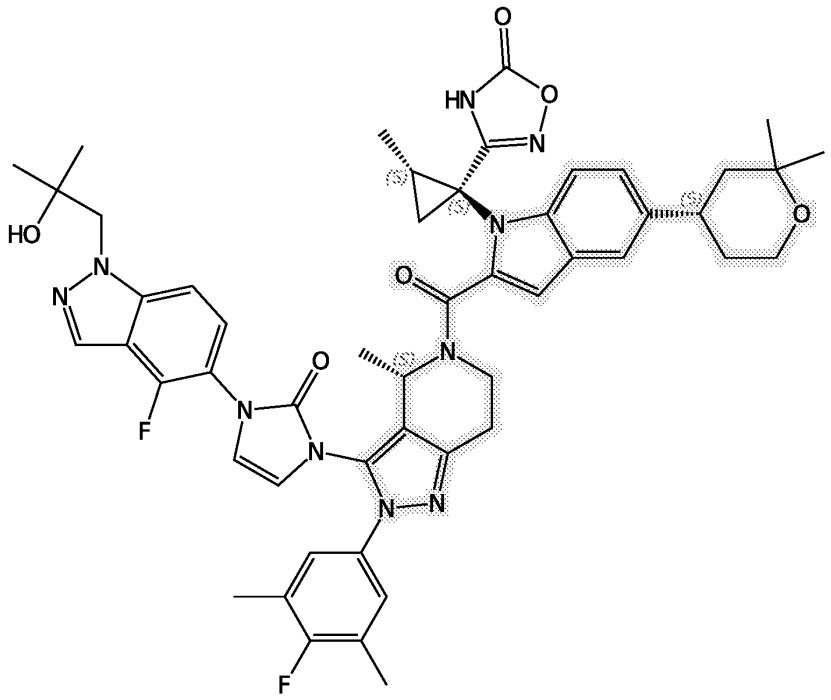
Absolute stereochemistry shown

RN 2212020-07-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3-methyl-3-oxetanyl)methyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



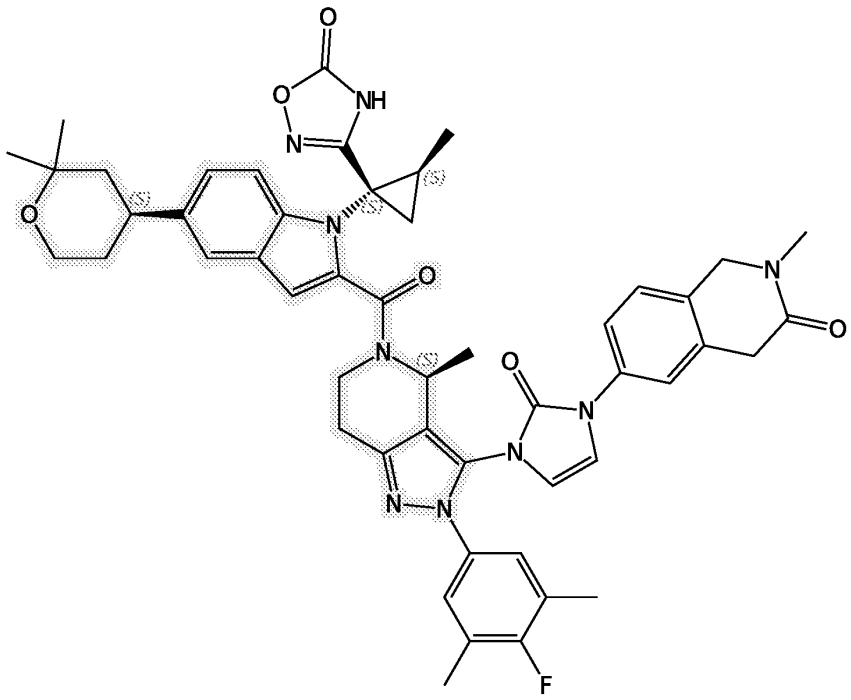
Absolute stereochemistry shown

RN 2212020-08-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpropyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



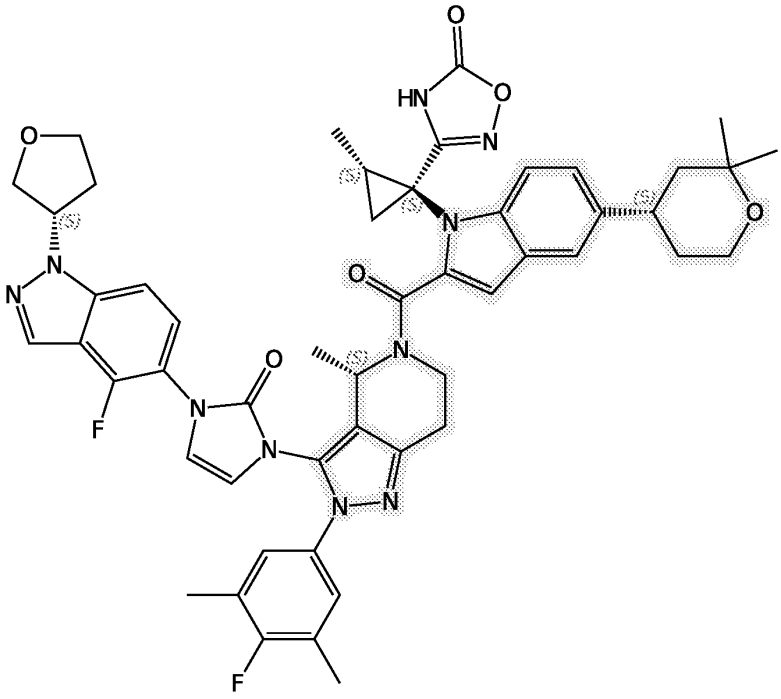
Absolute stereochemistry shown

RN 2212020-09-0 CAPLUS
CN 3(2H)-Isoquinolinone, 6-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-1,4-dihydro-2-methyl- (CA INDEX NAME)



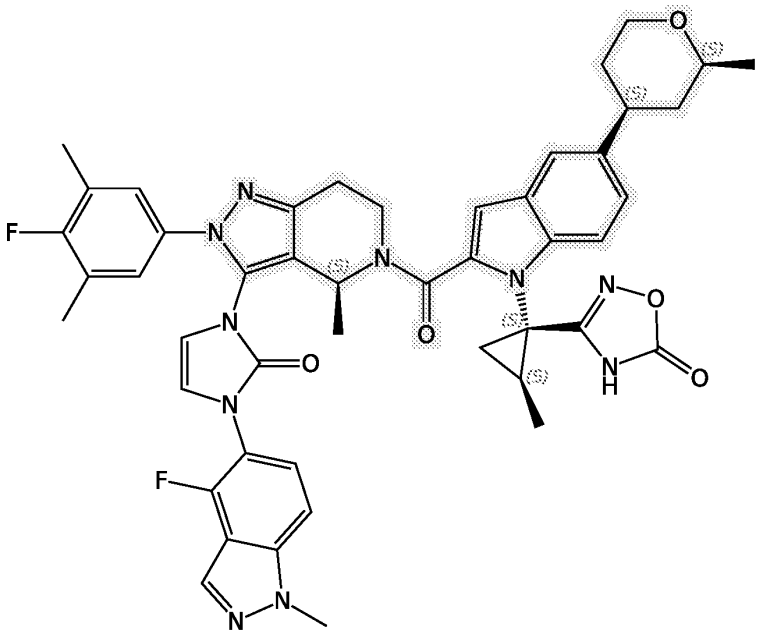
Absolute stereochemistry shown

RN 2212020-10-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3S)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



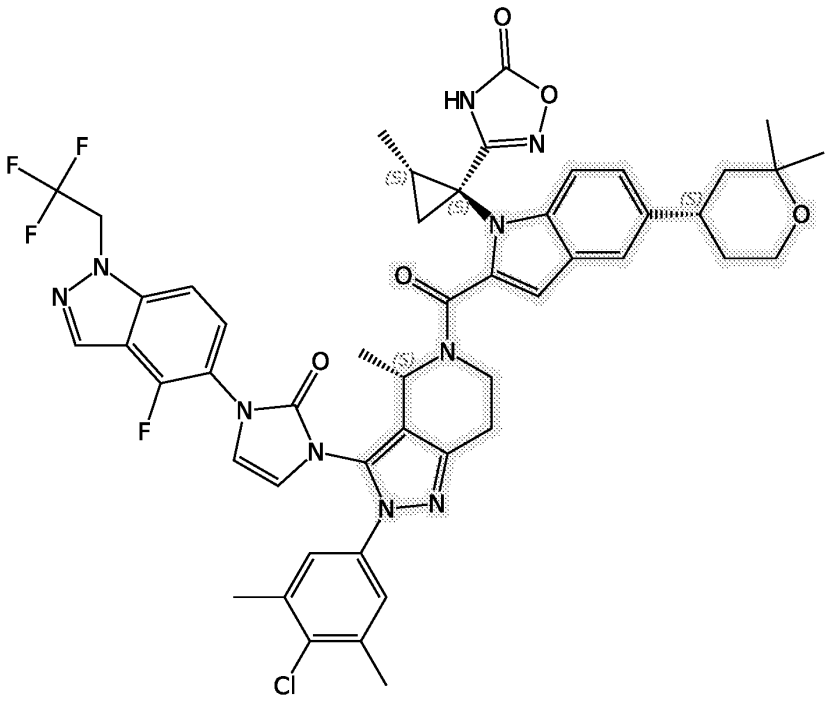
Absolute stereochemistry shown

RN 2212020-11-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



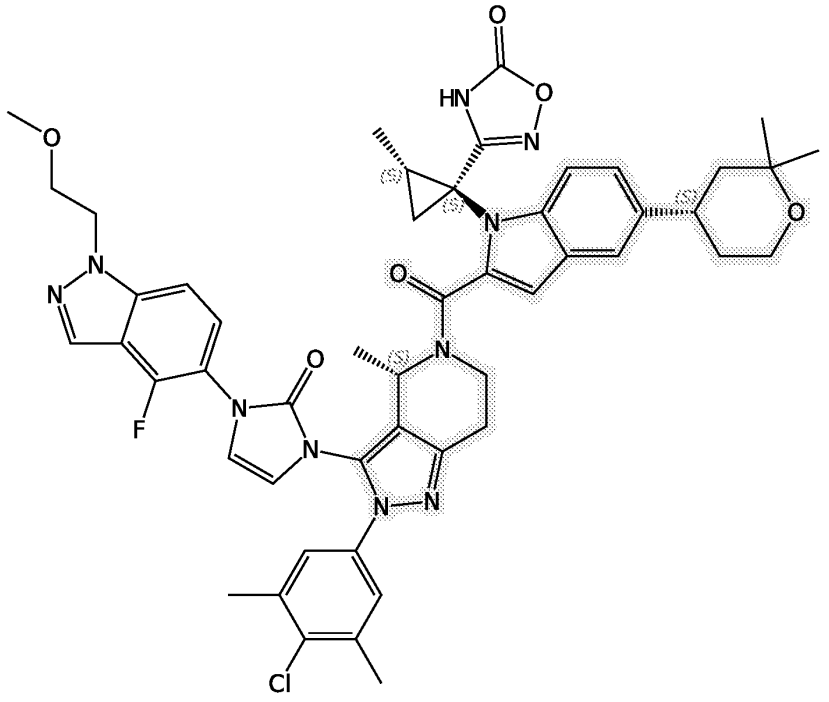
Absolute stereochemistry shown

RN 2212020-12-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



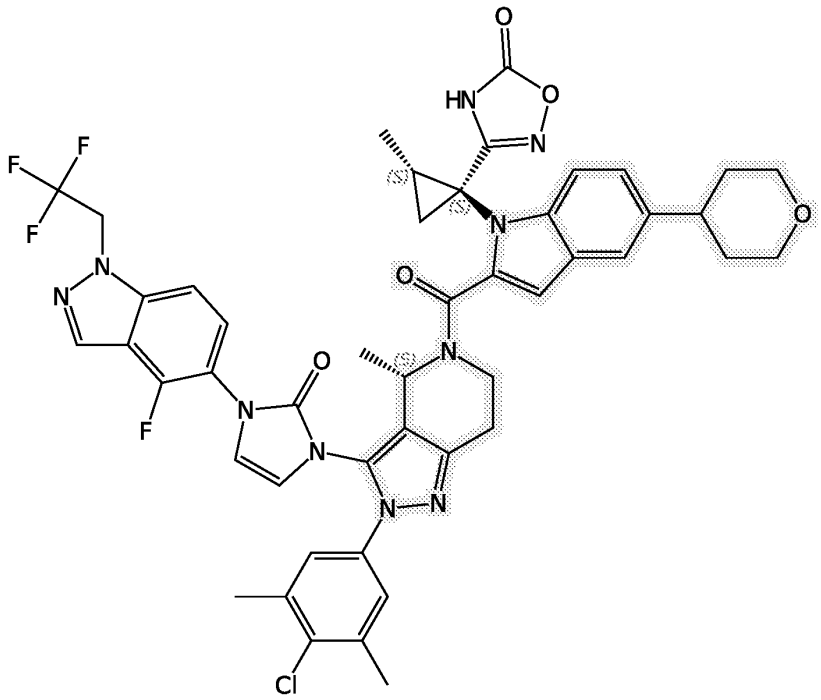
Absolute stereochemistry shown

RN 2212020-13-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



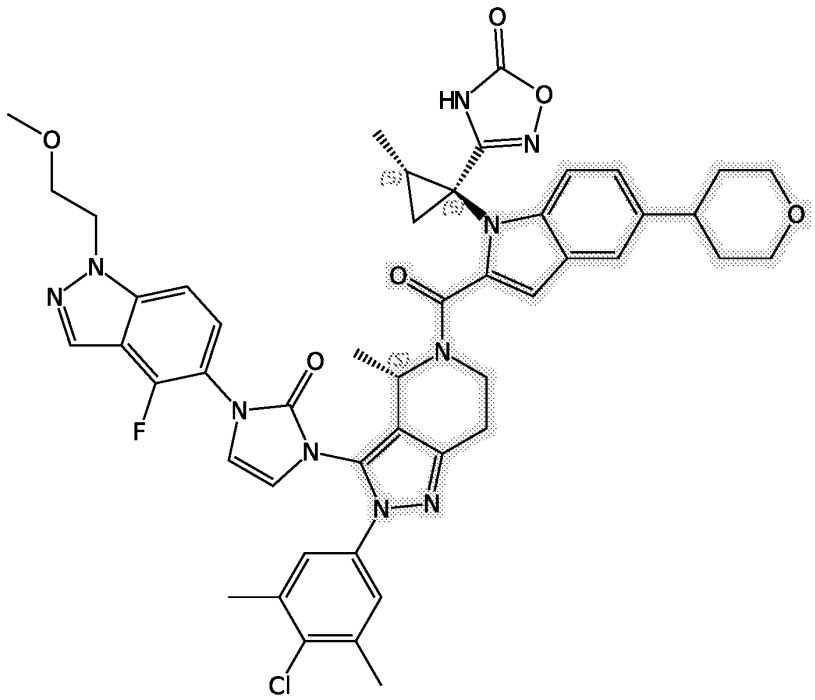
Absolute stereochemistry shown

RN 2212020-14-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



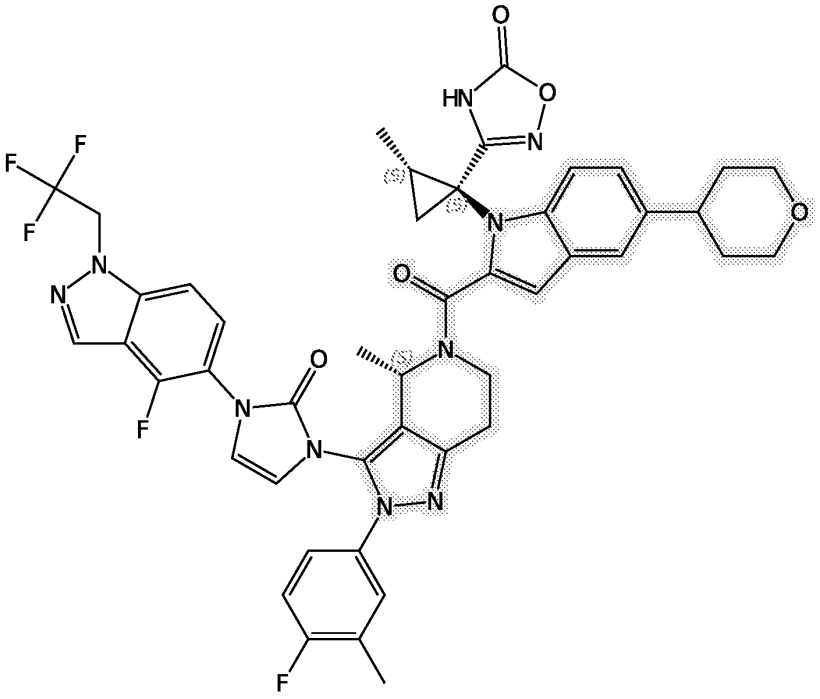
Absolute stereochemistry shown

RN 2212020-15-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



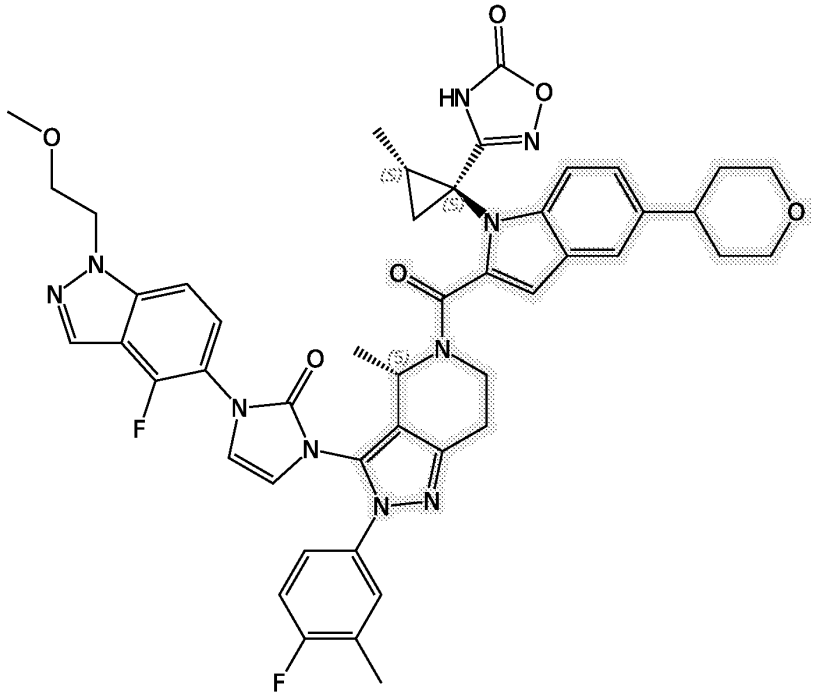
Absolute stereochemistry shown

RN 2212020-16-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3-methylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



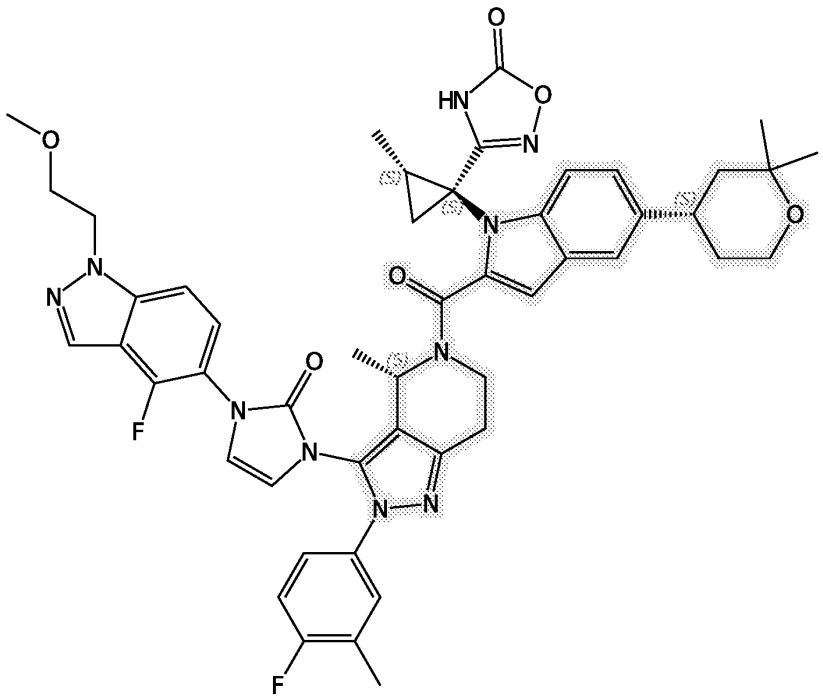
Absolute stereochemistry shown

RN 2212020-17-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-fluoro-1-(2-metho
xyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-meth
ylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5
-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX
NAME)



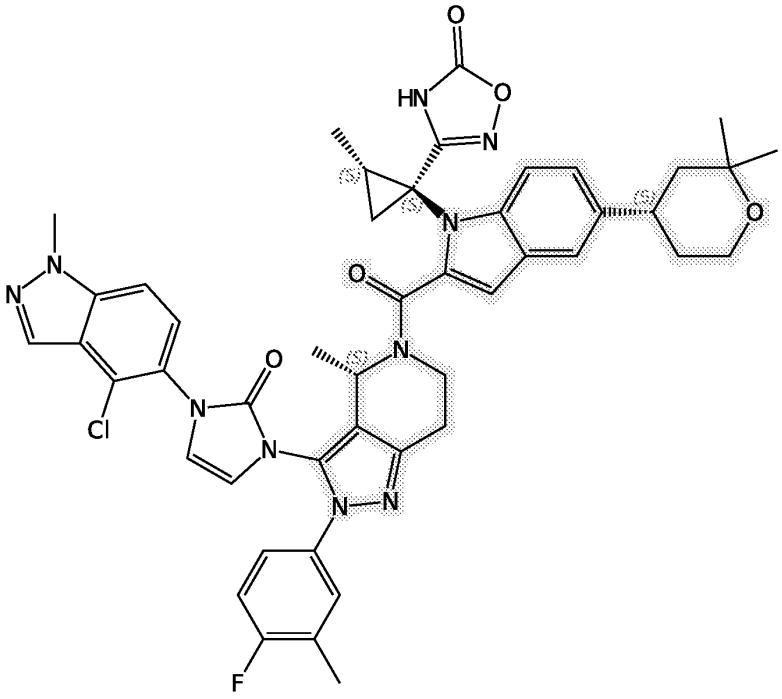
Absolute stereochemistry shown

RN 2212020-18-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-fluoro-1-(2-metho
xyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-meth
ylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5
-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl
]- (CA INDEX NAME)



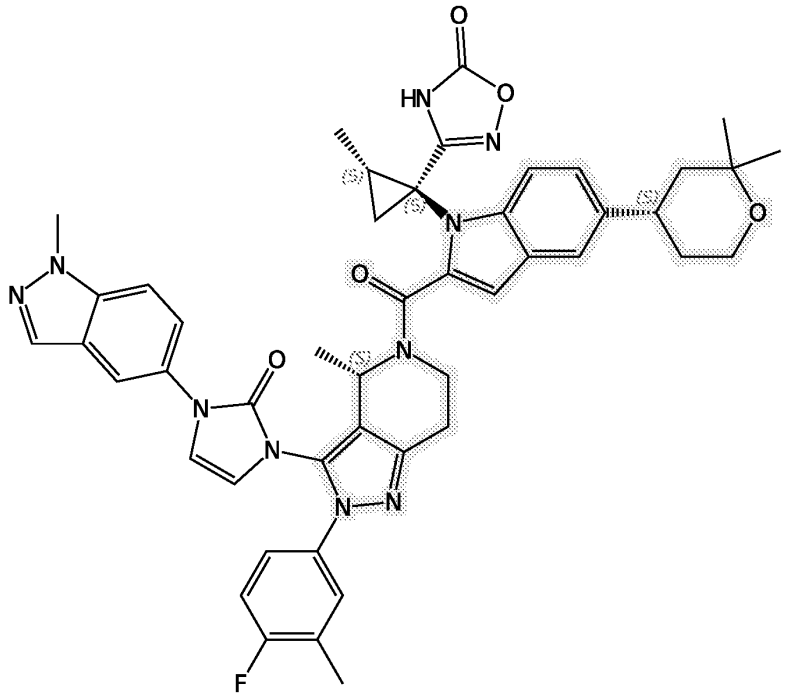
Absolute stereochemistry shown

RN 2212020-19-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-chloro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



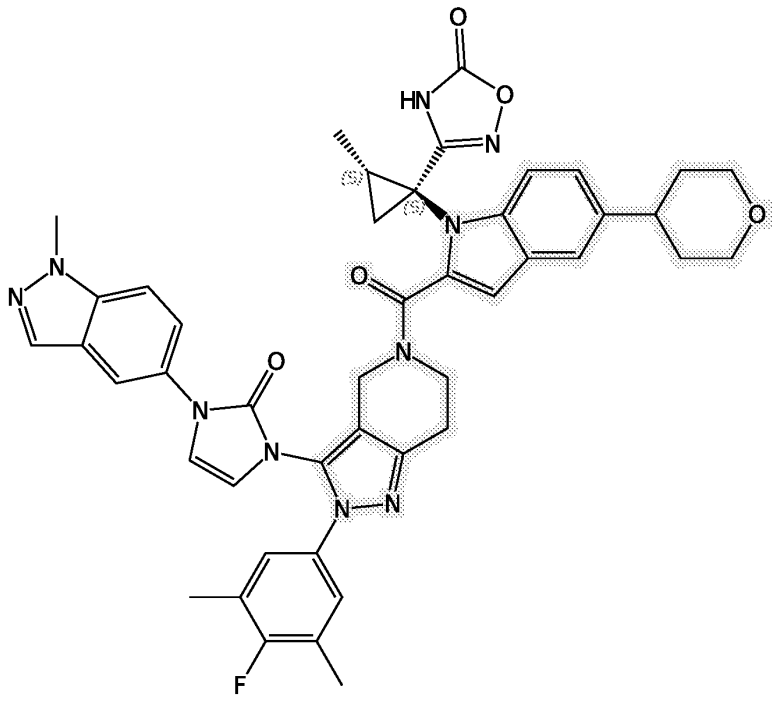
Absolute stereochemistry shown

RN 2212020-20-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



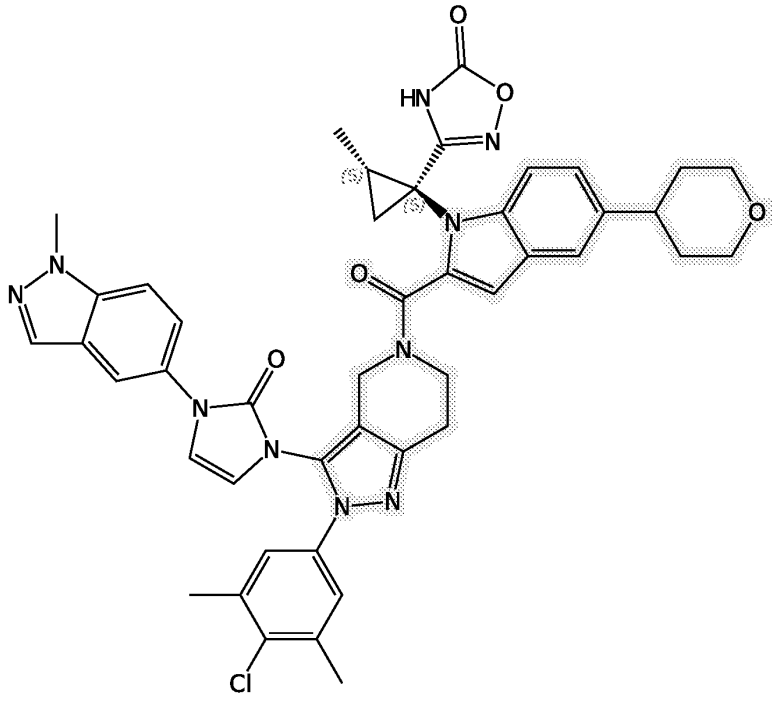
Absolute stereochemistry shown

RN 2212020-39-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



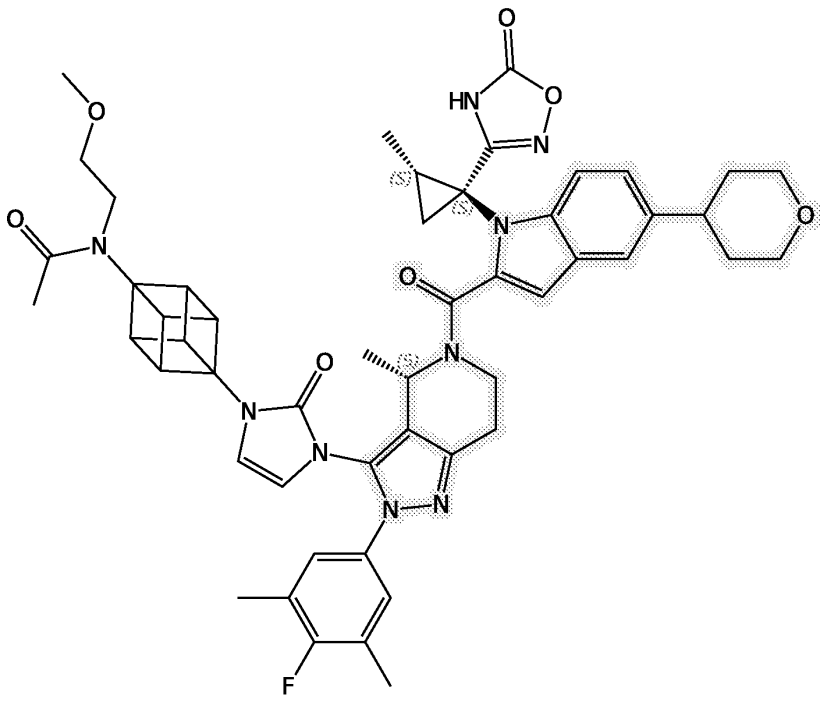
Absolute stereochemistry shown

RN 2212020-40-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-chloro-3,5-dimethylphenyl)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



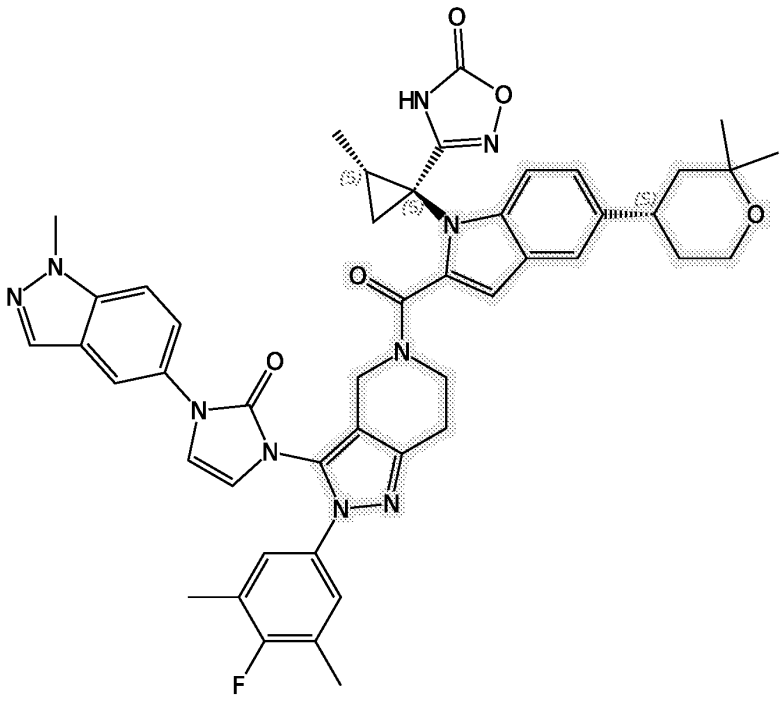
Absolute stereochemistry shown

RN 2212020-42-1 CAPLUS
CN Acetamide, N-[4-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazo
l-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl
]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]
pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]pentacyclo[4.2.0.02,5.03,8.04,7
]octyl]-N-(2-methoxyethyl)- (CA INDEX
NAME)



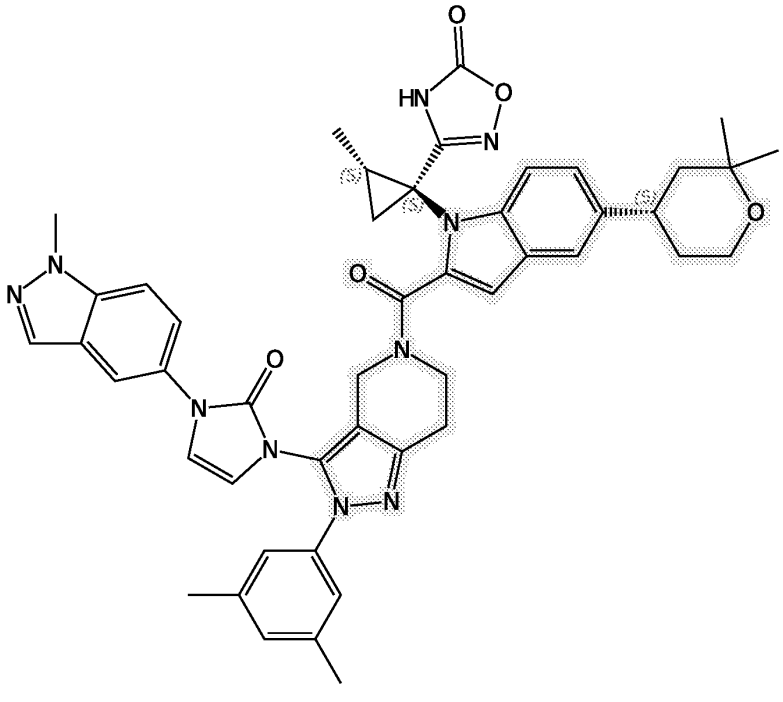
Absolute stereochemistry shown

RN 2212020-44-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-
indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-te
trahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethy
l-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-(CA INDEX NAME)



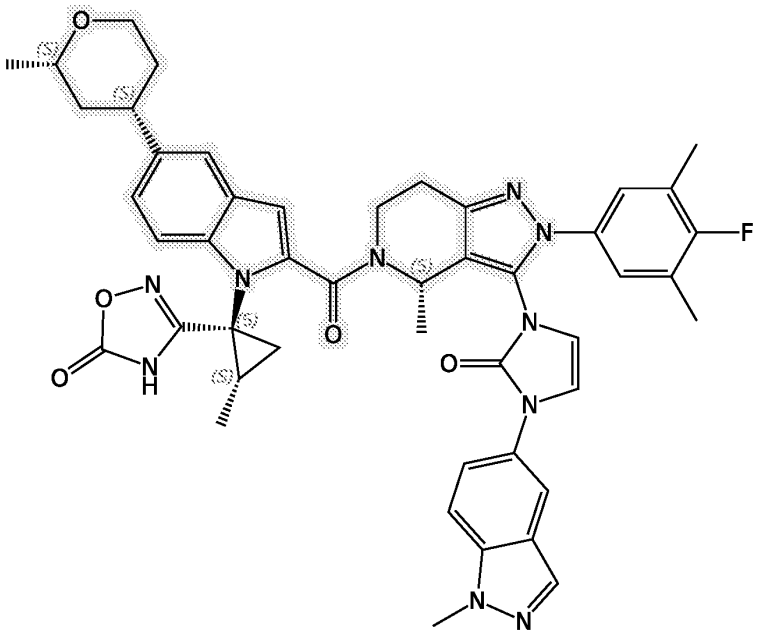
Absolute stereochemistry shown

RN 2212020-45-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



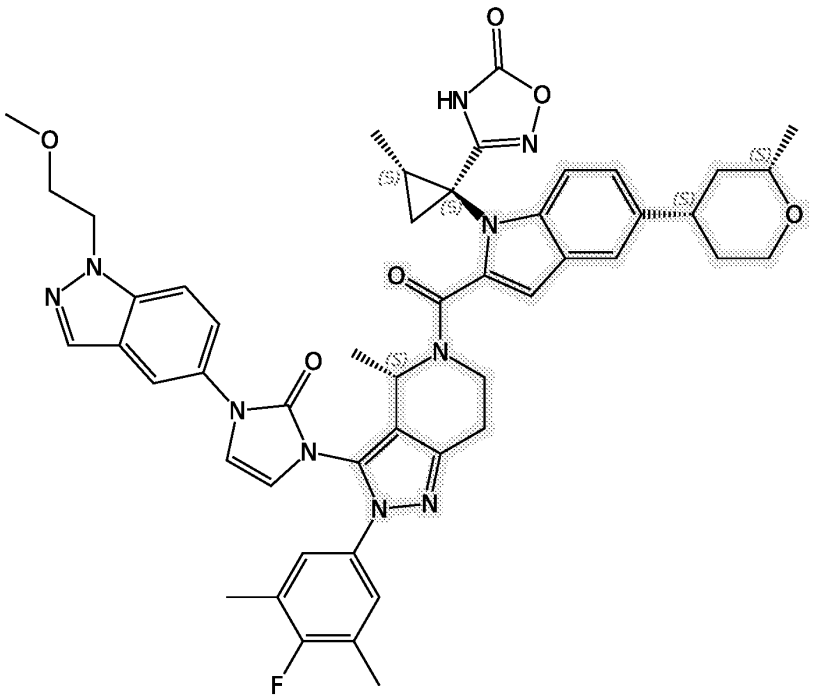
Absolute stereochemistry shown

RN 2212020-46-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



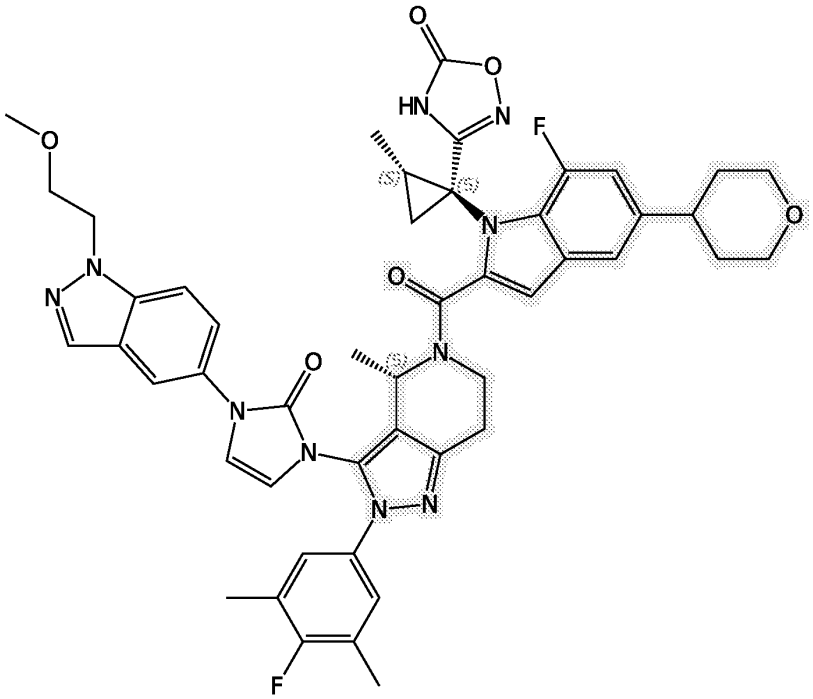
Absolute stereochemistry shown

RN 2212020-47-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



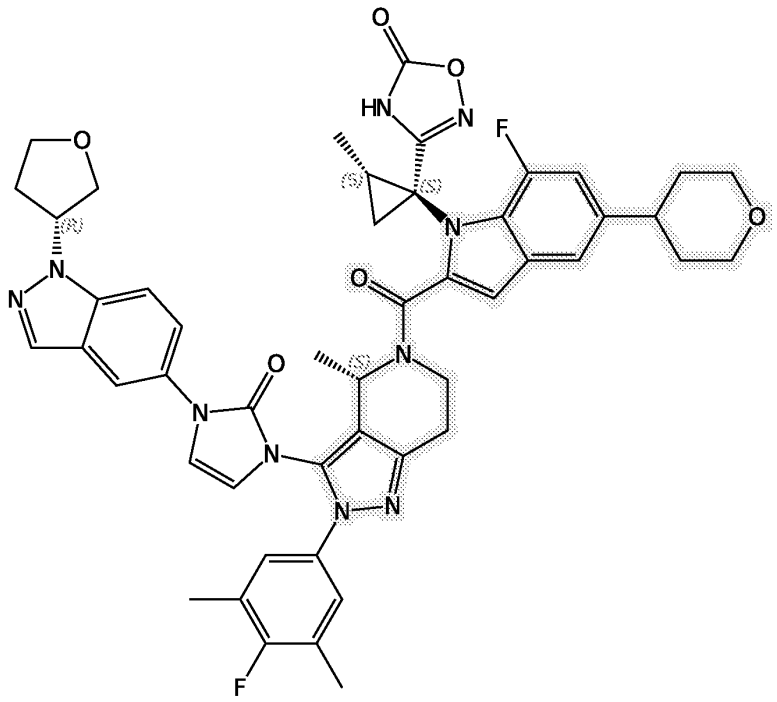
Absolute stereochemistry shown

RN 2212020-48-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-7-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



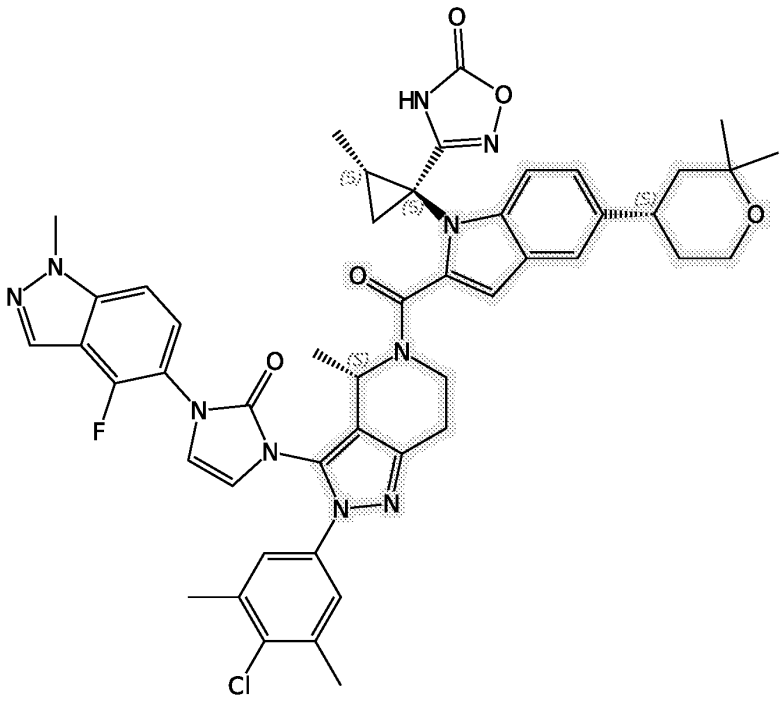
Absolute stereochemistry shown

RN 2212020-49-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[1-[(3R)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-7-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



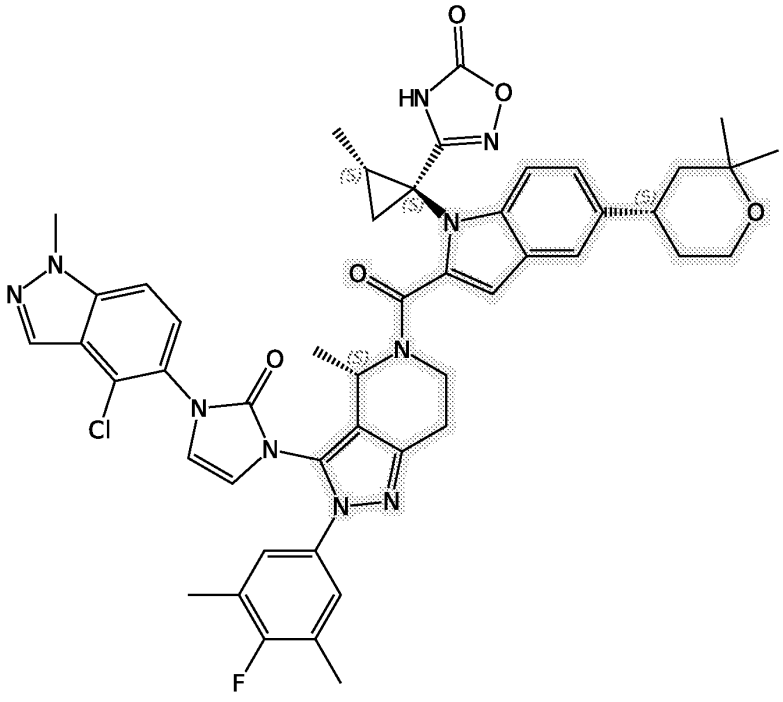
Absolute stereochemistry shown

RN 2212020-50-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



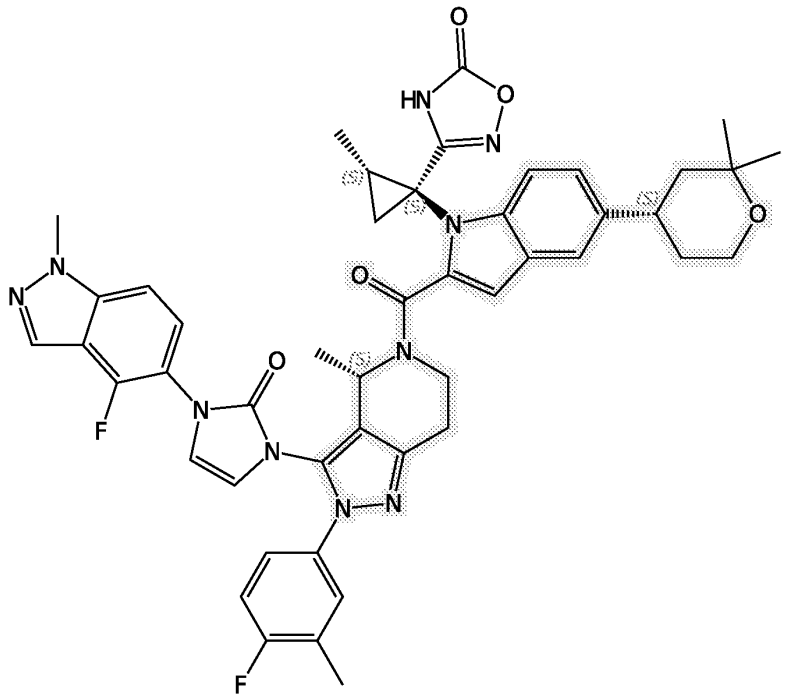
Absolute stereochemistry shown

RN 2212020-53-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-chloro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



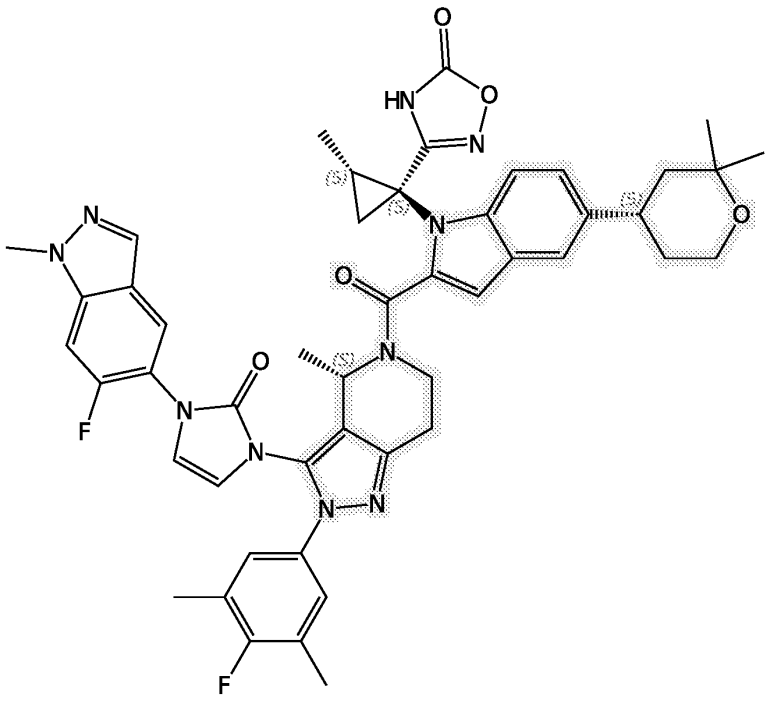
Absolute stereochemistry shown

RN 2212020-54-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



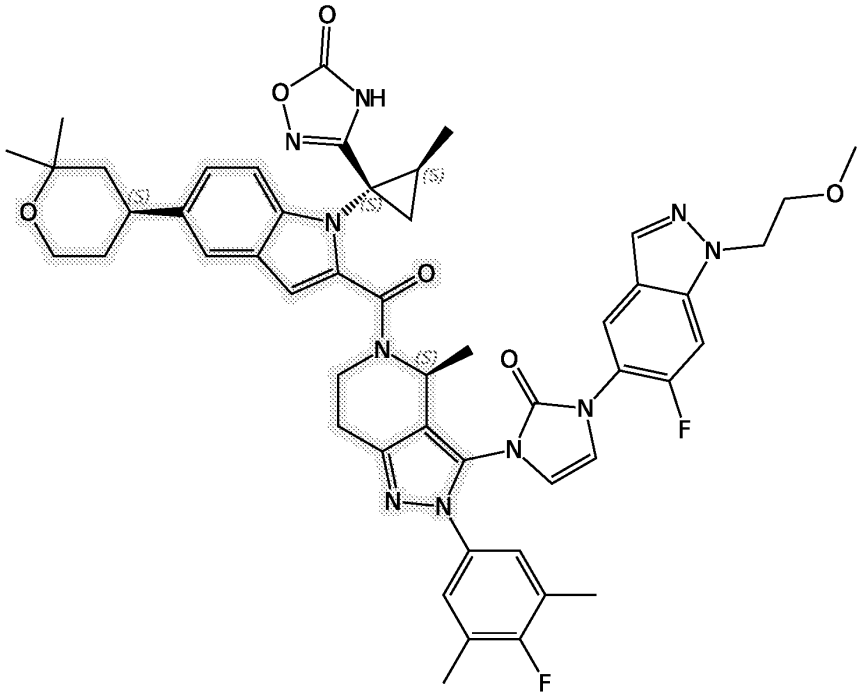
Absolute stereochemistry shown

RN 2212020-55-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



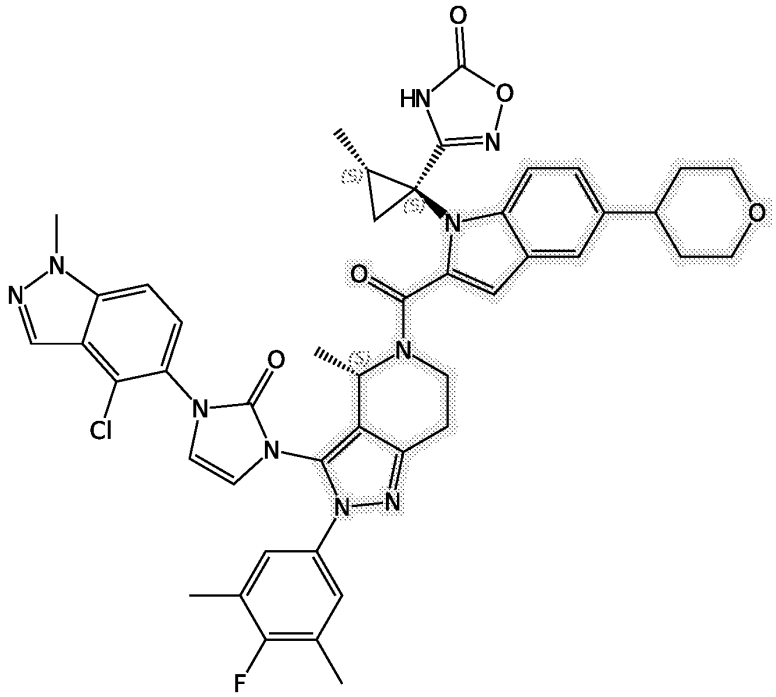
Absolute stereochemistry shown

RN 2212020-56-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[6-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



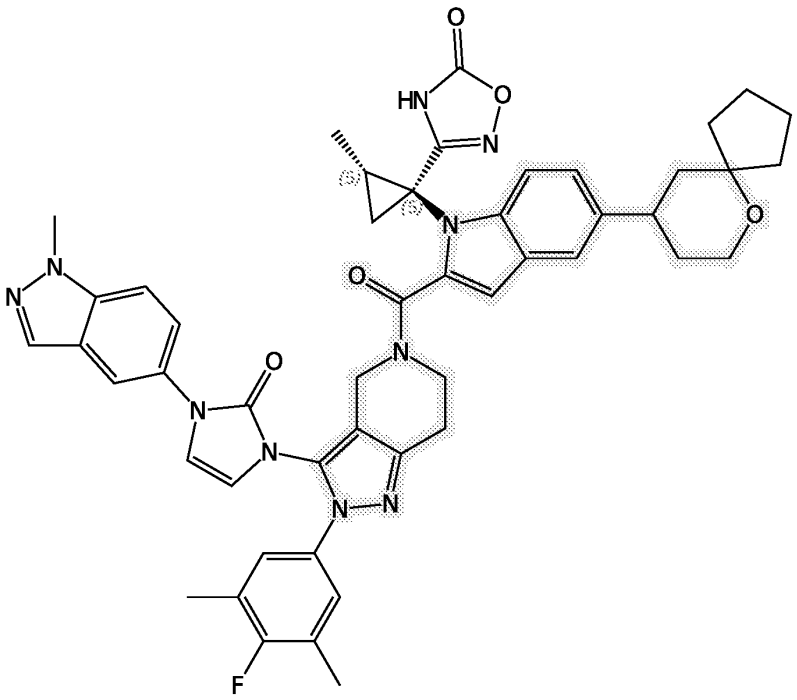
Absolute stereochemistry shown

RN 2212020-57-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-chloro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



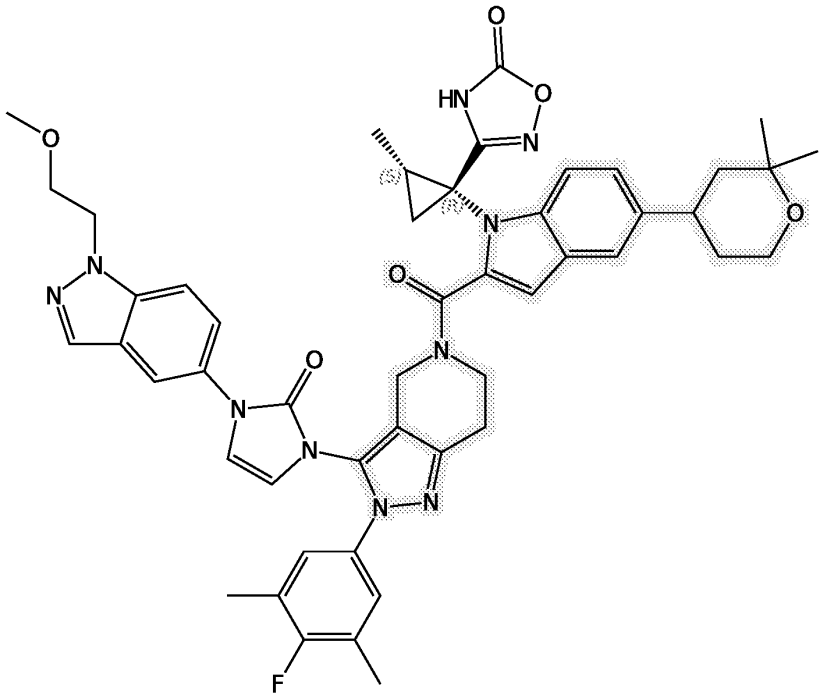
Absolute stereochemistry shown

RN 2212020-60-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(6-oxaspiro[4.5]dec-9-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



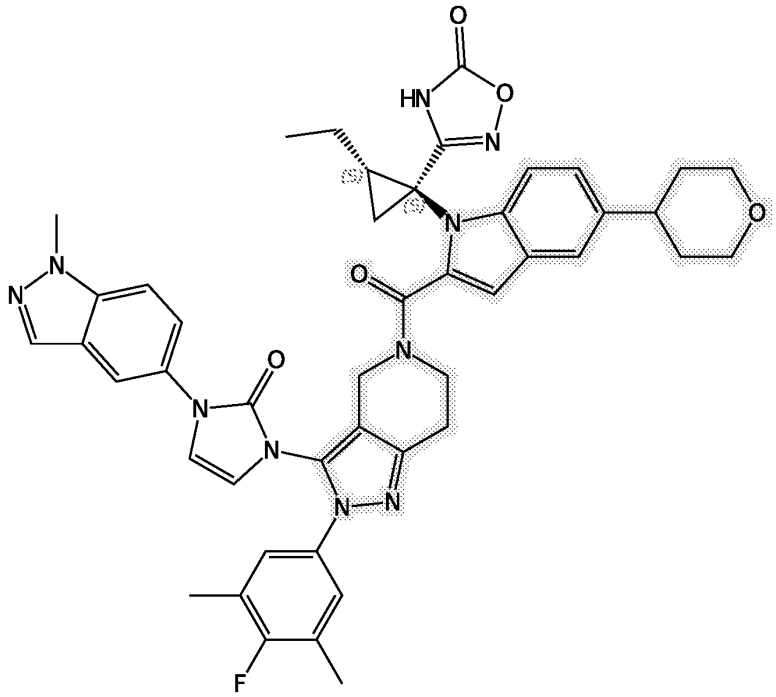
Absolute stereochemistry shown

RN 2212020-61-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1R,2S)-1-[2-[[3-[2,3-dihydro-3-[1-(2-methoxy
ethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-
2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-di
methyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



