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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

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## 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

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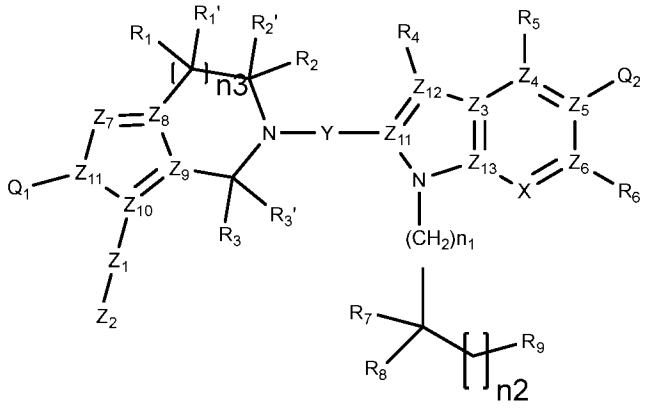
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## ABSTRACT

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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# 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

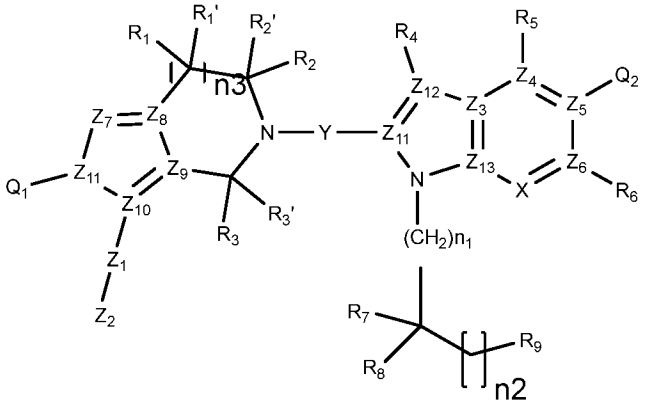
Glucagon-like peptide-1 (GLP-1) is a peptide hormone secreted from the enteroendocrine cells in the gut in response to a meal. GLP-1 is believed to play a role in regulation of post-prandial glycemia, via directly augmenting meal-induced insulin secretion from the pancreatic beta-cells, as well as in 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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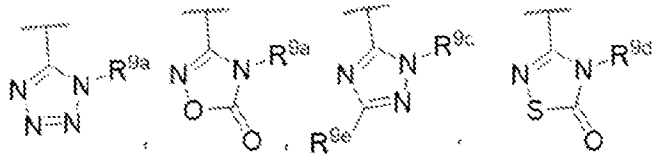
and 3- to 12-membered heterocyclic, and $R^{7a}$ and $R^{7b}$ are independently selected from the group consisting of hydrogen, $C_{1-6}$ alkyl and ($C_{1-6}$ alkyl) carbonyl; and any two $C_{1-6}$ alkyl optionally together with the carbon atom to which they are attached form $C_{3-15}$ carbocyclic ring;

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;

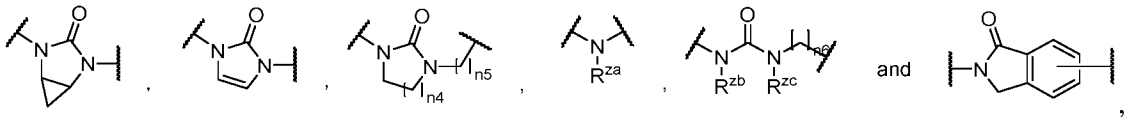
$R^{9e}$ is selected from the group consisting of hydrogen and $C_{1-6}$ alkyl optionally substituted by one or more halogen;

$R^{9f}$ 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;

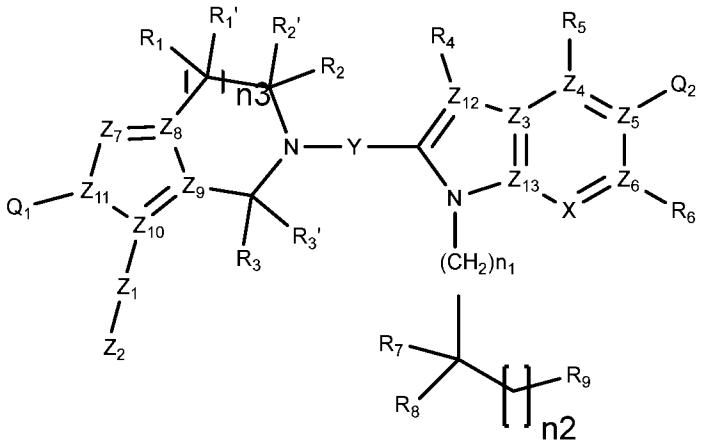
$Z_2$ is 5- to 10- membered heteroaryl, and $Z_2$ is substituted with one halogen and one of $C_3$-$C_{15}$ cycloalkyl and $C_1$-$C_6$ alkyl-$C_3$-$C_{15}$ cycloalkyl; wherein the cycloalkyl or alkyl is optionally substituted with one or more substituents independently selected from the group



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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



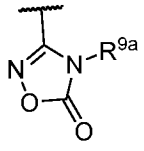
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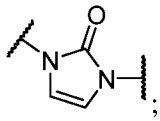
$C_{1-6}$ alkyl;

$n_1$ is 0 or 1;

n2 is 0 or 1;

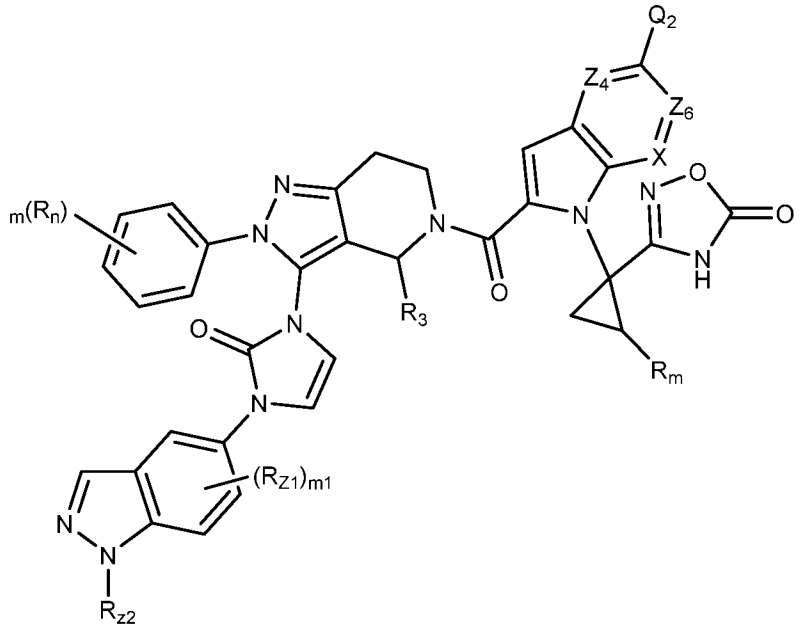
n3 is 1;

$R_9$ 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;

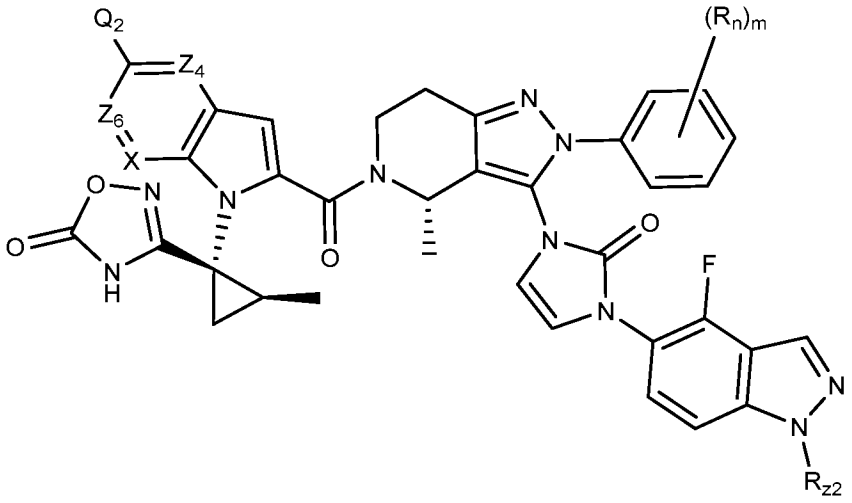


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$R_{z2}$ is selected from the group consisting of $C_{3-8}$ cycloalkyl and $C_{1-6}$ alkyl-$C_{3-8}$ cycloalkyl; and $R_{z2}$ is optionally substituted with substituents independently selected from the group consisting of halogen, -OH, $C_{1-6}$ alkyl,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

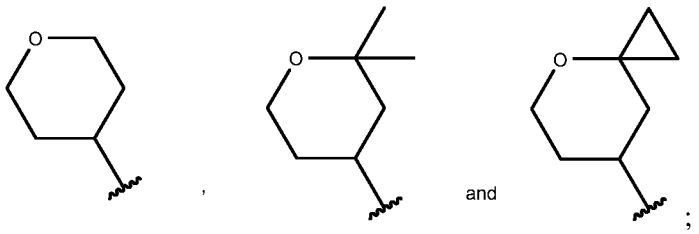


Formula (V)

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;

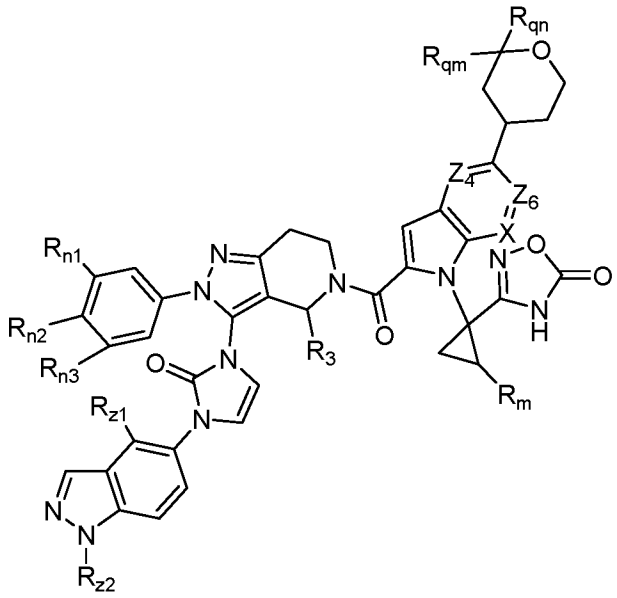
m is 0, 1, 2 or 3;

$R_{z2}$ 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



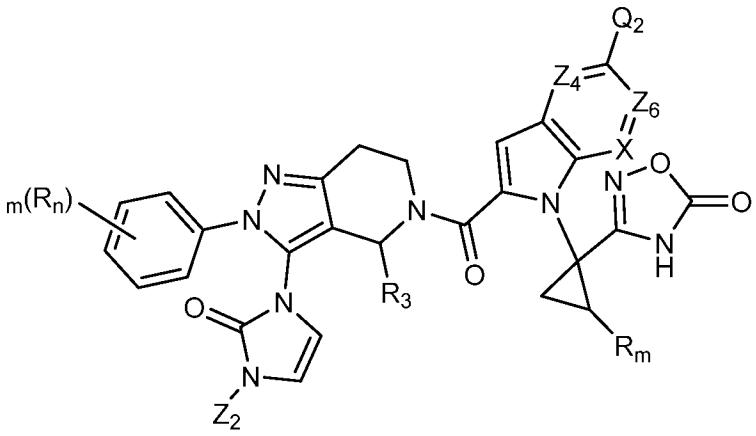

;

$R_{qm}$ 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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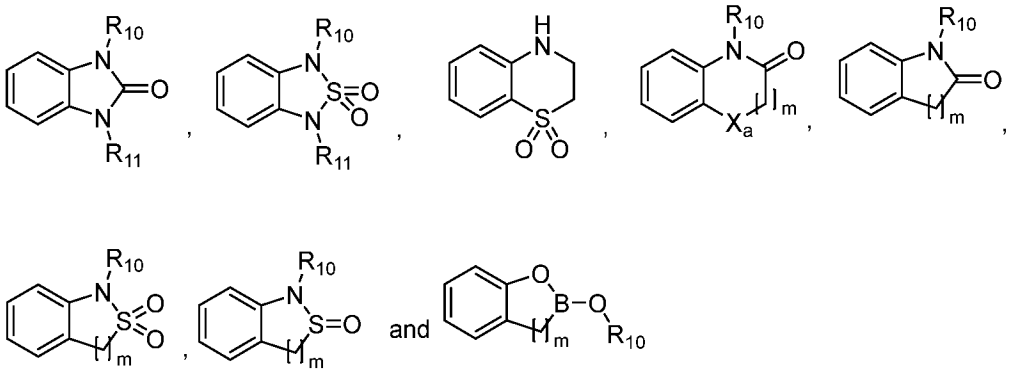


Formula (IX)

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

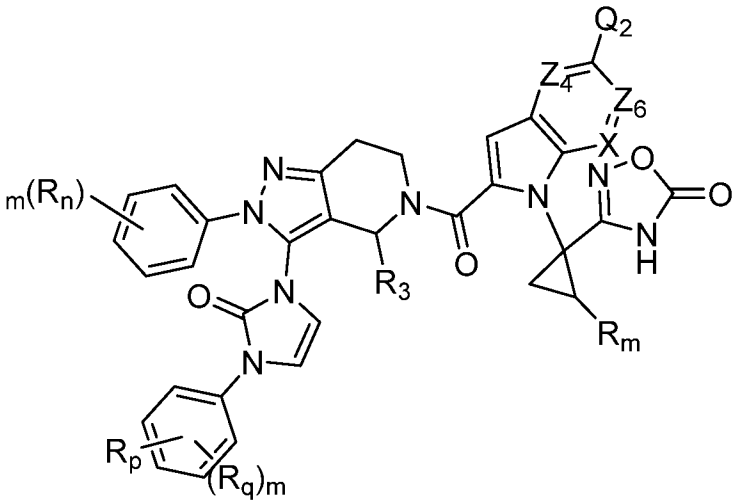


;

$R_n$ 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:



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 $-P(=O)OR^{zm}OR^{zn}$;

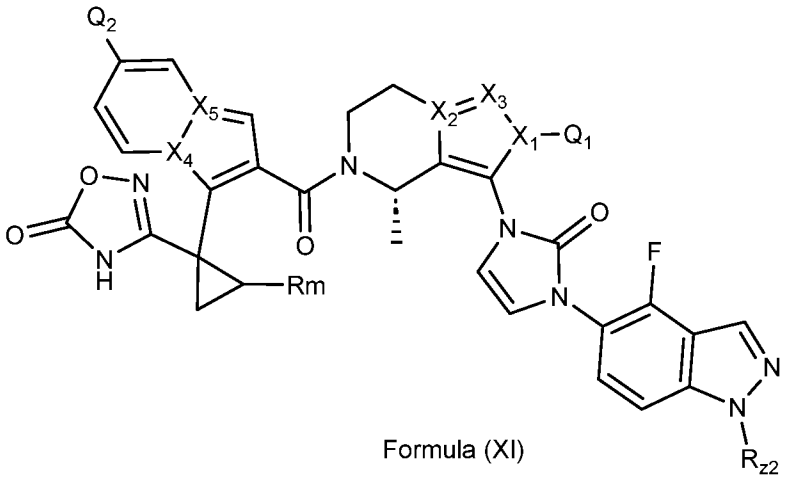
$R_q$ is selected from the group consisting of halogen, -NH-$C_{1-6}$ alkyl, -$C_{1-6}$ alkyl, -O-$C_{1-6}$ alkyl, 3- to 12-membered heterocyclic, -$C_3$-$C_{15}$ cycloalkyl and $C_1$-$C_6$ alkyl-$C_3$-$C_{15}$ cycloalkyl;

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;

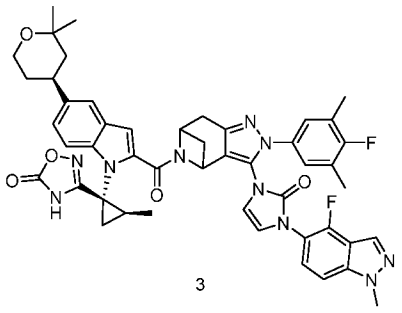
$R_m$ is selected from the group consisting of H, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy and $C_{1-6}$ haloalkoxy;

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

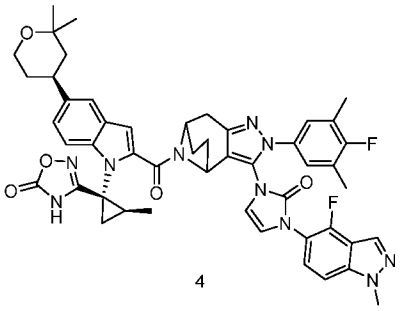
Yet still another aspect of the present disclosure provides a compound, a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof, selected from the group consisting of:



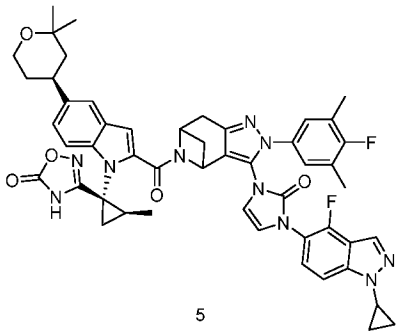
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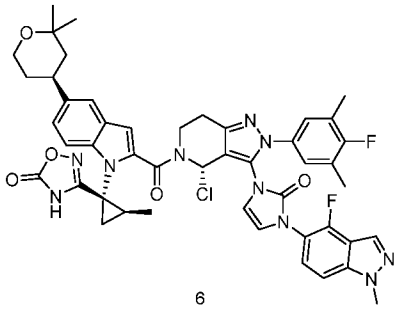
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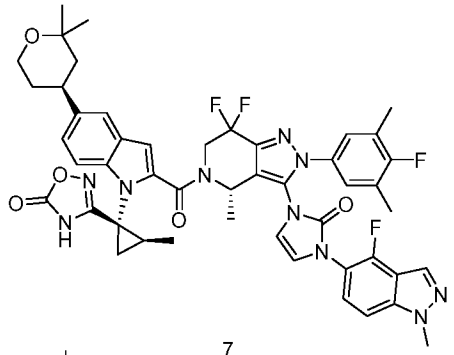
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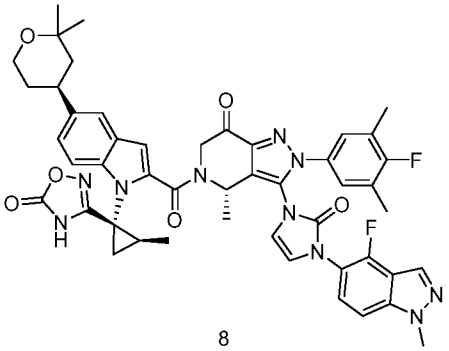
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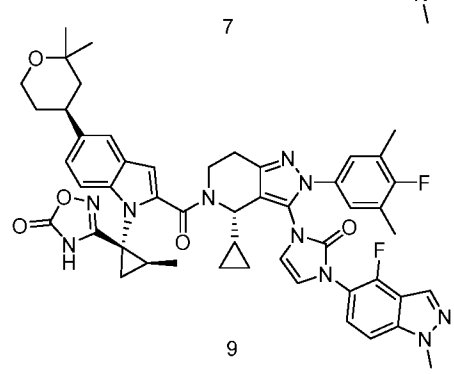
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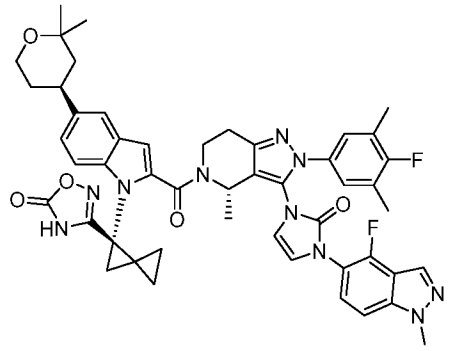
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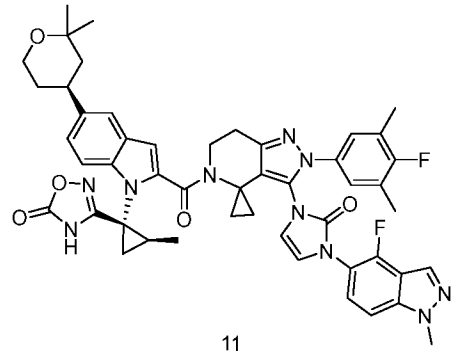
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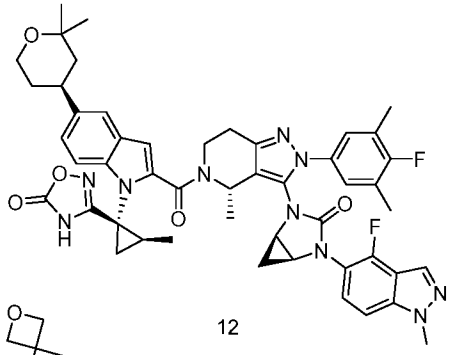
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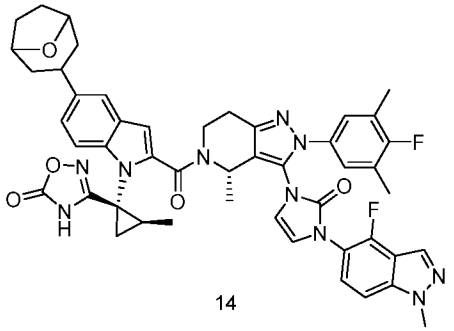
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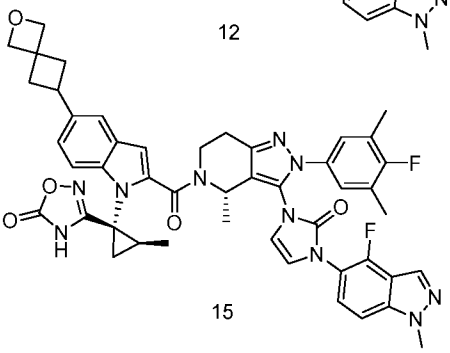
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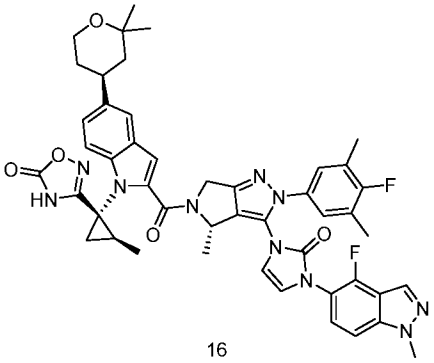
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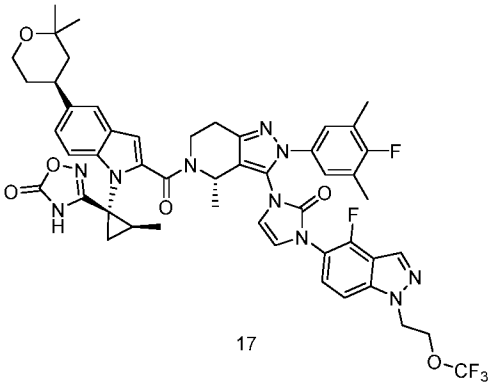
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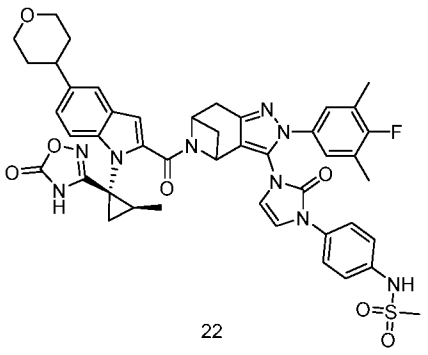
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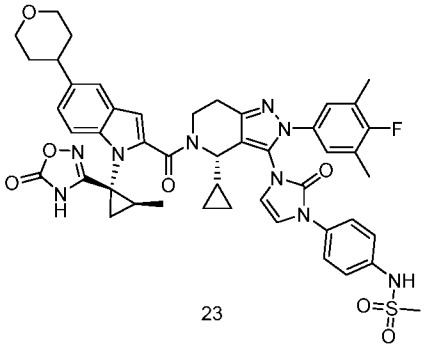
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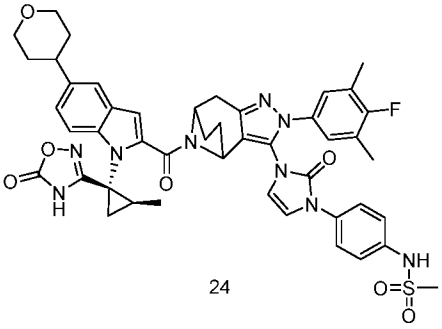
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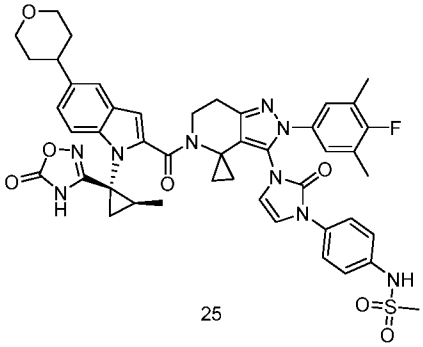
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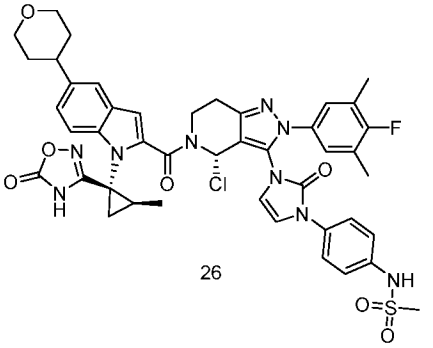
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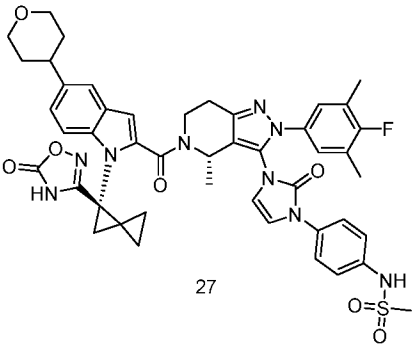
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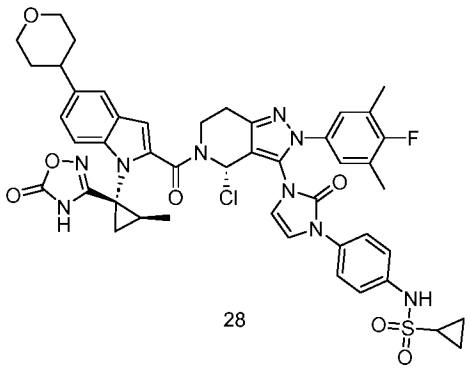
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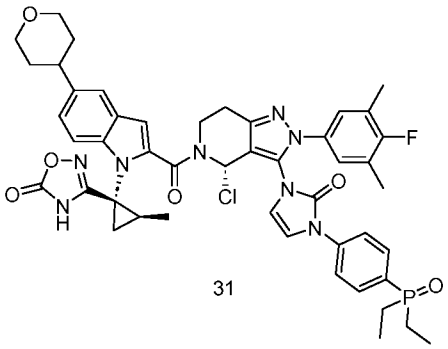
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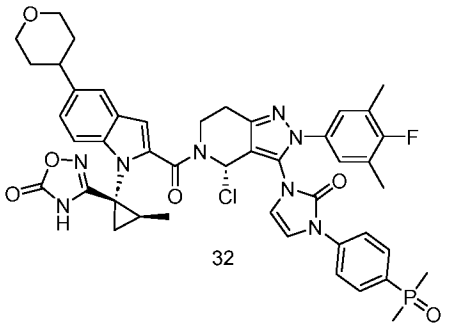
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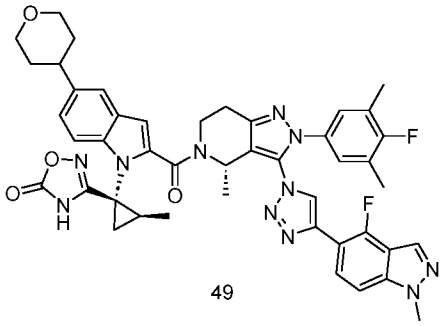
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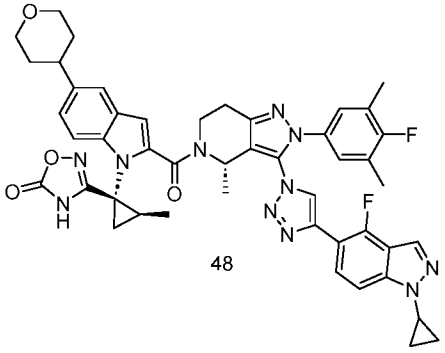


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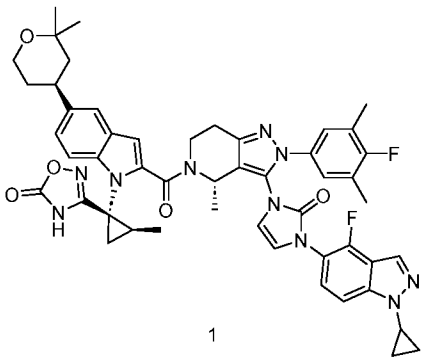
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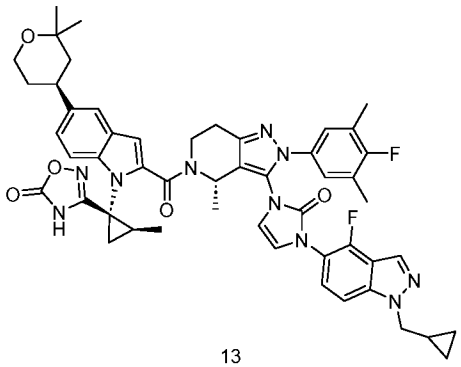
Yet still another aspect of the present disclosure provides a compound or a pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:



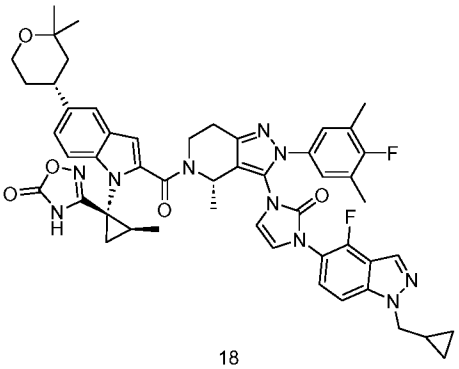
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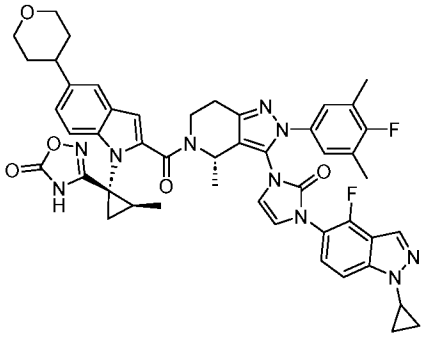
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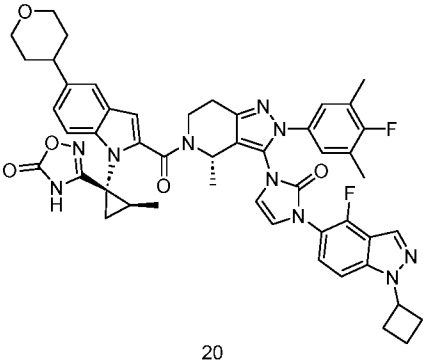
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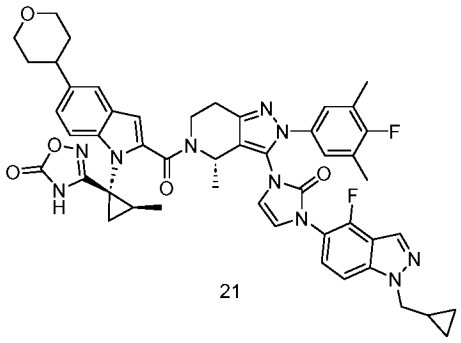
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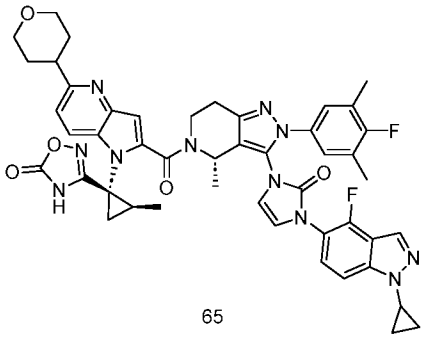


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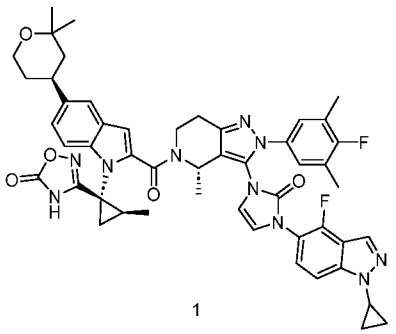


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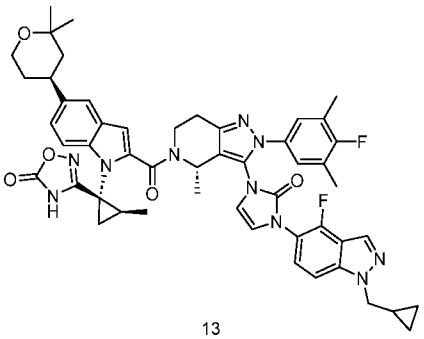
Yet still another aspect of the present disclosure provides a compound, selected from the group consisting of:



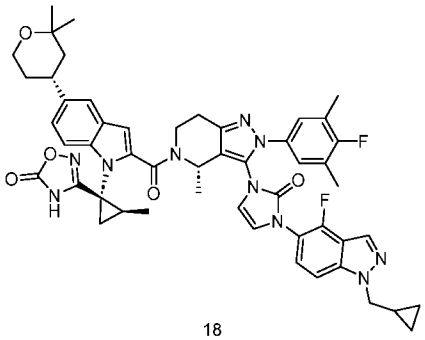
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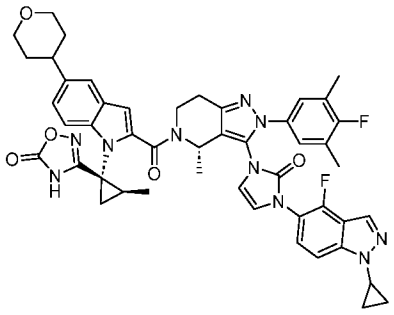
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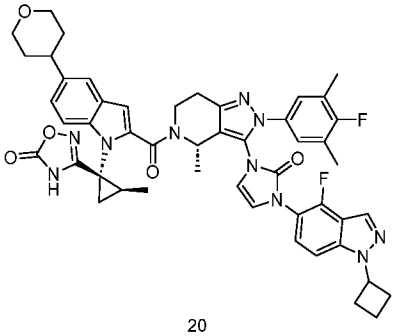
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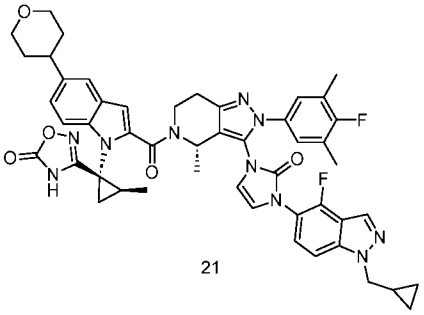
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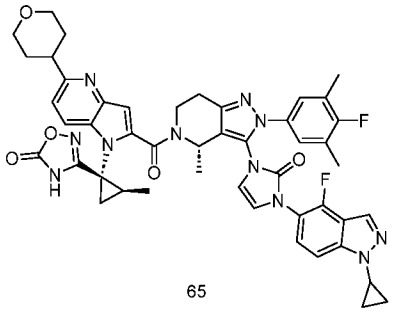


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and



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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

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 (-$CH_2$C≡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

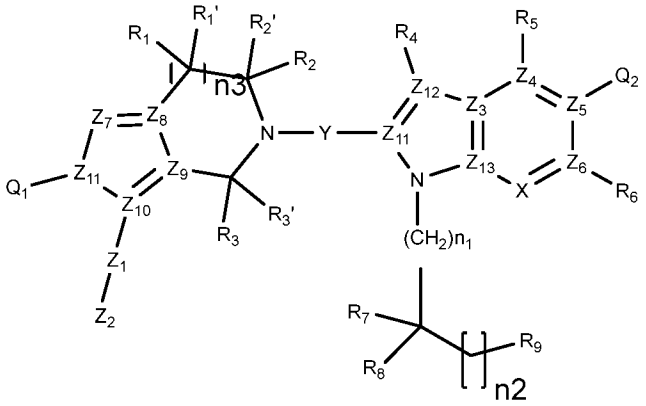


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or may not have detectable disease or condition, and may or may not have displayed detectable disease prior to the treatment of methods described herein. "At risk" denotes that an individual has one or more so-called risk factors, which are measurable 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;

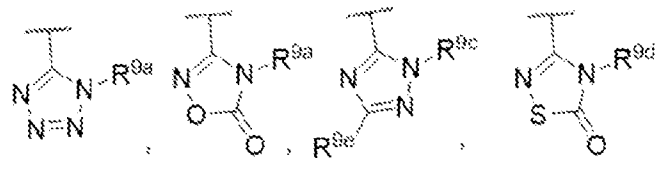
or $R_7$ and $R_8$ together with the carbon atom to which they are attached form $C_{3-15}$ carbocyclic ring, wherein the $C_{3-15}$ carbocyclic ring is optionally substituted by one to three $C_{1-6}$ alkyl, wherein $C_{1-6}$ alkyl is optionally substituted by one or more substituents independently 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;

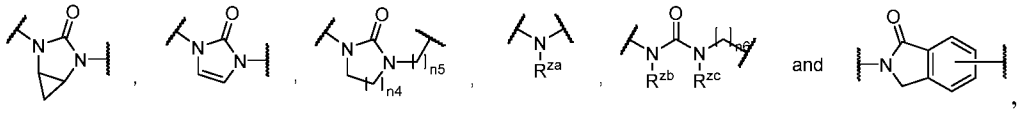
$R^{9e}$ is selected from the group consisting of hydrogen and $C_{1-6}$ alkyl optionally substituted by one or more halogen;

$R^{9f}$ 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.

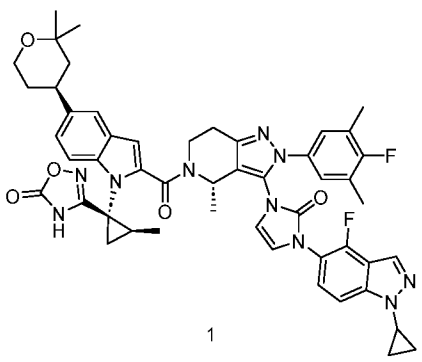
In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the indazolyl is substituted with one halogen and one of $C_3$-$C_{15}$ cycloalkyl and $C_1$-$C_6$ alkyl-$C_3$-$C_{15}$ cycloalkyl.

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.

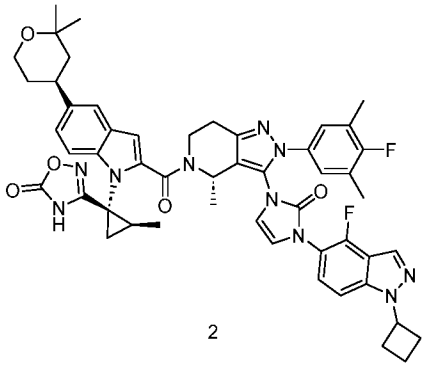
In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound is selected from the group consisting of:



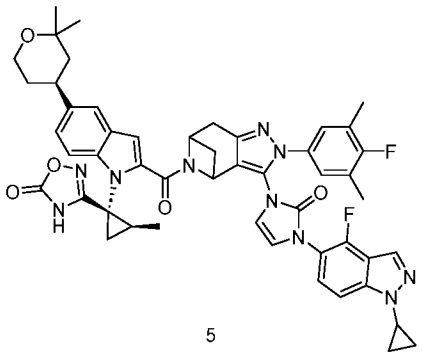
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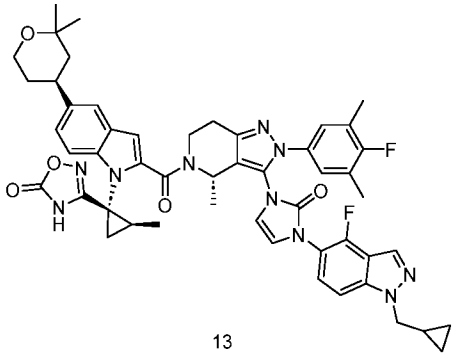
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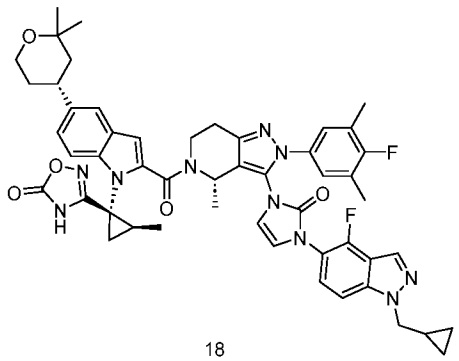


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and

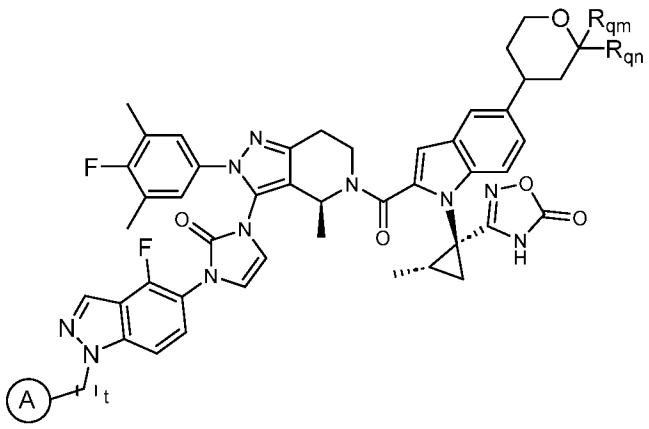


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In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound has a structure of Formula (II):



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Formula (II)

wherein:

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

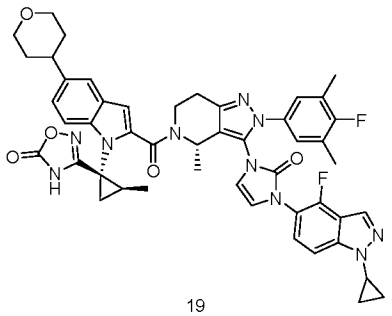
t is 0 or 1; and

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

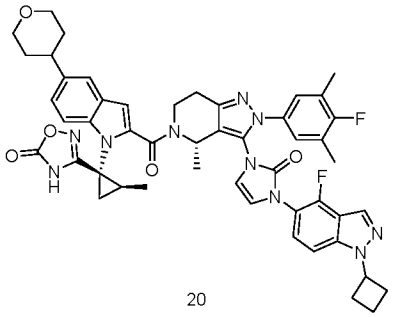
In some embodiments, in the compound of Formula (I), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound is selected from the group consisting of:



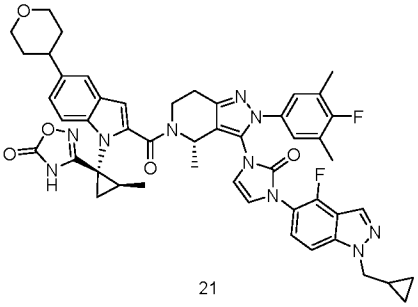
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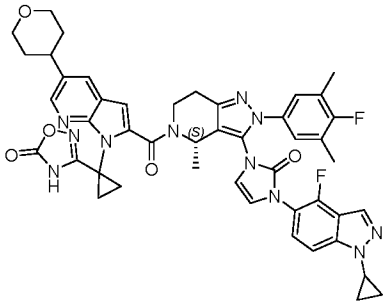
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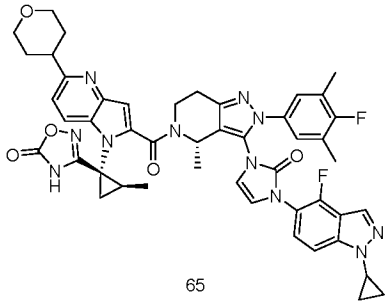
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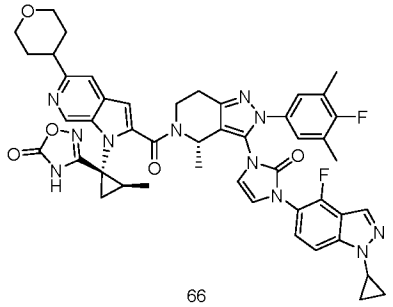
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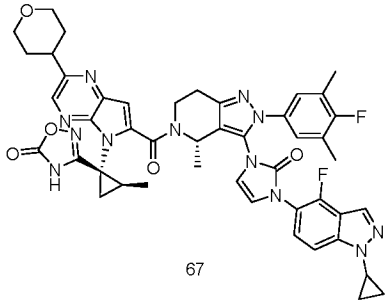
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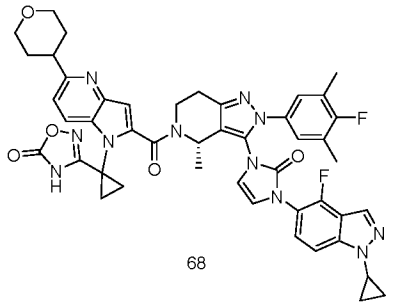
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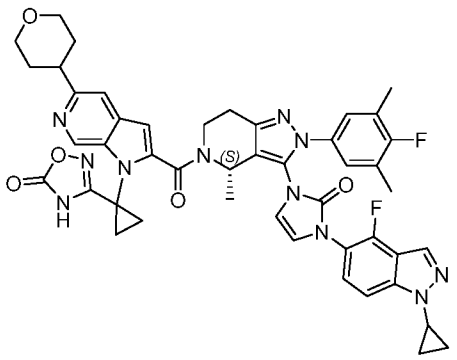
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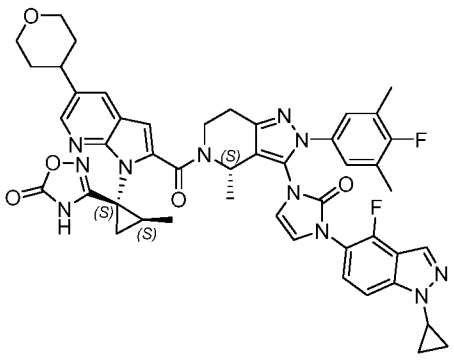
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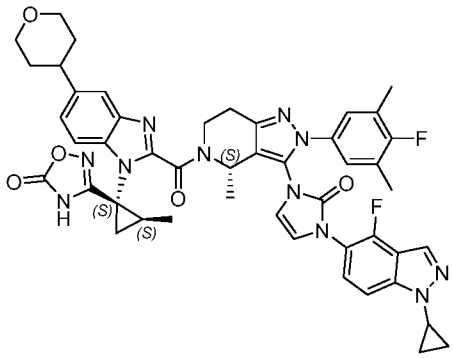
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69



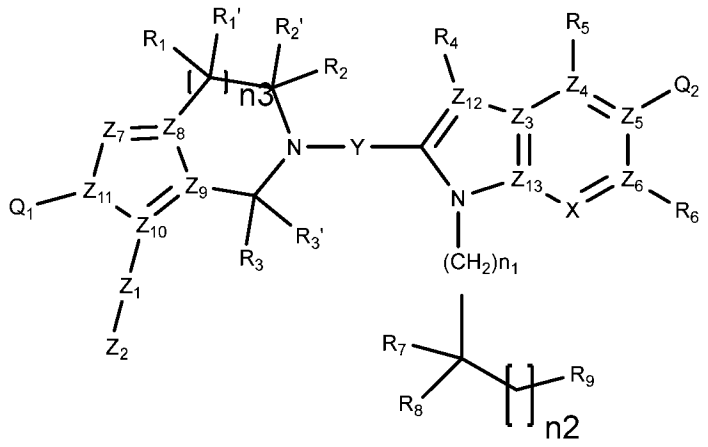
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and 74

.

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;

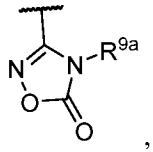
$R_4$, $R_5$ and $R_6$ are H;

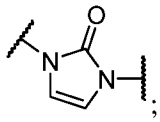
each of $R_7$ and $R_8$ is independently selected from the group consisting of hydrogen and $C_{1-6}$ alkyl; or $R_7$ and $R_8$ together with the carbon atom to which they are attached form $C_{3-15}$ carbocyclic ring, wherein the $C_{3-15}$ carbocyclic ring is optionally substituted by one to three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

$n_1$ is 0 or 1;

n2 is 0 or 1;

n3 is 1;

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

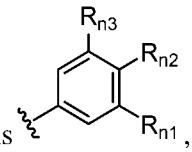
$Z_1$ is  ;

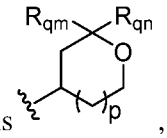
$Z_2$ is 5- to 10- membered heteroaryl, and $Z_2$ is substituted with one halogen and one of $C_3$-$C_{15}$ cycloalkyl and $C_1$-$C_6$ alkyl-$C_3$-$C_{15}$ cycloalkyl; wherein the cycloalkyl or alkyl is optionally substituted with one or more substituents independently selected from the group consisting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

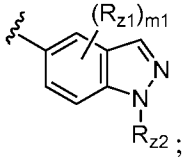
In some embodiments, in the compound of Formula (III), the stereoisomer, the



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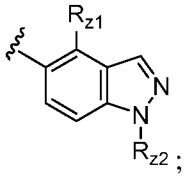
pharmaceutically acceptable salt, or the deuterated compound thereof, $Q_1$ is  , and $R_{n1}$ and $R_{n3}$ are each independently selected from the group consisting of H, methyl, and ethyl; $R_{n2}$ is halogen.

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.

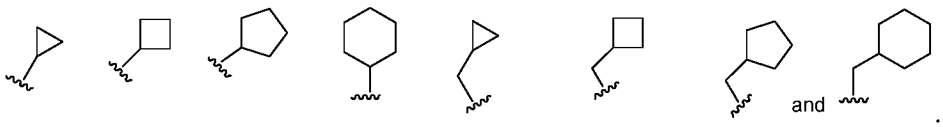
In some embodiments, in the compound of Formula (III), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, $Z_2$ is  ;

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  ;

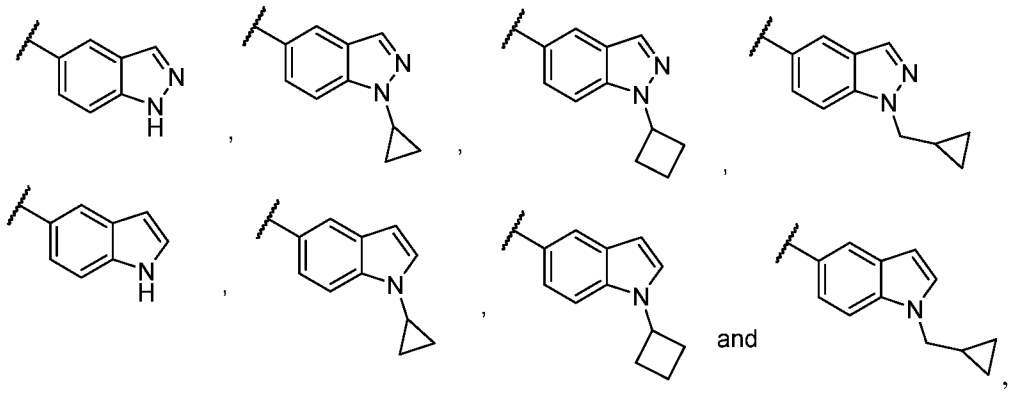
$R_{z1}$ is selected from the group consisting of F, Cl, and Br;

$R_{z2}$ 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

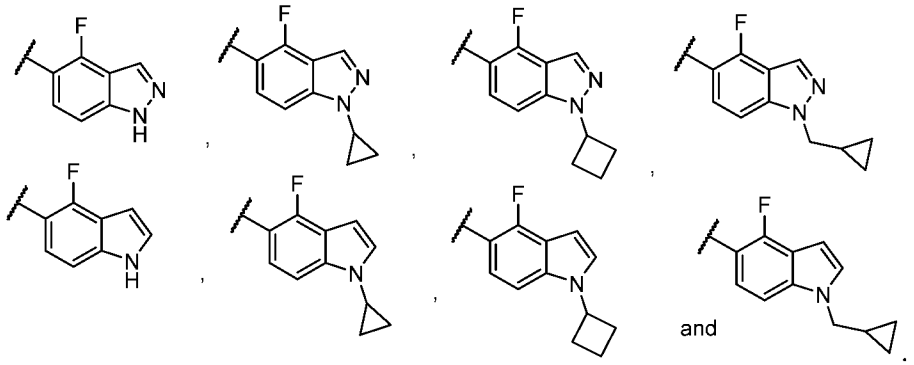


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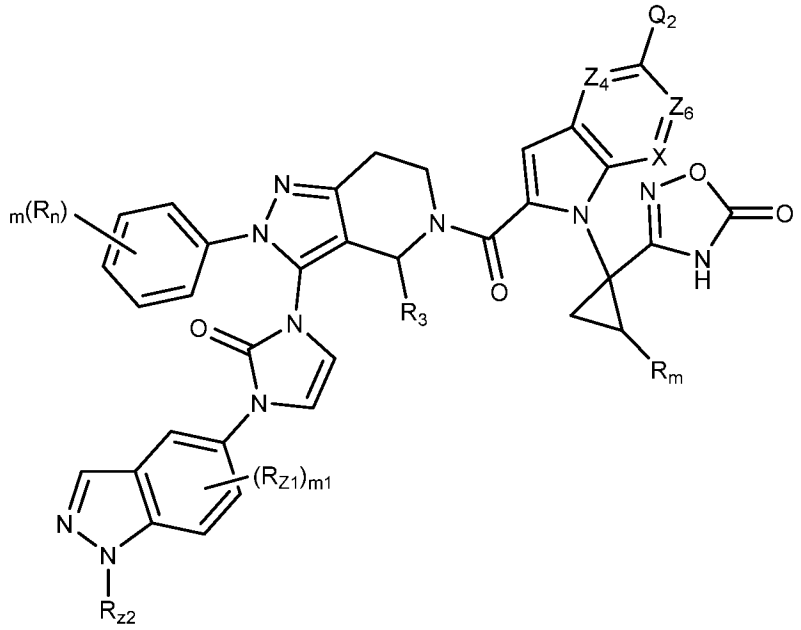



and $Z_2$ is substituted with one halogen.

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:



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;

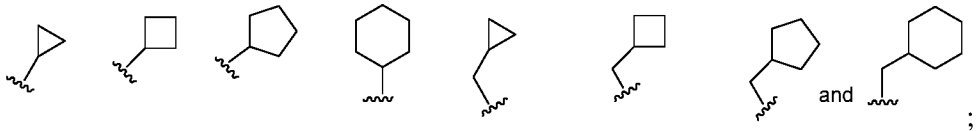
$R_3$ is selected from the group consisting of H, halogen, $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy and $C_{1-6}$ haloalkoxy.

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



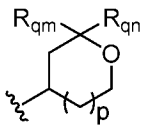
;

$R_m$ 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 

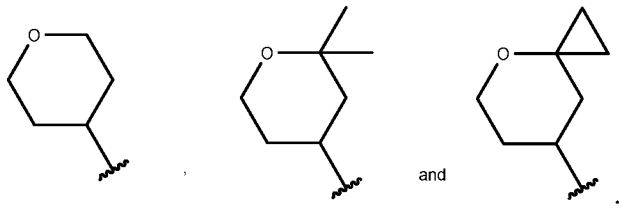
, wherein $R_{qm}$ and $R_{qn}$ are each independently selected from the group



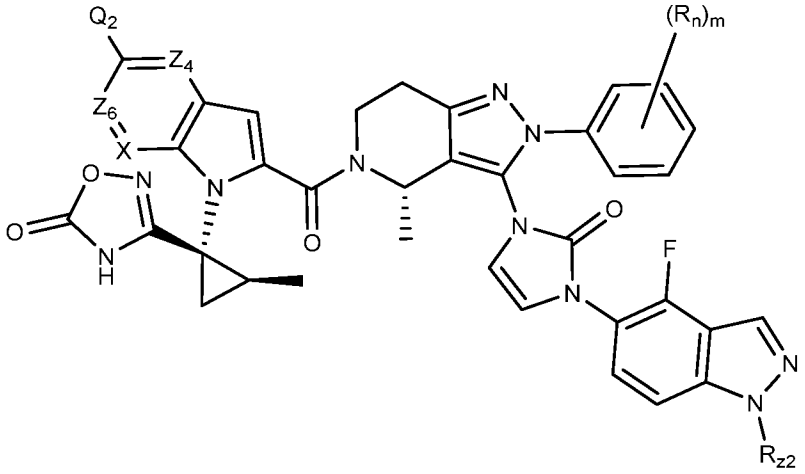
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consisting of H, methyl, and ethyl; or $R_{qm}$ and $R_{qn}$ together with the carbon atom to which they are attached form $C_{3-6}$ Cycloalkyl; p is 0, 1, or 2.

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:

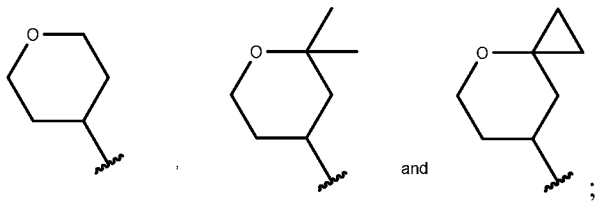



Formula (V)

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;

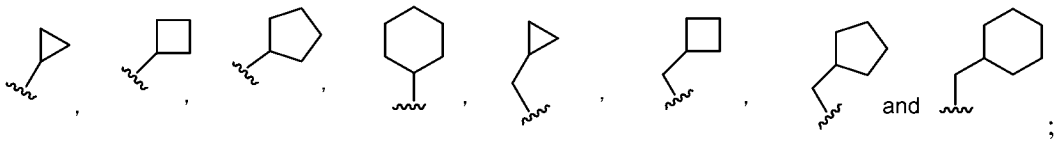
$R_{z2}$ is selected from the group consisting of $C_{3-8}$ cycloalkyl and $C_{1-6}$ alkyl-$C_{3-8}$ cycloalkyl; and $R_{z2}$ is optionally substituted with substituents independently selected from the



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

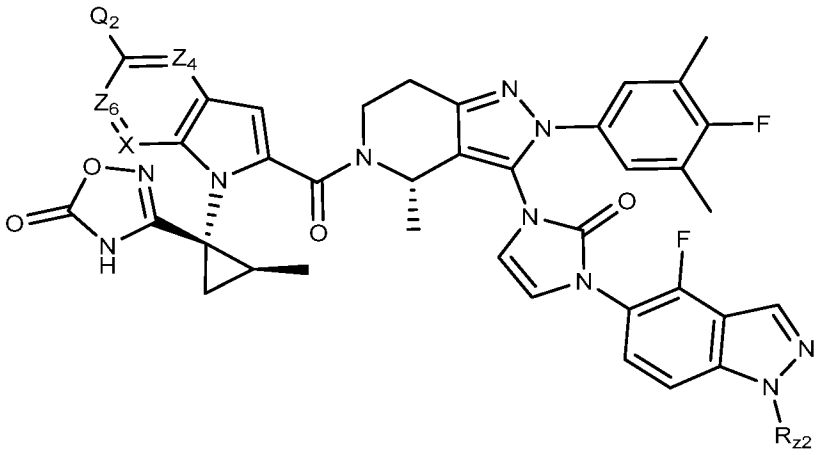
In some embodiments, in the compound of Formula (V), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, $R_{z2}$ is selected from the group consisting of




;

$R_n$ 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),



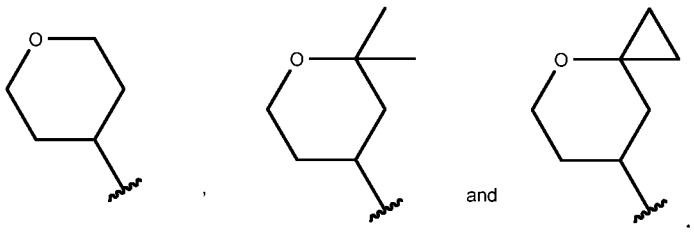

Formula (VI)

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

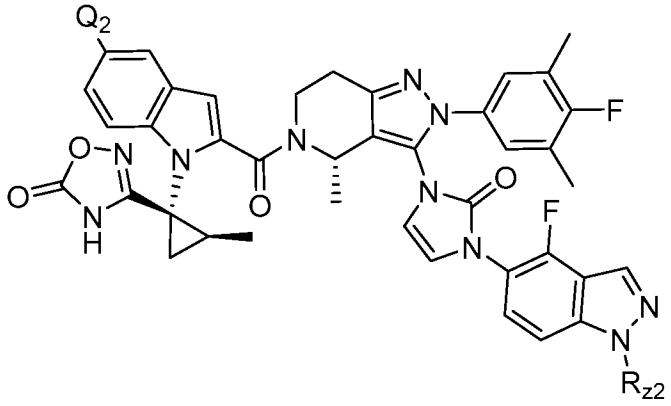


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group consisting of




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),

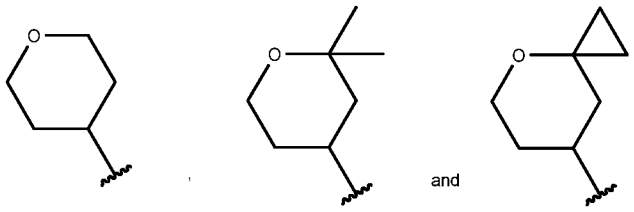



Formula (VII)

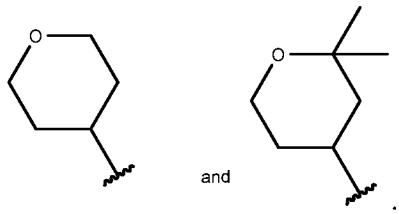
wherein:

$R_{z2}$ 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

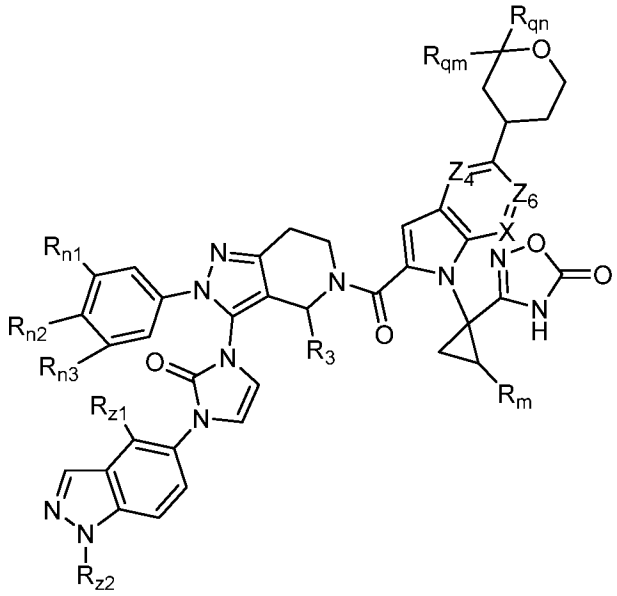


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pharmaceutically acceptable salt, or the deuterated compound thereof, $R_{z2}$ is selected from the group consisting of




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




;

$R_{qm}$ 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 $-OCH_3$;

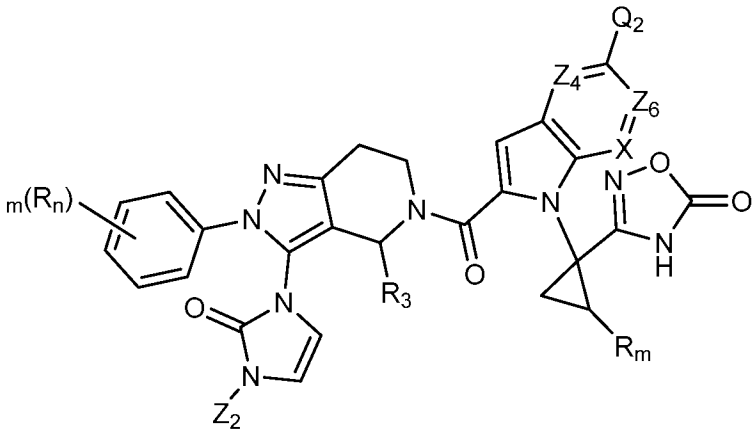
$R_{n1}$ and $R_{n3}$ are each independently selected from the group consisting of hydrogen, methyl, and ethyl;

$R_{n2}$ 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)

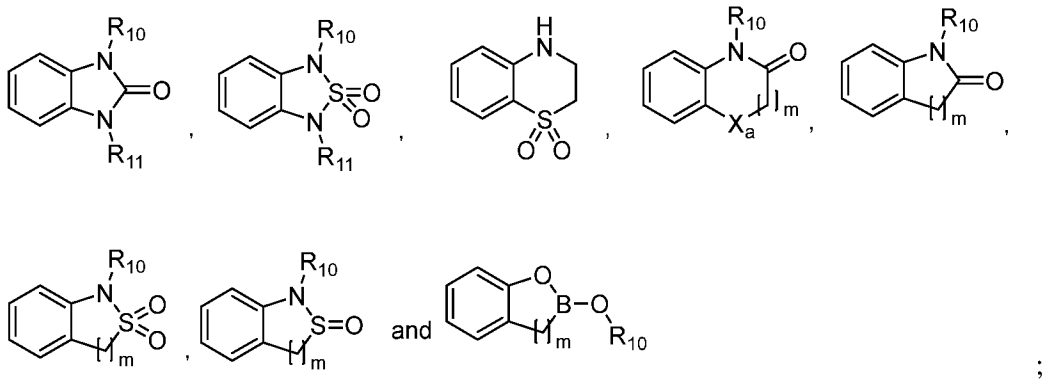
wherein:

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.

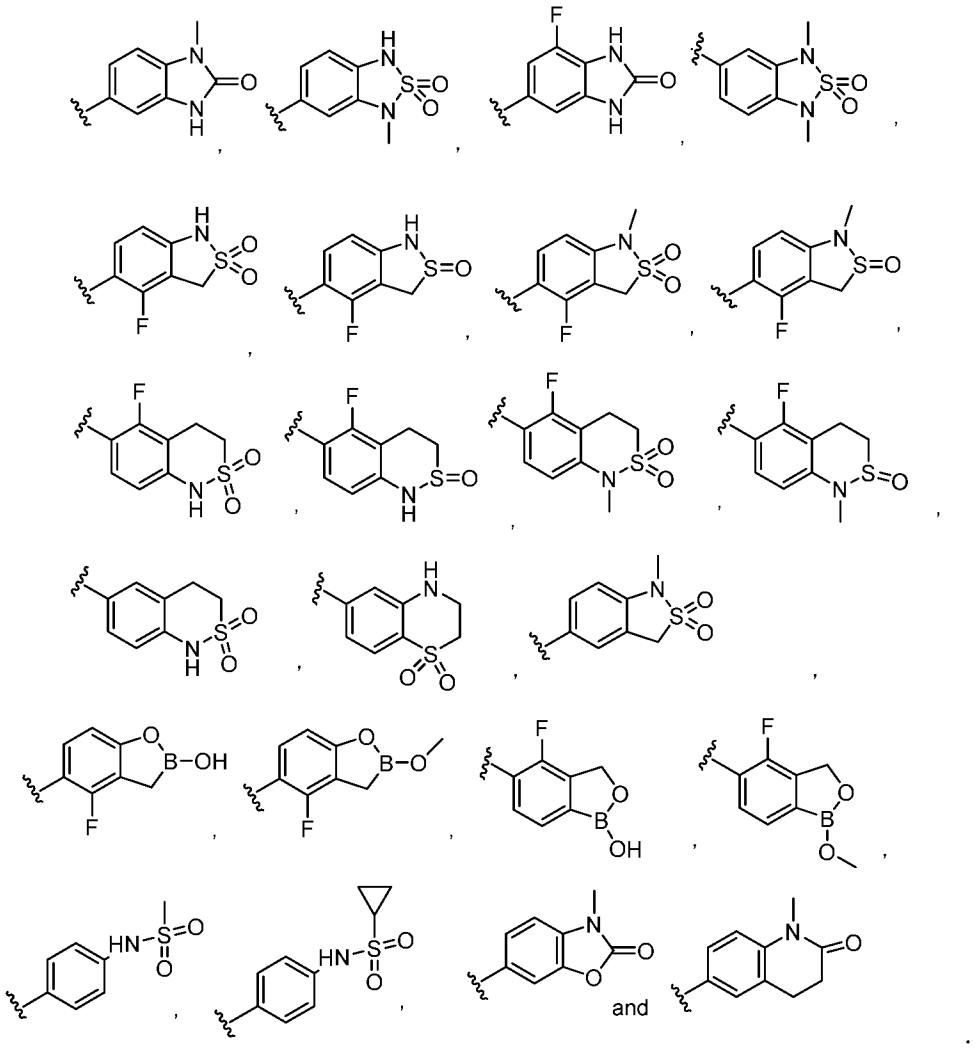
In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof,

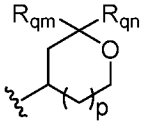
$R_m$ is independently selected from the group consisting of halogen, $-CH_3$, $-CH_2CH_3$ and $-OCH_3$;

$R_n$ 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

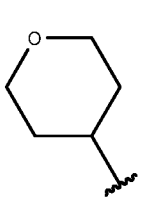
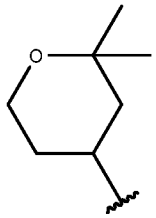
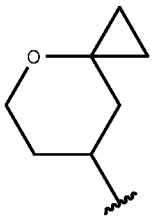


In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, $Q_2$ is  , wherein $R_{qm}$ and $R_{qn}$ are each independently selected from the group consisting of H, methyl, and ethyl; or $R_{qm}$ and $R_{qn}$ together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

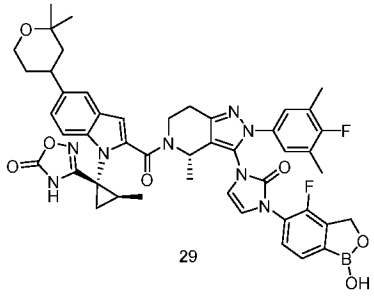
In some embodiments, in the compound of Formula (IX), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, $Q_2$ is selected from the group consisting of

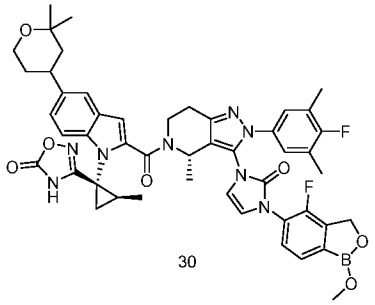


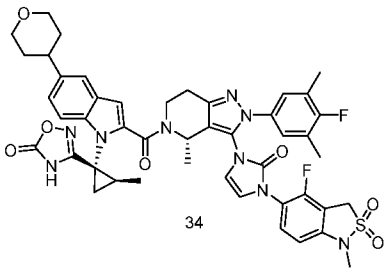
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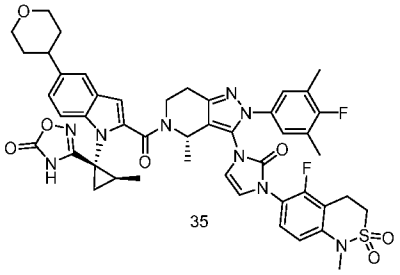


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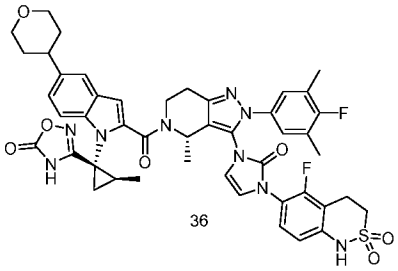
In some embodiments, in the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, the compound is selected from the group consisting of:

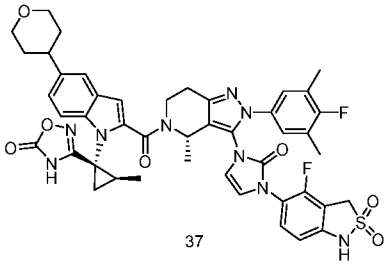

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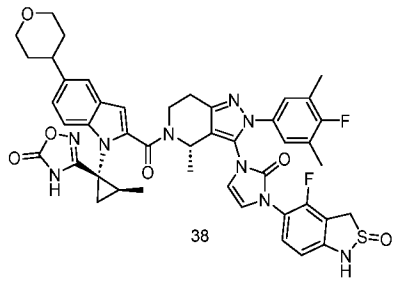

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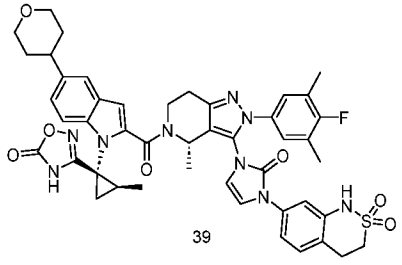

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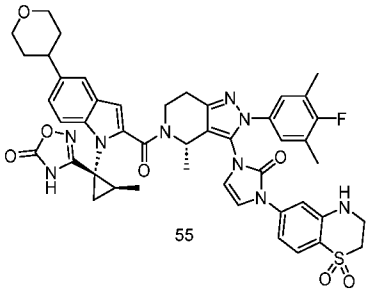
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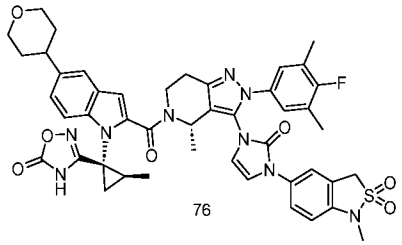
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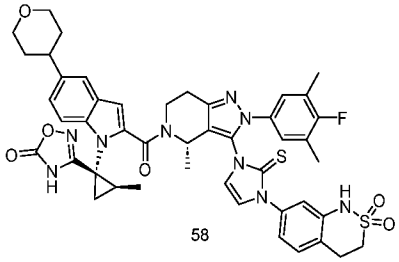
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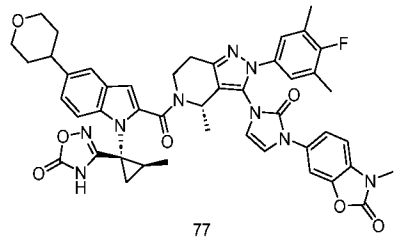
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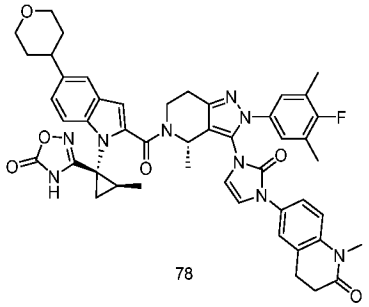
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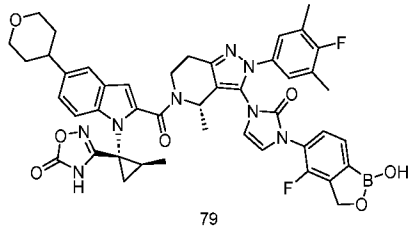


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and

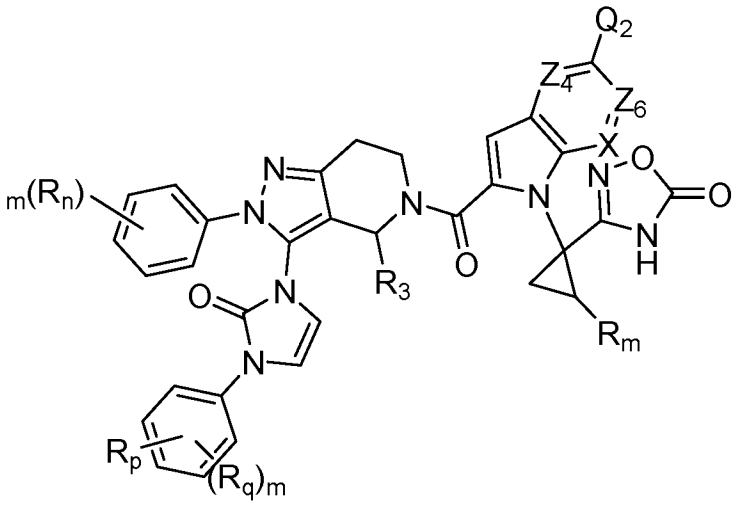


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Yet still another aspect of the present disclosure provides a compound of Formula (X), a stereoisomer, a pharmaceutically acceptable salt, or a deuterated compound thereof:



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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;

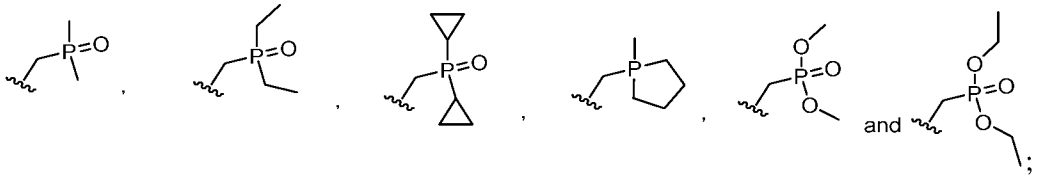
m is 0, 1, 2 or 3;

$R_p$ 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;

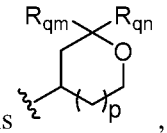
m is 0, 1, 2, and 3;

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



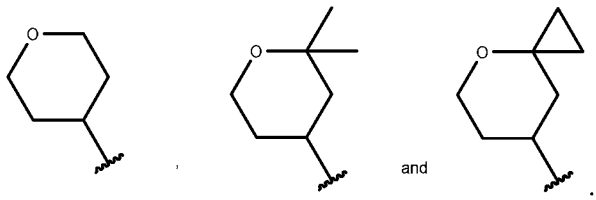
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In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, $R_m$ is selected from the group consisting of H, methyl, ethyl, and methoxy; $R_n$ is selected from the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

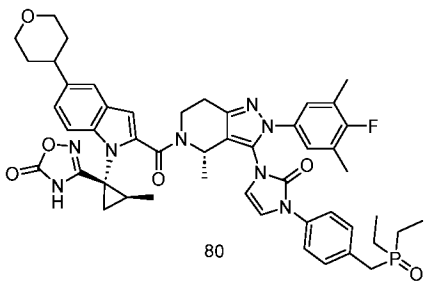
In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, $Q_2$ is selected from the group consisting of



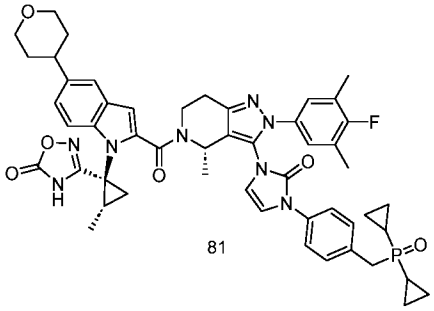

In some embodiments, in the compound of Formula (X), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, the compound is selected from the group consisting of:



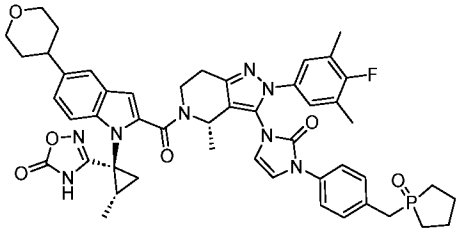
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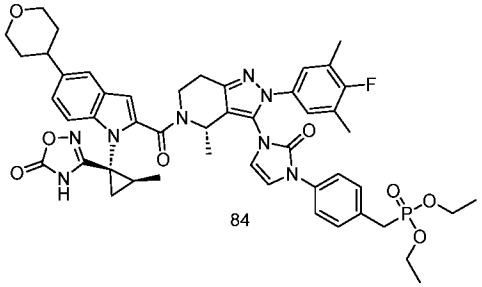
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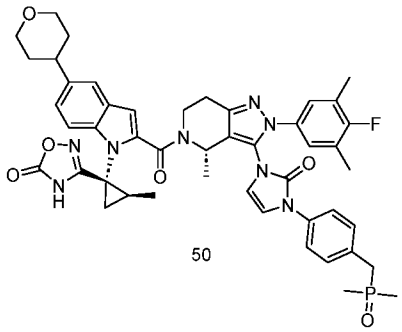
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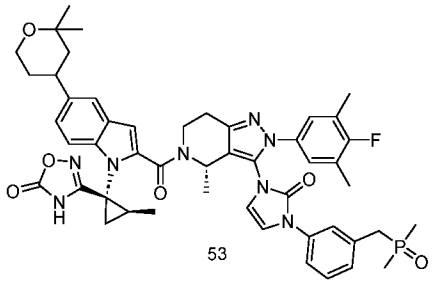


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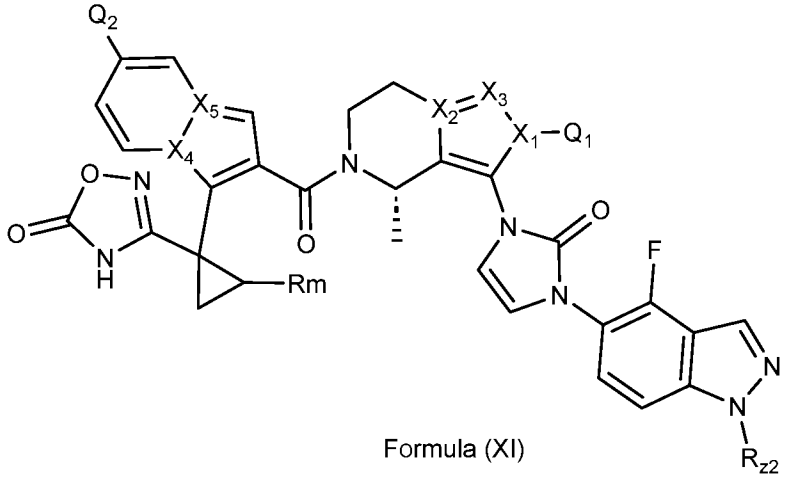
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and



53

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;

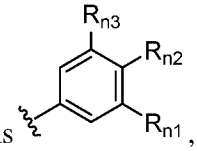
$X_1$, $X_2$, and $X_3$ are independently selected from the group consisting of N and C;

$X_4$, 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;

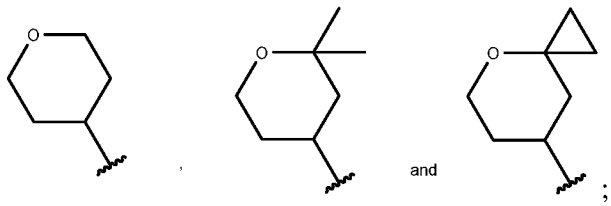
$R_{z2}$ is selected from the group consisting of $C_{3-8}$ cycloalkyl and $C_{1-6}$ alkyl-$C_{3-8}$ cycloalkyl.

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

$Q_1$ is 

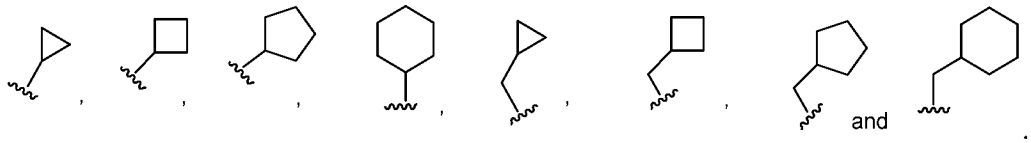
, and $R_{n1}$ and $R_{n3}$ are each independently selected from the group consisting of H, methyl, and ethyl; $R_{n2}$ is halogen;

$Q_2$ is selected from the group consisting of



;

$R_{z2}$ is selected from the group consisting of

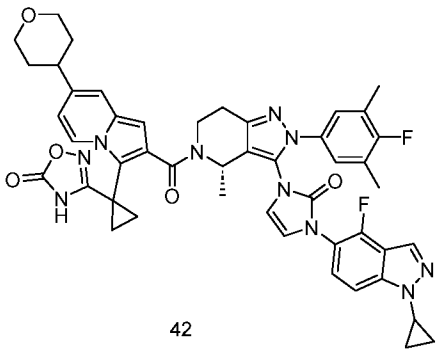


.

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:

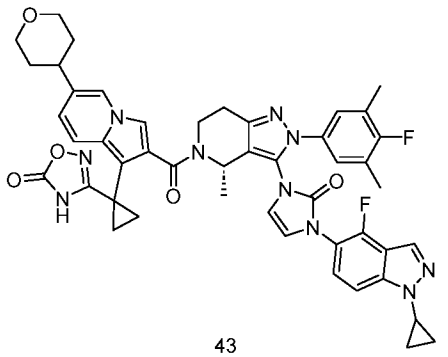


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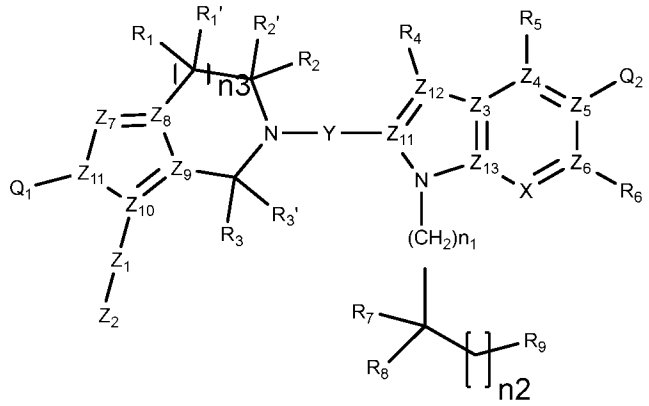
42

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;

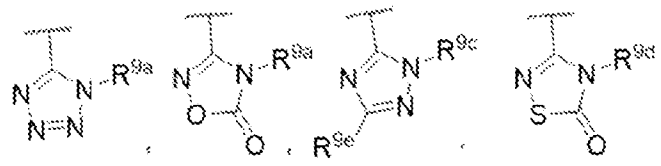
n2 is 0, 1, 2, 3, 4 or 5;

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;

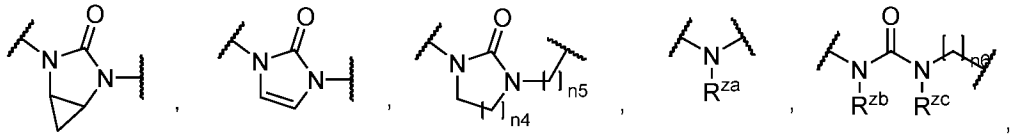
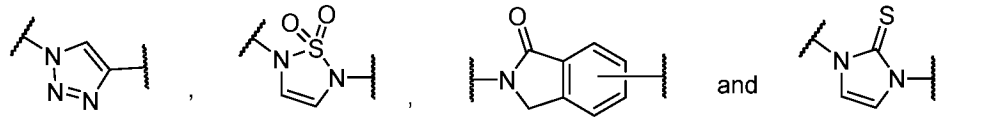
$R^{9e}$ is selected from the group consisting of hydrogen and $C_{1-6}$ alkyl optionally substituted by one or more halogen atoms;

$R^{9f}$ 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

;

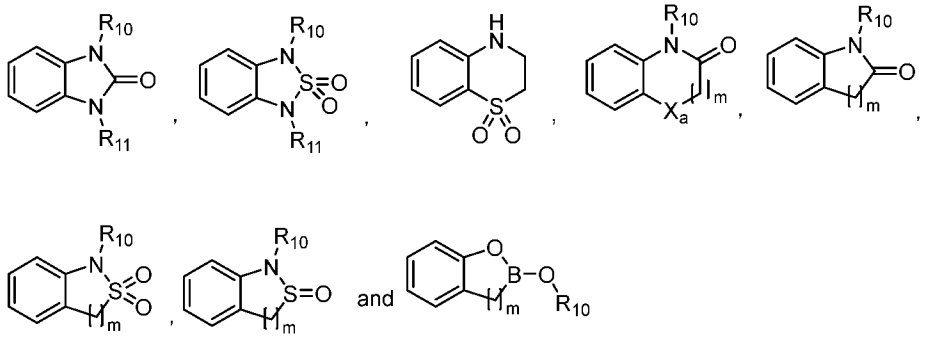
wherein $R^{za}$ is selected from the group consisting of hydrogen, $C_{1-6}$ alkyl and ($C_{1-6}$ alkyl) carbonyl, and each of $R^{zb}$ and $R^{zc}$ is independently selected from the group consisting of hydrogen and $C_{1-6}$ alkyl;

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;

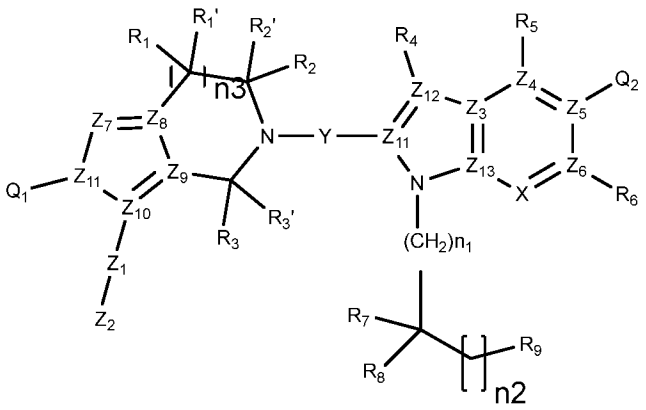
l. $C_3$-$C_{15}$ cycloalkyl or $C_1$-$C_6$ alkyl-$C_3$-$C_{15}$ cycloalkyl; wherein cycloalkyl or alkyl is optionally substituted by one or more substituents independently selected from the group consisting of halogen, oxo, $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy and ($C_{1-6}$ alkyl) carbonyl, wherein each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

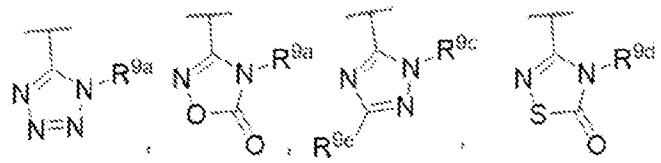
or $R_7$ and $R_8$ together with the carbon atom to which they are attached form $C_{3-15}$ carbocyclic ring, wherein the $C_{3-15}$ carbocyclic ring is optionally substituted by one to three $C_{1-6}$ alkyl, wherein $C_{1-6}$ alkyl is optionally substituted by one or more substituents independently 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;

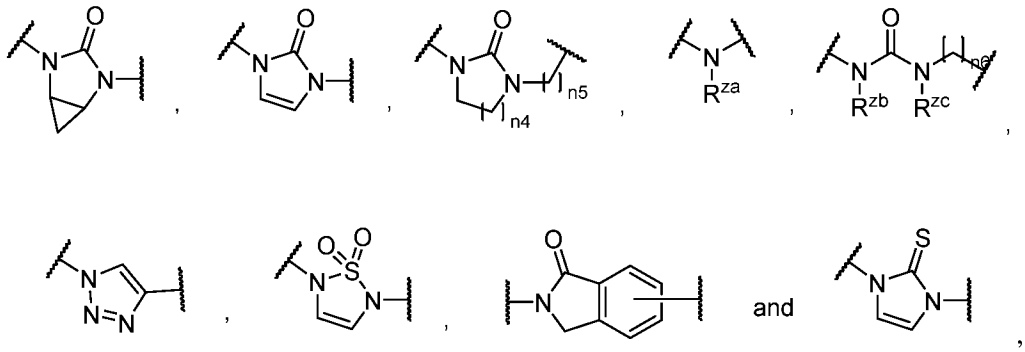
$R^{9h}$ is selected from the group consisting of hydrogen, $C_{1-6}$ alkyl, ($C_{1-6}$ alkyl) carbonyl, cyano and $-S(=O)_{n9}-R^{9i}$; n9 is 0, 1 or 2;



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

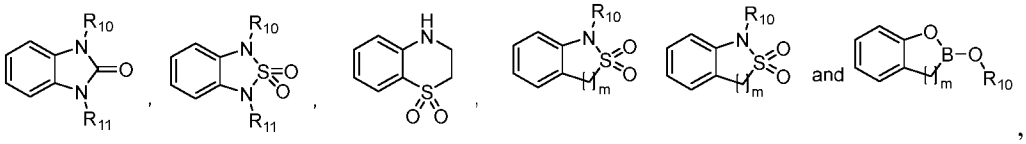
$Z_1$ is selected from the group consisting of:



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

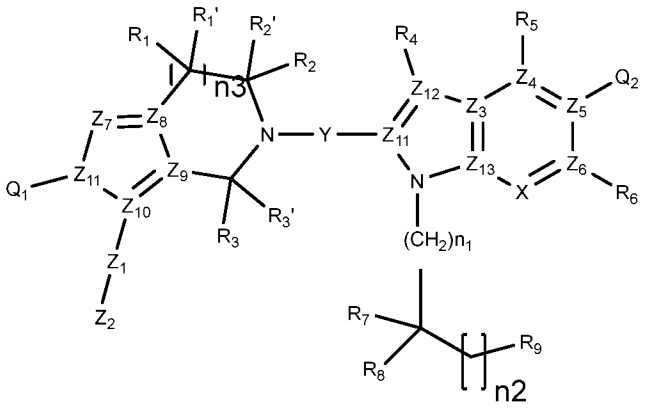
m. $-P(=O)R^{zm}R^{zn}$, $-(CH2)_{n8}-P(=O)R^{zm}R^{zn}$, $-NR^{zm}S(=O)R^{zn}$ and $-NR^{zm}S(=O)_2R^{zn}$, wherein each $R^{zm}$ and $R^{zn}$ is independently selected from the group consisting of hydrogen, $C_{1-6}$ alkyl and $C_{6-10}$ aryl; n8 is 1 or 2; wherein $C_{1-6}$ alkyl is substituted by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

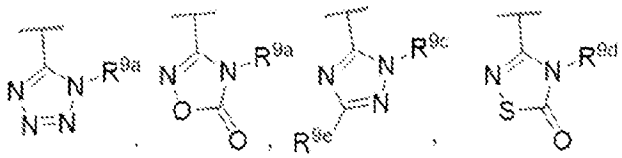
or $R_7$ and $R_8$ together with the carbon atom to which they are attached form $C_{3-15}$ carbocyclic ring, wherein the $C_{3-15}$ carbocyclic ring is optionally substituted by one to three $C_{1-6}$ alkyl, wherein each $C_{1-6}$ alkyl is optionally substituted by one or more substituents independently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

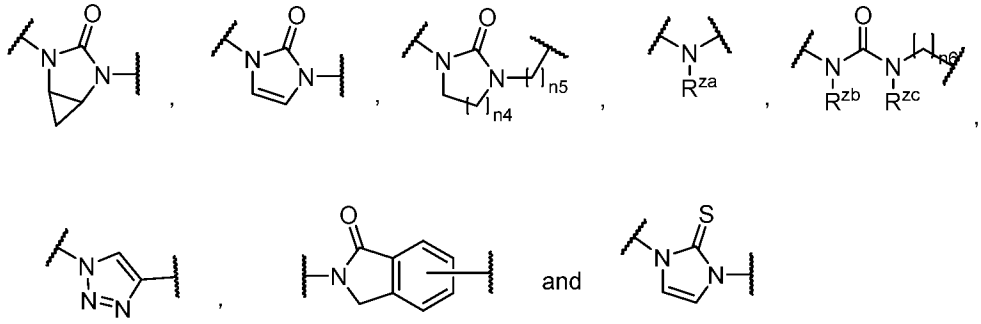
$R^{9e}$ is selected from the group consisting of hydrogen and $C_{1-6}$ alkyl optionally substituted by one or more halogen atoms;

$R^{9f}$ 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:

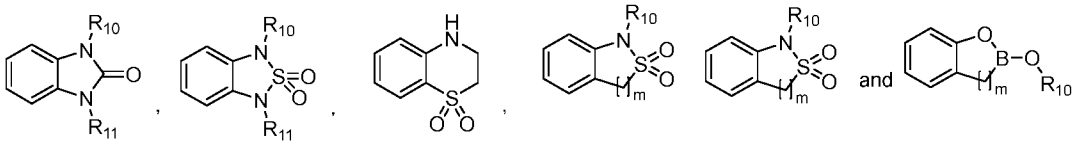



wherein $R^{za}$ is selected from the group consisting of hydrogen, $C_{1-6}$ alkyl and ($C_{1-6}$ alkyl) carbonyl, and each of $R^{zb}$ and $R^{zc}$ is independently selected from the group consisting of hydrogen and $C_{1-6}$ alkyl;

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

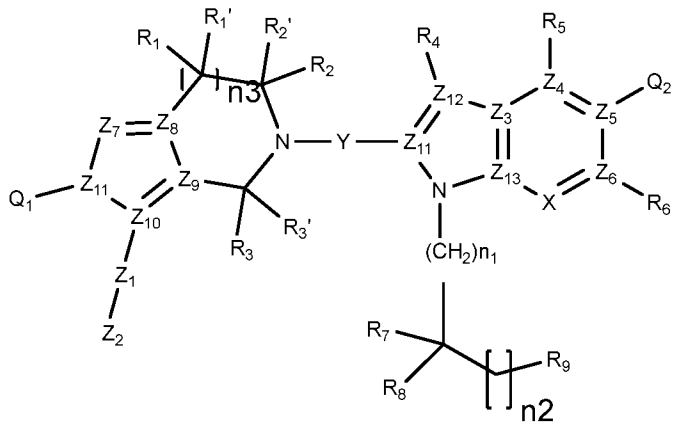


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consisting of halogen, oxo, $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy and ($C_{1-6}$ alkyl) carbonyl, wherein each of alkyl and alkoxy is optionally substituted by halogen; and

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;

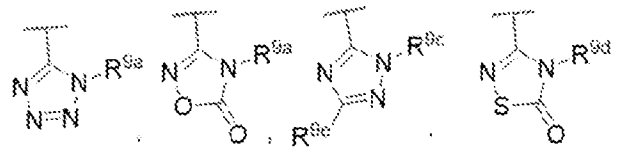
n2 is 0, 1, 2, 3, 4 or 5;



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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;

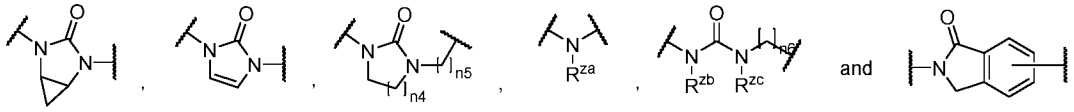
$R^{9e}$ is selected from the group consisting of hydrogen and $C_{1-6}$ alkyl optionally substituted by one or more halogen atoms;

$R^{9f}$ 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:



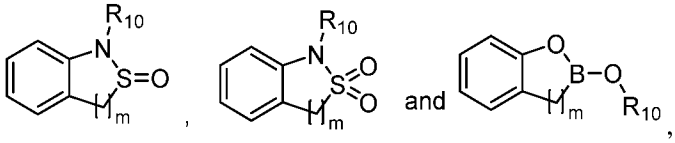
,

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



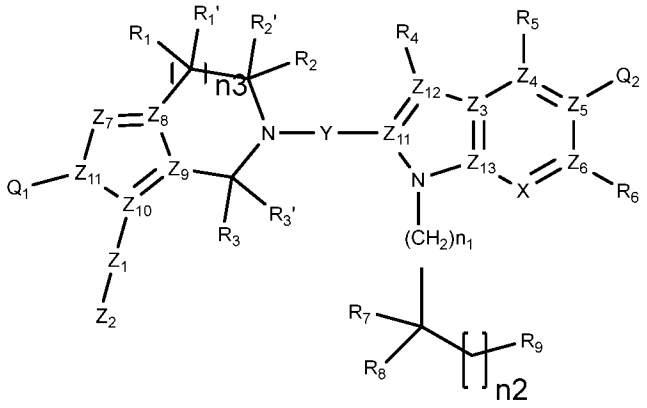
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with one or more substituents independently selected from the group consisting of $C_{1-6}$ alkyl, and ($C_{1-6}$ alkyl)carbonyl;

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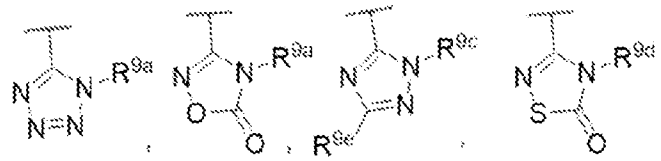
optionally together with the carbon atom to which they are attached form $C_{3-15}$ carbocyclic ring;

$n_1$ 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;

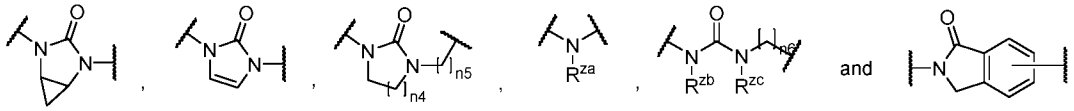
$R^{9e}$ is selected from the group consisting of hydrogen and $C_{1-6}$ alkyl optionally substituted by one or more halogen atoms;

$R^{9f}$ 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;

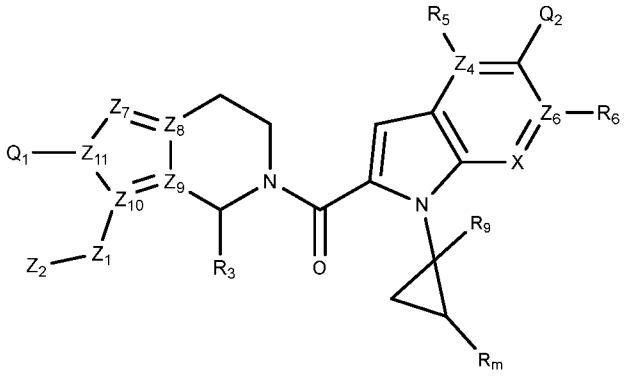
k. 5- to 10-membered heteroaryl; wherein the 5- to 10-membered heteroaryl is optionally substituted with one or more substituents independently selected from the group consisting of $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $-NR^{zk}R^{zl}$, and 3- to 12-membered heterocyclic; wherein $R^{zk}$ and $R^{zl}$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



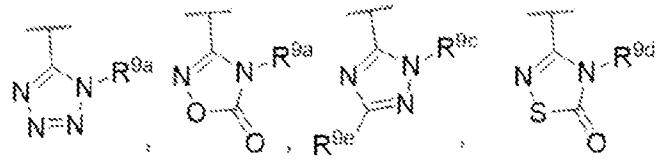
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$C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, cycloalkyl and heterocycloalkyl; wherein $C_{1-6}$ alkyl, cycloalkyl or heterocycloalkyl is optionally substituted by one or more substituents independently selected from the group consisting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

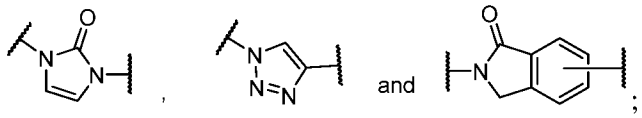
$R^{9e}$ is selected from the group consisting of hydrogen and $C_{1-6}$ alkyl optionally substituted by one or more halogen atoms;

$R^{9f}$ 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:

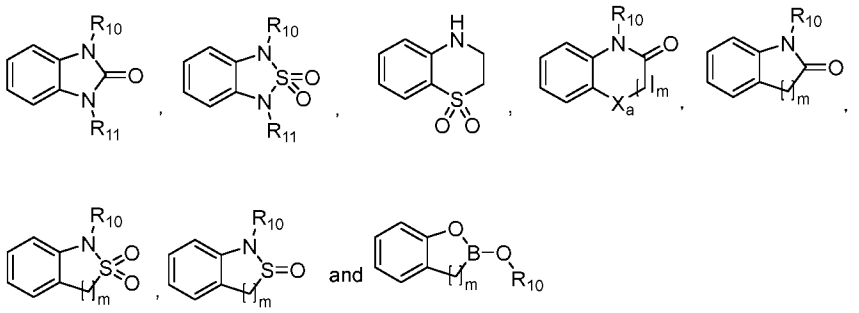


;

$Z_2$ 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;

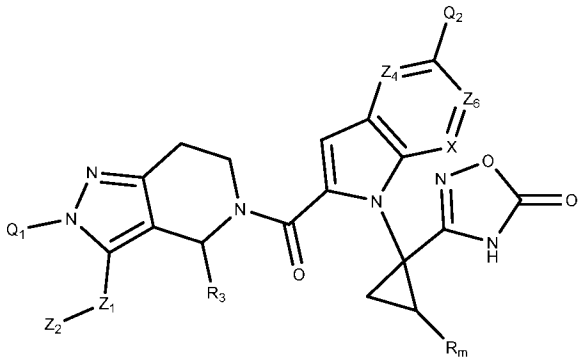
j. $C_{6-10}$ aryl; wherein $C_{6-10}$ aryl is optionally substituted by one or more ($C_{1-6}$ alkyl) carbonyl;

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),



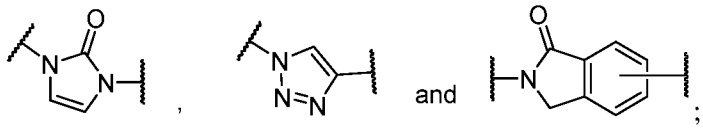
Formula (Ig)

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;

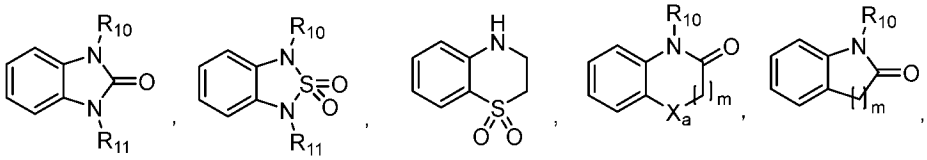
$R_m$ is $C_{1-6}$ alkyl; wherein $C_{1-6}$ alkyl is optionally substituted with one or more halogens;

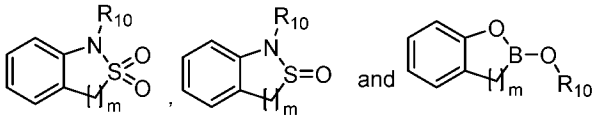
$Z_1$ is selected from the group consisting of:



;

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



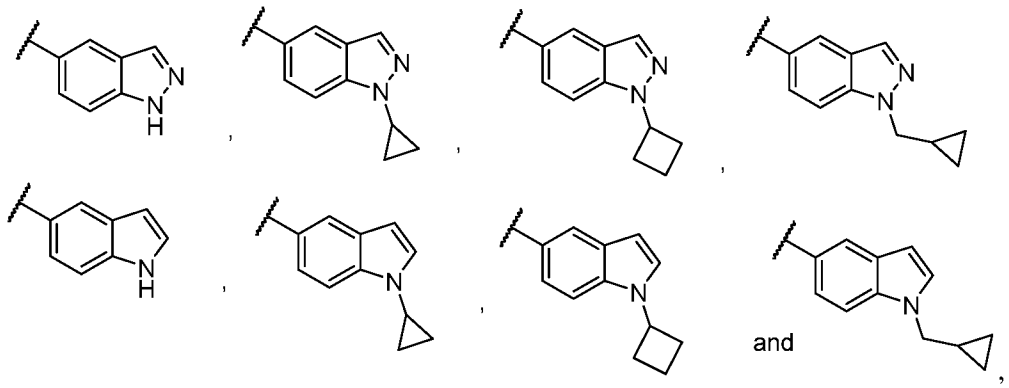


;

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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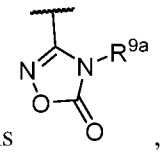

and $Z_2$ is substituted by one to five substituents selected from the group consisting of halogen, $C_{1-6}$ alky and $C_{1-6}$ alkoxy.

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.

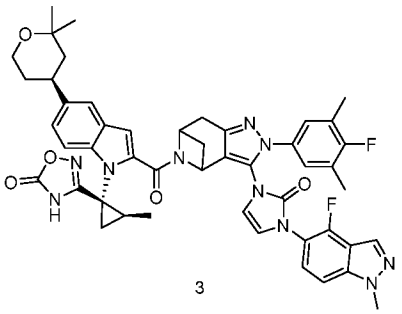
In some embodiments, in the compound of any one of Formula (If) or Formula (Ig), the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof, $R_m$ is $C_{1-6}$ alkyl, and the $C_{1-6}$ alkyl is optionally substituted with one or more halogens.

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.