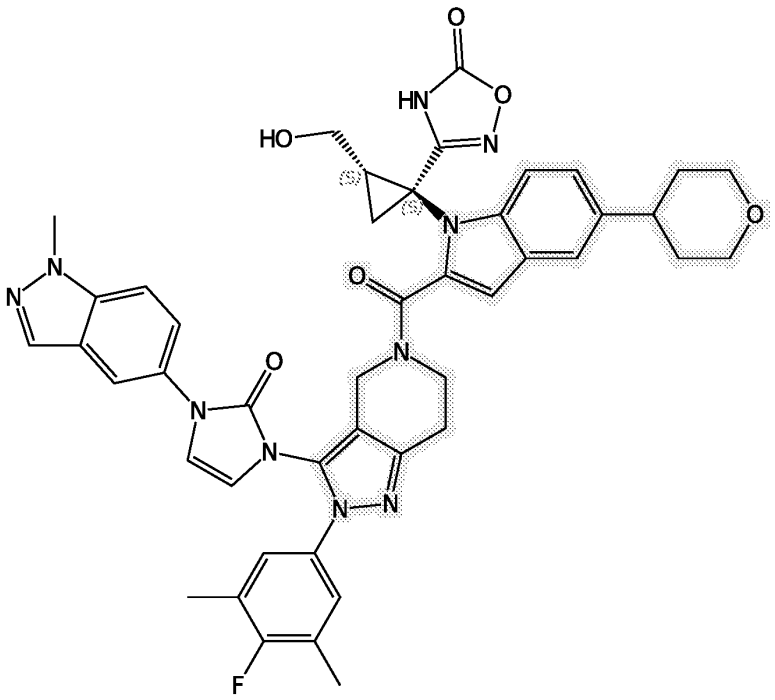
Absolute stereochemistry shown

RN 2212020-63-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-ethylcyclopropyl]- (CA INDEX NAME)



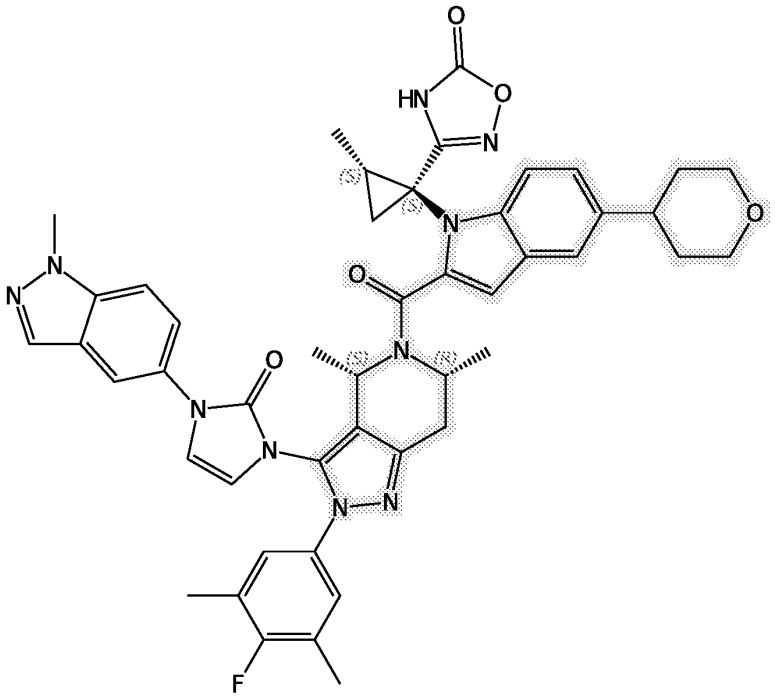
Absolute stereochemistry shown

RN 2212020-64-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(hydroxymethyl)cyclopropyl]- (CA INDEX NAME)



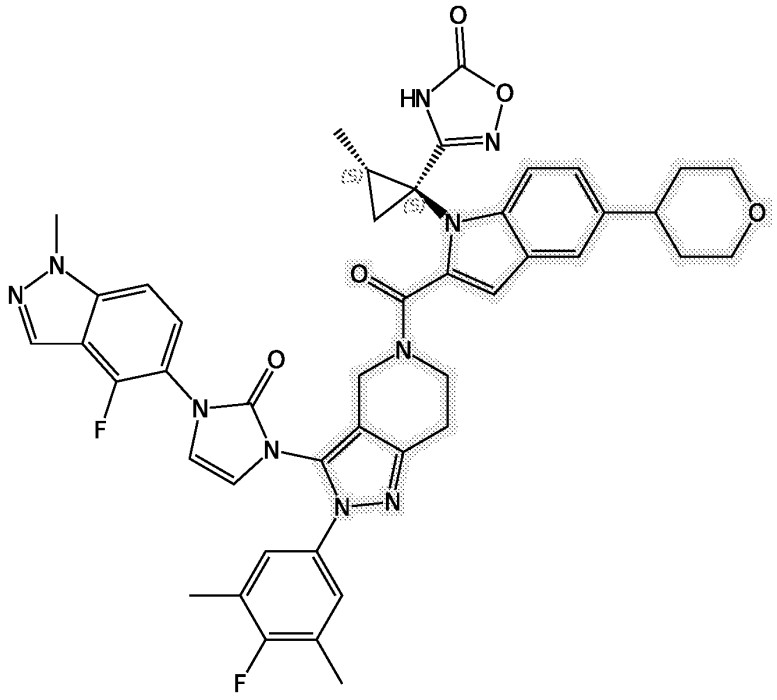
Absolute stereochemistry shown

RN 2212020-65-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S,6R)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4,6-dimethyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



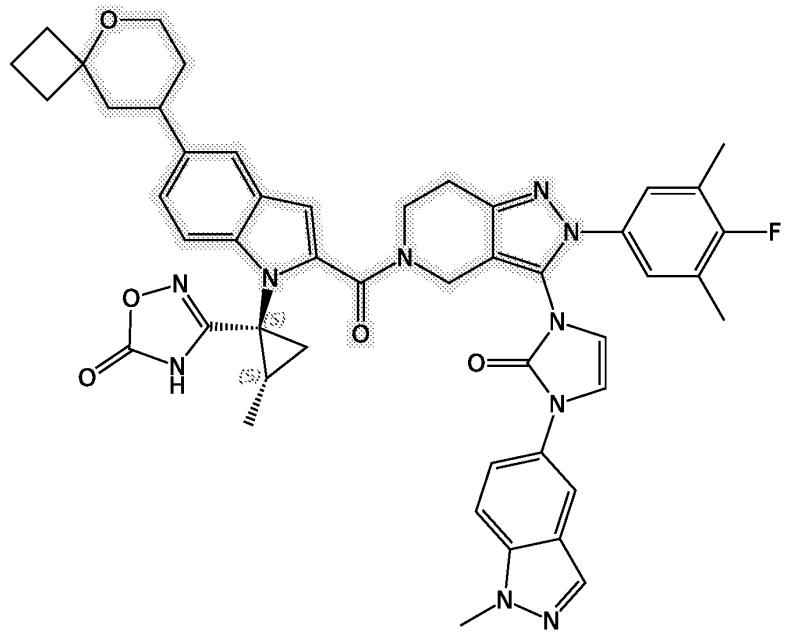
Absolute stereochemistry shown

RN 2212020-74-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



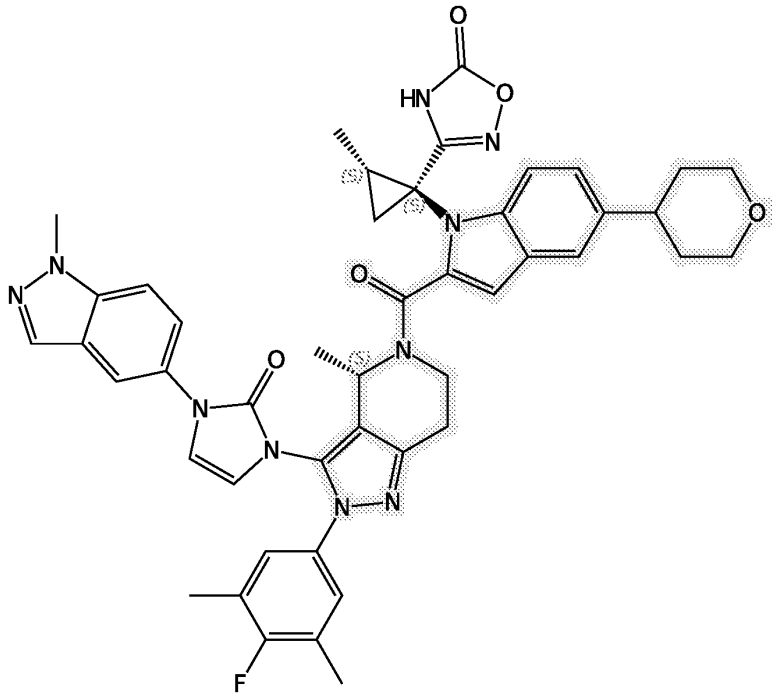
Absolute stereochemistry shown

RN 2212020-78-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(5-oxaspiro[3.5]non-8-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



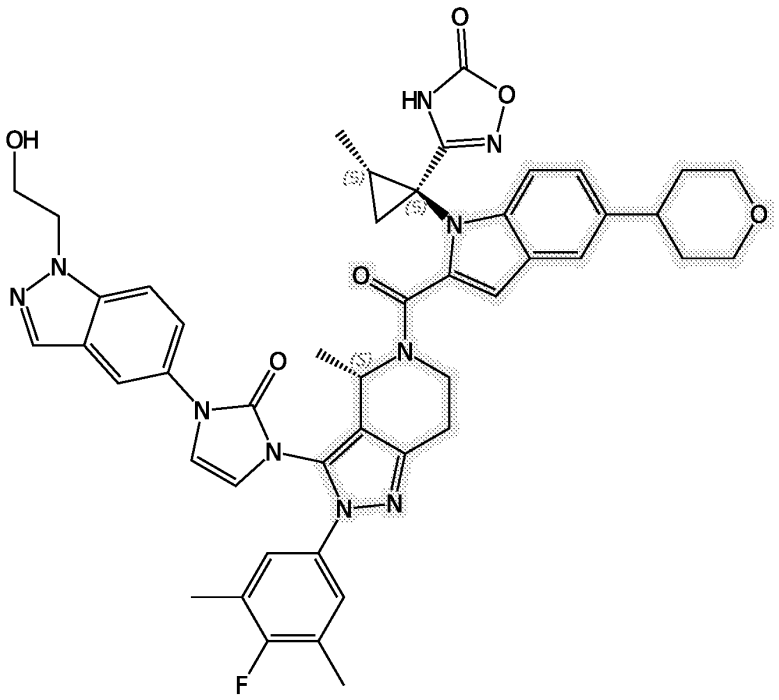
Absolute stereochemistry shown

RN 2212020-81-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



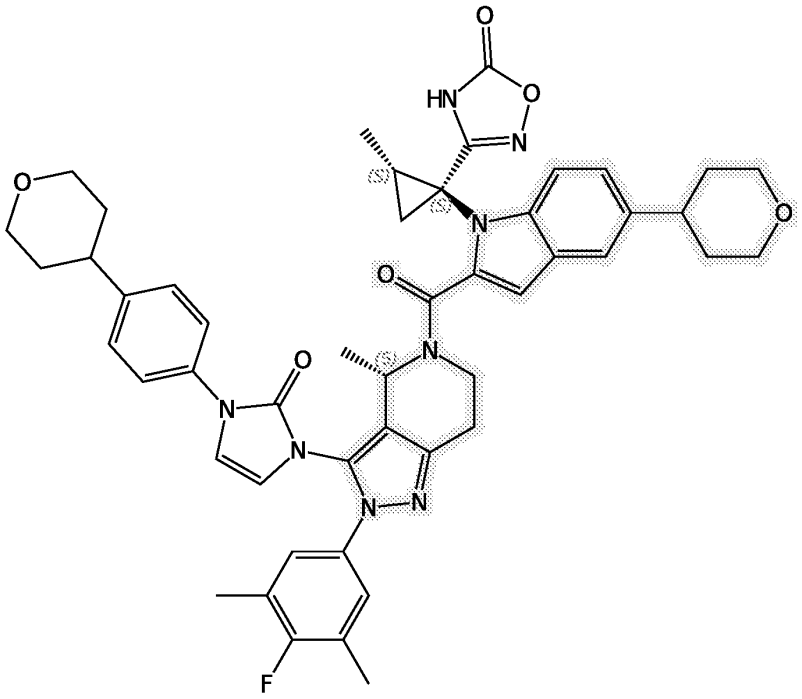
Absolute stereochemistry shown

RN 2212020-82-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-hydroxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



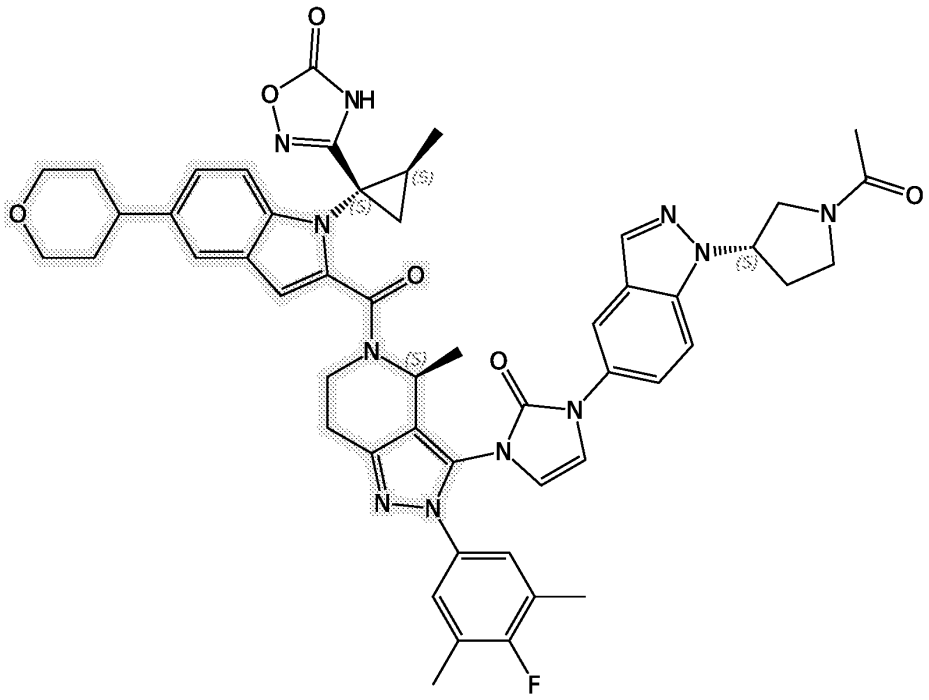
Absolute stereochemistry shown

RN 2212020-83-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[4-(tetrahydro-2H-pyran-4-yl)phenyl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



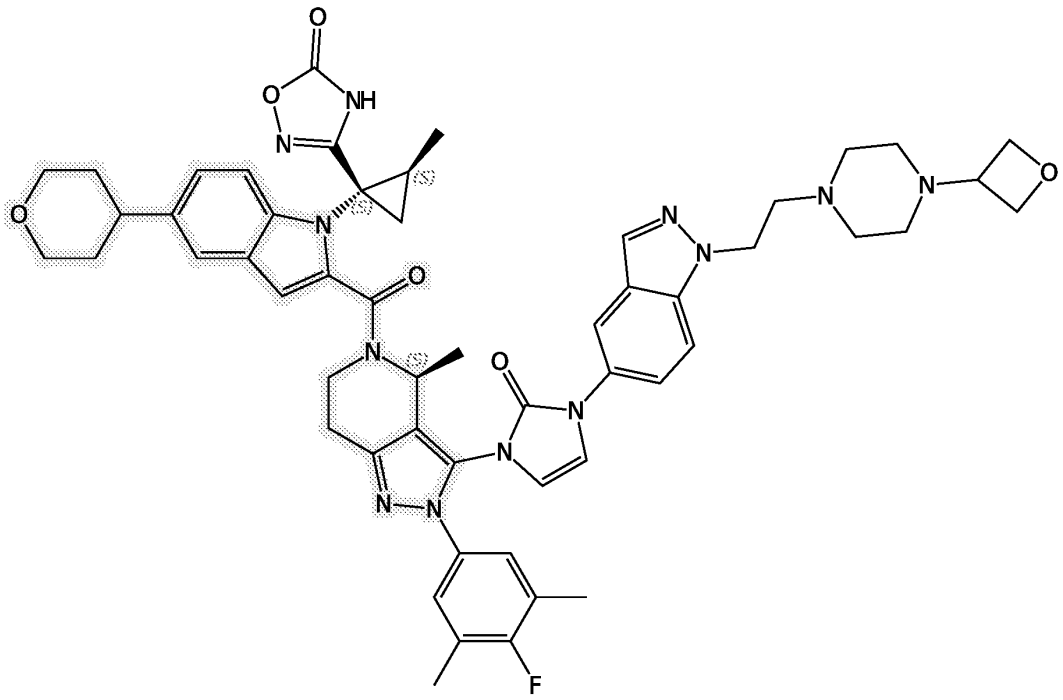
Absolute stereochemistry shown

RN 2212020-84-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-[(3S)-1-acetyl-3-pyrrolidinyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



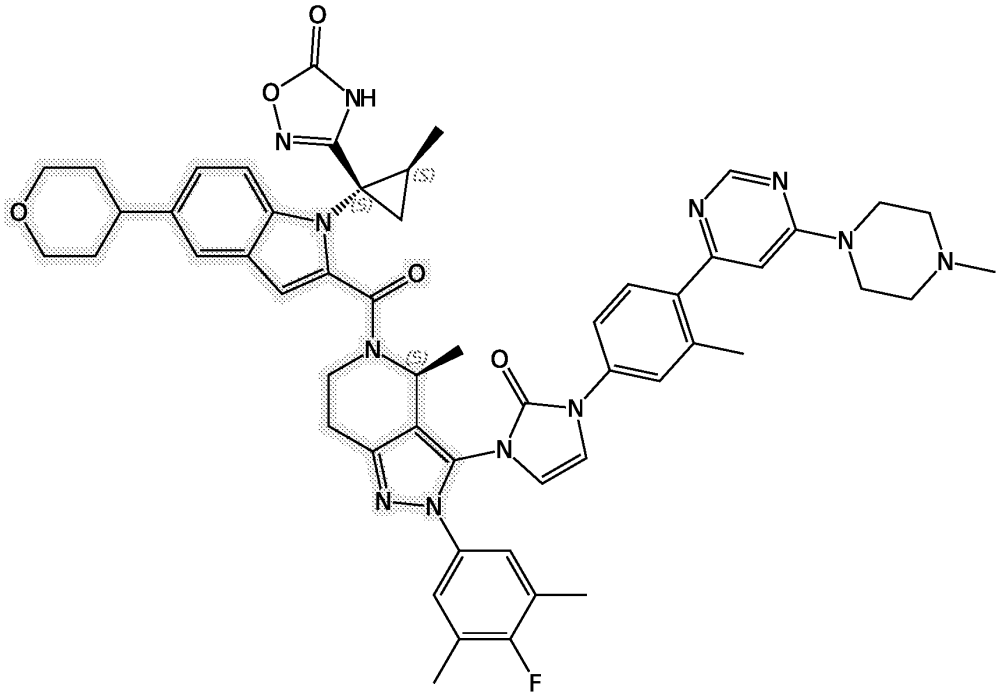
Absolute stereochemistry shown

RN 2212020-85-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-[2-[4-(3-oxetanyl)-1-piperazinyl]ethyl]-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



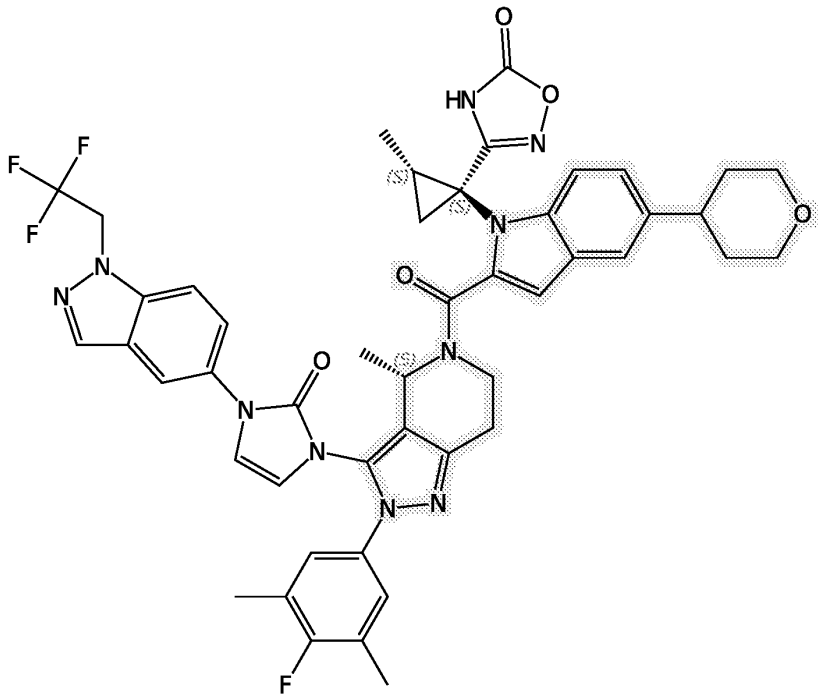
Absolute stereochemistry shown

RN 2212020-86-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[3-methyl-4-[6-(4-methyl-1-piperazinyl)-4-pyrimidinyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



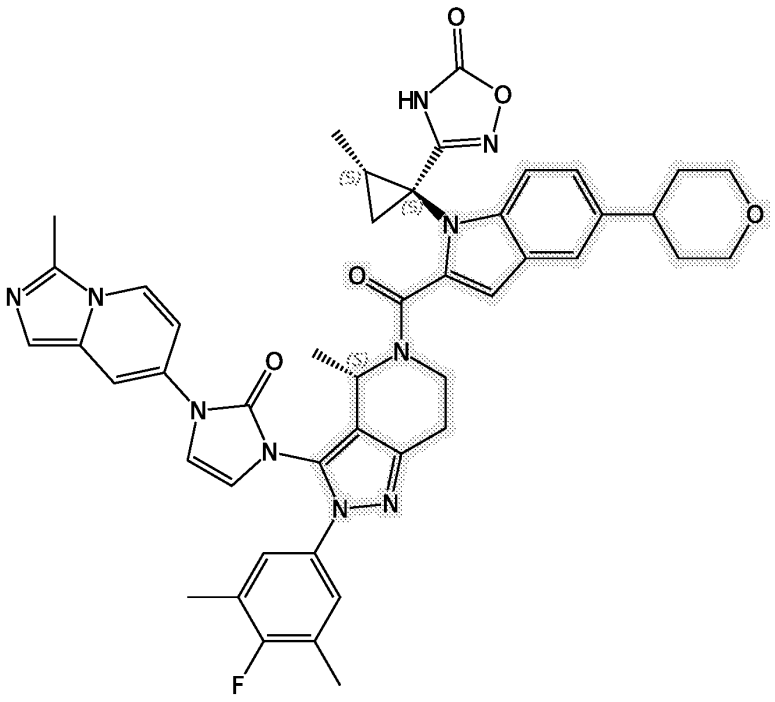
Absolute stereochemistry shown

RN 2212020-87-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



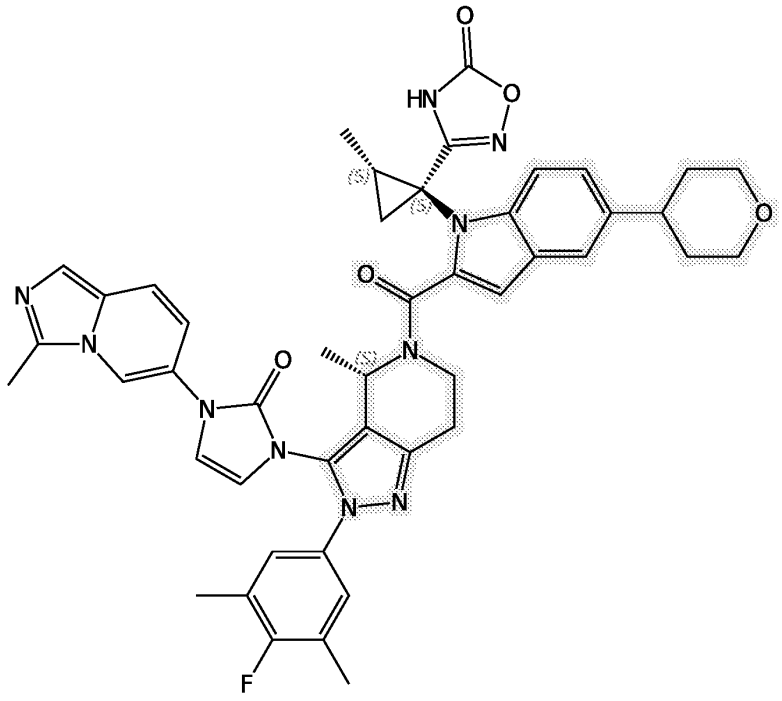
Absolute stereochemistry shown

RN 2212020-88-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(3-methylimidazo[1,5-a]pyridin-7-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



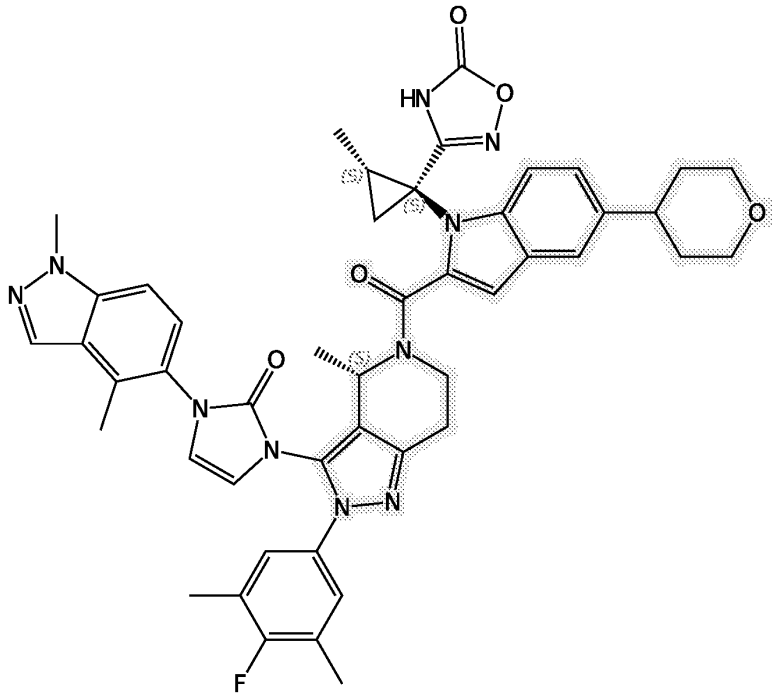
Absolute stereochemistry shown

RN 2212020-89-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(3-methy
limidazo[1,5-a]pyridin-6-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphe
nyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tet
rahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



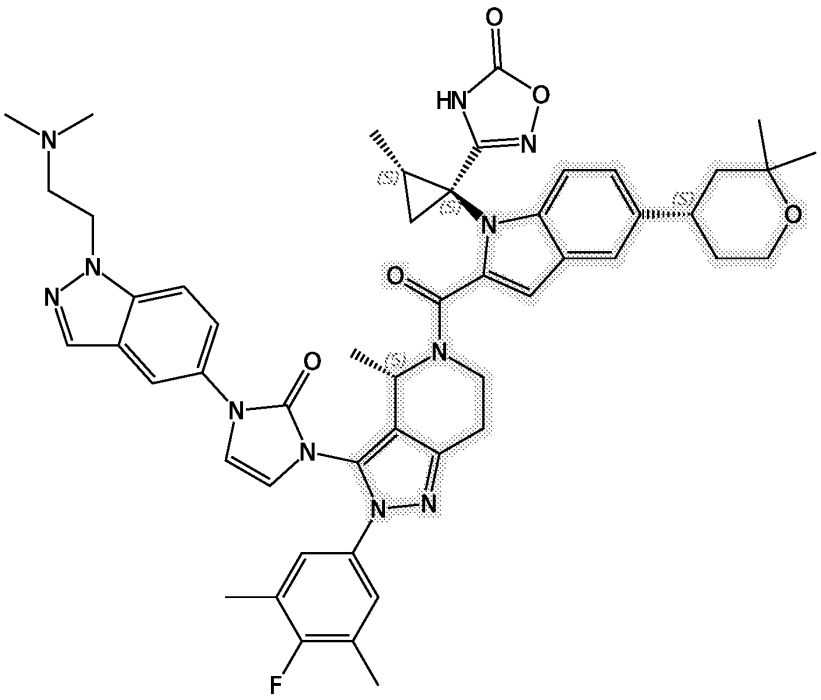
Absolute stereochemistry shown

RN 2212020-90-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-dimethyl-1H-ind
azol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2
,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydr
o-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



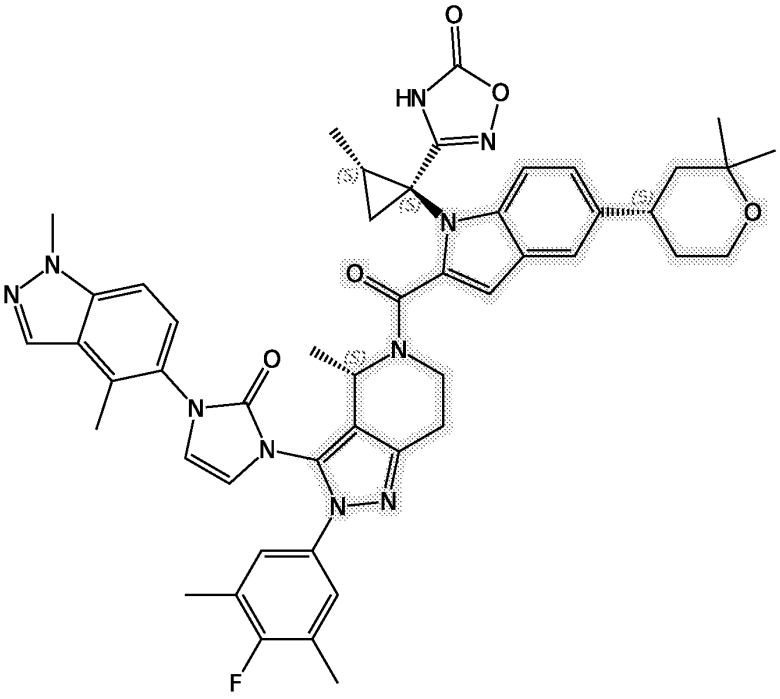
Absolute stereochemistry shown

RN 2212020-91-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-[2-(dimethylamino
)ethyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dim
ethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl
]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopro
pyl]- (CA INDEX NAME)



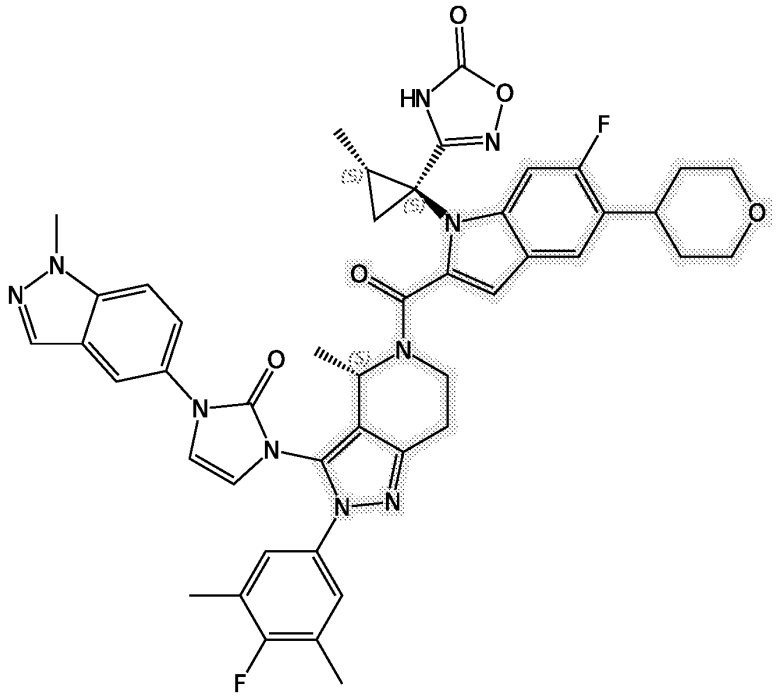
Absolute stereochemistry shown

RN 2212020-92-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-dimethyl-1H-ind
azol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2
,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetr
ahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



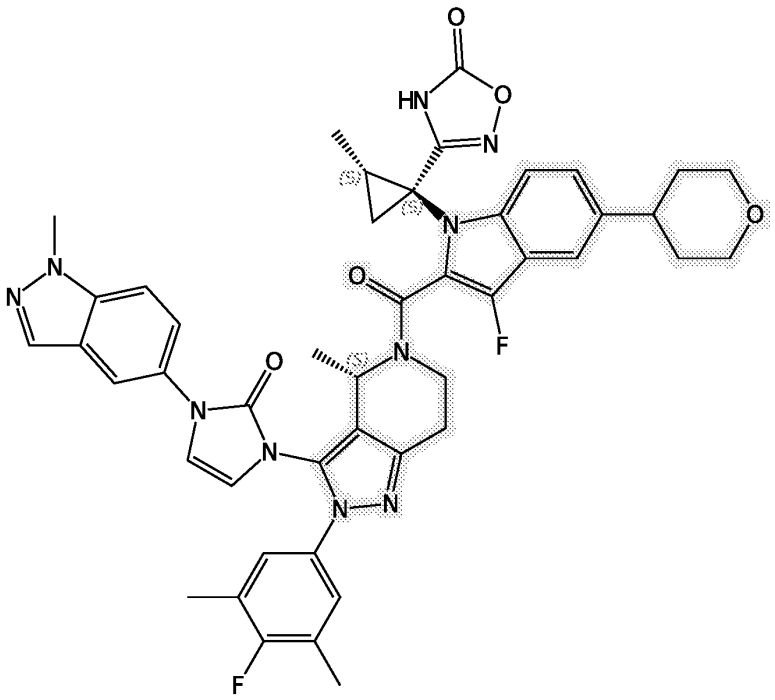
Absolute stereochemistry shown

RN 2212020-94-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-6-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



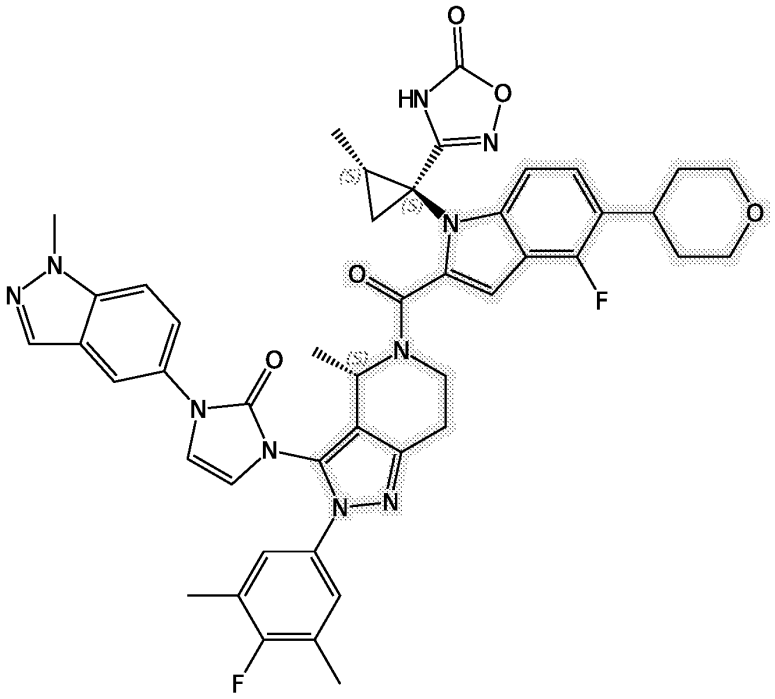
Absolute stereochemistry shown

RN 2212020-95-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-3-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



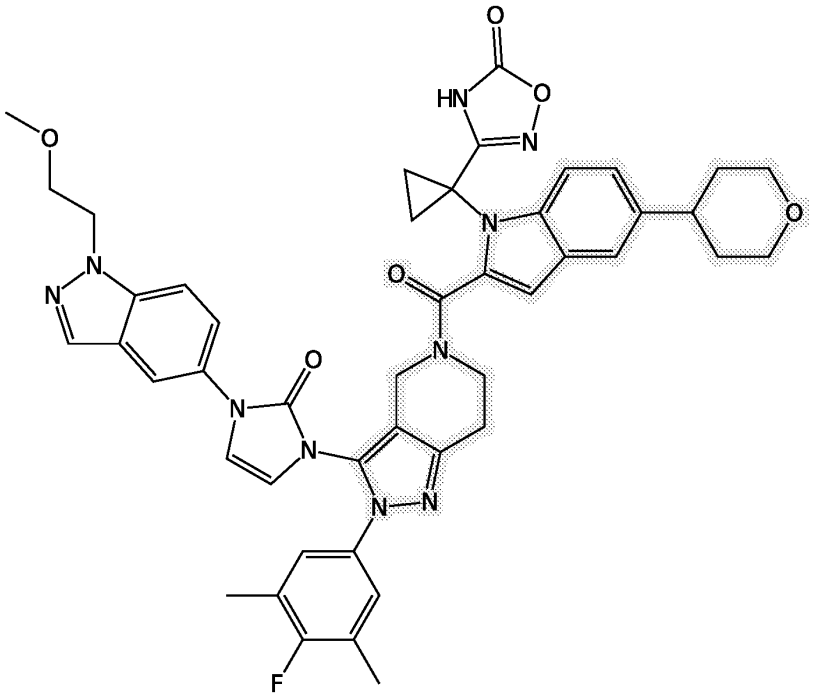
Absolute stereochemistry shown

RN 2212020-96-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-4-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

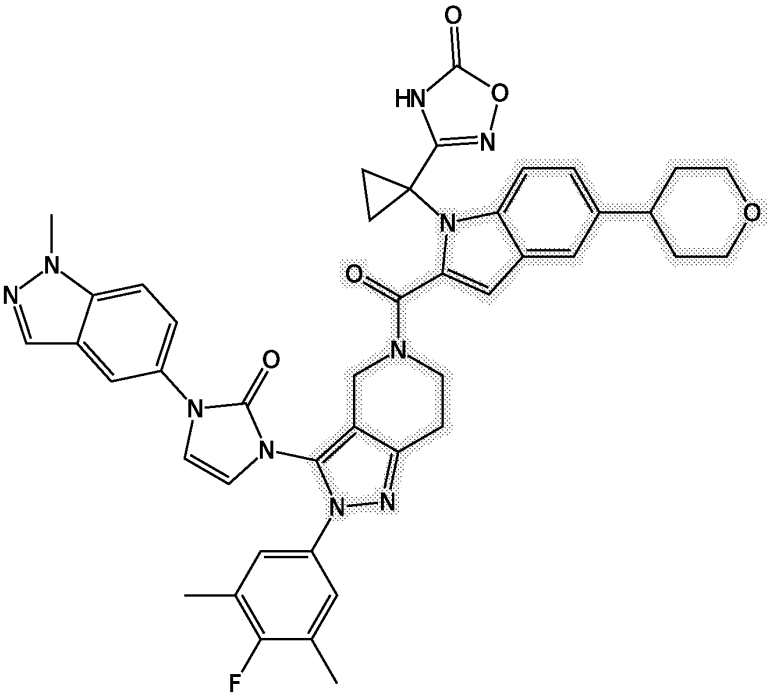


Absolute stereochemistry shown

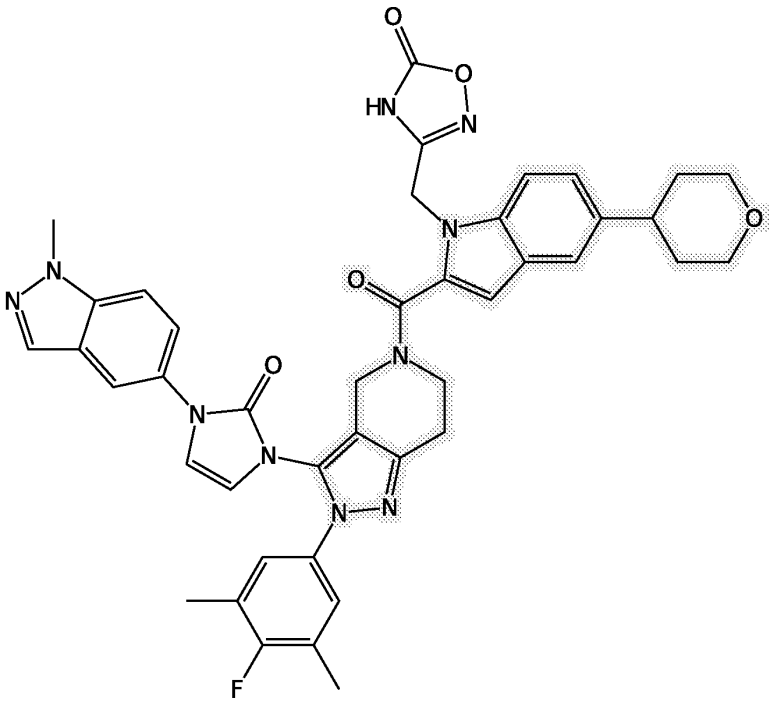
RN 2212020-97-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



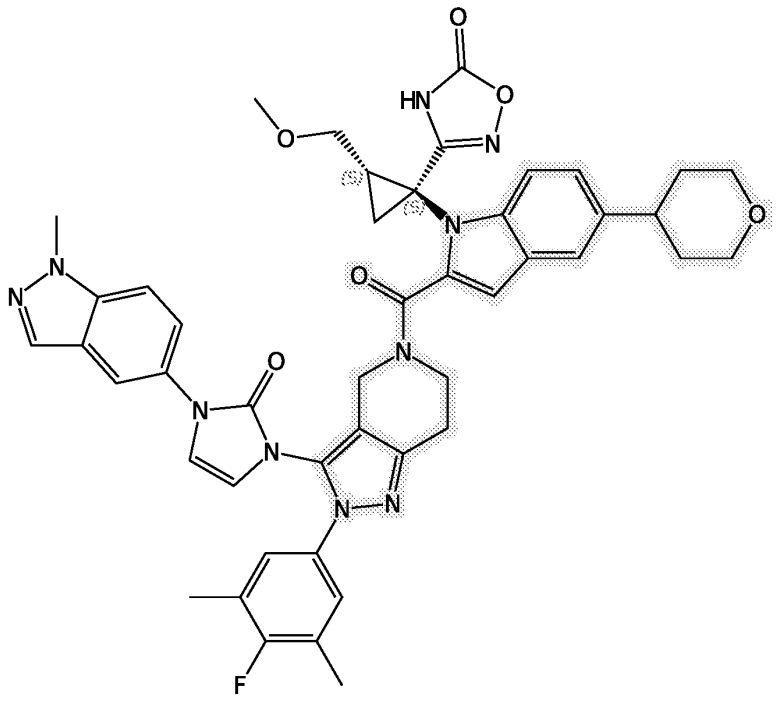
RN 2212020-98-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



RN 2212020-99-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)



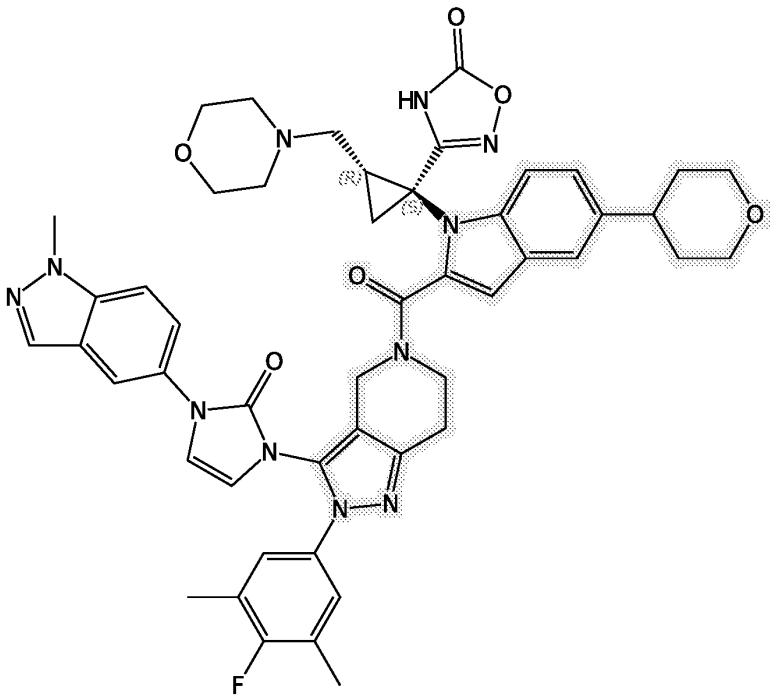
RN 2212021-00-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(methoxymethyl)cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

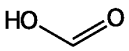
RN 2212021-02-6 CAPLUS
CN Formic acid, compd. with 3-[(1S,2R)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(4-morpholinylmethyl)cyclopropyl]-1,2,4-oxadiazol-5(2H)-one (1:1) (CA INDEX NAME)

CM 1
CRN 2212021-01-5
CMF C49 H50 F N11 O6

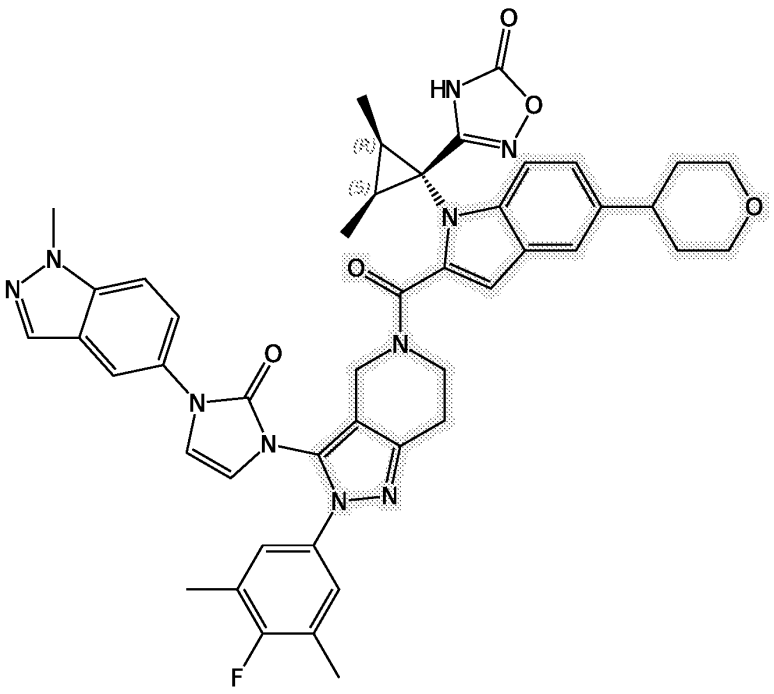


Absolute stereochemistry shown

CM 2
CRN 64-18-6
CMF C H2 O2

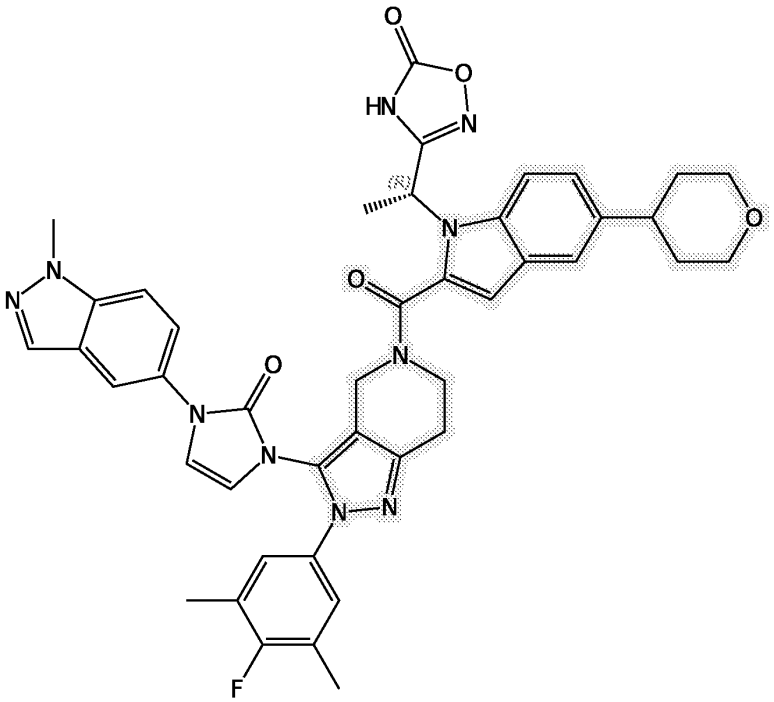


RN 2212021-03-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(2R,3S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2,3-dimethylcyclopropyl]- (CA INDEX NAME)



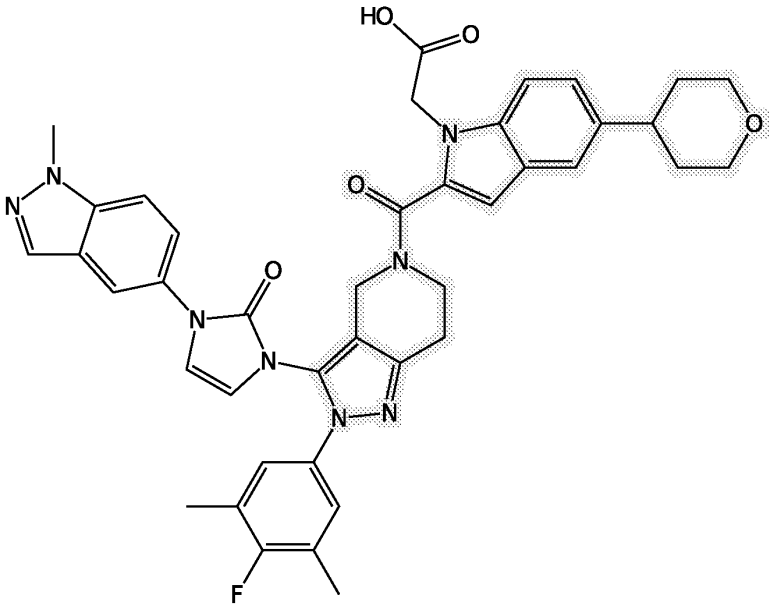
Absolute stereochemistry shown

RN 2212021-05-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1R)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]ethyl]- (CA INDEX NAME)

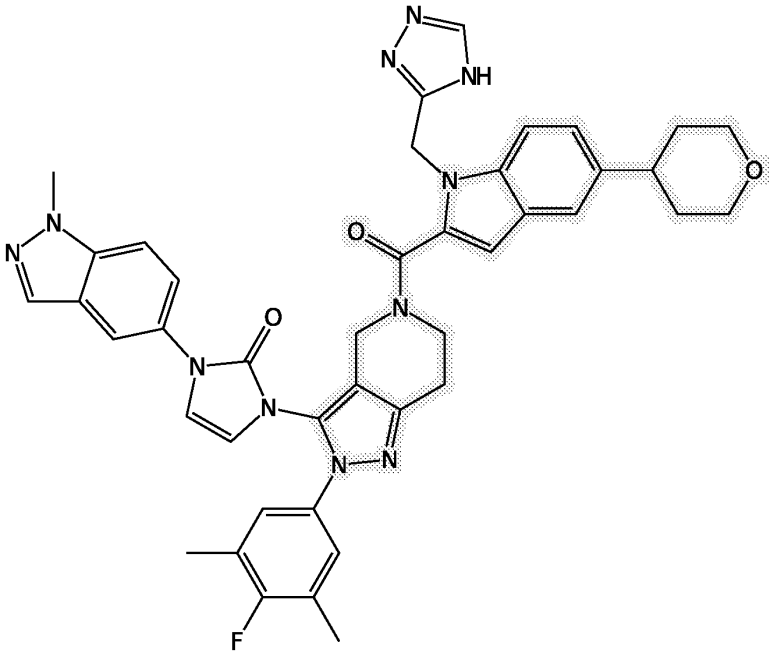


Absolute stereochemistry shown

RN 2212021-08-2 CAPLUS
CN 1H-Indole-1-acetic acid, 2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
(CA INDEX NAME)

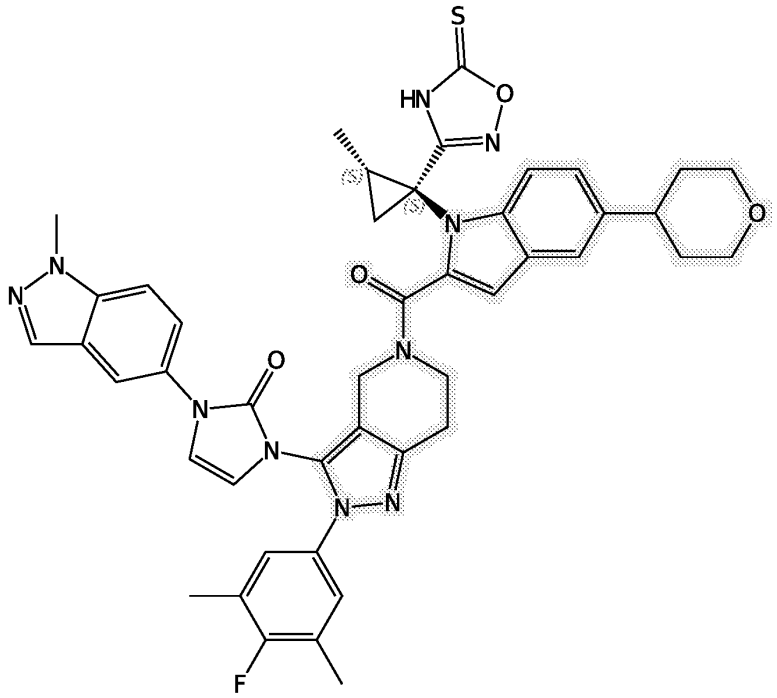


RN 2212021-10-6 CAPLUS
CN 2H-Imidazol-2-one, 1-[2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[5-(tetrahydro-2H-pyran-4-yl)-1-(1H-1,2,4-triazol-5-ylmethyl)-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-
(CA INDEX NAME)



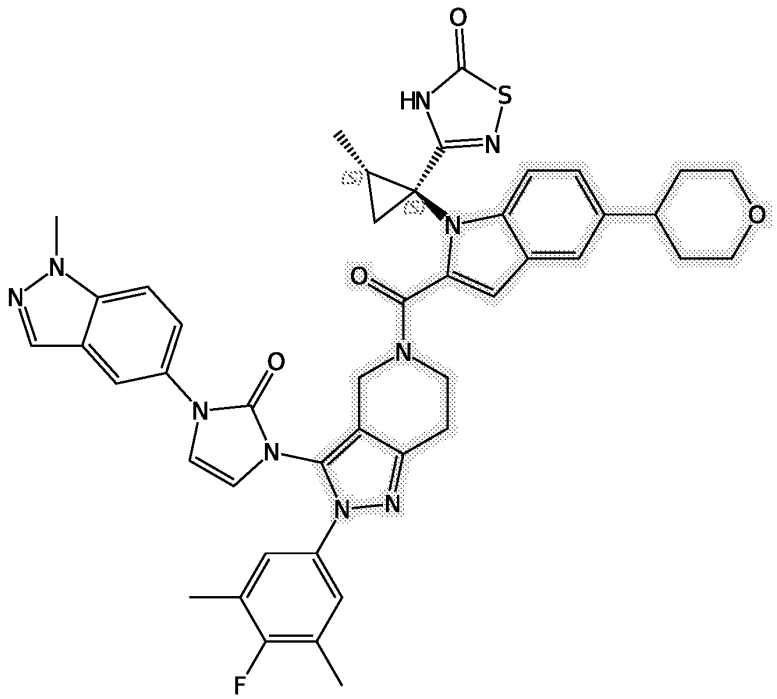
RN 2212021-11-7 CAPLUS
CN 2H-Imidazol-2-one, 1-[5-[[1-[(1S,2S)-1-(2,5-dihydro-5-thioxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl

]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridin-3
-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-
     (CA INDEX NAME)



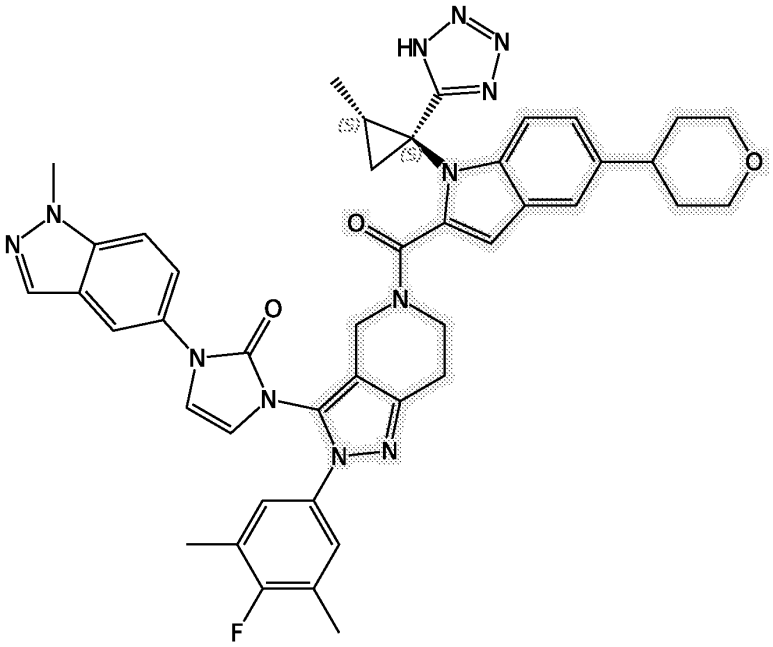
Absolute stereochemistry shown

RN   2212021-12-8 CAPLUS
CN   1,2,4-Thiadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H
-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-t
etrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