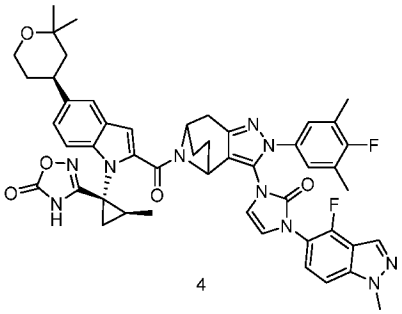
In some embodiments, in the compound, the stereoisomer, the pharmaceutically acceptable salt, or the deuterated compound thereof of the present disclosure, the compound is selected from the group consisting of:



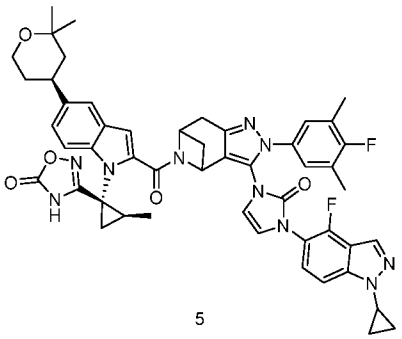
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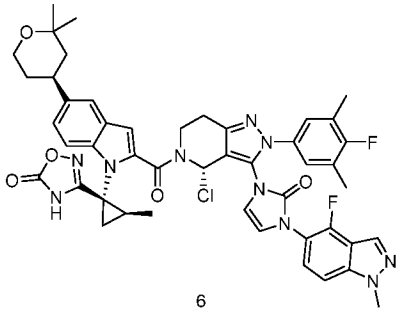
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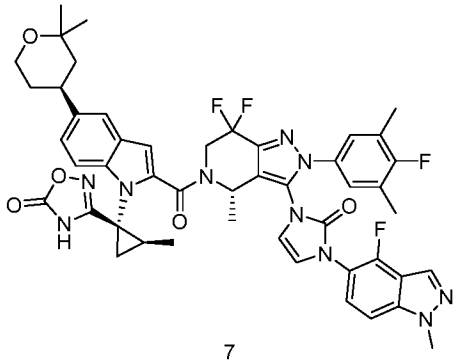
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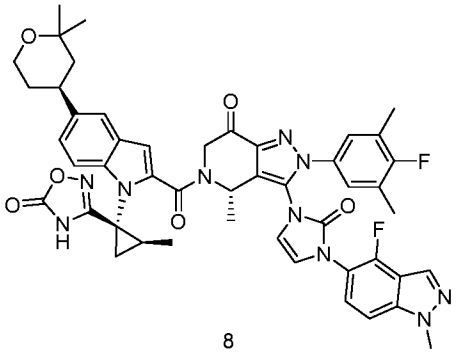
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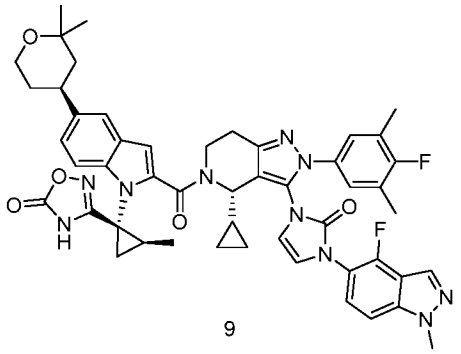
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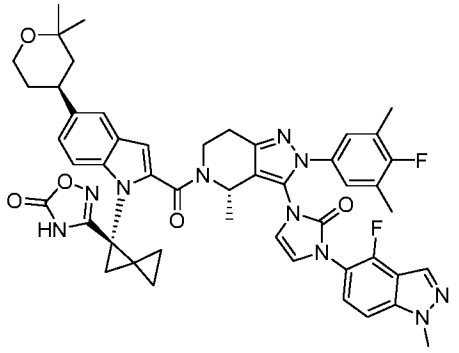
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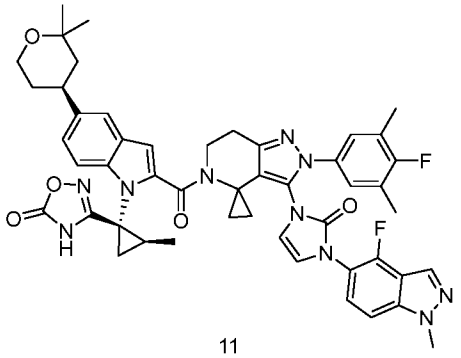
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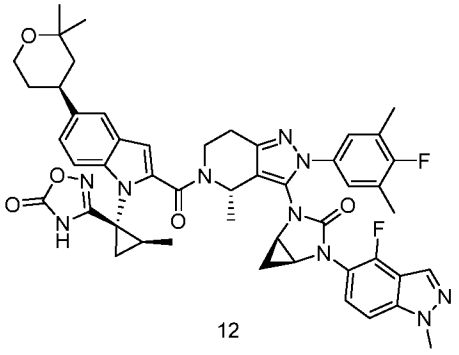
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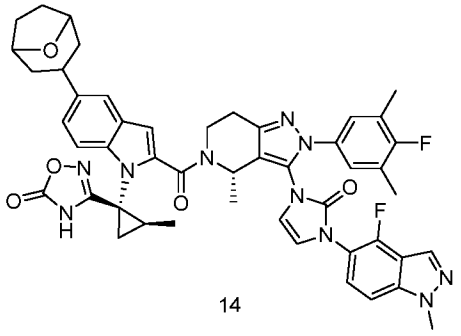
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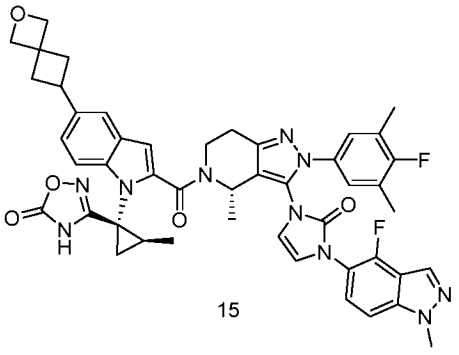
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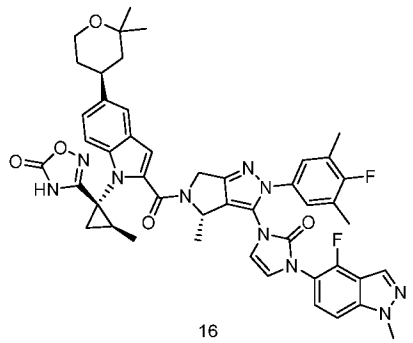
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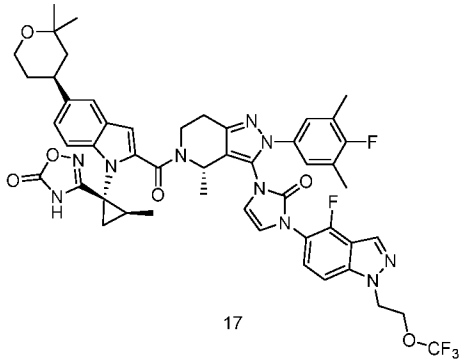
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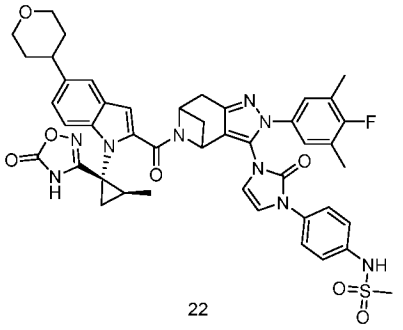
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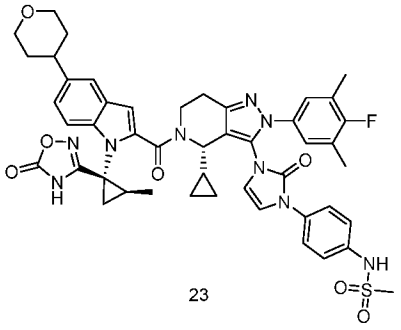
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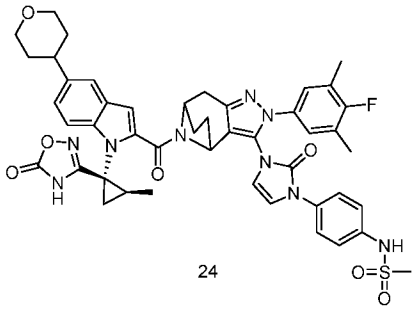
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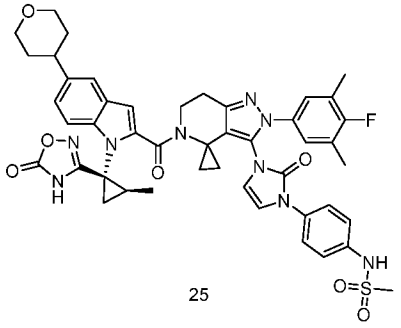
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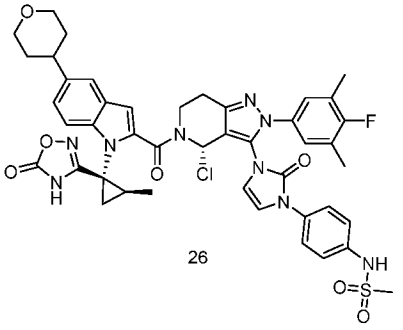
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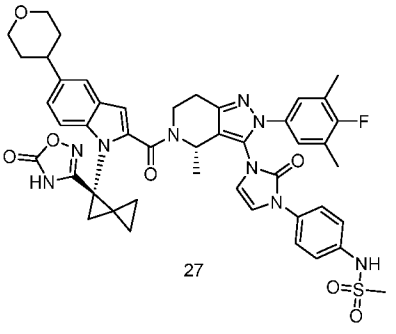
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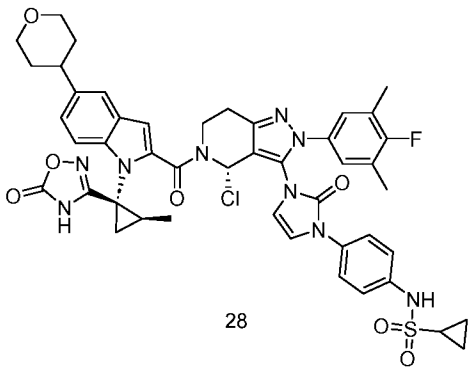
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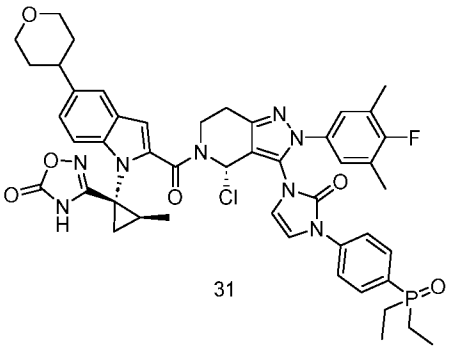
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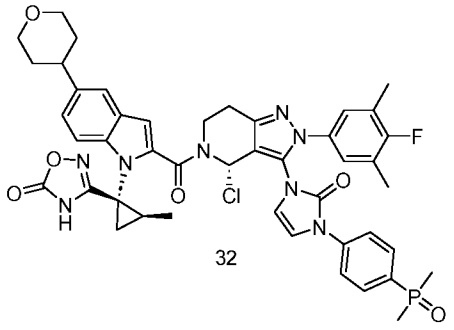
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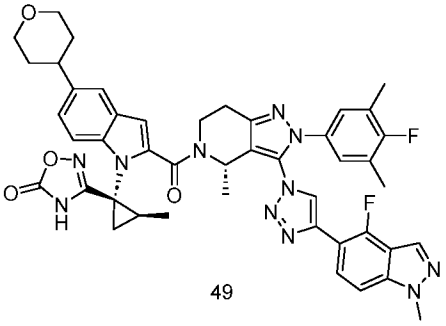
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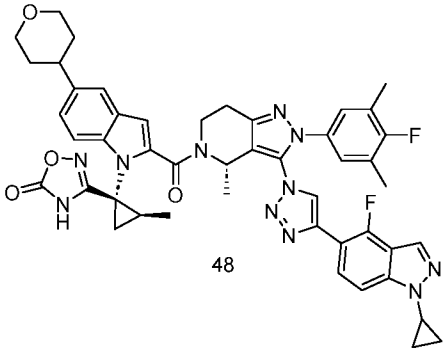


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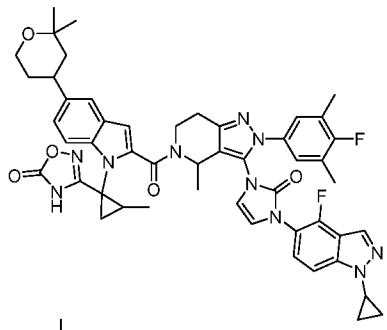
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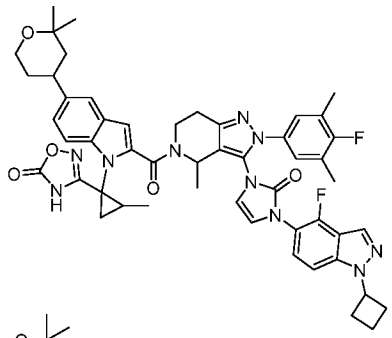
In some embodiments, the pharmaceutically acceptable salt of the present disclosure, is selected from a sodium salt, a calcium salt, a potassium salt, a magnesium salt and a lithium salt.

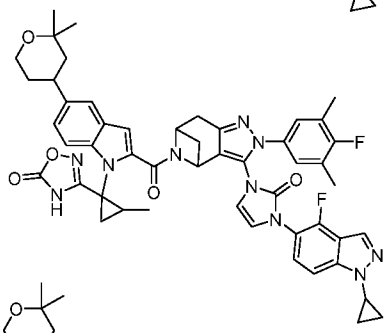
In some embodiments, the compound of the present disclosure is selected from the group consisting of

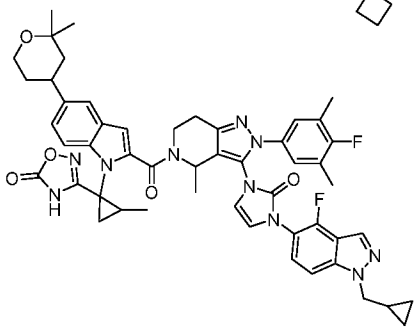


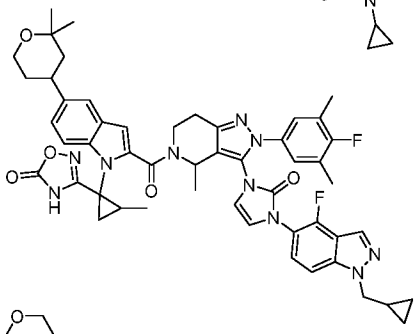
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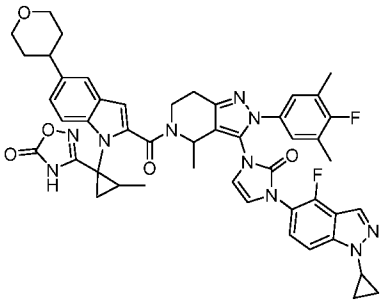


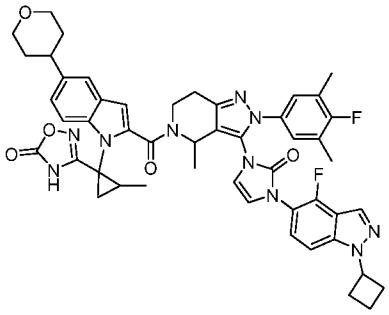


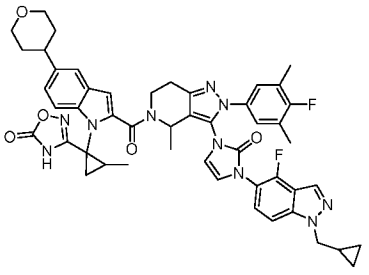


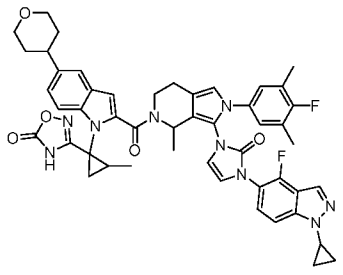






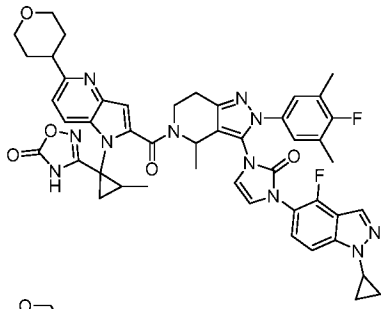


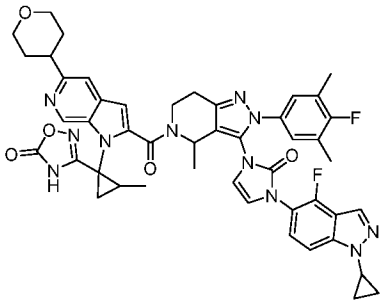


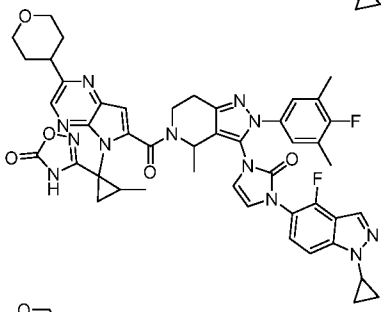


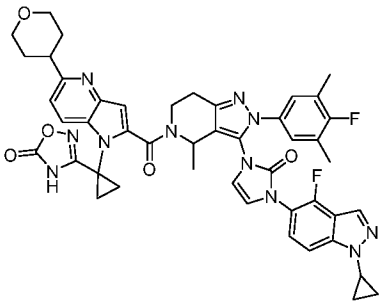


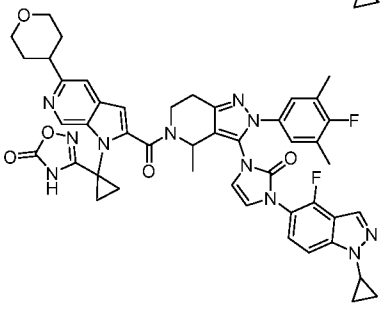
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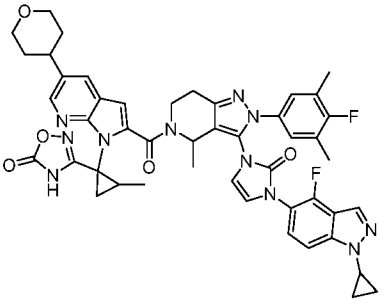


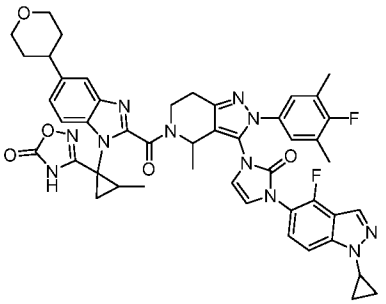


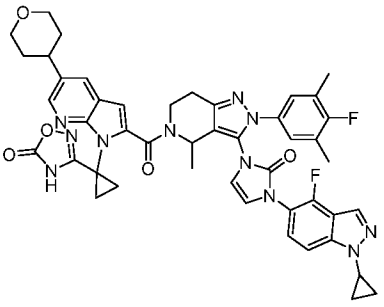






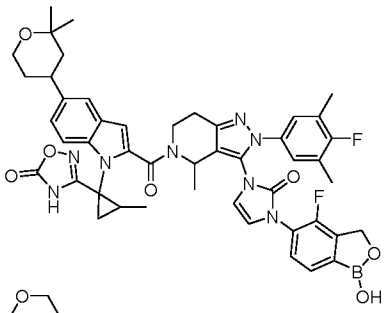


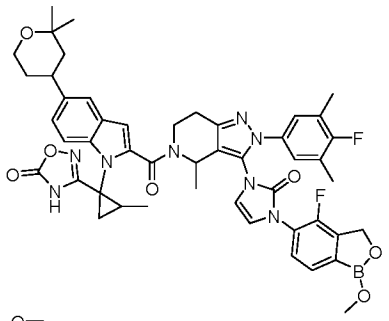


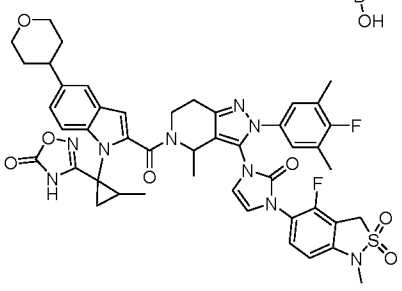


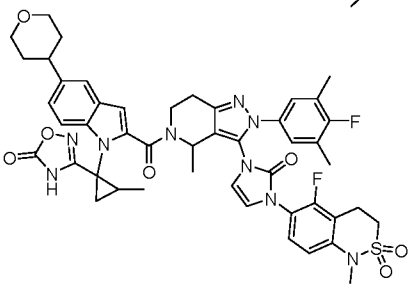


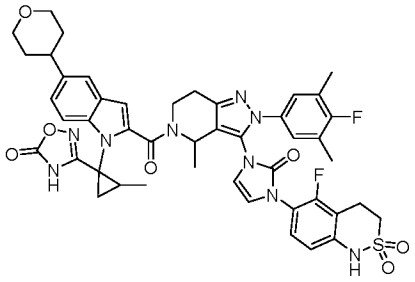
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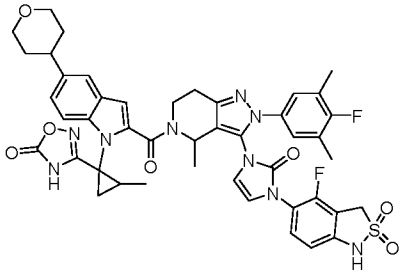


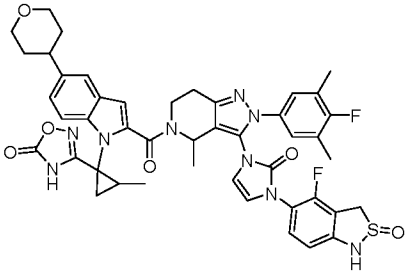


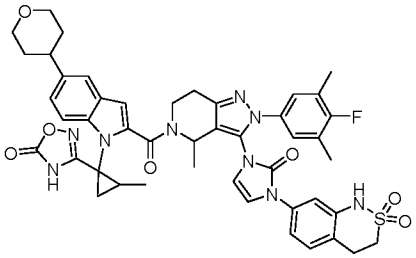


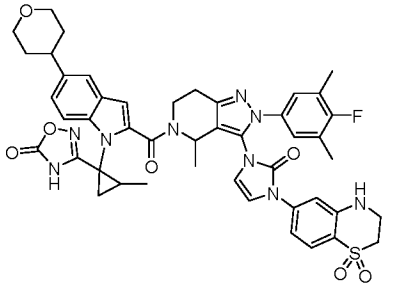


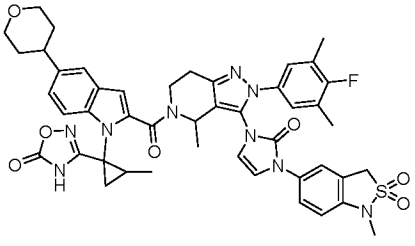


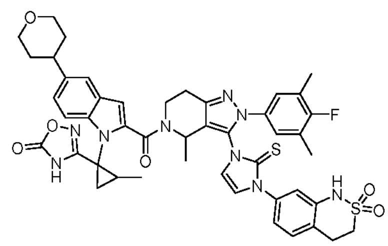
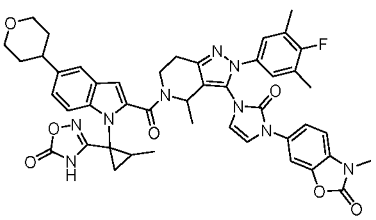
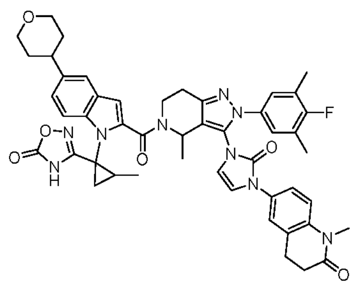
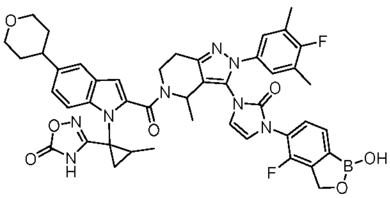
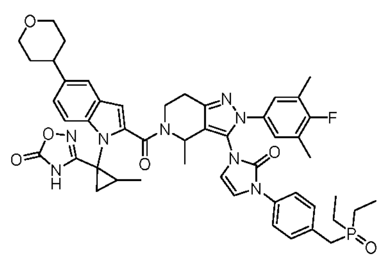
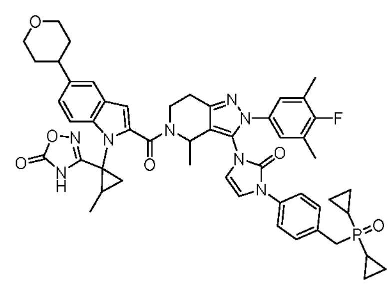
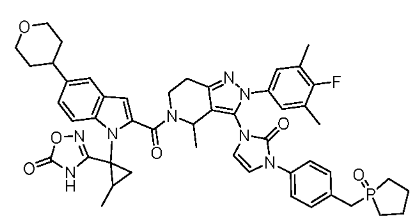
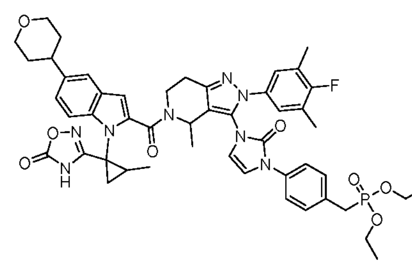
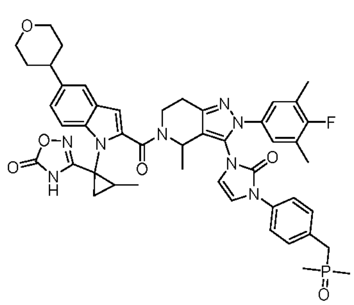
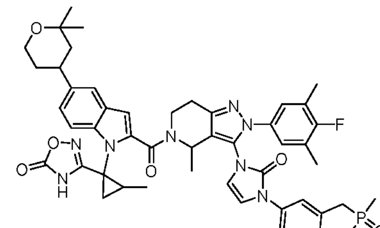






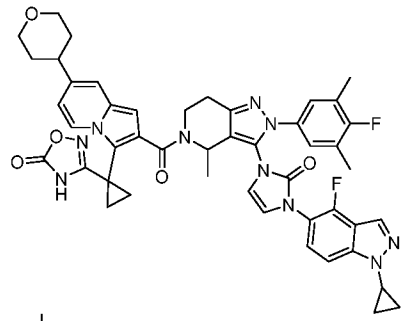


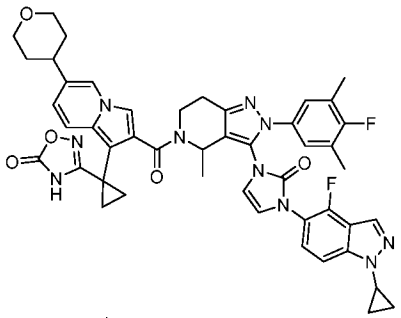


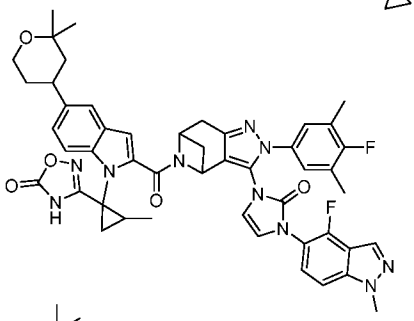











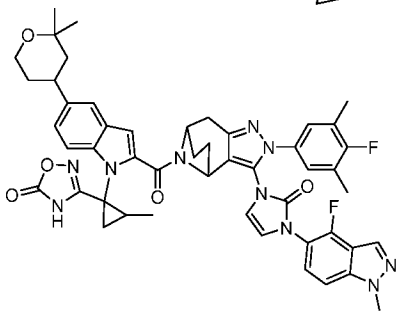


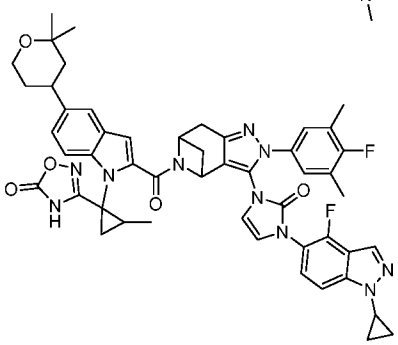
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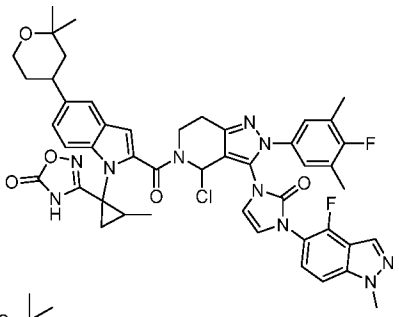


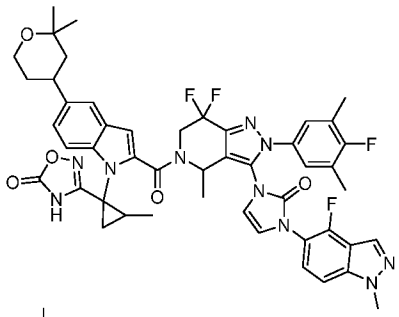


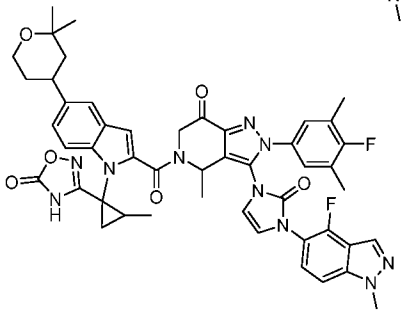


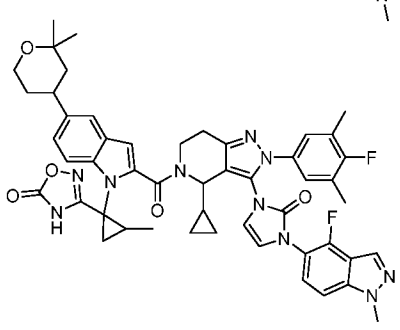


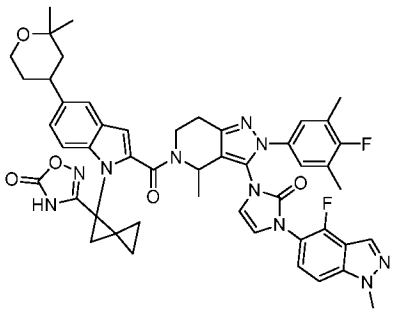






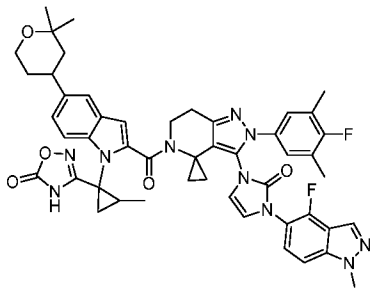


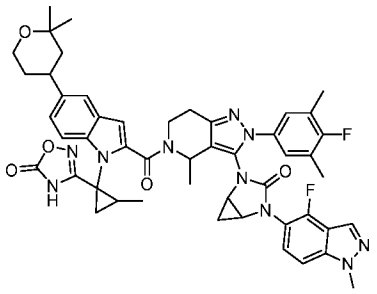


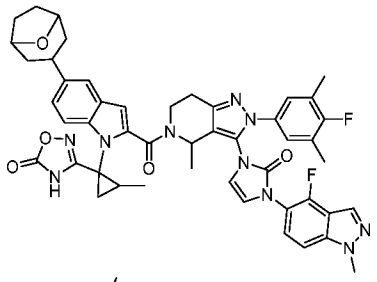


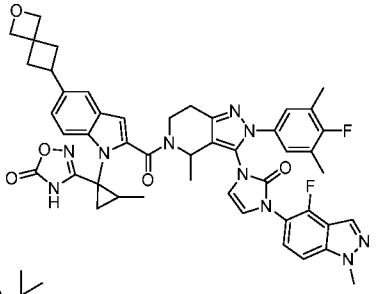


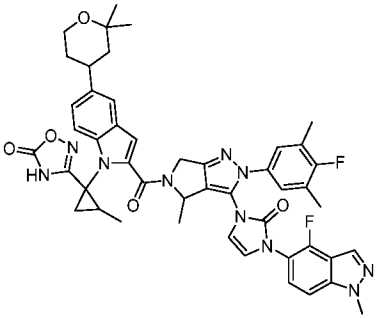
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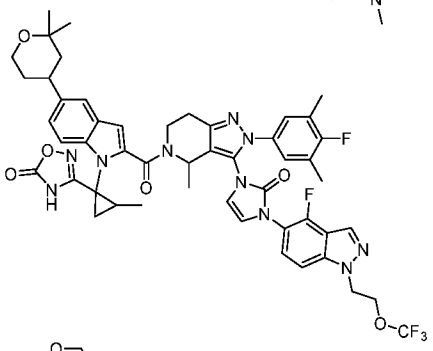


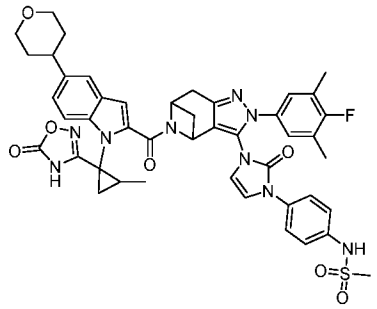


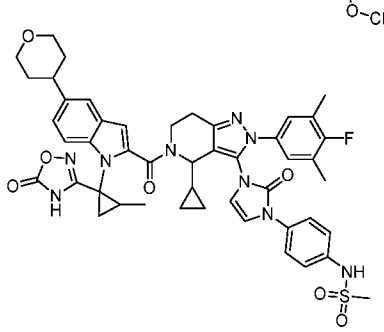


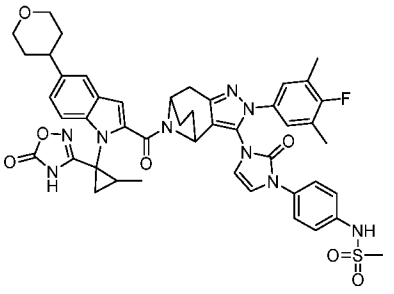


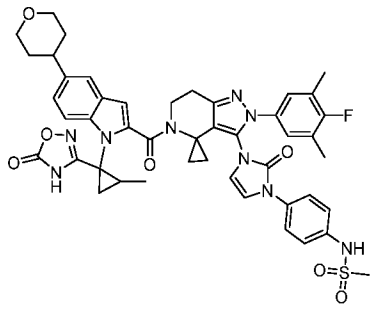






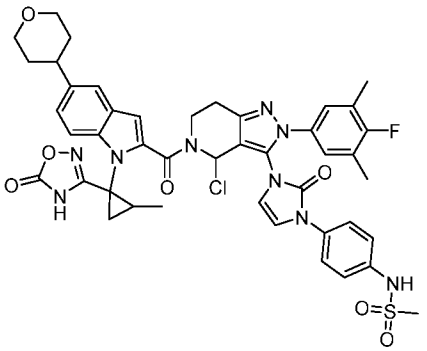


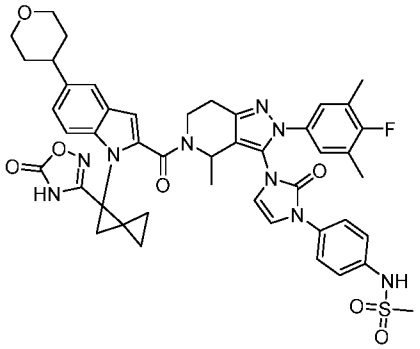


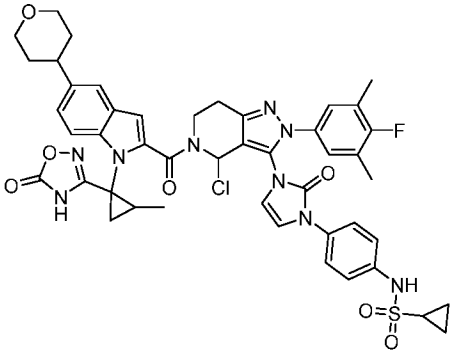


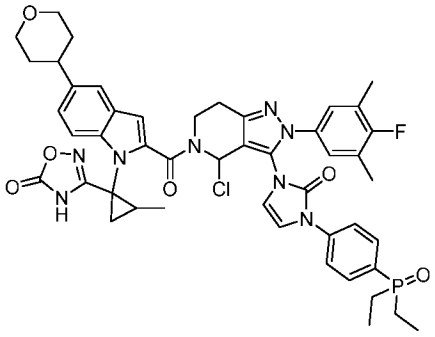


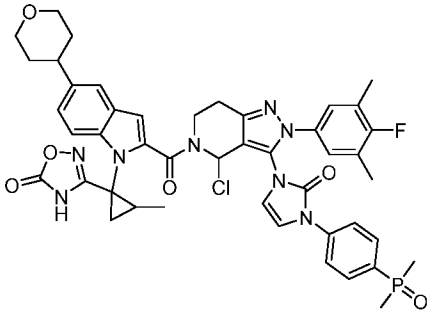
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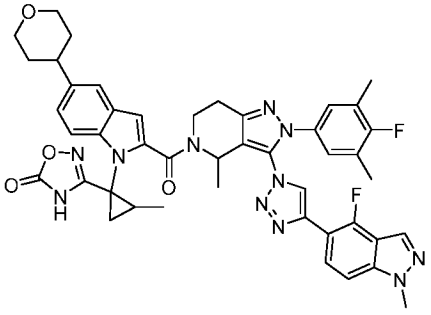




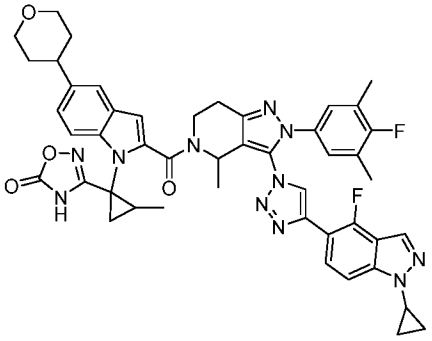








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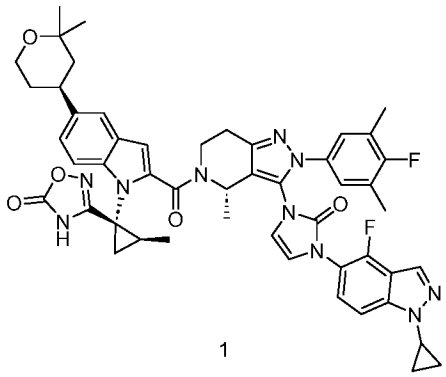


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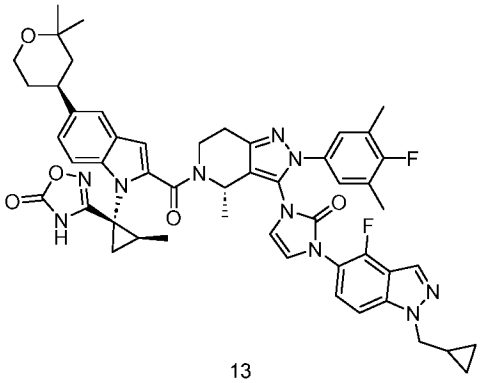
Yet still another aspect of the present disclosure provides a compound or a pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:



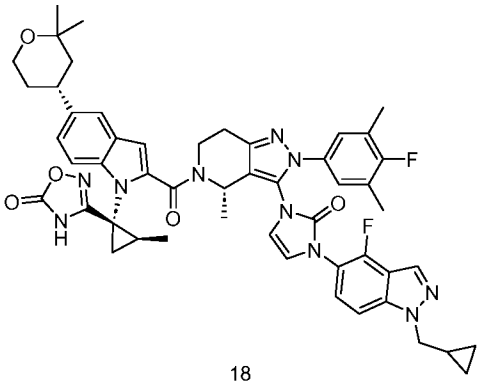
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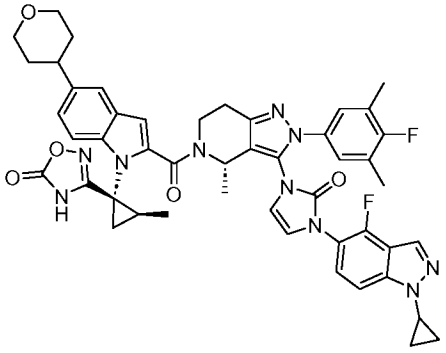
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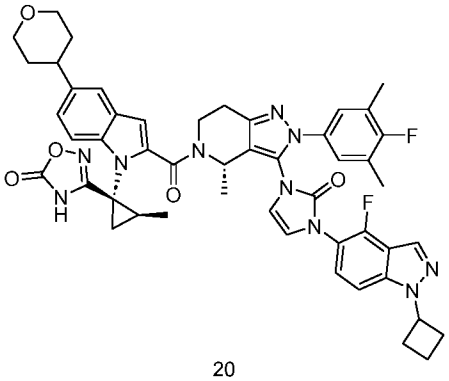
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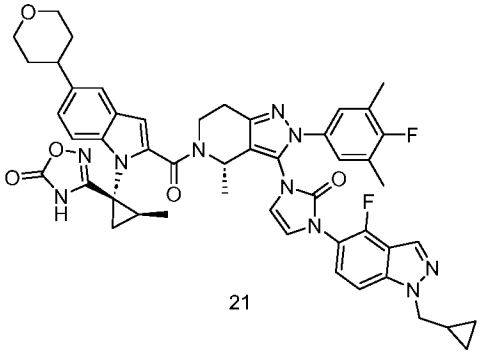
18



19

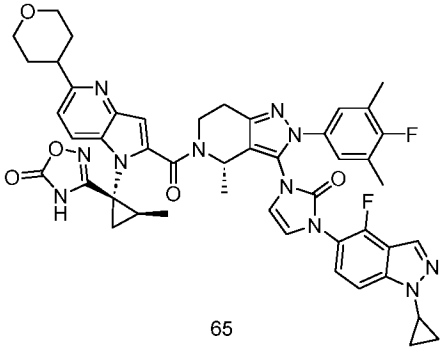


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21

and

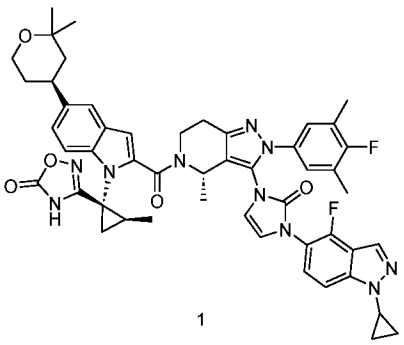


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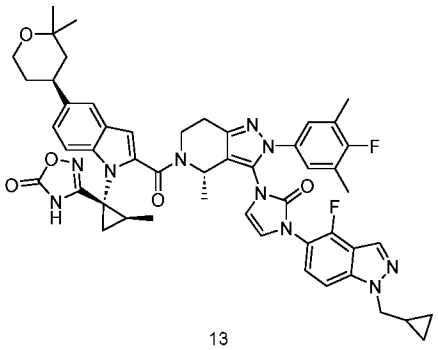
Yet still another aspect of the present disclosure provides a compound, selected from the group consisting of:



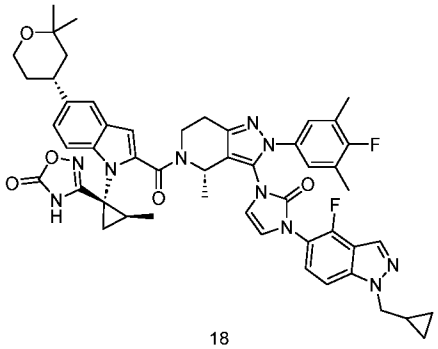
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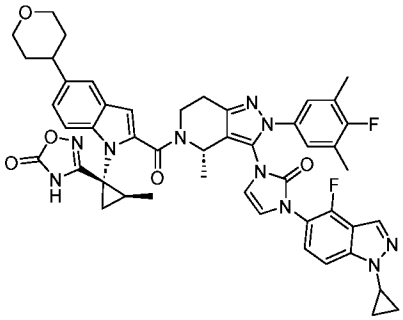
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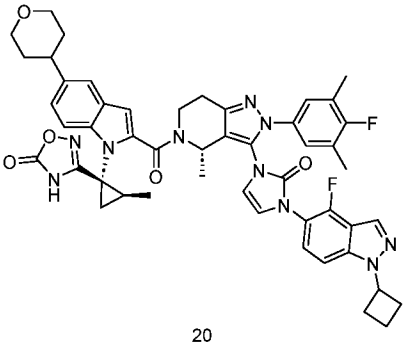
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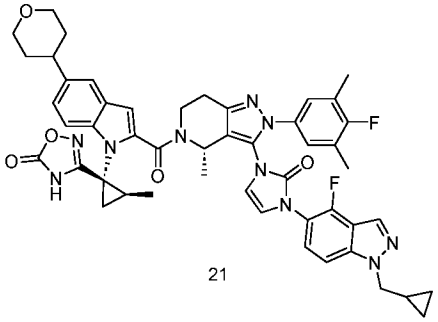
18



19

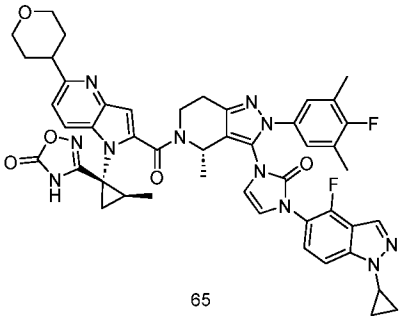


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21

and

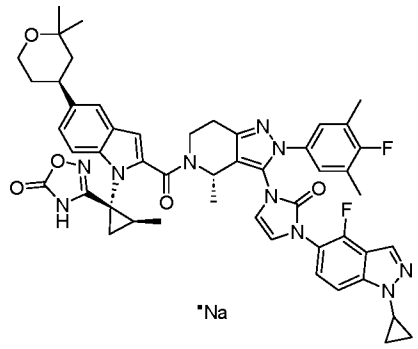


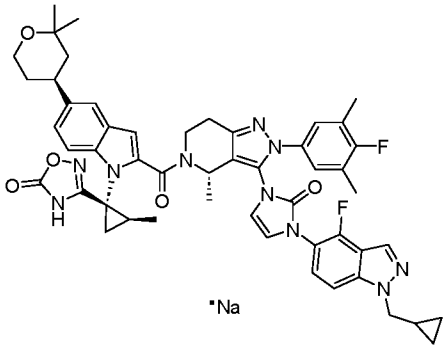
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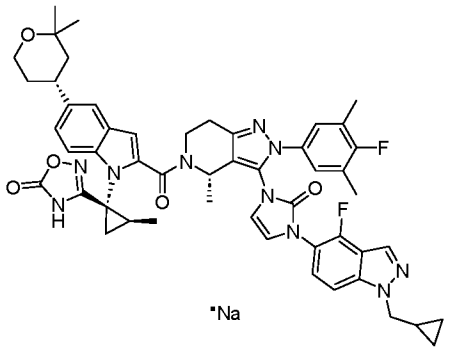
In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is selected from the group consisting of:

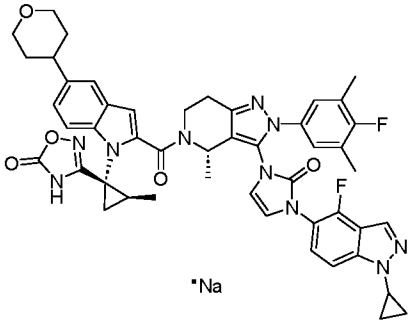


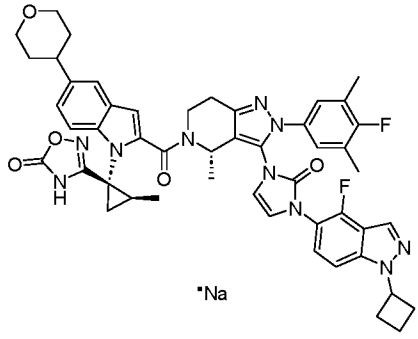
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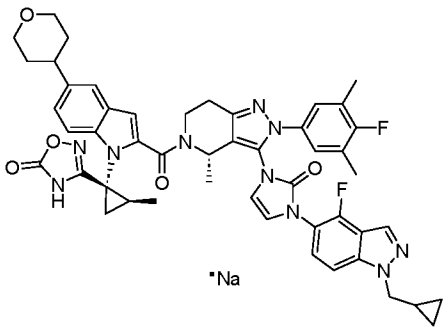




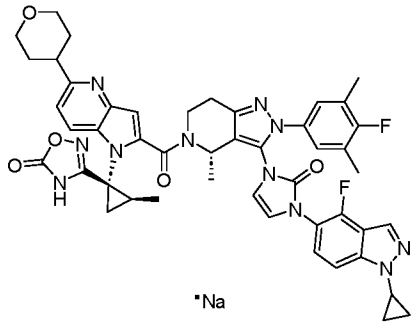








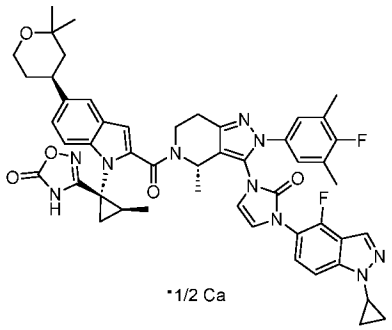
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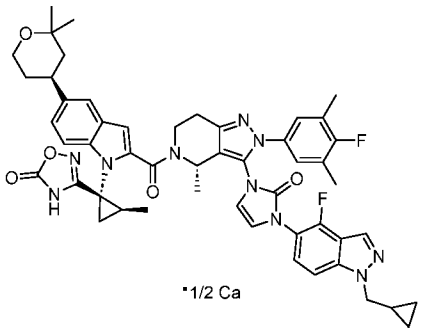


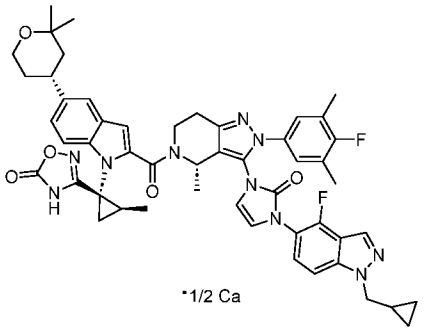
In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is selected from the group consisting of:

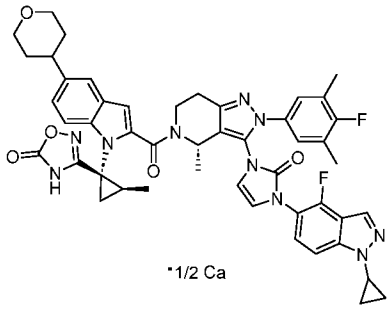


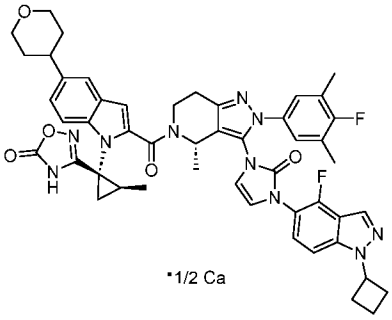
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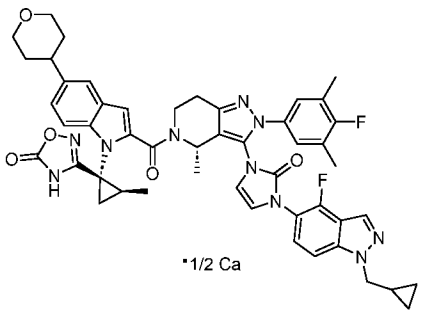


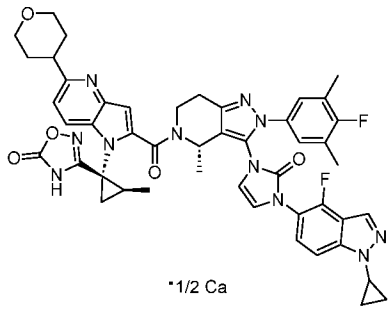



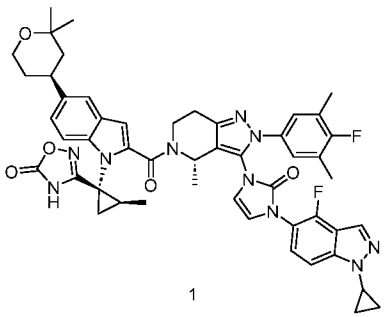

and



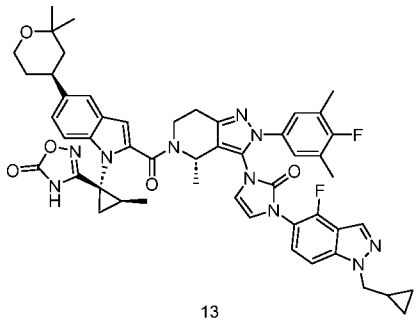

In certain embodiments, in the compound or the pharmaceutically acceptable salt thereof of the present disclosure, the compound is selected from the group consisting of:



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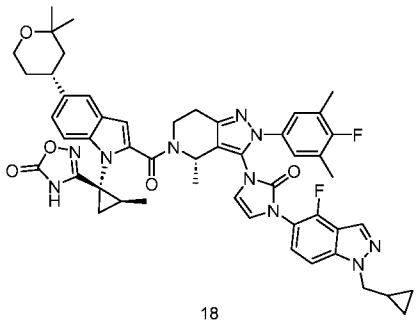


1



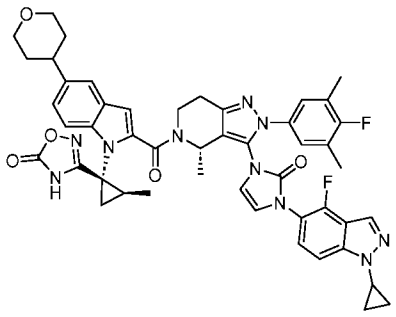
13

and

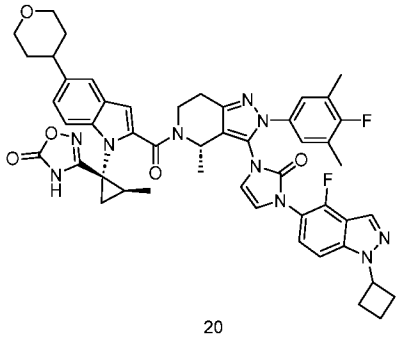


18

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

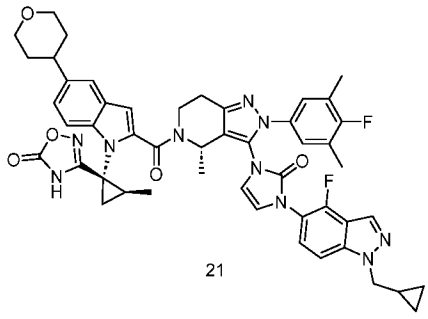


19



20

and

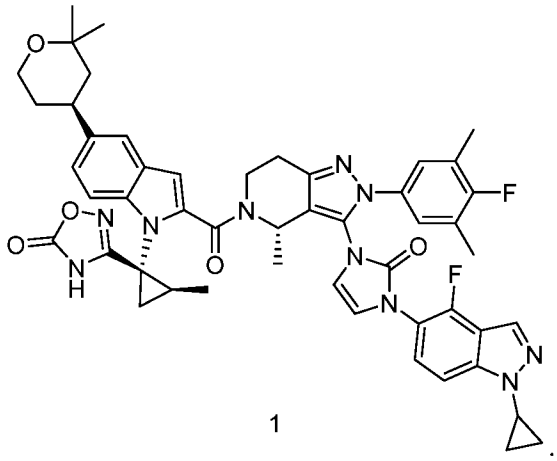


21

In some embodiments, the compound of the present disclosure is

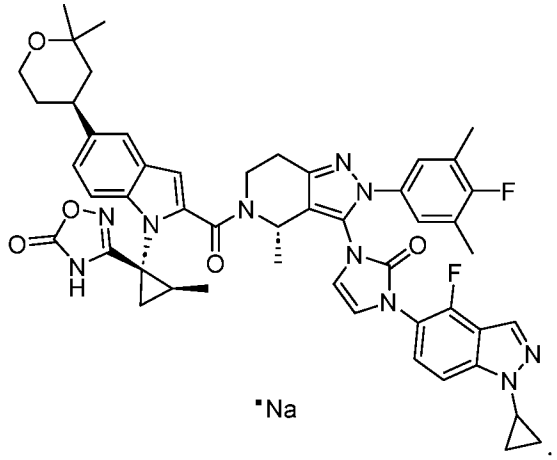


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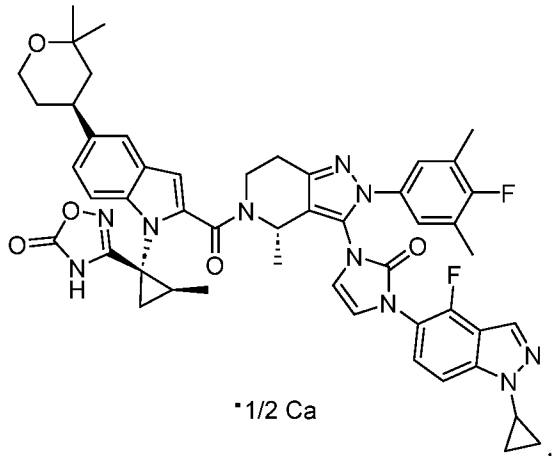
1