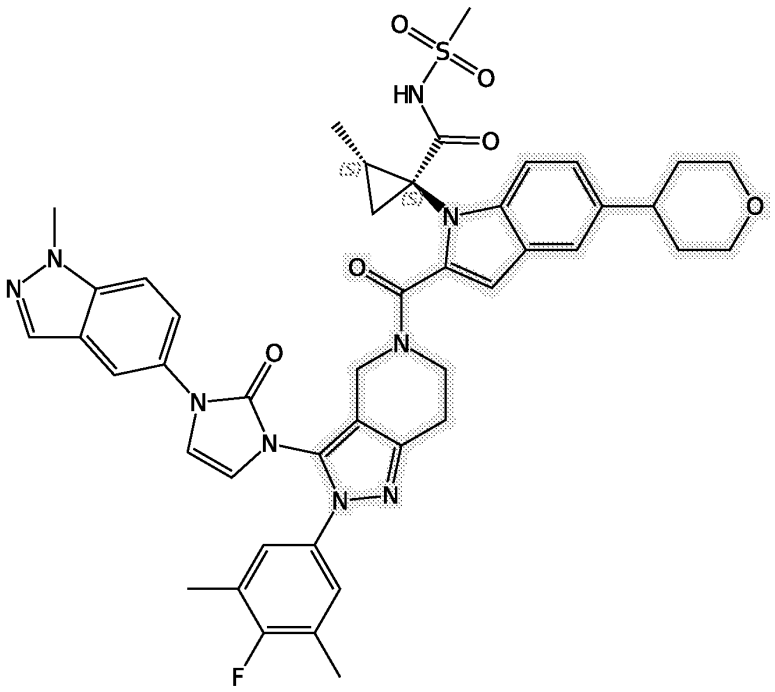
Absolute stereochemistry shown

RN 2212021-13-9 CAPLUS
CN 2H-Imidazol-2-one, 1-[2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[1-[(1S,2S)-2-methyl-1-(2H-tetrazol-5-yl)cyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)- (CA INDEX NAME)



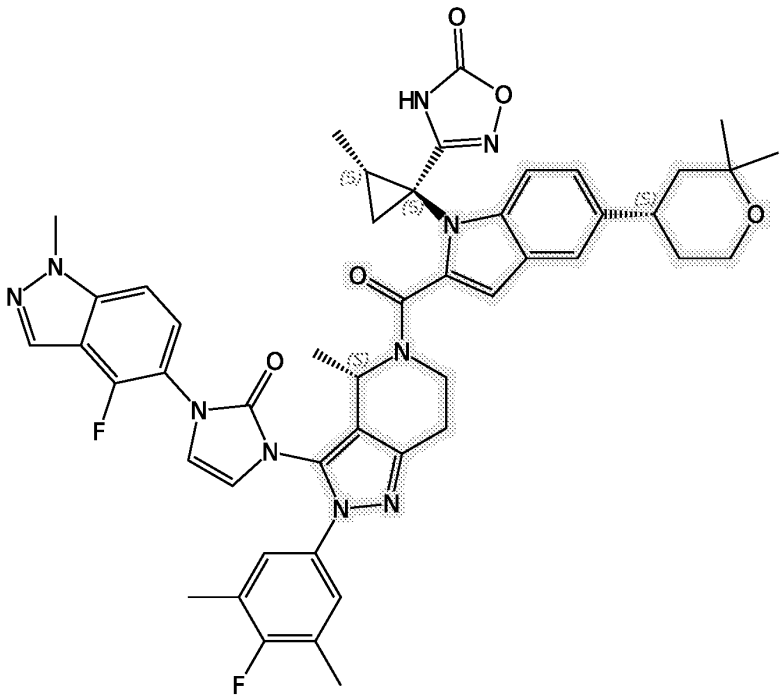
Absolute stereochemistry shown

RN 2212021-14-0 CAPLUS
CN Cyclopropanecarboxamide, 1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methyl-N-(methylsulfonyl)-, (1S,2S)- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2212021-26-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-, sodium salt, hydrate (1:1:1) (CA INDEX NAME)



• Na

• H_2O

Absolute stereochemistry shown

IT 2212020-21-6P 2212020-27-2P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H
-imidazol-3-yl)-5,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-y
l)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-29-4P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H-imidazol-3-yl)-6,7-dihydro-4H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxad
iazol-5-one 2212020-30-7P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H
-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-
2-methyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-31-8P
,
3-[(1S,2S)-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H-i
midazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-d
imethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-32-9P
,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H
-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-y
l)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-33-0P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3-methylphenyl)-4-methyl-
3-(2-oxo-1H-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-
5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-34-1P
,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3-methylp
henyl)-4-methyl-3-(2-oxo-1H-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin
-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212022-86-9P
,
2-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimi
dazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)in
dol-1-yl]acetonitrile 2212022-87-0P,
(1S,2S)-2-Ethyl-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazo
l-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]
-5-(oxan-4-yl)indol-1-yl]cyclopropane-1-carbonitrile 2212022-92-7P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y

l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(o
xan-4-yl)indol-1-yl]-2-[(phenylmethoxy)methyl]cyclopropyl]-4H-1,2,4-oxadiazol-5-
one

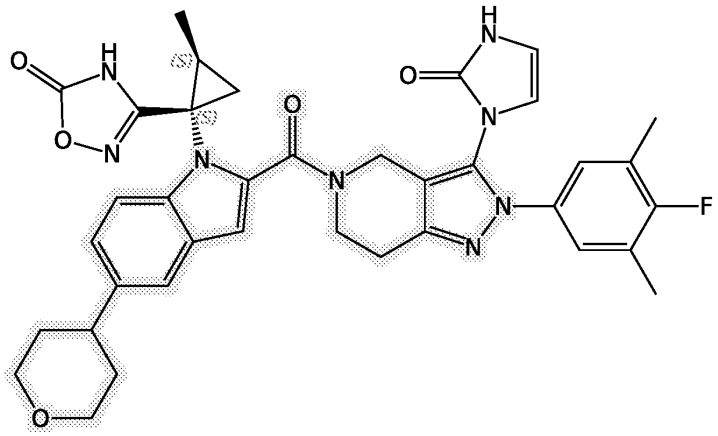
2212023-06-6P, 3-[(1S,2S)-2-[2-[[(4S,6R)-2-(4-Fluoro-3,5-dimethylphenyl)-4,
6-dimethyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazo
lo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4-
[(2-trimethylsilylethoxy)methyl]-1,2,4-oxadiazol-5-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(pharmaceutical compn. contg. pyrazolopyridine deriv. having GLP-1
receptor agonist effect)

RN 2212020-21-6 CAPLUS

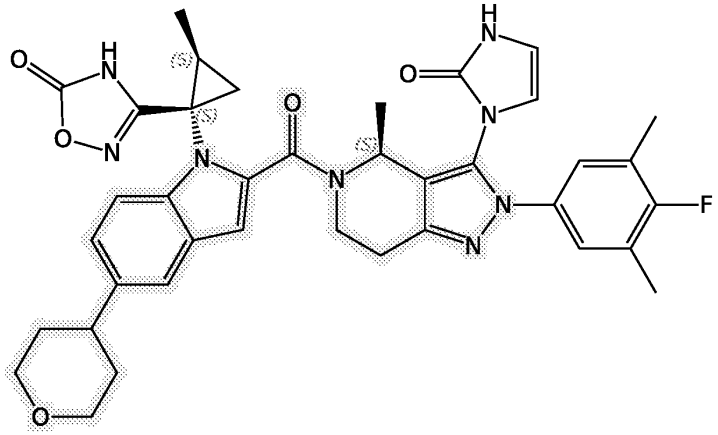
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-(2,3-dihydro-2-oxo-1H-imidaz
ol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]py
ridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopr
opyl]- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2212020-27-2 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2
-methylcyclopropyl]- (CA INDEX NAME)

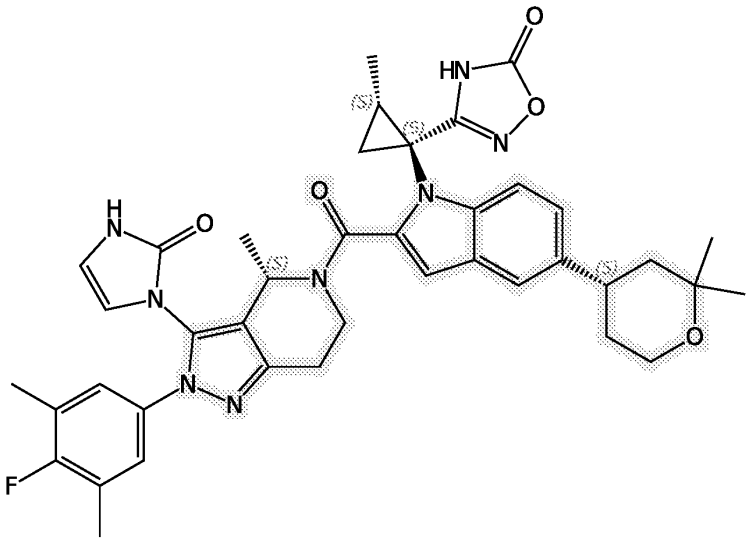


Absolute stereochemistry shown

RN 2212020-29-4 CAPLUS

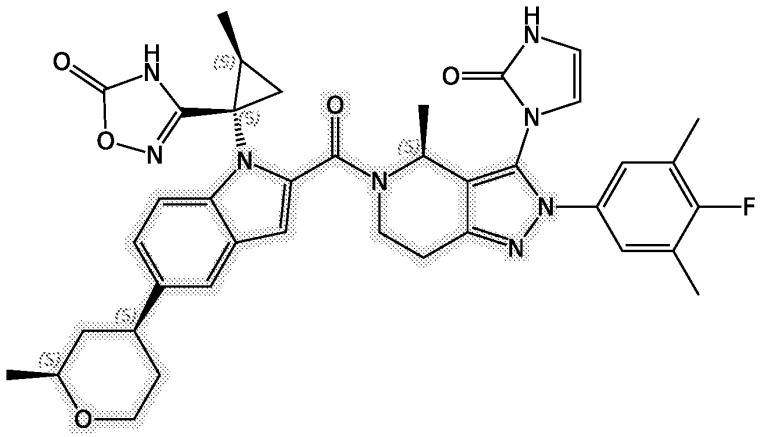
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl

]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



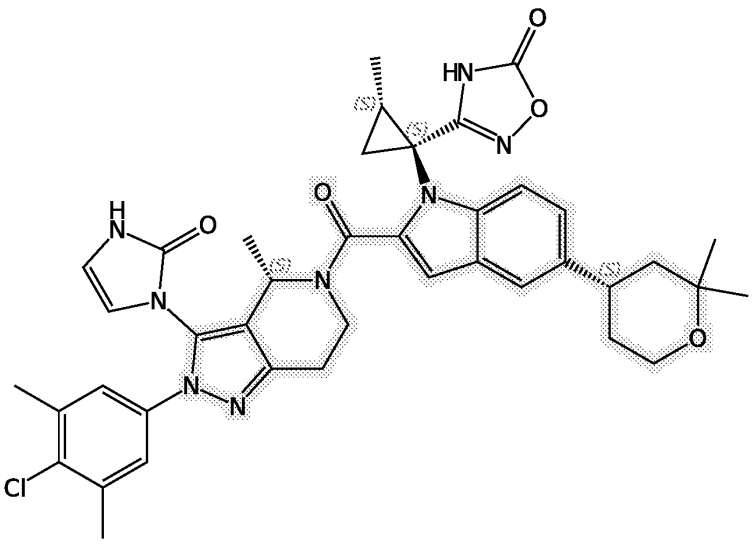
Absolute stereochemistry shown

RN   2212020-30-7 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]
-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



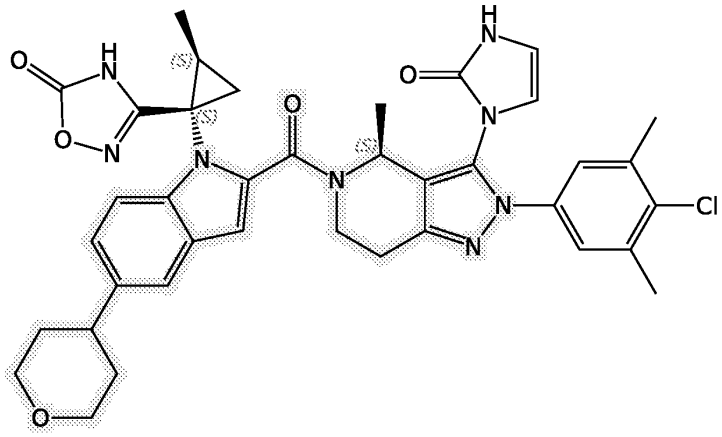
Absolute stereochemistry shown

RN   2212020-31-8 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylp
henyl)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl
]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX
     NAME)



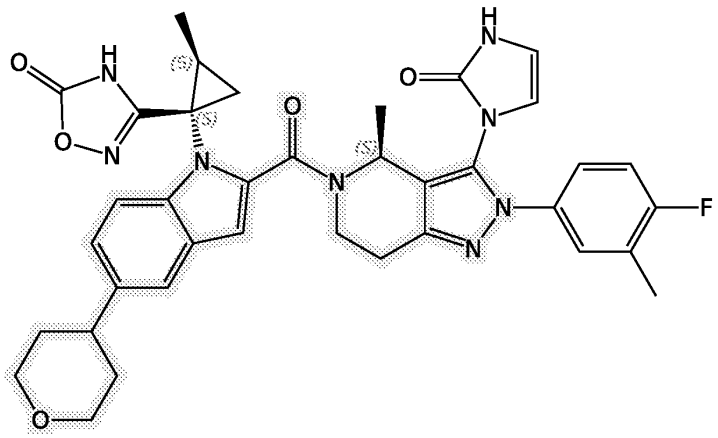
Absolute stereochemistry shown

RN 2212020-32-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



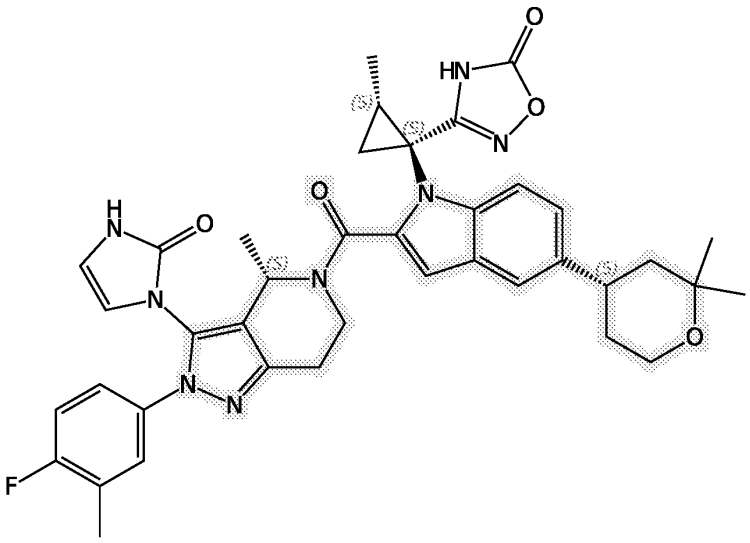
Absolute stereochemistry shown

RN 2212020-33-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



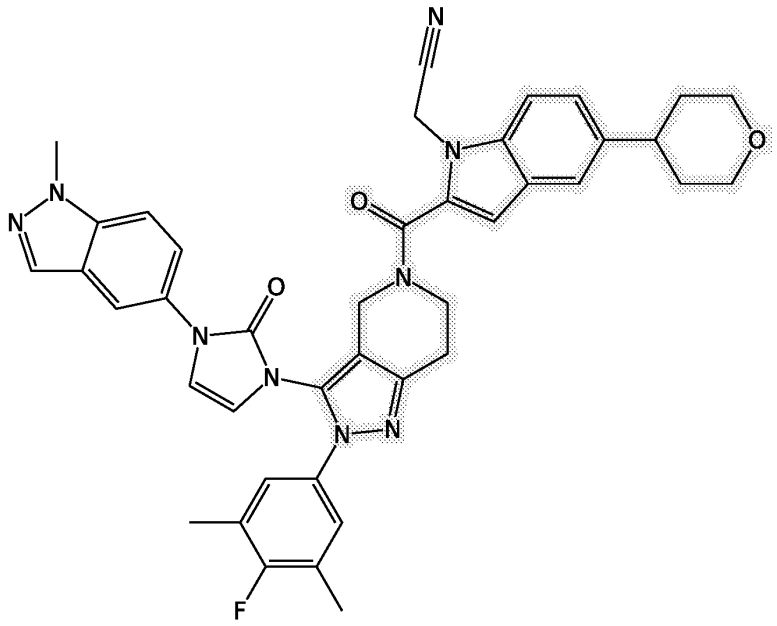
Absolute stereochemistry shown

RN 2212020-34-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

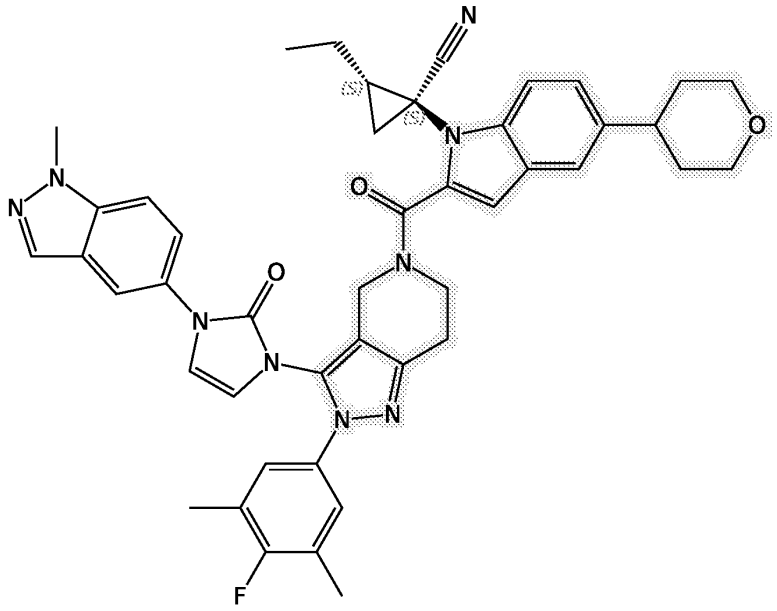


Absolute stereochemistry shown

RN 2212022-86-9 CAPLUS
CN 1H-Indole-1-acetonitrile, 2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
(CA INDEX NAME)

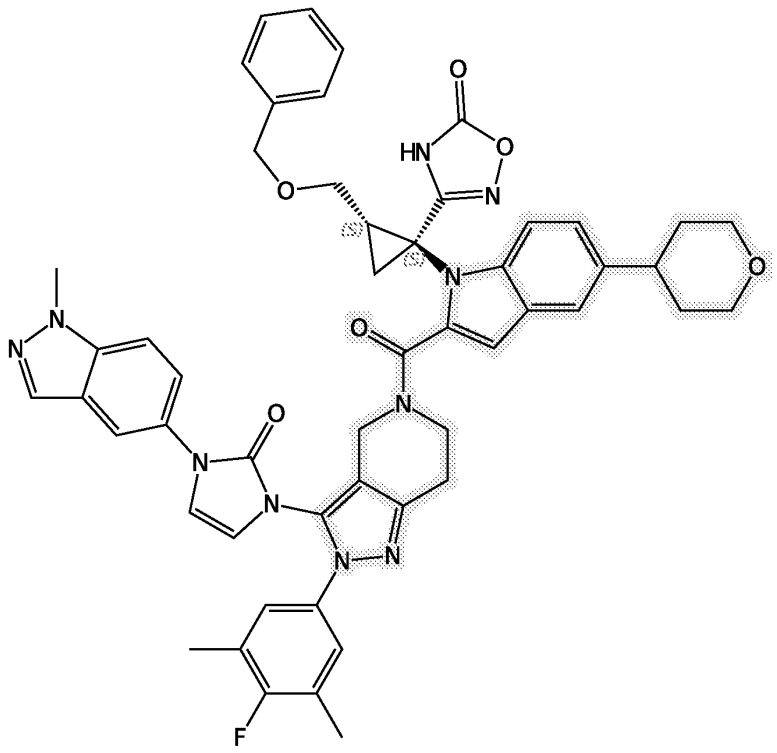


RN 2212022-87-0 CAPLUS
CN Cyclopropanecarbonitrile, 1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-ethyl-, (1S,2S)- (CA INDEX NAME)



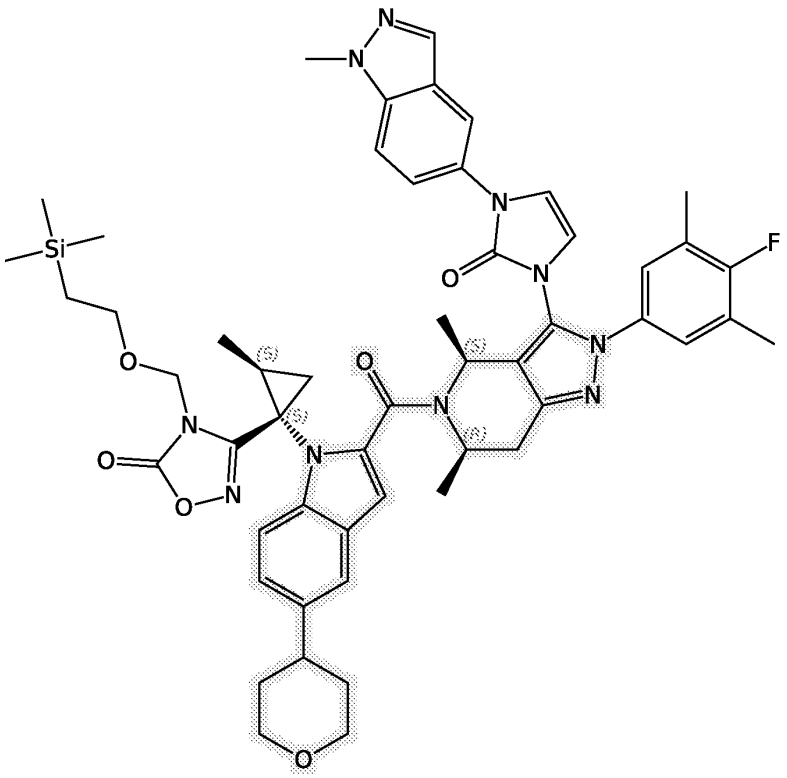
Absolute stereochemistry shown

RN 2212022-92-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-[(phenylmethoxy)methyl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2212023-06-6 CAPLUS
CN 1,2,4-Oxadiazol-5(4H)-one, 3-[(1S,2S)-2-[2-[[(4S,6R)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4,6-dimethyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-4-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

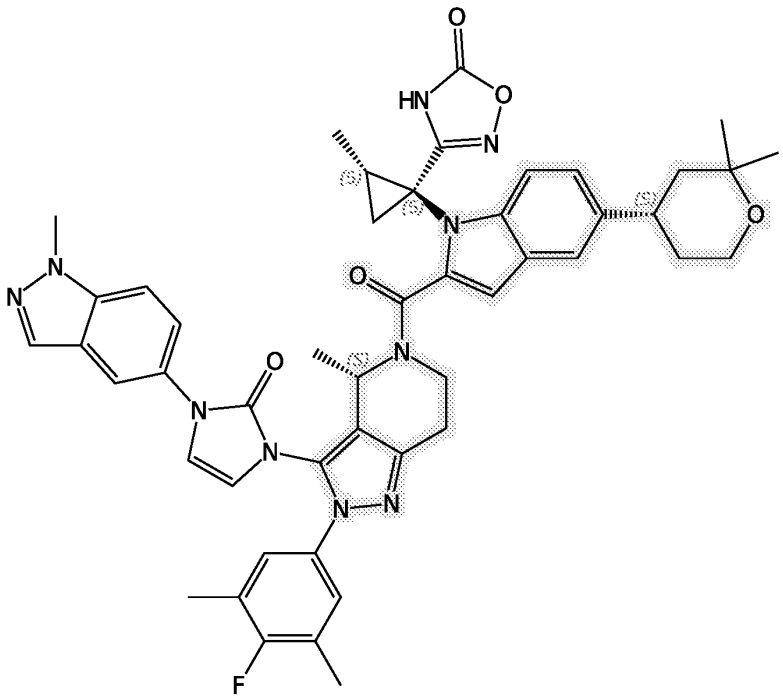


Absolute stereochemistry shown

IT 2212021-27-5P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 0.5 calcium salt hydrate
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(powder X-ray diffraction anal.; pharmaceutical compn. contg. pyrazolopyridine deriv. having GLP-1 receptor agonist effect)

RN 2212021-27-5 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-, calcium salt, hydrate (2:1:2) (CA INDEX NAME)



• 1/2 Ca

• H_2O

Absolute stereochemistry shown

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
JP 2019099571 A	JP 2018-223465	Register|Global Dossier
JP 7461104 B2	JP 2018-223465	Register|Global Dossier
JP 2024079762 A	JP 2024-45935	Register|Global Dossier

L3 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2018:619220 CAPLUS Full-text
DOCUMENT NUMBER: 168:377246
TITLE: Preparation of pyrazolopyridines derivatives having glucagon-like peptide-1 (GLP-1) receptor agonist effect
INVENTOR(S): Yoshino, Hitoshi; Tsuchiya, Satoshi; Matsuo, Atsushi; Sato, Tsutomu; Nishimoto, Masahiro; Oguri, Kyoko; Ogawa, Hiroko; Nishimura, Yoshikazu; Furuta, Yoshiyuki; Kashiwagi, Hirotaka; Hori, Nobuyuki; Kamon, Takuma; Shiraishi, Takuya; Yoshida, Shoshin; Kawai, Takahiro; Tanida, Satoshi; Aoki, Masahide
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan
ULTIMATE OWNER: ROCHE HOLDING AG; Chugai Pharmaceutical (in: Roche)
ULTIMATE OWNER STANDARD: Roche; Chugai Pharmaceutical (in: Roche)
SOURCE: PCT Int. Appl., 247pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2018056453	A1	20180329	WO 2017-JP34620	20170926

JO	2019000060	A1	20190326	JO 2019-60	20170616
CA	3038479	A1	20180329	CA 2017-3038479	20170926
CA	3038479	C	20210622		
AU	2017330733	A1	20190314	AU 2017-330733	20170926
AU	2017330733	B2	20200528		
KR	2019039591	A	20190412	KR 2019-7008190	20170926
KR	2223227	B1	20210308		
IL	264945	A	20190430	IL 2017-264945	20170926
IN	201917006268	A	20190503	IN 2019-17006268	20170926
IN	473189	B	20231201		
CN	109790161	A	20190521	CN 2017-80059135	20170926
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BR	112019005322	A2	20190702	BR 2019-112019005322	20170926
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MA	46286	A	20190731	MA 2017-46286	20170926
MA	46286	B1	20240628		
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NZ	751725	A	20210326	NZ 2017-751725	20170926
EA	37989	B1	20210621	EA 2019-90518	20170926
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JP	2022003087	A	20220111	JP 2021-164974	20211006
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IL	287166	A	20211201	IL 2021-287166	20211011
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US	20240262824	A1	20240808	US 2024-18584177	20240222
AU	2024201884	A1	20240411	AU 2024-201884	20240322

PRIORITY APPLN. INFO.:

JP 2016-187605	A	20160926
AU 2017-330733	A3	20170926
EP 2017-853220	A3	20170926
IL 2017-264945	A3	20170926
JP 2018-540344	A3	20170926
SG 2019-11201901565	A3	20170926
WO 2017-JP34620	W	20170926
US 2018-15759872	A1	20180314
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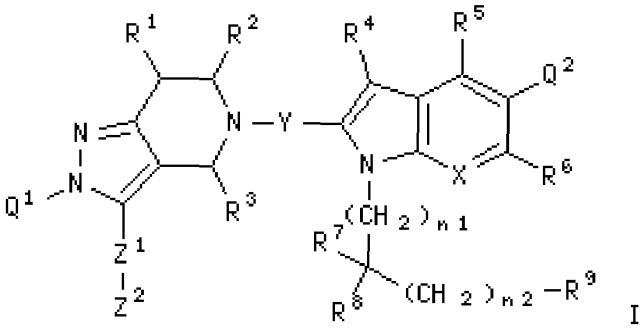
PATENT STATUS PATENT INFORMATION:

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2018056453	A1	Dead	20210527
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CA 3038479	A1	Alive	20201121
CA 3038479	C	Alive	20210708
AU 2017330733	A1	Alive	20201120
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KR 2019039591	A	Alive	20210204
KR 2223227	B1	Alive	20210408
IL 264945	A	Alive	20211125
CN 109790161	A	Alive	20201120
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UA 125586	C2	Alive	20220519
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EP 3517538	B1	Indeterminate	20240314
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JP 6567778	B2	Alive	20201120
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EP 4134367	A1	Dead	20240725
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

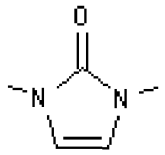
OTHER SOURCE(S): CASREACT 168:377246; MARPAT 168:377246; CASFORMULTNS 2018:619220

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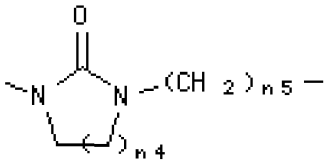


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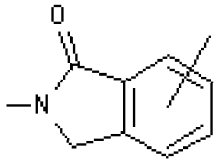
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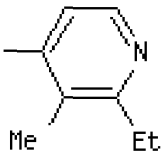
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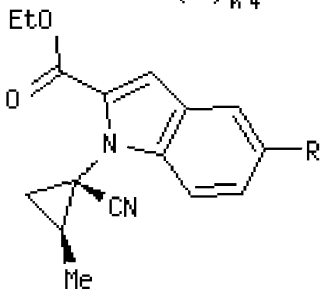


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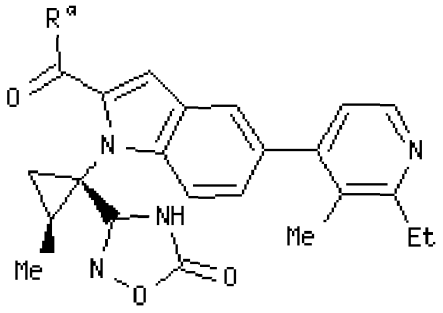


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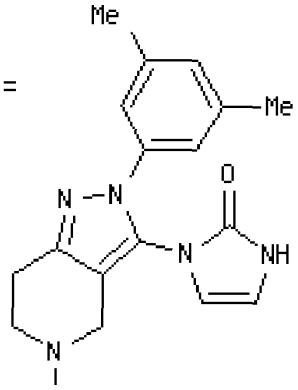


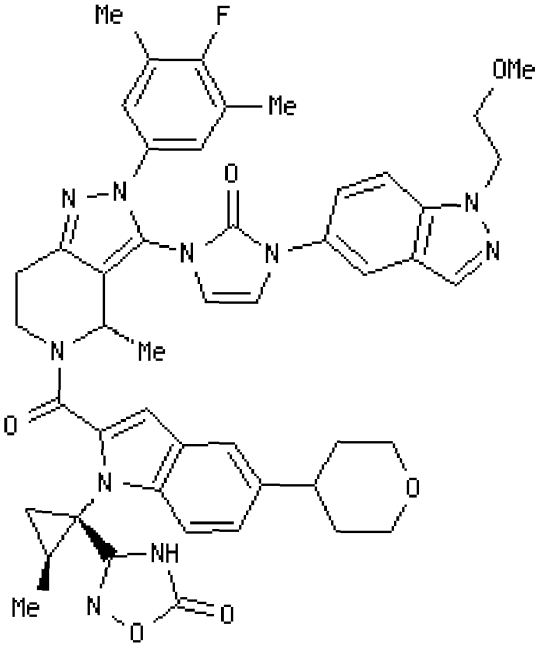
II



III

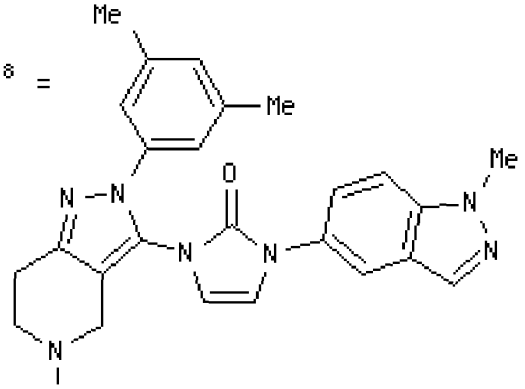
Q^7 =





IV

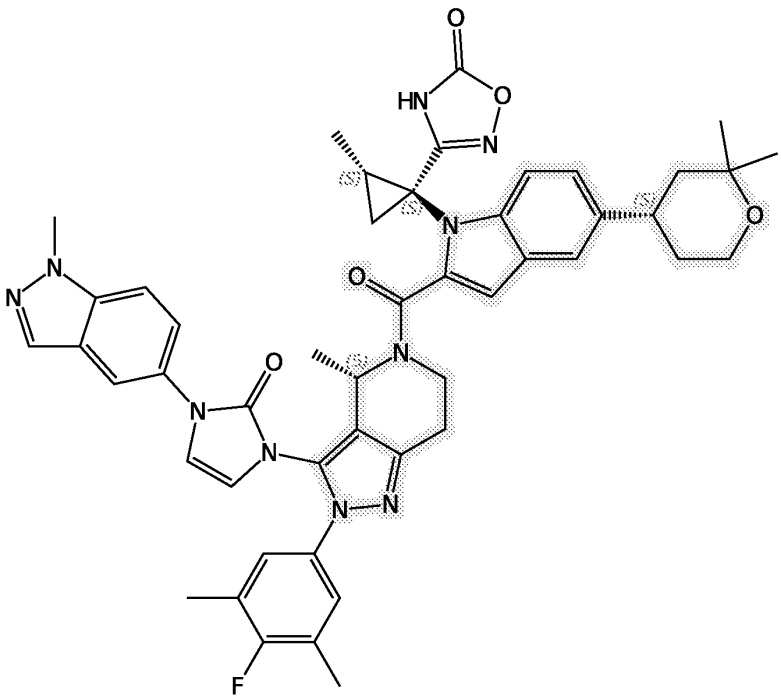
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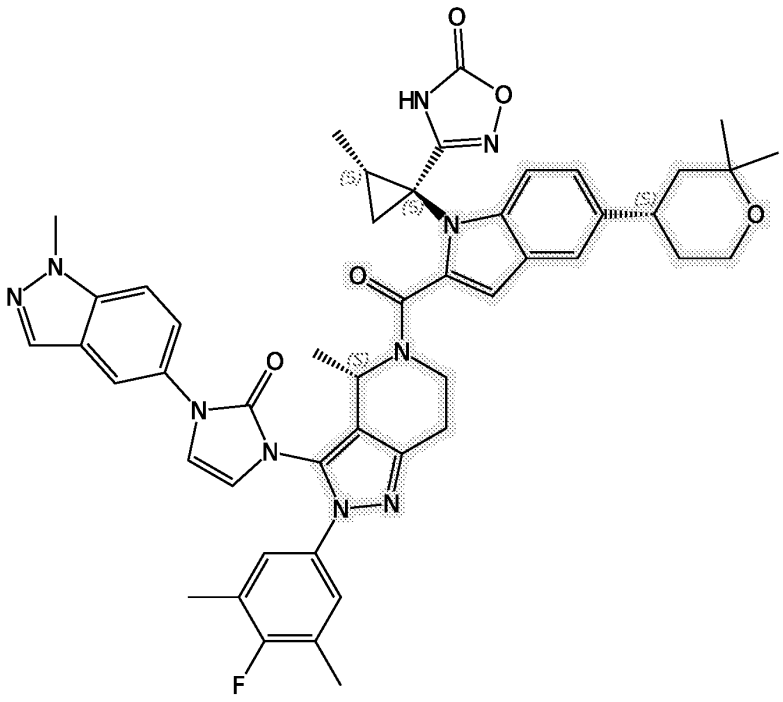
AB The present invention provides pyrrolo[2,3-b]pyridine compds. represented by formula I [X = N or (un)substituted CH; Y = C(O), C1-6 alkyl-(un)substituted CH2, or S(O)2; Q1 = each (un)substituted C6-10 aryl or 5- to 10-membered heteroaryl; Q2 = each (un)substituted 3- to 12-membered heterocyclyl or 5- to 10-membered heteroaryl; R1, R2, R3 = each independently H or (un)substituted C1-6 alkyl; R4, R5, R6 = H, halo, or C1-6 alkyl; R7, R8 = each independently H or (un)substituted C1-6 alkyl; or CR7R8 together form (un)substituted C3-15 cycloalkane ring; n1 = 0, 1, 2, or 3; n2 = an integer of 0-5; R9 = each (un)substituted 1,2,3,4-1H-tetrazol-5-yl, 5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl, 2H-1,2,4-triazol-3-yl, or 5-oxo-4,5-dihydro-1,2,4-thiadiazol-3-yl; Z1 = Q3, Q4, Q5, or each N-(un)substituted NH or CHCONH(CH2)n6; n4 = 1, 2, or 3; n5, n6 = each independently an integer of 0-10; Z2 = C1-6 alkyl or each (un)substituted C3-15 cycloalkyl, 3- to 12-membered heterocyclyl, C6-10 aryl, or 5- to 10-membered heteroaryl], salts thereof, or solvates thereof. The compds. are glucagon-like peptide-1 (GLP-1) receptor agonists and are useful as preventive or therapeutic medications for non-insulin dependent diabetes mellitus (type 2 diabetes), hyperglycemia, impaired glucose tolerance, insulin dependent diabetes mellitus (type 1 diabetes), diabetic complications, obesity, hypertension, dyslipidemia, arteriosclerosis, coronary heart disease, cerebral infarction, nonalcoholic steatohepatitis, Parkinson's disease, or dementia. Thus, stereoselective cyclopropanation of 5-bromo-1-(cyanomethyl)indole-2-carboxylic acid Et ester by (4R)-4-Methyl-1,3,2-dioxathiolane 2,2-dioxide in the presence of potassium bis(trimethylsilyl)amide in N,N'-dimethylpropyleneurea at 0° for 2.5 h gave 42% 5-bromo-1-[(1S,2S)-1-cyano-2-methylcyclopropyl]indole-2-carboxylic acid Et ester (II; R = Br) which underwent one-pot sequential coupling with 4,4,4',4',5,5,5',5'-octamethyl-2,2'-bi(1,3,2-dioxaborolane) in the presence of potassium acetate and 1,1'-bis(diphenylphosphino)ferrocenepalladium(II) dichloride-CH2Cl2 complex in dioxane at 100° for 3 h and then with 4-bromo-2-ethyl-3-methylpyridine in the presence of sodium acetate in aq. dioxane at 100° for 2 h to give 97% 1-[(1S,2S)-1-cyano-2-methylcyclopropyl]-5-(2-ethyl-3-methylpyridin-4-yl)indole-2-carboxylic acid Et ester II (R = Q6). Addn. reaction of II (R = Q6) with hydroxylamine in aq. DMSO at room temp. for 17 h followed by cyclocondensation reaction with N,N'-carbonyldiimidazole in the presence of 1,8-diazacycloundec-7-ene in DMSO at room temp. for 0.5 h gave 65% 5-(2-Ethyl-3-methylpyridin-4-yl)-1-[(1S,2S)-2-methyl-1-(5-oxo-4H-1.2.4-oxadiazol-3-yl)cyclopropyl]indole-2-carboxylic acid Et ester (III; Ra = EtO). Sapon. of III (Ra = EtO) with a mixt. of 2 M aq. NaOH soln. and DMSO at room temp. for 1.5 h followed by acidification with formic acid gave 100% III (Ra = HO) which underwent amidation with 3-[2-(3,5-dimethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-3-yl]-1H-imidazol-2-one using [dimethylamino(triazolo[4,5-b]pyridin-3-yloxy)methylene]dimethylazanium hexafluorophosphate in the presence of diisopropylethylamine in N,N-dimethylformamide at room temp. for 30 min to give 95% III (Ra = Q7). N-heteroarylation of III (Ra = Q7) with 5-bromo-1-methylindazole in the presence of (1S,2S)-N1,N2-dimethylcyclohexane-1,2-diamine, CuI, and K2CO3 in N-methylpyrrolidone at 130° for 3 h gave 73% III (Ra = Q8). III (Ra = Q8) and 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one (IV) increased the prodn. of cAMP in gGLP1R-HEK293 cell stably expressing human GLP-1 receptor with EC50 of 8.8 and 0.51 nM, resp., relative to the cAMP concn. (100%) when human GLP-1 (7-37) (control) was used.

IT 2212021-27-5P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 0.5 calcium salt hydrate
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(powder X-ray diffraction anal.; prepn. of pyrazolopyridines derivs. as glucagon-like peptide-1 (GLP-1) receptor agonists)

RN 2212021-27-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-, calcium salt, hydrate (2:1:2) (CA INDEX NAME)

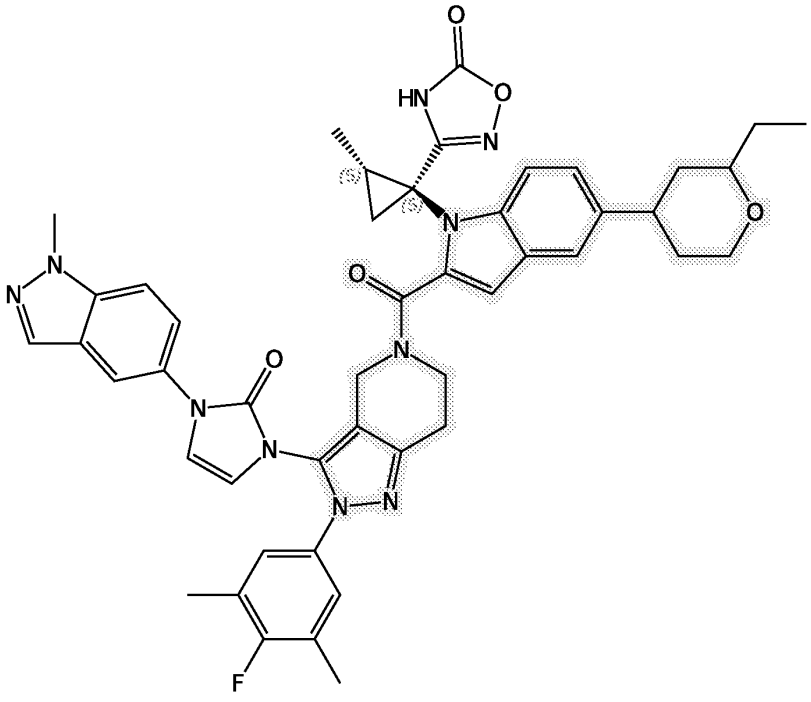


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RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. of pyrazolopyridines derivs. as glucagon-like peptide-1 (GLP-1) receptor agonists)
RN 2212020-51-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



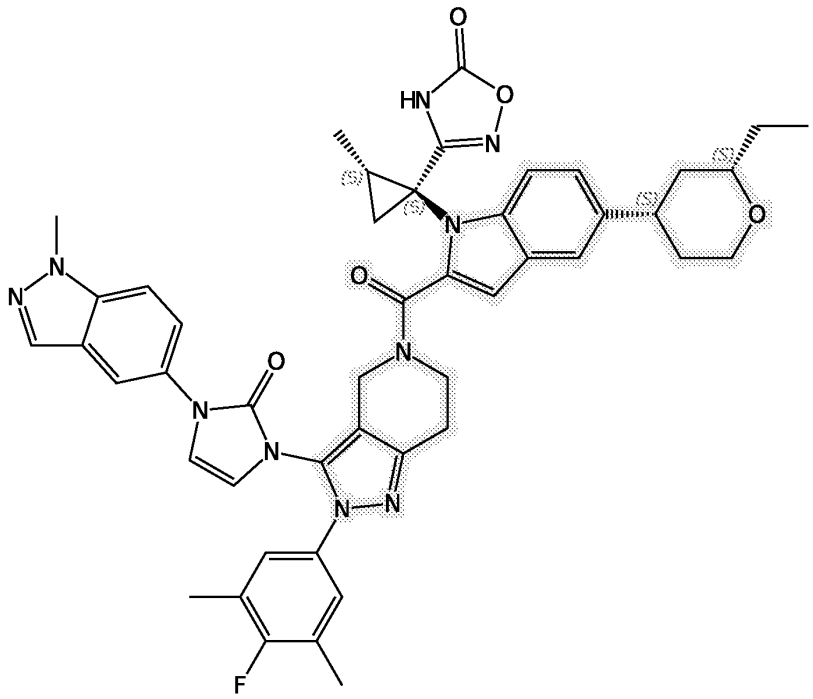
Absolute stereochemistry shown

RN 2212022-83-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(2-ethyltetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



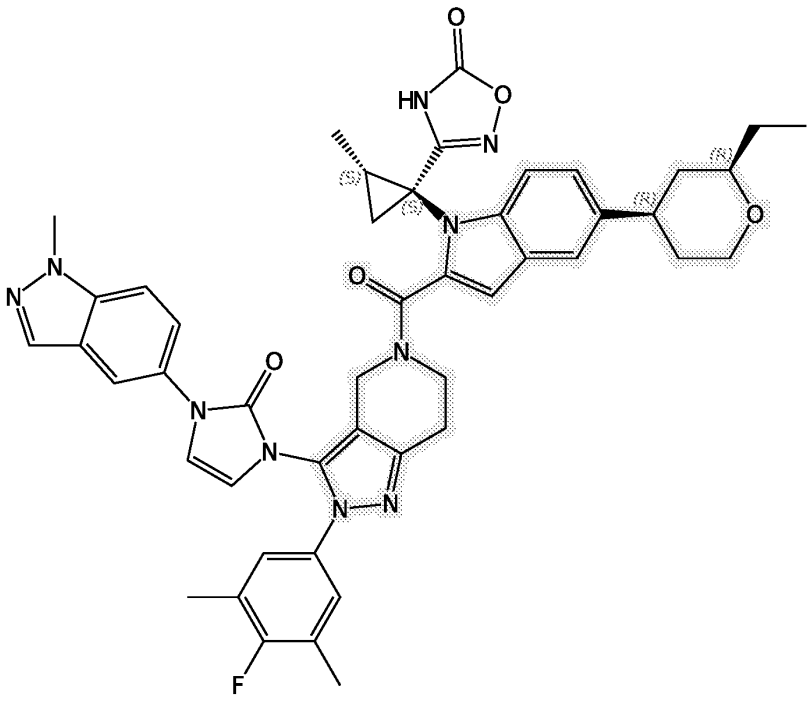
Absolute stereochemistry shown

IT 2212020-62-5P, 3-[(1S,2S)-1-[5-((2S,4S)-2-Ethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212022-84-7P,
3-[(1S,2S)-1-[5-((2R,4R)-2-Ethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolopyridines derivs. as glucagon-like peptide-1 (GLP-1) receptor agonists)
RN 2212020-62-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-2-ethyltetrahydro-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



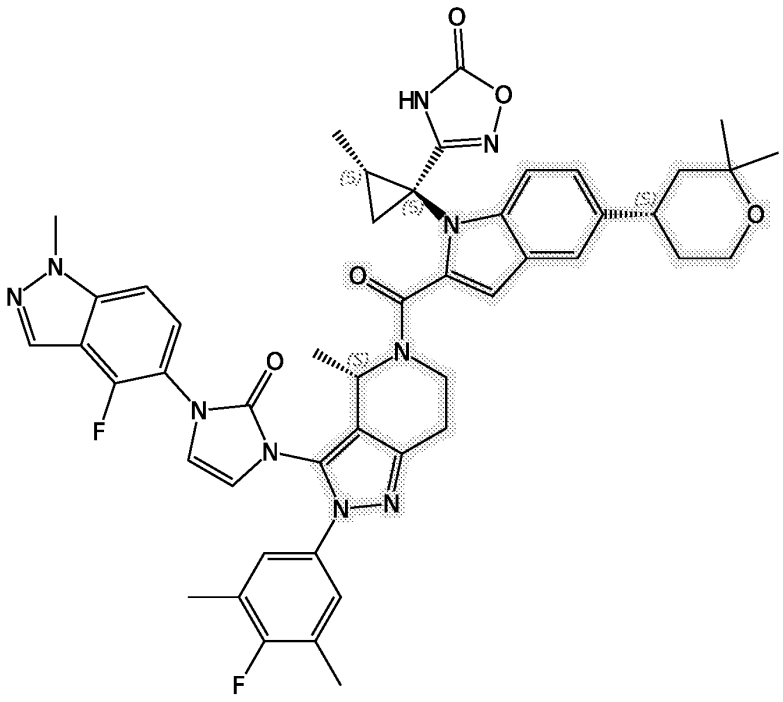
Absolute stereochemistry shown

RN 2212022-84-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2R,4R)-2-ethyltetrahydro-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



Absolute stereochemistry shown

IT 2212020-52-3P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrazolopyridines derivs. as glucagon-like peptide-1 (GLP-1) receptor agonists)
RN 2212020-52-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

IT 2212019-78-6P, 3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[2-oxo-3-[1-((3R)-oxolan-3-yl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-79-7P,
N-[4-[3-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[1-[(1S,2S)-2-methyl-1-(5-oxo-4H-1,2,4-oxadiazol-3-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-2-oxoimidazol-1-yl]-2-methoxyphenyl]-N-(3-methoxypropyl)acetamide 2212019-80-0P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[2-oxo-3-[1-(2,2,2-trifluoroethyl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-86-6P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-87-7P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[1-[(3-methyloxetan-3-yl)methyl]indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-88-8P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(3-methyl-1,2-benzothiazol-6-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-89-9P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-(2-hydroxyethoxy)-3-methylphenyl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-90-2P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-[(1-hydroxy-2-methylpropan-2-yl)oxy]-3-methoxyphenyl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-91-3P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Ethylsulfonylphenyl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212019-92-4P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[2-oxo-3-[1-((3S)-oxolan-3-yl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]p

yridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxa
diazol-5-one 2212019-93-5P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadia
zol-5-one 2212019-94-6P,
    3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,1-Dimethyl-3,4-dihydroisochromen-6-yl)-2-oxo
imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[
4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,
2,4-oxadiazol-5-one 2212019-95-7P,
    3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[6-(Dimethylamino)pyrimidin-4-yl]-3-methylph
enyl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4
H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopr
opyl]-4H-1,2,4-oxadiazol-5-one 2212019-96-8P
    , 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-fluoroe
thyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]py
ridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxad
iazol-5-one 2212019-97-9P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadia
zol-5-one 2212019-98-0P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,
2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]
-4H-1,2,4-oxadiazol-5-one 2212019-99-1P,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3
-methyloxetan-3-yl)methyl]indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro
-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclo
propyl]-4H-1,2,4-oxadiazol-5-one 2212020-00-1P***,
    3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpro
,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-3-[3-(1,3-dimethyl-2-
oxobenzimidazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-meth
yl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclo
propyl]-4H-1,2,4-oxadiazol-5-one 2212020-03-4P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[1-(2-methoxyethyl)-3-methyl-2-oxobenzimidazol-5-yl]-2-oxoimidaz
ol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl
]-2-methylcyclopropyl]-4,2,4-oxadiazol-5-one
    2212020-04-5P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-(1H-indazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,
7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropy
l]-4H-1,2,4-oxadiazol-5-one
    2212020-05-6P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2
-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]
indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-06-7P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-
methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylc
yclopropyl]-4H-1,2,4-oxadiazol-5-one
    2212020-07-8P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3-methyloxetan-3-yl)methyl]indazol-
5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]ca
rbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-08-9P
,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpropyl)indazol-5-yl]-2-oxoimidazo
l-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]
-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
    2212020-09-0P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-4-methyl-3-[3-(2-methyl-3-oxo-1,4-dihydroisoquinolin-6
-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]ind
ol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-10-3P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-[4-fluoro-1-((3S)-oxolan-3-yl)indazol-5-yl]-2-oxoimidazol-1-yl]-
4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methy
lcyclopropyl]-4H-1,2,4-oxadiazol-5-one
    2212020-11-4P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-
(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyra
zolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-methyloxan-4-yl)indol-1-yl]-2-methy

lcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-12-5P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-13-6P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-14-7P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-15-8P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-16-9P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3-methylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-17-0P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-Fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-18-1P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-3-[3-[4-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-1,2,4-oxadiazol-5-one 2212020-19-2P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Chloro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-20-5P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3-methylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-39-6P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-40-9P
,
3-[(1S,2S)-1-[2-[[2-(4-Chloro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-42-1P
,
N-[4-[3-[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-5-[[1-[(1S,2S)-2-methyl-1-(5-oxo-4H-1,2,4-oxadiazol-3-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-2-oxoimidazol-1-yl]cuban-1-yl]-N-(2-methoxyethyl)acetamide 2212020-44-3P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-45-4P,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[2-(3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-46-5P
,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-2-methyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-47-6P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-2-methyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-48-7P
, 3-[(1S,2S)-1-[7-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-49-8P,
3-[(1S,2S)-1-[7-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[2-oxo-3-[1-((3R)-oxolan-3-yl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-

1,2,4-oxadiazol-5-one 2212020-50-1P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl
]-4H-1,2,4-oxadiazol-5-one
2212020-53-4P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Chloro-1-methylindazol-5-yl)-
2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyra
zolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-me
thylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-54-5P, 3-[(1S,2S)-1-[5-((4S)
-2,2-Dimethyloxan-4-yl)-2-[[(4S)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimida
zol-1-yl]-2-(4-fluoro-3-methylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyr
idin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-55-6P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-y
l]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-me
thylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-56-7P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-3-[3-[6-fluoro-1-(2-methoxyethyl)indazol-5-yl]-2-oxoim
idazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-
1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-57-8P,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-Chloro-1-methylindazol-5-yl)-2-oxoimidazol-1
-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyri
din-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadia
zol-5-one 2212020-60-3P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y
l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(6
-oxaspiro[4.5]decan-9-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-o
ne 2212020-61-4P,
3-[(1S,2S)-1-[5-(2,2-Dimethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)
-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazo
lo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiaz
ol-5-one 2212020-63-6P,
3-[(1S,2S)-2-Ethyl-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(3-methylind
azol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbon
yl]-5-(oxan-4-yl)indol-1-yl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-64-7P
,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y
l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(o
xan-4-yl)indol-1-yl]-2-(hydroxymethyl)cyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-65-8P
,
3-[(1S,2S)-1-[2-[[(4S,6R)-2-(4-Fluoro-3,5-dimethylphenyl)-4,6-dimethyl-3-[3
-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridi
n-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazo
l-5-one 2212020-74-9P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylin
dazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbo
nyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-78-3P
,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y
l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(5
-oxaspiro[3.5]nonan-8-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-o
ne 2212020-81-8P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-met
hylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]
carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-82-9P
,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[4-(ox
an-4-yl)phenyl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]c
arbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-84-1P
,
3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-((3S)-1-Acetylpyrrolidin-3-yl)indazol-5-yl]-
2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyra
zolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-
4H-1,2,4-oxadiazol-5-one 2212020-85-2P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[1-[2-
[4-(oxetan-3-yl)piperazin-1-yl]ethyl]indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihyd
ro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyc
lopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-86-3P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[3-met
hyl-4-[6-(4-methylpiperazin-1-yl)pyrimidin-4-yl]phenyl]-2-oxoimidazol-1-yl]-6,7-
dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-meth
ylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-87-4P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[2-oxo-3-[1-(2,2,2-trifluoroethyl)indazol-5-yl]imidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-88-5P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(3-methylimidazo[1,5-a]pyridin-7-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-89-6P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(3-methylimidazo[1,5-a]pyridin-6-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-90-9P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-Dimethylindazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-91-0P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-[2-(Dimethylamino)ethyl]indazol-5-yl]-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-93-1P, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-Dimethylindazol-5-yl)-2-oxoimidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-dimethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-94-3P, 3-[(1S,2S)-1-[6-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-95-4P, 3-[(1S,2S)-1-[3-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-96-5P, 3-[(1S,2S)-1-[4-Fluoro-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-97-6P, 3-[1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-methoxyethyl)indazol-5-yl]-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-98-7P, 3-[1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212020-99-8P, 3-[[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]methyl]-4H-1,2,4-oxadiazol-5-one

2212021-00-4P, 3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-(methoxymethyl)cyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212021-02-6P, 3-[(1S,2R)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-[(morpholin-4-yl)methyl]cyclopropyl]-4H-1,2,4-oxadiazol-5-one formate

2212021-03-7P, 3-[(2S,3R)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2,3-dimethylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

2212021-05-9P, 3-[(1R)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]ethyl]-4H-1,2,4-oxadiazol-5-one

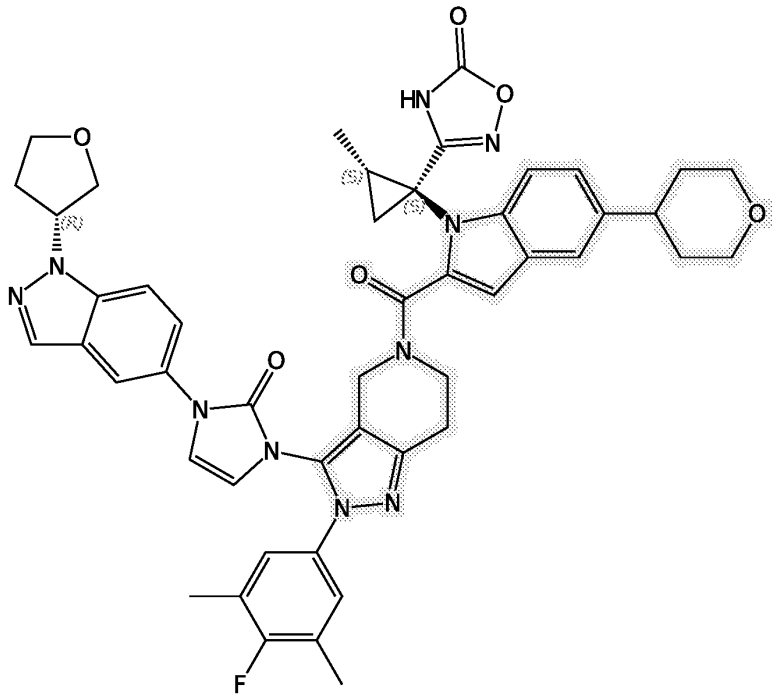
2212021-08-2P, 2-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]acetic acid

2212021-10-6P, 1-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[5-(oxan-4-yl)-1-[(1H-1,2,4-triazol-5-yl)methyl]indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-3-(1-methylindazol-5-yl)imidazol-2-one

2212021-11-7P, 1-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[1-[(1S,2S)-2-methyl-1-(5-sulfanylidene-4H-1,2,4-oxadiazol-3-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-3-yl]-3-(1-methylindazol-5-yl)imidazol-2-one