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

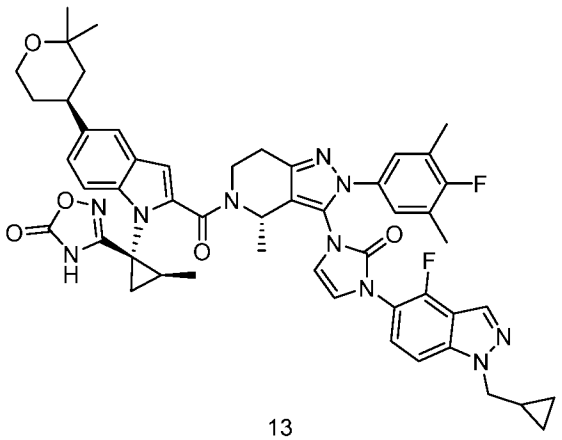


•1/2 Ca

In some embodiments, the compound of the present disclosure is

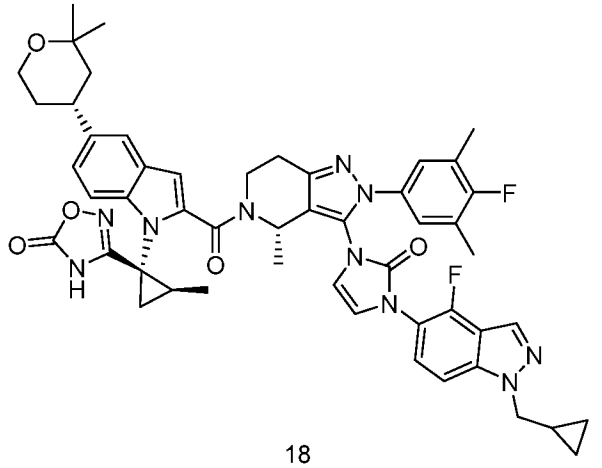


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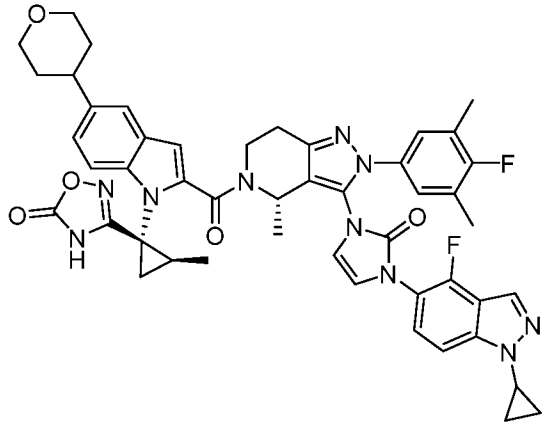
13

In some embodiments, the compound of the present disclosure is



18

In some embodiments, the compound of the present disclosure is

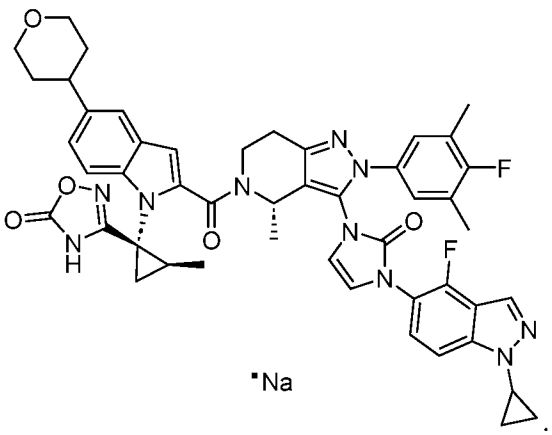


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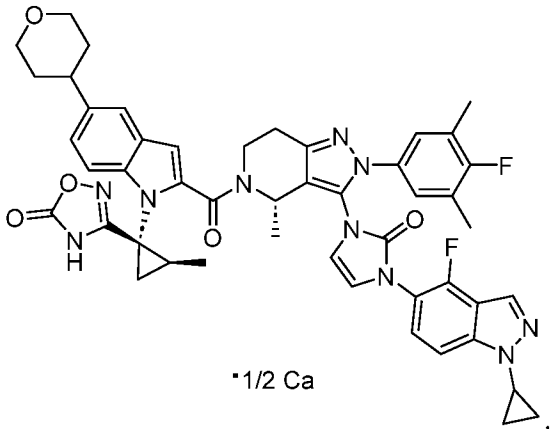
In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



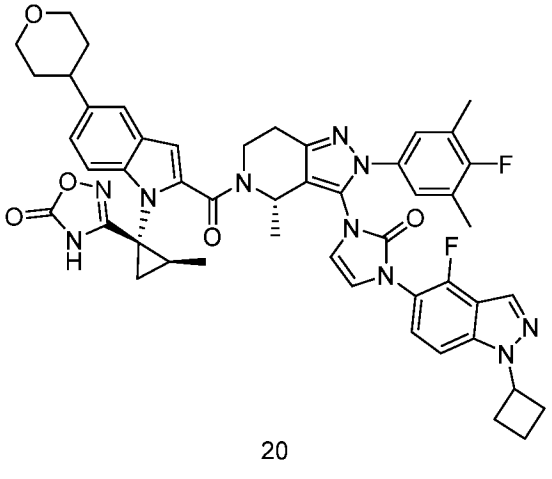
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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



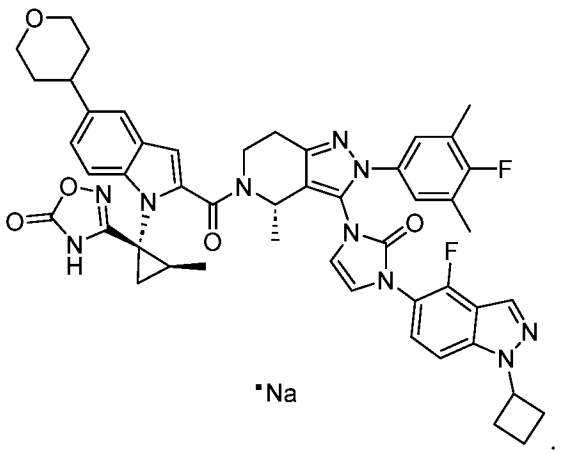

In some embodiments, the compound of the present disclosure is



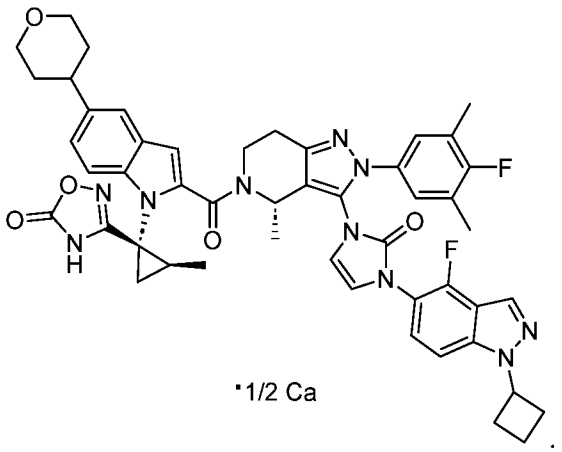

In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



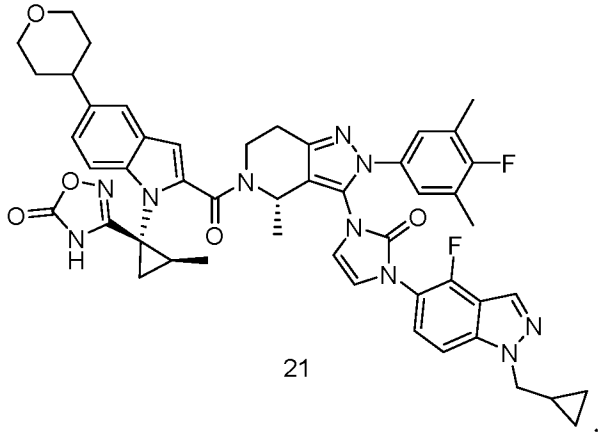
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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



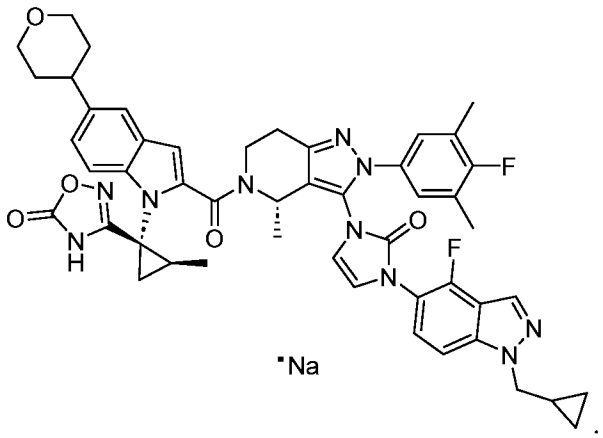

In some embodiments, the compound of the present disclosure is



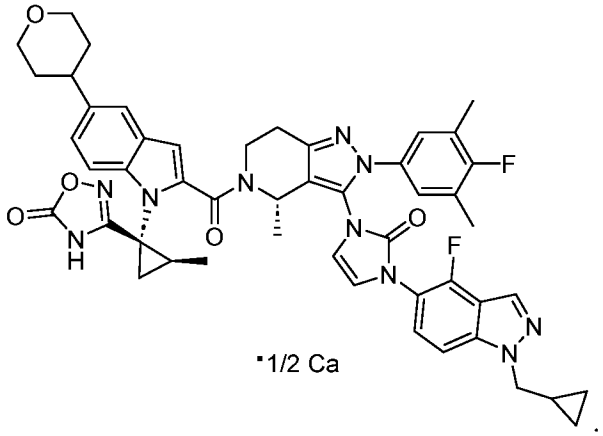

In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



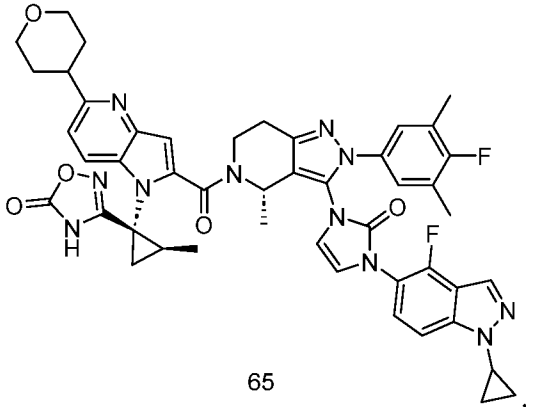
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In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



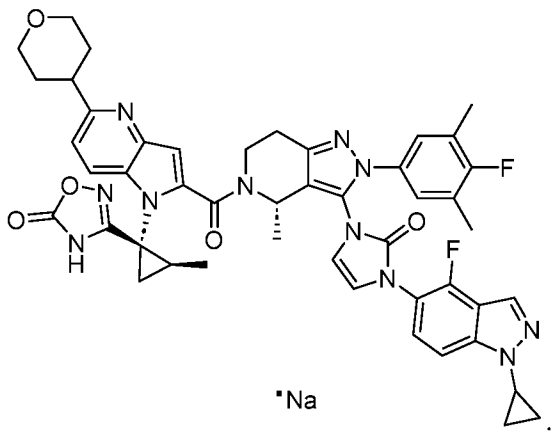

In some embodiments, the compound of the present disclosure is



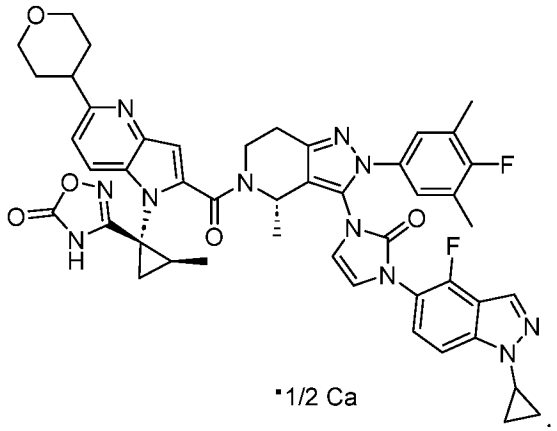

In some embodiments, the pharmaceutically acceptable salt of the compound of the present disclosure is



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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**

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

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).

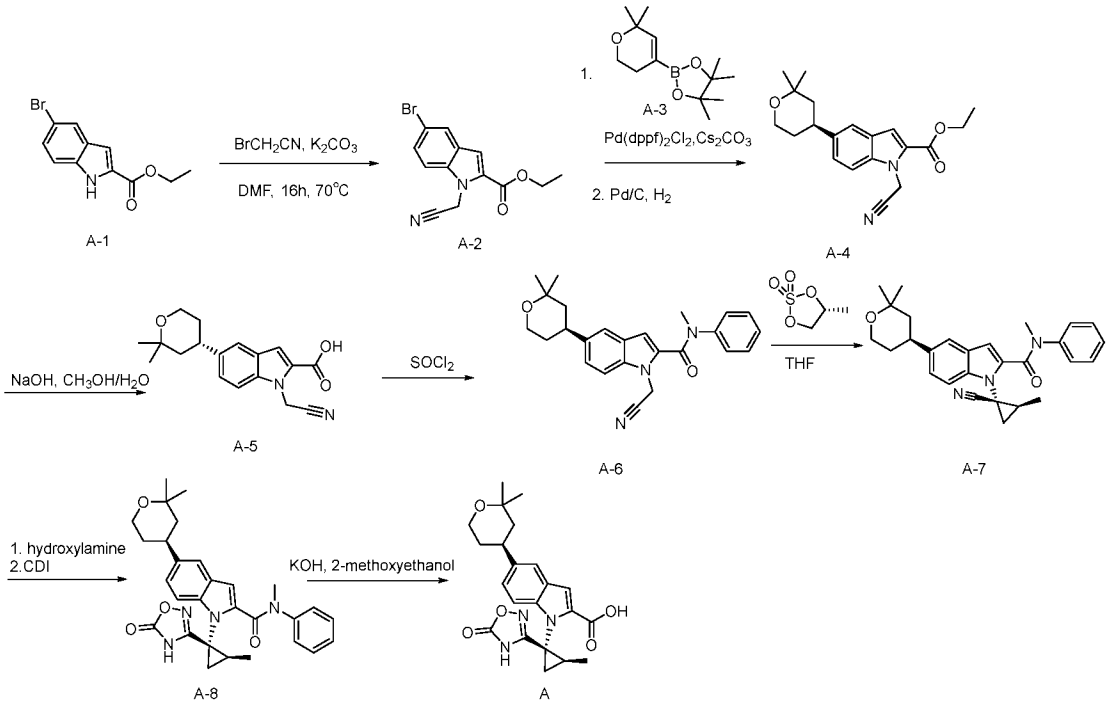
The terms “solvent”, “inert organic solvent”, or “inert solvent" refer to a solvent inert under the conditions of the reaction being described in conjunction therewith (including, for example, benzene, toluene, acetonitrile, tetrahydrofuran (“THF”), N, N-dimethylformamide (“DMF”), chloroform, methylene 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**




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%.

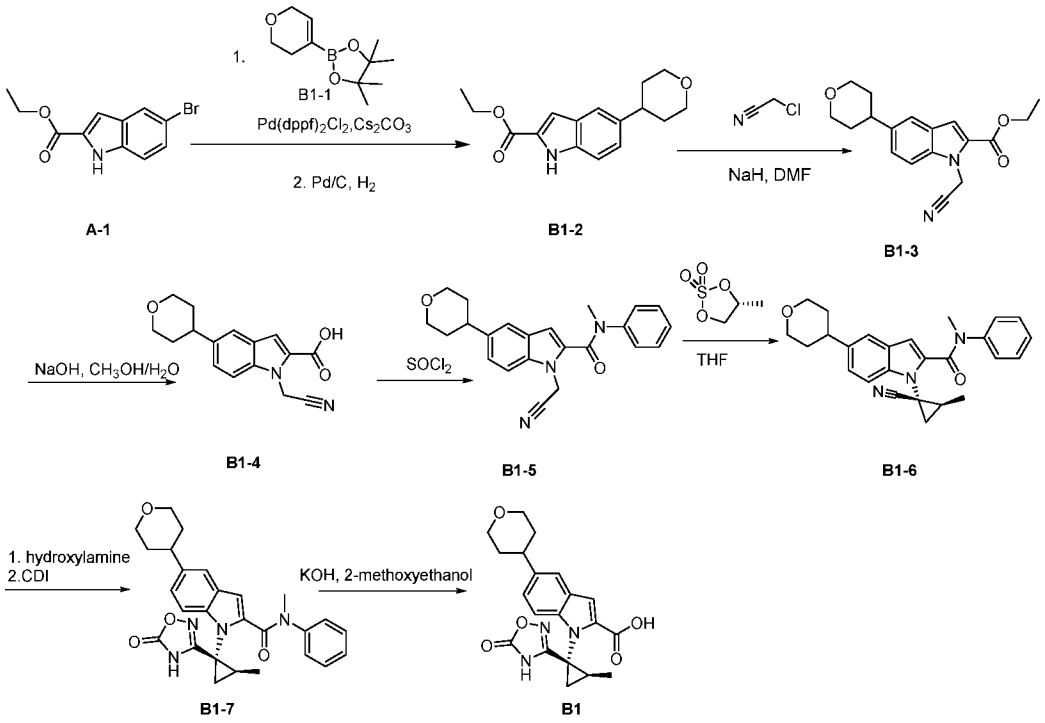
To a solution of **A-5** (300 mg, 1.1 mmol) in dichloromethane (10 mL), was added thionyl dichloride (520 mg, 4.4 mmol) and 1 drop of DMF at room temperature. The mixture was stirred for 6 hours. The mixture was concentrated to obtain an oil. To a solution of oil in dichloromethane (5 mL), was added 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**




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.

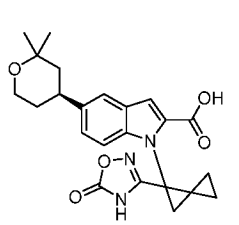
For the subsequent reactions, the preparation of intermediate A can be referred to in order to obtain **B1**.

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

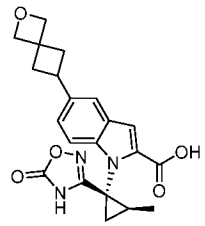
Following the preparation methods of intermediates A and B1, the following intermediates can be prepared:



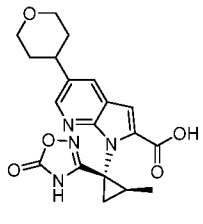
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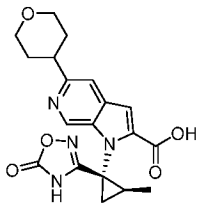
B



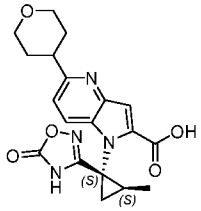
C



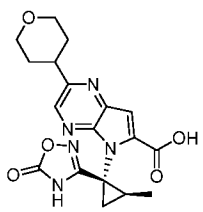
A1



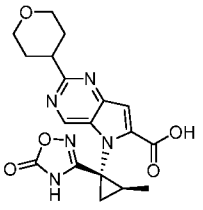
A2



A3

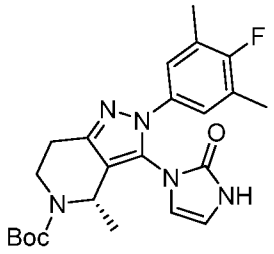


A4

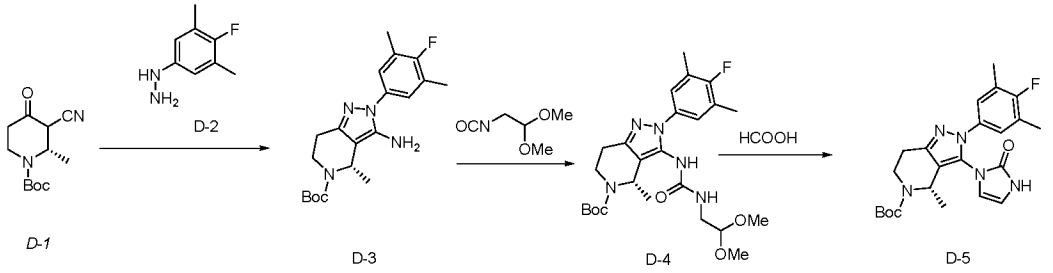


A5

**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

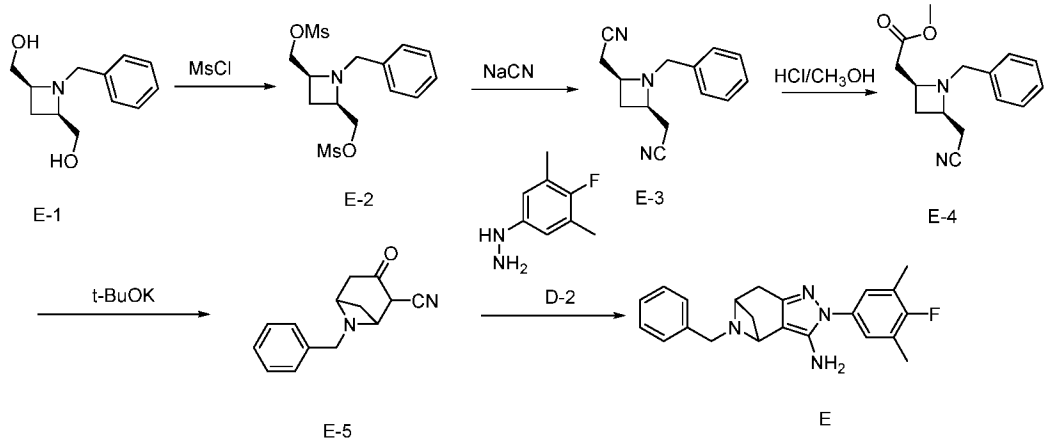


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for 2 hours. Water (20 mL) was added into mixture to extract to get an organic phase. The organic phase was concentrated under vacuum to afford **D-4**, which was used without purification in the next step.

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



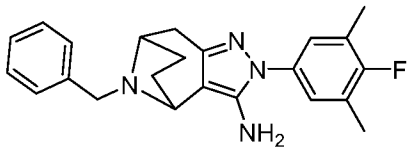
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was concentrated under vacuum to afford an oil. The oil was purified by column chromatography to afford **E-4**, 0.6 g, with a yield of 43.6%.

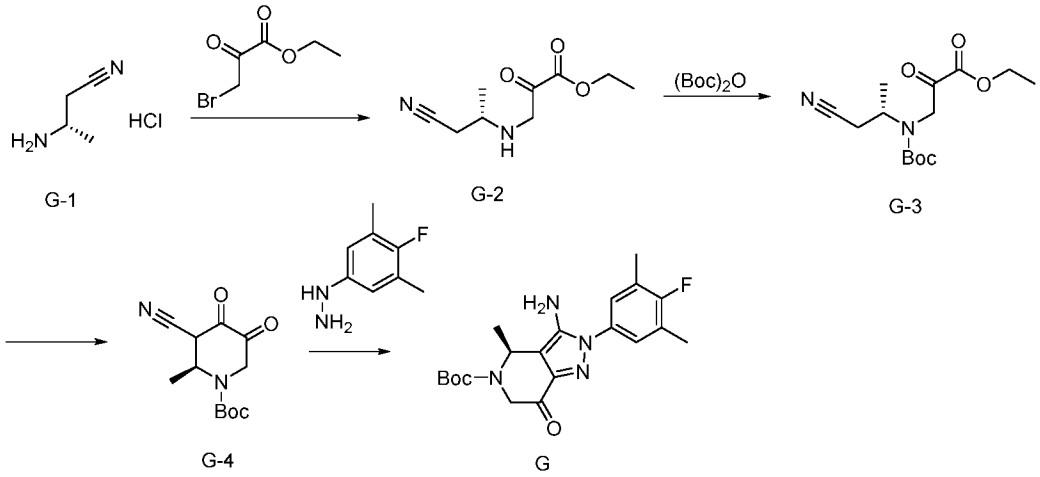
**E-4** (0.5 g, 1.9 mmol) and potassium tert-butoxide (1.09 g, 9.7 mmol) were added into THF (5 mL). The mixture was stirred overnight at room temperature. The mixture was adjusted to pH 7.0 with dilute hydrochloric acid. Ethyl acetate was added into the mixture for extraction to afford an organic phase. 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 G-2 G-3 G-4 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%.

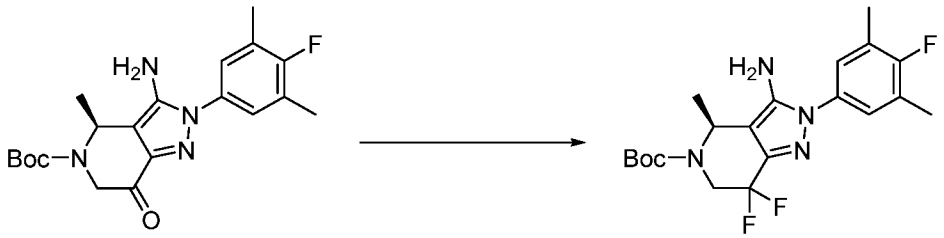
**G-2** (4.0 g, 20.2 mmol), $(Boc)_2O$ (6.6 g, 30.3 mmol) and triethylamine (6.13g, 60.5 mmol) were dissolved in THF (40 mL). The mixture was stirred overnight at room

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%.

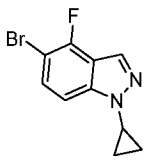
**Preparation of intermediate H**



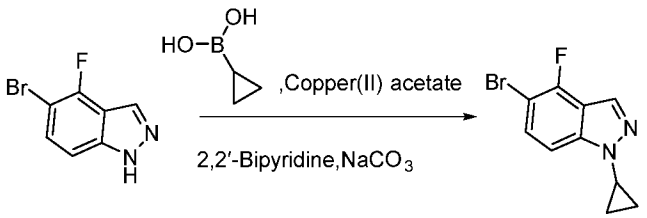

G H

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**



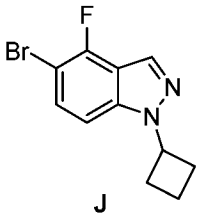

I



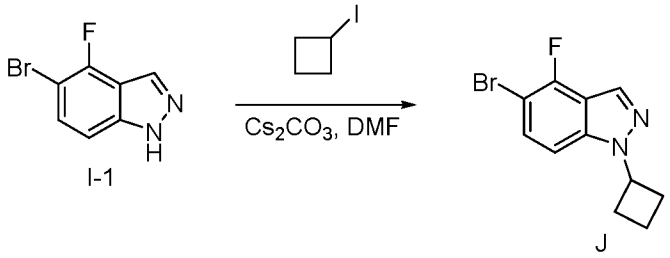

I-1 I

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



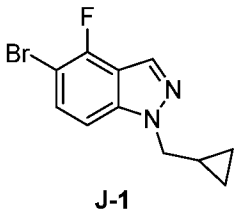

I-1 J

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%.

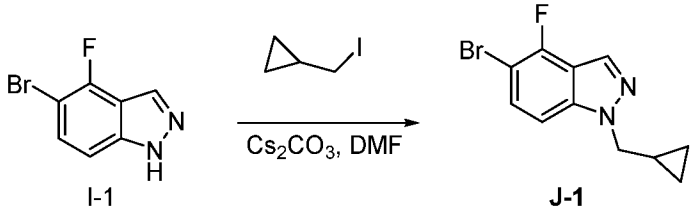
**Preparation of intermediate J-1**



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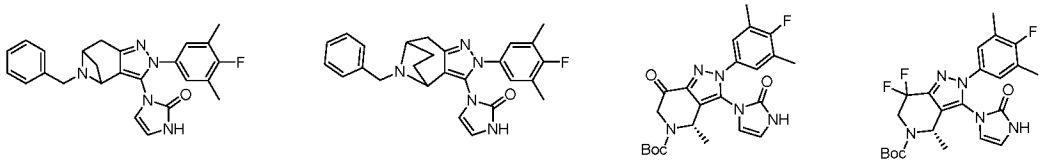
J-1




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%.

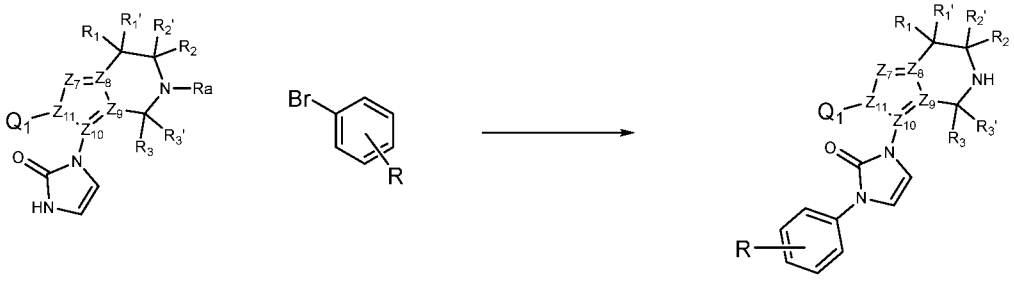
**Preparation of intermediates K, L, M and N**



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

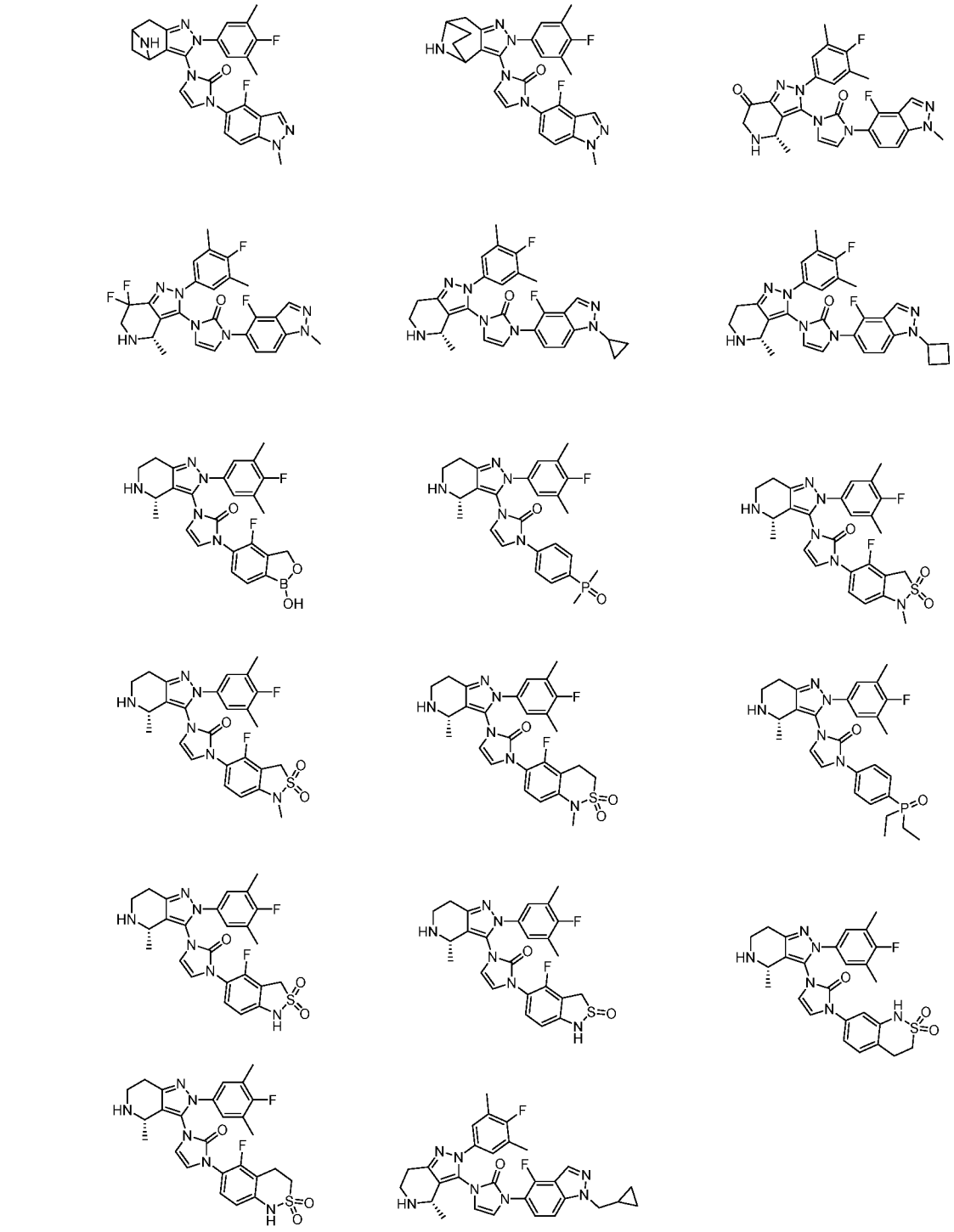
**O-1**(1 eq.) and (1R, 2R)-(-)-N, N'- dimethyl -1, 2- cyclohexanediamine (0.5 eq.), CuI (0.5 eq.), bromide (1.5 eq.) and potassium carbonate (3 eq.) were added to NMP. The mixture was stirred overnight at 100~110 °C. After cooling down, the mixture was filtered to afford a filtrate, then water (10 V)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

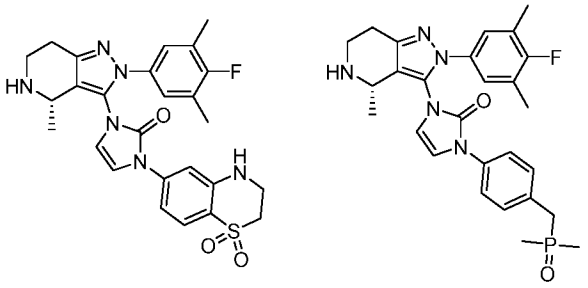


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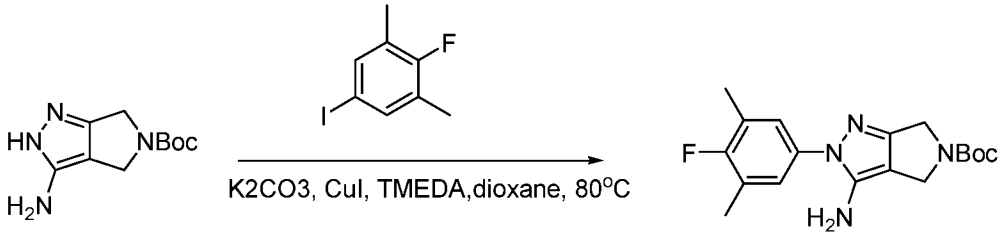
was extracted to separate out an organic phase. The organic phase was concentrated under vacuum and then purified by column chromatography to obtain the target compound.

The following compounds can be prepared by this method:





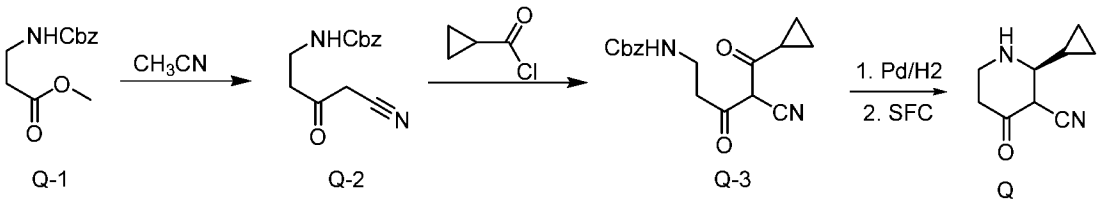
**Preparation of intermediate P**




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



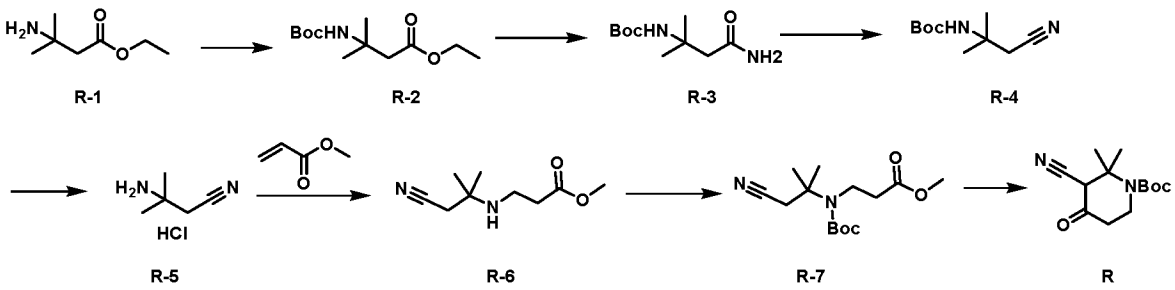
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concentrated under vacuum and purified by silica gel column chromatography (PE / EtOAc: 0%-50%) to give a yellow oil.

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.

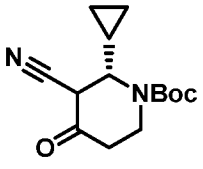
**R-4** (5.3 g, 26.7 mmol) was dissolved in HCl/EtOAc (4M, 30 mL). The mixture was stirred for 2 hours at room temperature. A solid was precipitated. The mixture was filtrated to afford **R-5**, 3.2 g, 88.9 %.

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%.

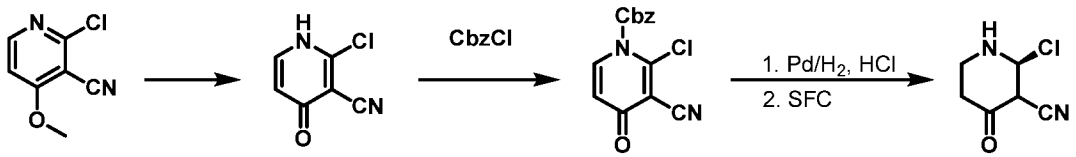
**Preparation of intermediate S**

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**

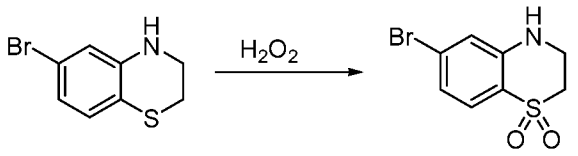
To a solution of **T-1** (10.0 g, 59.3 mmol) in THF (100 mL), was added boron tribromide (120 mL, 1M in DCM). The mixture was stirred for 3 hours at room temperature. The mixture was quenched with $Na_2CO_3$ (aq.) and extracted with DCM. The organic layer was

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%.

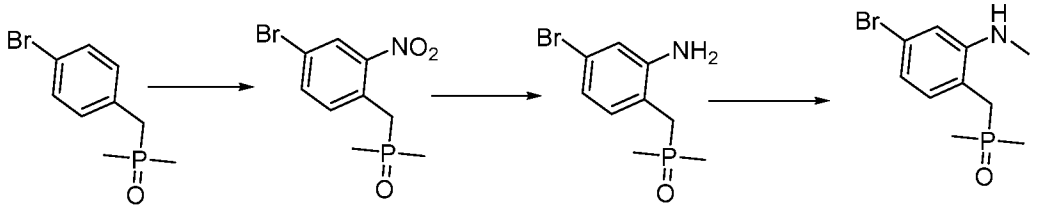
**Preparation of intermediate AA**




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%.

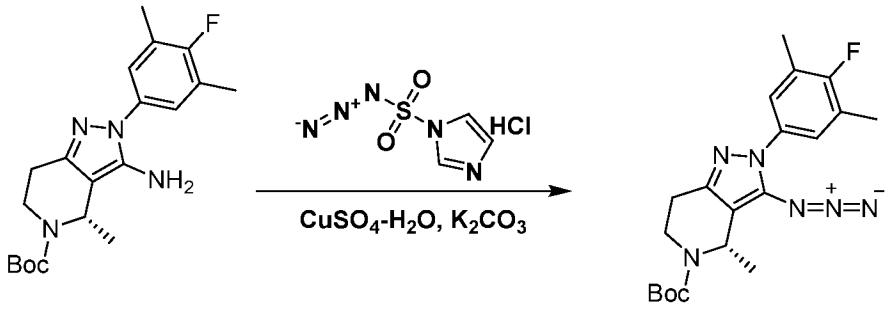


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**AB-2** (0.7 g, 2.4 mmol) was dissolved in methanol (5 mL).The saturated ammonium chloride (5 mL) and iron powder (0.54g, 9.6 mmol) was added into the mixture. The mixture was heated to 80°C for 3 hours. After the mixture was cooled to room temperature, 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%.

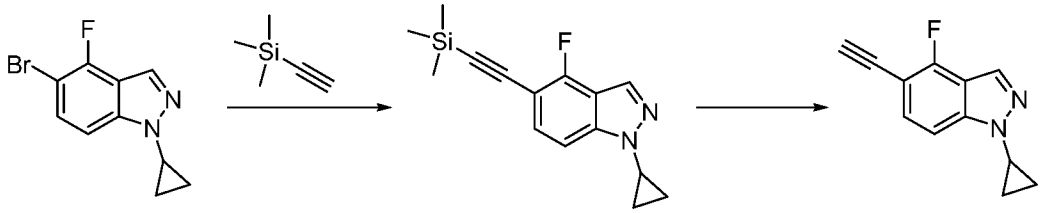
**Preparation of intermediate AC**




D-3 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**

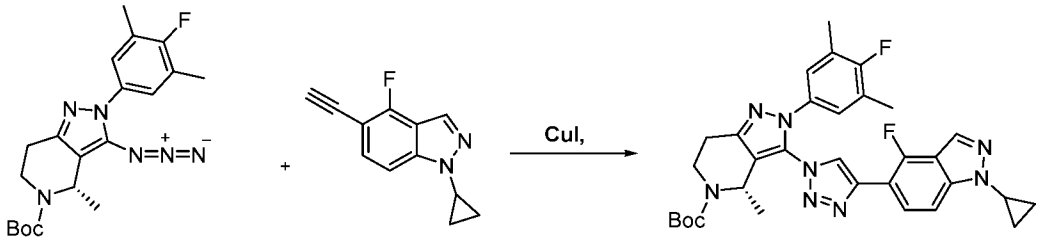



AD-1 AD-2 AD

**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%.

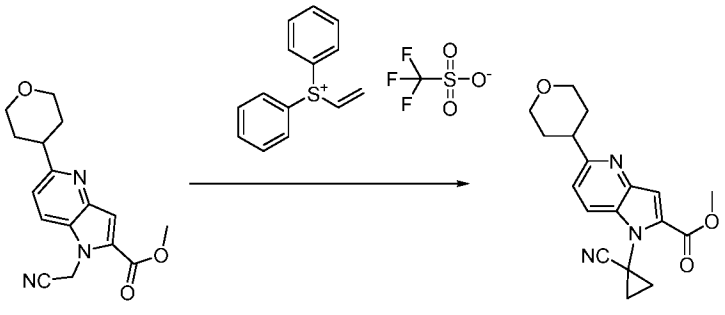
**Preparation of intermediate AE**




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%.

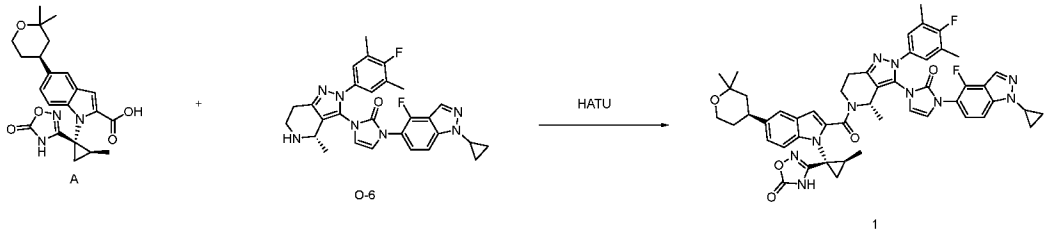
**Preparation of intermediate AF**




AF-1 AF

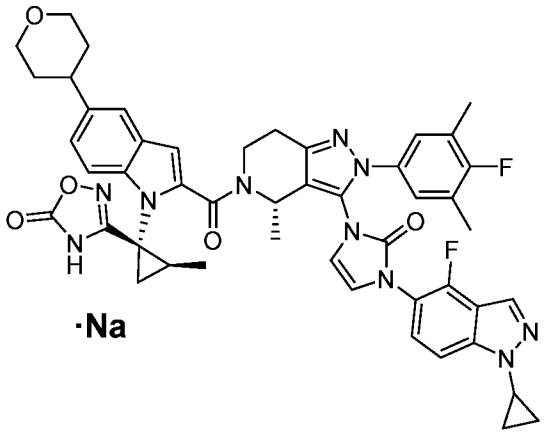
To a solution of **AF-1** (300 mg, 1.00 mmol) in DMSO (10 mL), was added diphenyl(vinyl)sulfonium triflate (0.40 g, 1.1 mmol) and DBU (0.46 g, 3 mmol). The mixture was stirred 2 hours at room temperature. Ethyl acetate (50 mL) and water (20 mL) were added dropwise into the reaction mixture, and the organic 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**




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**

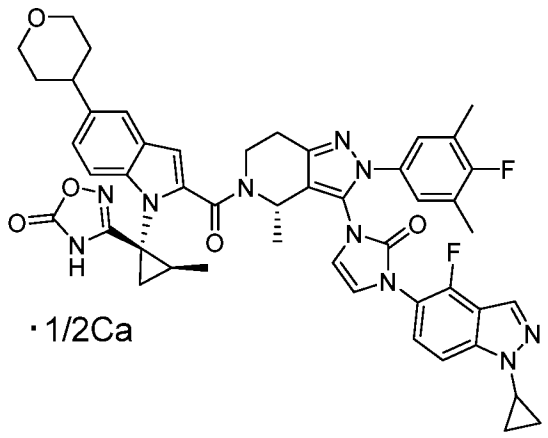



To a solution of compound **19** (1 g, 1.14 mmol) in a mixture solution of dichloromethane (5 mL) and methanol (5 mL), was added a solution of NaOH (50 mg, 1.25 mmoll) in MeOH (1mL). The mixture was stirred overnight. The reaction mixture was concentrated under vacuum. Then the residue was slurry washed 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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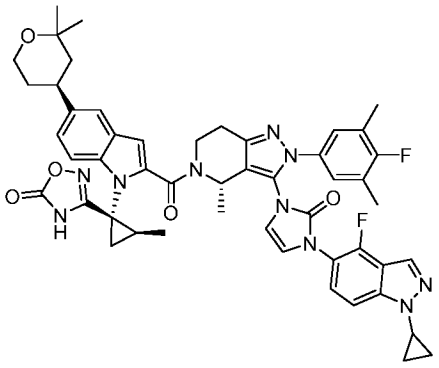
**Preparation of the Calcium salt of compound 19**

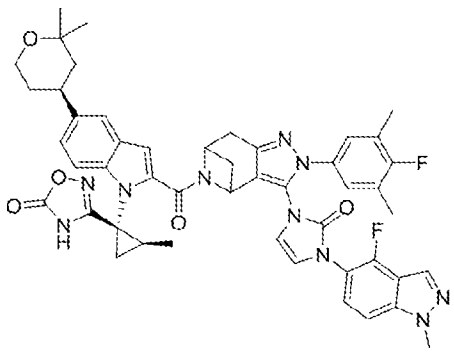
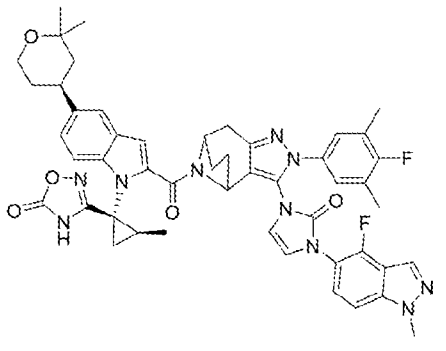


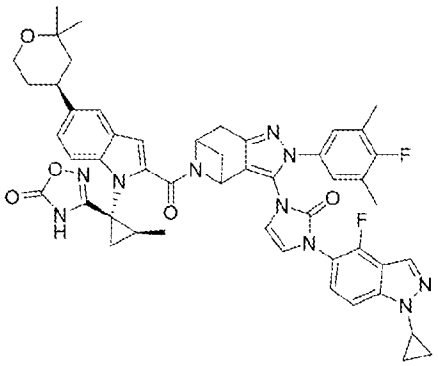
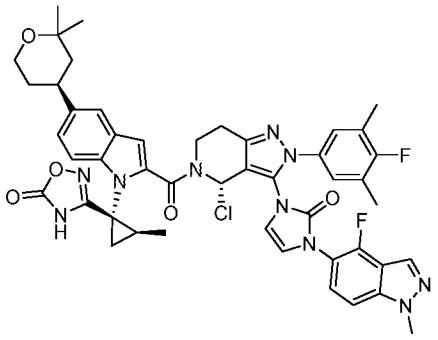
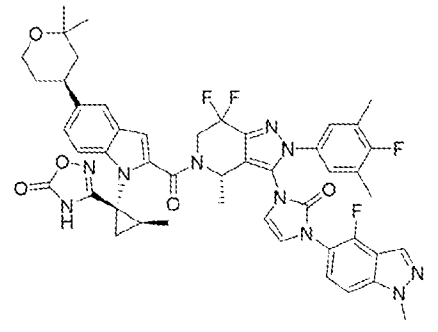

The sodium salt of compound **19** (0.5g, 0.55 mmol) was added into THF (5 mL). Calcium acetate (89.34mg, 0.56 mmol) was added into the reaction mixture. After the reaction mixture became clear, the mixture was stirred for 8 hours. The reaction mixture was concentrated under vacuum, then the residue 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.

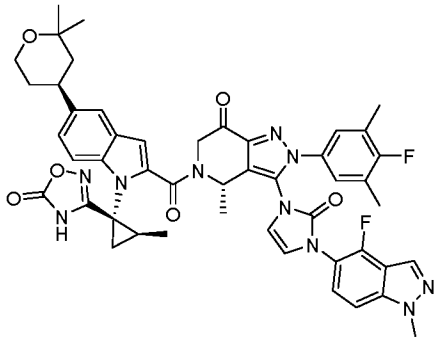
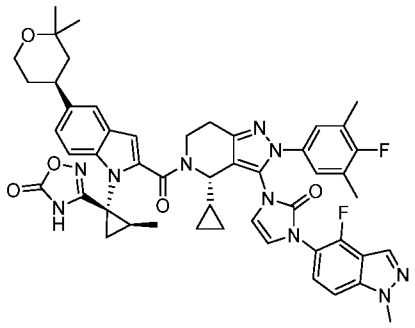
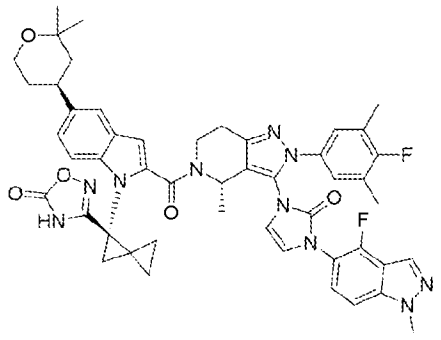
According to the preparation method described in this paper, the following compounds were prepared by using appropriate starting materials and intermediates and, if necessary, appropriate protective group chemistry. The structures were confirmed by MS and *1H*NMR.