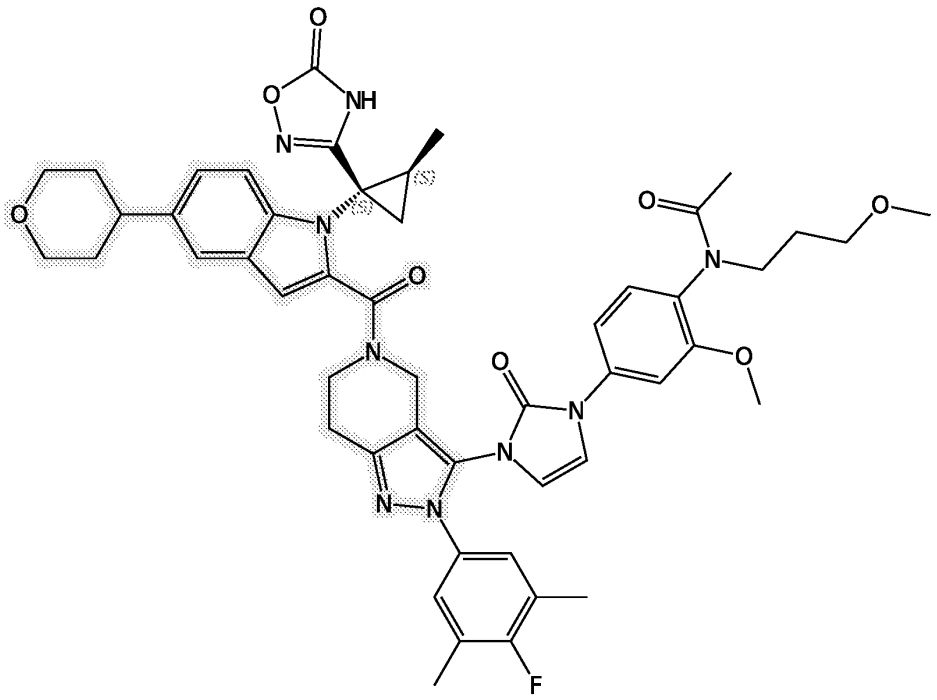
2212021-12-8P, 3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y

l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(o
xan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-thiadiazol-5-one 2212021-13-9P
,
    1-[2-(4-Fluoro-3,5-dimethylphenyl)-5-[[1-[(1S,2S)-2-methyl-1-(1H-tetrazol-5
-yl)cyclopropyl]-5-(oxan-4-yl)indol-2-yl]carbonyl]-6,7-dihydro-4H-pyrazolo[4,3-c
]pyridin-3-yl]-3-(1-methylindazol-5-yl)imidazol-2-one
    2212021-14-0P, (1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methy
lindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]ca
rbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methyl-N-(methylsulfonyl)cyclopropane-1-carbo
xamide 2212021-26-4P,
    3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimet
hylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7
-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl
]-4H-1,2,4-oxadiazol-5-one sodium salt hydrate
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
       (prepn. of pyrazolopyridines derivs. as glucagon-like peptide-1 (GLP-1)
       receptor agonists)
RN 2212019-78-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-2-oxo-3-[1-[(3R
)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimet
hylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrah
ydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



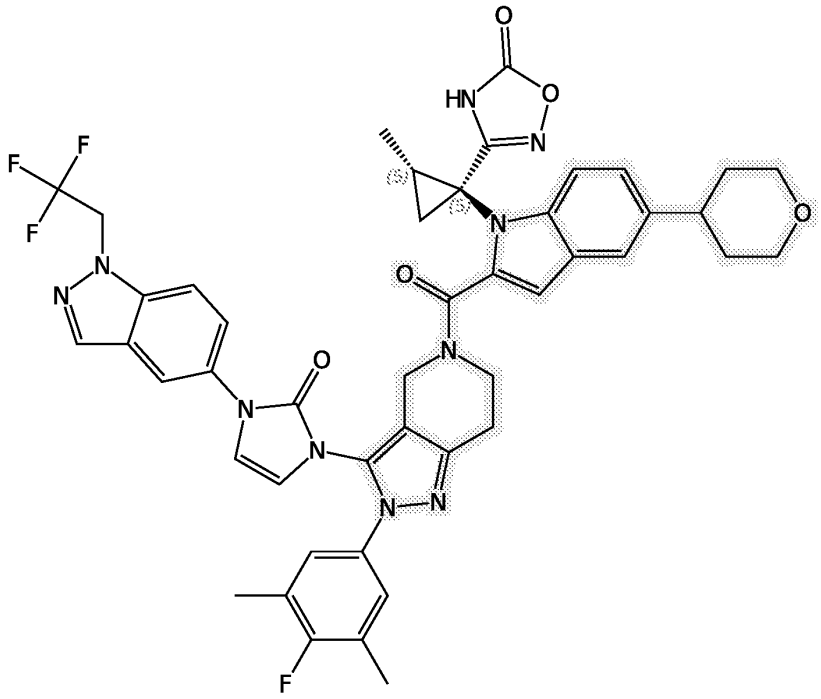
Absolute stereochemistry shown

RN 2212019-79-7 CAPLUS
CN Acetamide, N-[4-[3-[5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-y
l)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(
4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridin-3-yl]-
2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-methoxyphenyl]-N-(3-methoxypropyl)- (CA
INDEX NAME)



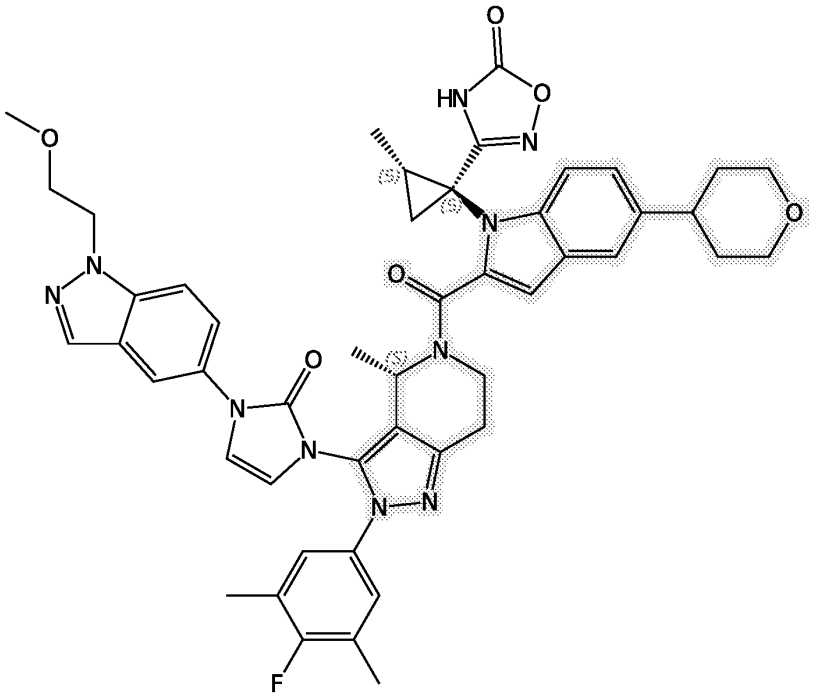
Absolute stereochemistry shown

RN 2212019-80-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-2-oxo-3-[1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



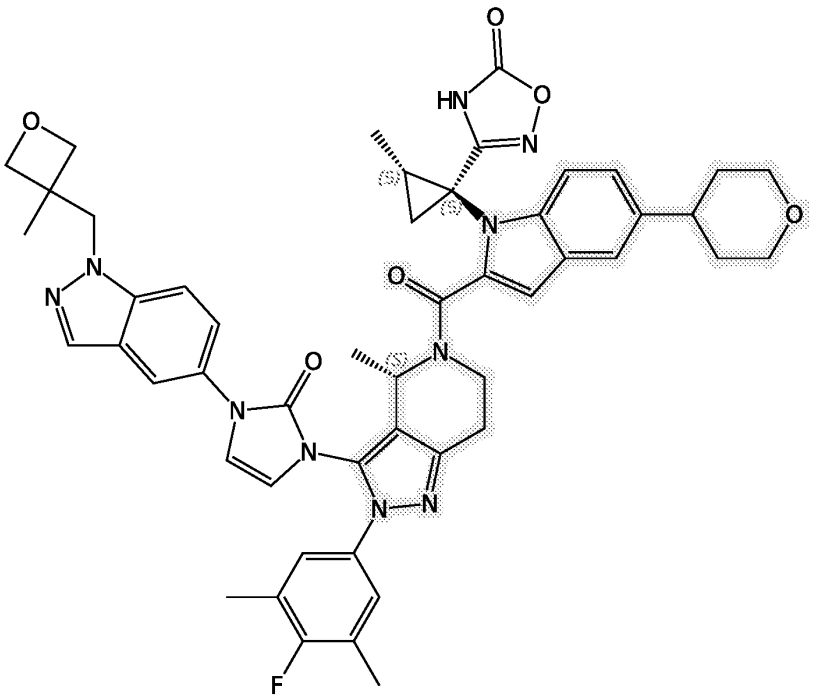
Absolute stereochemistry shown

RN 2212019-86-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



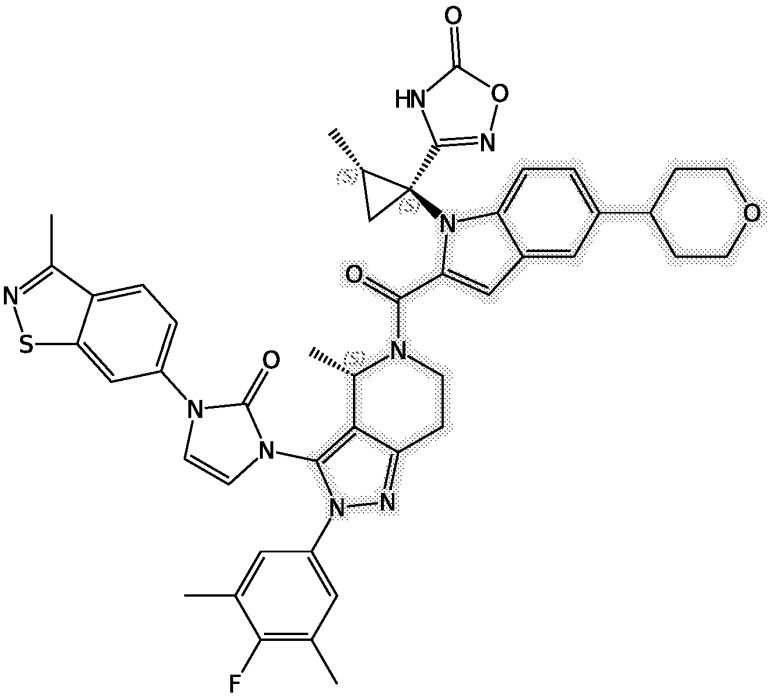
Absolute stereochemistry shown

RN 2212019-87-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-[(3-methyl-3-oxetanyl)methyl]-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



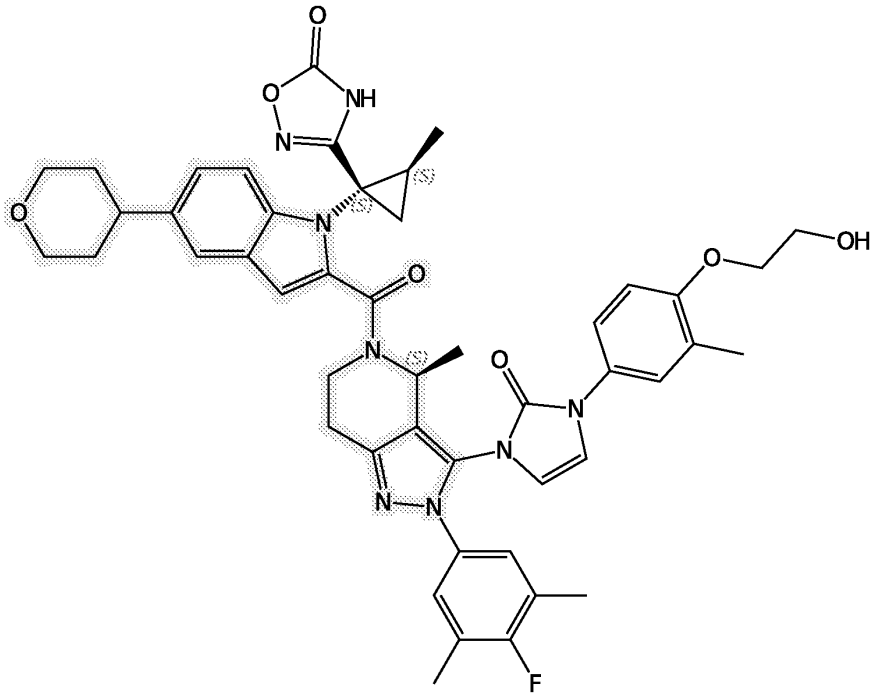
Absolute stereochemistry shown

RN 2212019-88-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(3-methyl-1,2-benzisothiazol-6-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



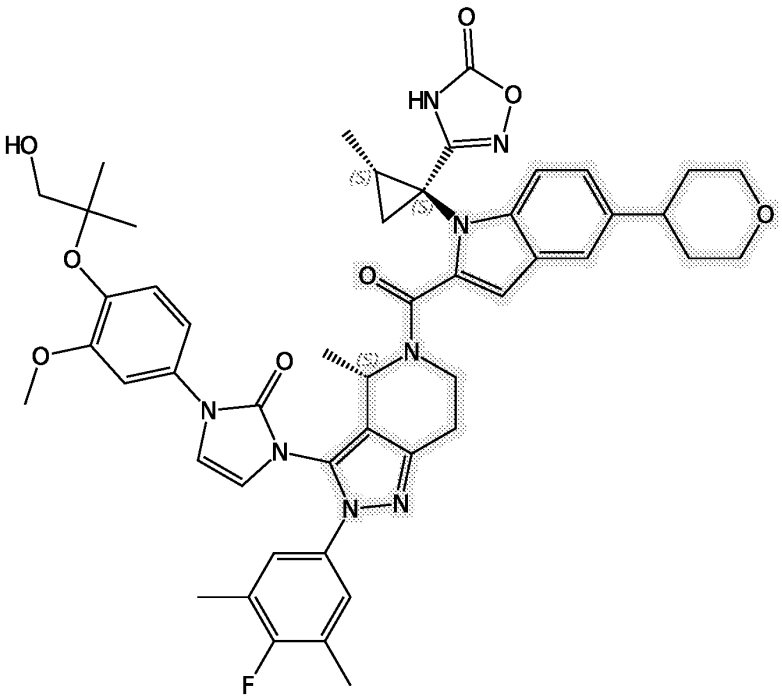
Absolute stereochemistry shown

RN 2212019-89-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[4-(2-hydroxyethoxy)-3-methylphenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



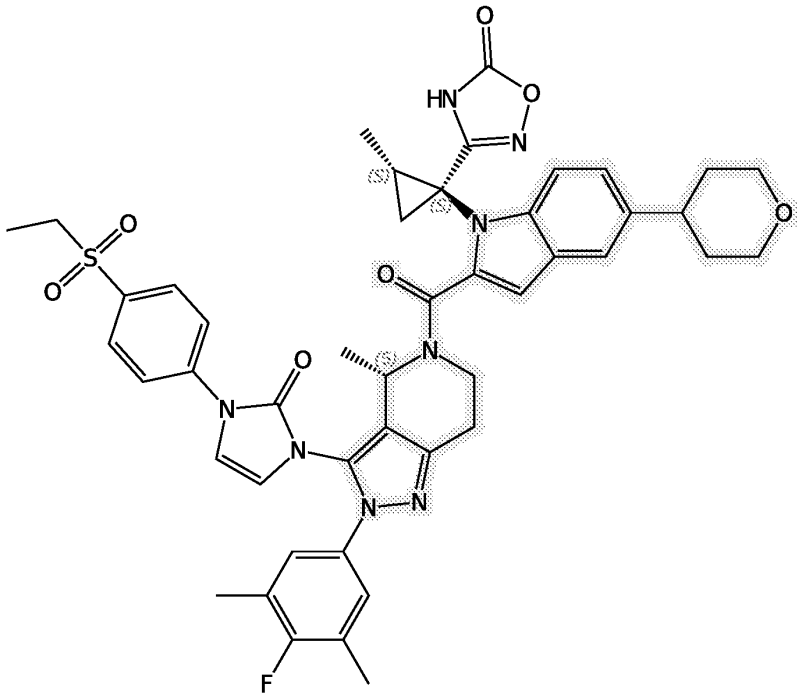
Absolute stereochemistry shown

RN 2212019-90-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[4-(2-hydroxy-1,1-dimethylethoxy)-3-methoxyphenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



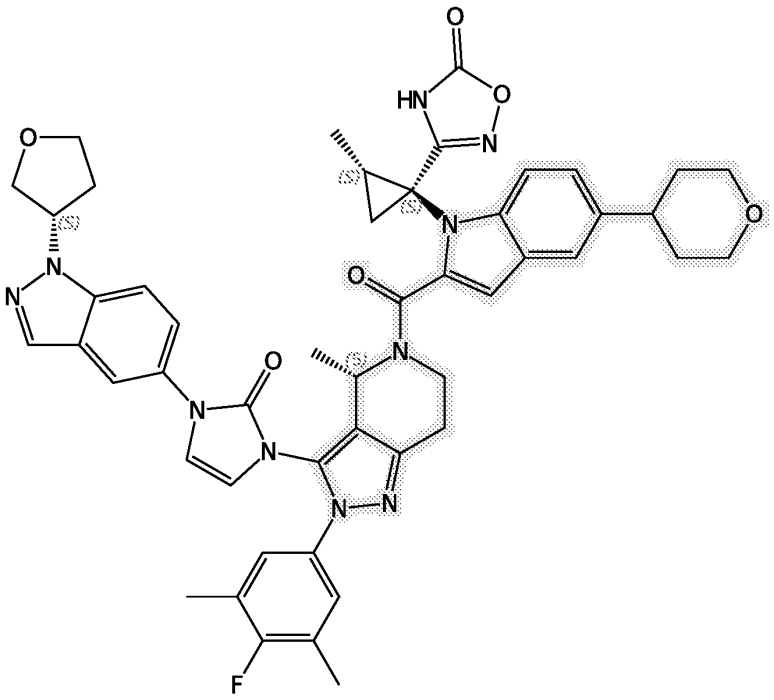
Absolute stereochemistry shown

RN 2212019-91-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(ethylsulfonyl)ph
enyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,
7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-
pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



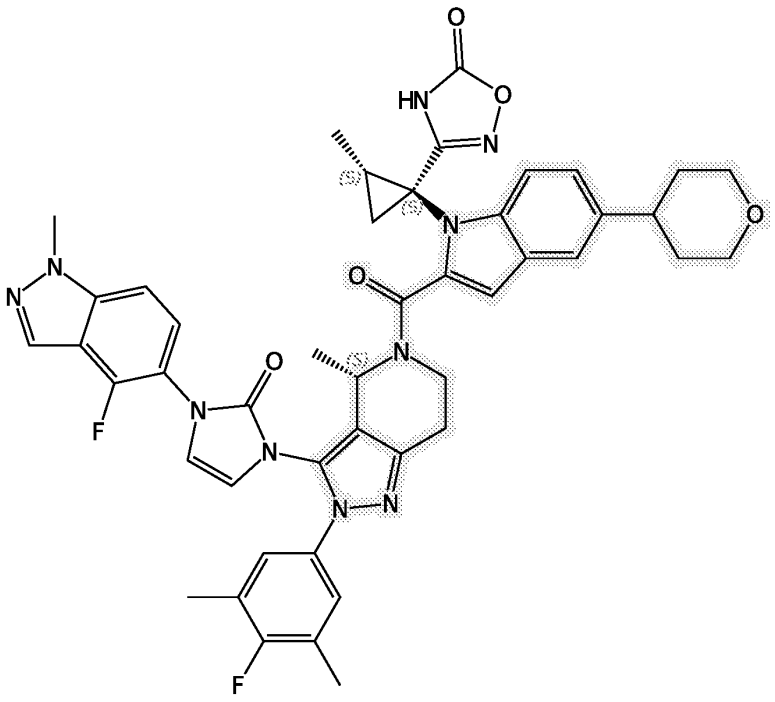
Absolute stereochemistry shown

RN 2212019-92-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[1-[(3S)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



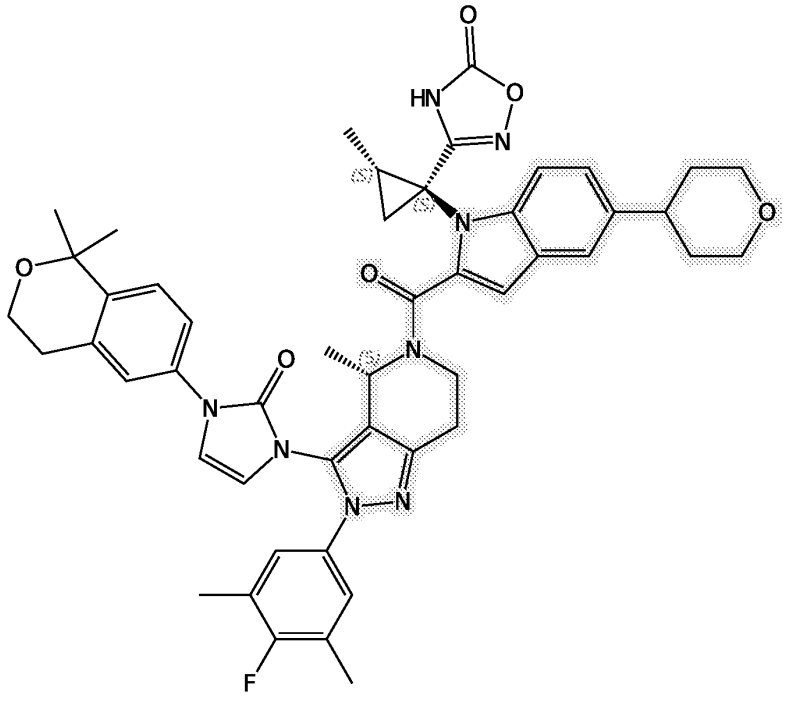
Absolute stereochemistry shown

RN 2212019-93-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



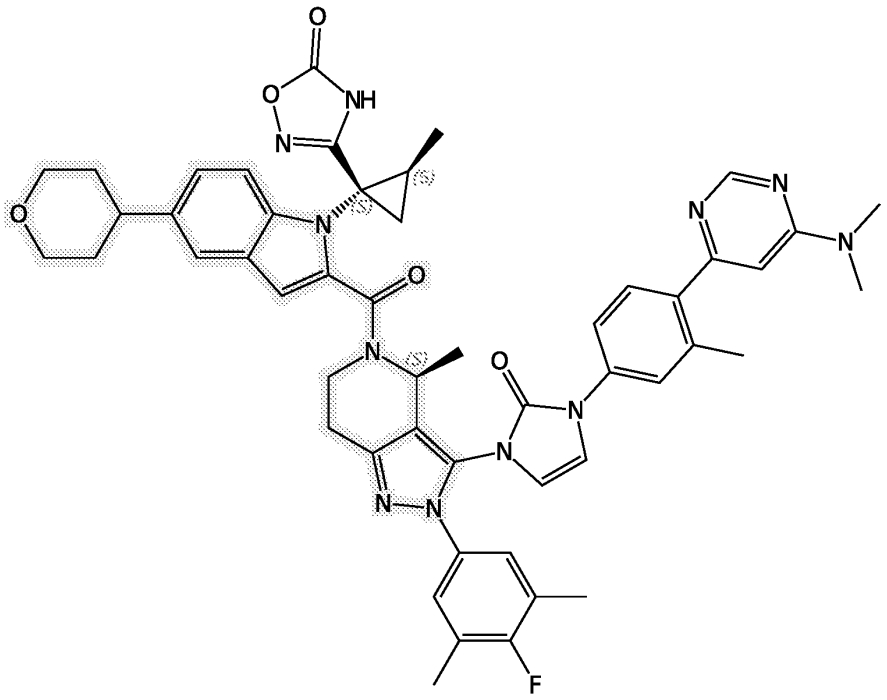
Absolute stereochemistry shown

RN 2212019-94-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(3,4-dihydro-1,1-dimethyl-1H-2-benzopyran-6-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



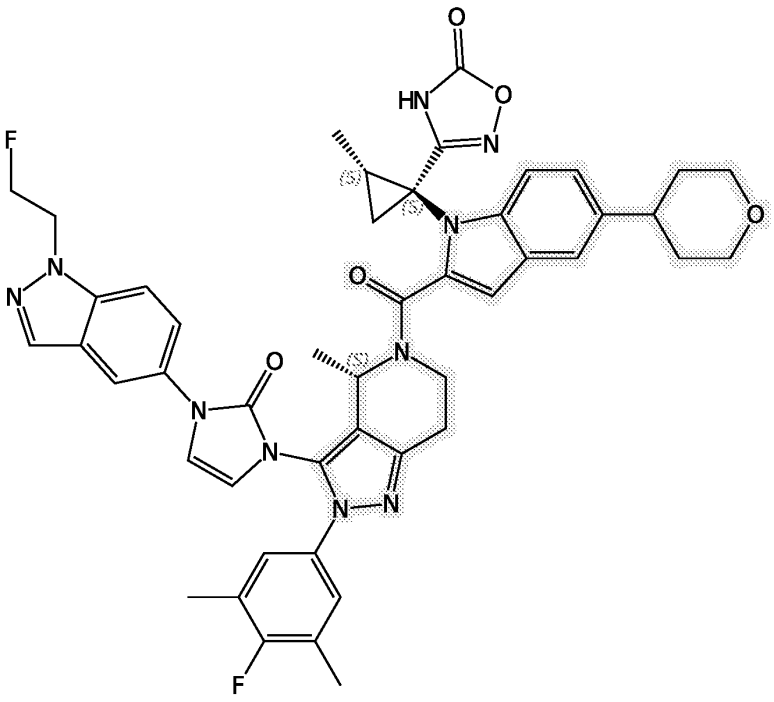
Absolute stereochemistry shown

RN 2212019-95-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-[6-(dimethylamino)-4-pyrimidinyl]-3-methylphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



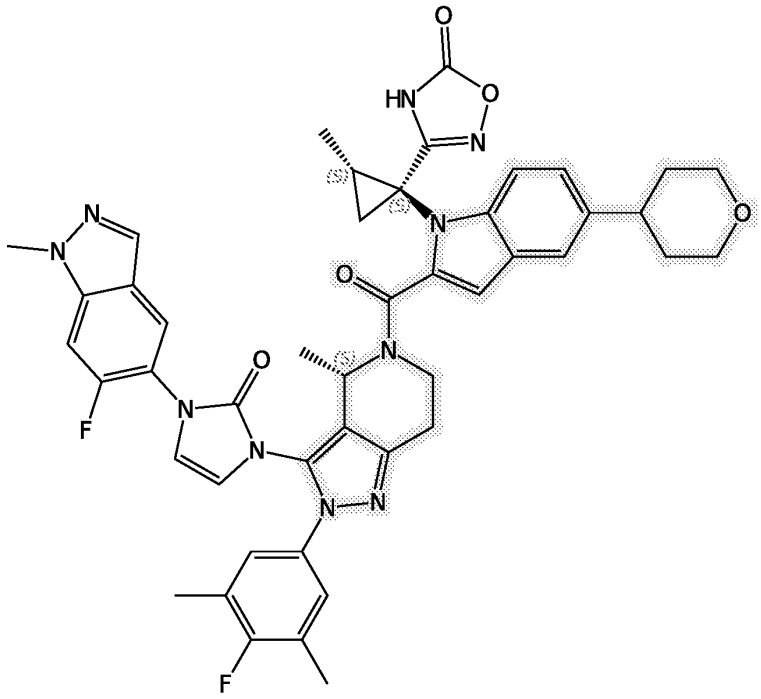
Absolute stereochemistry shown

RN 2212019-96-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[1-(2-fluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



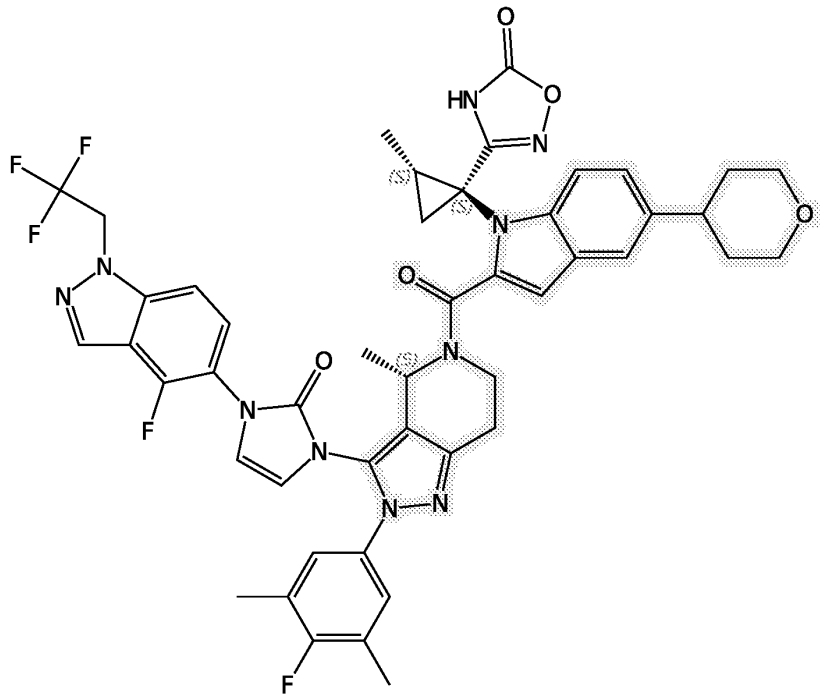
Absolute stereochemistry shown

RN 2212019-97-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



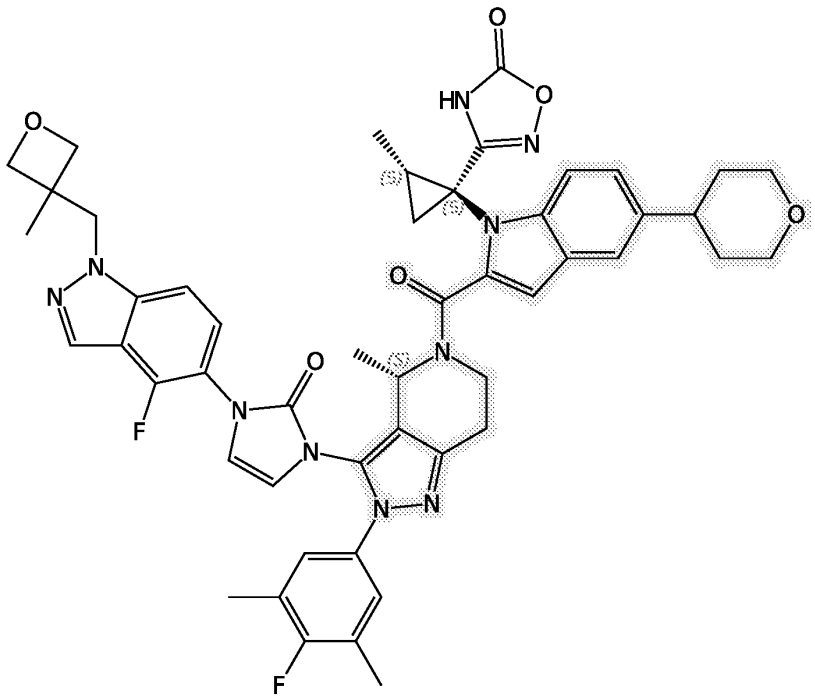
Absolute stereochemistry shown

RN 2212019-98-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



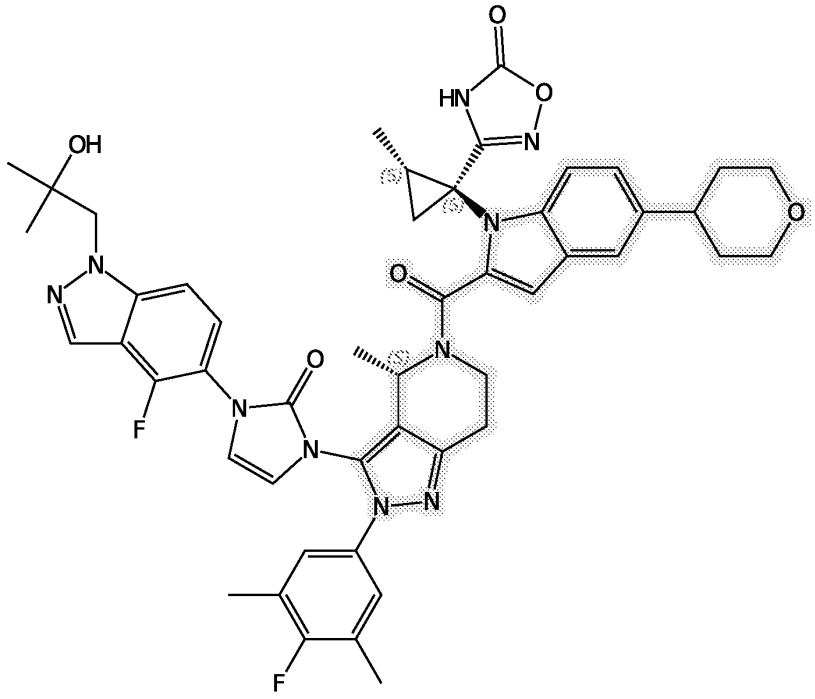
Absolute stereochemistry shown

RN 2212019-99-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3-methyl-3-oxetanyl)methyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



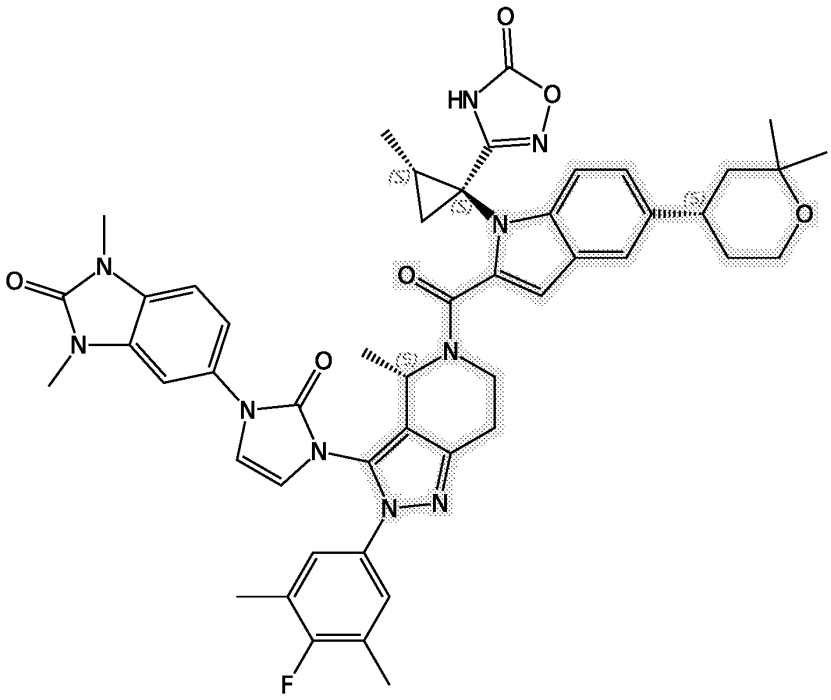
Absolute stereochemistry shown

RN 2212020-00-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpropyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



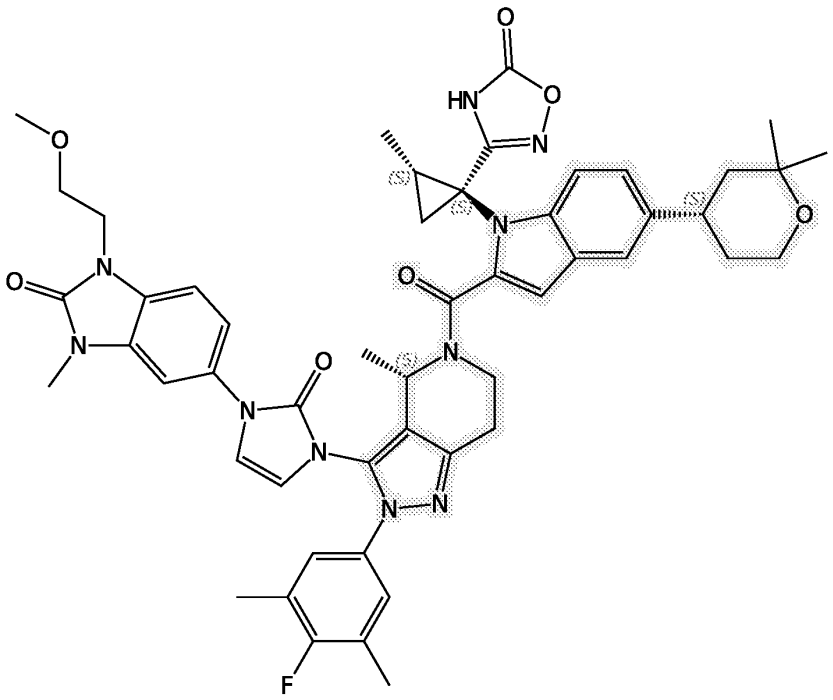
Absolute stereochemistry shown

RN 2212020-02-3 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-1,3-dihydro-1,3-dimethyl- (CA INDEX NAME)



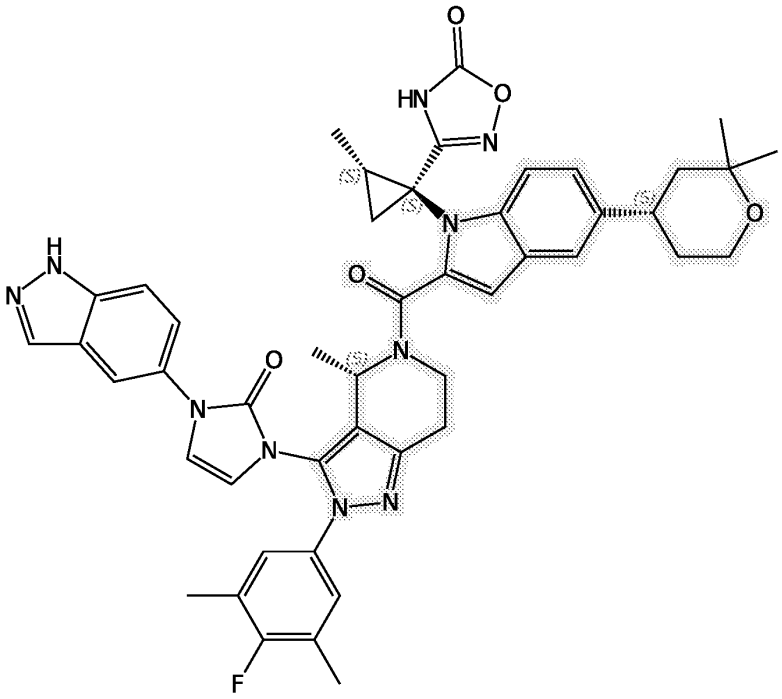
Absolute stereochemistry shown

RN 2212020-03-4 CAPLUS
CN 2H-Benzimidazol-2-one, 5-[3-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-5-[[1-[(1S,2S)-2-methyl-1-(4-oxo-4H-imidazol-2-yl)cyclopropyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-1,3-dihydro-1-(2-methoxyethyl)-3-methyl- (CA INDEX NAME)



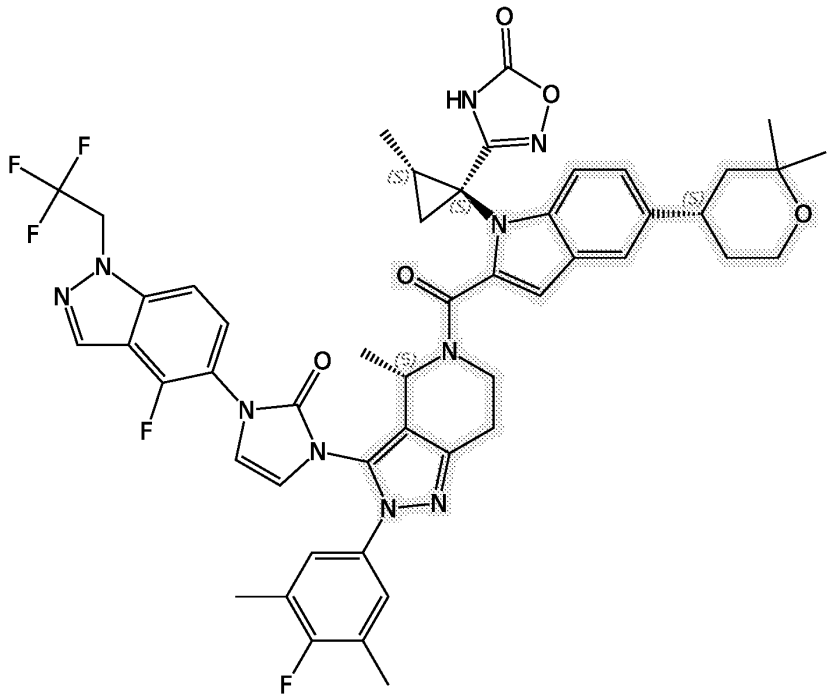
Absolute stereochemistry shown

RN 2212020-04-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1H-inda
zol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrah
ydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-di
methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



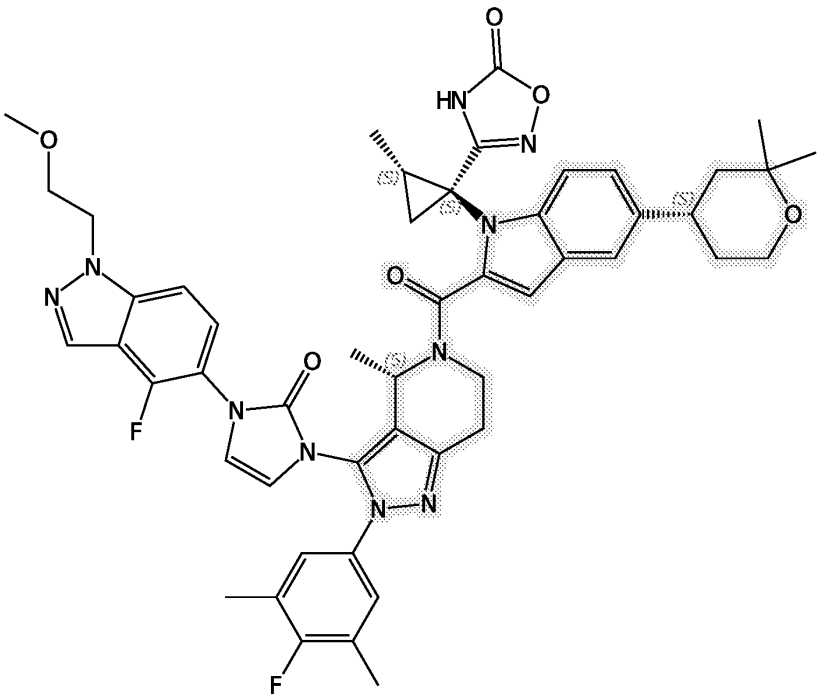
Absolute stereochemistry shown

RN 2212020-05-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



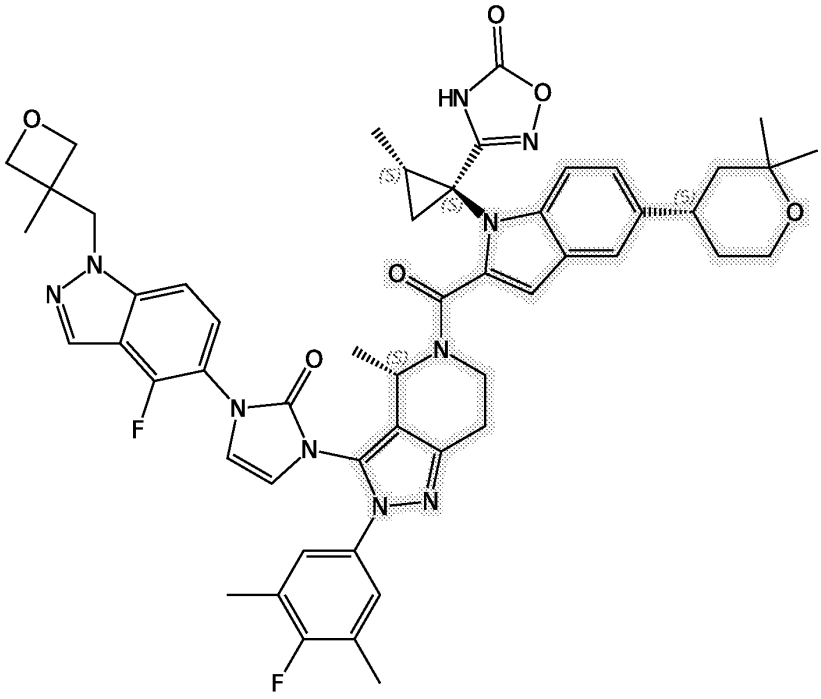
Absolute stereochemistry shown

RN 2212020-06-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



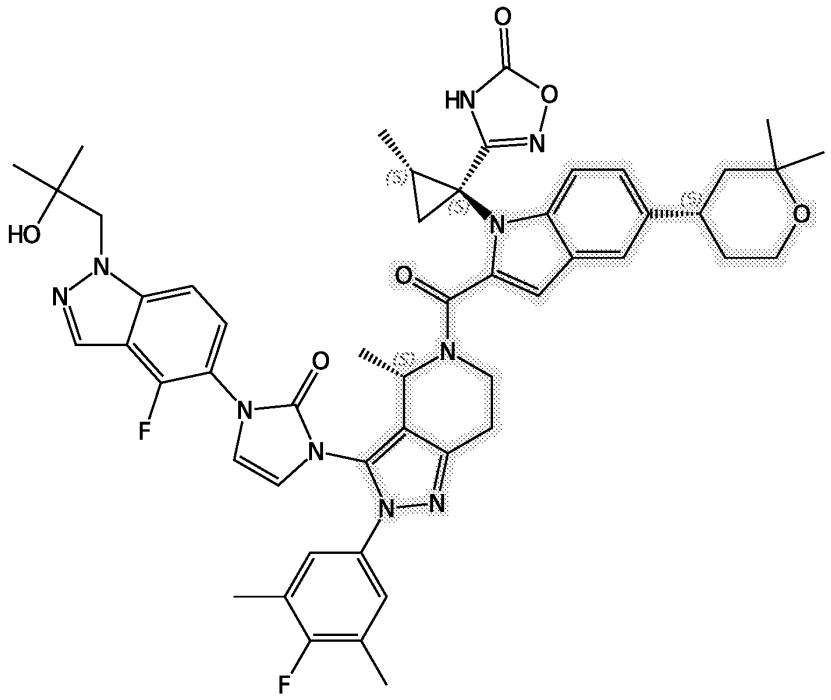
Absolute stereochemistry shown

RN 2212020-07-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3-methyl-3-oxetanyl)methyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



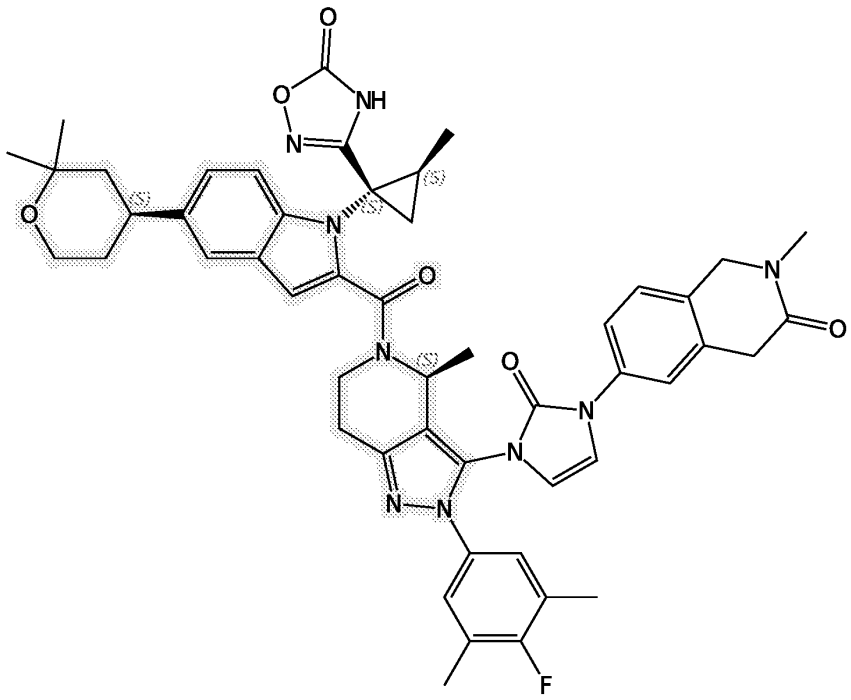
Absolute stereochemistry shown

RN 2212020-08-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-hydroxy-2-methylpropyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



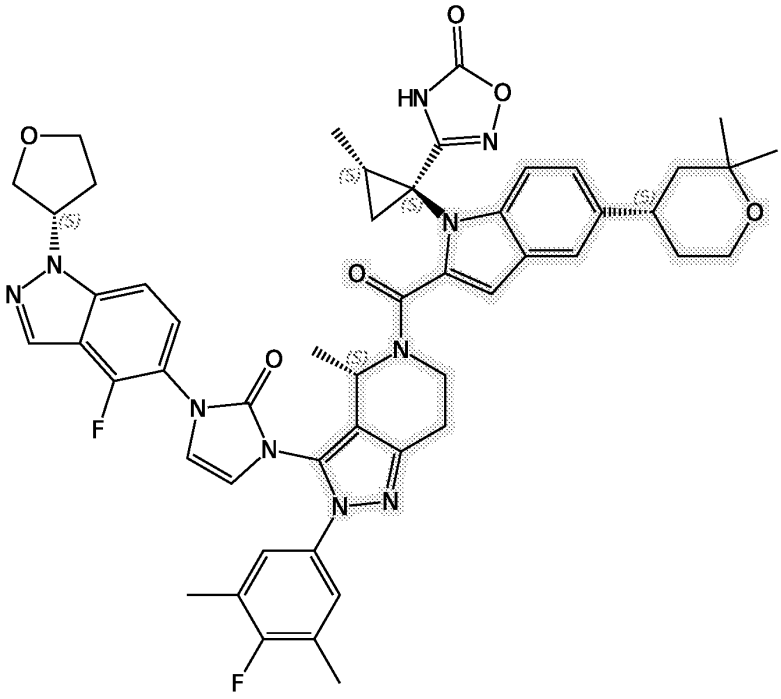
Absolute stereochemistry shown

RN 2212020-09-0 CAPLUS
CN 3(2H)-Isoquinolinone, 6-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-1,4-dihydro-2-methyl- (CA INDEX NAME)



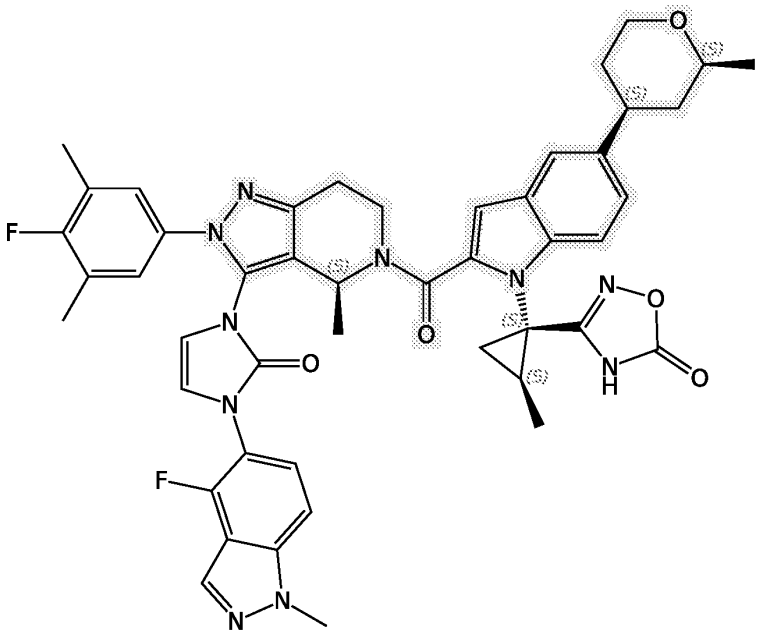
Absolute stereochemistry shown

RN 2212020-10-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-[(3S)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



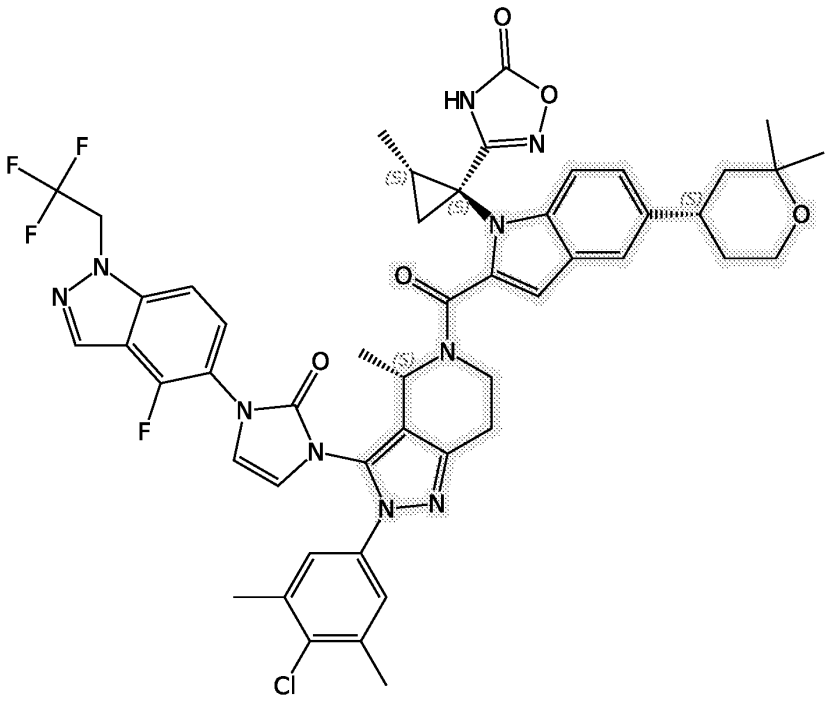
Absolute stereochemistry shown

RN 2212020-11-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



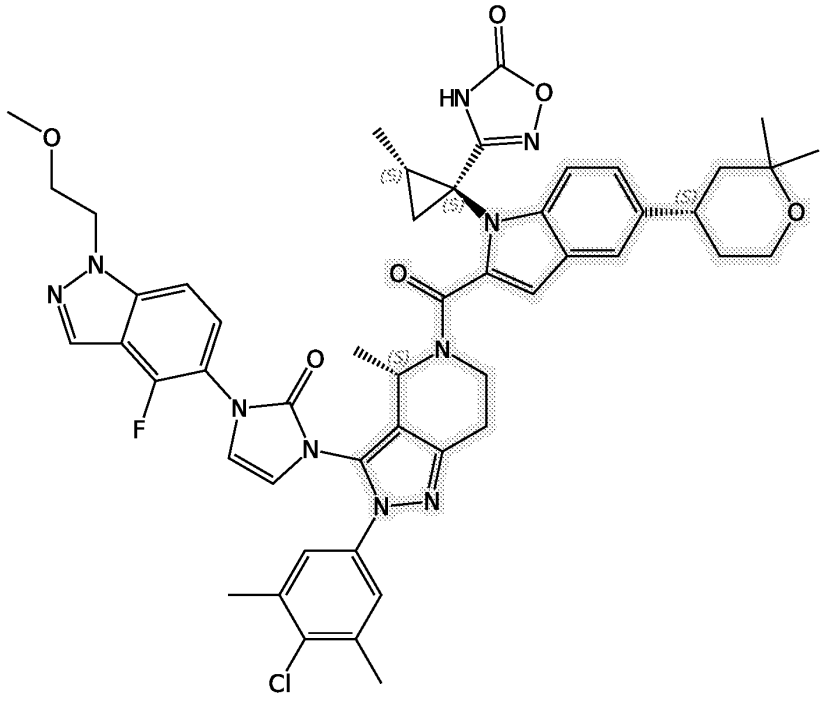
Absolute stereochemistry shown

RN 2212020-12-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



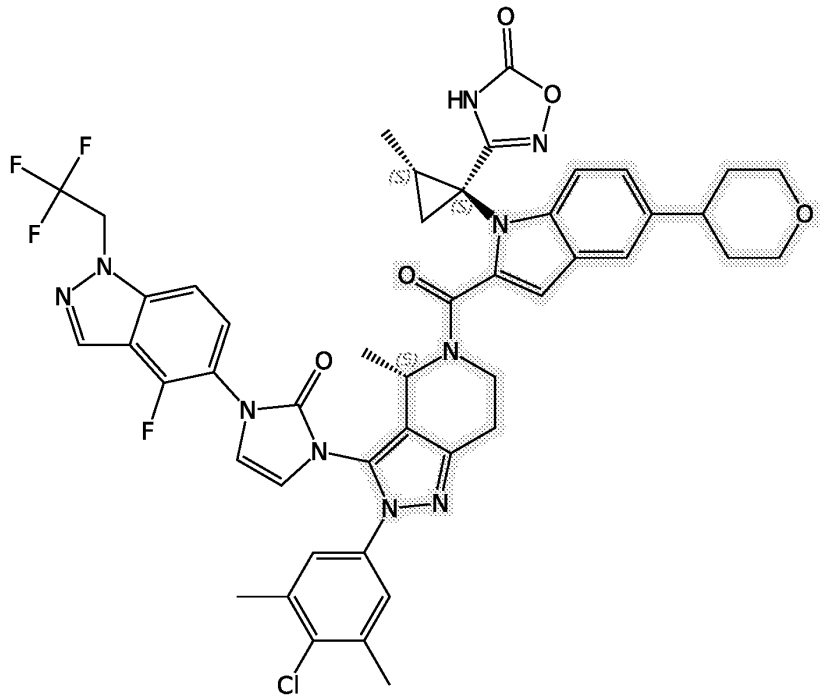
Absolute stereochemistry shown

RN 2212020-13-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



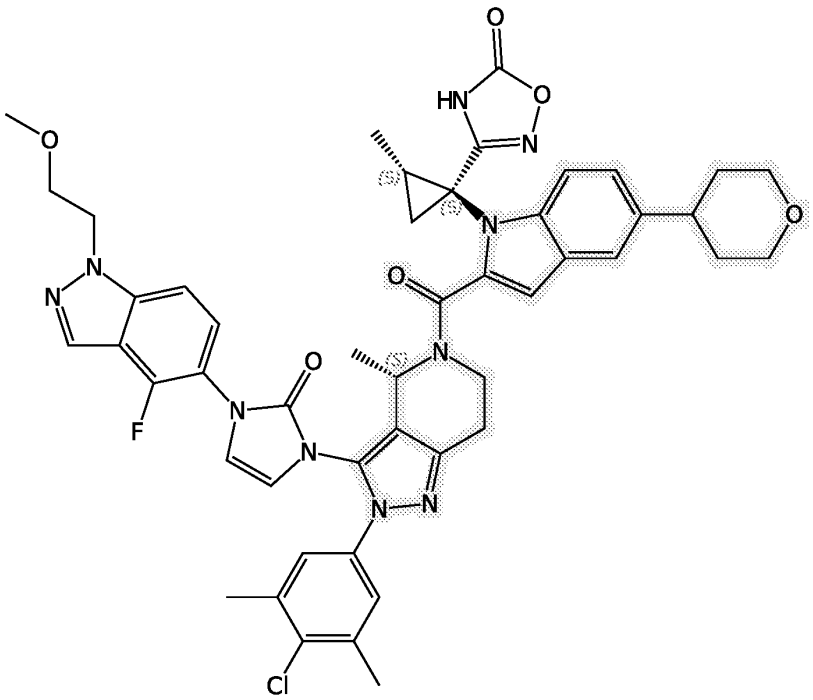
Absolute stereochemistry shown

RN 2212020-14-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



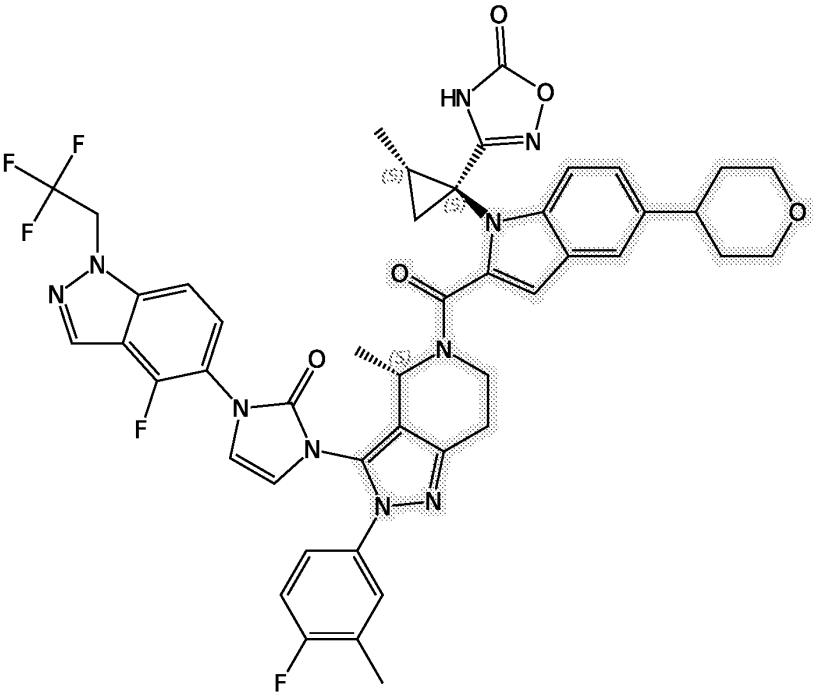
Absolute stereochemistry shown

RN 2212020-15-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-[4-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



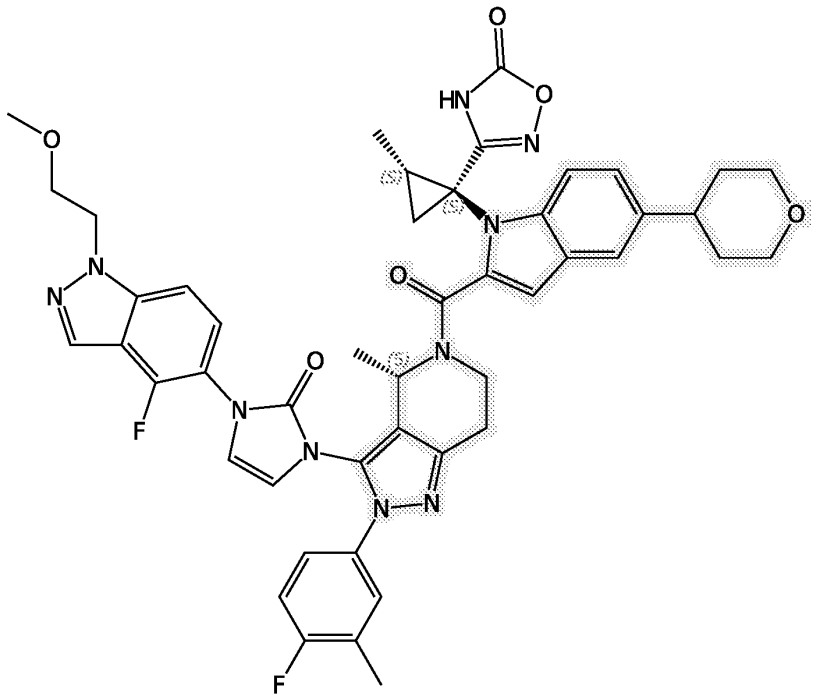
Absolute stereochemistry shown

RN 2212020-16-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3-methylpheny
l)-3-[3-[4-fluoro-1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H
-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbo
nyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



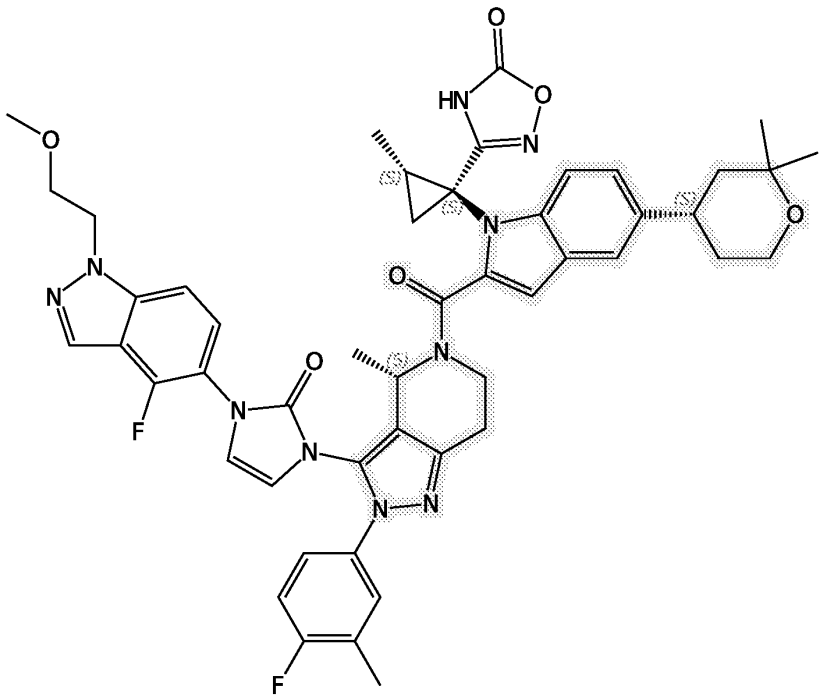
Absolute stereochemistry shown

RN 2212020-17-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-fluoro-1-(2-metho
xyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-meth
ylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5
-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX
NAME)



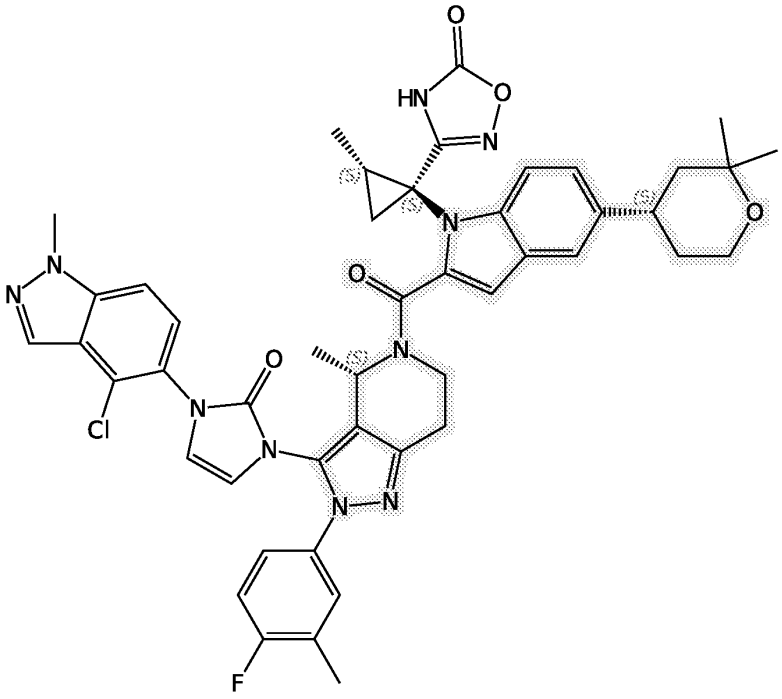
Absolute stereochemistry shown

RN 2212020-18-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-fluoro-1-(2-metho
xyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-meth
ylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5
-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl
]- (CA INDEX NAME)



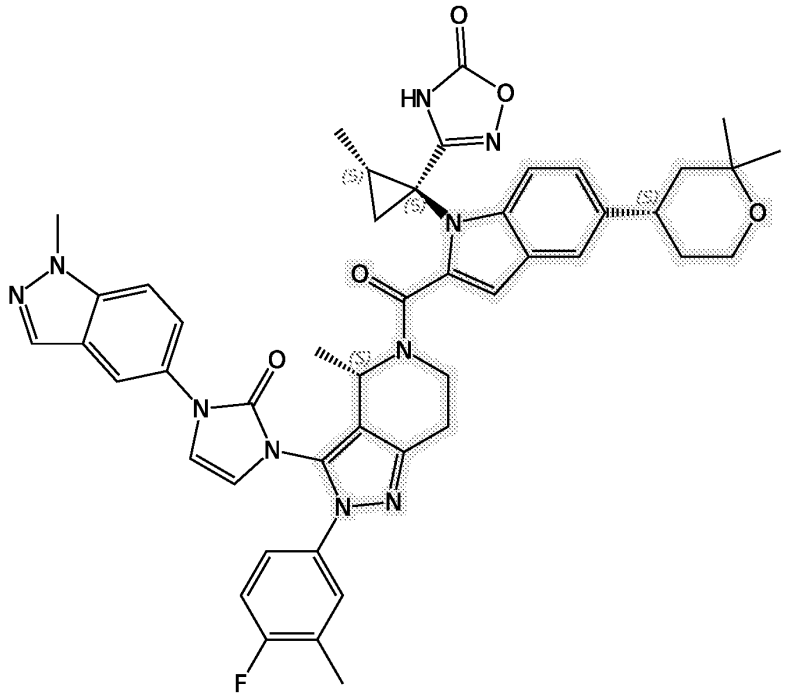
Absolute stereochemistry shown

RN 2212020-19-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-chloro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



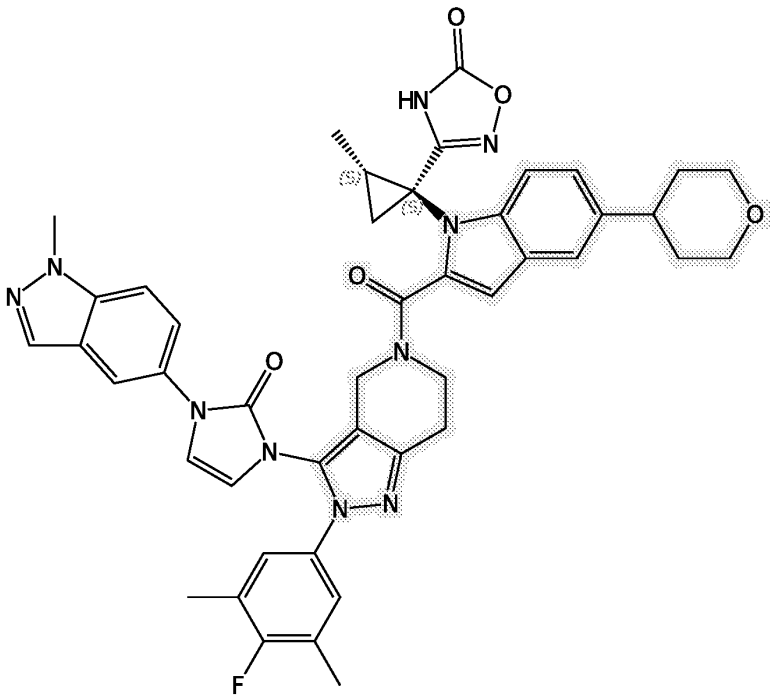
Absolute stereochemistry shown

RN 2212020-20-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



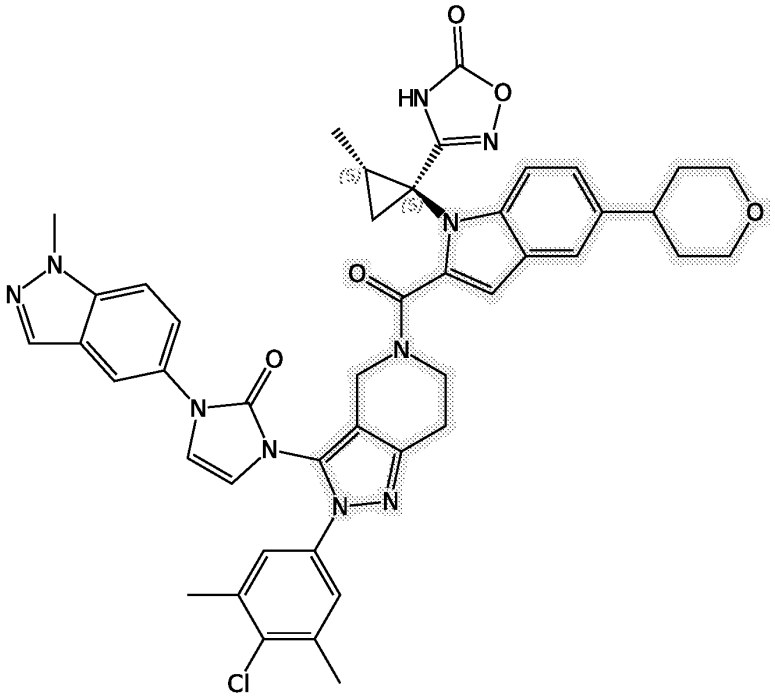
Absolute stereochemistry shown

RN 2212020-39-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



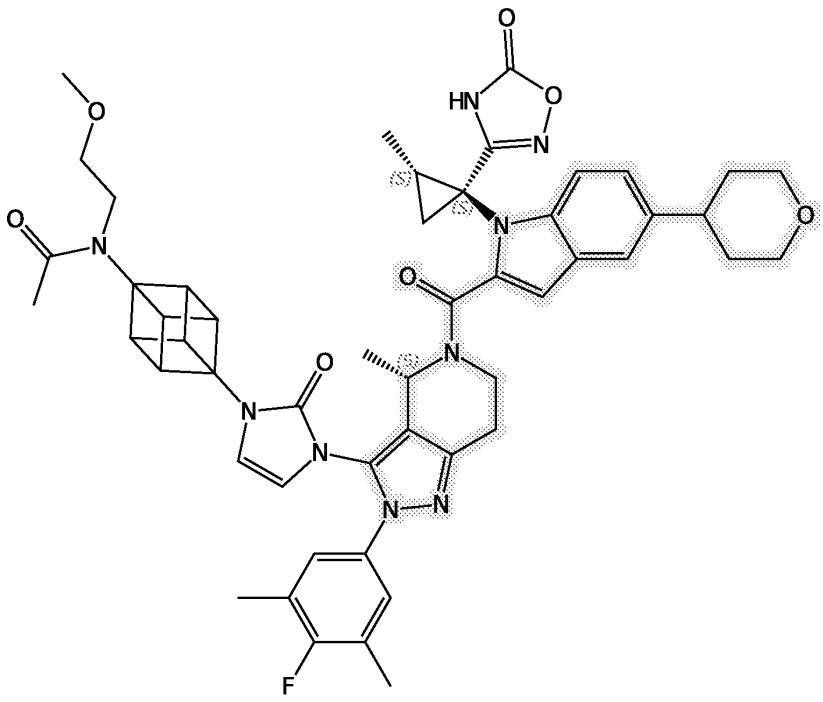
Absolute stereochemistry shown

RN 2212020-40-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-chloro-3,5-dimethylphenyl)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



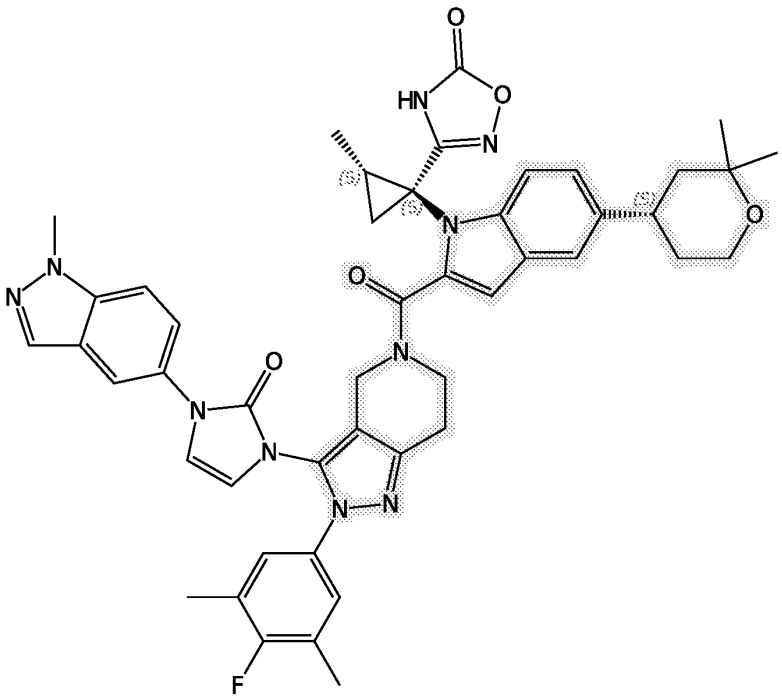
Absolute stereochemistry shown

RN 2212020-42-1 CAPLUS
CN Acetamide, N-[4-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-oxadiazo
l-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl
]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]
pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]pentacyclo[4.2.0.02,5.03,8.04,7
]octyl]-N-(2-methoxyethyl)- (CA INDEX
NAME)



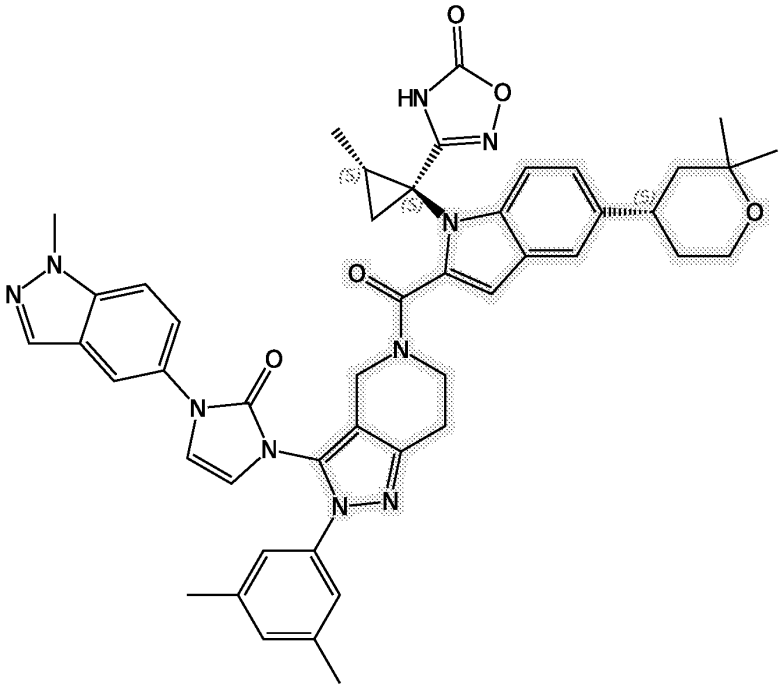
Absolute stereochemistry shown

RN 2212020-44-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-
indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-te
trahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethy
l-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-(CA INDEX NAME)



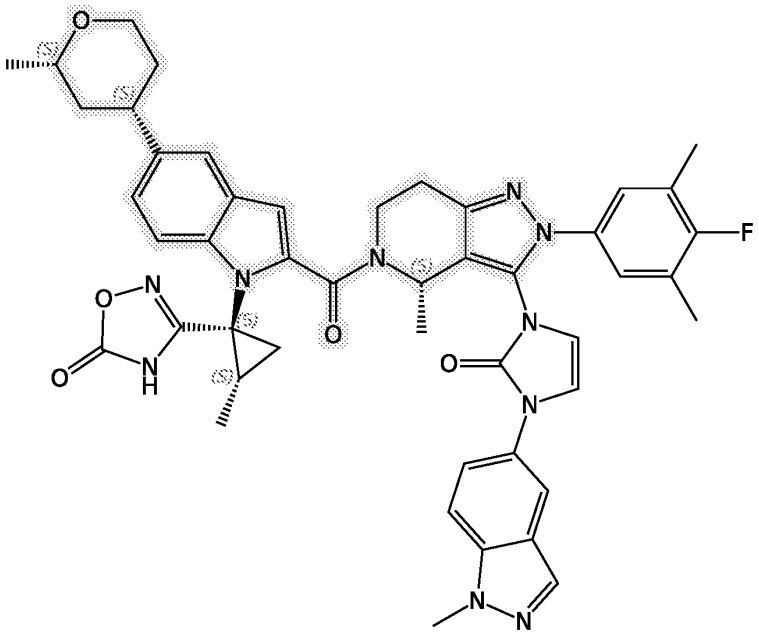
Absolute stereochemistry shown

RN 2212020-45-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



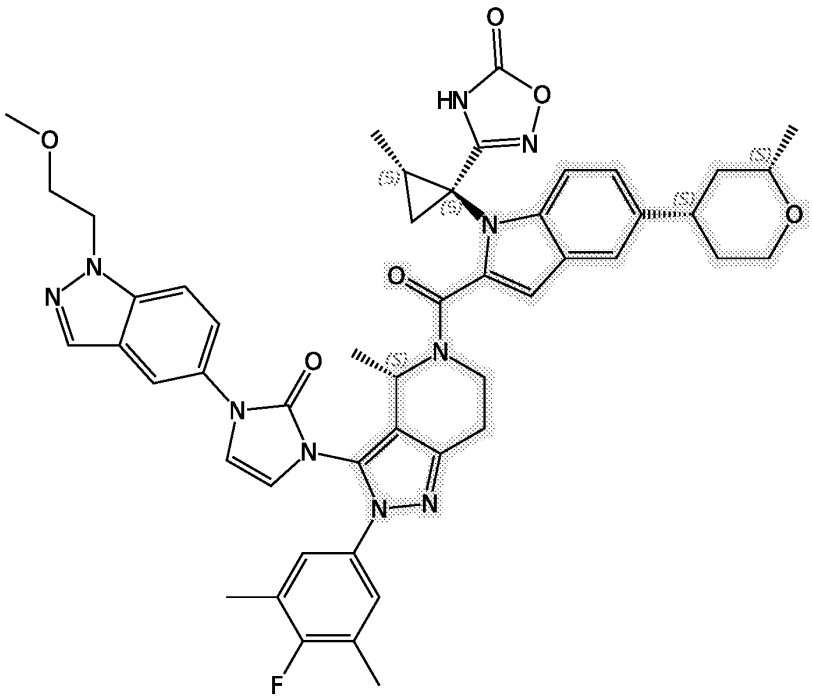
Absolute stereochemistry shown

RN 2212020-46-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



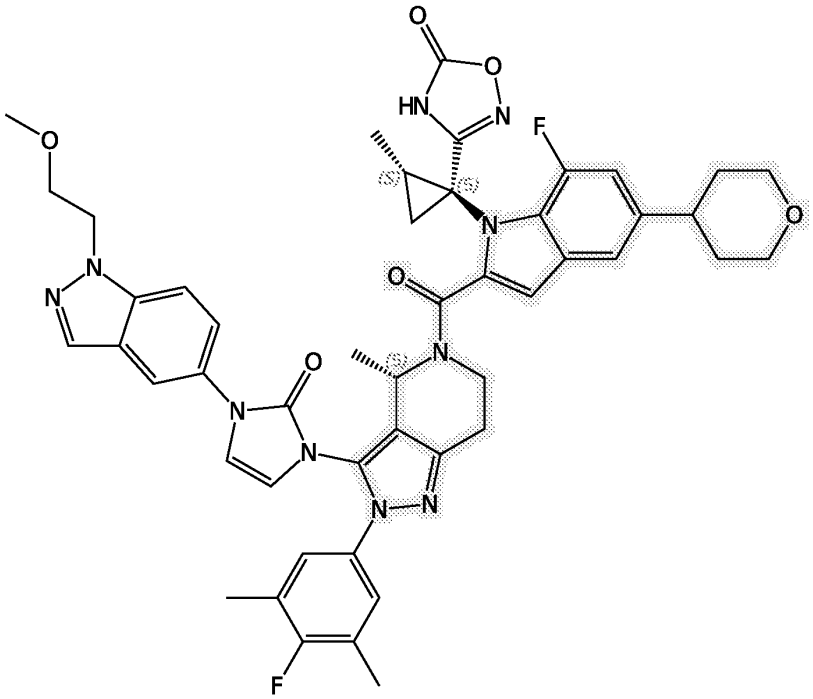
Absolute stereochemistry shown

RN 2212020-47-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



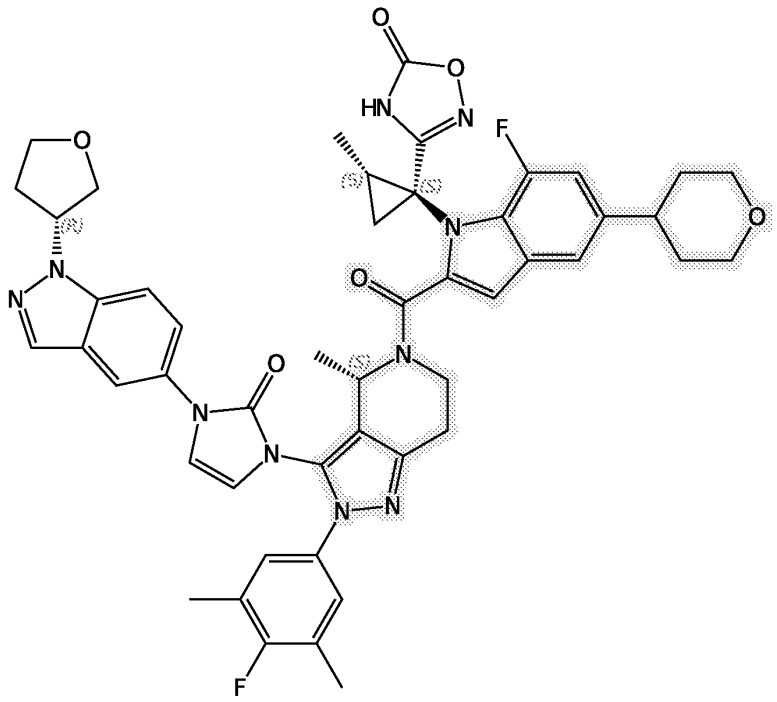
Absolute stereochemistry shown

RN 2212020-48-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-7-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



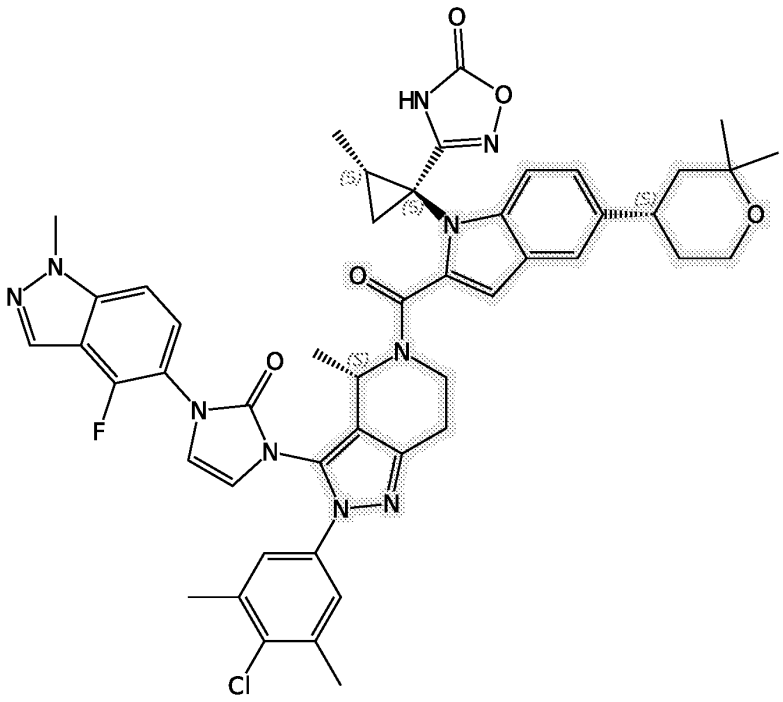
Absolute stereochemistry shown

RN 2212020-49-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[1-[(3R)-tetrahydro-3-furanyl]-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-7-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



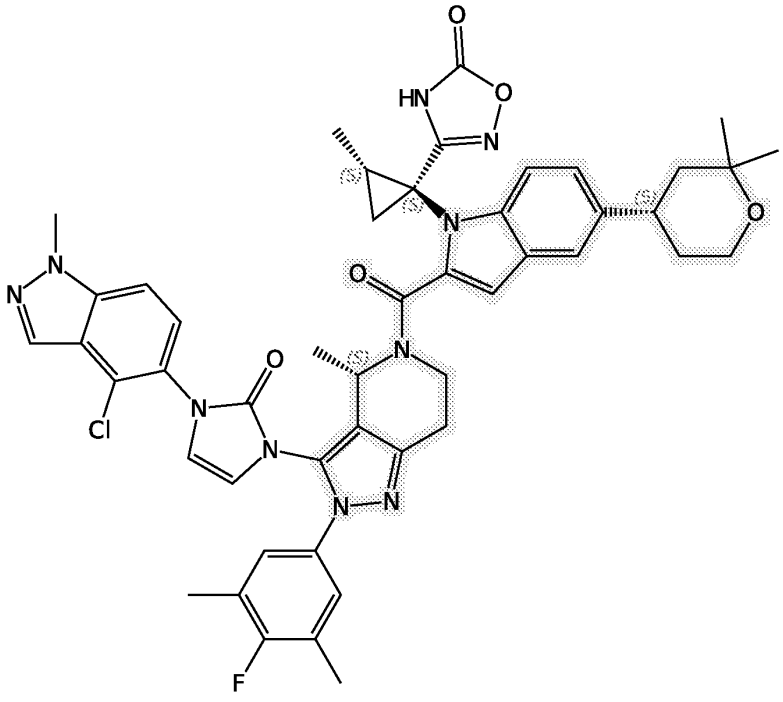
Absolute stereochemistry shown

RN 2212020-50-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



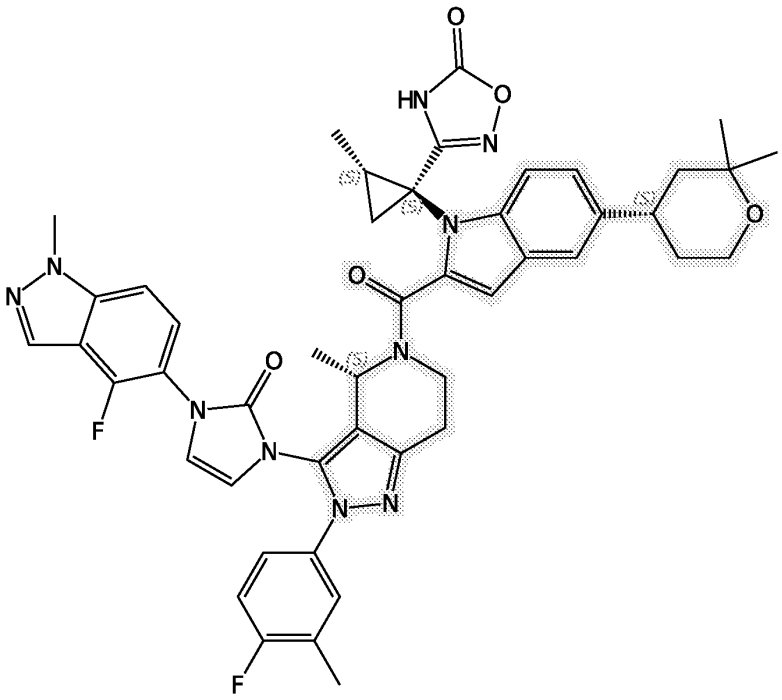
Absolute stereochemistry shown

RN 2212020-53-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-chloro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-
(CA INDEX NAME)



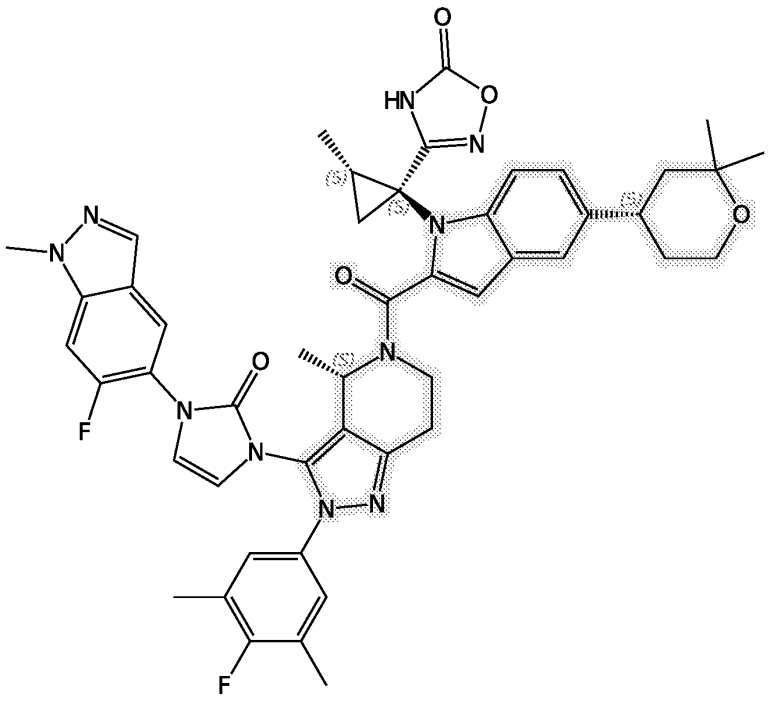
Absolute stereochemistry shown

RN 2212020-54-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



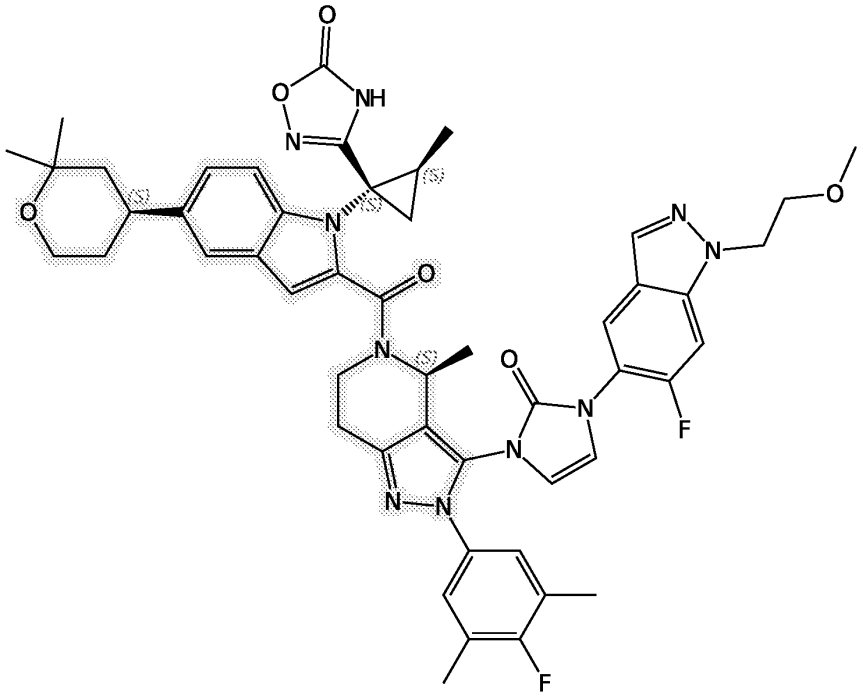
Absolute stereochemistry shown

RN 2212020-55-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(6-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



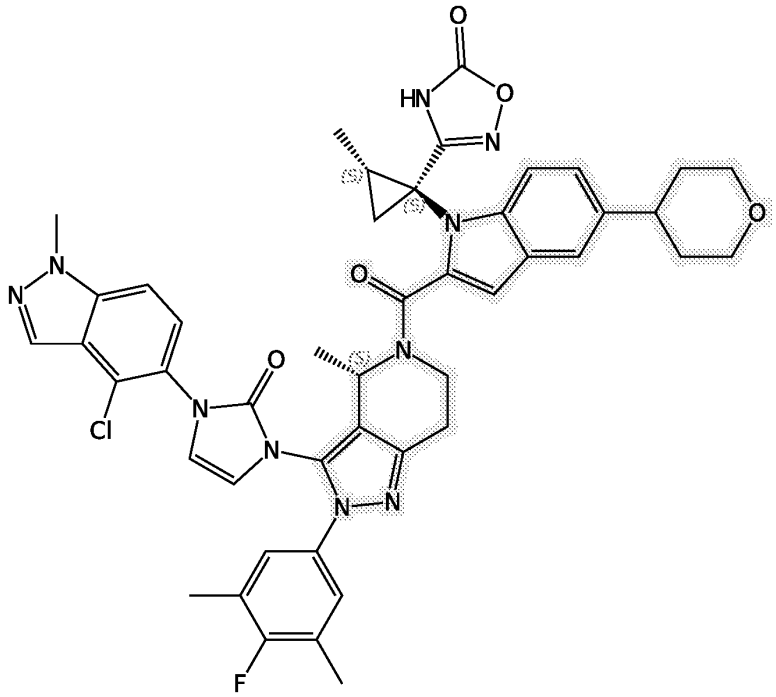
Absolute stereochemistry shown

RN 2212020-56-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[6-fluoro-1-(2-methoxyethyl)-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



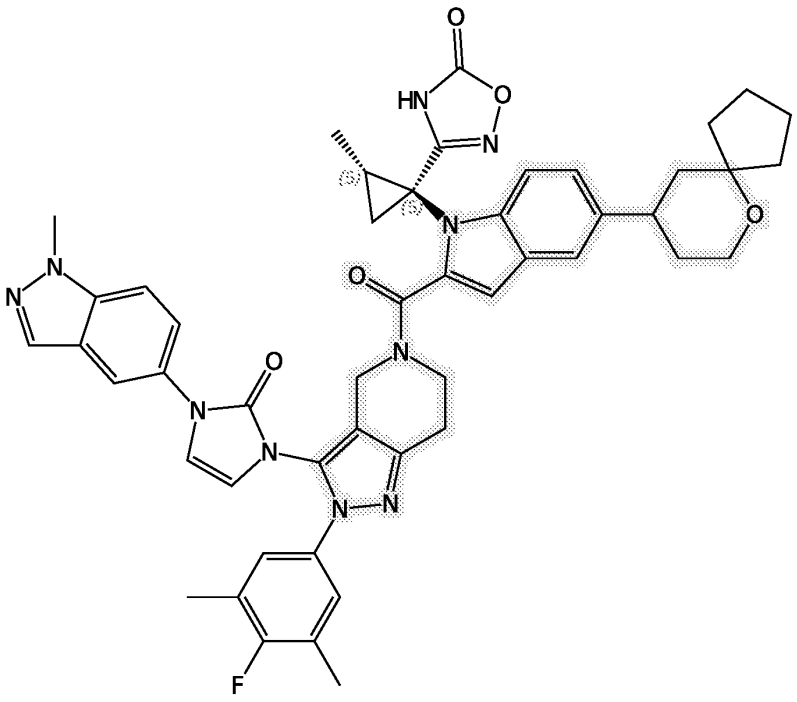
Absolute stereochemistry shown

RN 2212020-57-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(4-chloro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



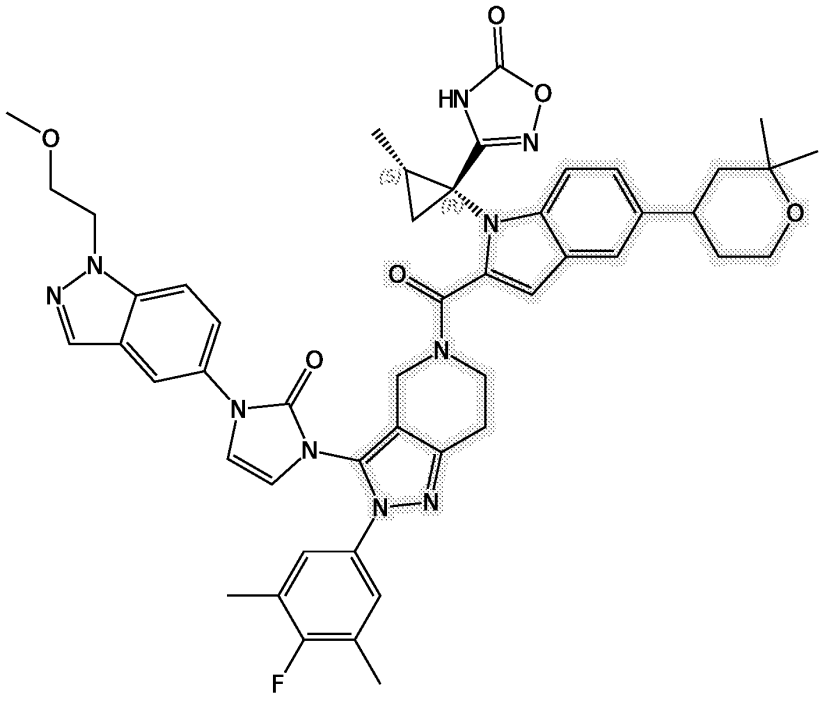
Absolute stereochemistry shown

RN 2212020-60-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(6-oxaspiro[4.5]dec-9-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



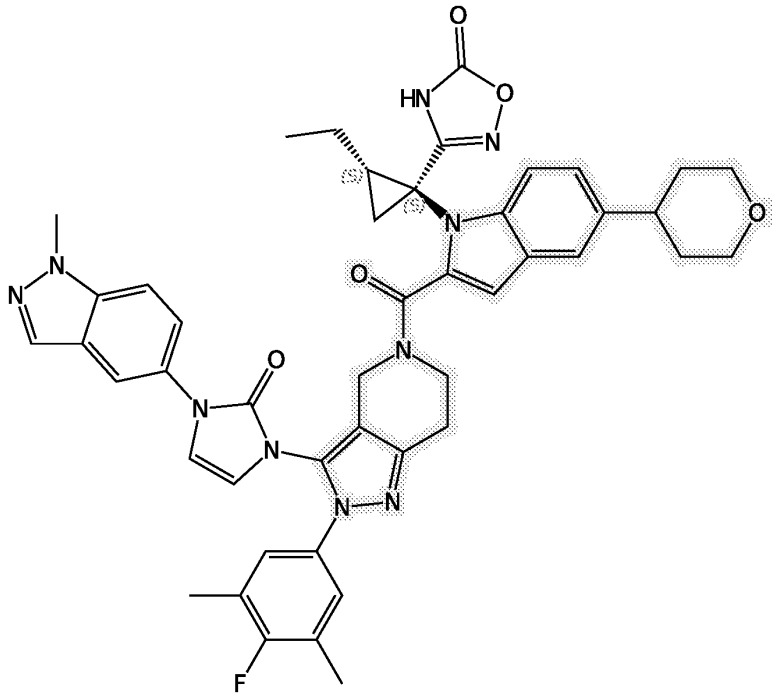
Absolute stereochemistry shown

RN 2212020-61-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1R,2S)-1-[2-[[3-[2,3-dihydro-3-[1-(2-methoxy
ethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-
2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-di
methyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



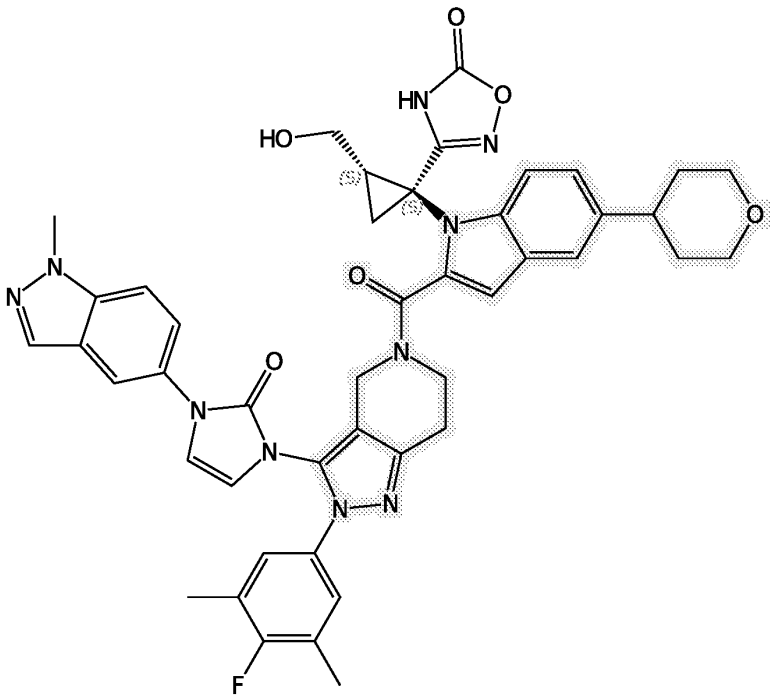
Absolute stereochemistry shown

RN 2212020-63-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-ethylcyclopropyl]- (CA INDEX NAME)



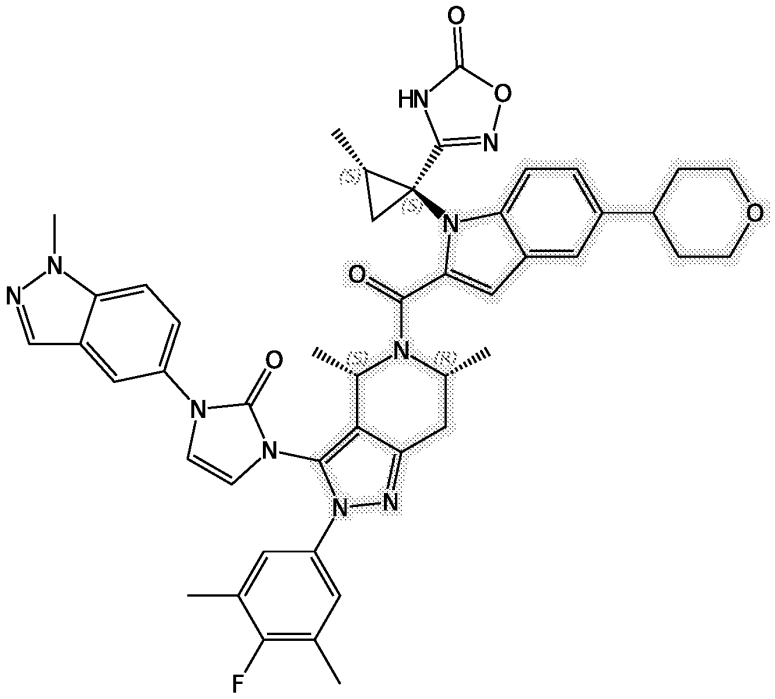
Absolute stereochemistry shown

RN 2212020-64-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(hydroxymethyl)cyclopropyl]- (CA INDEX NAME)



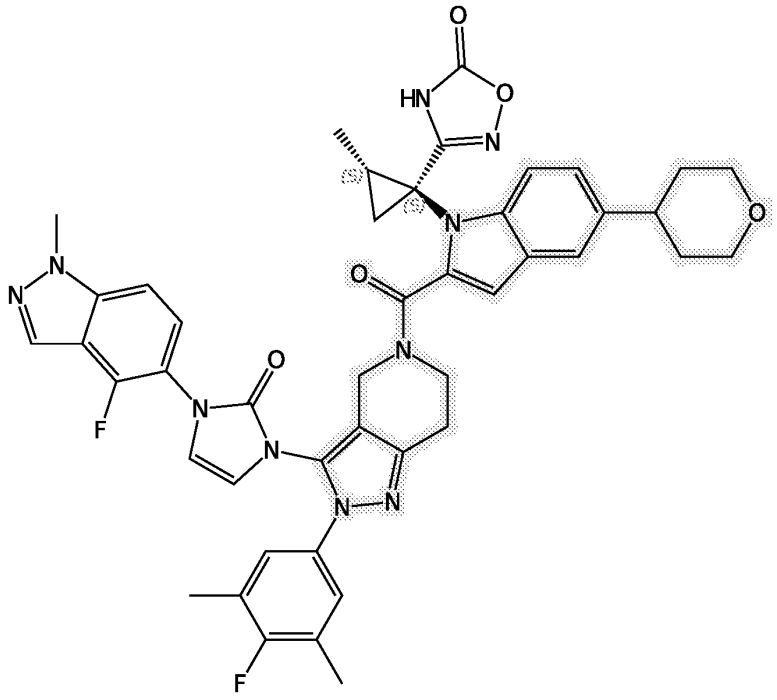
Absolute stereochemistry shown

RN 2212020-65-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S,6R)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4,6-dimethyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



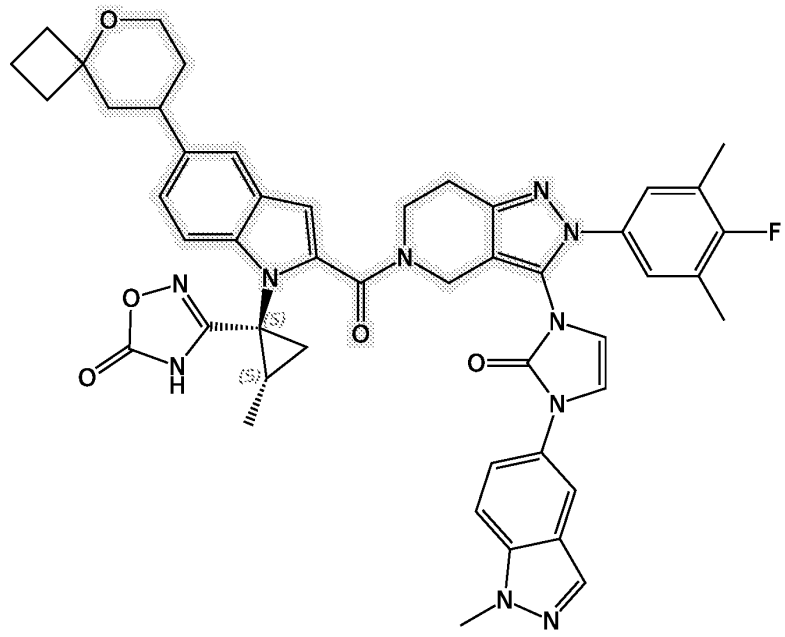
Absolute stereochemistry shown

RN 2212020-74-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



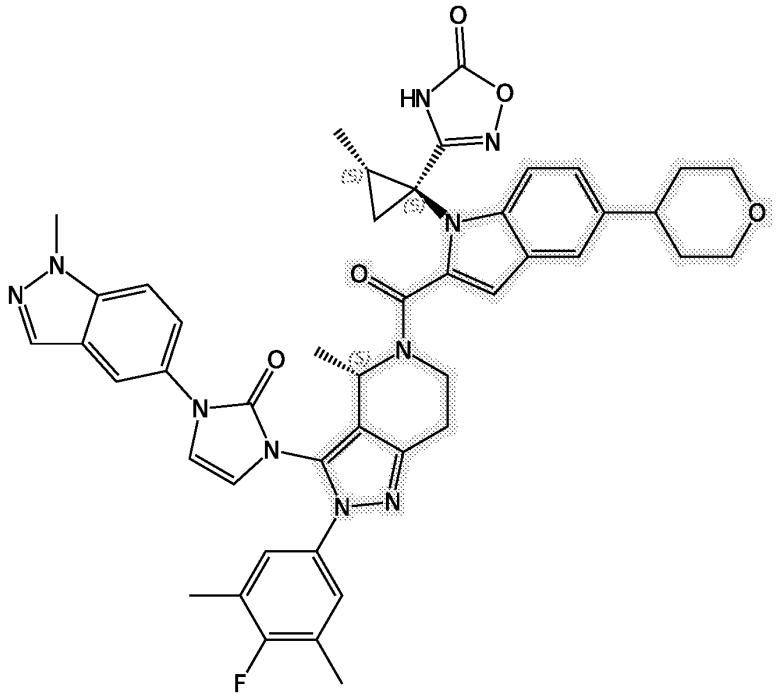
Absolute stereochemistry shown

RN 2212020-78-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(5-oxaspiro[3.5]non-8-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



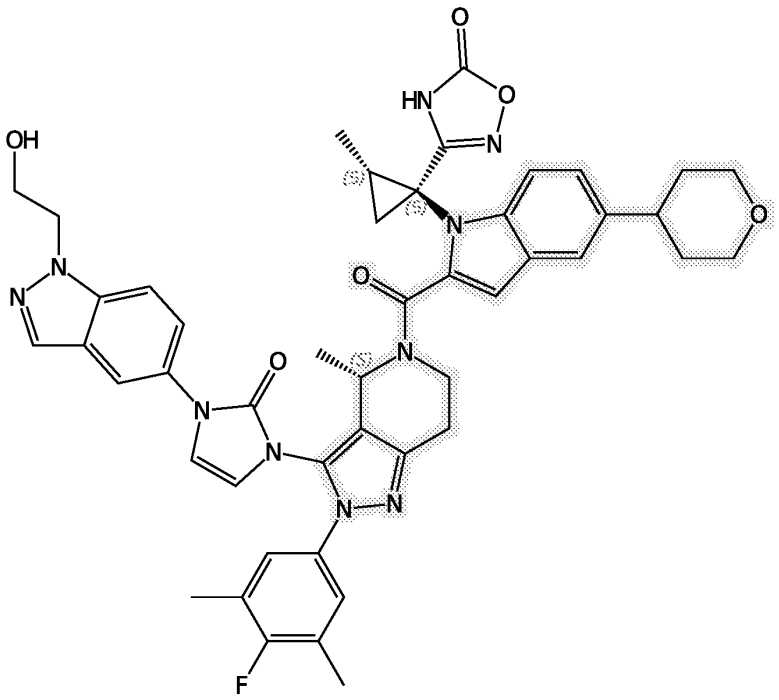
Absolute stereochemistry shown

RN 2212020-81-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



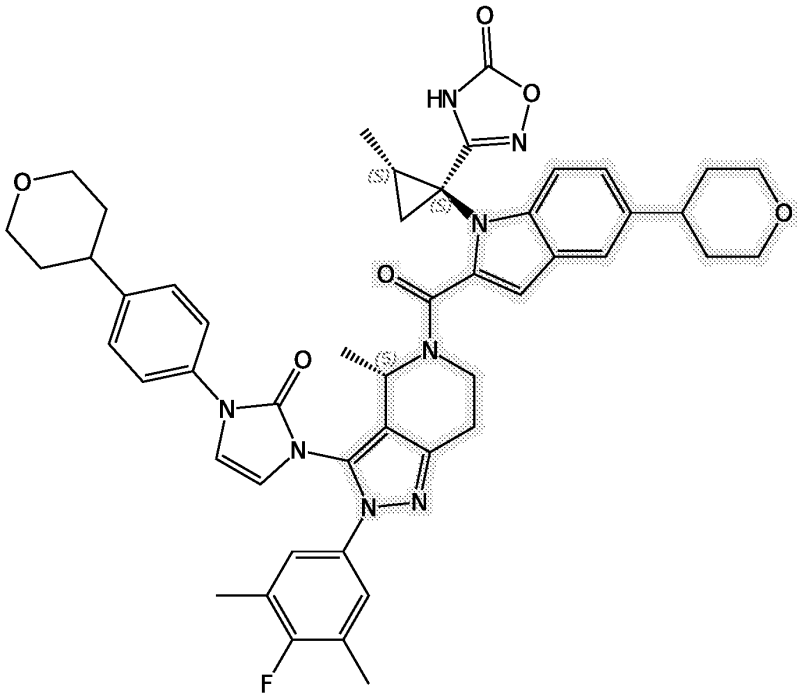
Absolute stereochemistry shown

RN 2212020-82-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-(2-hydroxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



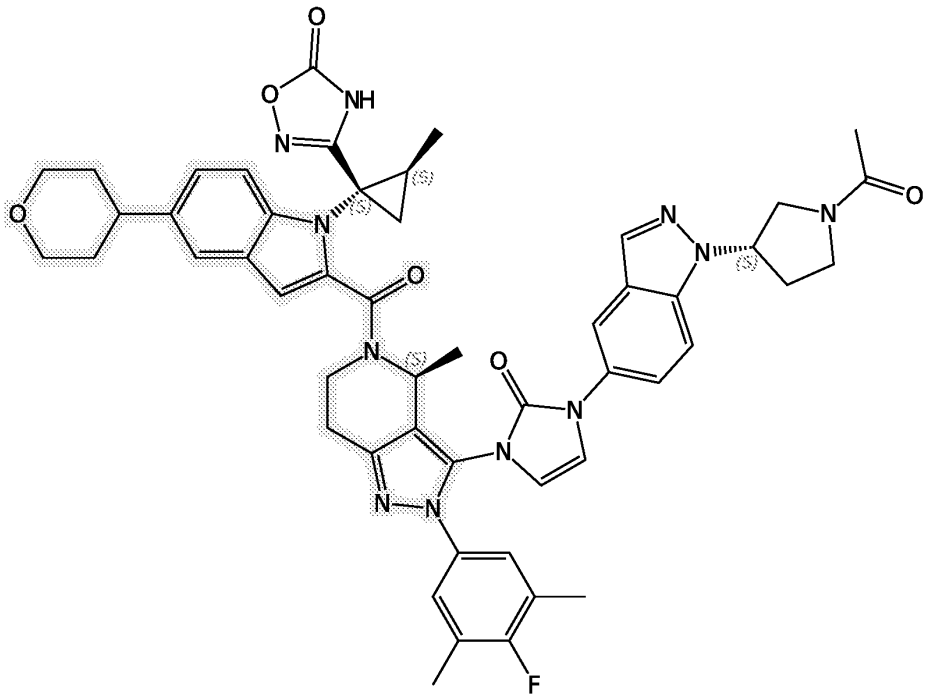
Absolute stereochemistry shown

RN 2212020-83-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[4-(tetrahydro-2H-pyran-4-yl)phenyl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