**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). |

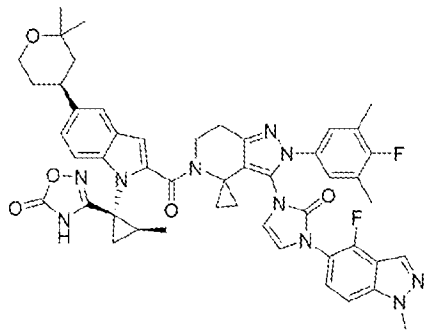
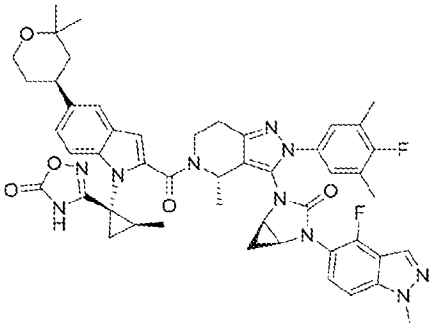
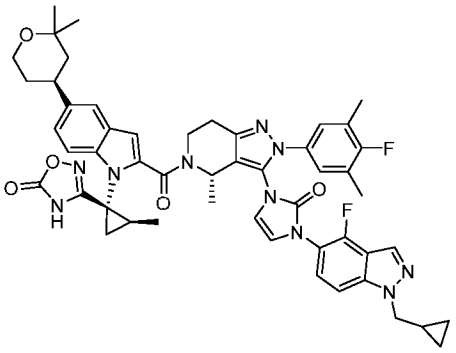
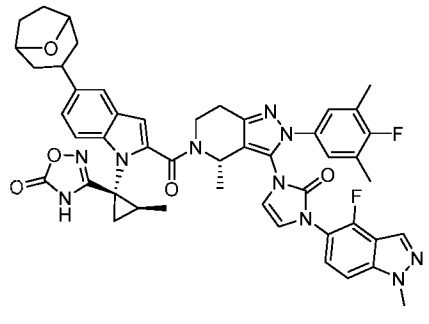
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 2 | | 923.41 | $^1$H NMR (500 MHz, Chloroform-*d*) δ 10.92(s, 1H), 8.38 – 8.28 (m, 2H), 8.18 (d, *J* = 6.0 Hz, 1H), 7.89 – 7.82 (m, 1H), 7.63 – 7.57 (m, 1H), 7.52 – 7.40 (m, 4H), 7.22 (d, *J* = 2.0 Hz, 1H), 7.12 – 7.04 (m, 1H), 5.23 – 5.13 (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). |

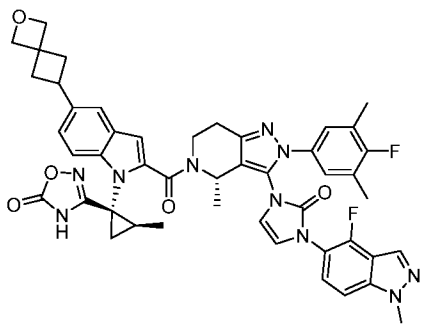
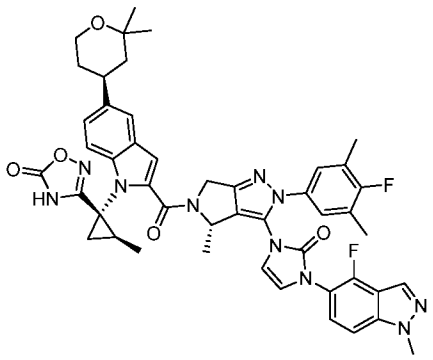
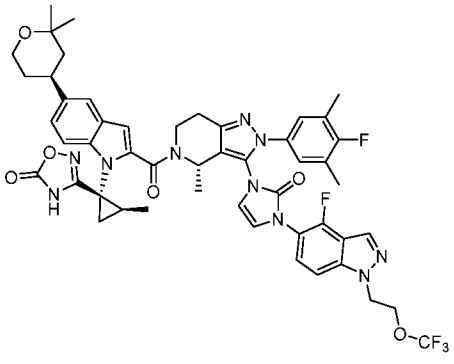
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 5 |  | 907.38 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.21 (s, 1H), 8.39 – 8.29 (m, 3H), 7.94 – 7.88 (m, 1H), 7.68 – 7.58 (m, 2H), 7.46 – 7.38 (m, 3H), 7.25 (d, $J$ = 2.0 Hz, 1H), 7.09 – 7.05 (m, 1H), 5.63 – 5.57 (m, 1H), 4.70 – 4.63 (m, 1H), 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). |

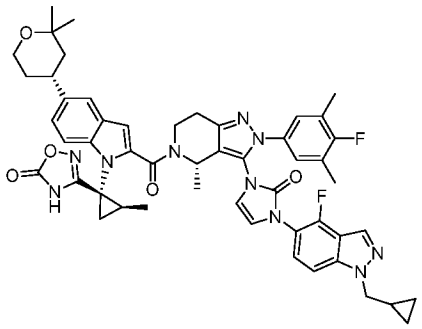
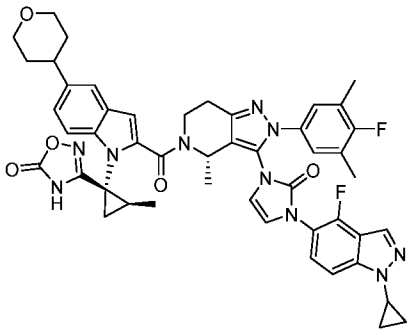
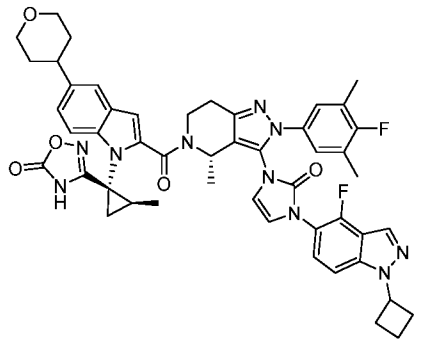
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 8 |  | 897.36 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ11.26 (s, 1H), 8.36 – 8.29 (m, 2H), 8.17 – 8.11 (m, 1H), 7.83 – 7.75 (m, 1H), 7.68 – 7.63 (m, 1H), 7.57 – 7.51 (m, 1H), 7.47 – 7.40 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.03 (m, 1H), 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). |

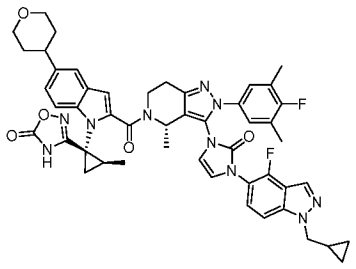
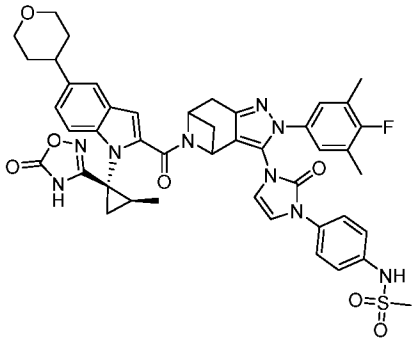
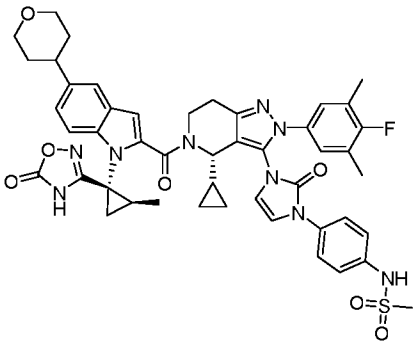
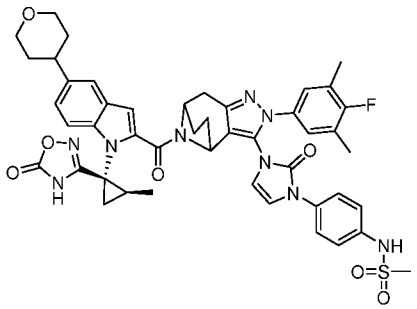


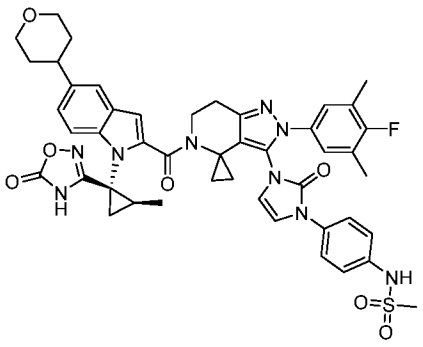
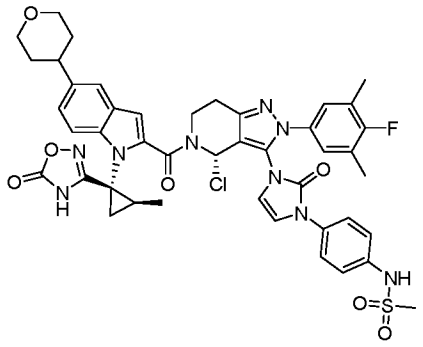
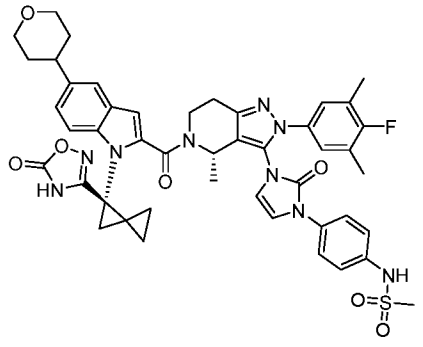
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| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 11 |  | 895.38 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.21 (s, 1H), 8.34 – 8.23 (m, 2H), 8.14 (d, *J* = 4.9 Hz, 1H), 7.82 – 7.76 (m, 1H), 7.67 – 7.63 (m, 1H), 7.54 (d, *J* = 8.9 Hz, 1H), 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). |

| 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). |

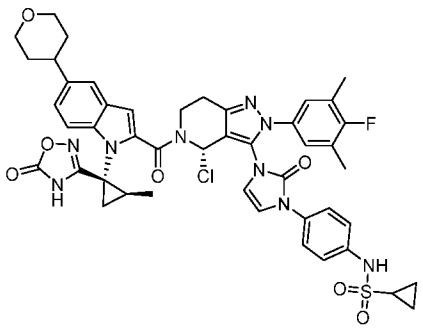
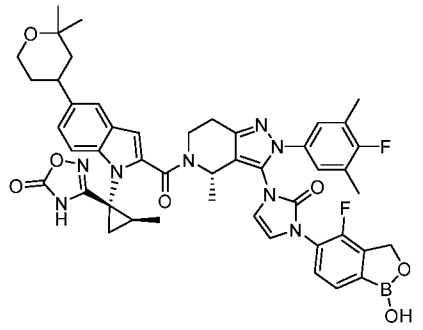
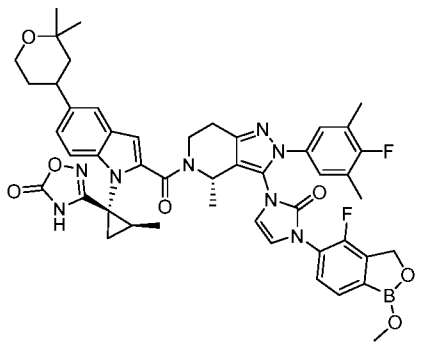
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 18 |  | 923.04 | $^1$H NMR (500 MHz, DMSO-$d_6$) δC11.16 (s, 1H), 8.37 – 8.29 (m, 2H), 8.25 (d, *J* = 4.9 Hz, 1H), 7.85 – 7.78 (m, 1H), 7.67 – 7.62 (m, 1H), 7.48 – 7.37 (m, 4H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.04 (m, 1H), 5.22 – 5.13 (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). |

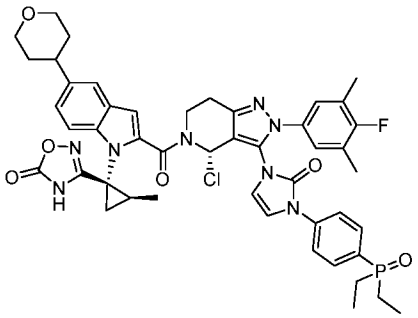
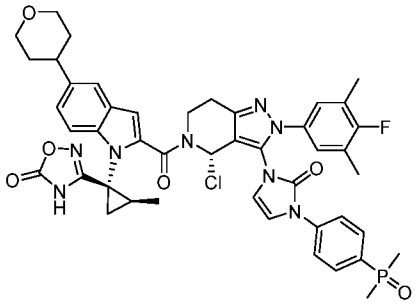
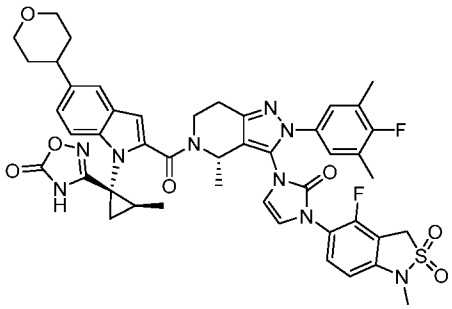
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 21 |  | 895.44 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.72 (s, 1H), 8.35 – 8.23 (m, 3H), 7.86 – 7.78 (m, 1H), 7.66 – 7.62 (m, 1H), 7.48 – 7.38 (m, 4H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.21 – 5.13 (m, 1H), 4.10 – 3.87 (m, 4H), 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). |

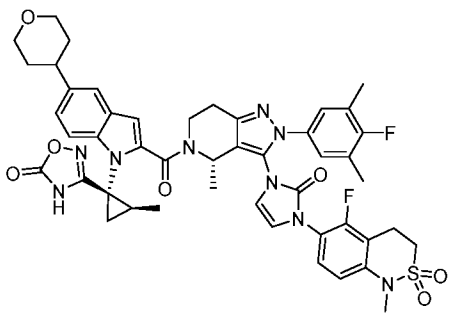
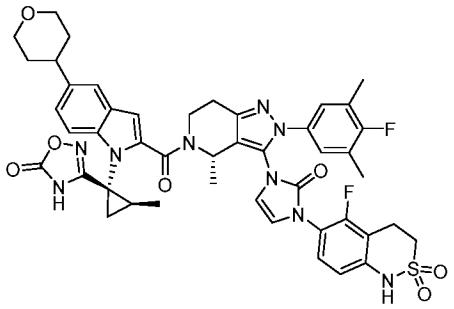
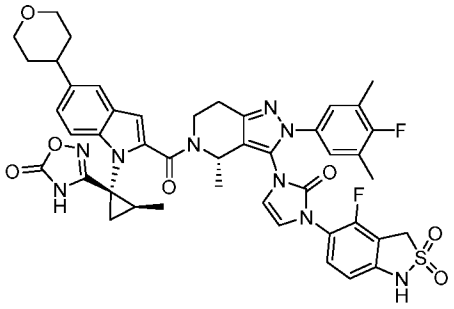
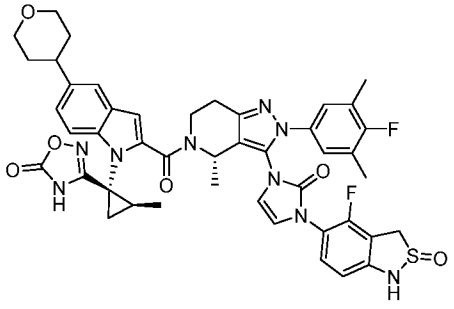
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 25 |  | 888.32 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.76 (s, 1H), 9.16 (s, 1H), 8.34 (d, *J* = 6.0 Hz, 1H), 8.17 (d, *J* = 6.0 Hz, 1H), 7.64 (t, *J* = 2.1 Hz, 1H), 7.47 – 7.36 (m, 5H), 7.27 – 7.16 (m, 3H), 7.10 – 7.02 (m, 1H), 3.92 – 3.83 (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). |

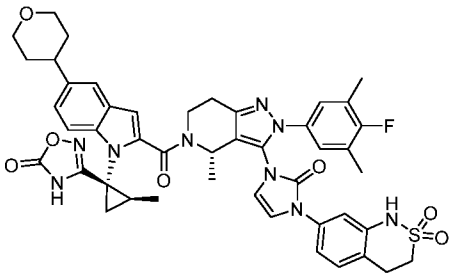
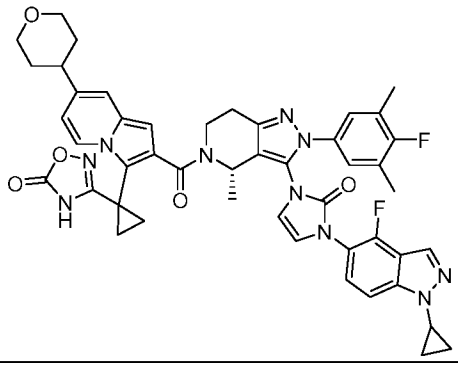
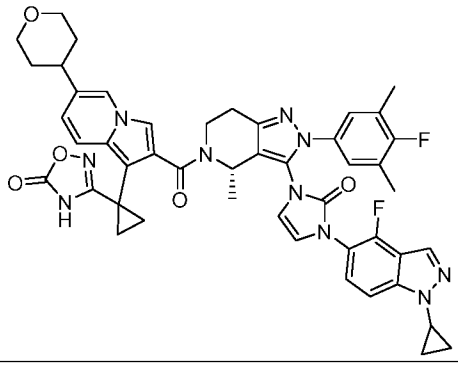
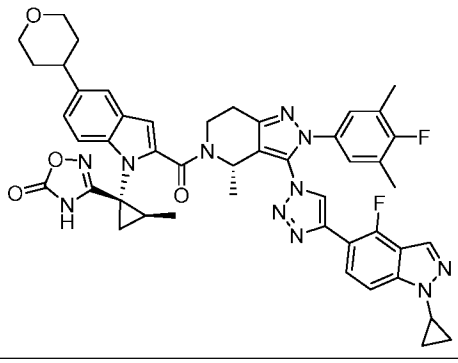


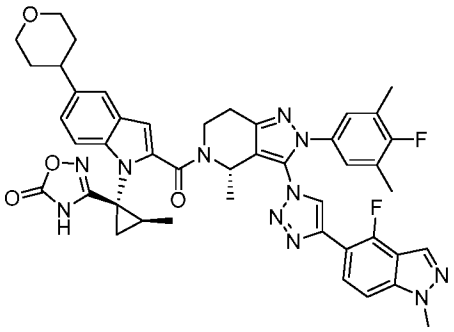
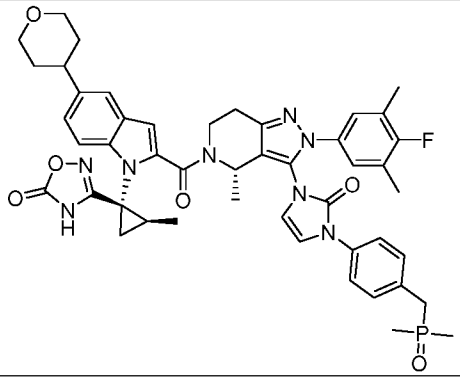
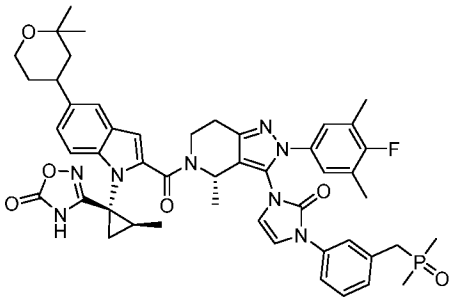
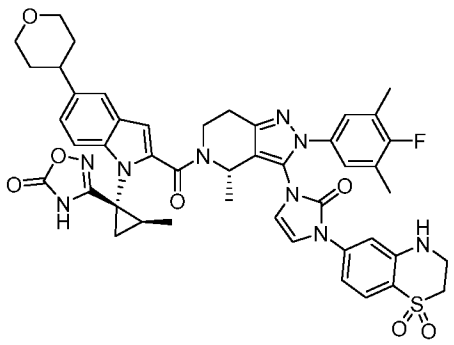
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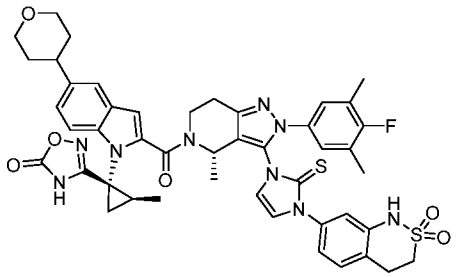
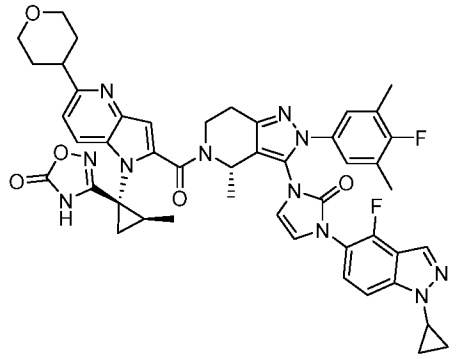
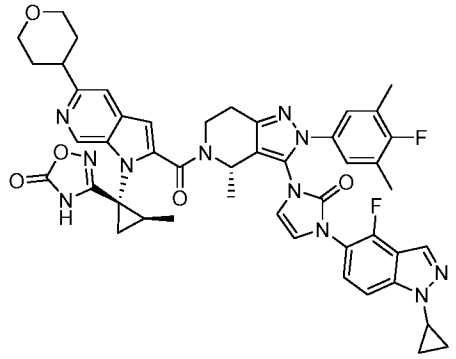
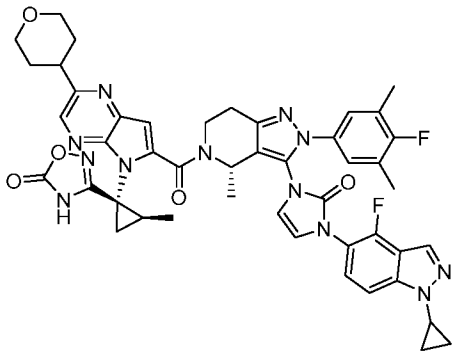
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 28 |  | 922.28 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.31(s, 1H), 9.16 (s, 1H), 8.37 (d, $J$ = 6.0 Hz, 1H), 8.18 (d, $J$ = 6.0 Hz, 1H), 7.64 (s, 1H), 7.64 (d, $J$ = 4.1 Hz, 0H), 7.43 (d, $J$ = 7.5 Hz, 1H), 7.42 – 7.37 (m, 4H), 7.23 – 7.17 (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). |

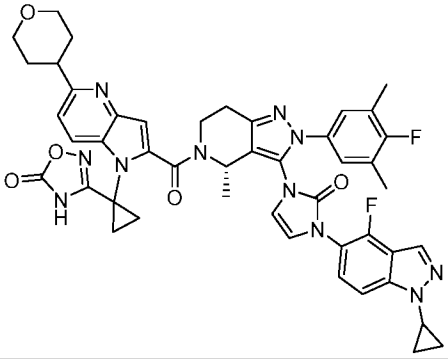
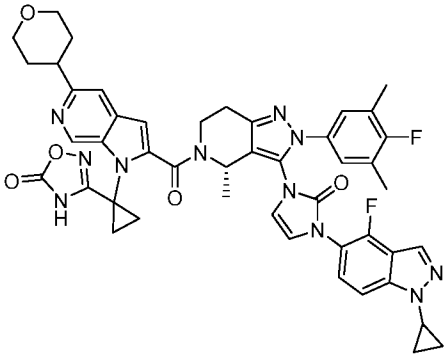
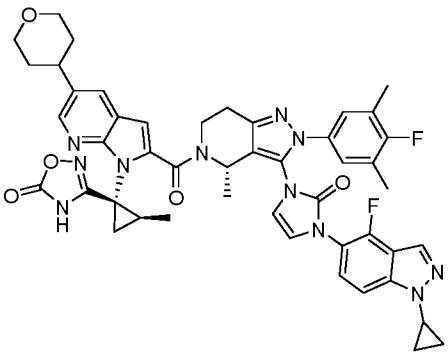
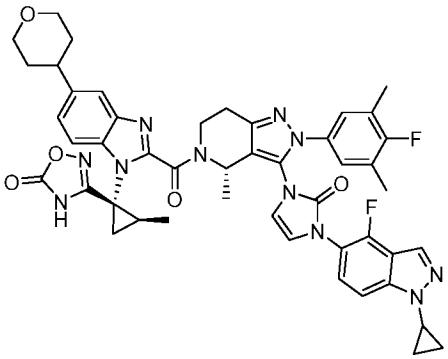
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 31 |  | 907.34 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.73 (s, 1H), 8.37 (d, $J$ = 6.0 Hz, 1H), 8.18 (d, $J$ = 6.0 Hz, 1H), 7.81 – 7.75 (m, 2H), 7.75 – 7.69 (m, 2H), 7.66 – 7.62 (m, 1H), 7.43 (d, $J$ = 7.5 Hz, 1H), 7.40 (d, $J$ = 5.1 Hz, 2H), 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). |

| 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). |

| 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). |

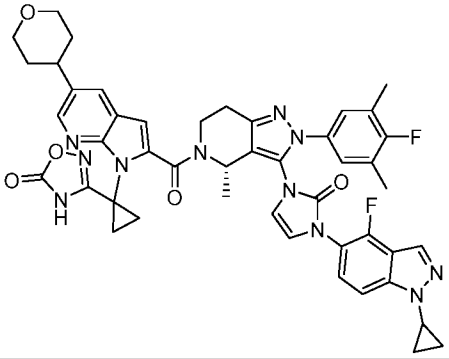
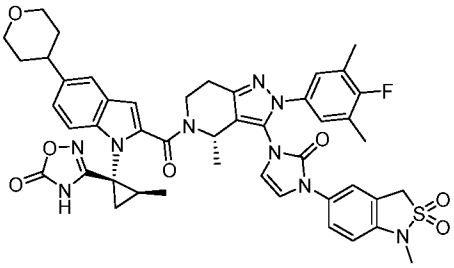
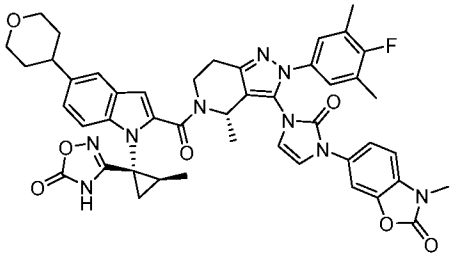
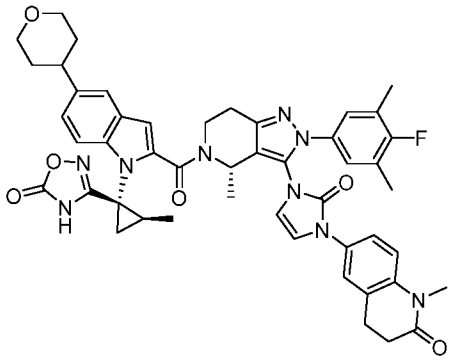
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 49 |  | 840.35 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.51 (s, 1H), 8.47 – 8.37 (m, 2H), 7.97 – 7.87 (m, 1H), 7.69 – 7.59 (m, 2H), 7.49 – 7.39 (m, 3H), 7.21 (d, $J$ = 2.0 Hz, 1H), 7.14 – 7.12 (m, 1H), 6.48 – 6.44 (m, 1H), 5.36 – 5.26 (m, 1H), 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). |

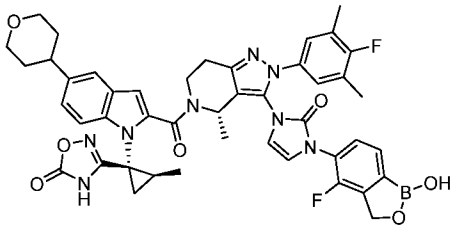
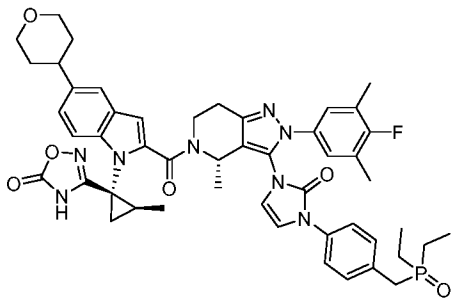
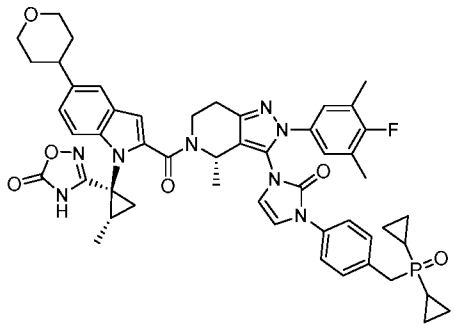
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 58 |  | 904.30 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.77 (s, 1H), 8.40 – 8.29 (m, 2H), 7.97 – 7.87 (m, 1H), 7.65 – 7.55 (m, 2H), 7.48 – 7.39 (m, 3H), 7.22 (d, *J* = 2.0 Hz, 1H), 7.13 – 7.09 (m, 1H), 6.43 – 6.40 (m, 1H), 5.29 – 5.19 (m, 1H), 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). |

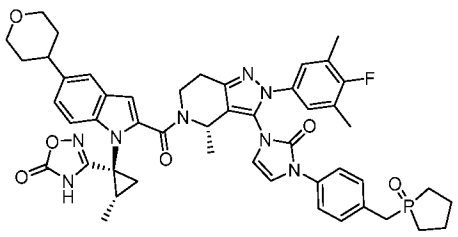
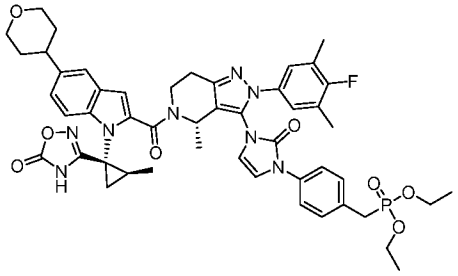
| Compounds | Structures | MS | $^1$HNMR |
|---|---|---|---|
| 68 |  | 868.34 | $^1$H NMR (500 MHz, DMSO-$d_6$) δ 11.64 (s, 1H), 8.40 – 8.28 (m, 3H), 7.96 – 7.86 (m, 1H), 7.60 – 7.58 (m, 1H), 7.47 – 7.36 (m, 3H), 7.21 (d, *J* = 2.0 Hz, 1H), 7.09 – 7.03 (m, 1H), 5.23 – 5.13 (m, 1H), 4.56 – 4.49 (m, 1H), 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). |

| 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). |

| 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.

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)

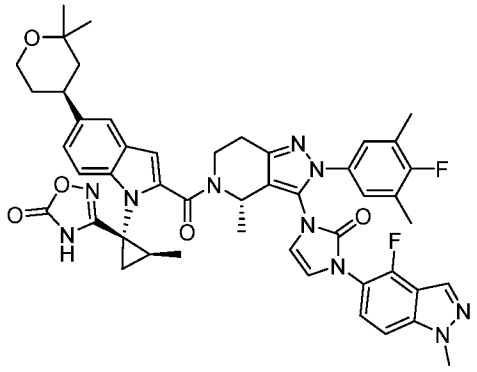
rats

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 =

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**:

**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.

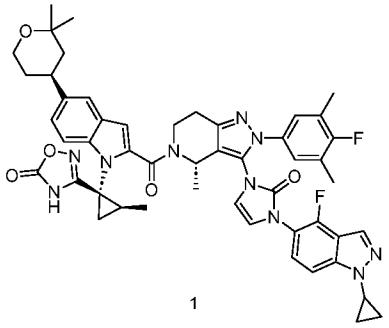
**Sample collection**: Blood was collected 45 minutes before the glucose injection (non-anesthetized) and 6 minutes before the glucose injection (under anesthesia). After the glucose injection (under anesthesia), blood was collected at the following time points: 1, 5, 10, 15, 20, 30, 40, and 60 minutes. 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.

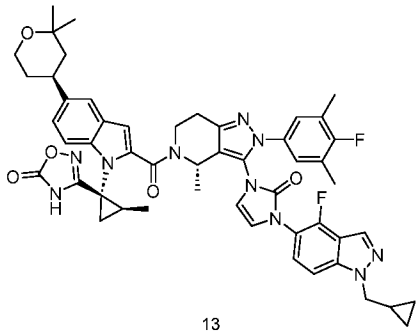
**Results**: The compound of the present disclosure significantly increased the secretion of insulin and C-peptide in cynomolgus monkeys, while blood glucose levels were reduced as well.

**WHAT IS CLAIMED IS:**

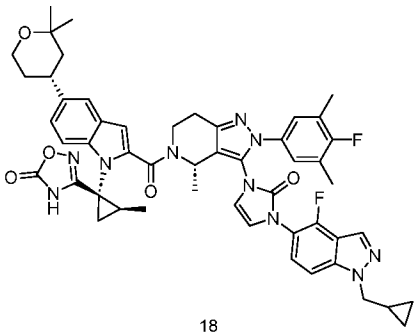
1. A compound or a pharmaceutically acceptable salt thereof, wherein the compound is selected from the group consisting of:



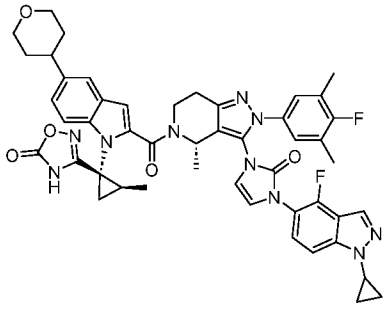
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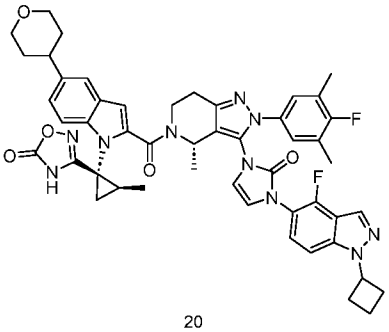
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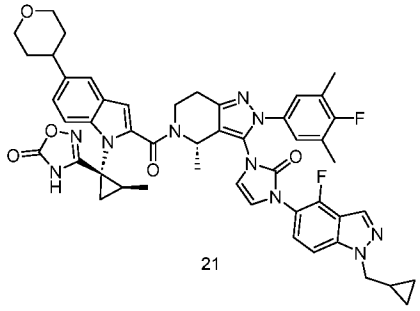
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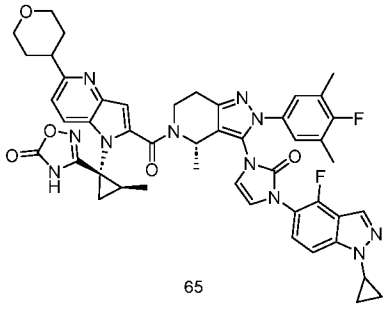


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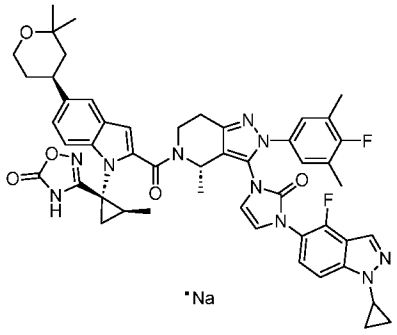
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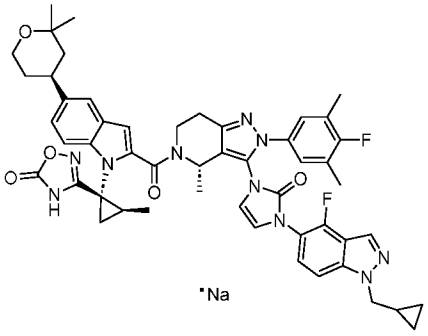


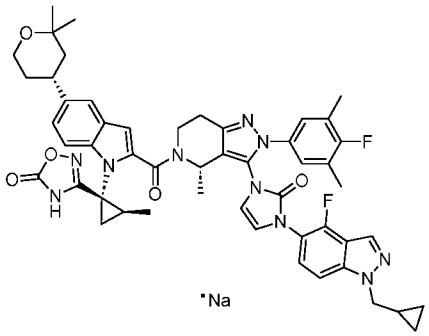
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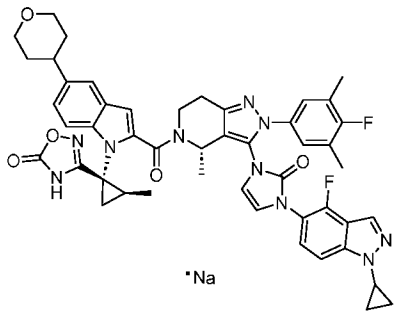
2. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is selected from the group consisting of a sodium salt, a calcium salt, a potassium salt, a magnesium salt and a lithium salt.

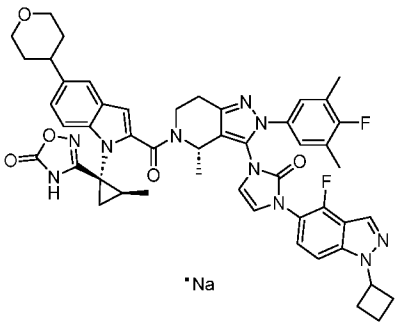
3. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is selected from the group consisting of:

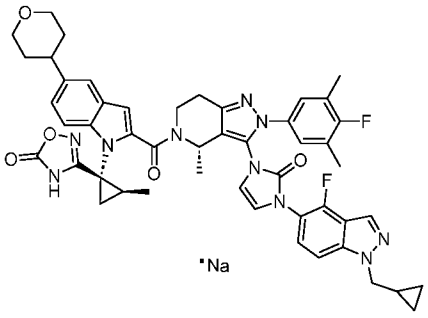


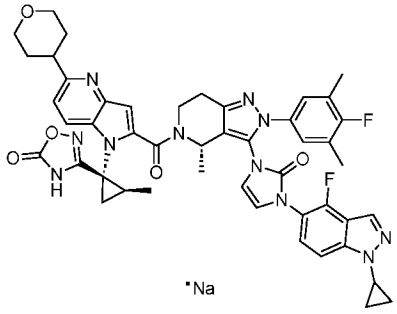



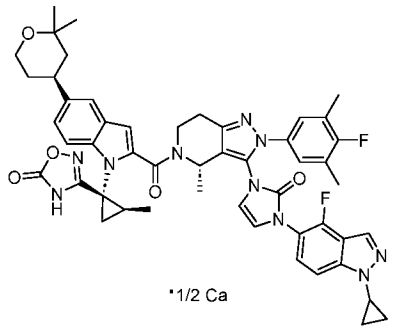

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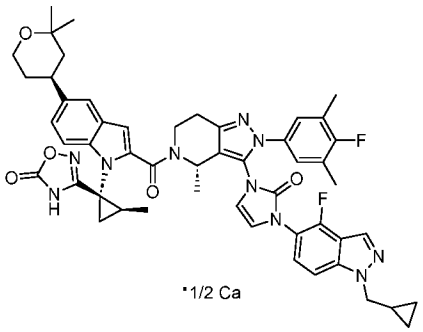


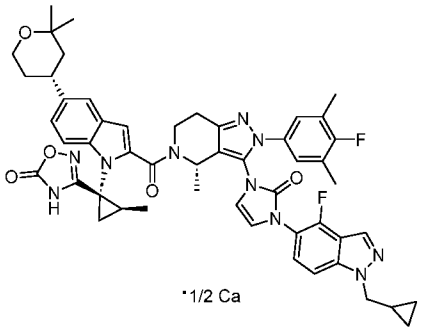

4. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is selected from the group consisting of:

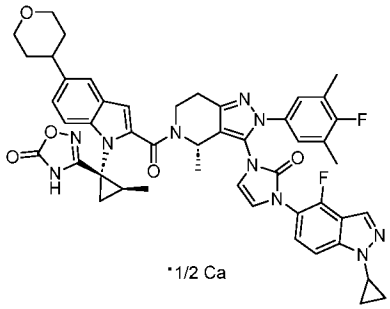


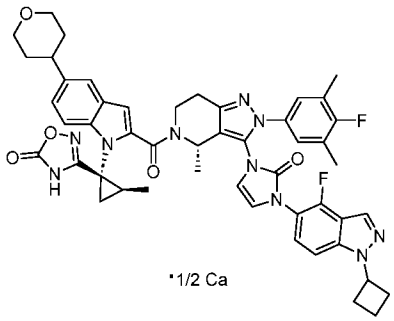
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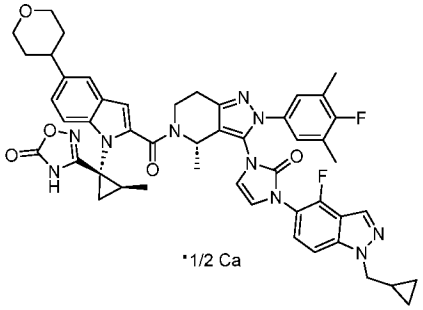


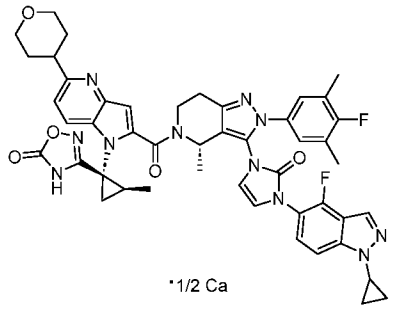



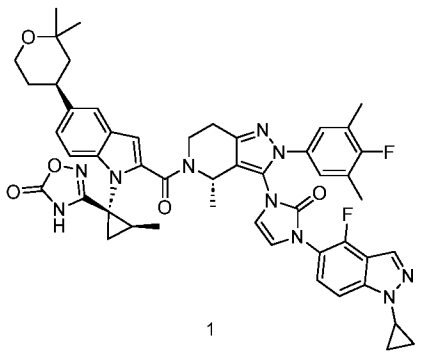

and



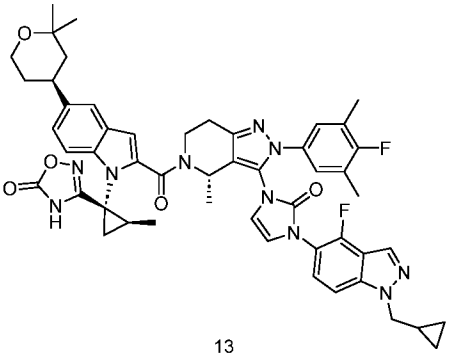

5. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is selected from the group consisting of:



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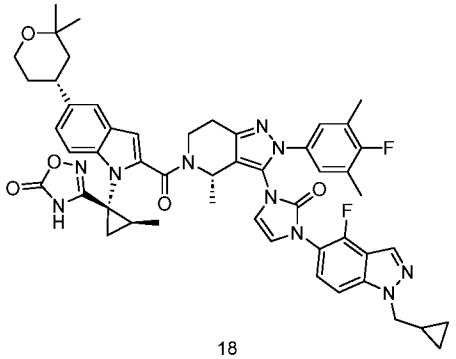


1



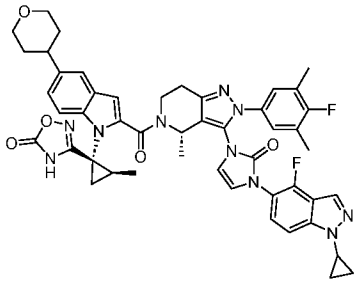
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and

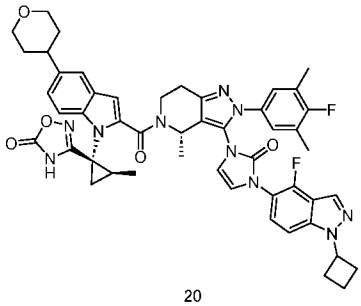


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6. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is selected from the group consisting of:

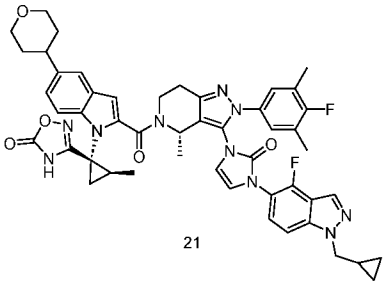


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and

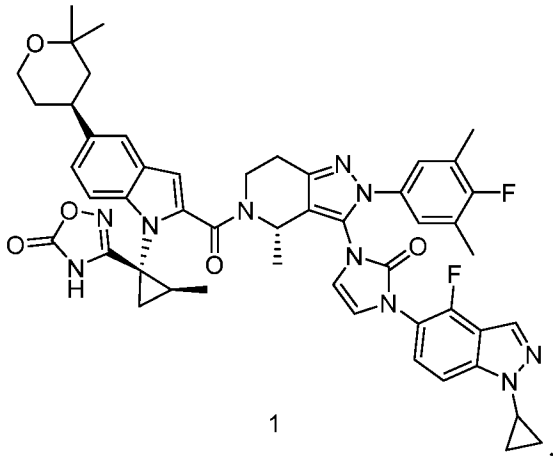


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7. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is

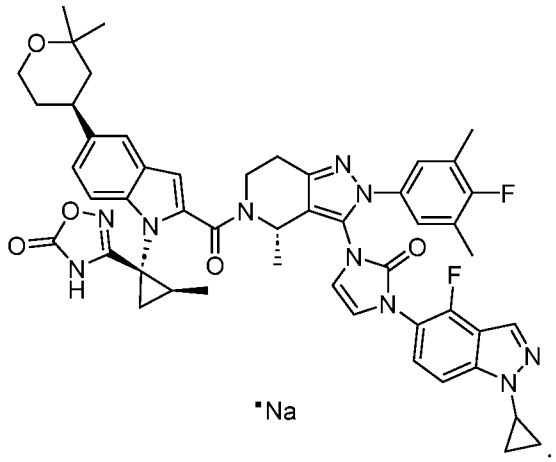


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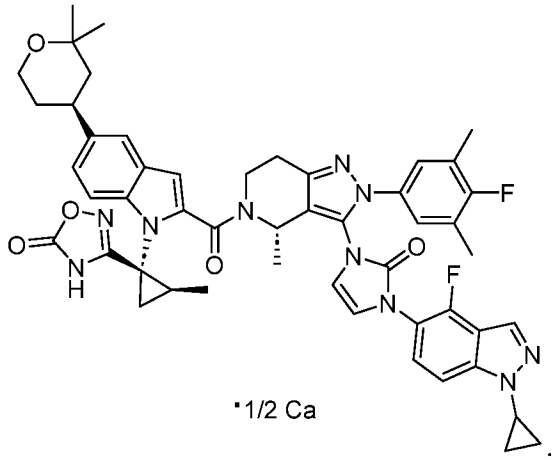
1

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

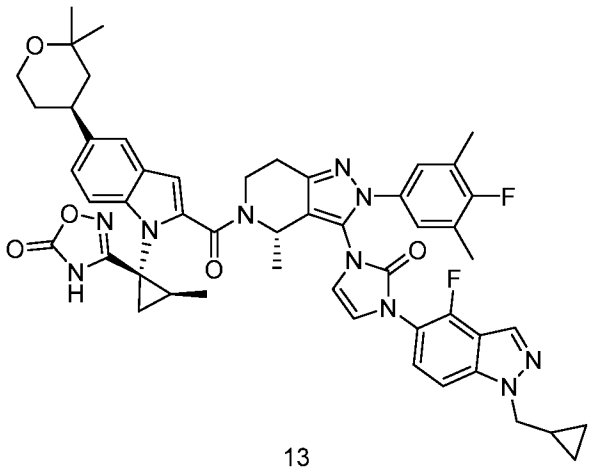


•1/2 Ca

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

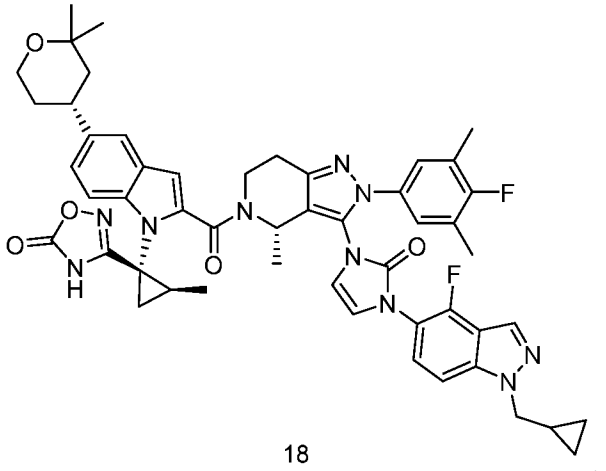


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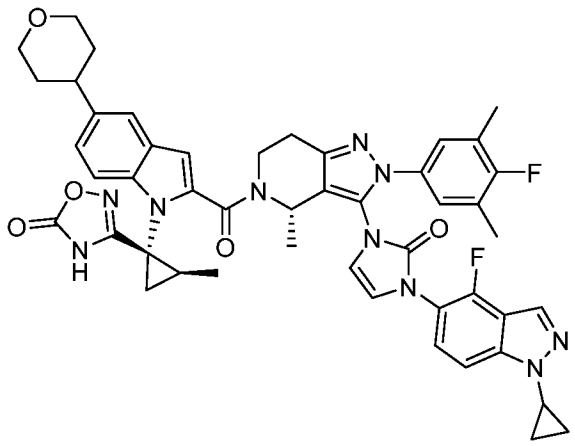
13

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

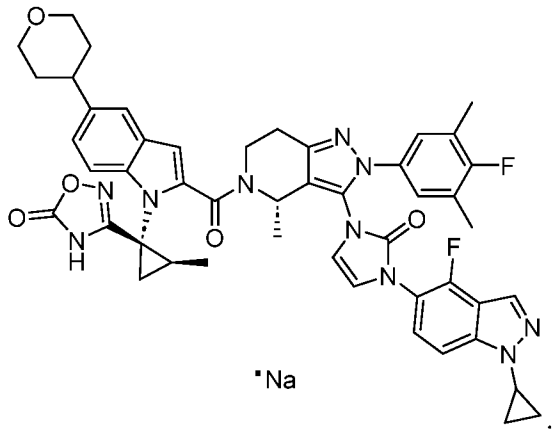


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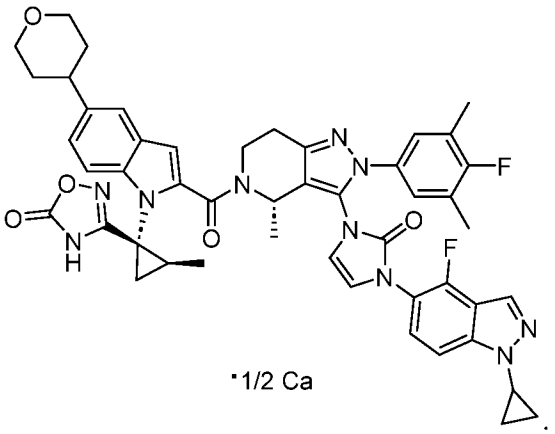


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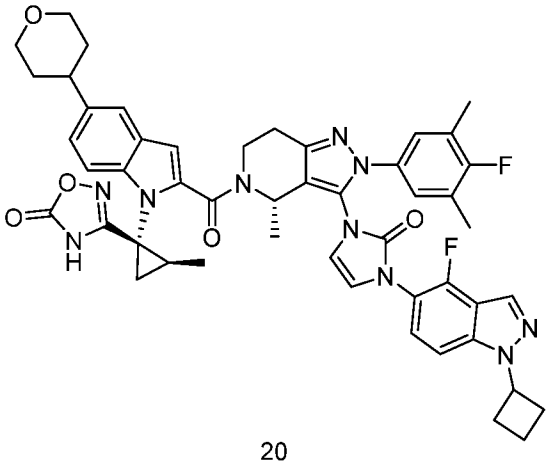
13. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is




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



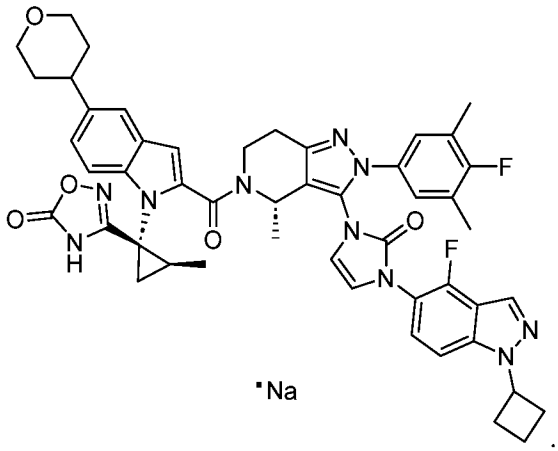

15. The compound or the pharmaceutically acceptable salt thereof of claim 1 , wherein the compound is





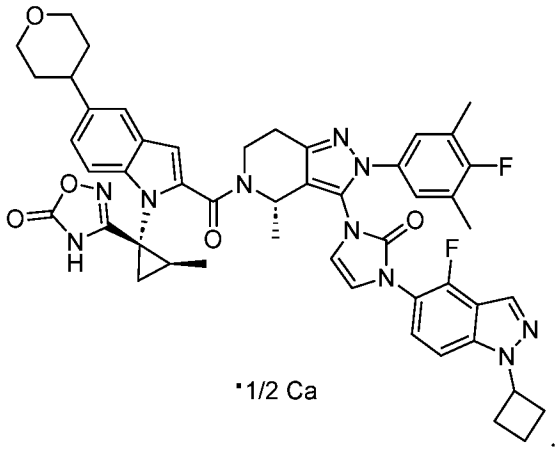
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16. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



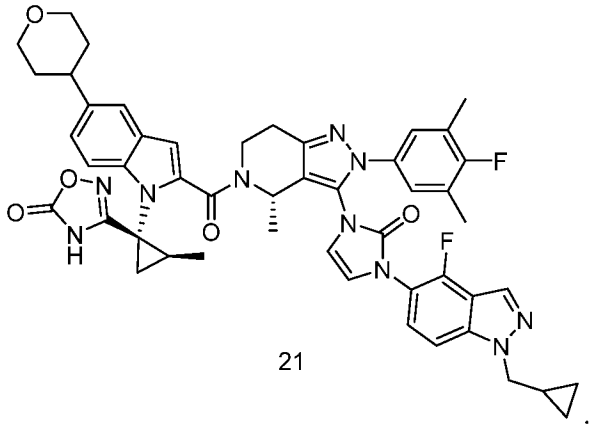
·Na

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



·1/2 Ca

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

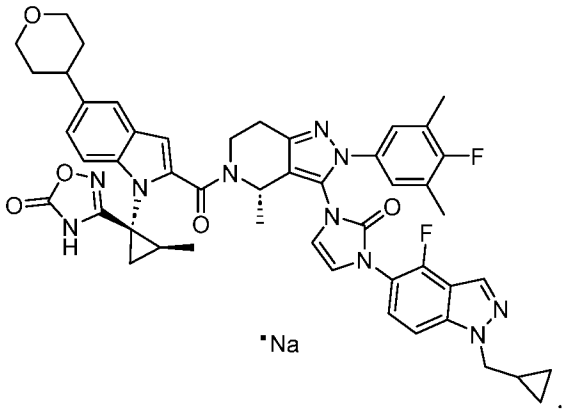


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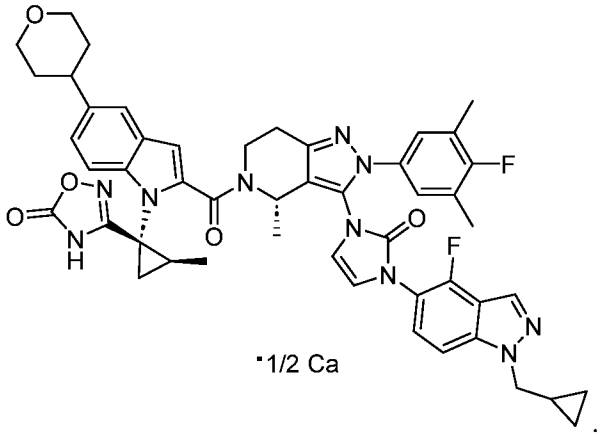


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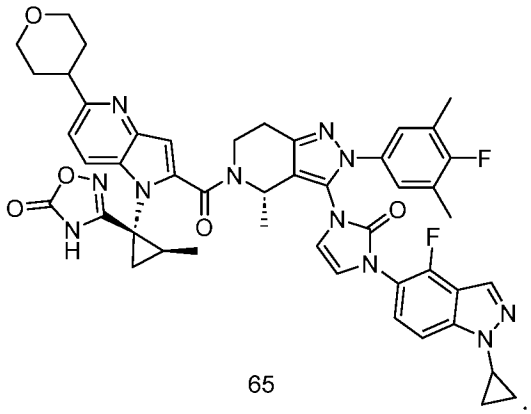
19. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



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



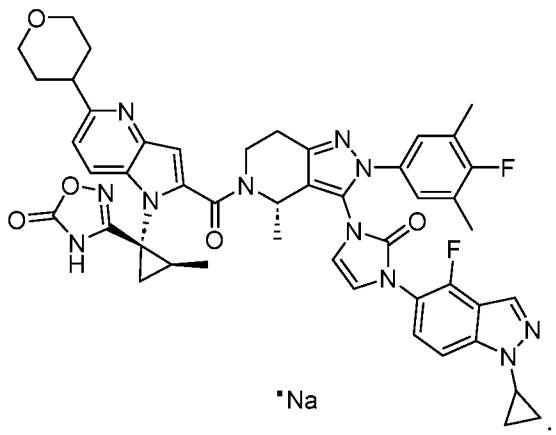
21. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the compound is



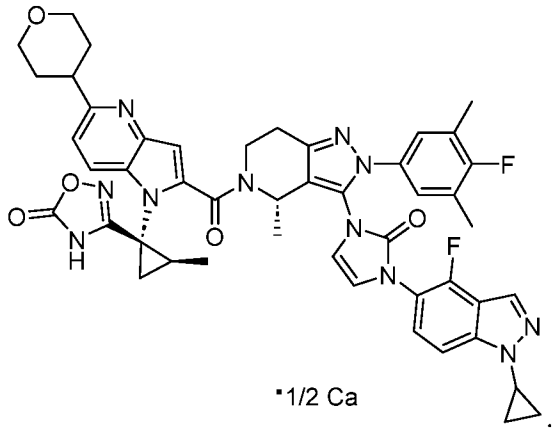
22. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



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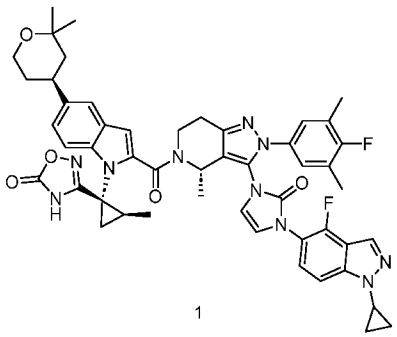

23. The compound or the pharmaceutically acceptable salt thereof of claim 1, wherein the pharmaceutically acceptable salt is



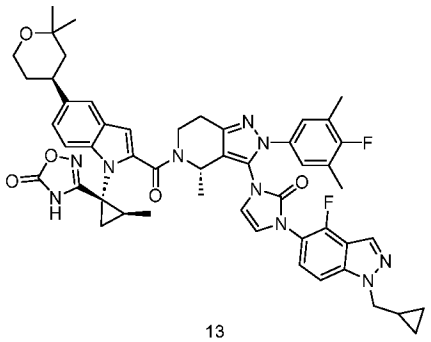

24. A compound, selected from the group consisting of:



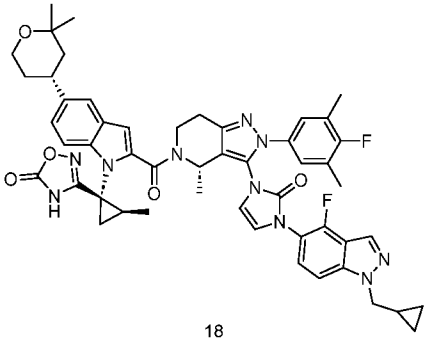
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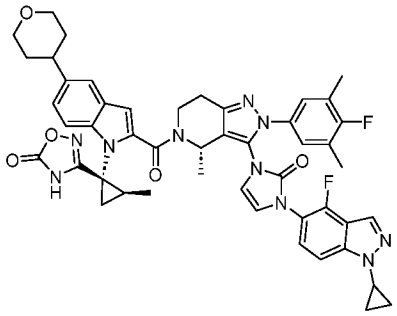
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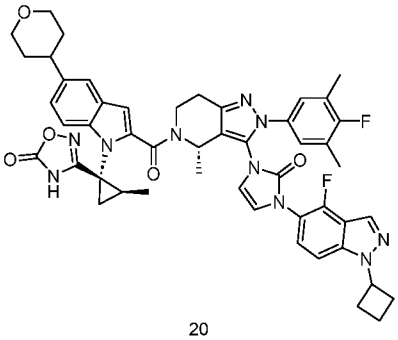
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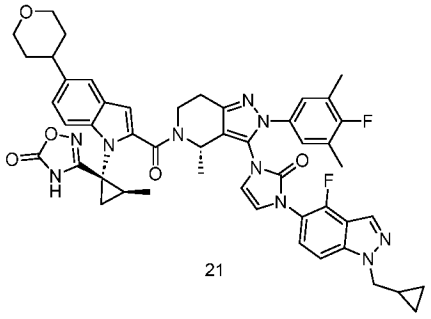
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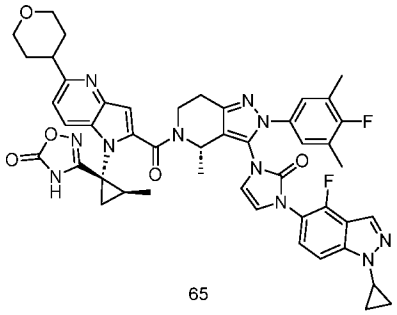


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and



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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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UNITED STATES PATENT AND TRADEMARK OFFICE

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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.**

## 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. _____

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UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
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www.uspto.gov

| 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.