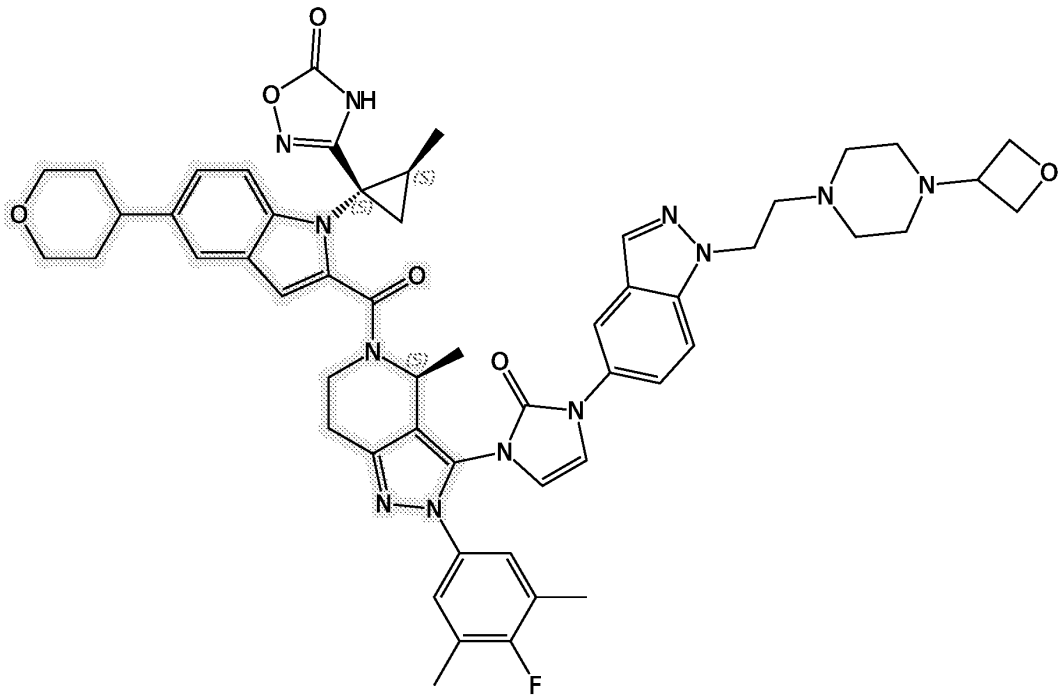
Absolute stereochemistry shown

RN 2212020-84-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-[(3S)-1-acetyl-3-pyrrolidinyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



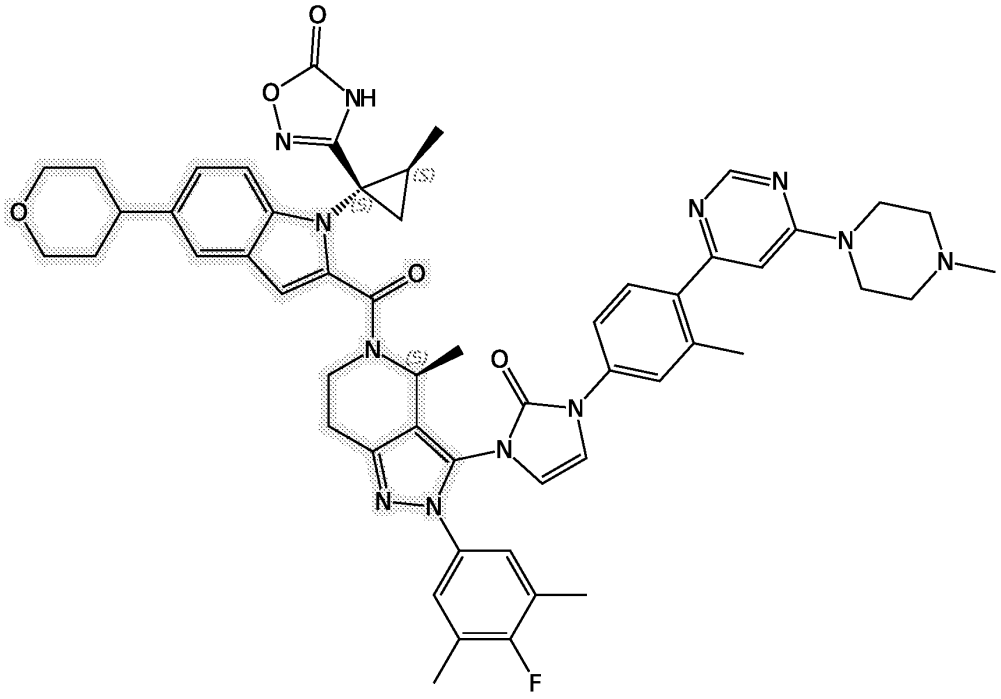
Absolute stereochemistry shown

RN 2212020-85-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[1-[2-[4-(3-oxetanyl)-1-piperazinyl]ethyl]-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



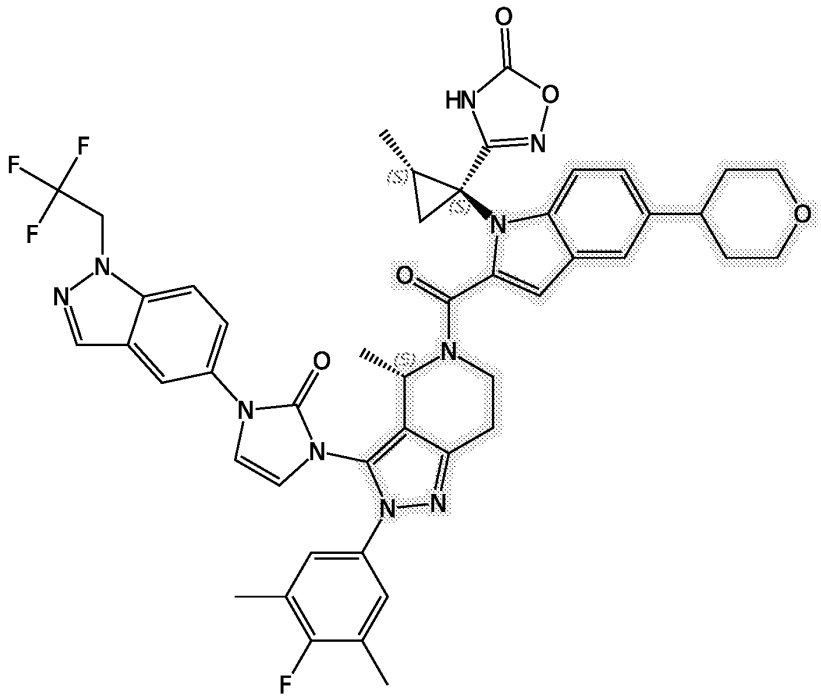
Absolute stereochemistry shown

RN 2212020-86-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-[3-methyl-4-[6-(4-methyl-1-piperazinyl)-4-pyrimidinyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



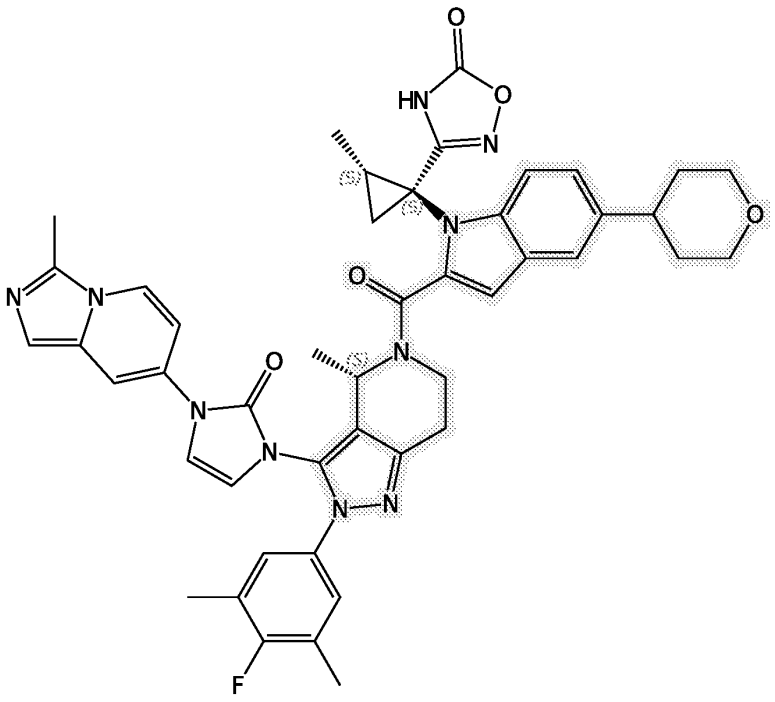
Absolute stereochemistry shown

RN 2212020-87-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-2-oxo-3-[1-(2,2,2-trifluoroethyl)-1H-indazol-5-yl]-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



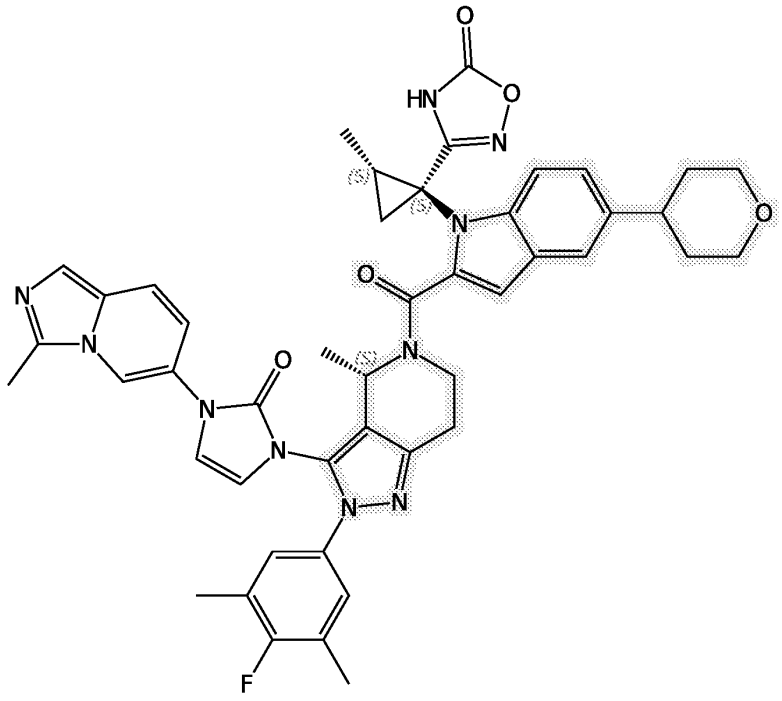
Absolute stereochemistry shown

RN 2212020-88-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(3-methylimidazo[1,5-a]pyridin-7-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



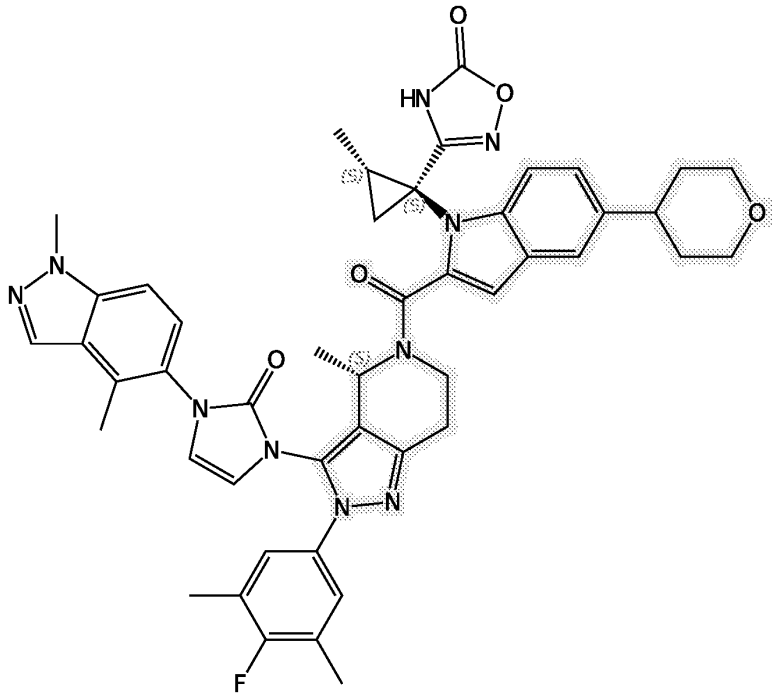
Absolute stereochemistry shown

RN 2212020-89-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(3-methy
limidazo[1,5-a]pyridin-6-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphe
nyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tet
rahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



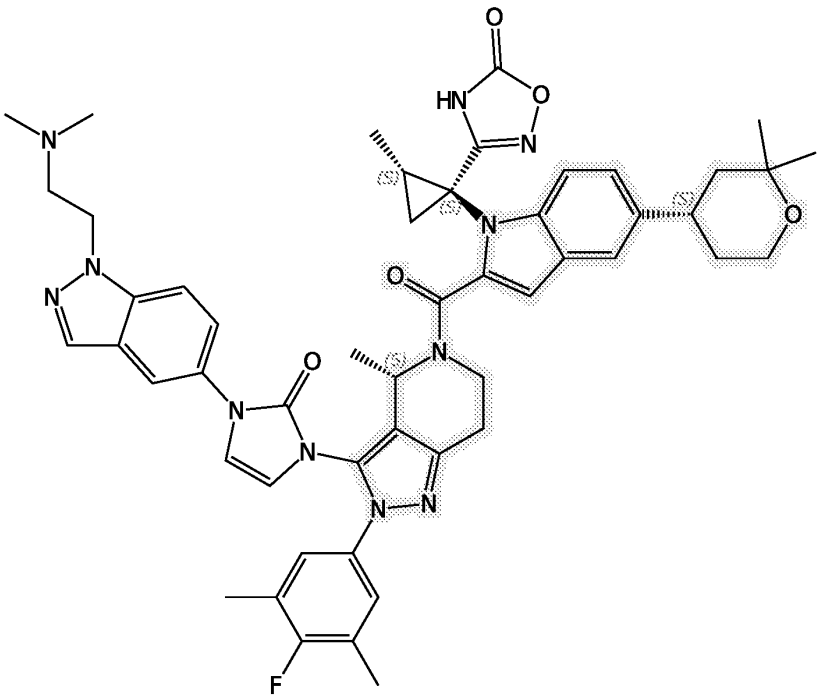
Absolute stereochemistry shown

RN 2212020-90-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-dimethyl-1H-ind
azol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2
,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydr
o-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



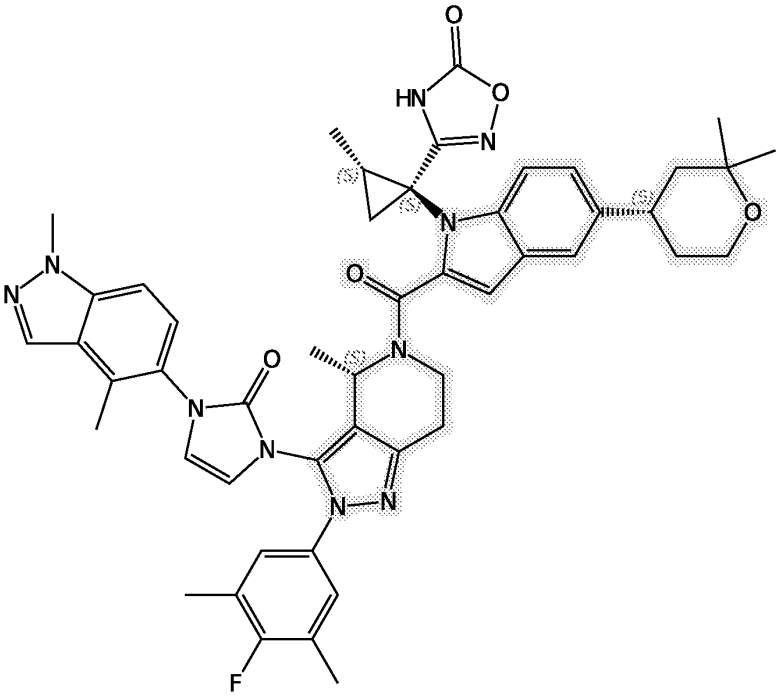
Absolute stereochemistry shown

RN 2212020-91-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[1-[2-(dimethylamino
)ethyl]-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dim
ethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl
]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopro
pyl]- (CA INDEX NAME)



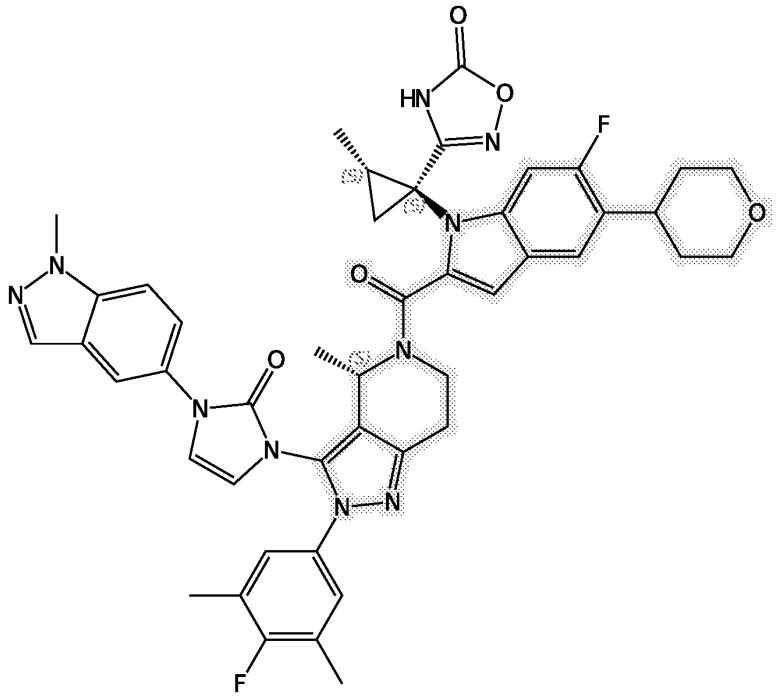
Absolute stereochemistry shown

RN 2212020-92-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-dimethyl-1H-ind
azol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2
,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetr
ahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



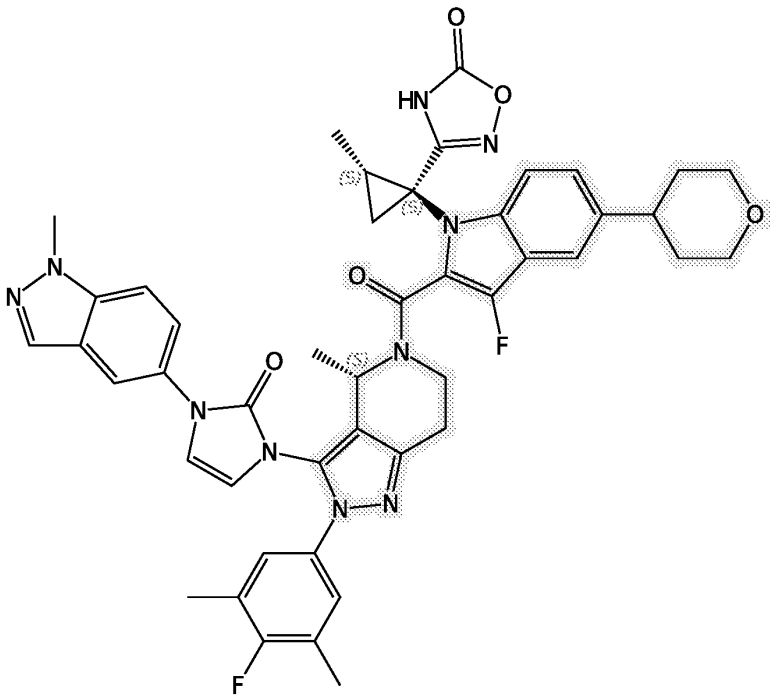
Absolute stereochemistry shown

RN 2212020-94-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-6-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



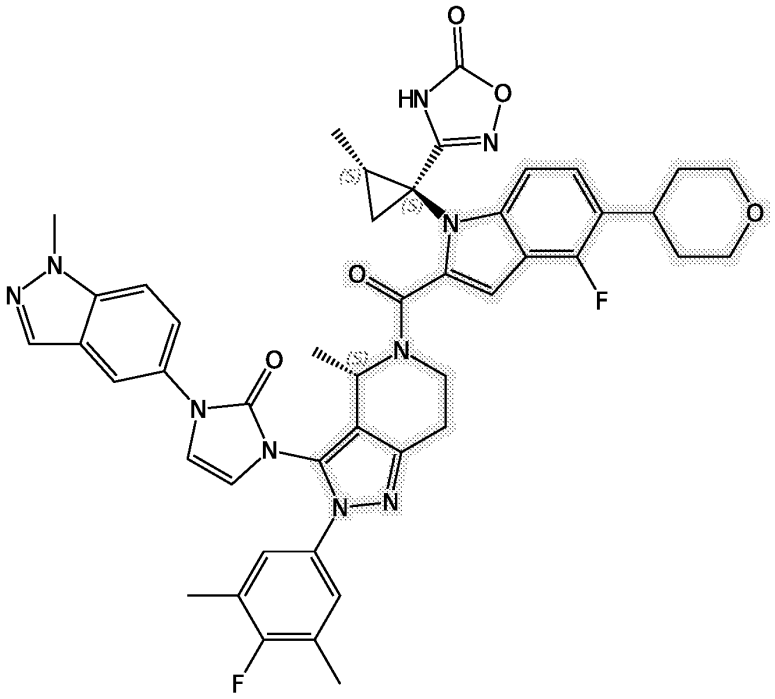
Absolute stereochemistry shown

RN 2212020-95-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-3-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



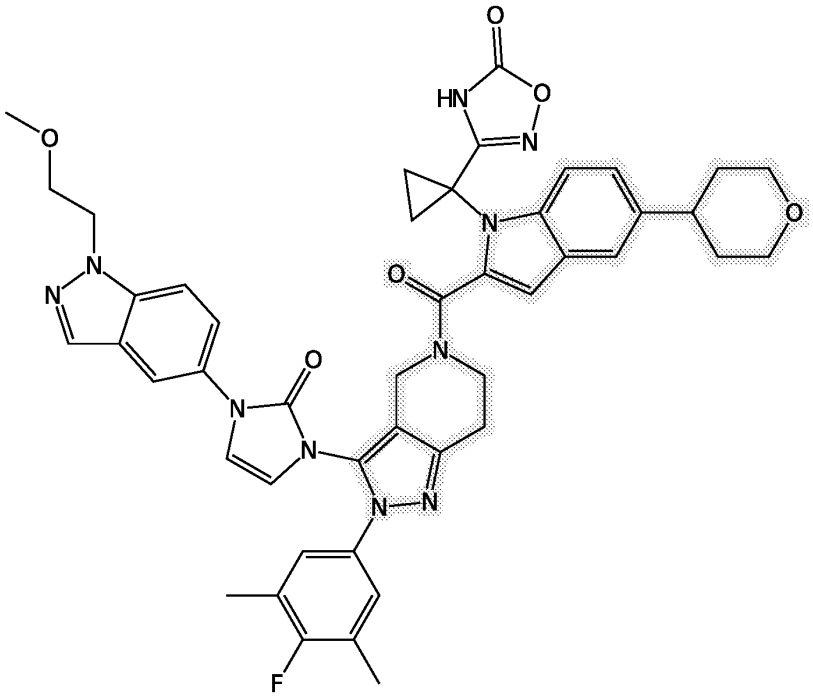
Absolute stereochemistry shown

RN 2212020-96-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-4-fluoro-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

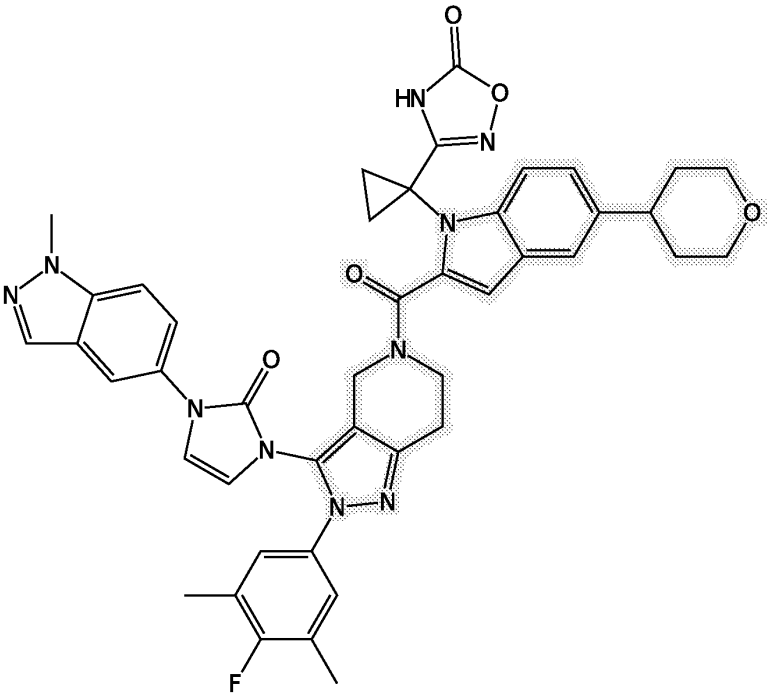


Absolute stereochemistry shown

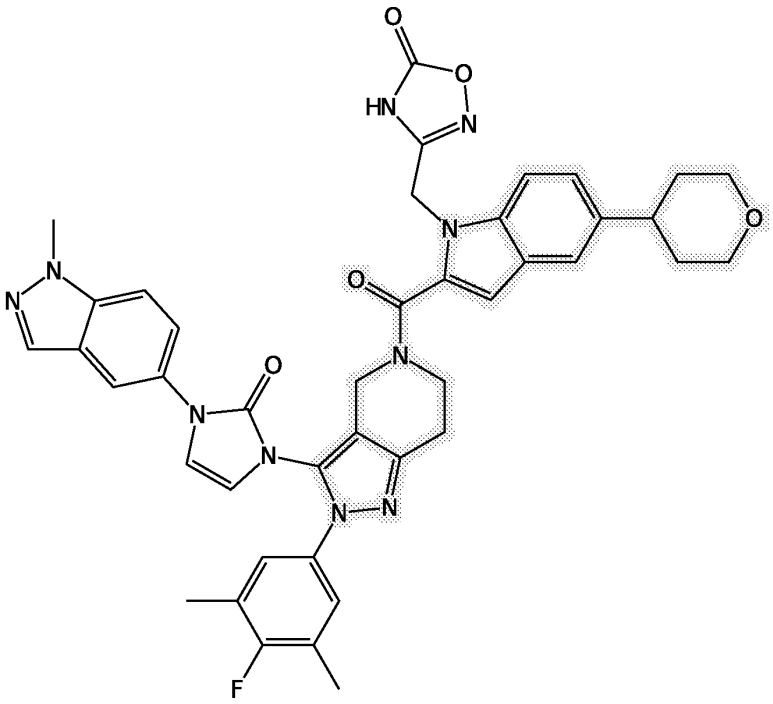
RN 2212020-97-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



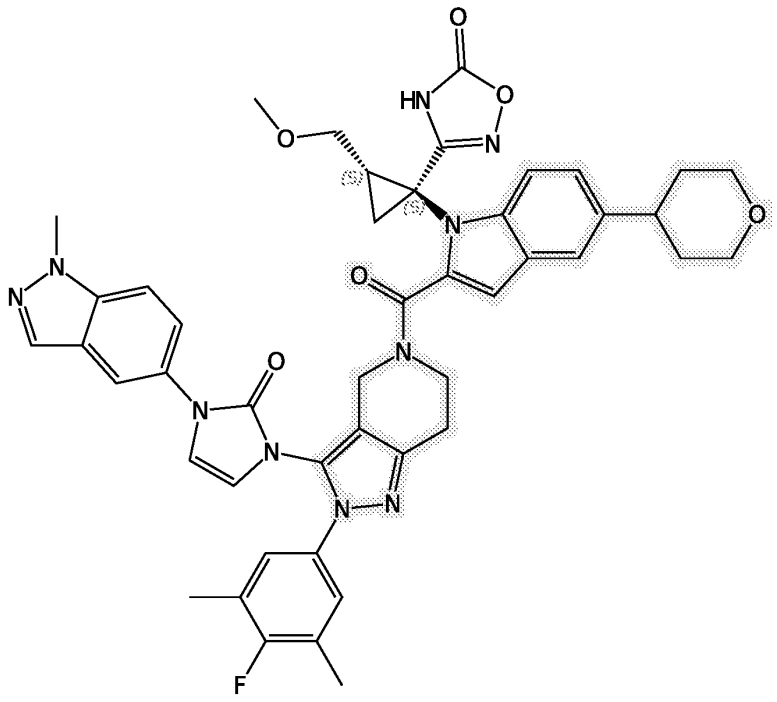
RN 2212020-98-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



RN 2212020-99-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

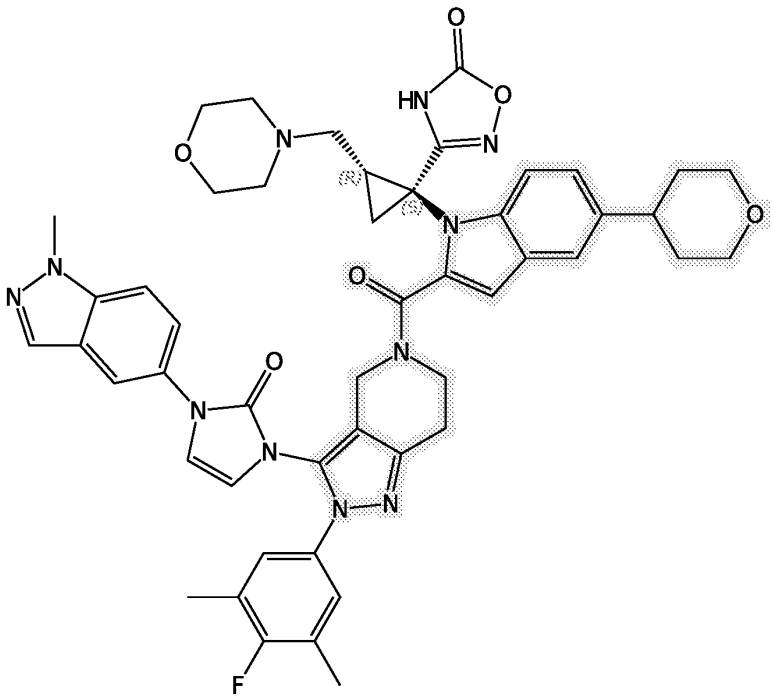


RN 2212021-00-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(methoxymethyl)cyclopropyl]- (CA INDEX NAME)



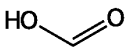
Absolute stereochemistry shown

RN 2212021-02-6 CAPLUS
CN Formic acid, compd. with 3-[(1S,2R)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-in
dazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetr
ahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-
indol-1-yl]-2-(4-morpholinylmethyl)cyclopropyl]-1,2,4-oxadiazol-5(2H)-one (1:1)
(CA INDEX NAME)
CM 1
CRN 2212021-01-5
CMF C49 H50 F N11 O6

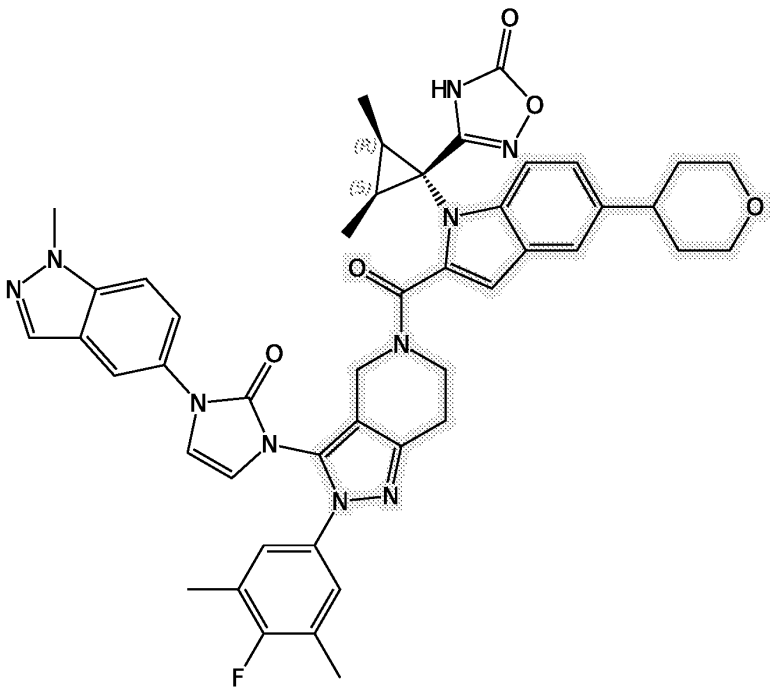


Absolute stereochemistry shown

CM 2
CRN 64-18-6
CMF C H2 O2

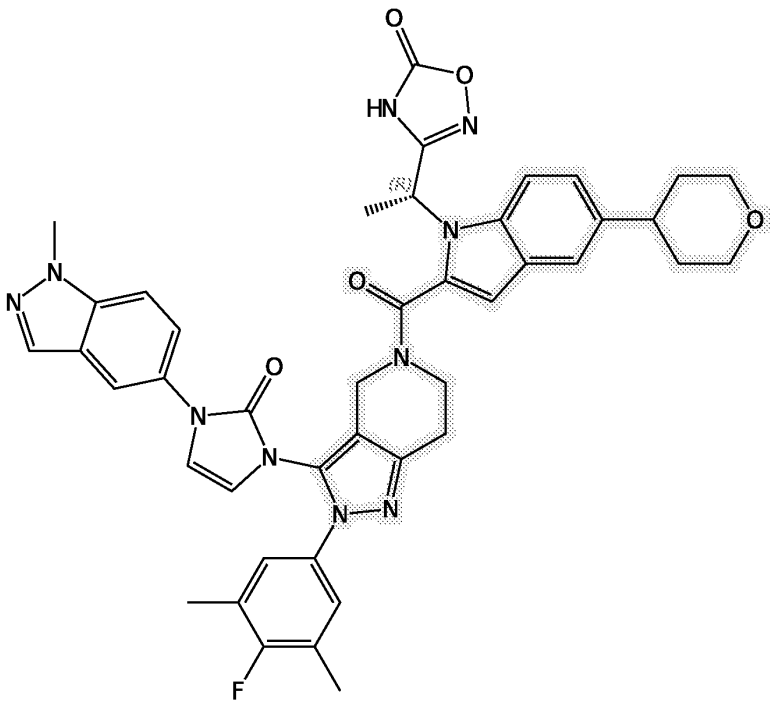


RN 2212021-03-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(2R,3S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2,3-dimethylcyclopropyl]- (CA INDEX NAME)



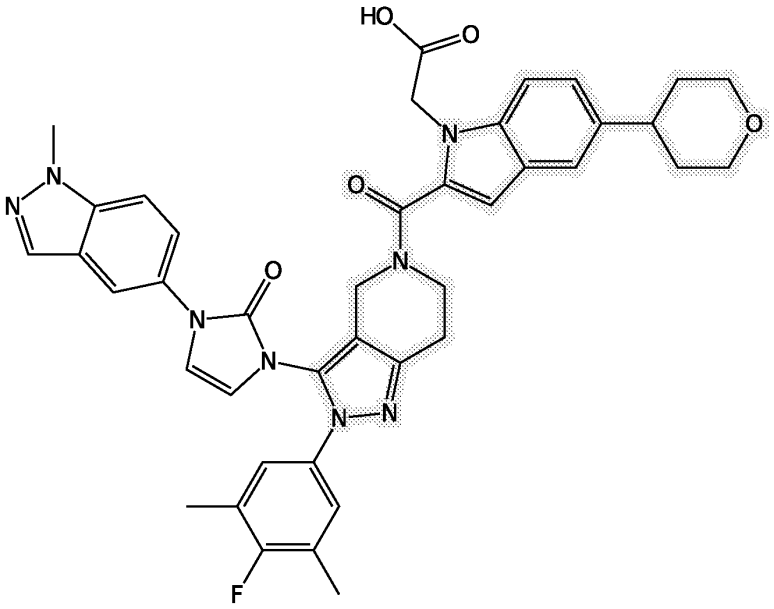
Absolute stereochemistry shown

RN 2212021-05-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1R)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]ethyl]- (CA INDEX NAME)

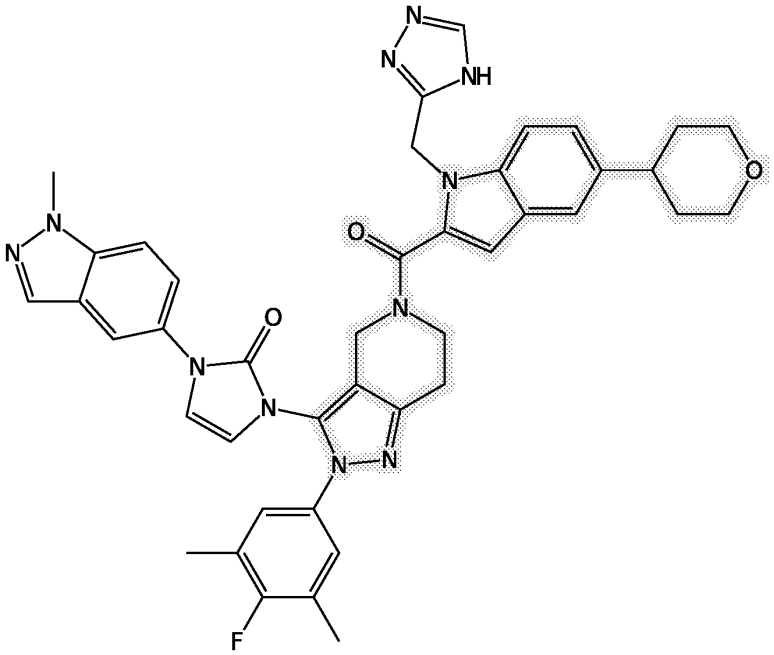


Absolute stereochemistry shown

RN 2212021-08-2 CAPLUS
CN 1H-Indole-1-acetic acid, 2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
(CA INDEX NAME)

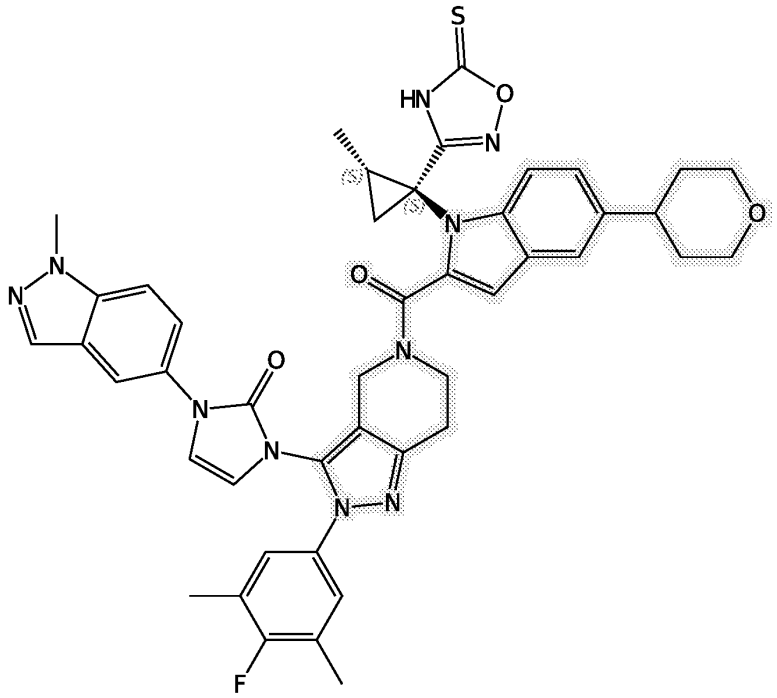


RN 2212021-10-6 CAPLUS
CN 2H-Imidazol-2-one, 1-[2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[5-(tetrahydro-2H-pyran-4-yl)-1-(1H-1,2,4-triazol-5-ylmethyl)-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-
(CA INDEX NAME)



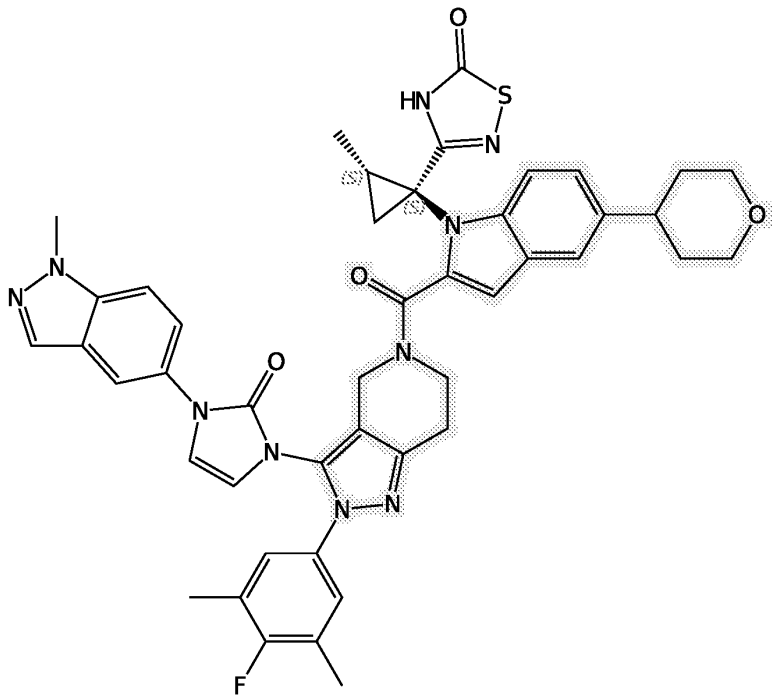
RN 2212021-11-7 CAPLUS
CN 2H-Imidazol-2-one, 1-[5-[[1-[(1S,2S)-1-(2,5-dihydro-5-thioxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl

]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-2H-pyrazolo[4,3-c]pyridin-3
-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-
     (CA INDEX NAME)



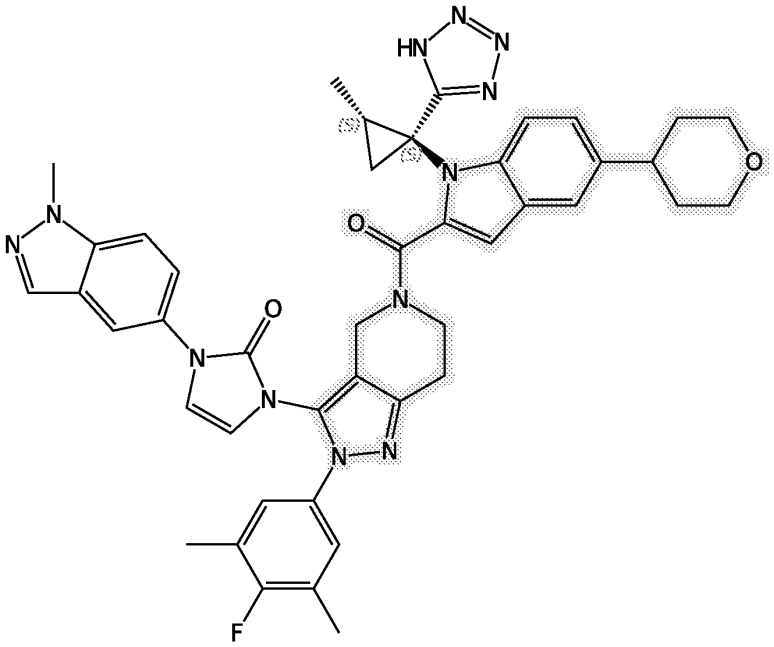
Absolute stereochemistry shown

RN   2212021-12-8 CAPLUS
CN   1,2,4-Thiadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H
-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-t
etrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



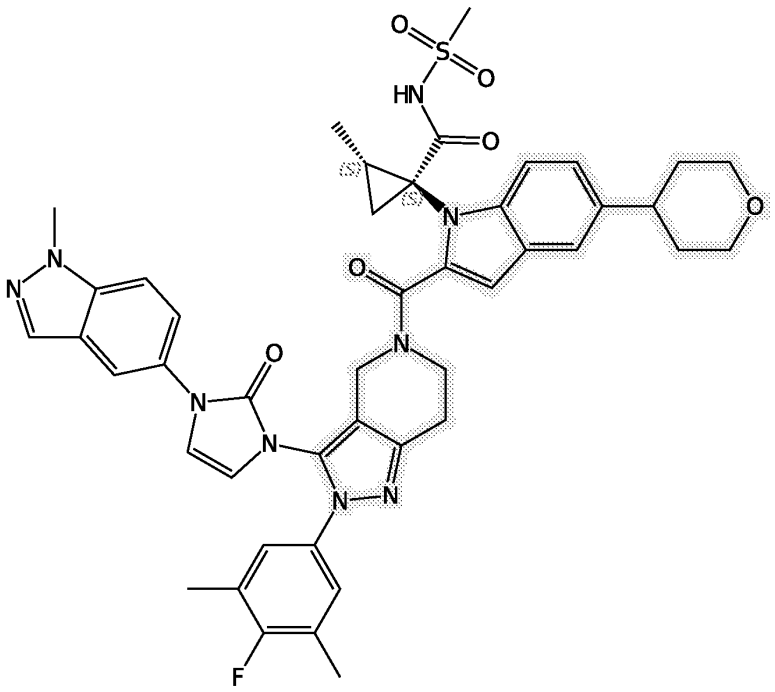
Absolute stereochemistry shown

RN 2212021-13-9 CAPLUS
CN 2H-Imidazol-2-one, 1-[2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[1-[(1S,2S)-2-methyl-1-(2H-tetrazol-5-yl)cyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)- (CA INDEX NAME)



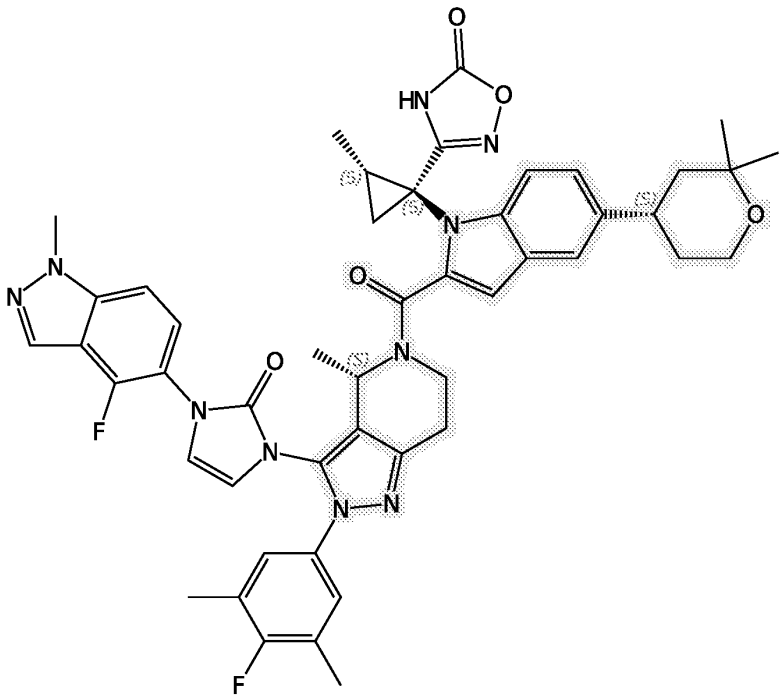
Absolute stereochemistry shown

RN 2212021-14-0 CAPLUS
CN Cyclopropanecarboxamide, 1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methyl-N-(methylsulfonyl)-, (1S,2S)- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2212021-26-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-, sodium salt, hydrate (1:1:1) (CA INDEX NAME)



• Na

• H_2O

Absolute stereochemistry shown

IT 2212020-21-6P 2212020-27-2P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H
-imidazol-3-yl)-5,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-y
l)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-29-4P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
luoro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H-imidazol-3-yl)-6,7-dihydro-4H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxad
iazol-5-one 2212020-30-7P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H
-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((2S,4S)-
2-methyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-31-8P
,
3-[(1S,2S)-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H-i
midazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-((4S)-2,2-d
imethyloxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-32-9P
,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Chloro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H
-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-y
l)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-33-0P, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3-methylphenyl)-4-methyl-
3-(2-oxo-1H-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-
5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212020-34-1P
,
3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3-methylp
henyl)-4-methyl-3-(2-oxo-1H-imidazol-3-yl)-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin
-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one 2212022-86-9P
,
2-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimi
dazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)in
dol-1-yl]acetonitrile 2212022-87-0P,
(1S,2S)-2-Ethyl-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazo
l-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]
-5-(oxan-4-yl)indol-1-yl]cyclopropane-1-carbonitrile 2212022-92-7P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y

l)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(o
xan-4-yl)indol-1-yl]-2-[(phenylmethoxy)methyl]cyclopropyl]-4H-1,2,4-oxadiazol-5-
one

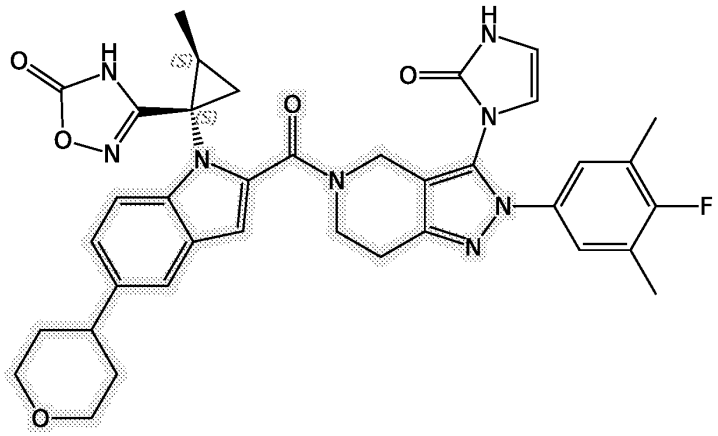
2212023-06-6P, 3-[(1S,2S)-2-[2-[[(4S,6R)-2-(4-Fluoro-3,5-dimethylphenyl)-4,
6-dimethyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazo
lo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4-
[(2-trimethylsilylethoxy)methyl]-1,2,4-oxadiazol-5-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. of pyrazolopyridines derivs. as glucagon-like peptide-1 (GLP-1)
receptor agonists)

RN 2212020-21-6 CAPLUS

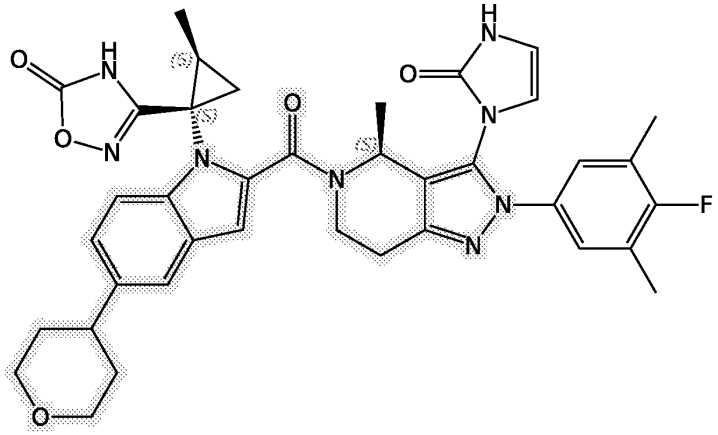
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-(2,3-dihydro-2-oxo-1H-imidaz
ol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]py
ridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopr
opyl]- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2212020-27-2 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2
-methylcyclopropyl]- (CA INDEX NAME)

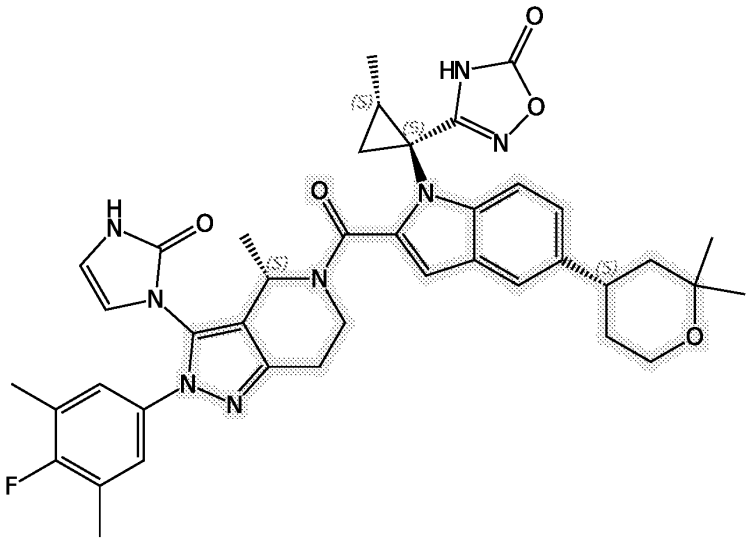


Absolute stereochemistry shown

RN 2212020-29-4 CAPLUS

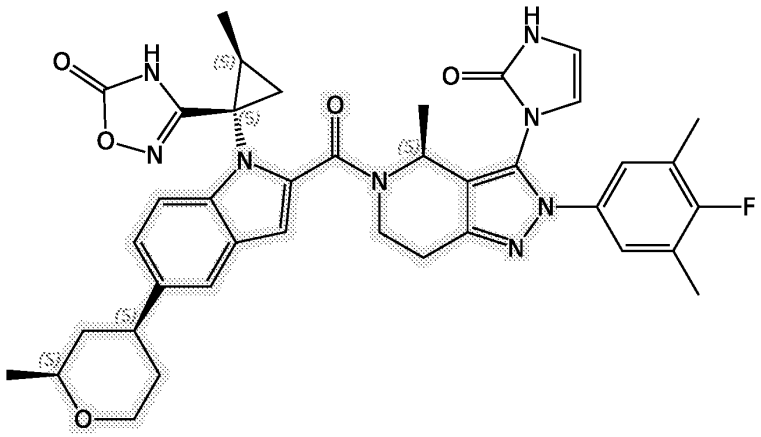
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl

]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



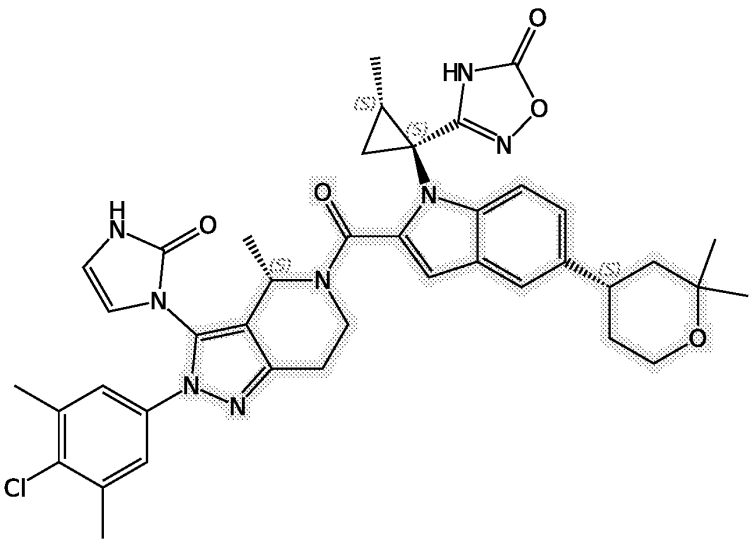
Absolute stereochemistry shown

RN   2212020-30-7 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]
-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



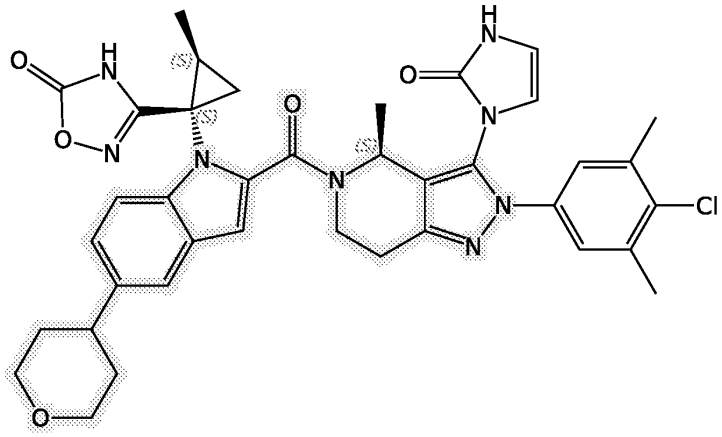
Absolute stereochemistry shown

RN   2212020-31-8 CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylp
henyl)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl
]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX
     NAME)



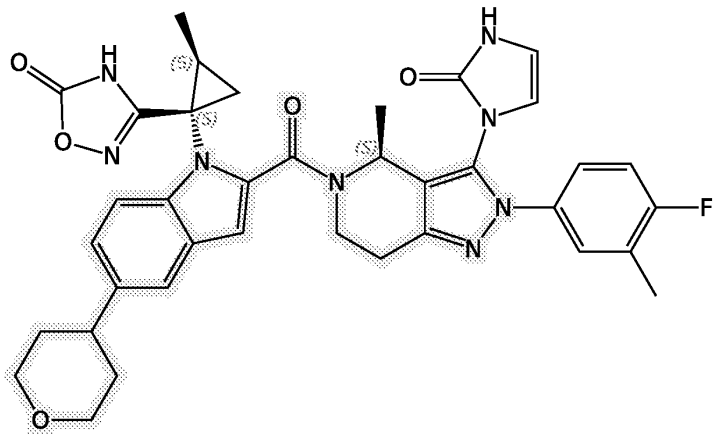
Absolute stereochemistry shown

RN 2212020-32-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-chloro-3,5-dimethylphenyl)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