## 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:

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

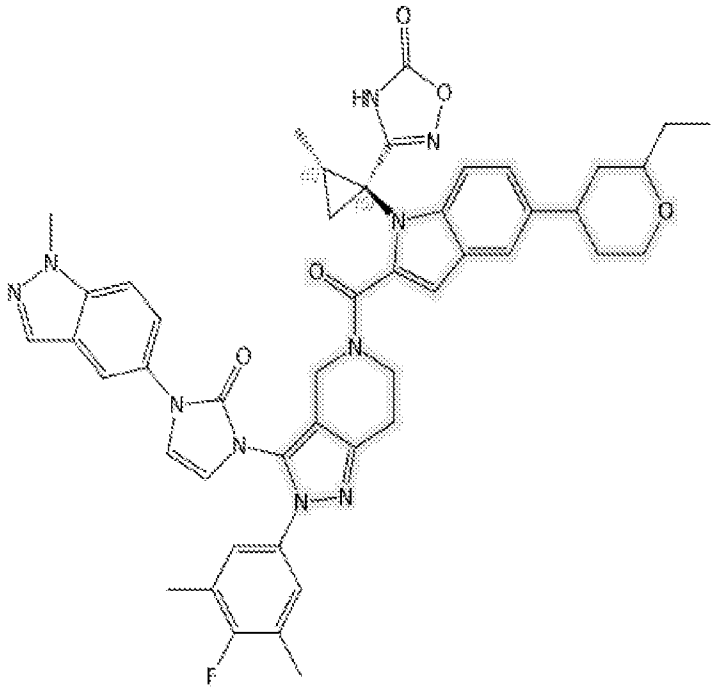
## *Allowable Subject Matter*

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 3212023-83-8 CAPLUS
CN 1,3,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-3-oxo-1H-imidazol-1-yl]-3-(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

| 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 | | | | | |
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**FOREIGN PATENT DOCUMENTS**

| * | | Document Number Country Code-Number-Kind Code | Date YYYY-MM-DD | Country | Name | CPC Classification |
|---|---|---|---|---|---|---|
| | N | | | | | |
| | O | | | | | |
| | P | | | | | |
| | Q | | | | | |
| | R | | | | | |
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**NON-PATENT DOCUMENTS**

| * | | Include as applicable: Author, Title Date, Publisher, Edition or Volume, Pertinent Pages) |
|---|---|---|
| | U | |
| | V | |
| | W | |
| | 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* | | |
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| Symbol | Date | Examiner |
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| 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 |

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| ✓ | Rejected | - | Cancelled | N | Non-Elected | A | Appeal |
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**CLAIMS**

☐ Claims renumbered in the same order as presented by applicant ☐ CPA ☐ T.D. ☐ R.1.47

| CLAIM | | DATE | | | | | | | | |
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| Final | Original | 10/29/2024 | | | | | | | | |
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| 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 | | | | | | | | |
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Use images from artifact folder? (•) Yes ( ) No

| NONE<br>(Assistant Examiner) (Date) | | **Total Claims Allowed:**<br>25 | |
|---|---|---|---|
| /NILOOFAR RAHMANI/<br>Primary Examiner, Art Unit 1621<br>(Primary Examiner) (Date) | 29 October 2024 | O.G. Print Claim(s) | O.G. Print Figure<br>NONE |


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| 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 | | | | | |
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| US ORIGINAL CLASSIFICATION | |
|---|---|
| CLASS | SUBCLASS |
| 514 | 300 |

| CROSS REFERENCES(S) | | | | | | |
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| CLASS | SUBCLASS (ONE SUBCLASS PER BLOCK) | | | | | |
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Use images from artifact folder? (•) Yes ( ) No

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|---|---|---|---|
| NONE<br>(Assistant Examiner) | (Date) | Total Claims Allowed:<br>25 | |
| /NILOOFAR RAHMANI/<br>Primary Examiner, Art Unit 1621<br>(Primary Examiner) | 29 October 2024<br>(Date) | O.G. Print Claim(s) | O.G. Print Figure<br>NONE |


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Page 174 of 812

| 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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Use images from artifact folder? ◉ Yes ○ No

| | | | |
|---|---|---|---|
| NONE<br>(Assistant Examiner) | (Date) | **Total Claims Allowed:**<br>25 | |
| /NILOOFAR RAHMANI/<br>Primary Examiner, Art Unit 1621<br>(Primary Examiner) | 29 October 2024<br>(Date) | O.G. Print Claim(s)<br>1 | O.G. Print Figure<br>NONE |



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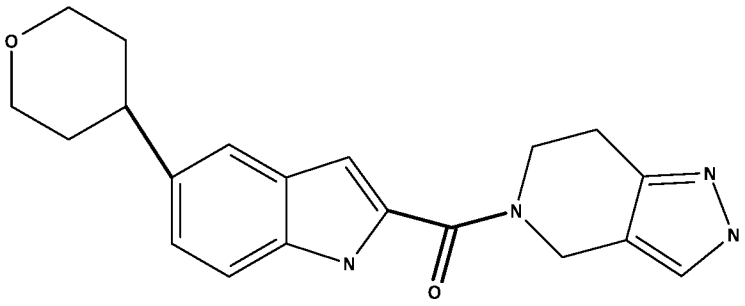
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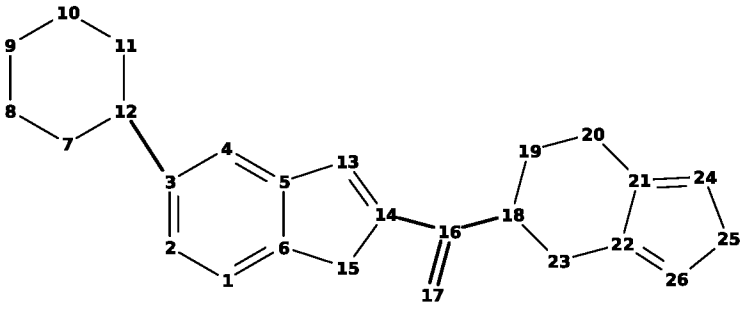
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Chain Nodes : 16  17
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25-26  26-22
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Exact Bonds : 3-12  14-16
Normalized Bonds : 1-2  2-3  3-4  4-5  5-6  6-1
Exact/Normalized Bonds : 5-13  7-8  8-9  9-10  10-11  11-12  12-7  13-14  14-15
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25-26  26-22
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FULL ESTIMATED COST                               883.16           883.51

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L3          39 L2

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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:

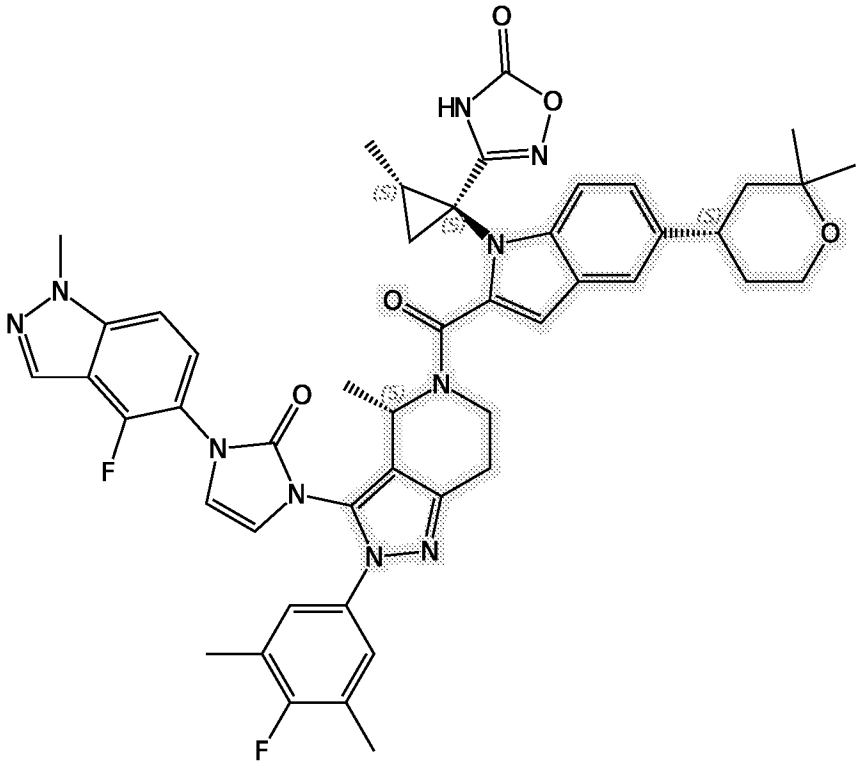
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2024211898 | A1 | 20241010 | WO 2024-US23598 | | 20240408 |
| PRIORITY APPLN. INFO.: | | | US 2023-63495049 | P | 20230407 |
| | | | US 2023-63526362 | P | 20230712 |
| | | | US 2023-63615985 | P | 20231229 |

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

| | | |
|---|---|---|
| WO 2024211898 A1 | WO 2024-US23598 | Register\|Global Dossier |

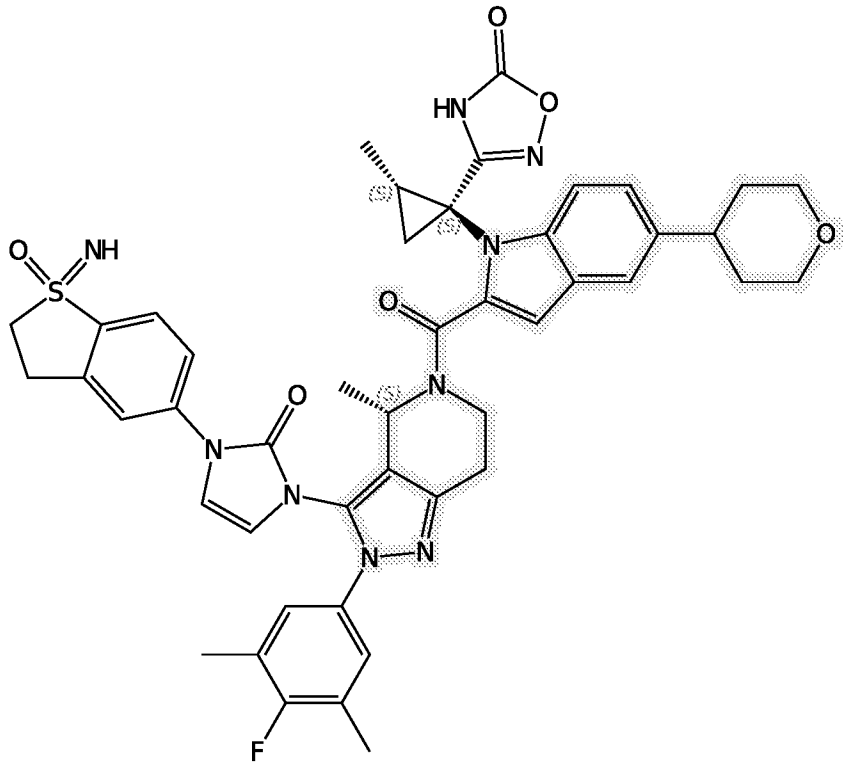
L3 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1791823 CAPLUS Full-text
DOCUMENT NUMBER: 188:66909
TITLE: Preparation of tetrahydropyrazolopyridine derivatives as GLP-1 agonists
INVENTOR(S): Huang, Wei; Lei, Hui; Lu, Chunliang; Zhang, Haizhen
PATENT ASSIGNEE(S): Gasherbrum 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:

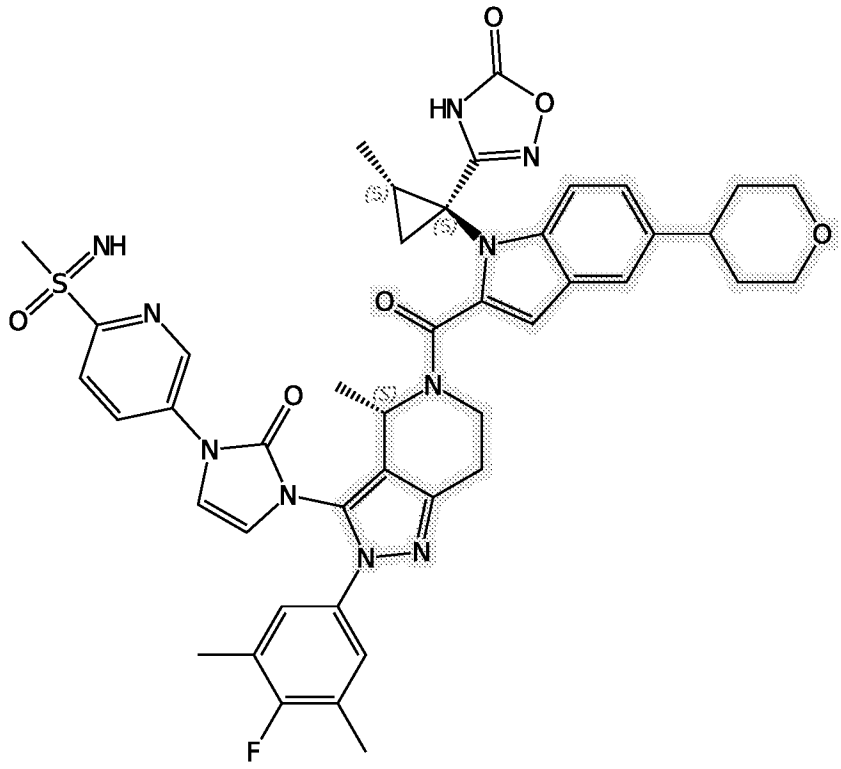
| PATENT NO. | KIND | STATUS | STATUS DATE |
|---|---|---|---|
| WO 2024169952 | A1 | Alive | 20240829 |
| US 20240327404 | A1 | Transitional | 20241024 |

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
3054162-58-9P 3054162-59-0P 3054162-60-3P
3054162-61-4P 3054162-62-5P 3054162-63-6P
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



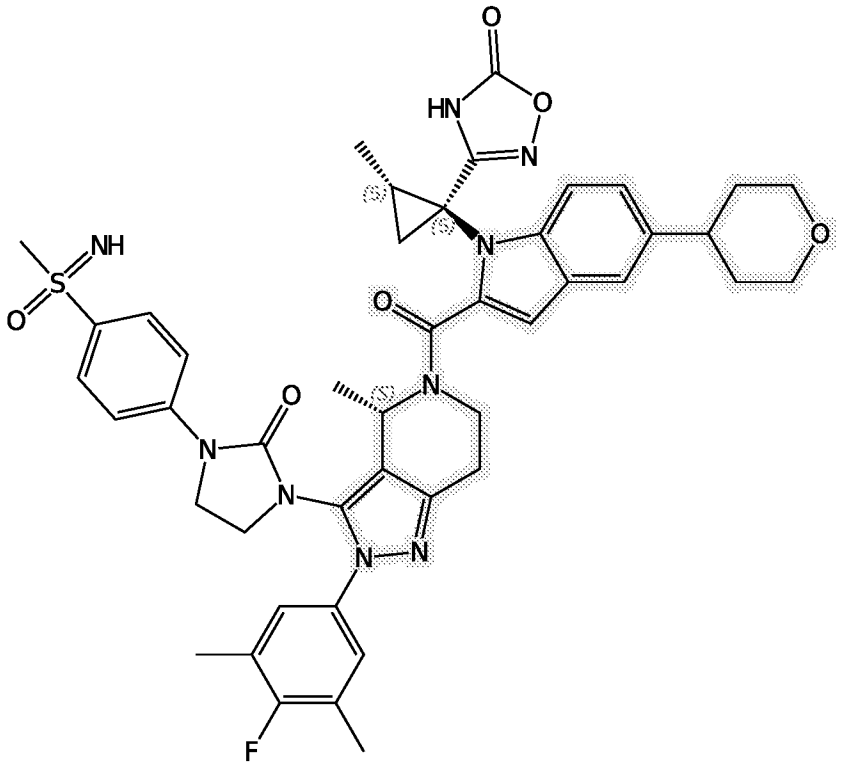
Absolute stereochemistry shown

RN 3054162-50-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



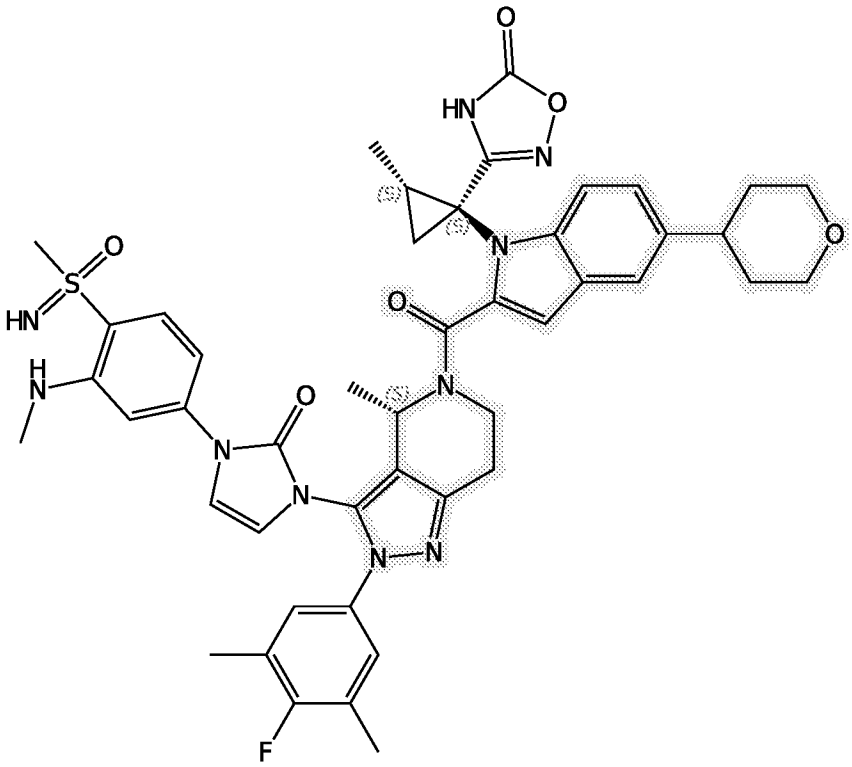
Absolute stereochemistry shown

RN 3054162-51-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



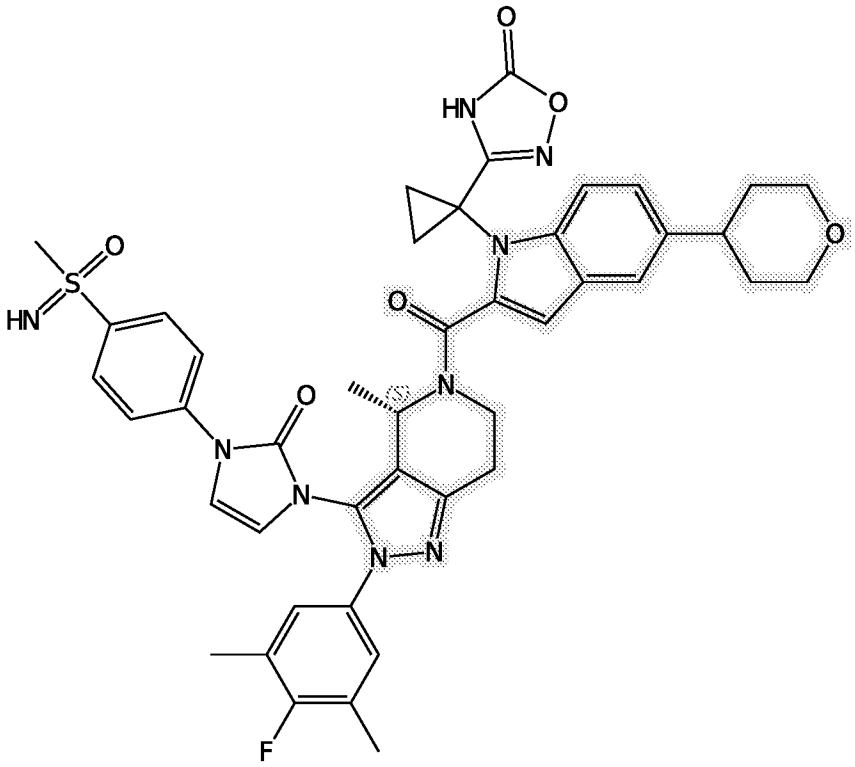
Absolute stereochemistry shown

RN 3054162-53-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



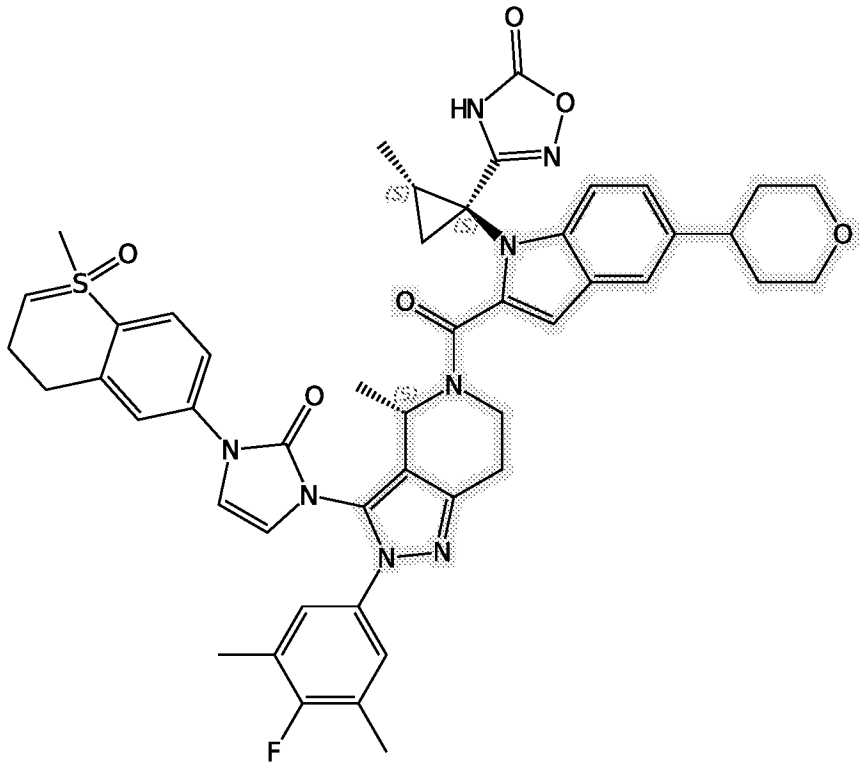
Absolute stereochemistry shown

RN 3054162-54-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



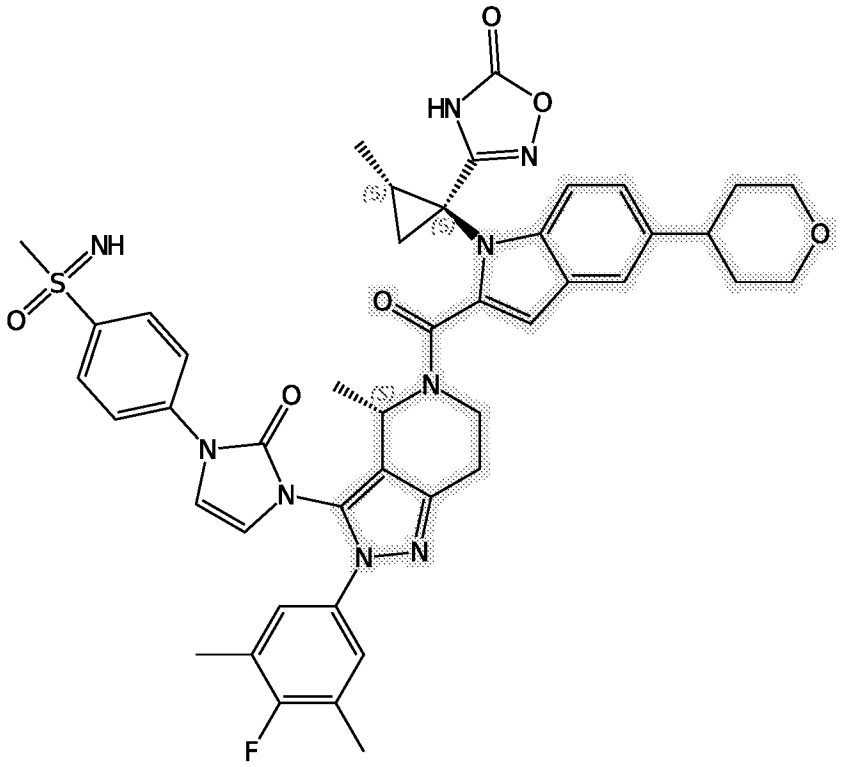
Absolute stereochemistry shown

RN 3054162-56-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



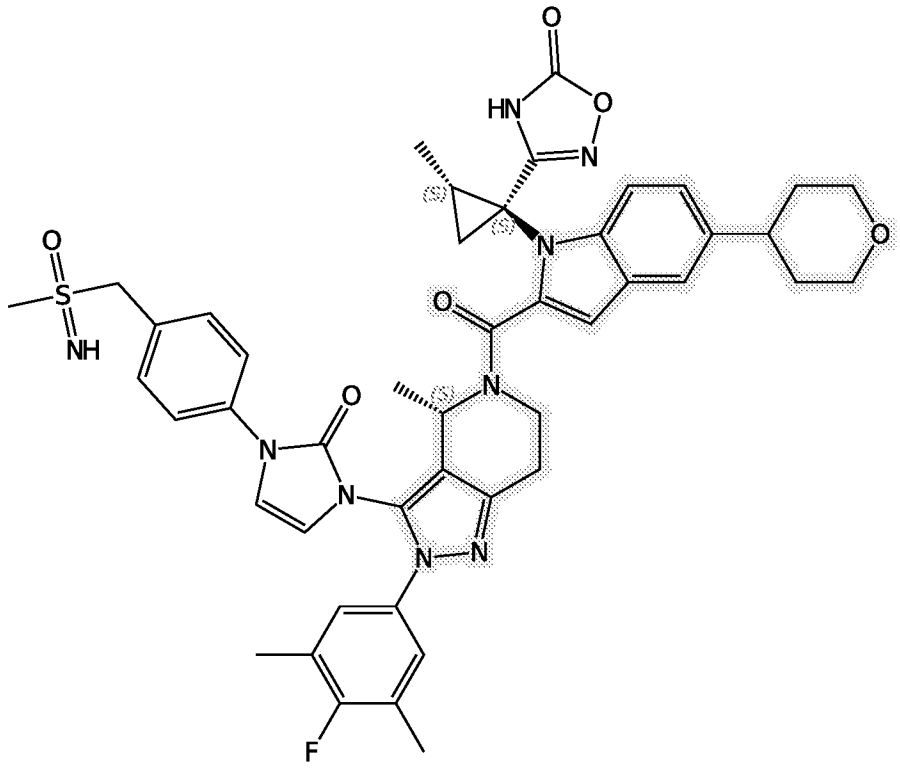
Absolute stereochemistry shown

RN 3054162-58-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



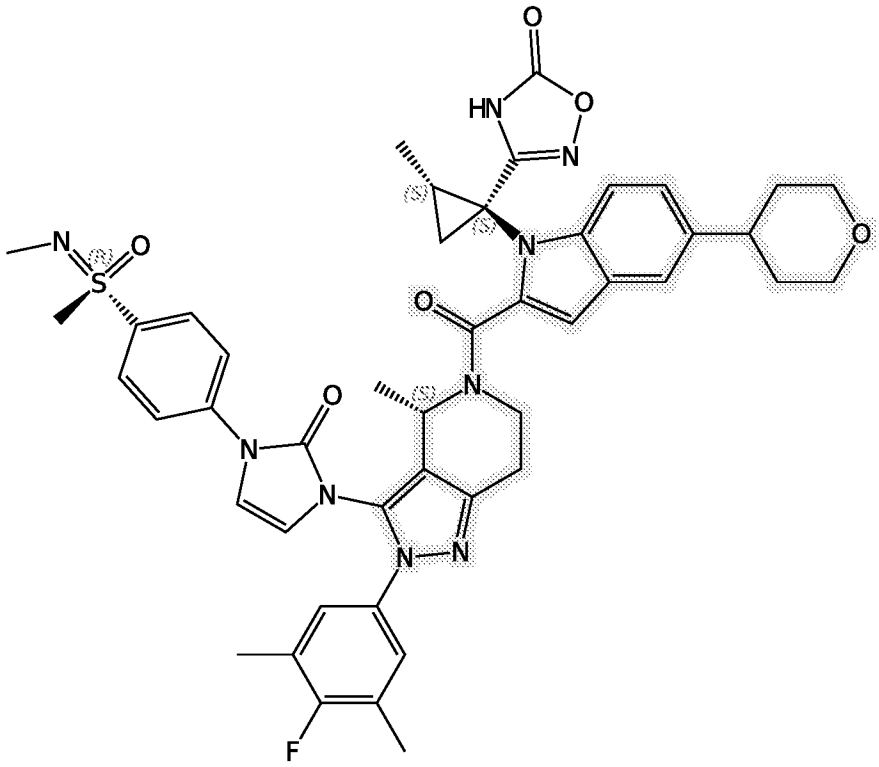
Absolute stereochemistry shown

RN 3054162-59-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



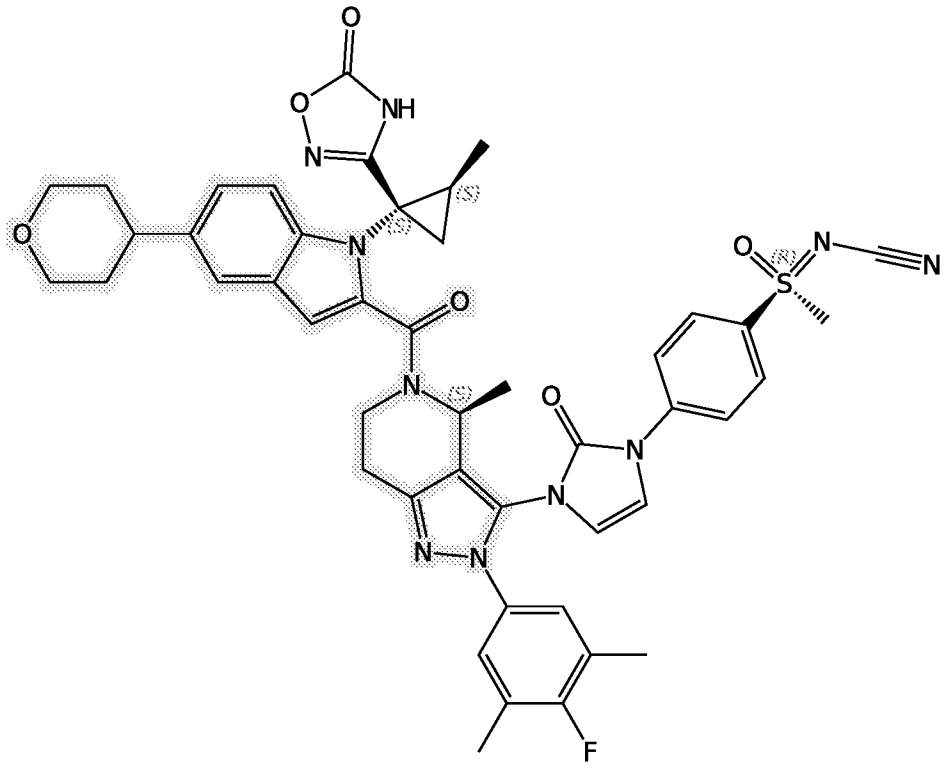
Absolute stereochemistry shown

RN 3054162-60-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



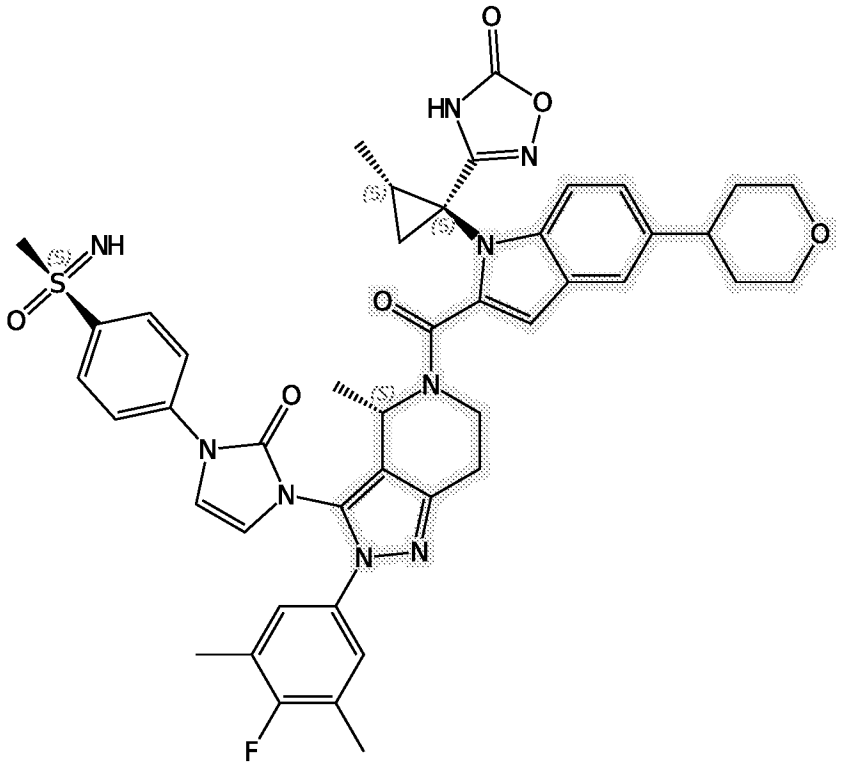
Absolute stereochemistry shown

RN 3054162-61-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



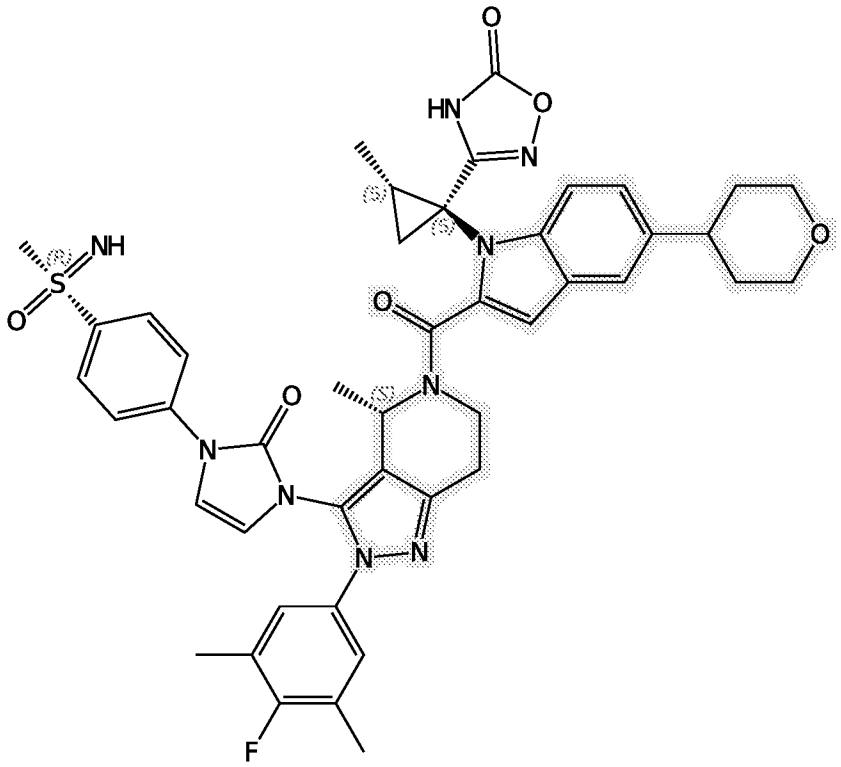
Absolute stereochemistry shown

RN 3054162-62-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



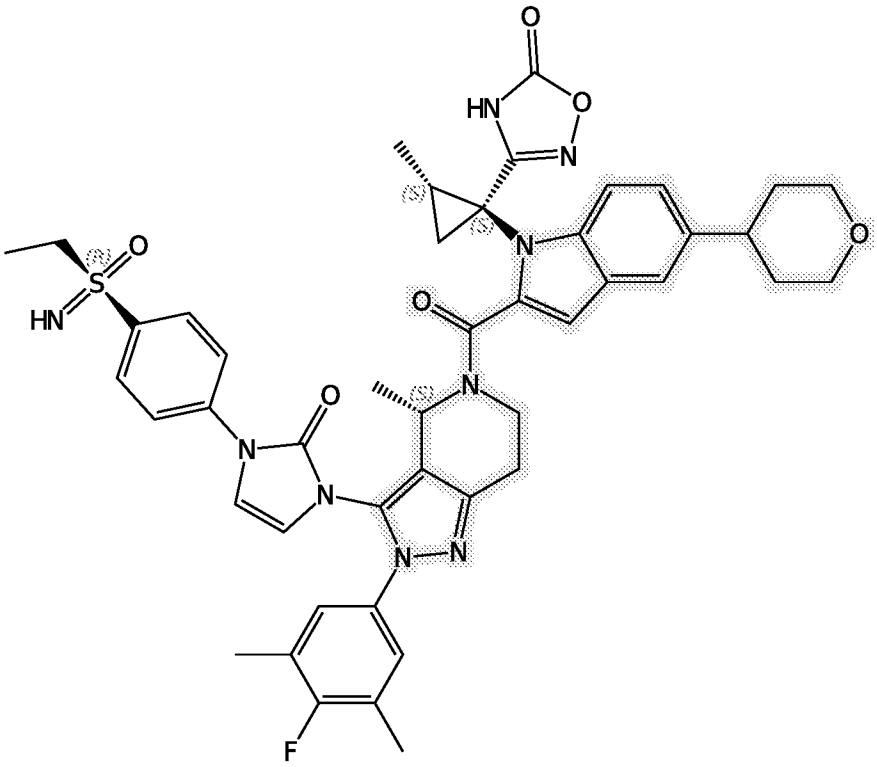
Absolute stereochemistry shown

RN 3054162-63-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



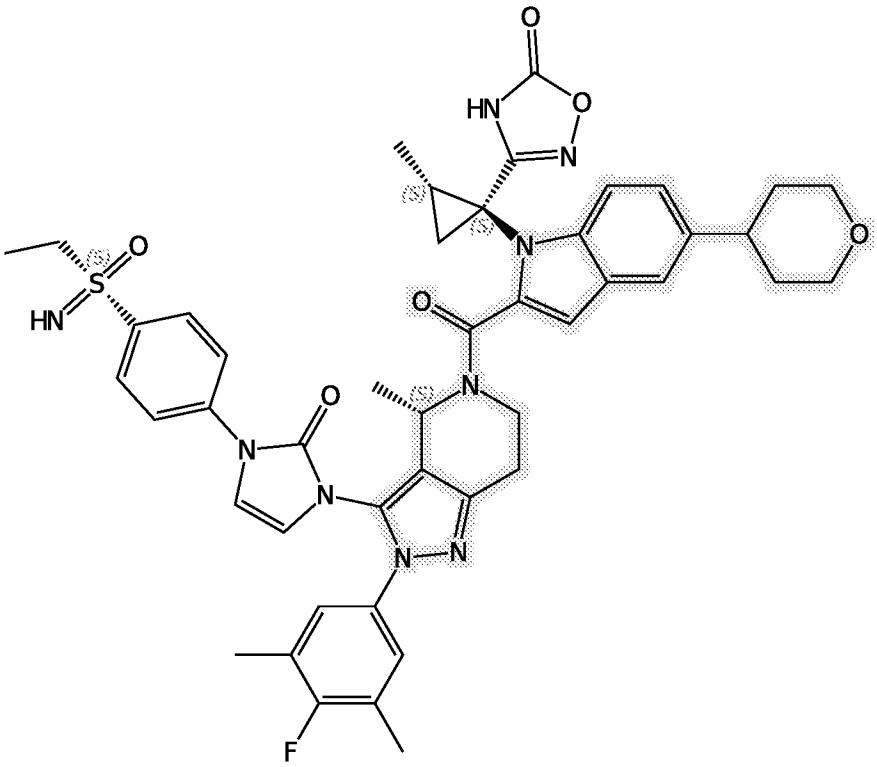
Absolute stereochemistry shown

RN 3054162-64-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



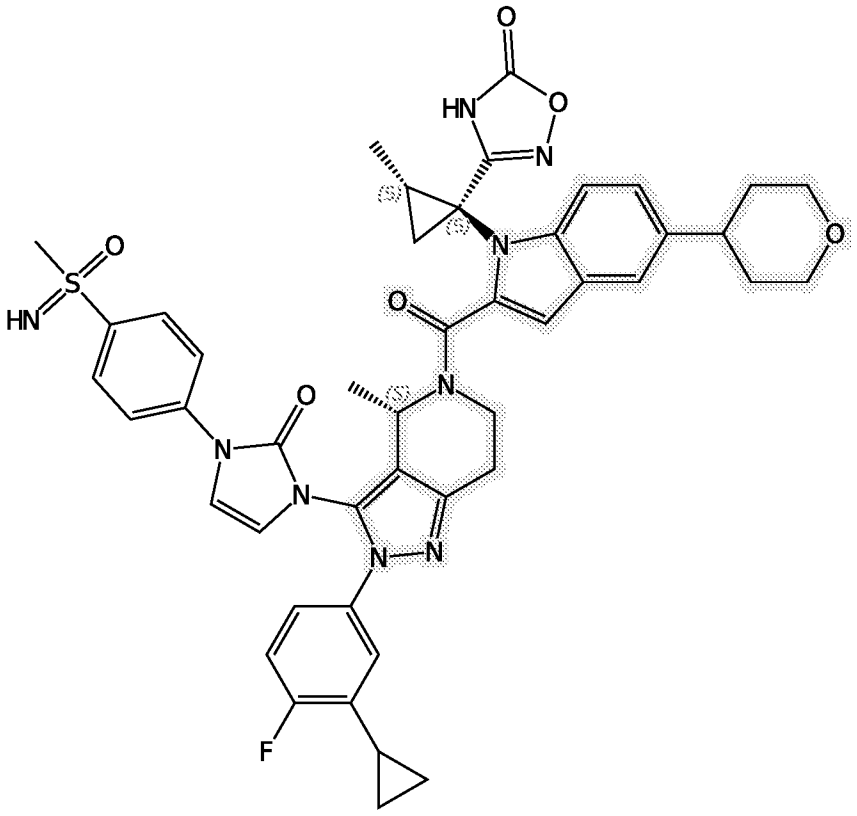
Absolute stereochemistry shown

RN 3054162-65-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



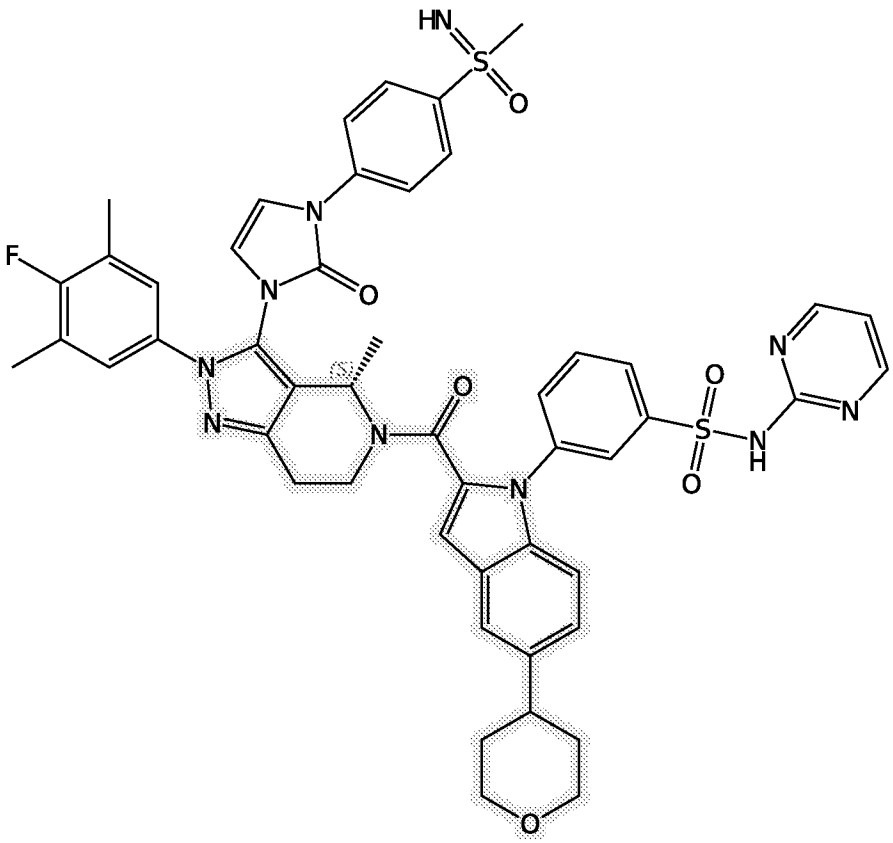
Absolute stereochemistry shown

RN 3054162-66-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



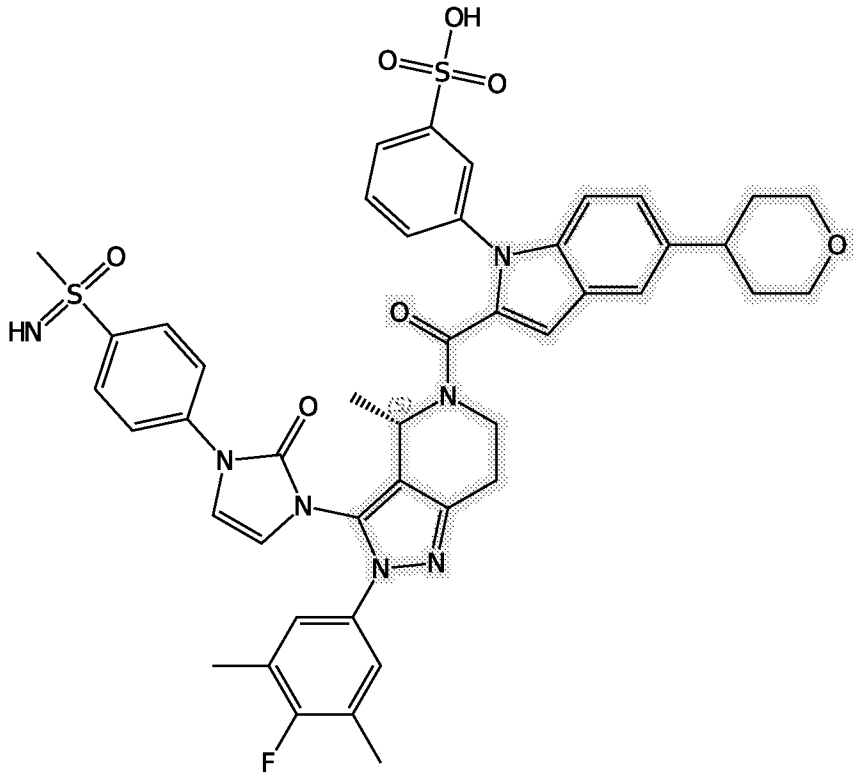
Absolute stereochemistry shown

RN 3054162-67-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



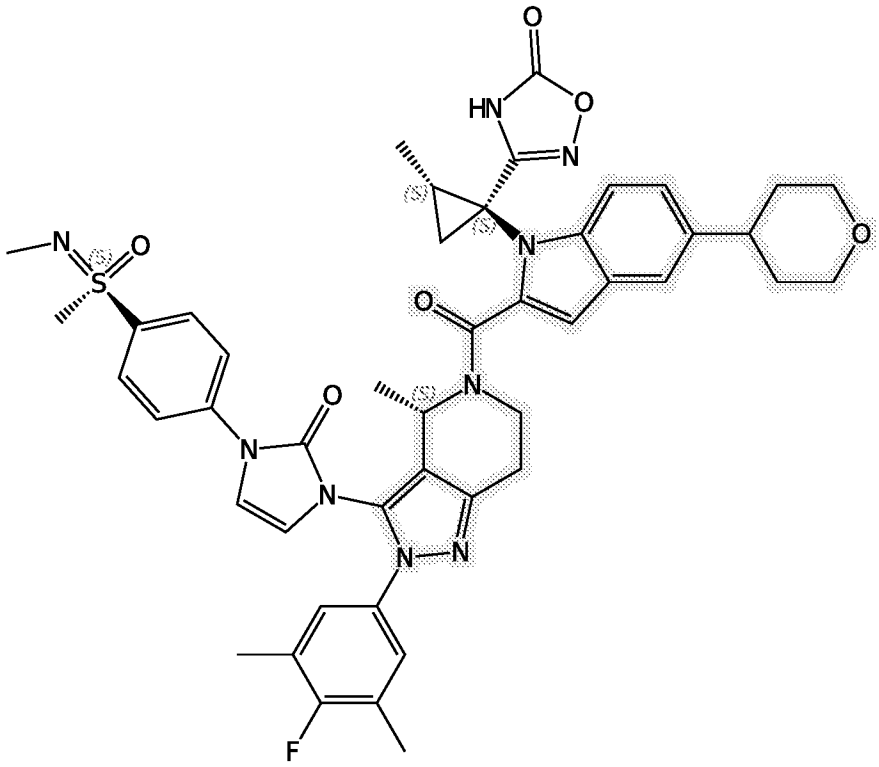
Absolute stereochemistry shown

RN 3054162-68-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



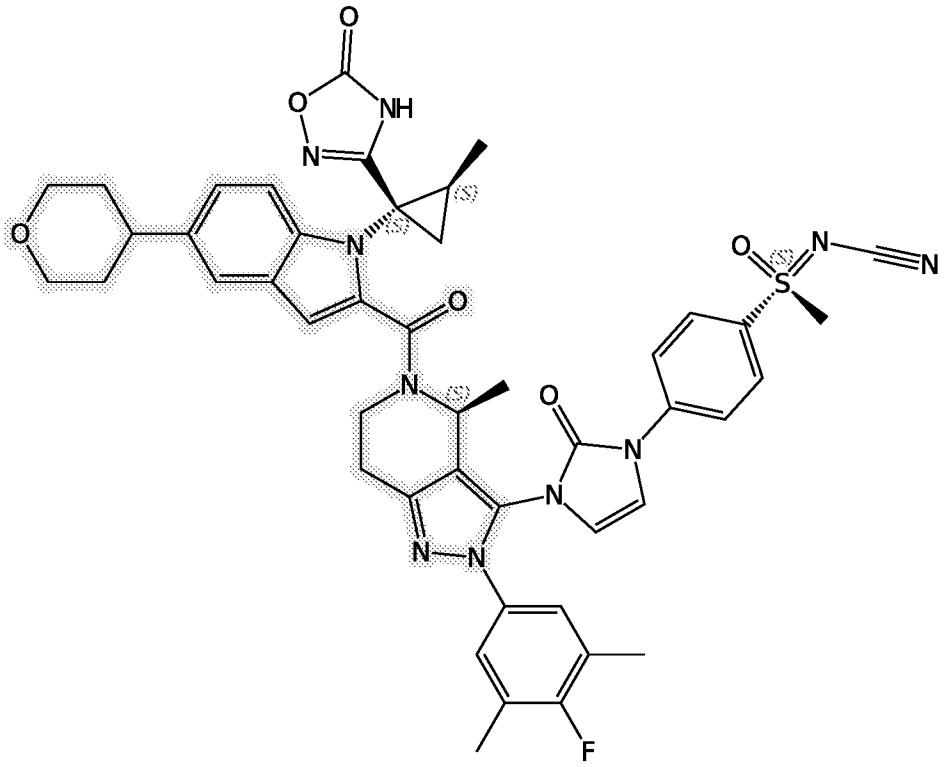
Absolute stereochemistry shown

IT 3054162-69-3P
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 3054162-69-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



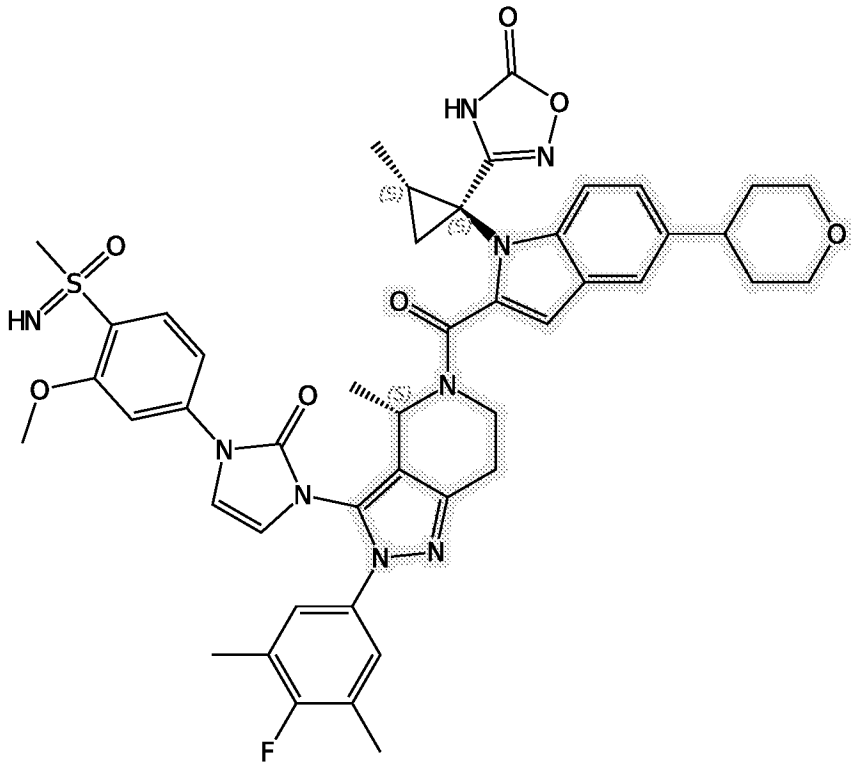
Absolute stereochemistry shown

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
3054162-89-6P
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



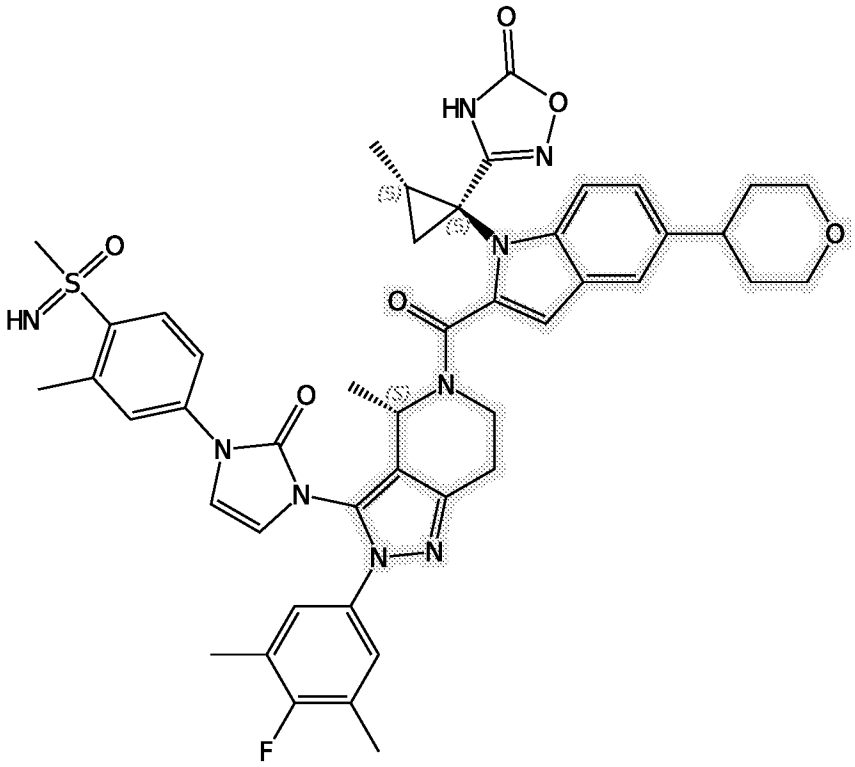
Absolute stereochemistry shown

RN 3054162-71-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



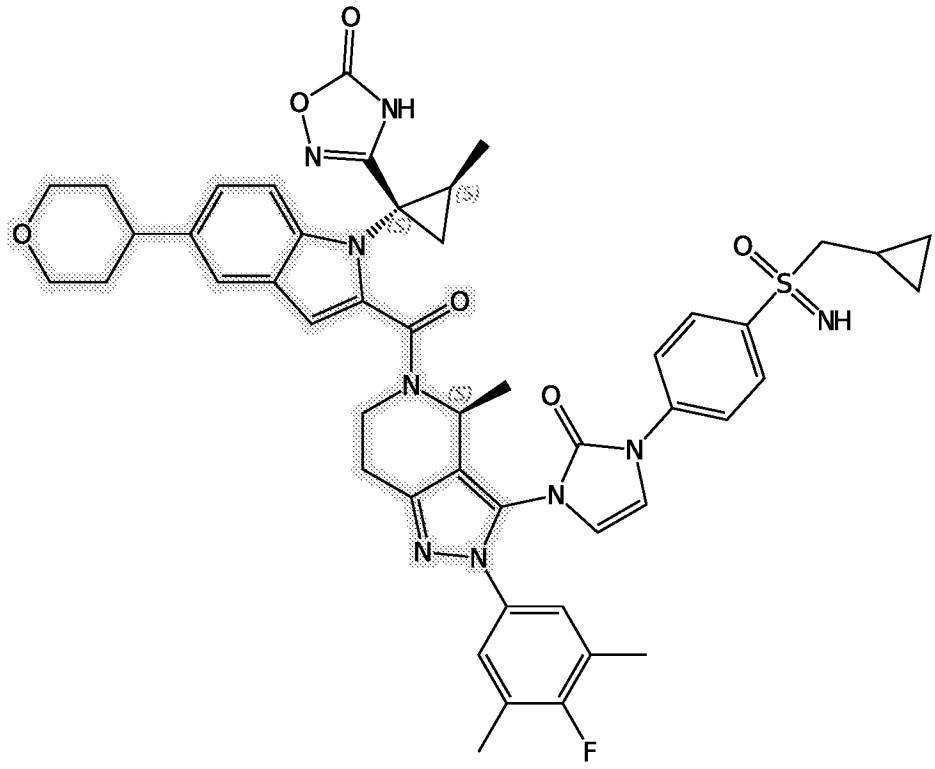
Absolute stereochemistry shown

RN 3054162-72-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



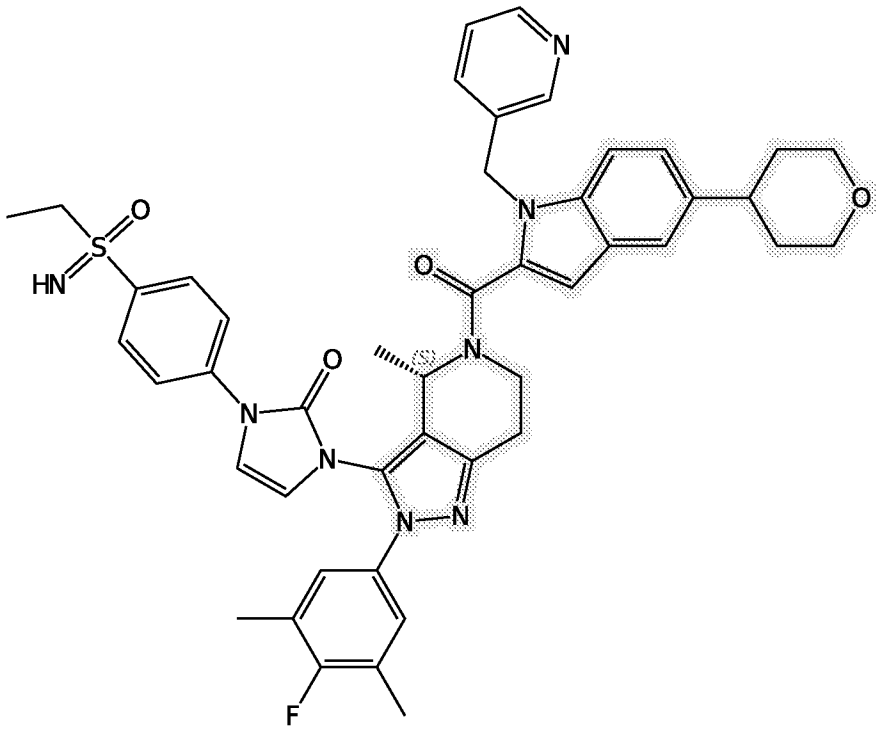
Absolute stereochemistry shown

RN 3054162-74-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



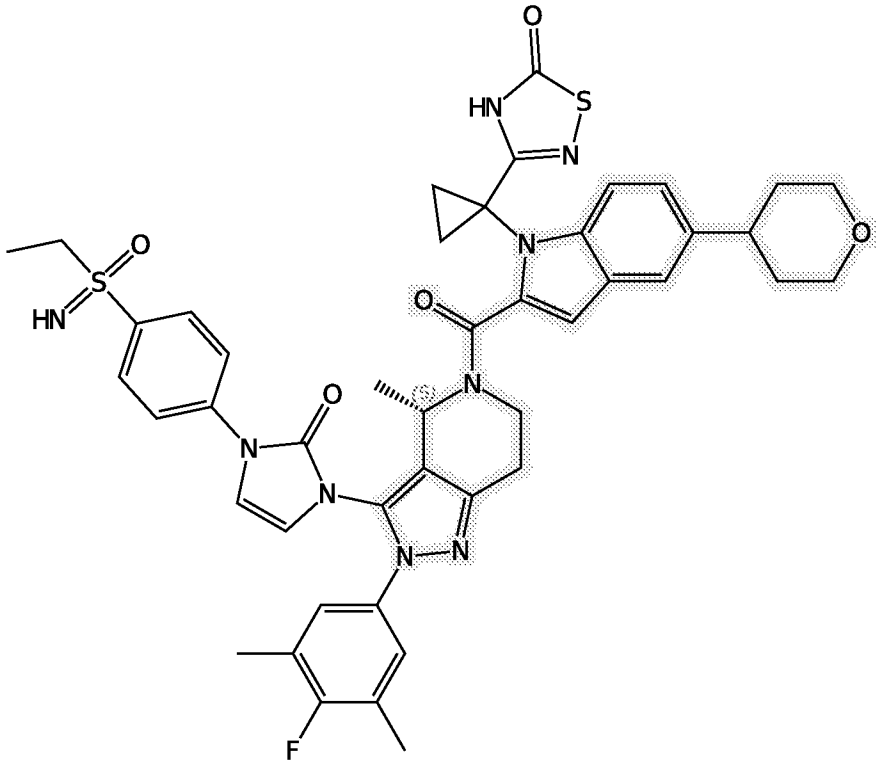
Absolute stereochemistry shown

RN 3054162-76-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



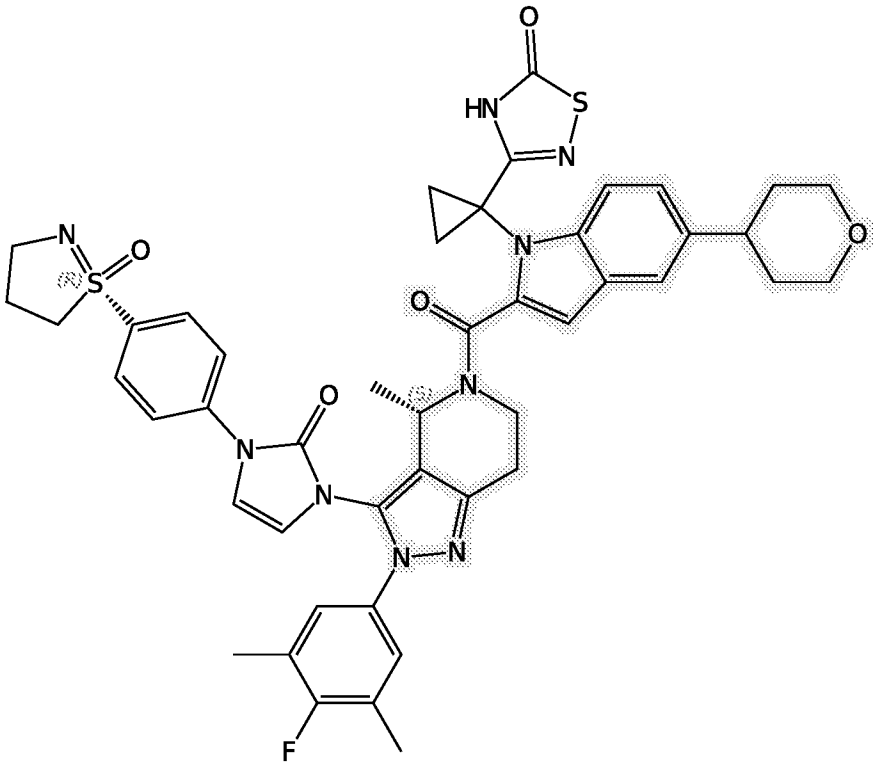
Absolute stereochemistry shown

RN 3054162-77-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



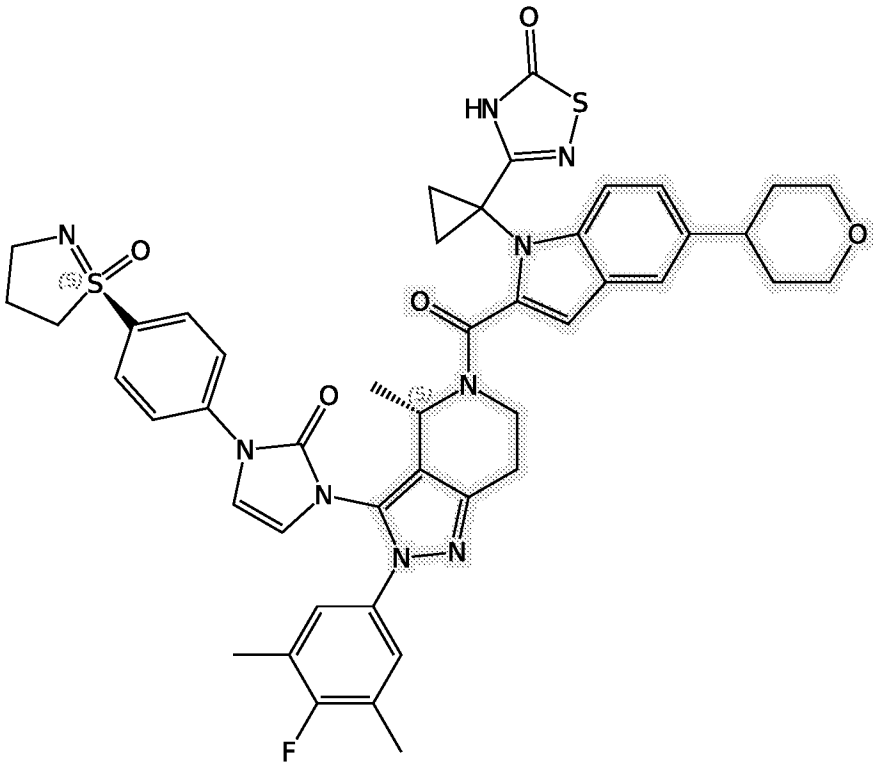
Absolute stereochemistry shown

RN 3054162-78-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



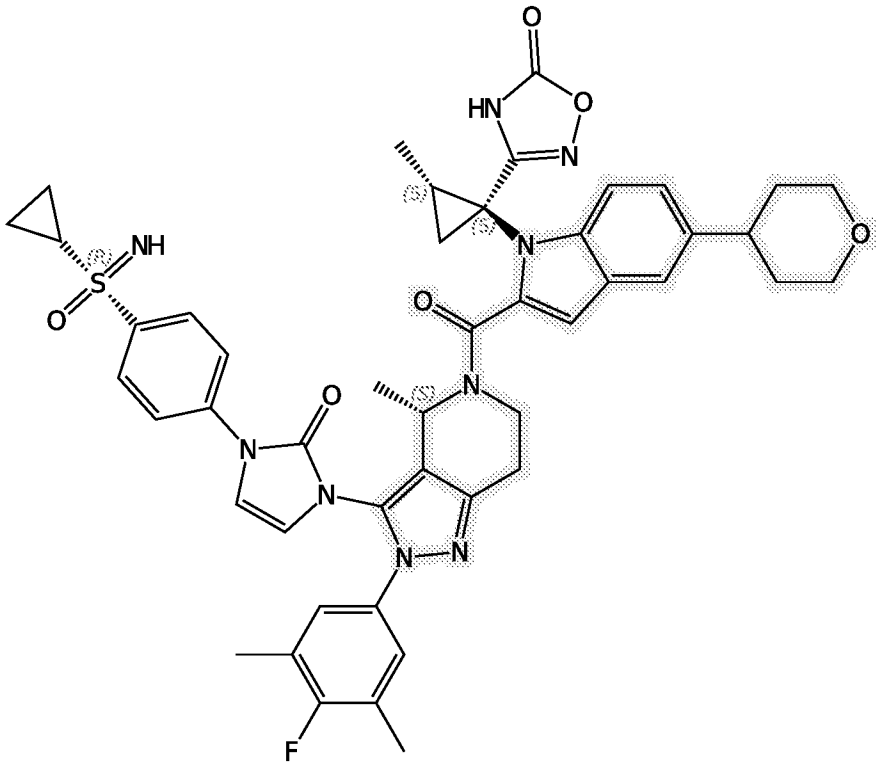
Absolute stereochemistry shown

RN 3054162-79-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



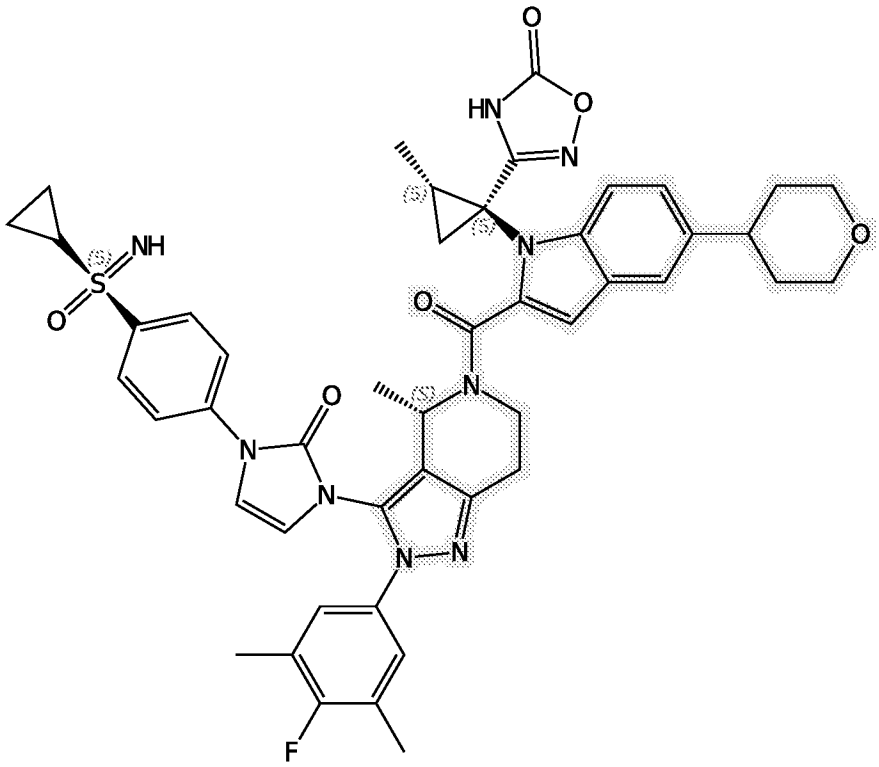
Absolute stereochemistry shown

RN 3054162-82-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



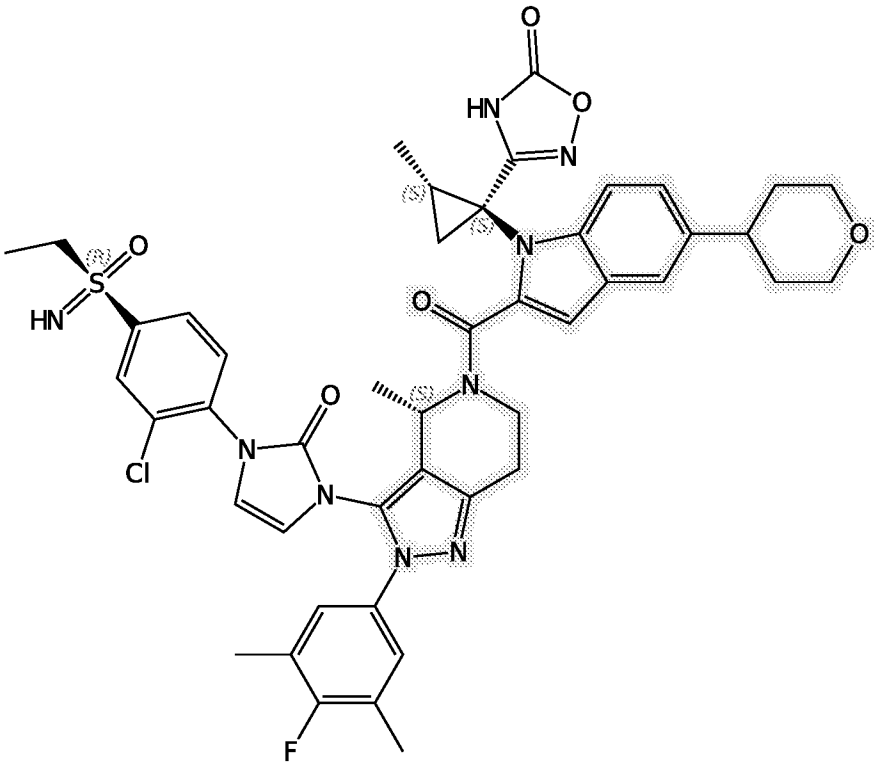

Absolute stereochemistry shown

RN 3054162-83-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



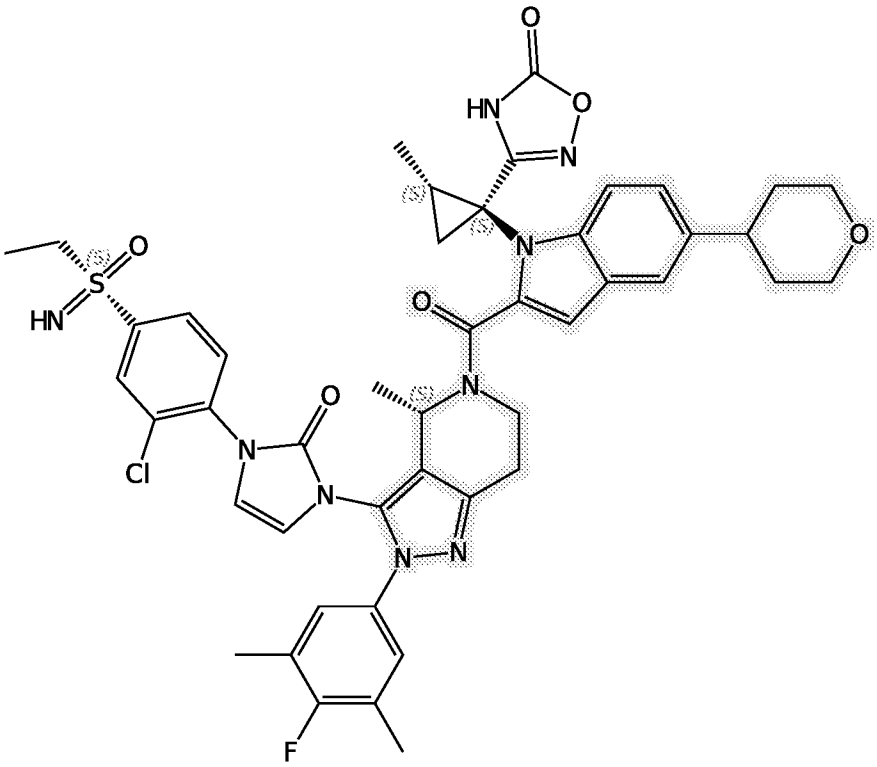

Absolute stereochemistry shown

RN 3054162-84-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



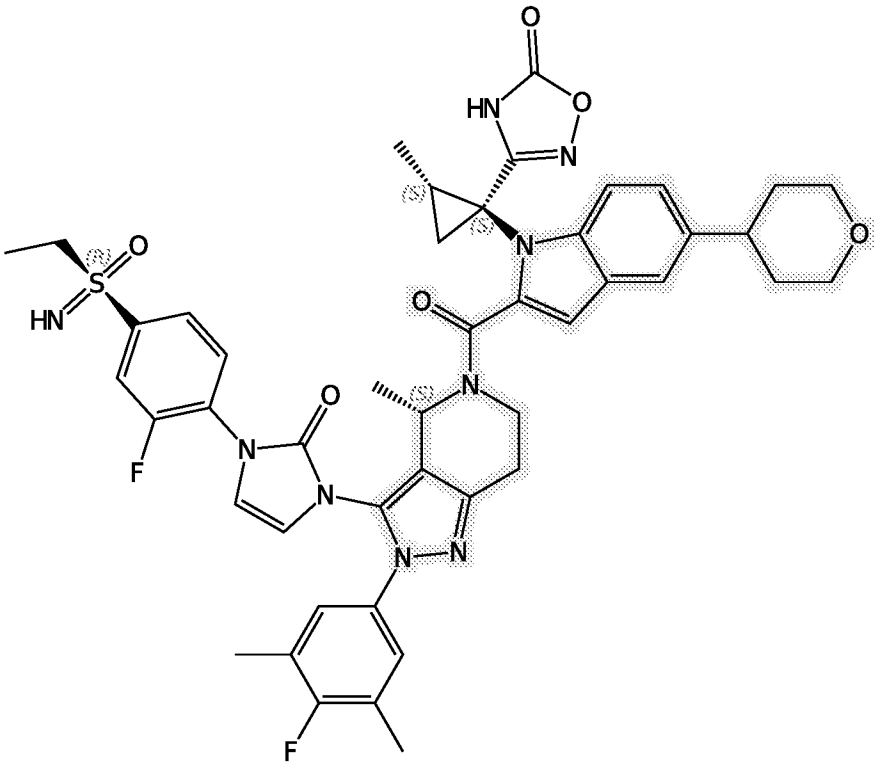
Absolute stereochemistry shown

RN 3054162-85-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



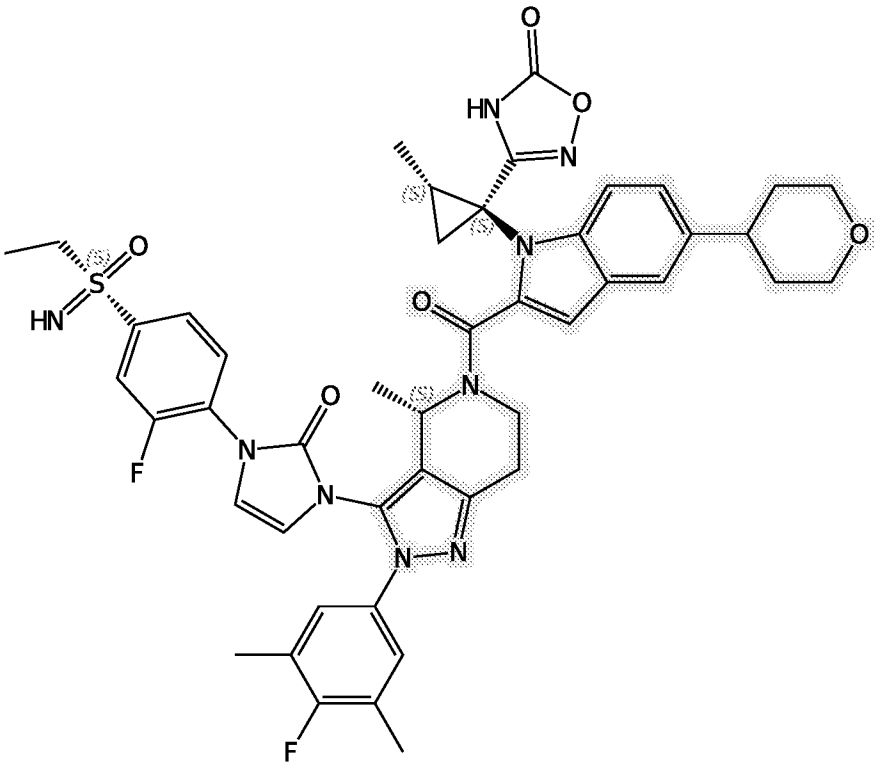
Absolute stereochemistry shown

RN 3054162-86-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



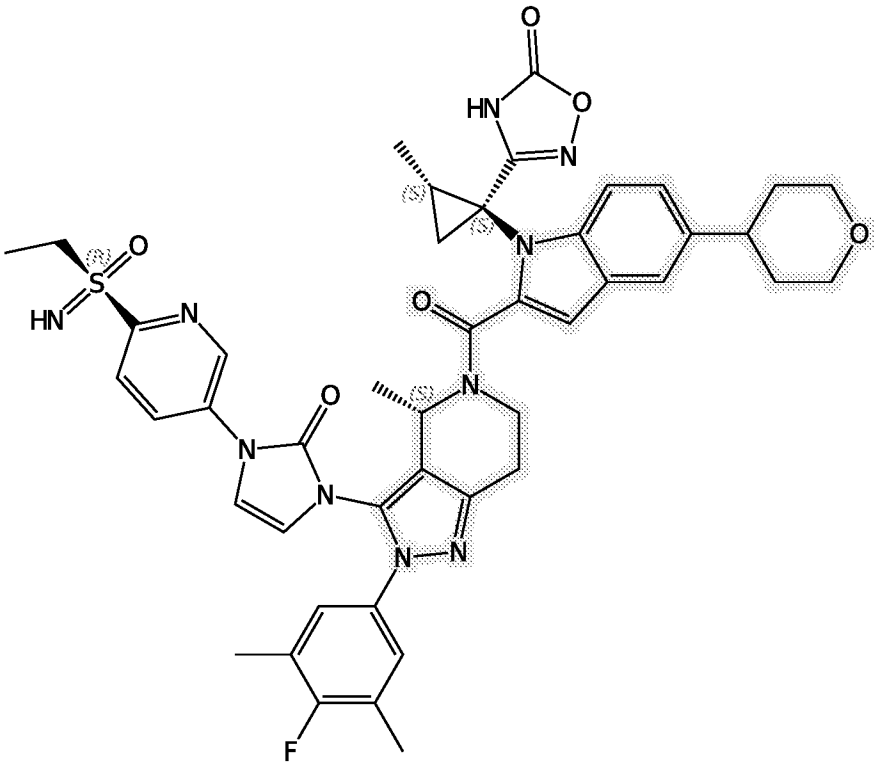
Absolute stereochemistry shown

RN 3054162-87-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



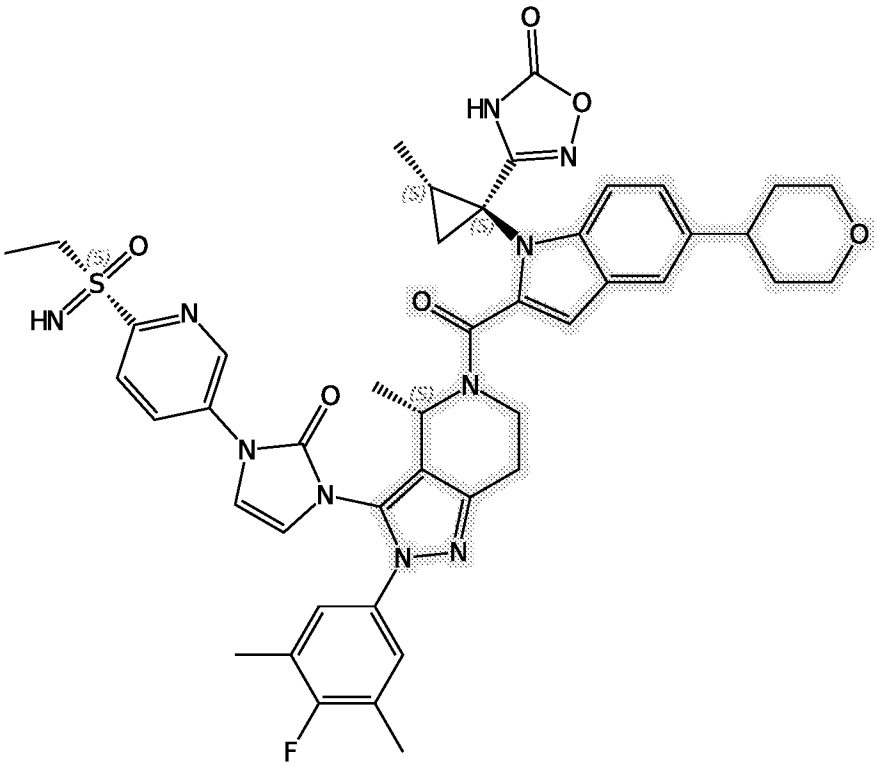
Absolute stereochemistry shown

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

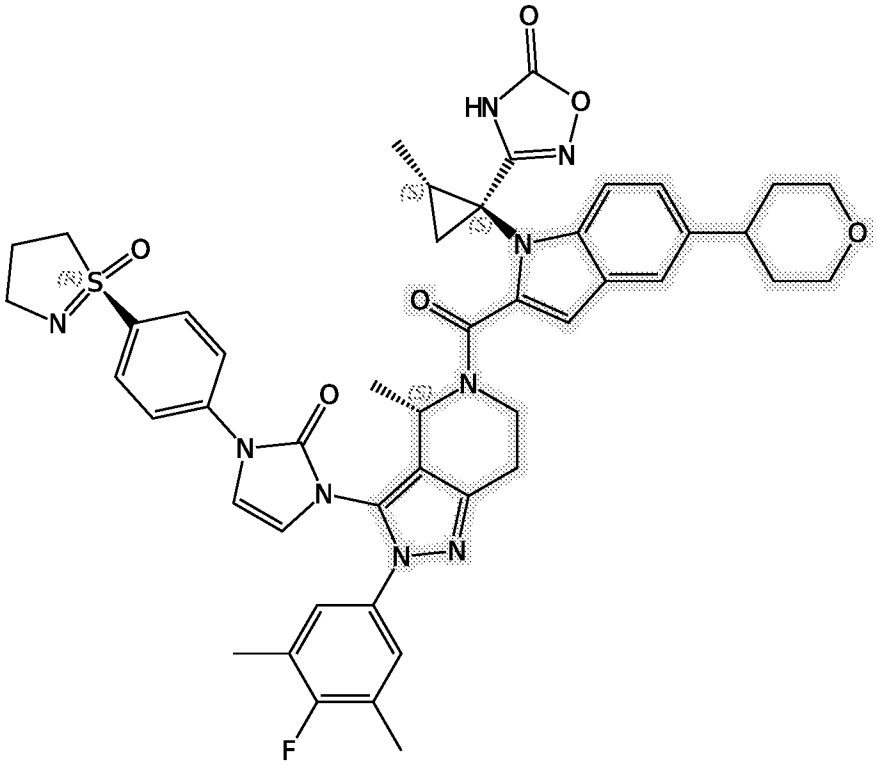


Absolute stereochemistry shown

IT 3054162-90-9P 3054162-91-0P 3054162-92-1P
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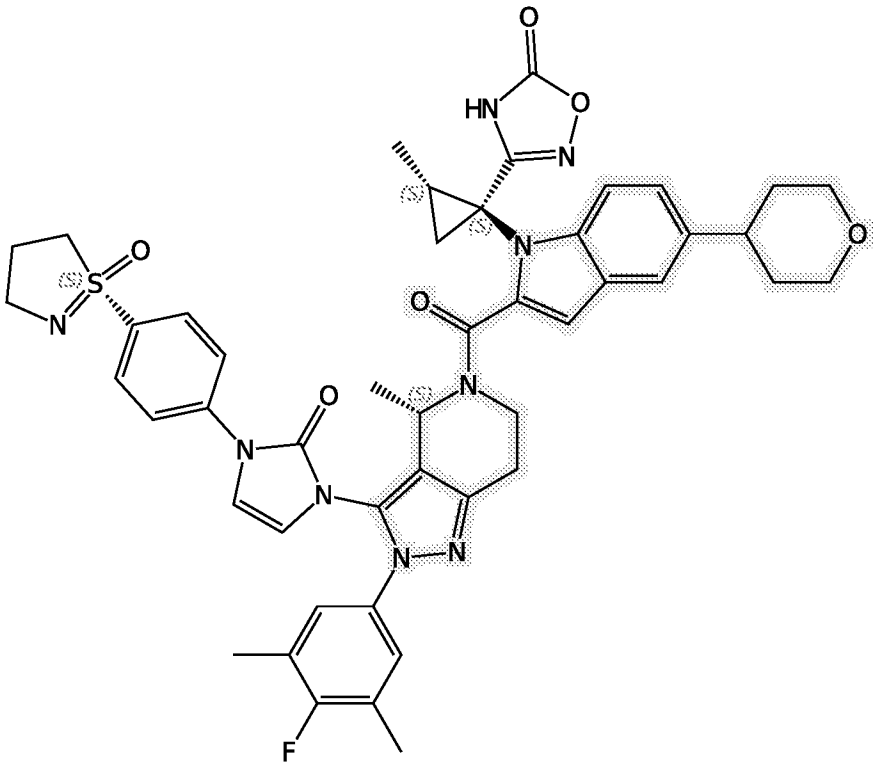
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PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)

RN 3054162-90-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



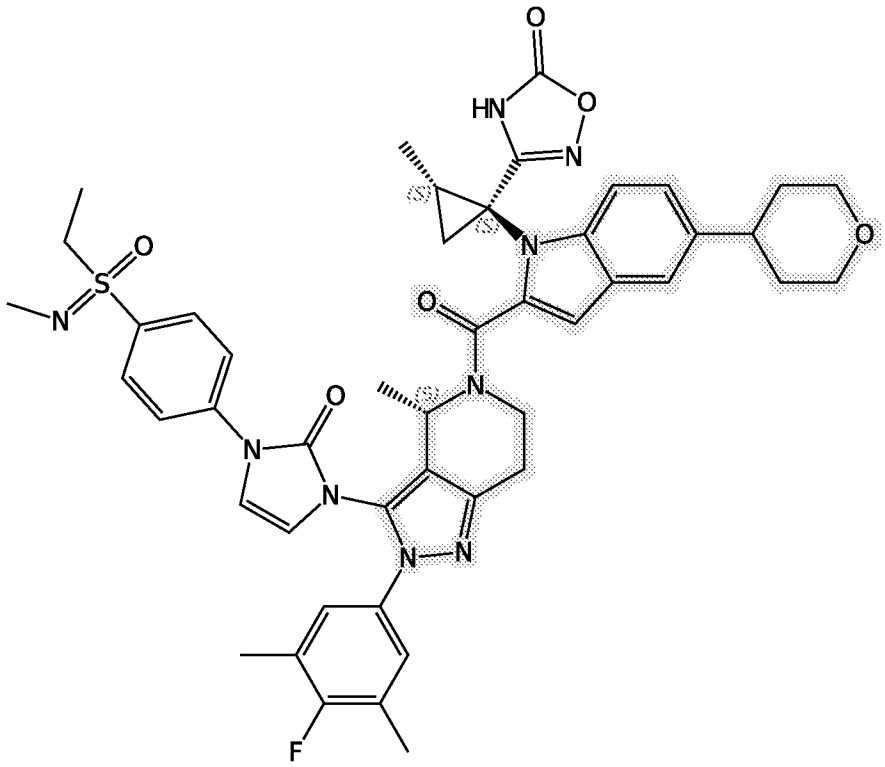
Absolute stereochemistry shown

RN 3054162-91-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



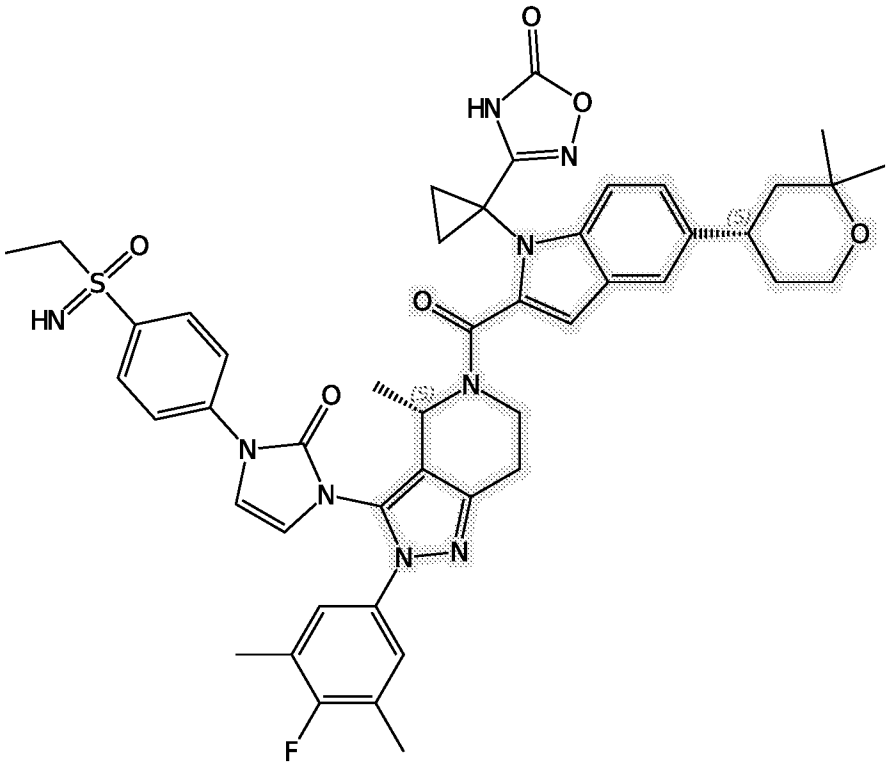
Absolute stereochemistry shown

RN 3054162-92-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



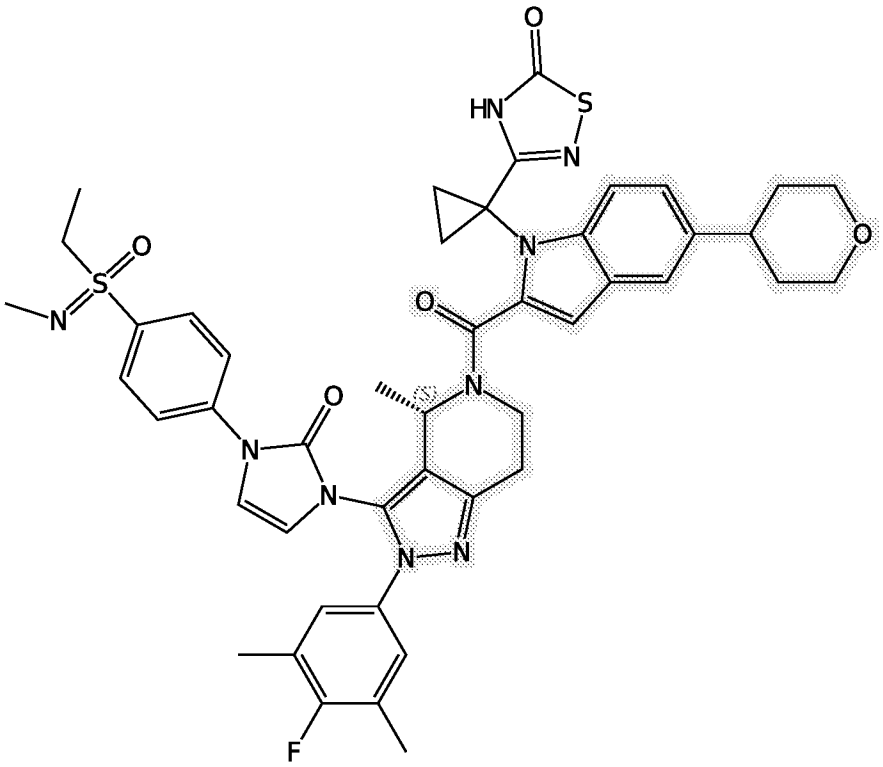
Absolute stereochemistry shown

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

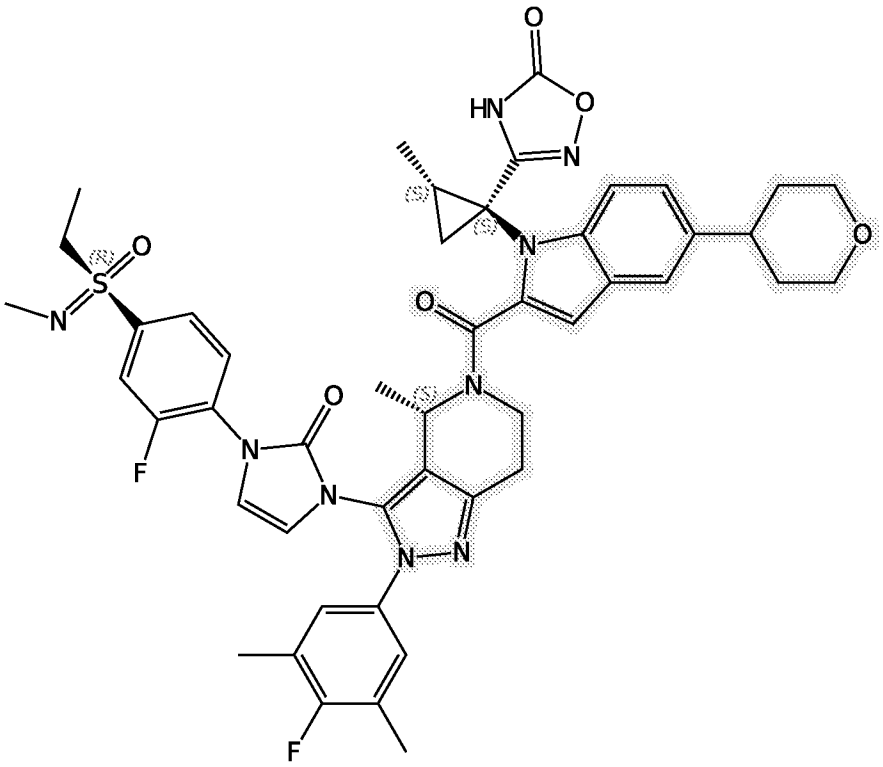


Absolute stereochemistry shown

IT 3054162-95-4P
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)

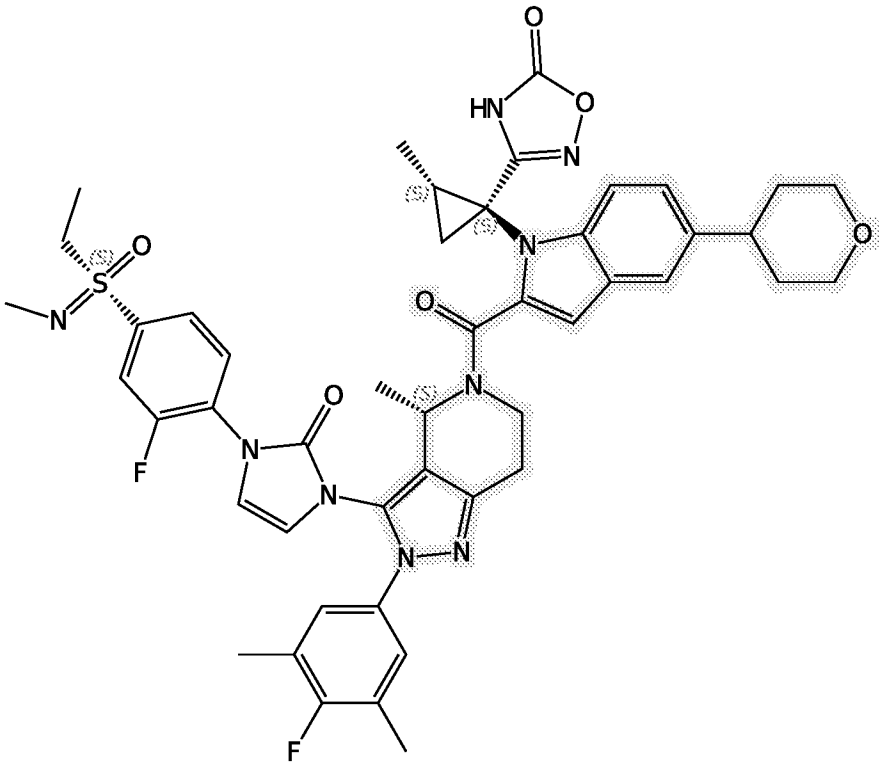
RN 3054162-95-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

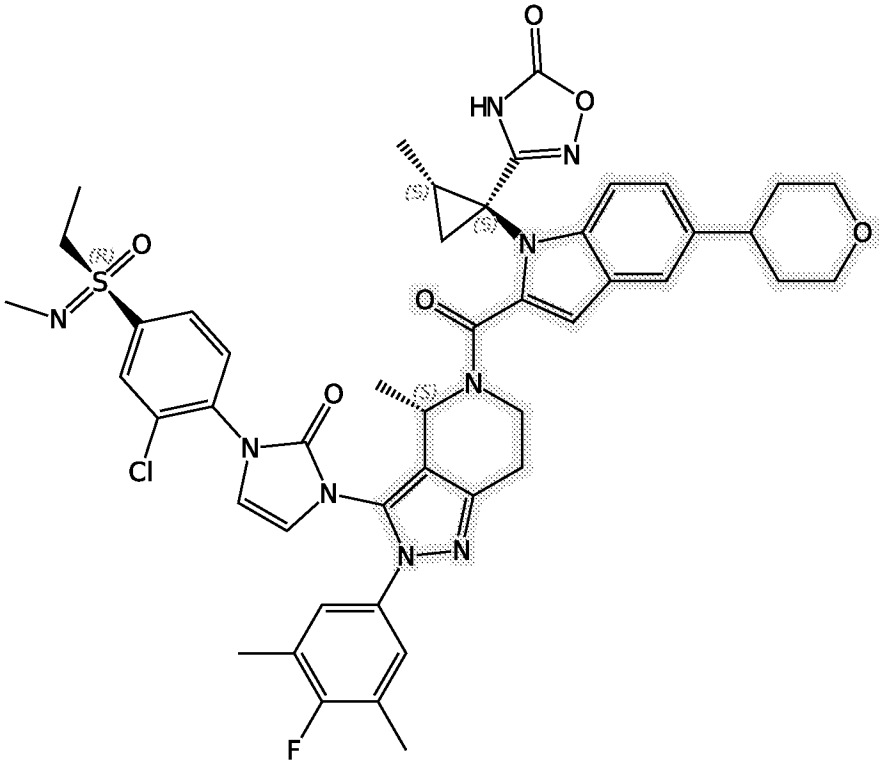
IT 3054162-96-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)

RN 3054162-96-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

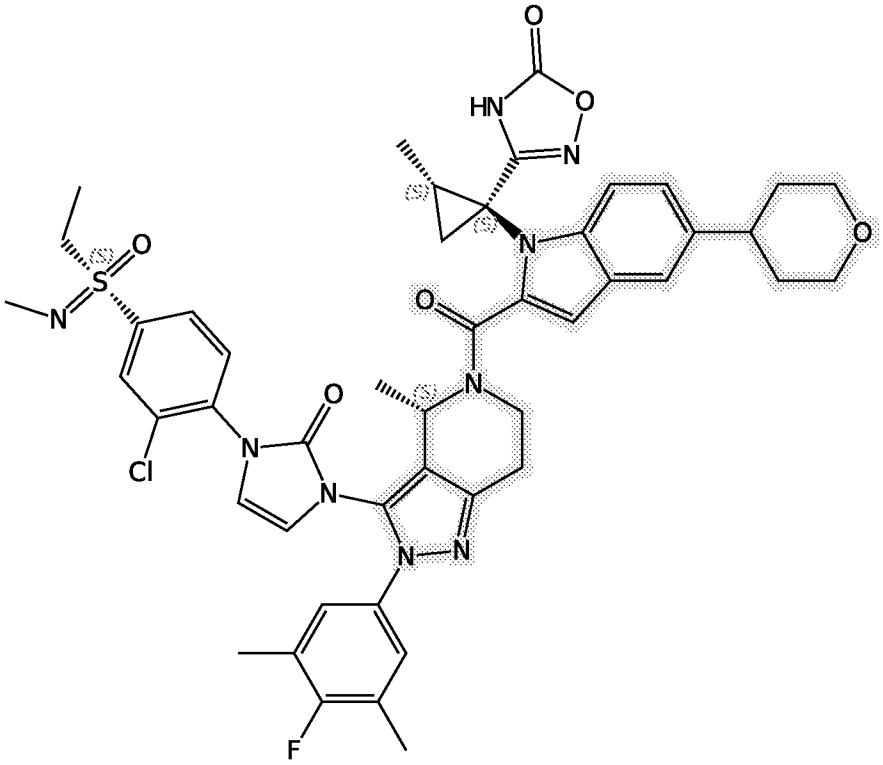
IT 3054162-97-6P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054162-97-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

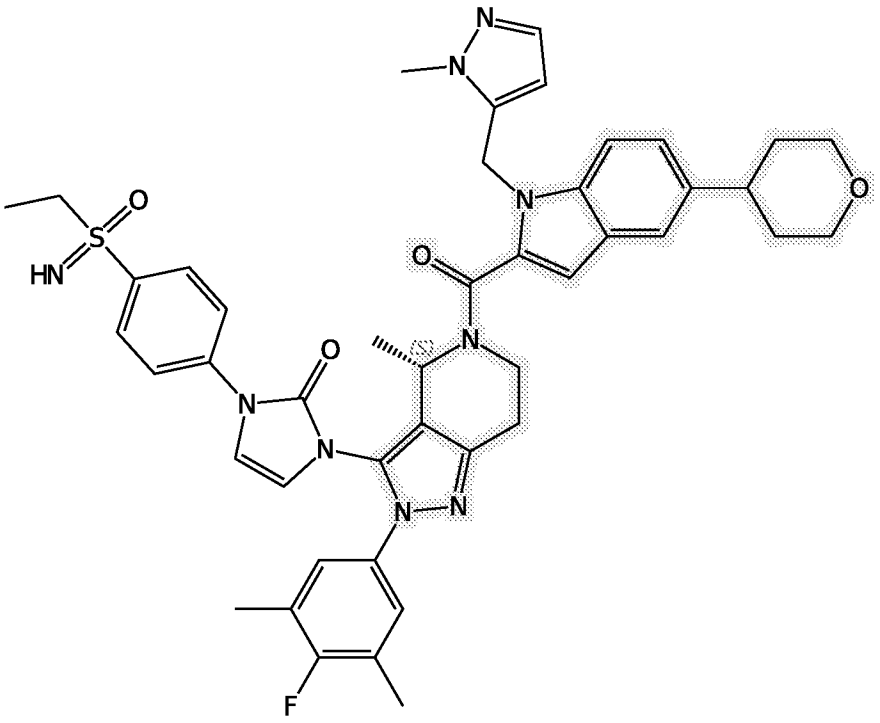
IT 3054162-98-7P 3054162-99-8P 3054163-00-4P
3054163-01-5P 3054163-02-6P 3054163-03-7P
3054163-04-8P 3054163-07-1P 3054163-08-2P
3054163-09-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)