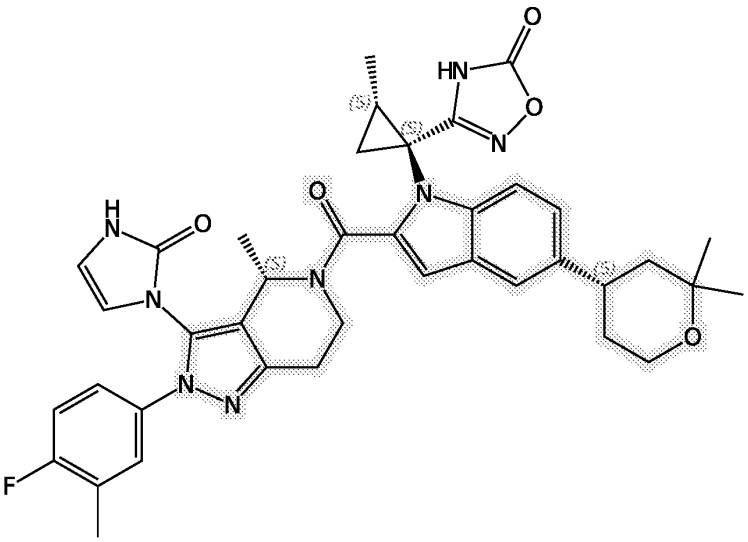
Absolute stereochemistry shown

RN 2212020-33-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



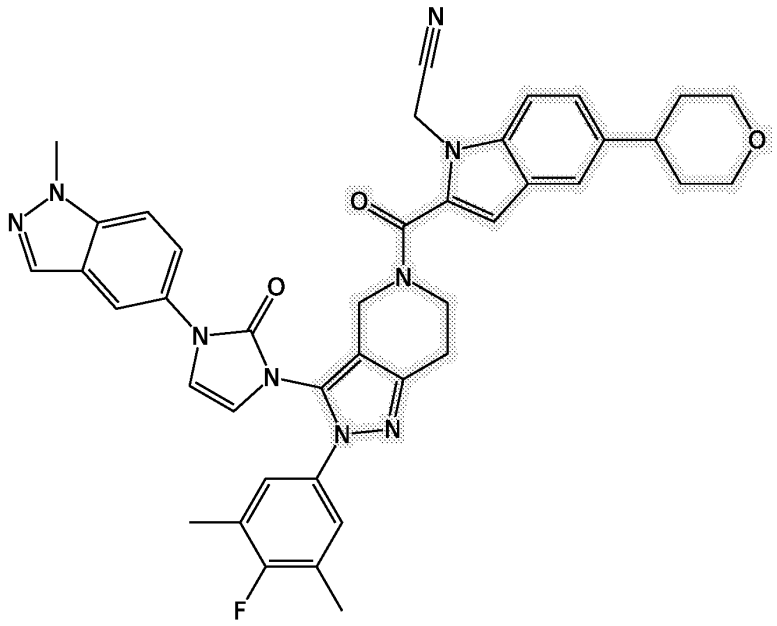
Absolute stereochemistry shown

RN 2212020-34-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2-(4-fluoro-3-methylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

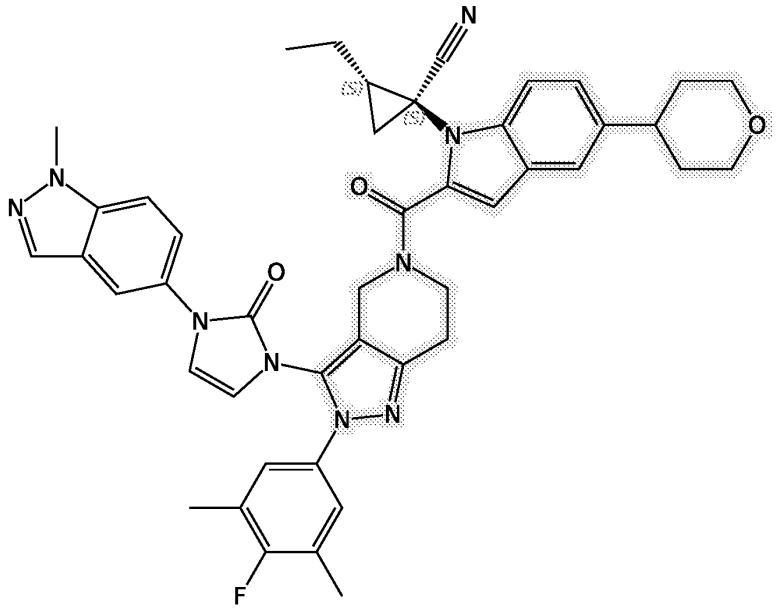


Absolute stereochemistry shown

RN 2212022-86-9 CAPLUS
CN 1H-Indole-1-acetonitrile, 2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-
(CA INDEX NAME)

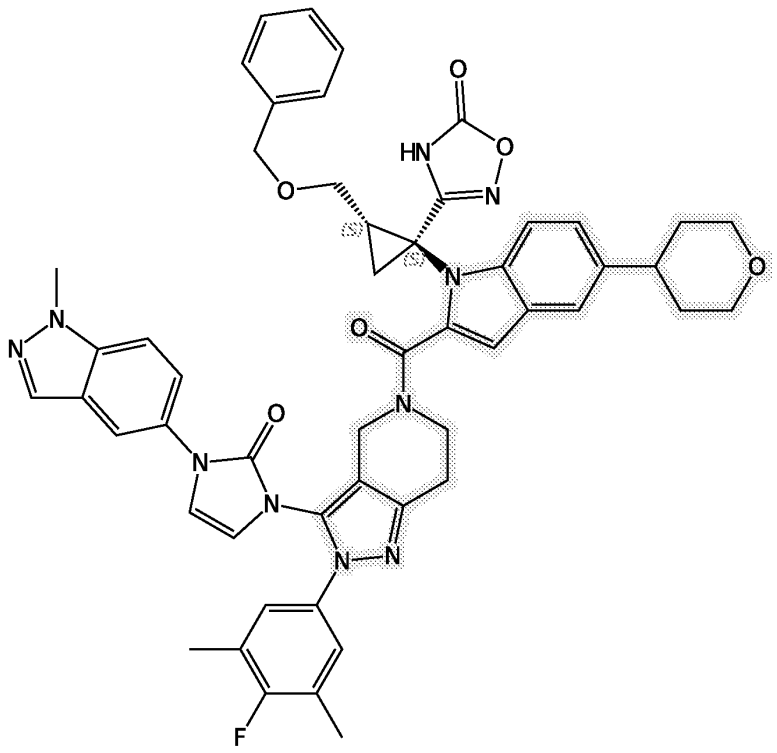


RN 2212022-87-0 CAPLUS
CN Cyclopropanecarbonitrile, 1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-ethyl-, (1S,2S)- (CA INDEX NAME)



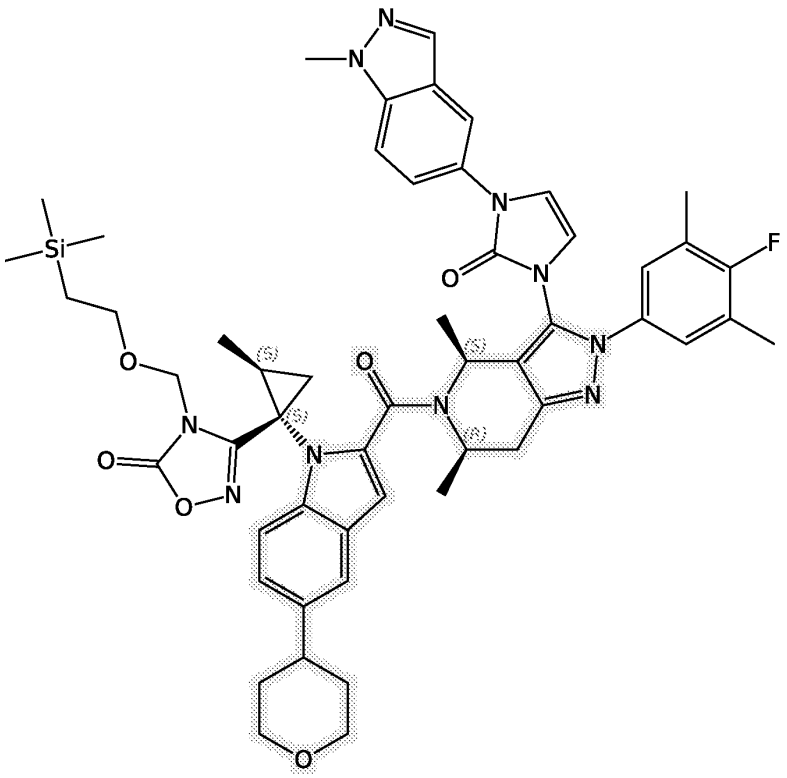
Absolute stereochemistry shown

RN 2212022-92-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-[(phenylmethoxy)methyl]cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

RN 2212023-06-6 CAPLUS
CN 1,2,4-Oxadiazol-5(4H)-one, 3-[(1S,2S)-2-[2-[[(4S,6R)-3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4,6-dimethyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-4-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)



Absolute stereochemistry shown

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2017330733 A1	AU 2017-330733	Register
AU 2017330733 B2	AU 2017-330733	Register
AU 2020223687 A1	AU 2020-223687	Register
AU 2020223687 B2	AU 2020-223687	Register
AU 2022205222 A1	AU 2022-205222	Register
AU 2022205222 B2	AU 2022-205222	Register
AU 2024201884 A1	AU 2024-201884	Register
BR 112019005322 A2	BR 2019-112019005322	Register
CA 3038479 A1	CA 2017-3038479	Register
CA 3038479 C	CA 2017-3038479	Register
CL 2019000663 A1	CL 2019-663	Register
CL 61795 B	CL 2019-663	Register
CN 109790161 A	CN 2017-80059135	Register|Global Dossier
CN 109790161 B	CN 2017-80059135	Register|Global Dossier
CO 2019002595 A2	CO 2019-2595	Register
EA 37989 B1	EA 2019-90518	Register
EP 3517538 A1	EP 2017-853220	Register|Federated Reg.
EP 3517538 B1	EP 2017-853220	Register|Federated Reg.
EP 4134367 A1	EP 2022-180270	Register|Federated Reg.
EP 4349840 A2	EP 2024-154118	Register|Federated Reg.
EP 4349840 A3	EP 2024-154118	Register|Federated Reg.
IL 264945 A	IL 2017-264945	Register
IL 287166 A	IL 2021-287166	Register
IN 201917006268 A	IN 2019-17006268	Register
IN 473189 B	IN 2019-17006268	Register
JO 2019000060 A1	JO 2019-60	Register
JP 6567778 B2	JP 2018-540344	Register|Global Dossier
JP 2019203015 A	JP 2019-141806	Register|Global Dossier
JP 6957564 B2	JP 2019-141806	Register|Global Dossier

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 JP 2022003087 A     JP 2021-164974        Register|Global Dossier
 JP 7280929 B2       JP 2021-164974        Register|Global Dossier
 JP 2023100963 A     JP 2023-79006         Register|Global Dossier
 KR 2019039591 A     KR 2019-7008190       Register|Global Dossier
 KR 2223227 B1       KR 2019-7008190       Register|Global Dossier
 MA 46286 A          MA 2017-46286         Register
 MA 46286 B1         MA 2017-46286         Register
 MX 2019003488 A     MX 2019-3488          Register
 NZ 751725 A         NZ 2017-751725        Register
 PE 2019000709 A1    PE 2019-705           Register
 RS 65398 B1         RS 2024-426           Register
 SA 519401409 B1     SA 2019-519401409     Register
 SG 10202301892 A    SG 2023-10202301892   Register
 TW I753946 B        TW 2017-132936        Register
 UA 125586 A         UA 2019-2662          Register
 UA 125586 C2        UA 2019-2662          Register
 US 10858356 B2      US 2018-15759872      Register|Global Dossier
 US 20190225604 A1   US 2018-15759872      Register|Global Dossier
 US 11814381 B2      US 2020-17065122      Register|Global Dossier
 US 20210017176 A1   US 2020-17065122      Register|Global Dossier
 US 20230382912 A1   US 2023-18448497      Register|Global Dossier
 US 20240262824 A1   US 2024-18584177      Register|Global Dossier
 US 20240246971 A1   US 2024-18584672      Register|Global Dossier
 VN 10036072 B       VN 2019-2091          Register
 WO 2018056453 A1    WO 2017-JP34620       Register|Global Dossier

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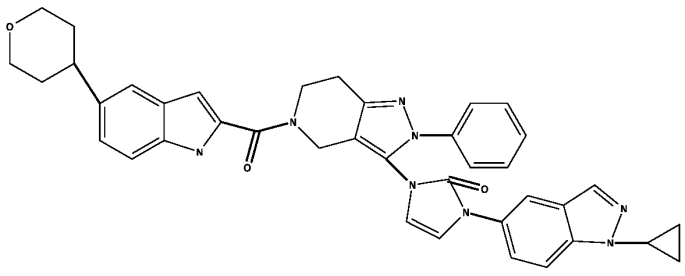
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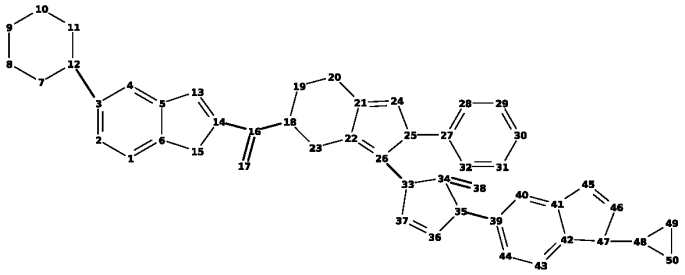
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Node Attributes
Ring Nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 18 19 20 21
22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 39 40 41 42
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Chain Nodes : 16 17 38
Bond Attributes
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12-7 13-14 14-15 15-6 18-19 19-20 20-21 21-22 21-24 22-23 23-18 24-25
25-26 26-22 27-28 28-29 29-30 30-31 31-32 32-27 33-34 34-35 35-36
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L4      STRUCTURE UPLOADED

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100.0% PROCESSED        209 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

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NOTICE OF ALLOWANCE AND FEE(S) DUE

83682 7590 11/06/2024

Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

EXAMINER	
RAHMANI, NILOOFAR	
ART UNIT	PAPER NUMBER
1621	

DATE MAILED: 11/06/2024

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	09/13/2024	Bin Liang	HRT-029US1	9471

TITLE OF INVENTION: GLP-1R Agonist and Therapeutic Method Thereof

APPLN. TYPE	ENTITY STATUS	ISSUE FEE DUE	PUBLICATION FEE DUE	PREV. PAID ISSUE FEE	TOTAL FEE(S) DUE	DATE DUE
nonprovisional	SMALL	$480	$0.00	$0.00	$480	02/06/2025

THE APPLICATION IDENTIFIED ABOVE HAS BEEN EXAMINED AND IS ALLOWED FOR ISSUANCE AS A PATENT. PROSECUTION ON THE MERITS IS CLOSED. THIS NOTICE OF ALLOWANCE IS NOT A GRANT OF PATENT RIGHTS. THIS APPLICATION IS SUBJECT TO WITHDRAWAL FROM ISSUE AT THE INITIATIVE OF THE OFFICE OR UPON PETITION BY THE APPLICANT. SEE 37 CFR 1.313 AND MPEP 1308.

THE ISSUE FEE AND PUBLICATION FEE (IF REQUIRED) MUST BE PAID WITHIN THREE MONTHS FROM THE MAILING DATE OF THIS NOTICE OR THIS APPLICATION SHALL BE REGARDED AS ABANDONED. THIS STATUTORY PERIOD CANNOT BE EXTENDED. SEE 35 U.S.C. 151. THE ISSUE FEE DUE INDICATED ABOVE DOES NOT REFLECT A CREDIT FOR ANY PREVIOUSLY PAID ISSUE FEE IN THIS APPLICATION. IF AN ISSUE FEE HAS PREVIOUSLY BEEN PAID IN THIS APPLICATION (AS SHOWN ABOVE), THE RETURN OF PART B OF THIS FORM WILL BE CONSIDERED A REQUEST TO REAPPLY THE PREVIOUSLY PAID ISSUE FEE TOWARD THE ISSUE FEE NOW DUE.

HOW TO REPLY TO THIS NOTICE:

I. Review the ENTITY STATUS shown above. If the ENTITY STATUS is shown as SMALL or MICRO, verify whether entitlement to that entity status still applies.

If the ENTITY STATUS is the same as shown above, pay the TOTAL FEE(S) DUE shown above.

If the ENTITY STATUS is changed from that shown above, on PART B - FEE(S) TRANSMITTAL, complete section number 5 titled "Change in Entity Status (from status indicated above)".

For purposes of this notice, small entity fees are 40% the amount of undiscounted fees, and micro entity fees are 20% the amount of undiscounted fees.

II. PART B - FEE(S) TRANSMITTAL, or its equivalent, must be completed and returned to the United States Patent and Trademark Office (USPTO) with your ISSUE FEE and PUBLICATION FEE (if required). If you are charging the fee(s) to your deposit account, section "4b" of Part B - Fee(s) Transmittal should be completed. If an equivalent of Part B is filed, a request to reapply a previously paid issue fee must be clearly made, and delays in processing may occur due to the difficulty in recognizing the paper as an equivalent of Part B.

III. All communications regarding this application must give the application number. Please direct all communications prior to issuance to Mail Stop ISSUE FEE unless advised to the contrary.

IMPORTANT REMINDER: Maintenance fees are due in utility patents issuing on applications filed on or after Dec. 12, 1980. It is patentee's responsibility to ensure timely payment of maintenance fees when due. More information is available at www.uspto.gov/PatentMaintenanceFees.

PTOL-85 (Rev. 11/23)

PART B - FEE(S) TRANSMITTAL

Complete and send this form, together with applicable fee(s), by mail or fax, or via the USPTO patent electronic filing system.

By mail, send to: Mail Stop ISSUE FEE
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

By fax, send to: (571)-273-2885

INSTRUCTIONS: This form should be used for transmitting the ISSUE FEE and PUBLICATION FEE (if required). Blocks 1 through 5 should be completed where appropriate. All further correspondence will be mailed to the current correspondence address as indicated unless corrected below or directed otherwise in Block 1, by (a) specifying a new correspondence address; and/or (b) indicating a separate "FEE ADDRESS" for maintenance fee notifications. **Because electronic patent issuance may occur shortly after issue fee payment, any desired continuing application should preferably be filed prior to payment of this issue fee in order not to jeopardize copendency.**

CURRENT CORRESPONDENCE ADDRESS (Note: Use Block 1 for any change of address)

83682 7590 11/06/2024
Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

Note: A certificate of mailing can only be used for domestic mailings of the Fee(s) Transmittal. This certificate cannot be used for any other accompanying papers. Each additional paper, such as an assignment or formal drawing, must have its own certificate of mailing or transmission.

Certificate of Mailing or Transmission

I hereby certify that this Fee(s) Transmittal is being deposited with the United States Postal Service with sufficient postage for first class mail in an envelope addressed to the Mail Stop ISSUE FEE address above, or being transmitted to the USPTO via the USPTO patent electronic filing system or by facsimile to (571) 273-2885, on the date below.

(Typed or printed name)
(Signature)
(Date)

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	09/13/2024	Bin Liang	HRT-029US1	9471

TITLE OF INVENTION: GLP-1R Agonist and Therapeutic Method Thereof

APPLN. TYPE	ENTITY STATUS	ISSUE FEE DUE	PUBLICATION FEE DUE	PREV. PAID ISSUE FEE	TOTAL FEE(S) DUE	DATE DUE
nonprovisional	SMALL	$480	$0.00	$0.00	$480	02/06/2025

EXAMINER	ART UNIT	CLASS-SUBCLASS
RAHMANI, NILOOFAR	1621	514-300000

1. Change of correspondence address or indication of "Fee Address" (37 CFR 1.363).

❑ Change of correspondence address (or Change of Correspondence Address form PTO/AIA/122 or PTO/SB/122) attached.

❑ "Fee Address" indication (or "Fee Address" Indication form PTO/AIA/47 or PTO/SB/47; Rev 03-02 or more recent) attached. **Use of a Customer Number is required.**

2. For printing on the patent front page, list
(1) The names of up to 3 registered patent attorneys or agents OR, alternatively,
(2) The name of a single firm (having as a member a registered attorney or agent) and the names of up to 2 registered patent attorneys or agents. If no name is listed, no name will be printed.

1_____
2_____
3_____

3. ASSIGNEE NAME AND RESIDENCE DATA TO BE PRINTED ON THE PATENT (print or type)

PLEASE NOTE: Unless an assignee is identified below, no assignee data will appear on the patent. If an assignee is identified below, the document must have been previously recorded, or filed for recordation, as set forth in 37 CFR 3.11 and 37 CFR 3.81(a). Completion of this form is NOT a substitute for filing an assignment.

(A) NAME OF ASSIGNEE (B) RESIDENCE: (CITY and STATE OR COUNTRY)

Please check the appropriate assignee category or categories (will not be printed on the patent) : ❑ Individual ❑ Corporation or other private group entity ❑ Government

4a. Fees submitted: ❑Issue Fee ❑Publication Fee (if required)

4b. Method of Payment: *(Please first reapply any previously paid fee shown above)*

❑ Electronic Payment via the USPTO patent electronic filing system ❑ Enclosed check ❑ Non-electronic payment by credit card (Attach form PTO-2038)

❑ The Director is hereby authorized to charge the required fee(s), any deficiency, or credit any overpayment to Deposit Account No. _____

5. **Change in Entity Status** (from status indicated above)

❑ Applicant certifying micro entity status. See 37 CFR 1.29

NOTE: Absent a valid certification of Micro Entity Status (see forms PTO/SB/15A and 15B), issue fee payment in the micro entity amount will not be accepted at the risk of application abandonment.

❑ Applicant asserting small entity status. See 37 CFR 1.27

NOTE: If the application was previously under micro entity status, checking this box will be taken to be a notification of loss of entitlement to micro entity status.

❑ Applicant changing to regular undiscounted fee status.

NOTE: Checking this box will be taken to be a notification of loss of entitlement to small or micro entity status, as applicable.

NOTE: This form must be signed in accordance with 37 CFR 1.31 and 1.33. See 37 CFR 1.4 for signature requirements and certifications.

Authorized Signature _____ Date _____

Typed or printed name _____ Registration No. _____

Ascletis EX2002
Page 841 of 933

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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	09/13/2024	Bin Liang	HRT-029US1	9471

83682 7590 11/06/2024

Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

EXAMINER	
RAHMANI, NILOOFAR	

ART UNIT	PAPER NUMBER
1621	

DATE MAILED: 11/06/2024

Determination of Patent Term Adjustment under 35 U.S.C. 154 (b)
(Applications filed on or after May 29, 2000)

The Office has discontinued providing a Patent Term Adjustment (PTA) calculation with the Notice of Allowance.

Section 1(h)(2) of the AIA Technical Corrections Act amended 35 U.S.C. 154(b)(3)(B)(i) to eliminate the requirement that the Office provide a patent term adjustment determination with the notice of allowance. See Revisions to Patent Term Adjustment, 78 Fed. Reg. 19416, 19417 (Apr. 1, 2013). Therefore, the Office is no longer providing an initial patent term adjustment determination with the notice of allowance. The Office will continue to provide a patent term adjustment determination with the Issue Notification Letter that is mailed to applicant approximately three weeks prior to the issue date of the patent, and will include the patent term adjustment on the patent. Any request for reconsideration of the patent term adjustment determination (or reinstatement of patent term adjustment) should follow the process outlined in 37 CFR 1.705.

Any questions regarding the Patent Term Extension or Adjustment determination should be directed to the Office of Patent Legal Administration at (571)-272-7702. Questions relating to issue and publication fee payments should be directed to the Customer Service Center of the Office of Patent Publication at 1-(888)-786-0101 or (571)-272-4200.

PTOL-85 (Rev. 11/23)

OMB Clearance and PRA Burden Statement for PTOL-85 Part B

The Paperwork Reduction Act (PRA) of 1995 requires Federal agencies to obtain Office of Management and Budget approval before requesting most types of information from the public. When OMB approves an agency request to collect information from the public, OMB (i) provides a valid OMB Control Number and expiration date for the agency to display on the instrument that will be used to collect the information and (ii) requires the agency to inform the public about the OMB Control Number's legal significance in accordance with 5 CFR 1320.5(b).

The information collected by PTOL-85 Part B is required by 37 CFR 1.311. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 30 minutes to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, Virginia 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, Virginia 22313-1450. Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it displays a valid OMB control number.

Privacy Act Statement

The Privacy Act of 1974 (P.L. 93-579) requires that you be given certain information in connection with your submission of the attached form related to a patent application or patent. The United States Patent and Trademark Office (USPTO) collects the information in this record under authority of 35 U.S.C. 2. The USPTO's system of records is used to manage all applicant and owner information including name, citizenship, residence, post office address, and other information with respect to inventors and their legal representatives pertaining to the applicant's/ owner's activities in connection with the invention for which a patent is sought or has been granted. The applicable Privacy Act System of Records Notice for the information collected in this form is COMMERCE/PAT-TM-7 Patent Application Files, available in the Federal Register at 78 FR 19243 (March 29, 2013).
https://www.govinfo.gov/content/pkg/FR-2013-03-29/pdf/2013-07341.pdf

Routine uses of the information in this record may include disclosure to:

1) law enforcement, in the event that the system of records indicates a violation or potential violation of law;
2) a federal, state, local, or international agency, in response to its request;
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4) the Department of Justice for determination of whether the Freedom of Information Act (FOIA) requires disclosure of the record;
5) a Member of Congress submitting a request involving an individual to whom the record pertains, when the individual has requested the Member's assistance with respect to the subject matter of the record;
6) a court, magistrate, or administrative tribunal, in the course of presenting evidence, including disclosures to opposing counsel in the course of settlement negotiations;
7) the Administrator, General Services Administration (GSA), or their designee, during an inspection of records conducted by GSA under authority of 44 U.S.C. 2904 and 2906, in accordance with the GSA regulations and any other relevant (i.e., GSA or Commerce) directive, where such disclosure shall not be used to make determinations about individuals;
8) another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c));
9) the Office of Personnel Management (OPM) for personnel research purposes; and
10) the Office of Management and Budget (OMB) for legislative coordination and clearance.

If you do not furnish the information requested on this form, the USPTO may not be able to process and/or examine your submission, which may result in termination of proceedings, abandonment of the application, and/or expiration of the patent.

Notice of Allowability	Application No. 18/884,965	Applicant(s) Liang et al.	
	Examiner NILOOFAR RAHMANI	Art Unit 1621	AIA (FITF) Status Yes

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address--

All claims being allowable, PROSECUTION ON THE MERITS IS (OR REMAINS) CLOSED in this application. If not included herewith (or previously mailed), a Notice of Allowance (PTOL-85) or other appropriate communication will be mailed in due course. **THIS NOTICE OF ALLOWABILITY IS NOT A GRANT OF PATENT RIGHTS.** This application is subject to withdrawal from issue at the initiative of the Office or upon petition by the applicant. See 37 CFR 1.313 and MPEP 1308.

1. ☑ This communication is responsive to 09/13/2024.
   ☐ A declaration(s)/affidavit(s) under **37 CFR 1.130(b)** was/were filed on _____.

2. ☐ An election was made by the applicant in response to a restriction requirement set forth during the interview on _____; the restriction requirement and election have been incorporated into this action.

3. ☑ The allowed claim(s) is/are 1-25 . As a result of the allowed claim(s), you may be eligible to benefit from the **Patent Prosecution Highway** program at a participating intellectual property office for the corresponding application. For more information, please see **http://www.uspto.gov/patents/init_events/pph/index.jsp** or send an inquiry to **PPHfeedback@uspto.gov.**

4. ☑ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).

   Certified copies:

   a) ☑ All b) ☐ Some* c) ☐ None of the:

   1. ☑ Certified copies of the priority documents have been received.
   2. ☐ Certified copies of the priority documents have been received in Application No. _____ .
   3. ☐ Copies of the certified copies of the priority documents have been received in this national stage application from the International Bureau (PCT Rule 17.2(a)).

   * Certified copies not received: _____ .

Applicant has THREE MONTHS FROM THE "MAILING DATE" of this communication to file a reply complying with the requirements noted below. Failure to timely comply will result in ABANDONMENT of this application.
THIS THREE-MONTH PERIOD IS NOT EXTENDABLE.

5. ☐ CORRECTED DRAWINGS (as "replacement sheets") must be submitted.
   ☐ including changes required by the attached Examiner's Amendment / Comment or in the Office action of Paper No./Mail Date _____ .

   Identifying indicia such as the application number (see 37 CFR 1.84(c)) should be written on the drawings in the front (not the back) of each sheet. Replacement sheet(s) should be labeled as such in the header according to 37 CFR 1.121(d).

6. ☐ DEPOSIT OF and/or INFORMATION about the deposit of BIOLOGICAL MATERIAL must be submitted. Note the attached Examiner's comment regarding REQUIREMENT FOR THE DEPOSIT OF BIOLOGICAL MATERIAL.

Attachment(s)

1. ☑ Notice of References Cited (PTO-892)
2. ☐ Information Disclosure Statements (PTO/SB/08), Paper No./Mail Date _____.
3. ☐ Examiner's Comment Regarding Requirement for Deposit of Biological Material _____.
4. ☐ Interview Summary (PTO-413), Paper No./Mail Date. _____.
5. ☐ Examiner's Amendment/Comment
6. ☑ Examiner's Statement of Reasons for Allowance
7. ☐ Other _____.

/NILOOFAR RAHMANI/
Primary Examiner, Art Unit 1621

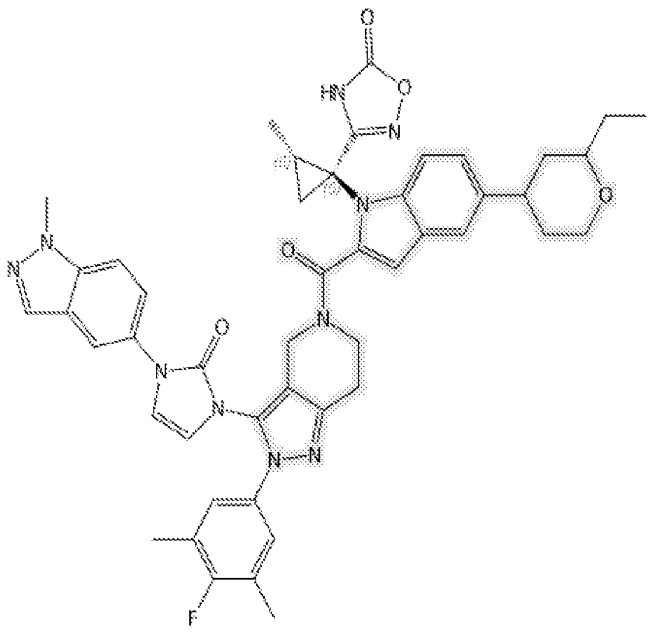
Allowable Subject Matter

Claims 1-25 are allowed.

The following is an examiner's statement of reasons for allowance:

Claims 1-25 are patentable over Yoshino et al., US 10858356, which includes the exemplified compound:

RN 3212023-83-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(2-ethyltetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



, which has different structure. Therefore, the claims are free of prior art.

Any comments considered necessary by applicant must be submitted no late than the payment of the issue fee and, to avoid processing delays, should preferably accompany the issue fee. Such submissions should be clearly labeled "comments on Statement of Reasons for Allowance."

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Niloofar Rahmani whose telephone number is 571-272-4329. The examiner can normally be reached on Monday through Friday from 8:30 am to 5:00 pm. If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Brooks Clinton, can be reached on 571-270-7682. The fax phone number for the organization where this application or proceeding is assigned is 703-872-9306.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see http://pair-direct.uspto.gov. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free).

/NILOOFAR RAHMANI/

10/29/2024

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of:	Confirmation No.: 9471
Liang *et al*	Art Unit: 1621
Appl. No.: 18/884,965	Examiner: NILOOFAR RAHMANI
Appl. Filed: September 13, 2024	Atty. Docket: HRT-029US1
For: **GLP-1R Agonist and Therapeutic Method Thereof**	

Request for Correction of Official Filing Receipt

Commissioner for Patents
PO Box 1450
Alexandria, VA 22313-1450

Madam:

Applicant is requesting correction of the records of the United States Patent and Trademark Office and issuance of a corrected Official Filing Receipt for the above-referenced patent application.

Applicant is filing concurrently a corrected Application Data Sheet to add the DAS access code for one of its priority patent applications, namely CN 202411237048.4. Applicant respectfully requests correction of the Official Filing Receipt to reflect that the DAS access code has been provided for this application, and requests that the United States Patent and Trademark Office retrieve the priority document through the priority document exchange DAS system.

Correction of the records of the United States Patent and Trademark Office and issuance of a corrected Official Filing Receipt are respectfully solicited.

No fee is deemed necessary in connection with the filing of this Request for Corrected Filing Receipt. However, if any additional fee is required, authorization is hereby given to charge the amount of any such fee to Deposit Account No. 60-2104.

Respectfully submitted,

/Daniel J. Nevrivy/

Daniel J. Nevrivy
Registration No.: 59,118

NEVRIVY PATENT LAW GROUP P.L.L.C.
1101 30 St., NW
Suite 500
Washington, D.C. 20007
Phone: (202) 713-0843

Date: November 15, 2024

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

The application data sheet is part of the provisional or nonprovisional application for which it is being submitted. The following form contains the bibliographic data arranged in a format specified by the United States Patent and Trademark Office as outlined in 37 CFR 1.76.
This document may be completed electronically and submitted to the Office in electronic format using the Electronic Filing System (EFS) or the document may be printed and included in a paper filed application.

Secrecy Order 37 CFR 5.2:

☐ Portions or all of the application associated with this Application Data Sheet may fall under a Secrecy Order pursuant to 37 CFR 5.2 (Paper filers only. Applications that fall under Secrecy Order may not be filed electronically.)

Inventor Information:

Inventor 1 Remove

Legal Name

Prefix	Given Name	Middle Name	Family Name	Suffix
	Bin		Liang	

Residence Information (Select One) ○ US Residency ◉ Non US Residency ○ Active US Military Service

City	Hong Kong	Country of Residence [i]	CN

Mailing Address of Inventor:

Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Postal Code	999077	**Country** [i]	CN

Inventor 2 Remove

Legal Name

Prefix	Given Name	Middle Name	Family Name	Suffix
	Jinzi	Jason	Wu	

Residence Information (Select One) ○ US Residency ◉ Non US Residency ○ Active US Military Service

City	Hong Kong	Country of Residence [i]	CN

Mailing Address of Inventor:

Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Postal Code	999077	**Country** [i]	CN

Inventor 3 Remove

Legal Name

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Prefix	Given Name	Middle Name	Family Name	Suffix
	Bailing		Yang	

Residence Information (Select One) ○ US Residency ◉ Non US Residency ○ Active US Military Service

City	Hong Kong	Country of Residence [i]	CN

Mailing Address of Inventor:

Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Postal Code	999077	**Country** [i]	CN

All Inventors Must Be Listed - Additional Inventor Information blocks may be generated within this form by selecting the **Add** button. Add

Correspondence Information:

Enter either Customer Number or complete the Correspondence Information section below. For further information see 37 CFR 1.33(a).

☐ **An Address is being provided for the correspondence Information of this application.**

Customer Number	83682		
Email Address	dnevrivy@nevrivylaw.com	Add Email	Remove Email

Application Information:

Title of the Invention	GLP-1R Agonist and Therapeutic Method Thereof		
Attorney Docket Number	HRT-029US1	**Small Entity Status Claimed**	☒
Application Type	Nonprovisional		
Subject Matter	Utility		
Total Number of Drawing Sheets (if any)		**Suggested Figure for Publication (if any)**	

Filing By Reference:

Only complete this section when filing an application by reference under 35 U.S.C. 111(c) and 37 CFR 1.57(a). Do not complete this section if application papers including a specification and any drawings are being filed. Any domestic benefit or foreign priority information must be provided in the appropriate section(s) below (i.e., "Domestic Benefit/National Stage Information" and "Foreign Priority Information").

For the purposes of a filing date under 37 CFR 1.53(b), the description and any drawings of the present application are replaced by this reference to the previously filed application, subject to conditions and requirements of 37 CFR 1.57(a).

Application number of the previously filed application	Filing date (YYYY-MM-DD)	Intellectual Property Authority or Country

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Publication Information:

☐ Request Early Publication (Fee required at time of Request 37 CFR 1.219)

☐ **Request Not to Publish.** I hereby request that the attached application not be published under 35 U.S.C. 122(b) and certify that the invention disclosed in the attached application **has not and will not** be the subject of an application filed in another country, or under a multilateral international agreement, that requires publication at eighteen months after filing.

Representative Information:

Representative information should be provided for all practitioners having a power of attorney in the application. Providing this information in the Application Data Sheet does not constitute a power of attorney in the application (see 37 CFR 1.32).
Either enter Customer Number or complete the Representative Name section below. If both sections are completed the customer Number will be used for the Representative Information during processing.

Please Select One:	◉ Customer Number	○ US Patent Practitioner	○ Limited Recognition (37 CFR 11.9)
Customer Number	83682		

Domestic Benefit/National Stage Information:

This section allows for the applicant to either claim benefit under 35 U.S.C. 119(e), 120, 121, 365(c), or 386(c) or indicate National Stage entry from a PCT application. Providing benefit claim information in the Application Data Sheet constitutes the specific reference required by 35 U.S.C. 119(e) or 120, and 37 CFR 1.78.
When referring to the current application, please leave the "Application Number" field blank.

Prior Application Status	Pending		Remove
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63538892	2023-09-18
Prior Application Status	Pending		Remove
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63545615	2023-10-25
Prior Application Status	Pending		Remove
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63603854	2023-11-29

Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Prior Application Status	Pending	Remove	
Application Number	Continuity Type	Prior Application Number	Filing or 371(c) Date (YYYY-MM-DD)
	Claims benefit of provisional	63673453	2024-07-19

Additional Domestic Benefit/National Stage Data may be generated within this form by selecting the **Add** button.

Foreign Priority Information:

This section allows for the applicant to claim priority to a foreign application. Providing this information in the application data sheet constitutes the claim for priority as required by 35 U.S.C. 119(b) and 37 CFR 1.55. When priority is claimed to a foreign application that is eligible for retrieval under the priority document exchange program (PDX)[i] the information will be used by the Office to automatically attempt retrieval pursuant to 37 CFR 1.55(i)(1) and (2). Under the PDX program, applicant bears the ultimate responsibility for ensuring that a copy of the foreign application is received by the Office from the participating foreign intellectual property office, or a certified copy of the foreign priority application is filed, within the time period specified in 37 CFR 1.55(g)(1).

Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311189557.X	CN	2023-09-14	1A84
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311371725.7	CN	2023-10-23	5359
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311582240.2	CN	2023-11-24	921B
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202311686034.6	CN	2023-12-08	AF8F
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410142451.2	CN	2024-01-31	151D
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410202078.5	CN	2024-02-22	2F87
Remove			
Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410398964.X	CN	2024-04-02	6C5B

Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Application Number	Country[i]	Filing Date (YYYY-MM-DD)	Access Code[i] (if applicable)
202410584679.7	CN	2024-05-11	B096
202410963587.X	CN	2024-07-17	3EA5
202411237048.4	CN	2024-09-04	A951

Remove

Additional Foreign Priority Data may be generated within this form by selecting the **Add** button.

Statement under 37 CFR 1.55 or 1.78 for AIA (First Inventor to File) Transition Applications

☐ This application (1) claims priority to or the benefit of an application filed before March 16, 2013 and (2) also contains, or contained at any time, a claim to a claimed invention that has an effective filing date on or after March 16, 2013.
NOTE: By providing this statement under 37 CFR 1.55 or 1.78, this application, with a filing date on or after March 16, 2013, will be examined under the first inventor to file provisions of the AIA.

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Authorization or Opt-Out of Authorization to Permit Access:

When this Application Data Sheet is properly signed and filed with the application, applicant has provided written authority to permit a participating foreign intellectual property (IP) office access to the instant application-as-filed (see paragraph A in subsection 1 below) and the European Patent Office (EPO) access to any search results from the instant application (see paragraph B in subsection 1 below).

Should applicant choose not to provide an authorization identified in subsection 1 below, applicant **must opt-out** of the authorization by checking the corresponding box A or B or both in subsection 2 below.

NOTE: This section of the Application Data Sheet is **ONLY** reviewed and processed with the **INITIAL** filing of an application. After the initial filing of an application, an Application Data Sheet cannot be used to provide or rescind authorization for access by a foreign IP office(s). Instead, Form PTO/SB/39 or PTO/SB/69 must be used as appropriate.

1. Authorization to Permit Access by a Foreign Intellectual Property Office(s)

A. Priority Document Exchange (PDX) - Unless box A in subsection 2 (opt-out of authorization) is checked, the undersigned hereby **grants the USPTO authority** to provide the European Patent Office (EPO), the Japan Patent Office (JPO), the Korean Intellectual Property Office (KIPO), the State Intellectual Property Office of the People's Republic of China (SIPO), the World Intellectual Property Organization (WIPO), and any other foreign intellectual property office participating with the USPTO in a bilateral or multilateral priority document exchange agreement in which a foreign application claiming priority to the instant patent application is filed, access to: (1) the instant patent application-as-filed and its related bibliographic data, (2) any foreign or domestic application to which priority or benefit is claimed by the instant application and its related bibliographic data, and (3) the date of filing of this Authorization. See 37 CFR 1.14(h)(1).

B. Search Results from U.S. Application to EPO - Unless box B in subsection 2 (opt-out of authorization) is checked, the undersigned hereby **grants the USPTO authority** to provide the EPO access to the bibliographic data and search results from the instant patent application when a European patent application claiming priority to the instant patent application is filed. See 37 CFR 1.14(h)(2).

The applicant is reminded that the EPO's Rule 141(1) EPC (European Patent Convention) requires applicants to submit a copy of search results from the instant application without delay in a European patent application that claims priority to the instant application.

2. Opt-Out of Authorizations to Permit Access by a Foreign Intellectual Property Office(s)

☐ A. Applicant **DOES NOT** authorize the USPTO to permit a participating foreign IP office access to the instant application-as-filed. If this box is checked, the USPTO will not be providing a participating foreign IP office with any documents and information identified in subsection 1A above.

☐ B. Applicant **DOES NOT** authorize the USPTO to transmit to the EPO any search results from the instant patent application. If this box is checked, the USPTO will not be providing the EPO with search results from the instant application.

NOTE: Once the application has published or is otherwise publicly available, the USPTO may provide access to the application in accordance with 37 CFR 1.14.

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Applicant Information:

Providing assignment information in this section does not substitute for compliance with any requirement of part 3 of Title 37 of CFR to have an assignment recorded by the Office.

Applicant 1

If the applicant is the inventor (or the remaining joint inventor or inventors under 37 CFR 1.45), this section should not be completed. The information to be provided in this section is the name and address of the legal representative who is the applicant under 37 CFR 1.43; or the name and address of the assignee, person to whom the inventor is under an obligation to assign the invention, or person who otherwise shows sufficient proprietary interest in the matter who is the applicant under 37 CFR 1.46. If the applicant is an applicant under 37 CFR 1.46 (assignee, person to whom the inventor is obligated to assign, or person who otherwise shows sufficient proprietary interest) together with one or more joint inventors, then the joint inventor or inventors who are also the applicant should be identified in this section.

Clear

◉ Assignee	○ Legal Representative under 35 U.S.C. 117	○ Joint Inventor
○ Person to whom the inventor is obligated to assign.	○ Person who shows sufficient proprietary interest	

If applicant is the legal representative, indicate the authority to file the patent application, the inventor is:

Name of the Deceased or Legally Incapacitated Inventor:

If the Applicant is an Organization check here. ☒

Organization Name	Ascletis Pharma (China) Co., Limited		
Mailing Address Information For Applicant:			
Address 1	Room 1903, 19/F, Lee Garden One, 33 Hysan Avenue		
Address 2	Causeway Bay		
City	Hong Kong	**State/Province**	
Country	CN	Postal Code	999077
Phone Number		Fax Number	
Email Address			

Additional Applicant Data may be generated within this form by selecting the Add button.

Assignee Information including Non-Applicant Assignee Information:

Providing assignment information in this section does not substitute for compliance with any requirement of part 3 of Title 37 of CFR to have an assignment recorded by the Office.

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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

Assignee 1

Complete this section if assignee information, including non-applicant assignee information, is desired to be included on the patent application publication. An assignee-applicant identified in the "Applicant Information" section will appear on the patent application publication as an applicant. For an assignee-applicant, complete this section only if identification as an assignee is also desired on the patent application publication.

If the Assignee or Non-Applicant Assignee is an Organization check here. ☐

Prefix	**Given Name**	Middle Name	**Family Name**	Suffix

Mailing Address Information For Assignee including Non-Applicant Assignee:

Address 1			
Address 2			
City		**State/Province**	
Country i		Postal Code	
Phone Number		Fax Number	
Email Address			

Additional Assignee or Non-Applicant Assignee Data may be generated within this form by selecting the Add button.

Signature:

NOTE: This Application Data Sheet must be signed in accordance with 37 CFR 1.33(b). **However, if this Application Data Sheet is submitted with the INITIAL filing of the application and either box A or B is not checked in subsection 2 of the "Authorization or Opt-Out of Authorization to Permit Access" section, then this form must also be signed in accordance with 37 CFR 1.14(c).**

This Application Data Sheet **must** be signed by a patent practitioner if one or more of the applicants is a **juristic entity** (e.g., corporation or association). If the applicant is two or more joint inventors, this form must be signed by a patent practitioner, **all** joint inventors who are the applicant, or one or more joint inventor-applicants who have been given power of attorney (e.g., see USPTO Form PTO/AIA/81) on behalf of **all** joint inventor-applicants.

See 37 CFR 1.4(d) for the manner of making signatures and certifications.

Signature	/Daniel Nevrivy/			Date (YYYY-MM-DD)	2024-11-15
First Name	Daniel	Last Name	Nevrivy	Registration Number	59118

Additional Signature may be generated within this form by selecting the Add button.

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U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
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Application Data Sheet 37 CFR 1.76	Attorney Docket Number	HRT-029US1
	Application Number	
Title of Invention	GLP-1R Agonist and Therapeutic Method Thereof	

This collection of information is required by 37 CFR 1.76. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 23 minutes to complete, including gathering, preparing, and submitting the completed application data sheet form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

Privacy Act Statement

The Privacy Act of 1974 (P.L. 93-579) requires that you be given certain information in connection with your submission of the attached form related to a patent application or patent. Accordingly, pursuant to the requirements of the Act, please be advised that: (1) the general authority for the collection of this information is 35 U.S.C. 2(b)(2); (2) furnishing of the information solicited is voluntary; and (3) the principal purpose for which the information is used by the U.S. Patent and Trademark Office is to process and/or examine your submission related to a patent application or patent. If you do not furnish the requested information, the U.S. Patent and Trademark Office may not be able to process and/or examine your submission, which may result in termination of proceedings or abandonment of the application or expiration of the patent.

The information provided by you in this form will be subject to the following routine uses:

1. The information on this form will be treated confidentially to the extent allowed under the Freedom of Information Act (5 U.S.C. 552) and the Privacy Act (5 U.S.C. 552a). Records from this system of records may be disclosed to the Department of Justice to determine whether the Freedom of Information Act requires disclosure of these records.

2. A record from this system of records may be disclosed, as a routine use, in the course of presenting evidence to a court, magistrate, or administrative tribunal, including disclosures to opposing counsel in the course of settlement negotiations.

3. A record in this system of records may be disclosed, as a routine use, to a Member of Congress submitting a request involving an individual, to whom the record pertains, when the individual has requested assistance from the Member with respect to the subject matter of the record.

4. A record in this system of records may be disclosed, as a routine use, to a contractor of the Agency having need for the information in order to perform a contract. Recipients of information shall be required to comply with the requirements of the Privacy Act of 1974, as amended, pursuant to 5 U.S.C. 552a(m).

5. A record related to an International Application filed under the Patent Cooperation Treaty in this system of records may be disclosed, as a routine use, to the International Bureau of the World Intellectual Property Organization, pursuant to the Patent CooperationTreaty.

6. A record in this system of records may be disclosed, as a routine use, to another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c)).

7. A record from this system of records may be disclosed, as a routine use, to the Administrator, General Services, or his/her designee, during an inspection of records conducted by GSA as part of that agency's responsibility to recommend improvements in records management practices and programs, under authority of 44 U.S.C. 2904 and 2906. Such disclosure shall be made in accordance with the GSA regulations governing inspection of records for this purpose, and any other relevant (i.e., GSA or Commerce) directive. Such disclosure shall not be used to make determinations about individuals.

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ELECTRONIC ACKNOWLEDGEMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**11/15/2024 08:30:44 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	67992460	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 2

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
ADS_Corrected.pdf	10	Application Data Sheet	205 KB
Warning: This is not a USPTO supplied ADS fillable form. Data in the form cannot be automatically loaded to other USPTO systems.			
Correct filing reciept.pdf	2	Request for Corrected Filing Receipt	20 KB

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DOCUMENT	MESSAGE DIGEST(SHA-512)
ADS_Corrected.pdf	7D9CD89425CC906B63F214E2914B95AA63B4940F4CBED6372 52807008B8DEA5AE91217E6A629F50A0D633360B8CA6268825 30B587B1CDAB804A732EB5CF068DC

Correct filing reciept.pdf

8CB87EB36D5ABEA963B4E626E30151AE747834ED8D83E6E0
8FE64F03D7C0ADEBA43646070303E2FE79DE4A054BBEBDD5
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APPLICATION NUMBER	FILING or 371(c) DATE	GRP ART UNIT	FIL FEE REC'D	ATTY.DOCKET.NO	TOT CLAIMS	IND CLAIMS
18/884,965	09/13/2024	1621	1192	HRT-029US1	25	2

CONFIRMATION NO. 9471

UPDATED FILING RECEIPT

83682
Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

OC000000080820359

Date Mailed: 11/19/2024

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Inventor(s)

Bin Liang, Hong Kong, CHINA;
Jinzi Jason Wu, Hong Kong, CHINA;
Bailing Yang, Hong Kong, CHINA;

Applicant(s)

Ascletis Pharma (China) Co., Limited, Hong Kong, CHINA;

Power of Attorney: The patent practitioners associated with Customer Number 83682

Domestic Priority data as claimed by applicant

This appln claims benefit of 63/538,892 09/18/2023
and claims benefit of 63/545,615 10/25/2023
and claims benefit of 63/603,854 11/29/2023
and claims benefit of 63/673,453 07/19/2024

Foreign Applications (You may be eligible to benefit from the **Patent Prosecution Highway** program at the USPTO. Please see http://www.uspto.gov for more information.)
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The country code and number of your priority application, to be used for filing abroad under the Paris Convention, is **US 18/884,965**

Projected Publication Date: 03/20/2025

Non-Publication Request: No

Early Publication Request: No
**** SMALL ENTITY ****
Title

GLP-1R Agonist and Therapeutic Method Thereof

Preliminary Class

514

Statement under 37 CFR 1.55 or 1.78 for AIA (First Inventor to File) Transition Applications: No

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	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

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	1	7461104	JP	B2	2024-04-03	Chugai Sesame Co., Ltd.		☐
	2	2023220109	WO	A1	2023-11-16	Eli Lilly and Company		☐
	3	2018056453	WO	A1	2018-03-29	CHUGAI PHARMACEUTICAL CO LTD		☐

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	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	4	2023220112	WO	A1	2023-11-16	Eli Lilly and Company		☐
	5	2024129676	WO	A1	2024-06-20	Eli Lilly and Company		☐
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	7	2021155841	WO	A1	2024-06-27	Eli Lilly and Company		☐
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	9	2022052958	WO	A1	2022-03-17	GASHERBRUM BIO INC		☐
	10	2023016546	WO	A1	2023-02-16	GASHERBRUM BIO INC		☐
	11	2023169456	WO	A1	2023-09-14	GASHERBRUM BIO INC		☐
	12	2024125602	WO	A1	2024-06-20	GASHERBRUM BIO INC		☐
	13	2024169952	WO	A1	2024-08-22	GASHERBRUM BIO INC		☐
	14	2022017338	WO	A1	2022-01-27	ECCOGENE SHANGHAI CO LTD		☐

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	Art Unit	1621
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	Attorney Docket Number	HRT-029US1

	15	117069743	CN	A	2023-11-17	EIJING SHENOGEN PHARMACEUTICAL TECH CO LTD		☐
	16	116003403	CN	B	2024-07-23	Yaokang Zhongtuo (Beijing) Pharmaceutical Technolo		☐
	17	117447493	CN	A	2024-01-26	YAOKANG ZHONGTUO BEIJING PHARMACEUTICAL TECH CO LT		☐
	18	117964617	CN	A	2024-05-03	SHANGHAI XIANGHUI MEDICAL TECH CO LTD		☐
	19	2024153070	WO	A1	2024-07-25	FOCHON BIOSCIENCES LTD		☐
	20	2018109607	WO	A1	2018-06-21	PFIZER		☐
	21	2019239319	WO	A1	2019-12-19	PFIZER		☐
	22	2019239371	WO	A1	2019-12-19	PFIZER		☐
	23	2020234726	WO	A1	2020-11-26	PFIZER		☐
	24	2021116874	WO	A1	2021-06-17	PFIZER		☐
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	26	2023031741	WO	A1	2023-03-09	PFIZER		☐
	27	2024018395	WO	A1	2024-01-25	PFIZER		☐
	28	2020103815	WO	A1	2020-05-28	QILU REGOR THERAPEUTICS INC		☐
	29	2020207474	WO	A1	2020-10-15	QILU REGOR THERAPEUTICS INC		☐
	30	2022031994	WO	A1	2022-02-10	QILU REGOR THERAPEUTICS INC [CN]; ZHONG WENGE		☐
	31	2022078352	WO	A1	2022-04-21	QILU REGOR THERAPEUTICS INC		☐
	32	115867546	CN	A	2023-03-28	SHANGHAI QILU RUIGE MEDICAL RES DEVELOPMENT CO LTD		☐
	33	2020263695	WO	A1	2020-12-30	Eli Lilly and Company		☐
	34	2022246019	WO	A1	2022-11-24	Eli Lilly and Company		☐
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	37	2021018023	WO	A1	2021-02-04	THE TITAN LEADING MED TECH INC		☐
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	40	2022109182	WO	A9	2022-12-08	GILEAD SCIENCES INC		☐
	41	2022192430	WO	A1	2022-09-15	GILEAD SCIENCES INC		☐
	42	2022192428	WO	A1	2022-09-15	GILEAD SCIENCES INC		☐
	43	2022225914	WO	A1	2022-10-27	GILEAD SCIENCES INC		☐
	44	2022225941	WO	A1	2022-10-27	GILEAD SCIENCES INC		☐
	45	2022109182	WO	A1	2022-05-27	GILEAD SCIENCES INC		☐
	46	TWI786467	TW	B	2022-12-11	ILDONG PHARMACEUTICAL CO LTD		☐
	47	2023182869	WO	A1	2023-09-28	ILDONG PHARMACEUTICAL CO LTD		☐

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	48	2021112538	WO	A1	2021-06-10	HYUNDAI PHARM CO LTD		☐
	49	2021160127	WO	A1	2021-08-19	GASHERBRUM BIO INC [US]; MENG QINGHUA [CN]		☐
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	1	Analyst and Investor Call to Review Oral GLP-1 Data, The European Association for the Study of Diabetes (EASD) Annual Meeting, Stockholm, Pfizer, (2022), 1-31.	☐
	2	GRIFFITH et al., A Small-Molecule Oral Agonist of the Human Glucagon-like Peptide-1 Receptor, J.Med. Chem., (2022), 65:8208-8226.	☐
	3	ZHAO et al., Activation of the GLP-1 receptor by a non-peptidic agonist, Nature, (2020), 577:432-456.	☐
	4	FREEMAN et al., TTP273, Investigational Oral (Non Peptide) GLP 1R Agonist: Improved Glycemic Control without Nausea and Vomiting in Phase 2, vTv Therapeutics LLC, High Point, NC, USA, pg.1.	☐
	5	GUSTAVSON et al., TTP273, an Orally-Available Glucagon-Like Peptide-1(GLP-1)Agonist, Notably Reduces Glycemia in Subjects with Type 2 Diabetes Mellitus (T2DM), TransTech Pharma, LLC., (2014), 1-16.	☐
	6	REESE David, AMG 133 PROGRAM UPDATE, AMGEN, (2022). 1-15.	☐

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	7	SAXENA et al., Efficacy and Safety of Oral Small Molecule Glucagon-Like Peptide 1 Receptor Agonist Danuglipron for Glycemic Control Among Patients With Type 2 Diabetes A Randomized Clinical Trial, JAMA Network Open, (2023), 6:1-12, e2314493.	☐
	8	SPERRY et al., Danuglipron, Drugs of the Future, (2022), 47:407-418.	☐
	9	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1a, blinded, placebo-controlled, randomized, singleand multiple-ascending-dose study in healthy participants, Diabetes Obes Metab, (2023), 25:2634-2641.	☐
	10	ZHANG et al., Differential GLP-1R Binding and Activation by Peptide and Non-peptide Agonists, Molecular Cell, (2020), 80:485-500.	☐
	11	Eccogene Announces US INDApproval for GLP-1 Receptor AgonistECC5004, ECCOGENE, pg. 1-2.	☐
	12	FRIAS et al., Efficacy and safety of oral orforglipron in patients with type 2 diabetes: a multicentre, randomised, dose-response, phase 2 study, Lancet, (2023), 402:472-83.	☐
	13	WHARTON et al., Daily Oral GLP-1 Receptor Agonist Orforglipron for Adults with Obesity, N Engl J Med, 389:887-888.	☐
	14	MA et al., Effect of Food Consumption on the Pharmacokinetics, Safety, and Tolerability of Once-Daily Orally Administered Orforglipron (LY3502970), a Non-peptide GLP-1 Receptor Agonist, Diabetes Ther, (2024), 15:819-832.	☐
	15	STEVENS et al., GSBR-1290 Phase 1b MAD Results, STRUCTURE THERAPEUTICS, (2023), pg.1-24.	☐
	16	YABE, Daisuke, Twincretin as a potential therapeutic for the management of type 2 diabetes with obesity, J Diabetes Investig, (2019), 10: 902-905.	☐
	17	KAWAI et al., Structural basis for GLP-1 receptor activation by LY3502970, an orally active nonpeptide agonist, PNAS, (2020), 117:29959-29967.	☐

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	Attorney Docket Number	HRT-029US1

	18	CLEMMENSEN et al., Emerging hormonal- based combination pharmacotherapies for the treatment of metabolic diseases, Nature Reviews, Endocrinology, 15:91-104.	☐
	19	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagonlike peptide-1 receptor agonist: A Phase 1b, multicentre, blinded, placebo-controlled, randomized, multiple-ascending-dose study in people with type 2 diabetes, Diabetes Obes Metab., (2023), 25:2642-2649.	☐
	20	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1a, blinded, placebo-controlled, randomized, singleand multiple-ascending-dose study in healthy participants, Diabetes Obes Metab., (2023), 25:2634-2641.	☐
	21	DUTTA et al., Orforglipron, a novel non-peptide oral daily glucagon-like peptide-1 receptor agonist as an anti-obesity medicine: A systematic review and meta-analysis, Obes Sci Pract., (2024), pg. 1-9. e743.	☐
	22	WU et al., Safety, pharmacokinetics and pharmacodynamics of HRS-7535, a novel oral small molecule glucagon-like peptide-1 receptor agonist, in healthy participants: A phase 1, randomized, double-blind, placebo-controlled, single- and multiple-ascending dose, and food effect trial, Diabetes Obes Metab., (2024), 26:901-910.	☐
	23	MAO et al., Discovery of GSBR-1290, a Highly Potent, Orally Available, Novel Small Molecule GLP-1 Receptor AgonistTing, LinStructure Therapeutics Inc, South San Francisco, CA, United States, (2023), 760-p.	☐
	24	COLL et al., A First-in-human Single Ascending Dose Study of GSBR-1290, a Novel Small Molecule GLP-1 Receptor Agonist, in Healthy Volunteers, A First-in-human Single Ascending Dose Study of GSBR-1290, a Novel Small Molecule GLP-1 Receptor Agonist, in Healthy Volunteers, (2023), 754.	☐
	25	KAWAI et al., Structural basis for GLP-1 receptor activation by LY3502970, an orally active nonpeptide agonist, PNAS Latest Articles, (2020), pg.1-9.	☐
	26	ZHAO et al., Structural insights into multiplexed pharmacological actions of tirzepatide and peptide 20 at the GIP, GLP-1 or glucagon receptors, NATURE COMMUNICATIONS, (2022), 13:1057, pg. 1-16.	☐
	27	STEVENS et al., GSBR-1290 Obesity Topline Data Presentation, Structure therapeutics, (2024), 1-31.	☐
	28	BURROUGHS et al., TERN-601 Phase 1 Trial Top-Line Results, TERNS PHARMACEUTICALS, (2024), 1-29.	☐

PC 6.1.24 ALL REFERENCES CONSIDERED EXCEPT WHERE LINED THROUGH. /N.R/

/NILOOFAR RAHMANI/ 11/24/2024

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	29	HANSEN et al., N-terminally and C-terminally truncated forms of glucosedependent insulinotropic polypeptide are high-affinity competitive antagonists of the human GIP receptor, British Journal of Pharmacology, (2016), 173:826-838.	☐

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EXAMINER SIGNATURE

Examiner Signature	/NILOOFAR RAHMANI/	Date Considered	11/24/2024

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	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

CERTIFICATION STATEMENT

Please see 37 CFR 1.97(e) to make the appropriate selection(s):

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☐ See attached certification statement.

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FEE

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A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

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7. A record from this system of records may be disclosed, as a routine use, to the Administrator, General Services, or his/her designee, during an inspection of records conducted by GSA as part of that agency's responsibility to recommend improvements in records management practices and programs, under authority of 44 U.S.C. 2904 and 2906. Such disclosure shall be made in accordance with the GSA regulations governing inspection of records for this purpose, and any other relevant (i.e., GSA or Commerce) directive. Such disclosure shall not be used to make determinations about individuals.

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/NILOOFAR RAHMANI/

11/24/2024

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Doc description: Information Disclosure Statement (IDS) Filed

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First Named Inventor	Bin Liang
Art Unit	1621
Examiner Name	NILOOFAR RAHMANI
Attorney Docket Number	HRT-029US1

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/NILOOFAR RAHMANI/ 11/24/2024

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	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	1	Pending claims in co-pending U.S. Appl. No.: 18/885,065, entitled "GLP-1R Agonist and Therapeutic Method Thereof," filed September 13, 2024.	☐

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Examiner Signature	/NILOOFAR RAHMANI/	Date Considered	11/24/2024

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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	09/13/2024	Bin Liang	HRT-029US1	9471

7590 11/26/2024

Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

EXAMINER	
RAHMANI, NILOOFAR	
ART UNIT	PAPER NUMBER
1621	

DATE MAILED : 11/26/2024

FOREIGN PRIORITY ACKNOWLEDGMENT

☑ 1. Certified Copy Timely Received Before Payment of the Issue Fee:

Applicant previously submitted a claim for foreign priority under 35 U.S.C. 119(a)-(d) or (f).

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APPLICATION NO./ CONTROL NO.	FILING DATE	FIRST NAMED INVENTOR/ PATENT IN REEXAMINATION	ATTORNEY DOCKET NO.
18/884,965	09/13/2024	Liang et al.	HRT-029US1

		EXAMINER	
Nevrivy Patent Law Group P.L.L.C. 1101 30th Street, NW Suite 500 Washington, DC 20007		NILOOFAR RAHMANI	
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PTO-90C (Rev.04-03)

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	1	7461104	JP	B2	2024-04-03	Chugai Sesame Co., Ltd.		☐
	2	2023220109	WO	A1	2023-11-16	Eli Lilly and Company		☐
	3	2018056453	WO	A1	2018-03-29	CHUGAI PHARMACEUTICAL CO LTD		☐

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	4	2023220112	WO	A1	2023-11-16	Eli Lilly and Company		☐
	5	2024129676	WO	A1	2024-06-20	Eli Lilly and Company		☐
	6	2024137426	WO	A1	2024-06-27	Eli Lilly and Company		☐
	7	2021155841	WO	A1	2024-06-27	Eli Lilly and Company		☐
	8	2022048665	WO	A1	2024-06-27	Eli Lilly and Company		☐
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	18	117964617	CN	A	2024-05-03	SHANGHAI XIANGHUI MEDICAL TECH CO LTD		☐
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	20	2018109607	WO	A1	2018-06-21	PFIZER		☐
	21	2019239319	WO	A1	2019-12-19	PFIZER		☐
	22	2019239371	WO	A1	2019-12-19	PFIZER		☐
	23	2020234726	WO	A1	2020-11-26	PFIZER		☐
	24	2021116874	WO	A1	2021-06-17	PFIZER		☐
	25	2021191812	WO	A1	2021-09-30	PFIZER		☐

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	26	2023031741	WO	A1	2023-03-09	PFIZER		☐
	27	2024018395	WO	A1	2024-01-25	PFIZER		☐
	28	2020103815	WO	A1	2020-05-28	QILU REGOR THERAPEUTICS INC		☐
	29	2020207474	WO	A1	2020-10-15	QILU REGOR THERAPEUTICS INC		☐
	30	2022031994	WO	A1	2022-02-10	QILU REGOR THERAPEUTICS INC [CN]; ZHONG WENGE		☐
	31	2022078352	WO	A1	2022-04-21	QILU REGOR THERAPEUTICS INC		☐
	32	115867546	CN	A	2023-03-28	SHANGHAI QILU RUIGE MEDICAL RES DEVELOPMENT CO LTD		☐
	33	2020263695	WO	A1	2020-12-30	Eli Lilly and Company		☐
	34	2022246019	WO	A1	2022-11-24	Eli Lilly and Company		☐
	35	2024102625	WO	A1	2024-05-16	LEli Lilly and Company		☐
	36	2024107781	WO	A1	2024-05-23	Eli Lilly and Company		☐

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	37	2021018023	WO	A1	2021-02-04	THE TITAN LEADING MED TECH INC		☐
	38	2021081207	WO	A1	2021-04-29	GILEAD SCIENCES INC		☐
	39	2021154796	WO	A1	2021-08-05	GILEAD SCIENCES INC		☐
	40	2022109182	WO	A9	2022-12-08	GILEAD SCIENCES INC		☐
	41	2022192430	WO	A1	2022-09-15	GILEAD SCIENCES INC		☐
	42	2022192428	WO	A1	2022-09-15	GILEAD SCIENCES INC		☐
	43	2022225914	WO	A1	2022-10-27	GILEAD SCIENCES INC		☐
	44	2022225941	WO	A1	2022-10-27	GILEAD SCIENCES INC		☐
	45	2022109182	WO	A1	2022-05-27	GILEAD SCIENCES INC		☐
	46	TWI786467	TW	B	2022-12-11	ILDONG PHARMACEUTICAL CO LTD		☐
	47	2023182869	WO	A1	2023-09-28	ILDONG PHARMACEUTICAL CO LTD		☐

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	48	2021112538	WO	A1	2021-06-10	HYUNDAI PHARM CO LTD		☐
	49	2021160127	WO	A1	2021-08-19	GASHERBRUM BIO INC [US]; MENG QINGHUA [CN]		☐
	50	2021219019	WO	A1	2021-11-04	GASHERBRUM BIO INC		☐

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	1	Analyst and Investor Call to Review Oral GLP-1 Data, The European Association for the Study of Diabetes (EASD) Annual Meeting, Stockholm, Pfizer, (2022), 1-31.	☐
	2	GRIFFITH et al., A Small-Molecule Oral Agonist of the Human Glucagon-like Peptide-1 Receptor, J.Med. Chem., (2022), 65:8208-8226.	☐
	3	ZHAO et al., Activation of the GLP-1 receptor by a non-peptidic agonist, Nature, (2020), 577:432-456.	☐
	4	FREEMAN et al., TTP273, Investigational Oral (Non Peptide) GLP 1R Agonist: Improved Glycemic Control without Nausea and Vomiting in Phase 2, vTv Therapeutics LLC, High Point, NC, USA, pg.1.	☐
	5	GUSTAVSON et al., TTP273, an Orally-Available Glucagon-Like Peptide-1(GLP-1)Agonist, Notably Reduces Glycemia in Subjects with Type 2 Diabetes Mellitus (T2DM), TransTech Pharma, LLC., (2014), 1-16.	☐
	6	REESE David, AMG 133 PROGRAM UPDATE, AMGEN, (2022). 1-15.	☐

ALL REFERENCES CONSIDERED EXCEPT WHERE LINED THROUGH. /N.R/

PC 6.1.24

11/24/2024

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	7	SAXENA et al., Efficacy and Safety of Oral Small Molecule Glucagon-Like Peptide 1 Receptor Agonist Danuglipron for Glycemic Control Among Patients With Type 2 Diabetes A Randomized Clinical Trial, JAMA Network Open, (2023), 6:1-12, e2314493.	☐
	8	SPERRY et al., Danuglipron, Drugs of the Future, (2022), 47:407-418.	☐
	9	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1a, blinded, placebo-controlled, randomized, singleand multiple-ascending-dose study in healthy participants, Diabetes Obes Metab, (2023), 25:2634-2641.	☐
	10	ZHANG et al., Differential GLP-1R Binding and Activation by Peptide and Non-peptide Agonists, Molecular Cell, (2020), 80:485-500.	☐
	11	Eccogene Announces US INDApproval for GLP-1 Receptor AgonistECC5004, ECCOGENE, pg. 1-2.	☐
	12	FRIAS et al., Efficacy and safety of oral orforglipron in patients with type 2 diabetes: a multicentre, randomised, dose-response, phase 2 study, Lancet, (2023), 402:472-83.	☐
	13	WHARTON et al., Daily Oral GLP-1 Receptor Agonist Orforglipron for Adults with Obesity, N Engl J Med, 389:887-888.	☐
	14	MA et al., Effect of Food Consumption on the Pharmacokinetics, Safety, and Tolerability of Once-Daily Orally Administered Orforglipron (LY3502970), a Non-peptide GLP-1 Receptor Agonist, Diabetes Ther, (2024), 15:819-832.	☐
	15	STEVENS et al., GSBR-1290 Phase 1b MAD Results, STRUCTURE THERAPEUTICS, (2023), pg.1-24.	☐
	16	YABE, Daisuke, Twincretin as a potential therapeutic for the management of type 2 diabetes with obesity, J Diabetes Investig, (2019), 10: 902-905.	☐
	17	KAWAI et al., Structural basis for GLP-1 receptor activation by LY3502970, an orally active nonpeptide agonist, PNAS, (2020), 117:29959-29967.	☐

PC 6.1.24

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	18	CLEMMENSEN et al., Emerging hormonal- based combination pharmacotherapies for the treatment of metabolic diseases, Nature Reviews, Endocrinology, 15:91-104.	☐
	19	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagonlike peptide-1 receptor agonist: A Phase 1b, multicentre, blinded, placebo-controlled, randomized, multiple-ascending-dose study in people with type 2 diabetes, Diabetes Obes Metab., (2023), 25:2642-2649.	☐
	20	PRATT et al., Orforglipron (LY3502970), a novel, oral non-peptide glucagon-like peptide-1 receptor agonist: A Phase 1a, blinded, placebo-controlled, randomized, singleand multiple-ascending-dose study in healthy participants, Diabetes Obes Metab., (2023), 25:2634-2641.	☐
	21	DUTTA et al., Orforglipron, a novel non-peptide oral daily glucagon-like peptide-1 receptor agonist as an anti-obesity medicine: A systematic review and meta-analysis, Obes Sci Pract., (2024), pg. 1-9. e743.	☐
	22	WU et al., Safety, pharmacokinetics and pharmacodynamics of HRS-7535, a novel oral small molecule glucagon-like peptide-1 receptor agonist, in healthy participants: A phase 1, randomized, double-blind, placebo-controlled, single- and multiple-ascending dose, and food effect trial, Diabetes Obes Metab., (2024), 26:901-910.	☐
	23	MAO et al., Discovery of GSBR-1290, a Highly Potent, Orally Available, Novel Small Molecule GLP-1 Receptor AgonistTing, LinStructure Therapeutics Inc, South San Francisco, CA, United States, (2023), 760-p.	☐
	24	COLL et al., A First-in-human Single Ascending Dose Study of GSBR-1290, a Novel Small Molecule GLP-1 Receptor Agonist, in Healthy Volunteers, A First-in-human Single Ascending Dose Study of GSBR-1290, a Novel Small Molecule GLP-1 Receptor Agonist, in Healthy Volunteers, (2023), 754.	☐
	25	KAWAI et al., Structural basis for GLP-1 receptor activation by LY3502970, an orally active nonpeptide agonist, PNAS Latest Articles, (2020), pg.1-9.	☐
	26	ZHAO et al., Structural insights into multiplexed pharmacological actions of tirzepatide and peptide 20 at the GIP, GLP-1 or glucagon receptors, NATURE COMMUNICATIONS, (2022), 13:1057, pg. 1-16.	☐
	27	STEVENS et al., GSBR-1290 Obesity Topline Data Presentation, Structure therapeutics, (2024), 1-31.	☐
	28	BURROUGHS et al., TERN-601 Phase 1 Trial Top-Line Results, TERNS PHARMACEUTICALS, (2024), 1-29.	☐

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	29	HANSEN et al., N-terminally and C-terminally truncated forms of glucosedependent insulinotropic polypeptide are high-affinity competitive antagonists of the human GIP receptor, British Journal of Pharmacology, (2016), 173:826-838.	☐

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Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
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	3	2022078380	WO	A1	2022-04-21	GASHERBRUM BIO INC		☐

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	4	2023138684	WO	A1	2023-07-27	GASHERBRUM BIO INC		☐
	5	2023179542	WO	A1	2023-09-28	GASHERBRUM BIO INC		☐
	6	2023198140	WO	A1	2023-10-19	GASHERBRUM BIO INC		☐
	7	2024131869	WO	A1	2024-06-27	GASHERBRUM BIO INC		☐
	8	2024138048	WO	A1	2024-06-27	GASHERBRUM BIO INC		☐
	9	2021187886	WO	A1	2021-09-23	LG CHEMICAL LTD		☐
	10	115279750	CN	A	2022-11-01	LG CHEMICAL LTD		☐
	11	2022216094	WO	A1	2022-10-13	LG CHEMICAL LTD		☐
	12	117279904	CN	A	2023-12-22	LG CHEMICAL LTD		☐
	13	2021197464	WO	A1	2021-10-07	JIANGSU HENGRUI MEDICINE CO		☐
	14	113801136	CN	B	2023-04-07	Jiangsu Hengrui Medicine Co., Ltd.		☐

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	15	113816948	CN	B	2023-08-11	Jiangsu Hengrui Medicine Co., Ltd.		☐
	16	2021254470	WO	A1	2021-12-23	JIANGSU HENGRUI MEDICINE CO		☐
	17	2022007979	WO	A1	2022-01-13	JIANGSU HENGRUI MEDICINE CO		☐
	18	114805336	CN	A	2022-07-29	JIANGSU HENGRUI MEDICINE CO		☐
	19	2023116882	WO	A1	2023-06-29	JIANGSU HENGRUI PHARMACEUTICALS CO LTD		☐
	20	2023116879	WO	A1	2023-06-29	JIANGSU HENGRUI PHARMACEUTICALS CO LTD		☐
	21	2021244645	WO	A1	2021-12-09	SCIWIND BIOSCIENCES CO LTD [CN]; MEDSHINE DISCOVER		☐
	22	2023098852	WO	A1	2023-06-08	HANGZHOU SCIWIND BIOSCIENCES CO LTD		☐
	23	113773310	CN	B	2023-12-22	Chongqing Kangding Pharmaceutical Technology Co.		☐
	24	2021249492	WO	A1	2021-12-16	MEDSHINE DISCOVERY INC		☐
	25	2023025201	WO	A1	2023-03-02	MEDSHINE DISCOVERY INC		☐

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	26	113831337	CN	B	2023-03-24	Guangzhou Hengnuokang Pharmaceutical Technology Co		☐
	27	113493447	CN	B	2024-06-11	Xuanzhu (Beijing) Pharmaceutical Technology Co., L		☐
	28	2022040600	WO	A1	2022-02-24	TERNS PHARMACEUTICALS INC		☐
	29	2023049518	WO	A1	2023-03-30	TERNS PHARMACEUTICALS INC		☐
	30	2023076237	WO	A1	2023-05-04	TERNS PHARMACEUTICALS INC		☐
	31	2023164050	WO	A1	2023-08-31	TERNS PHARMACEUTICALS INC		☐
	32	2022068772	WO	A1	2022-04-07	SHENZHEN SALUBRIS PHARM CO LTD		☐
	33	2022111624	WO	A1	2022-06-02	SHENZHEN SALUBRIS PHARM CO LTD		☐
	34	115594669	CN	A	2023-01-13	SHENZHEN SALUBRIS PHARM CO LTD		☐
	35	2023011395	WO	A	2023-01-13	SHENZHEN SALUBRIS PHARM CO LTD		☐
	36	115703792	CN	A	2023-02-17	SHENZHEN SALUBRIS PHARM CO LTD		☐

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/NILOOFAR RAHMANI/ 01/10/2025

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	37	116217558	CN	A	2023-06-06	SHENZHEN SALUBRIS PHARM CO LTD		☐
	38	117003749	CN	A	2023-11-07	SHENZHEN SALUBRIS PHARM CO LTD		☐
	39	117003748	CN	A	2023-11-07	SHENZHEN SALUBRIS PHARM CO LTD		☐
	40	117105932	CN	A	2023-11-24	SHENZHEN SALUBRIS PHARM CO LTD		☐
	41	117100752	CN	A	2023-11-24	SHENZHEN SALUBRIS PHARM CO LTD		☐
	42	2022078152	WO	A1	2022-04-21	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐
	43	114478497	CN	B	2022-05-13	HANGZHOU ZHONGMEI EAST CHINA PHARMACY LTD COMPAN		☐
	44	114634510	CN	A	2022-06-17	HANGZHOU ZHONGMEI EAST CHINA PHARMACY LTD COMPAN		☐
	45	114907351	CN	A	2022-08-16	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	46	2022199458	WO	A1	2022-09-29	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐
	47	2022268152	WO	A1	2022-12-29	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐

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	48	114478497	CN	A	2022-05-13	HANGZHOU ZHONGMEI EAST CHINA PHARMACY LTD COMPANY		☐
	49	117586240	CN	A	2024-02-23	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	50	2024125446	WO	A1	2024-06-20	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐

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Examiner Signature	/NILOOFAR RAHMANI/	Date Considered	01/10/2025

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[1] See Kind Codes of USPTO Patent Documents at www.USPTO.GOV or MPEP 901.04. [2] Enter office that issued the document, by the two-letter code (WIPO Standard ST.3). [3] For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. [4] Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. [5] Applicant is to place a check mark here if English language translation is attached.

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☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

OR

☐ That no item of information contained in the information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application, and, to the knowledge of the person signing the certification after making reasonable inquiry, no item of information contained in the information disclosure statement was known to any individual designated in 37 CFR 1.56(c) more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(2).

☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

☐ The fee set forth in 37 CFR 1.17 (p) has been submitted herewith.

COPIES

☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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/NILOOFAR RAHMANI/

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The information provided by you in this form will be subject to the following routine uses:

1. The information on this form will be treated confidentially to the extent allowed under the Freedom of Information Act (5 U.S.C. 552) and the Privacy Act (5 U.S.C. 552a). Records from this system of records may be disclosed to the Department of Justice to determine whether the Freedom of Information Act requires disclosure of these record s.

2. A record from this system of records may be disclosed, as a routine use, in the course of presenting evidence to a court, magistrate, or administrative tribunal, including disclosures to opposing counsel in the course of settlement negotiations.

3. A record in this system of records may be disclosed, as a routine use, to a Member of Congress submitting a request involving an individual, to whom the record pertains, when the individual has requested assistance from the Member with respect to the subject matter of the record.

4. A record in this system of records may be disclosed, as a routine use, to a contractor of the Agency having need for the information in order to perform a contract. Recipients of information shall be required to comply with the requirements of the Privacy Act of 1974, as amended, pursuant to 5 U.S.C. 552a(m).

5. A record related to an International Application filed under the Patent Cooperation Treaty in this system of records may be disclosed, as a routine use, to the International Bureau of the World Intellectual Property Organization, pursuant to the Patent Cooperation Treaty.

6. A record in this system of records may be disclosed, as a routine use, to another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c)).

7. A record from this system of records may be disclosed, as a routine use, to the Administrator, General Services, or his/her designee, during an inspection of records conducted by GSA as part of that agency's responsibility to recommend improvements in records management practices and programs, under authority of 44 U.S.C. 2904 and 2906. Such disclosure shall be made in accordance with the GSA regulations governing inspection of records for this purpose, and any other relevant (i.e., GSA or Commerce) directive. Such disclosure shall not be used to make determinations about individuals.

8. A record from this system of records may be disclosed, as a routine use, to the public after either publication of the application pursuant to 35 U.S.C. 122(b) or issuance of a patent pursuant to 35 U.S.C. 151. Further, a record may be disclosed, subject to the limitations of 37 CFR 1.14, as a routine use, to the public if the record was filed in an application which became abandoned or in which the proceedings were terminated and which application is referenced by either a published application, an application open to public inspections or an issued patent.

9. A record from this system of records may be disclosed, as a routine use, to a Federal, State, or local law enforcement agency, if the USPTO becomes aware of a violation or potential violation of law or regulation.

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	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

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Examiner Initial*	Cite No	Patent Number	Kind Code[1]	Issue Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
	1	9150482	B2	2015-10-06	WU REY-YUH	
	2	10392357	B2	2019-08-27	ACADEMIA SINICA	

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Examiner Initial*	Cite No	Foreign Document Number[3]	Country Code[2] i	Kind Code[4]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear	T[5]
	1	I495464	TW	B	2015-08-11	UNIV NAT TAIWAN		☐
	2	103694195	CN	B	2016-04-06	UNIV EAST CHINA NORMAL		☐

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	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	3	201613883	TW	A	2016-04-16	NAT RES INST OF CHINESE MEDICINE MINISTRY OF HEALT		☐
	4	105622687	CN	B	2018-03-23	China Medical Research Institute		☐
	5	2017117556	WO	A1	2017-07-06	UNIV VANDERBILT		☐
	6	2017170826	WO	A1	2017-10-05	AJINOMOTO KK		☐
	7	2022241287	WO	A3	2022-12-29	CARMOT THERAPEUTICS INC		☐
	8	2020110152	WO	A1	2020-06-04	GIRDHAR KHYATI		☐
	9	2020210582	WO	A1	2020-10-15	UNIV OF THE SCIENCES		☐
	10	2021044401	WO	A3	2021-04-22	UNITED ARAB EMIRATES UNIVERSITY		☐
	11	111548311	CN	B	2021-04-23	Shanxi Medical University		☐
	12	116323599	CN	A	2023-06-23	GASHUBLOOM BIOLOGICS INC		☐
	13	2023151575	WO	A1	2023-08-17	GASHERBRUM BIO INC		☐

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	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	14	2023151574	WO	A1	2023-08-17	GASHERBRUM BIO INC		☐
	15	2022076503	WO	A1	2022-04-14	LILLY CO ELI		☐
	16	2022127868	WO	A1	2022-06-23	UNIV HONG KONG CHINESE		☐
	17	114790160	CN	A	2022-07-26	UNIV SHANXI MEDICAL		☐
	18	115286550	CN	A	2022-11-04	UNIV SHANXI MEDICAL		☐
	19	2022246230	WO	A1	2022-11-24	ENERGESIS PHARMACEUTICALS INC		☐
	20	115536638	CN	A	2022-12-30	UNIV SHANGHAI JIAOTONG		☐
	21	2023106310	WO	A1	2023-06-15	SHIONOGI & CO		☐
	22	2023191408	WO	A1	2023-10-05	LG CHEMICAL LTD		☐
	23	117534668	CN	A	2024-02-09	BEIJING FUYUAN PHARMACEUTICAL CO LTD		☐
	24	116217522	CN	A	2023-06-06	GUANGDONG RAFFLES PHARMATECH CO LTD		☐

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	25	116804013	CN	A	2023-09-26	WUHAN AIMO JIAHUA BIOTECHNOLOGY CO LTD		☐
	26	2022269439	WO	A1	2022-12-29	ILDONG PHARMACEUTICAL CO LTD		☐
	27	112451515	CN	A	2021-03-09	GUANGZHOU INST BIOMED & HEALTH		☐
	28	2024148104	WO	A1	2024-07-11	BIOAGE LABS INC		☐

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	1		☐

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	Attorney Docket Number	HRT-029US1

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Examiner Initial*	Cite No	Foreign Document Number[3]	Country Code[2] i	Kind Code[4]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear	T[5]
	1	118184638	CN	A	2024-06-14	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	2	118184637	CN	A	2024-06-14	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	3	2022116693	WO	A1	2022-06-09	SUZHOU VINCENTAGE PHARMA CO LTD		☐

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	Attorney Docket Number	HRT-029US1

	4	114591296	CN	A	2022-06-07	HEIXIDAE MEDICINE GROUP STOCK LTD COMPANY		☐
	5	114763352	CN	A	2022-07-19	HAISCO PHARMACEUTICAL GROUP INC		☐
	6	2023124824	WO	A1	2023-07-06	HAISCO PHARMACEUTICAL GROUP CO LTD		☐
	7	2023125896	WO	A1	2023-07-06	HAISCO PHARMACEUTICAL GROUP CO LTD		☐
	8	2023130878	WO	A1	2023-07-13	HAISCO PHARMACEUTICAL GROUP CO LTD		☐
	9	2022135572	WO	A1	2022-06-30	SICHUAN HAISCO PHARMACEUTICAL CO LTD		☐
	10	2022165076	WO	A1	2022-08-04	CARMOT THERAPEUTICS INC		☐
	11	2022235717	WO	A1	2022-11-10	CARMOT THERAPEUTICS INC		☐
	12	2024026338	WO	A1	2024-02-01	CARMOT THERAPEUTICS INC		☐
	13	2022184849	WO	A1	2022-09-09	SERVIER LAB		☐
	14	2022202864	WO	A1	2022-09-29	SHIONOGI & CO		☐

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/NILOOFAR RAHMANI/ 01/10/2025

INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Not for submission under 37 CFR 1.99)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	15	117377666	CN	A	2024-01-09	SHIONOGI & CO		☐
	16	2024063140	WO	A1	2024-03-28	SHIONOGI & CO		☐
	17	2024063143	WO	A1	2024-03-28	SHIONOGI & CO		☐
	18	2022199661	WO	A1	2022-09-29	ECCOGENE SHANGHAI CO LTD		☐
	19	2022219495	WO	A1	2022-10-20	NOVARTIS AG		☐
	20	2023152698	WO	A1	2023-08-17	NOVARTIS AG		☐
	21	2022228490	WO	A1	2022-11-03	SHANGHAI HANSOH BIOMEDICAL CO LTD		☐
	22	2023011539	WO	A1	2023-02-09	SHANGHAI HANSOH BIOMEDICAL CO LTD		☐
	23	117986264	CN	A	2024-05-07	JIANGSU HANSOH PHARMACEUTICAL GROUP CO LTD		☐
	24	2023001237	WO	A1	2023-01-26	HEPAGENE THERAPEUTICS HK LTD		☐
	25	113480534	CN	B	2022-05-13	Guangzhou Bibet Pharmaceutical Co., Ltd.		☐

ALL REFERENCES CONSIDERED EXCEPT WHERE LINED THROUGH. /N.R/

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/NILOOFAR RAHMANI/ 01/10/2025

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	Art Unit	1621
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	Attorney Docket Number	HRT-029US1

	26	115703766	CN	A	2023-02-17	TIANDI HENGYI PHARMACEUTICAL CO LTD		☐
	27	2023029380	WO	A1	2023-03-09	MINDRANK AI LTD		☐
	28	117362282	CN	A	2024-01-09	HANGZHOU DERUI PHARMACEUTICAL TECH CO LTD		☐
	29	117417330	CN	A	2024-01-19	DERUI PHARMACEUTICAL SUZHOU NEW DRUG RES AND DEV		☐
	30	117417329	CN	A	2024-01-19	DERUI PHARMACEUTICAL SUZHOU NEW DRUG RES AND DEV		☐
	31	2024051700	WO	A1	2024-03-14	MINDRANK THERAPEUTICS SUZHOU NEW DRUG RES AND DE		☐
	32	2024051749	WO	A1	2024-03-14	MINDRANK THERAPEUTICS SUZHOU NEW DRUG RES AND DEVE		☐
	33	2024153041	WO	A1	2024-07-25	MINDRANK AI LTD		☐
	34	115872982	CN	A	2023-03-31	SICHUAN KELUN BOTAI BIOMEDICAL CO LTD		☐
	35	116120296	CN	A	2023-05-16	SICHUAN KELUN BIOTECH BIOPHARMACEUTICAL CO LTD		☐
	36	2023057414	WO	A1	2023-04-13	ASTRAZENECA AB		☐

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/NILOOFAR RAHMANI/
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	37	2023057429	WO	A1	2023-04-13	ASTRAZENECA AB		☐
	38	2023057427	WO	A1	2023-04-13	ASTRAZENECA AB		☐
	39	2023111144	WO	A1	2023-06-22	ASTRAZENECA AB		☐
	40	2023111145	WO	A1	2023-06-22	ASTRAZENECA AB		☐
	41	116003393	CN	A	2023-04-25	CGENE TECH SUZHOU CHINA CO LTD		☐
	42	116354945	CN	A	2023-06-30	CHANGCHUN GENESCIENCE PHARMACEUTICALS CO LTD		☐
	43	2023164358	WO	A1	2023-08-31	ASCLETIS BIOSCIENCE CO LTD		☐
	44	2023169436	WO	A1	2023-09-14	GUANGZHOU UNIRISE PHARMACEUTICAL CO LTD		☐
	45	2024046342	WO	A1	2024-03-07	GUANGZHOU UNIRISE PHARMACEUTICAL CO LTD		☐
	46	2024041609	WO	A1	2024-02-29	GUANGZHOU UNIRISE PHARMACEUTICAL CO LTD		☐
	47	118271299	CN	A	2024-07-02	GUANGZHOU LIANRUI PHARMACEUTICAL CO LTD		☐

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	Attorney Docket Number	HRT-029US1

	48	118271300	CN	A	2024-07-02	GUANGZHOU LIANRUI PHARMACEUTICAL CO LTD		☐
	49	114716423	CN	A	2022-07-08	SHANGHAI INST MATERIA MEDICA CAS		☐
	50	116574092	CN	A	2023-08-11	CHENGDU DI AO JIUHONG PHARMACEUTICAL FACTORY		☐

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	1		☐

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Examiner Signature	/NILOOFAR RAHMANI/	Date Considered	01/10/2025

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[1] See Kind Codes of USPTO Patent Documents at www.USPTO.GOV or MPEP 901.04. [2] Enter office that issued the document, by the two-letter code (WIPO Standard ST.3). [3] For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. [4] Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. [5] Applicant is to place a check mark here if English language translation is attached.

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	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

CERTIFICATION STATEMENT

Please see 37 CFR 1.97(e) to make the appropriate selection(s):

☐ That each item of information contained in the information disclosure statement was first cited in any communication from a foreign patent office in a counterpart foreign application not more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(1).

OR

☐ That no item of information contained in the information disclosure statement was cited in a communication from a foreign patent office in a counterpart foreign application, and, to the knowledge of the person signing the certification after making reasonable inquiry, no item of information contained in the information disclosure statement was known to any individual designated in 37 CFR 1.56(c) more than three months prior to the filing of the information disclosure statement. See 37 CFR 1.97(e)(2).

☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

☐ The fee set forth in 37 CFR 1.17 (p) has been submitted herewith.

COPIES

☐ An identification of an earlier application pursuant to 37 CFR 1.98 (d) (1) is attached.

SIGNATURE

A signature of the applicant or representative is required in accordance with CFR 1.33, 10.18. Please see CFR 1.4(d) for the form of the signature.

Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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7. A record from this system of records may be disclosed, as a routine use, to the Administrator, General Services, or his/her designee, during an inspection of records conducted by GSA as part of that agency's responsibility to recommend improvements in records management practices and programs, under authority of 44 U.S.C. 2904 and 2906. Such disclosure shall be made in accordance with the GSA regulations governing inspection of records for this purpose, and any other relevant (i.e., GSA or Commerce) directive. Such disclosure shall not be used to make determinations about individuals.

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(Not for submission under 37 CFR 1.99)

Application Number	18884965
Filing Date	2024-09-13
First Named Inventor	Bin Liang
Art Unit	1621
Examiner Name	NILOOFAR RAHMANI
Attorney Docket Number	HRT-029US1

U.S.PATENTS Remove

Examiner Initial*	Cite No	Patent Number	Kind Code[1]	Issue Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
	1	9120813	B2	2015-09-01	TRANSTECH PHARMA LLC [US]; VTV THERAPEUTICS LLC	
	2	9198901	B2	2015-12-01	TRANSTECH PHARMA LLC	
	3	6482844	B1	2002-11-19	NEUROGEN CORP	

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	1	20110064806	A1	2011-03-17	TRANSTECH PHARMA INC	

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Examiner Initial*	Cite No	Foreign Document Number[3]	Country Code[2]	Kind Code[4]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear	T[5]
	1	116903588	CN	A	2023-10-20	XIAMEN BORUILAI MEDICINE TECH CO LTD		☐

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/NILOOFAR RAHMANI/ 01/10/2025

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	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

	2	2024028795	WO	A1	2024-02-08	ZYDUS LIFESCIENCES LTD		☐
	3	116102543	CN	A	2023-05-12	TANG CHUNLEI; FAN WEIZHENG		☐
	4	118084936	CN	A	2024-05-28	CSPC ZHONGQI PHARMACEUTICAL TECH SHIJIAZHUANG CO L		☐
	5	116102555	CN	A	2023-05-12	HUAZHONG PHARMACEUTICAL CO LTD		☐
	6	116675680	CN	B	2023-10-20	Yaokang Zhongtuo (Beijing) Pharmaceutical Technolo		☐
	7	117624145	CN	A	2024-03-01	UNIV CHINA PHARMA		☐
	8	118344349	CN	A	2024-07-16	Shanghai Institute of Materia Medica, Chinese Acad		☐
	9	2024149080	WO	A1	2024-07-18	SHANGHAI INST MATERIA MEDICA CAS		☐
	10	2024160271	WO	A1	2024-08-08	JIANGSU HANSOH PHARMACEUTICAL GROUP CO LTD		☐
	11	118420603	CN	A	2024-08-02	Jiangsu Hansoh Pharmaceutical Group Co., Ltd.		☐
	12	118496200	CN	A	2024-08-16	Chengdu Kanghong Pharmaceutical Group Co., Ltd.		☐

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	Attorney Docket Number	HRT-029US1

	13	2024169773	CN	A	2024-08-22	CHENGDU KANGHONG PHARMACEUTICAL CO LTD		☐
	14	102378574	CN	B	2013-11-20	TRANSTECH PHARMA INC		☐
	15	2021242806	WO	A1	2021-12-02	VTV THERAPEUTICS LLC		☐
	16	2022061091	WO	A1	2022-03-24	VTV THERAPEUTICS LLC		☐
	17	2019103060	WO	A1	2019-05-31	DAIICHI SANKYO CO LTD		☐
	18	2021196949	WO	A1	2021-10-07	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD		☐
	19	115315426	CN	A	2022-11-08	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	20	115697968	CN	B	2022-11-08	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	21	115667219	CN	A	2023-01-31	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	22	115667222	CN	B	2023-09-29	Hangzhou Zhongmei Huadong Pharmaceutical Co., Ltd.		☐
	23	115667268	CN	B	2023-01-31	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐

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	24	117500789	CN	A	2024-02-02	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	25	117500808	CN	A	2024-02-02	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	26	117897387	CN	A	2024-04-16	HANGZHOU ZHONGMEI HUADONG PHARMACEUTICAL CO LTD		☐
	27	2023051490	WO	A1	2023-04-06	HANGZHOU ZHONGMEIHUADONG PHARMACEUTICAL CO LTD [CN		☐
	28	2022165076	WO	A1	2022-08-04	CARMOT THERAPEUTICS INC		☐
	29	2022235717	WO	A1	2022-11-10	CARMOT THERAPEUTICS INC [US]; GARAI SUMANTA		☐
	30	2024026338	WO	A1	2024-02-01	CARMOT THERAPEUTICS INC		☐
	31	2019183577	WO	A1	2019-09-26	CARMOT THERAPEUTICS INC		☐
	32	2022241287	WO	A2	2022-11-17	CARMOT THERAPEUTICS INC		☐
	33	2006003096	WO	A1	2006-01-12	NOVO NORDISK AS		☐
	34	0059887	WO	A1	2000-10-12	NEUROGEN CORP		☐

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	35	2005056537	WO	A1	2005-06-23	SHANGHAI INST MATERIA MEDICA		☐
	36	101195612	CN	B	2012-08-08	CHINESE ACADEMY OF SCIENCES		☐
	37	113929698	CN	B	2023-08-04	Kunming Institute of Botany, Chinese Academy of Sc		☐
	38	2009129696	WO	A1	2009-10-29	NAT CT FOR DRUG SCREENING		☐
	39	112812077	CN	B	2023-08-22	Shanghai Institute of Materia Medica, Chinese Acad		☐
	40	116444355	CN	A	2023-07-18	KUNMING INST BOTANY CAS		☐
	41	2011094890	WO	A1	2011-08-11	ARGUSINA INC		☐
	42	102946882	CN	B	2016-05-18	ARGUSINA INC		☐
	43	2012076966	WO	A1	2012-06-14	LUPIN LTD		☐
	44	2011122815	WO	A2	2011-10-06	DONG A PHARM CO LTD		☐
	45	104080767	CN	A	2014-10-01	RECEPTOS INC		☐

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	46	109867630	CN	A	2019-06-11	CELGENE INT II SARL		☐
	47	107074820	CN	B	2021-05-18	Celgene Second International Co., Ltd.		☐
	48	2016094729	WO	A1	2016-06-16	CELGENE INTERNAT II SARL		☐
	49	2018064476	WO	A1	2018-04-05	CELGENE INT II SARL		☐
	50	2018200833	WO	A1	2018-11-01	CELGENE INT II SARL		☐

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Examiner Signature	/NILOOFAR RAHMANI/	Date Considered	01/10/2025

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☐ See attached certification statement.

☒ A certification statement is not submitted herewith.

FEE

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Signature	/Daniel J. Nevrivy/	Date (YYYY-MM-DD)	2024-11-01
Name/Print	Daniel J. Nevrivy	Registration Number	59,118

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 1 hour to complete, including gathering, preparing and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

/NILOOFAR RAHMANI/ 01/10/2025

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PC 6.1.24

Privacy Act Statement

The Privacy Act of 1974 (P.L. 93-579) requires that you be given certain information in connection with your submission of the attached form related to a patent application or patent. Accordingly, pursuant to the requirements of the Act, please be advised that: (1) the general authority for the collection of this information is 35 U.S.C. 2(b)(2); (2) furnishing of the information solicited is voluntary; and (3) the principal purpose for which the information is used by the U.S. Patent and Trademark Office is to process and/or examine your submission related to a patent application or patent. If you do not furnish the requested information, the U.S. Patent and Trademark Office may not be able to process and/or examine your submission, which may result in termination of proceedings or abandonment of the application or expiration of the patent.

The information provided by you in this form will be subject to the following routine uses:

1. The information on this form will be treated confidentially to the extent allowed under the Freedom of Information Act (5 U.S.C. 552) and the Privacy Act (5 U.S.C. 552a). Records from this system of records may be disclosed to the Department of Justice to determine whether the Freedom of Information Act requires disclosure of these record s.

2. A record from this system of records may be disclosed, as a routine use, in the course of presenting evidence to a court, magistrate, or administrative tribunal, including disclosures to opposing counsel in the course of settlement negotiations.

3. A record in this system of records may be disclosed, as a routine use, to a Member of Congress submitting a request involving an individual, to whom the record pertains, when the individual has requested assistance from the Member with respect to the subject matter of the record.

4. A record in this system of records may be disclosed, as a routine use, to a contractor of the Agency having need for the information in order to perform a contract. Recipients of information shall be required to comply with the requirements of the Privacy Act of 1974, as amended, pursuant to 5 U.S.C. 552a(m).

5. A record related to an International Application filed under the Patent Cooperation Treaty in this system of records may be disclosed, as a routine use, to the International Bureau of the World Intellectual Property Organization, pursuant to the Patent Cooperation Treaty.

6. A record in this system of records may be disclosed, as a routine use, to another federal agency for purposes of National Security review (35 U.S.C. 181) and for review pursuant to the Atomic Energy Act (42 U.S.C. 218(c)).

7. A record from this system of records may be disclosed, as a routine use, to the Administrator, General Services, or his/her designee, during an inspection of records conducted by GSA as part of that agency's responsibility to recommend improvements in records management practices and programs, under authority of 44 U.S.C. 2904 and 2906. Such disclosure shall be made in accordance with the GSA regulations governing inspection of records for this purpose, and any other relevant (i.e., GSA or Commerce) directive. Such disclosure shall not be used to make determinations about individuals.

8. A record from this system of records may be disclosed, as a routine use, to the public after either publication of the application pursuant to 35 U.S.C. 122(b) or issuance of a patent pursuant to 35 U.S.C. 151. Further, a record may be disclosed, subject to the limitations of 37 CFR 1.14, as a routine use, to the public if the record was filed in an application which became abandoned or in which the proceedings were terminated and which application is referenced by either a published application, an application open to public inspections or an issued patent.

9. A record from this system of records may be disclosed, as a routine use, to a Federal, State, or local law enforcement agency, if the USPTO becomes aware of a violation or potential violation of law or regulation.

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PC 6.1.24 /NILOOFAR RAHMANI/

01/10/2025

UNITED STATES
PATENT AND TRADEMARK OFFICE

P.O. Box 1450
Alexandria, VA 22313 - 1450
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ELECTRONIC PAYMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**01/27/2025 06:20:01 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	68936746	AUTHORIZED BY	-
CUSTOMER #	83682	FILING DATE	09/13/2024
CORRESPONDENCE ADDRESS	-	FIRST NAMED INVENTOR	Bin Liang

Payment Information

PAYMENT METHOD	PAYMENT TRANSACTION ID	PAYMENT AUTHORIZED BY
CARD / 7254	**E20251QI20207289**	**Daniel Nevrivy**

FEE CODE	DESCRIPTION	ITEM PRICE($)	QUANTITY	ITEM TOTAL($)
2501	UTILITY ISSUE FEE	480.00	1	480.00
			TOTAL AMOUNT:	**$480.00**

This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.

New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.

PART B - FEE(S) TRANSMITTAL

Complete and send this form, together with applicable fee(s), by mail or fax, or via the USPTO patent electronic filing system.

By mail, send to: Mail Stop ISSUE FEE
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

By fax, send to: (571)-273-2885

INSTRUCTIONS: This form should be used for transmitting the ISSUE FEE and PUBLICATION FEE (if required). Blocks 1 through 5 should be completed where appropriate. All further correspondence will be mailed to the current correspondence address as indicated unless corrected below or directed otherwise in Block 1, by (a) specifying a new correspondence address; and/or (b) indicating a separate "FEE ADDRESS" for maintenance fee notifications. **Because electronic patent issuance may occur shortly after issue fee payment, any desired continuing application should preferably be filed prior to payment of this issue fee in order not to jeopardize copendency.**

CURRENT CORRESPONDENCE ADDRESS (Note: Use Block 1 for any change of address)

83682 7590 11/06/2024
Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

Note: A certificate of mailing can only be used for domestic mailings of the Fee(s) Transmittal. This certificate cannot be used for any other accompanying papers. Each additional paper, such as an assignment or formal drawing, must have its own certificate of mailing or transmission.

Certificate of Mailing or Transmission

I hereby certify that this Fee(s) Transmittal is being deposited with the United States Postal Service with sufficient postage for first class mail in an envelope addressed to the Mail Stop ISSUE FEE address above, or being transmitted to the USPTO via the USPTO patent electronic filing system or by facsimile to (571) 273-2885, on the date below.

(Typed or printed name)
(Signature)
(Date)

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	09/13/2024	Bin Liang	HRT-029US1	9471

TITLE OF INVENTION: GLP-1R Agonist and Therapeutic Method Thereof

APPLN. TYPE	ENTITY STATUS	ISSUE FEE DUE	PUBLICATION FEE DUE	PREV. PAID ISSUE FEE	TOTAL FEE(S) DUE	DATE DUE
nonprovisional	SMALL	$480	$0.00	$0.00	$480	02/06/2025

EXAMINER	ART UNIT	CLASS-SUBCLASS
RAHMANI, NILOOFAR	1621	514-300000

1. Change of correspondence address or indication of "Fee Address" (37 CFR 1.363).

☐ Change of correspondence address (or Change of Correspondence Address form PTO/AIA/122 or PTO/SB/122) attached.

☐ "Fee Address" indication (or "Fee Address" Indication form PTO/AIA/47 or PTO/SB/47; Rev 03-02 or more recent) attached. **Use of a Customer Number is required.**

2. For printing on the patent front page, list
(1) The names of up to 3 registered patent attorneys or agents OR, alternatively,
(2) The name of a single firm (having as a member a registered attorney or agent) and the names of up to 2 registered patent attorneys or agents. If no name is listed, no name will be printed.

1 Nevrivy Patent Law Group P.L.L.C.
2
3

3. ASSIGNEE NAME AND RESIDENCE DATA TO BE PRINTED ON THE PATENT (print or type)

PLEASE NOTE: Unless an assignee is identified below, no assignee data will appear on the patent. If an assignee is identified below, the document must have been previously recorded, or filed for recordation, as set forth in 37 CFR 3.11 and 37 CFR 3.81(a). Completion of this form is NOT a substitute for filing an assignment.

(A) NAME OF ASSIGNEE: Ascletis Pharma (China) Co., Limited

(B) RESIDENCE: (CITY and STATE OR COUNTRY): HONG KONG, CHINA

Please check the appropriate assignee category or categories (will not be printed on the patent) : ☐ Individual ☒ Corporation or other private group entity ☐ Government

4a. Fees submitted: ☒ Issue Fee ☒ Publication Fee (if required)

4b. Method of Payment: *(Please first reapply any previously paid fee shown above)*

☒ Electronic Payment via the USPTO patent electronic filing system ☐ Enclosed check ☐ Non-electronic payment by credit card (Attach form PTO-2038)

☒ The Director is hereby authorized to charge the required fee(s), any deficiency, or credit any overpayment to Deposit Account No. 60-2104

5. **Change in Entity Status** (from status indicated above)

☐ Applicant certifying micro entity status. See 37 CFR 1.29
NOTE: Absent a valid certification of Micro Entity Status (see forms PTO/SB/15A and 15B), issue fee payment in the micro entity amount will not be accepted at the risk of application abandonment.

☐ Applicant asserting small entity status. See 37 CFR 1.27
NOTE: If the application was previously under micro entity status, checking this box will be taken to be a notification of loss of entitlement to micro entity status.

☐ Applicant changing to regular undiscounted fee status.
NOTE: Checking this box will be taken to be a notification of loss of entitlement to small or micro entity status, as applicable.

NOTE: This form must be signed in accordance with 37 CFR 1.31 and 1.33. See 37 CFR 1.4 for signature requirements and certifications.

Authorized Signature /Daniel J. Nevrivy/ Date January 27, 2025

Typed or printed name Daniel J. Nevrivy Registration No. 59,118

PTOL-85 Part B (11/23) Approved for use through 03/31/2026 OMB 0651-0033 U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE

UNITED STATES
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Alexandria, VA 22313 - 1450
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ELECTRONIC ACKNOWLEDGEMENT RECEIPT

APPLICATION #	RECEIPT DATE / TIME	ATTORNEY DOCKET #
18/884,965	**01/27/2025 06:20:01 PM Z ET**	**HRT-029US1**

Title of Invention

GLP-1R Agonist and Therapeutic Method Thereof

Application Information

APPLICATION TYPE	Utility - Nonprovisional Application under 35 USC 111(a)	PATENT #	-
CONFIRMATION #	9471	FILED BY	Daniel Nevrivy
PATENT CENTER #	68936746	FILING DATE	09/13/2024
CUSTOMER #	83682	FIRST NAMED INVENTOR	Bin Liang
CORRESPONDENCE ADDRESS	-	AUTHORIZED BY	-

Documents

TOTAL DOCUMENTS: 1

DOCUMENT	PAGES	DESCRIPTION	SIZE (KB)
Issue_fee_pmt.pdf	1	Issue Fee Payment (PTO-85B)	269 KB

Digest

DOCUMENT	MESSAGE DIGEST(SHA-512)
Issue_fee_pmt.pdf	93FE964AE7620BF701E6CACA818531C30399D7A22F8CE236A 436F7D368C487EFACB4BE280B199AF082CD4BF20593C13375 53CF0A000C01C19B831516C43130E2

This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.

New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

New International Application Filed with the USPTO as a Receiving Office

If a new international application is being filed and the international application includes the necessary components for an international filing date (see PCT Article 11 and MPEP 1810), a Notification of the International Application Number and of the International Filing Date (Form PCT/RO/105) will be issued in due course, subject to prescriptions concerning national security, and the date shown on this Acknowledgement Receipt will establish the international filing date of the application.

PTO/SB/08a (01-22)
Approved for use through 05/31/2024. OMB 0651-0031
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE

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INFORMATION DISCLOSURE STATEMENT BY APPLICANT
(Not for submission under 37 CFR 1.99)

Application Number	18884965
Filing Date	2024-09-13
First Named Inventor	Bin Liang
Art Unit	1621
Examiner Name	NILOOFAR RAHMANI
Attorney Docket Number	HRT-029US1

U.S.PATENTS Remove

Examiner Initial*	Cite No	Patent Number	Kind Code[1]	Issue Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
Change(s) applied to document, /J.E/ 1/15/2025	1	9120813	B2	2015-09-01	~~TRANSTECH PHARMA LLC [US]; VTV THERAPEUTICS LLC~~	Mjalli et al.
	2	9198901	B2	2015-12-01	~~TRANSTECH PHARMA LLC~~	Almariego et al.
	3	6482844	B1	2002-11-19	~~NEUROGEN CORP~~	He et al.

If you wish to add additional U.S. Patent citation information please click the Add button. Add

U.S.PATENT APPLICATION PUBLICATIONS Remove

Examiner Initial*	Cite No	Publication Number	Kind Code[1]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
	1	20110064806	A1	2011-03-17	~~TRANSTECH PHARMA INC~~	Polisetti et al.

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Examiner Initial*	Cite No	Foreign Document Number[3]	Country Code[2] i	Kind Code[4]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear	T[5]
	1	116903588	CN	A	2023-10-20	XIAMEN BORUILAI MEDICINE TECH CO LTD		☐

ALL REFERENCES CONSIDERED EXCEPT WHERE LINED THROUGH. /N.R/

PC 6.1.24
/NILOOFAR RAHMANI/ 01/10/2025

Doc code: IDS
Doc description: Information Disclosure Statement (IDS) Filed

PTO/SB/08a (01-22)
Approved for use through 05/31/2024. OMB 0651-0031
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
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INFORMATION DISCLOSURE STATEMENT BY APPLICANT (**Not for submission under 37 CFR 1.99**)		
	Application Number	18884965
	Filing Date	2024-09-13
	First Named Inventor	Bin Liang
	Art Unit	1621
	Examiner Name	NILOOFAR RAHMANI
	Attorney Docket Number	HRT-029US1

U.S.PATENTS Remove

Examiner Initial*	Cite No	Patent Number	Kind Code[1]	Issue Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
	1	9150482	B2	2015-10-06	WU REY-YUH	
	2	10392357	B2	2019-08-27	~~ACADEMIA SINICA~~	Chein et al.

Change(s) applied to document, /J.E./ 1/15/2025

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Examiner Initial*	Cite No	Publication Number	Kind Code[1]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear
	1					

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Examiner Initial*	Cite No	Foreign Document Number[3]	Country Code[2] i	Kind Code[4]	Publication Date	Name of Patentee or Applicant of cited Document	Pages,Columns,Lines where Relevant Passages or Relevant Figures Appear	T[5]
	1	I495464	TW	B	2015-08-11	UNIV NAT TAIWAN		☐
	2	103694195	CN	B	2016-04-06	UNIV EAST CHINA NORMAL		☐

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PC 6.1.24

/NILOOFAR RAHMANI/ 01/10/2025

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APPLICATION NO.	ISSUE DATE	PATENT NO.	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	02/25/2025	12234236	HRT-029US1	9471

83682 7590 02/05/2025

Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Suite 500
Washington, DC 20007

ISSUE NOTIFICATION

The projected patent number and issue date are specified above. The patent will issue electronically. The electronically issued patent is the official patent grant pursuant to 35 U.S.C. § 153. The patent may be accessed on or after the issue date through Patent Center at https://patentcenter.uspto.gov/. The patent will be available in both the public and the private sides of Patent Center. Further assistance in electronically accessing the patent, or about Patent Center, is available by calling the Patent Electronic Business Center at 1-888-217-9197.

The USPTO is implementing electronic patent issuance with a transition period, during which period the USPTO will mail a ceremonial paper copy of the electronic patent grant to the correspondence address of record. Additional copies of the patent (i.e., certified and presentation copies) may be ordered for a fee from the USPTO's Certified Copy Center at https://certifiedcopycenter.uspto.gov/index.html. The Certified Copy Center may be reached at (800)972-6382.

Determination of Patent Term Adjustment under 35 U.S.C. 154 (b)
(application filed on or after May 29, 2000)

The Patent Term Adjustment is 0 day(s). Any patent to issue from the above-identified application will include an indication of the adjustment on the front page.

If a Continued Prosecution Application (CPA) was filed in the above-identified application, the filing date that determines Patent Term Adjustment is the filing date of the most recent CPA.

Applicant will be able to obtain more detailed information by accessing the Patent Center (https://patentcenter.uspto.gov).

Any questions regarding the Patent Term Extension or Adjustment determination should be directed to the Office of Patent Legal Administration at (571)-272-7702. Questions relating to issue and publication fee payments should be directed to the Application Assistance Unit (AAU) of the Office of Patents Stakeholder Experience (OPSE), Stakeholder Support Division (SSD) at (571)-272-4200.

INVENTOR(s) (Please see PATENT CENTER site https://patentcenter.uspto.gov for additional inventors):

Bin Liang, Hong Kong, CHINA;
Jinzi Jason Wu, Hong Kong, CHINA;
Bailing Yang, Hong Kong, CHINA;

APPLICANT(s) (Please see PATENT CENTER site https://patentcenter.uspto.gov for additional applicants):

Ascletis Pharma (China) Co., Limited, Hong Kong, CHINA;

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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
18/884,965	09/13/2024	Bin Liang	HRT-029US1	9471

83682 7590 02/25/2025

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1101 30th Street, NW
Suite 500
Washington, DC 20007

EXAMINER	
RAHMANI, NILOOFAR	

ART UNIT	PAPER NUMBER
1621	

NOTIFICATION DATE	DELIVERY MODE
02/25/2025	ELECTRONIC

Please find below and/or attached an Office communication concerning this application or proceeding.

The time period for reply, if any, is set in the attached communication.

Notice of the Office communication was sent electronically on above-indicated "Notification Date" to the following e-mail address(es):

bcastro@nevrivylaw.com
dnevrivy@nevrivylaw.com
eofficeaction@appcoll.com

APPLICATION NO.	ISSUE DATE	PATENT NO.
18/884,965	25-Feb-2025	12234236

Nevrivy Patent Law Group P.L.L.C.
1101 30th Street, NW
Washington, DC 20007

EGRANT NOTIFICATION

Your electronic patent grant (eGrant) is now available, which can be accessed via Patent Center at https://patentcenter.uspto.gov

The electronic patent grant is the official patent grant under 35 U.S.C. 153. For more information, please visit https://www.uspto.gov/electronicgrants