RN 3054162-98-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



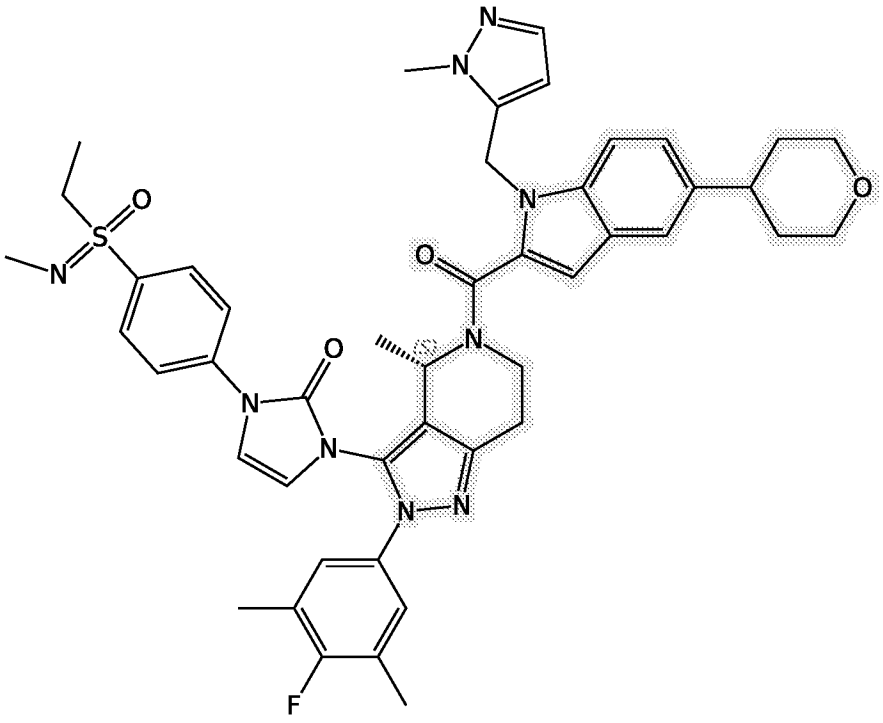

Absolute stereochemistry shown

RN 3054162-99-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



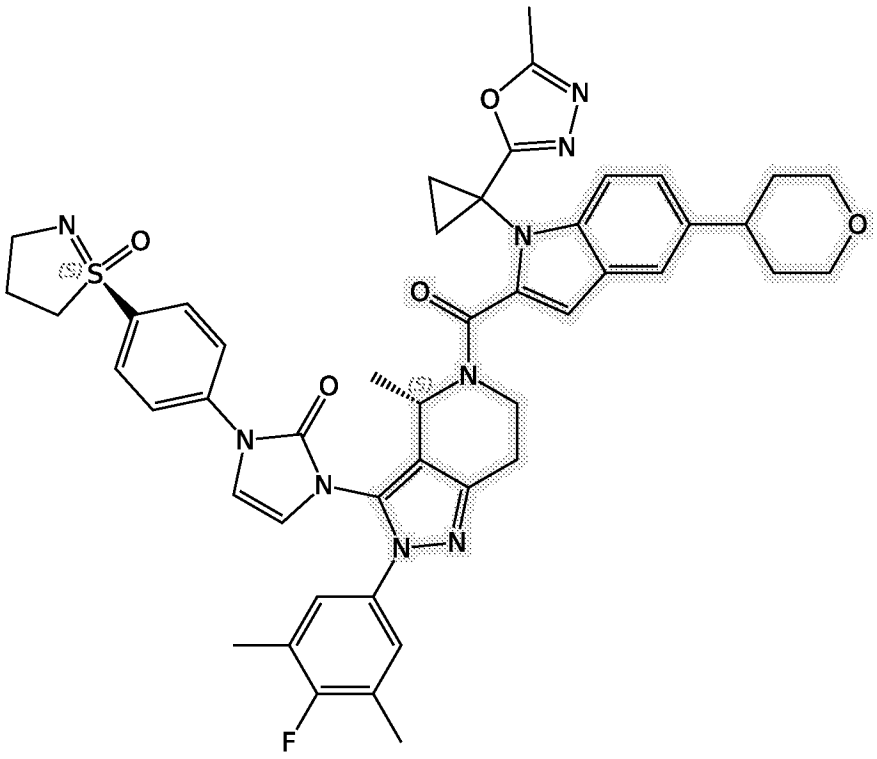
Absolute stereochemistry shown

RN 3054163-00-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



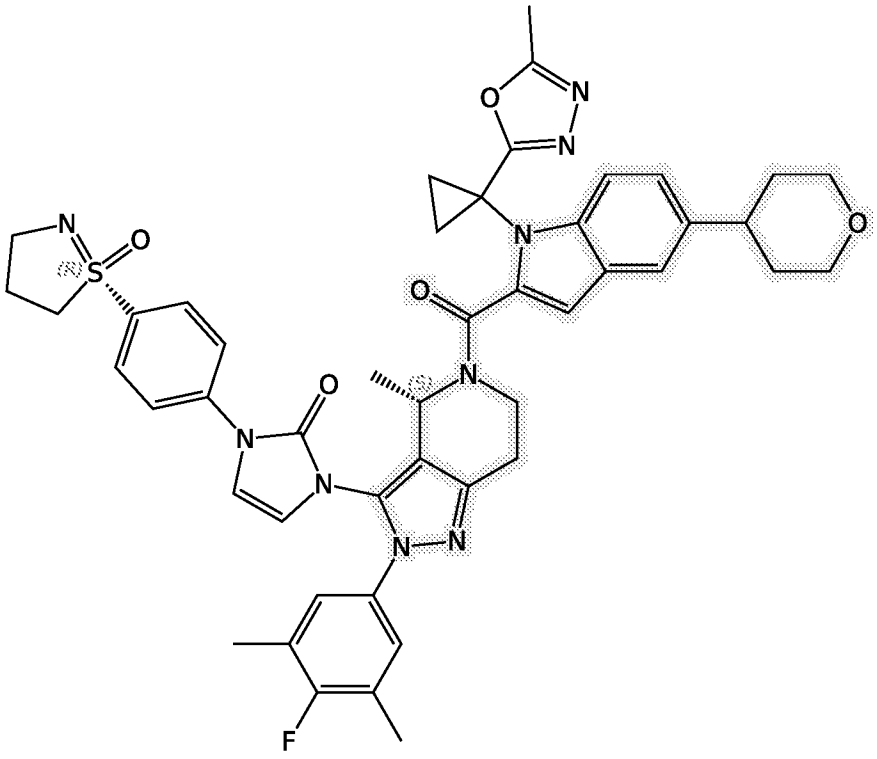
Absolute stereochemistry shown

RN 3054163-01-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



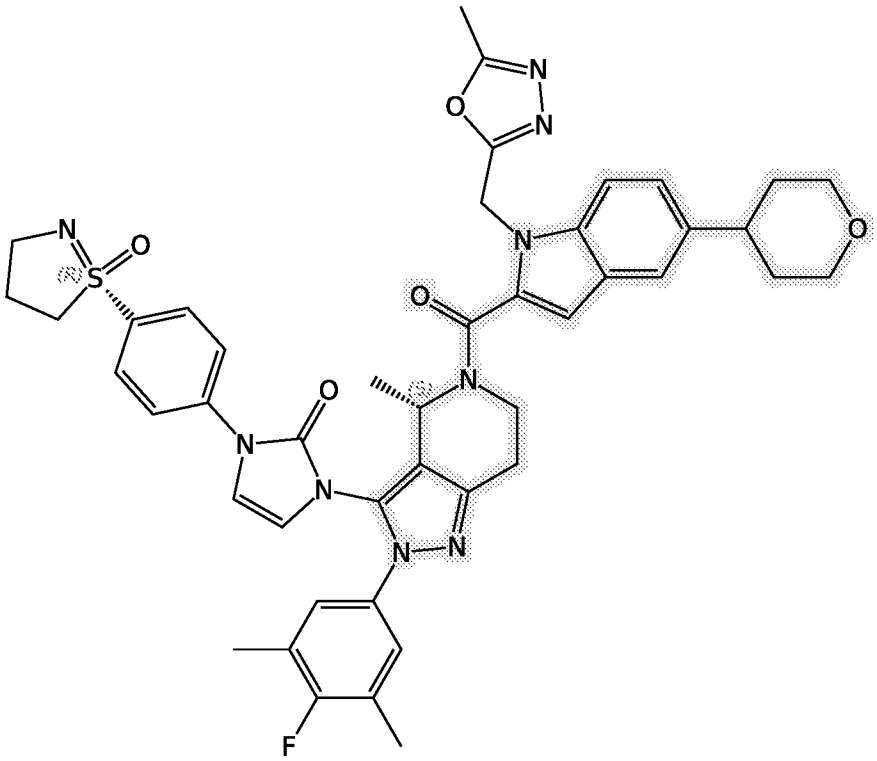
Absolute stereochemistry shown

RN 3054163-02-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



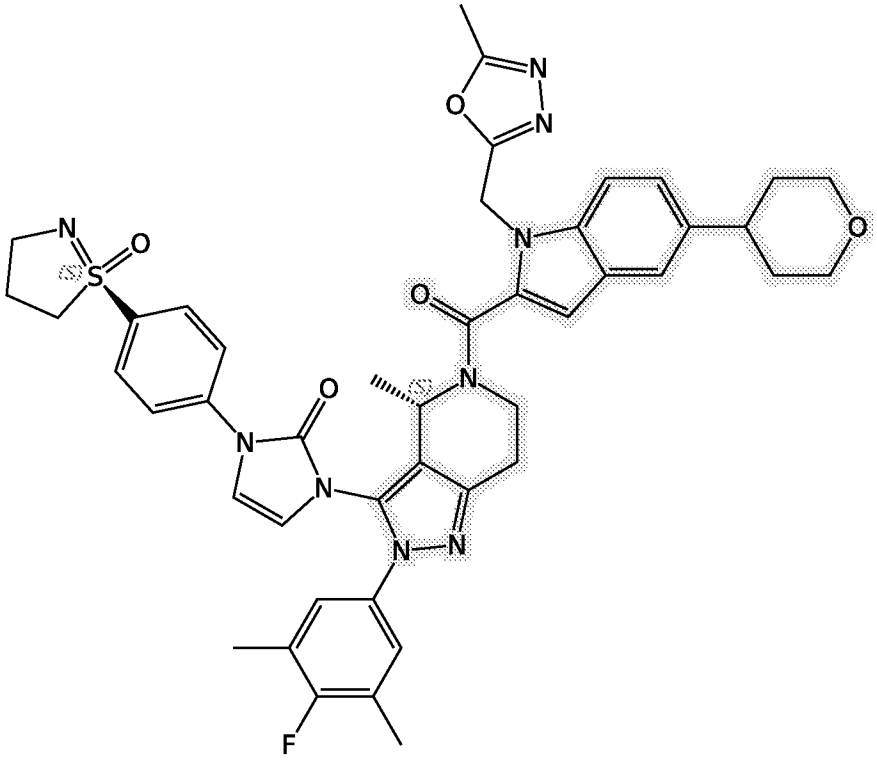
Absolute stereochemistry shown

RN 3054163-03-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



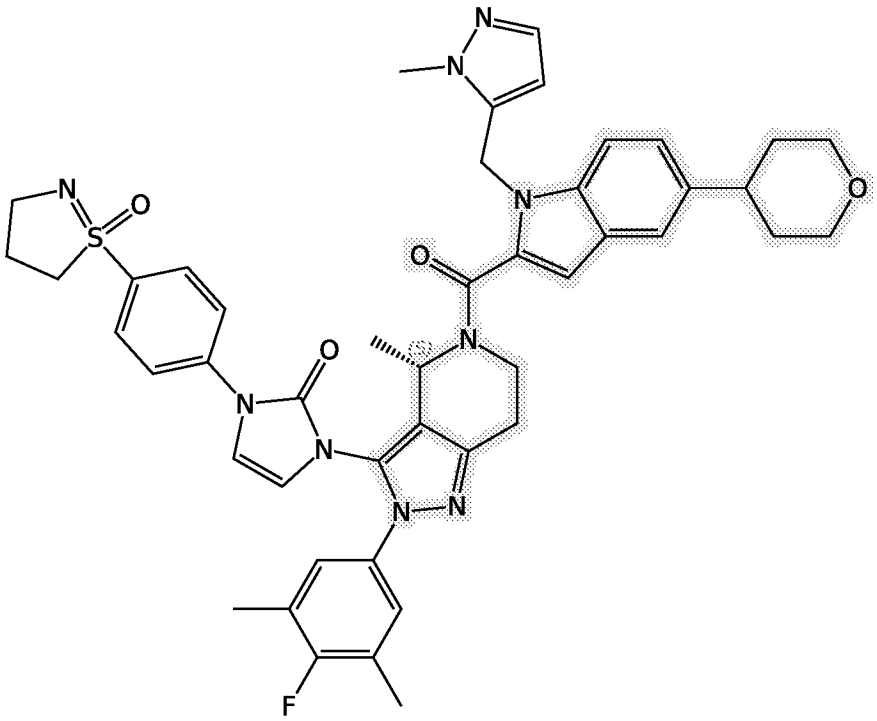
Absolute stereochemistry shown

RN 3054163-04-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



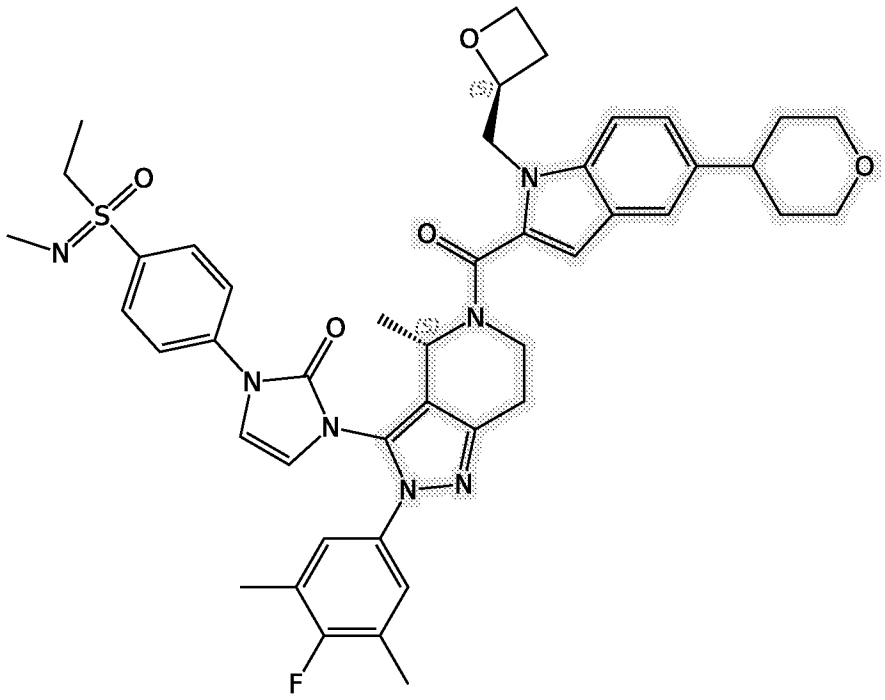
Absolute stereochemistry shown

RN 3054163-07-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



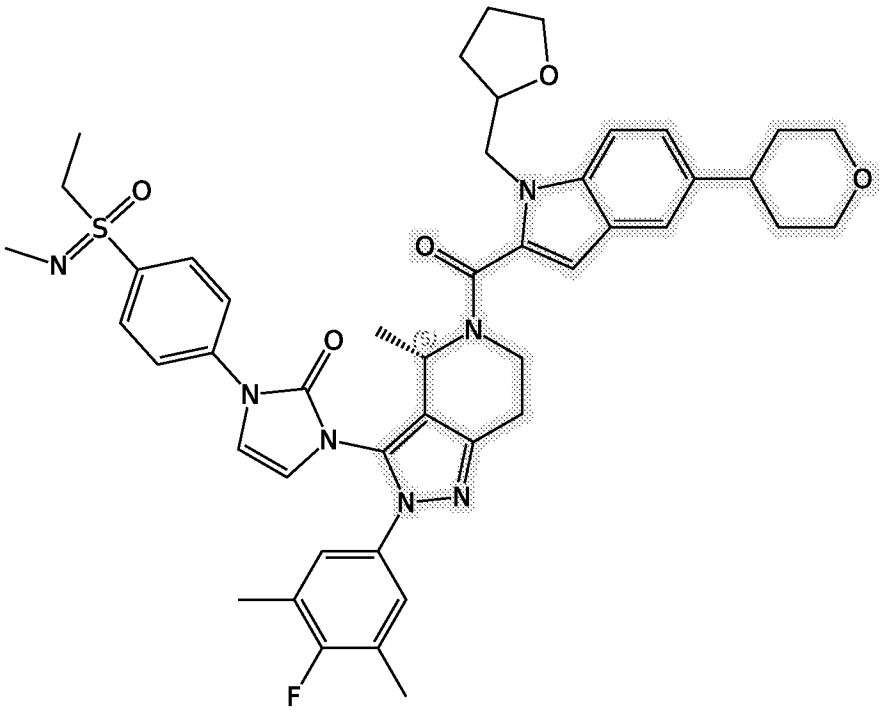
Absolute stereochemistry shown

RN 3054163-08-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



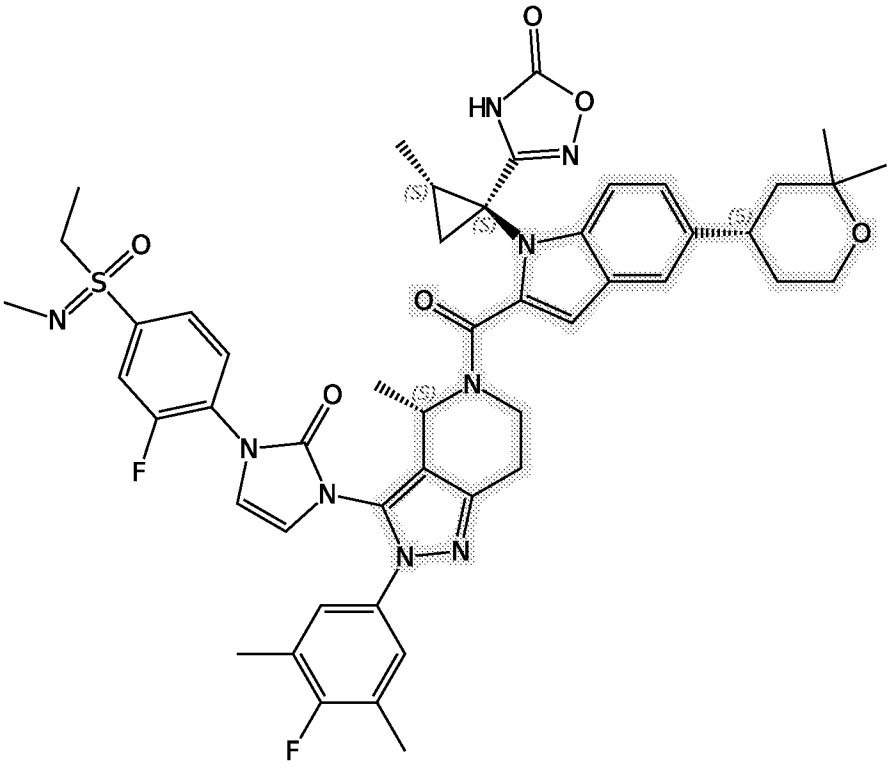
Absolute stereochemistry shown

RN 3054163-09-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



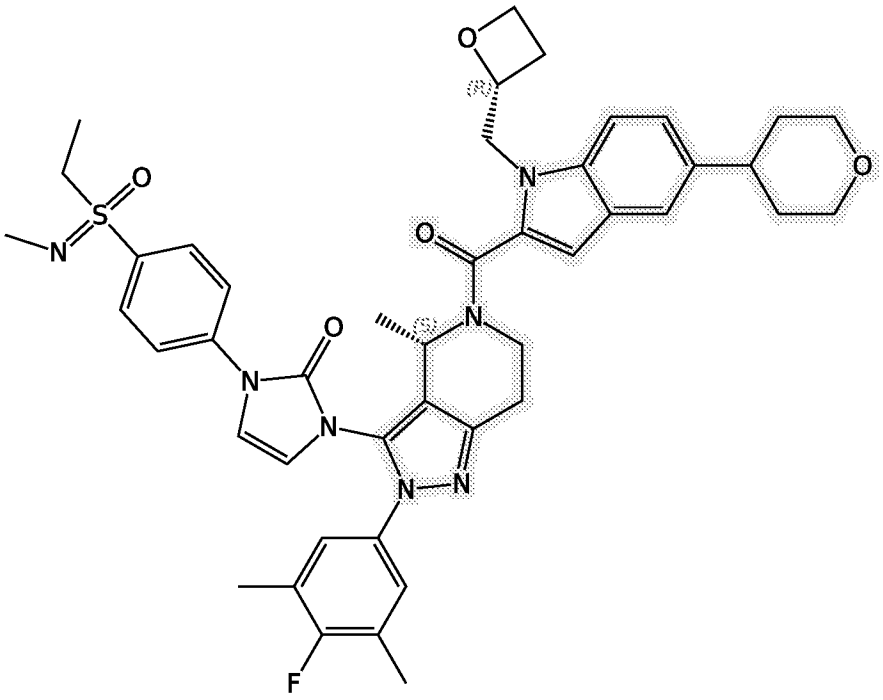
Absolute stereochemistry shown

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



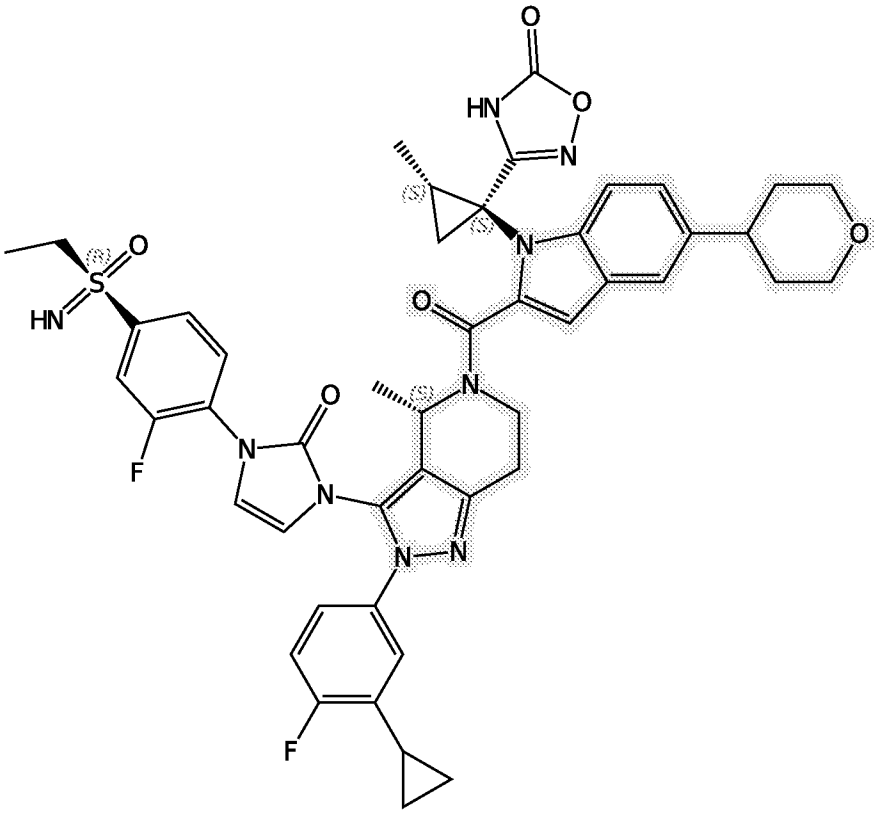
Absolute stereochemistry shown

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



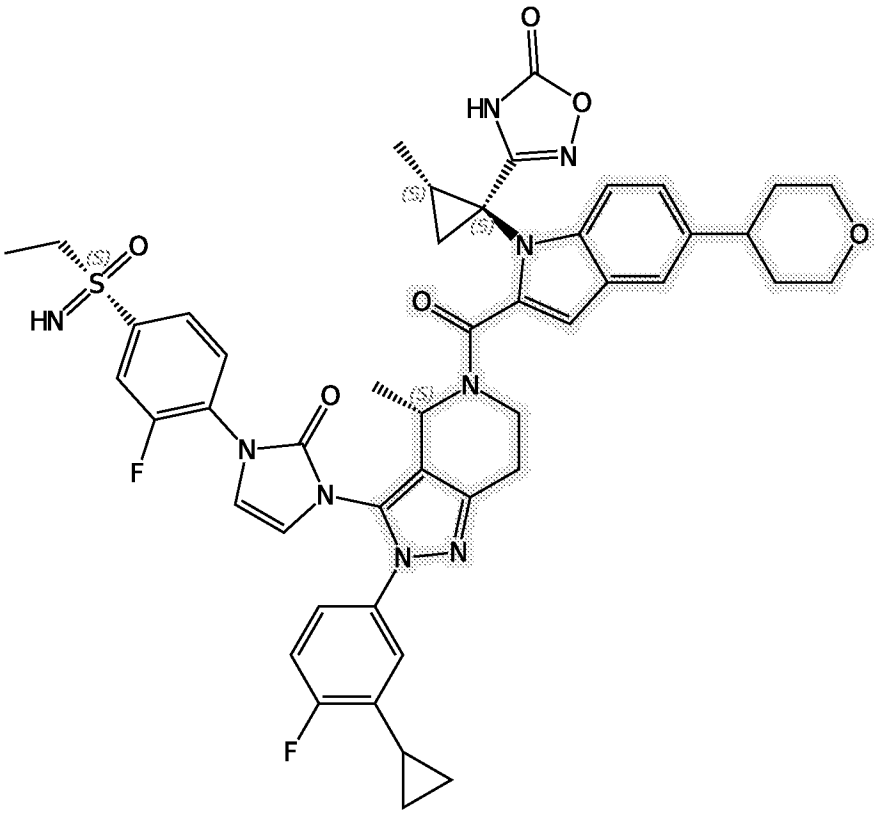
Absolute stereochemistry shown

RN 3054163-12-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



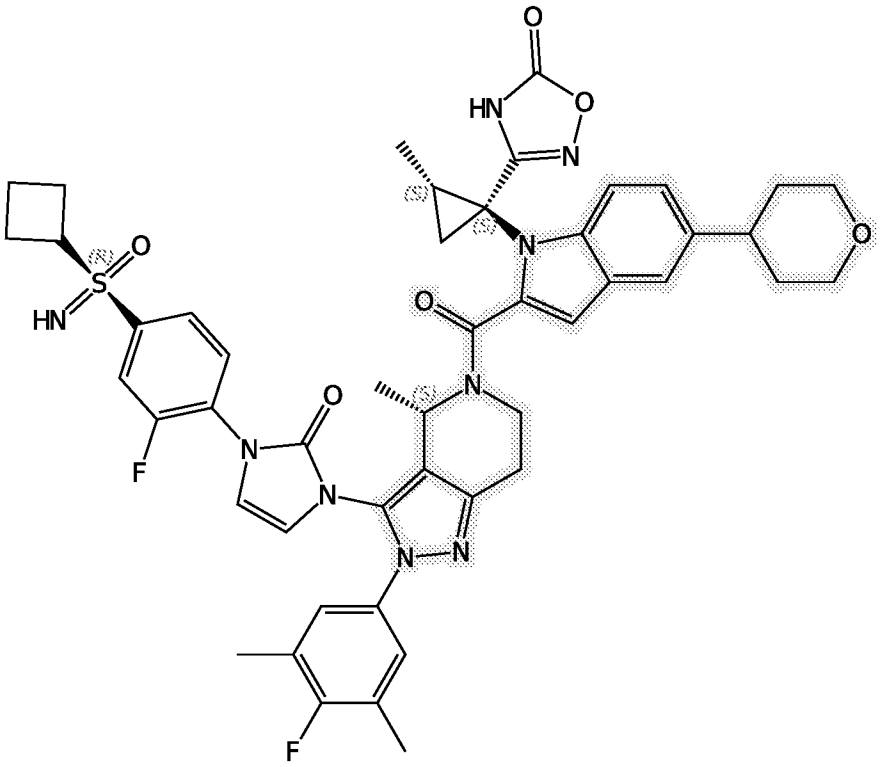
Absolute stereochemistry shown

RN 3054163-13-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



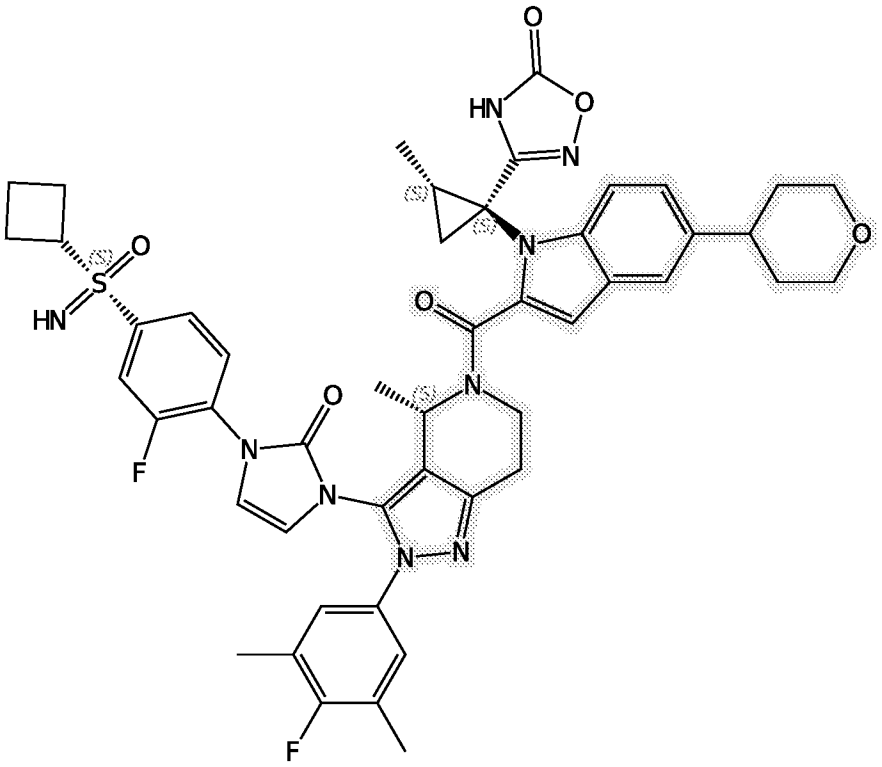
Absolute stereochemistry shown

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



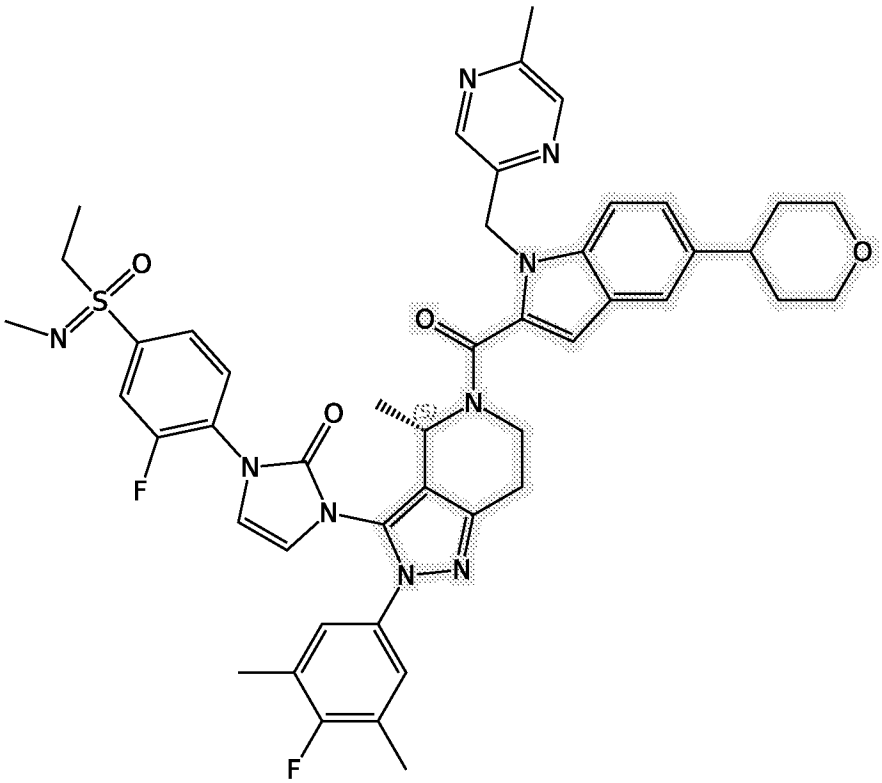
Absolute stereochemistry shown

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

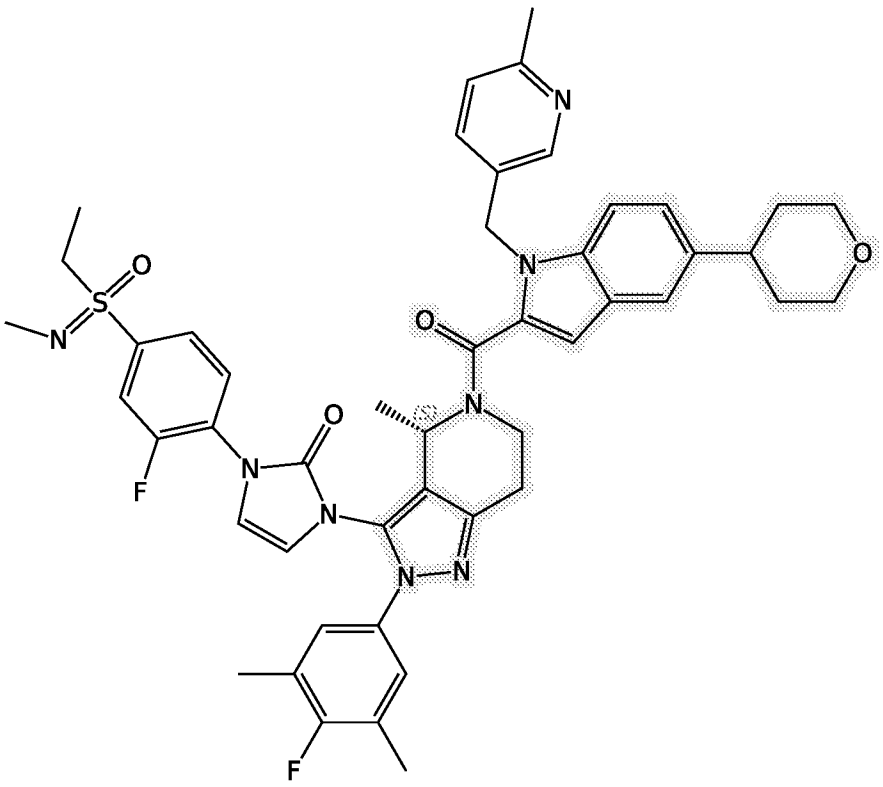
RN 3054163-16-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

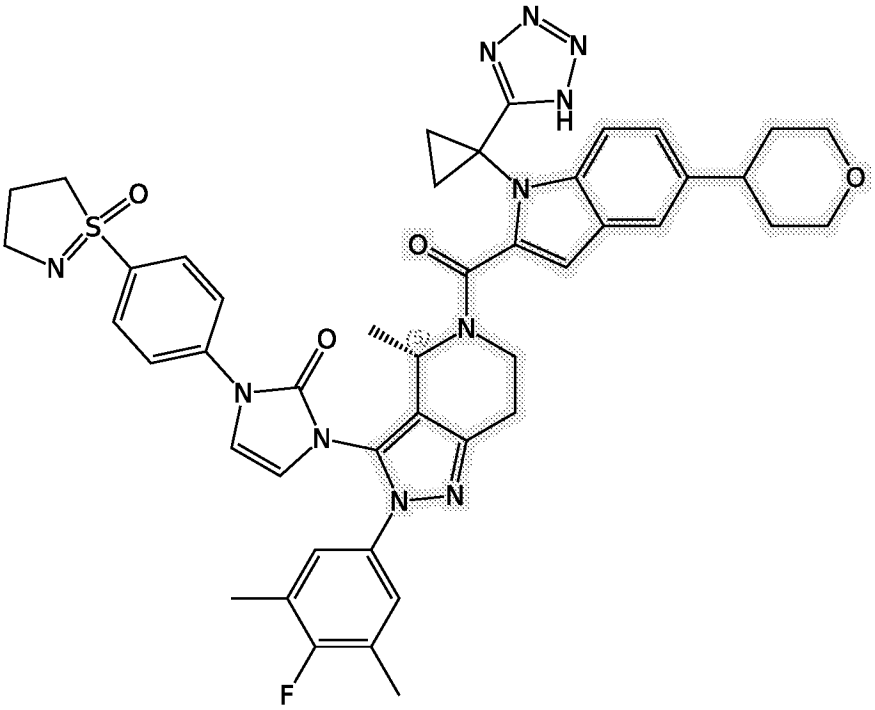
RN 3054163-17-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



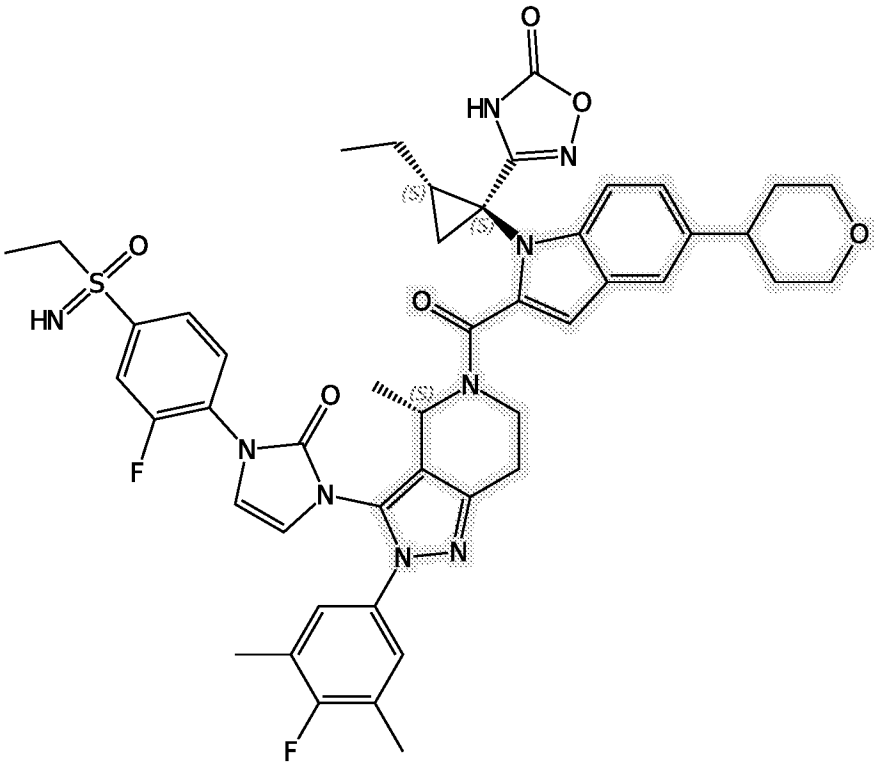
Absolute stereochemistry shown

RN 3054163-18-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



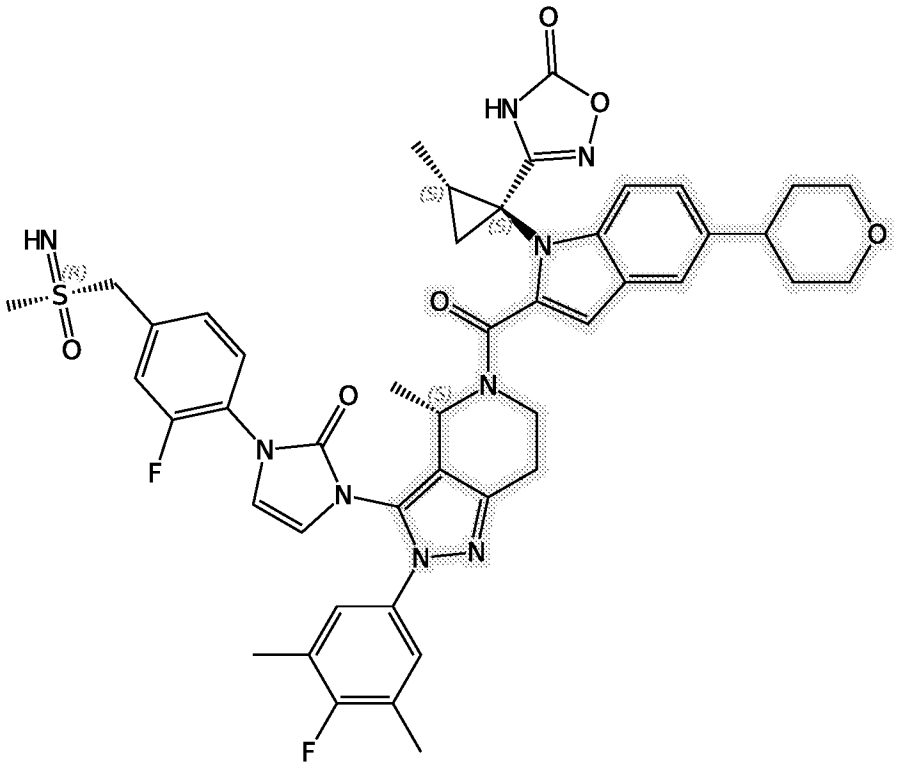
Absolute stereochemistry shown

RN 3054163-19-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



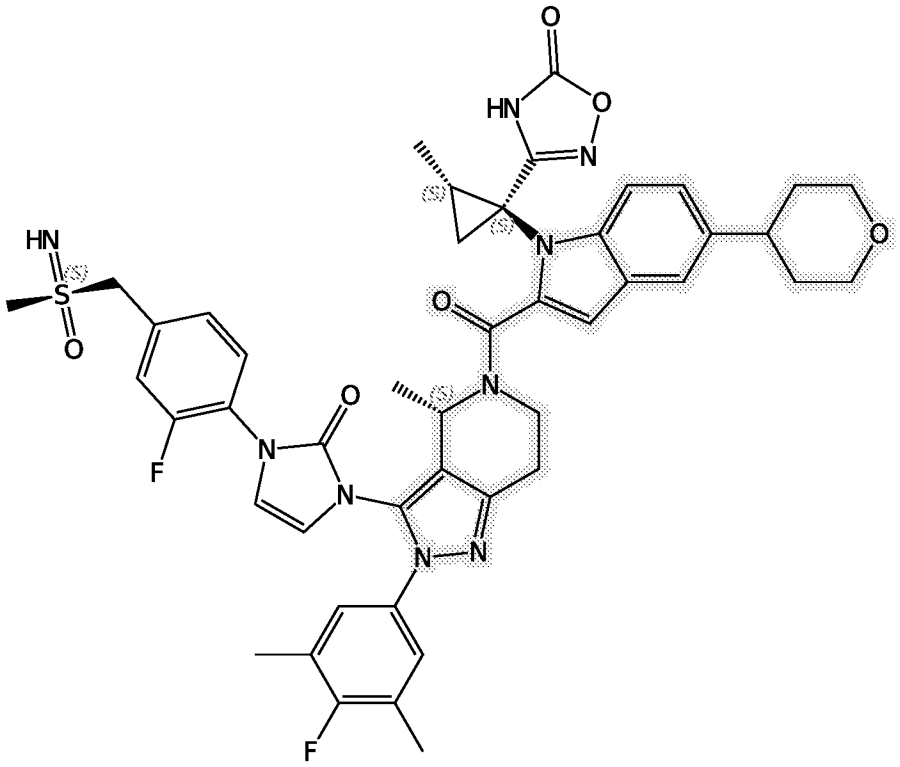
Absolute stereochemistry shown

RN 3054163-20-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



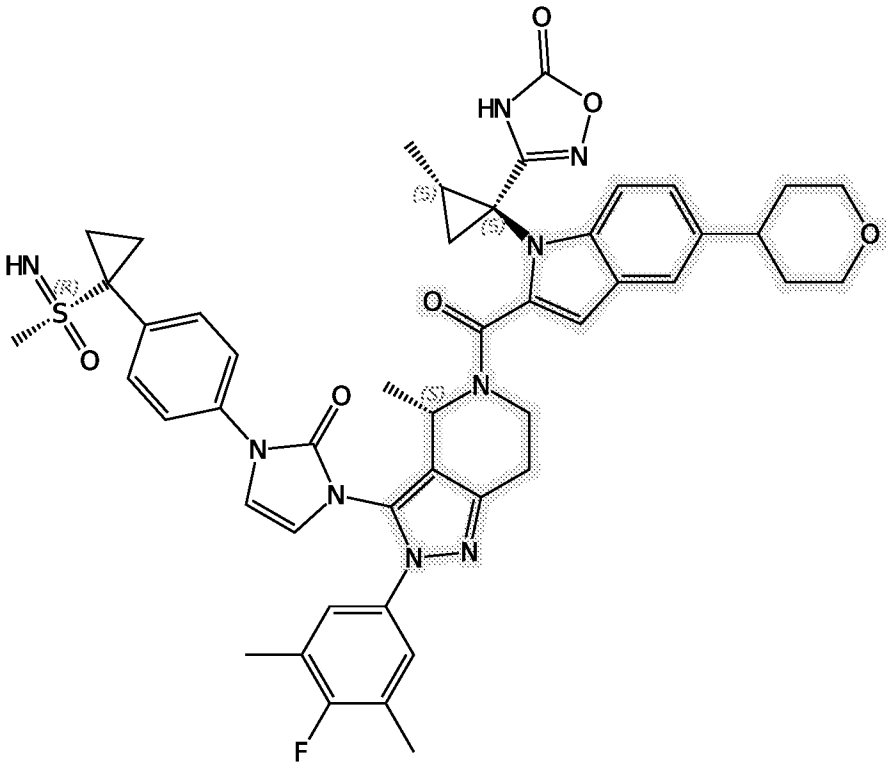
Absolute stereochemistry shown

RN 3054163-21-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



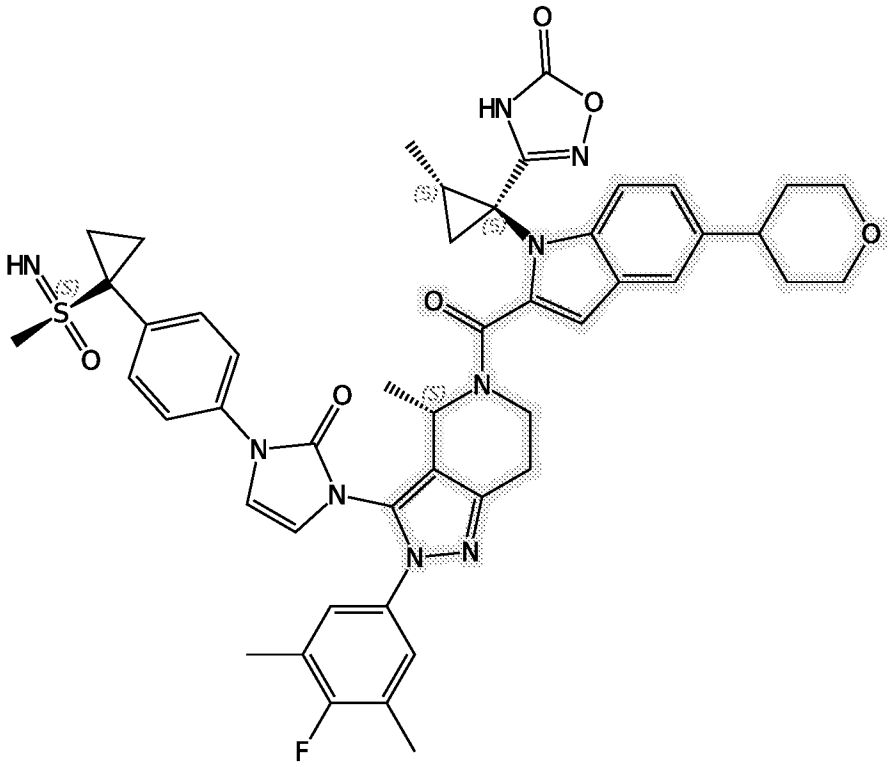
Absolute stereochemistry shown

RN 3054163-22-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



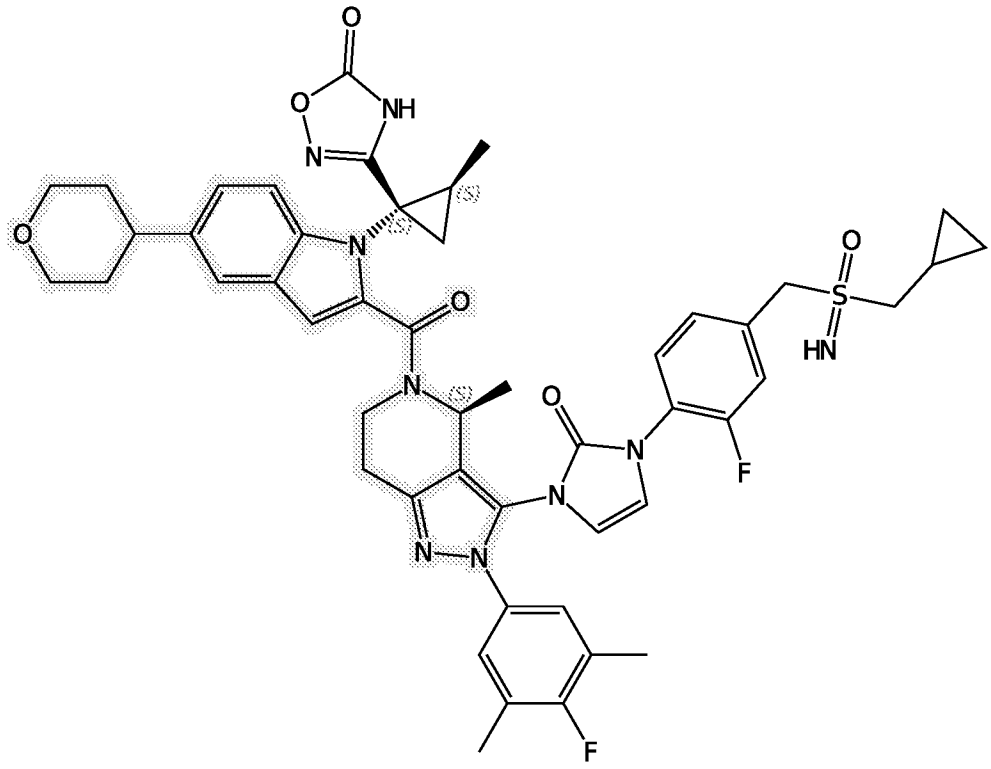
Absolute stereochemistry shown

RN 3054163-23-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



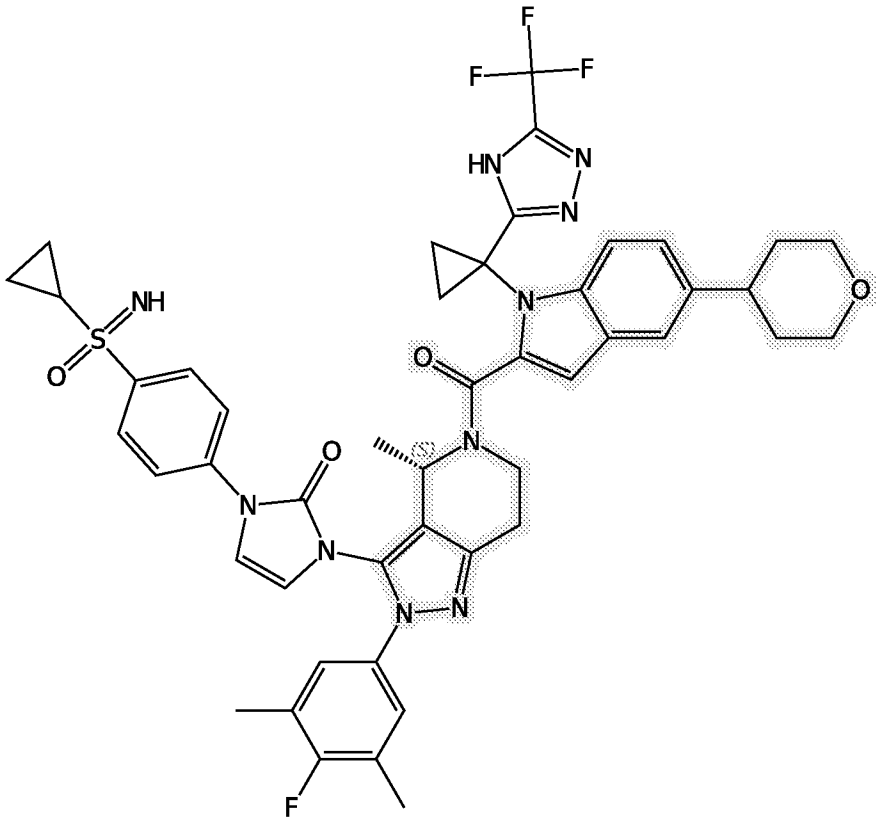
Absolute stereochemistry shown

RN 3054163-24-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



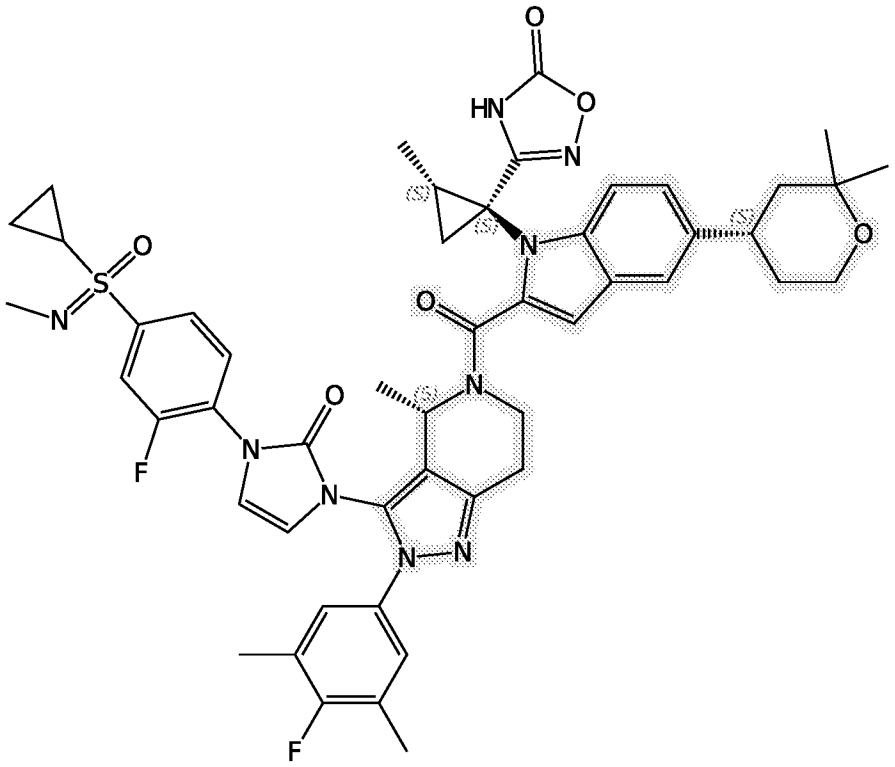
Absolute stereochemistry shown

RN 3054163-25-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



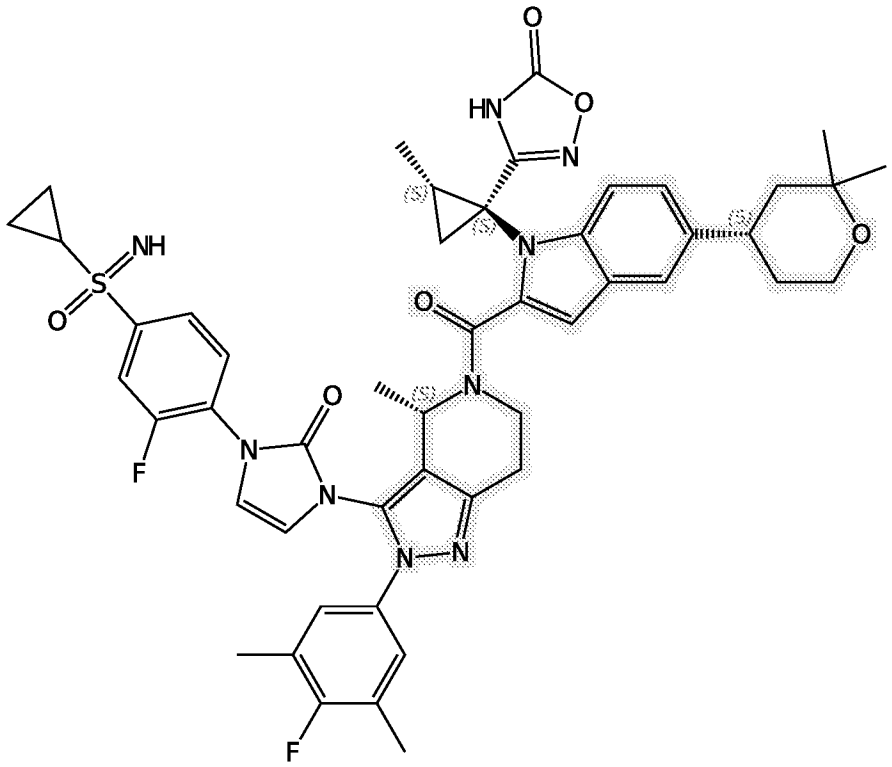
Absolute stereochemistry shown

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



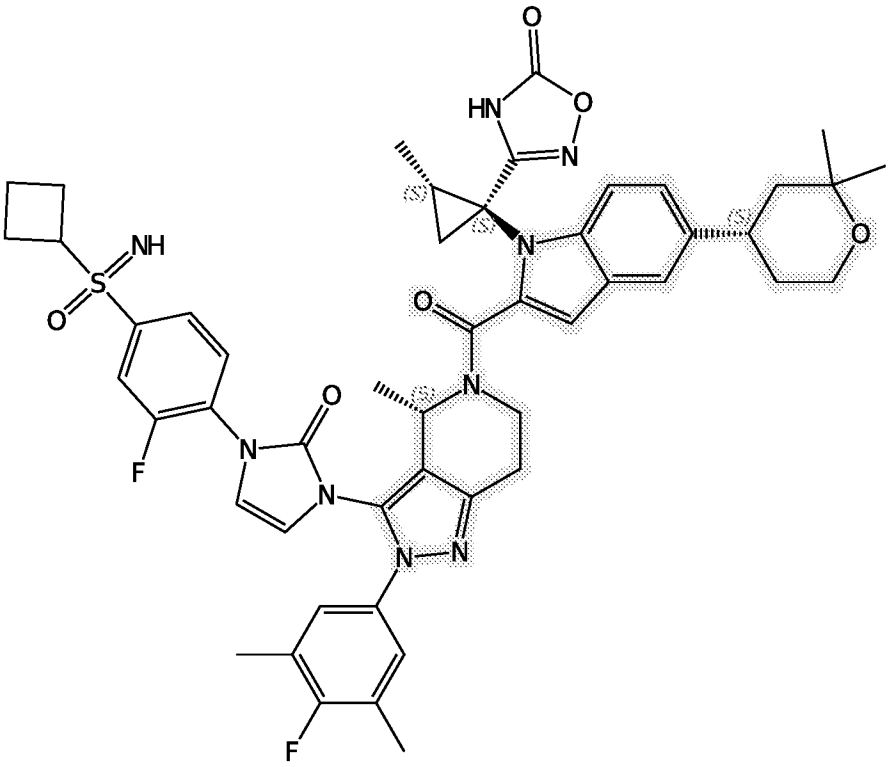
Absolute stereochemistry shown

RN 3054163-27-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



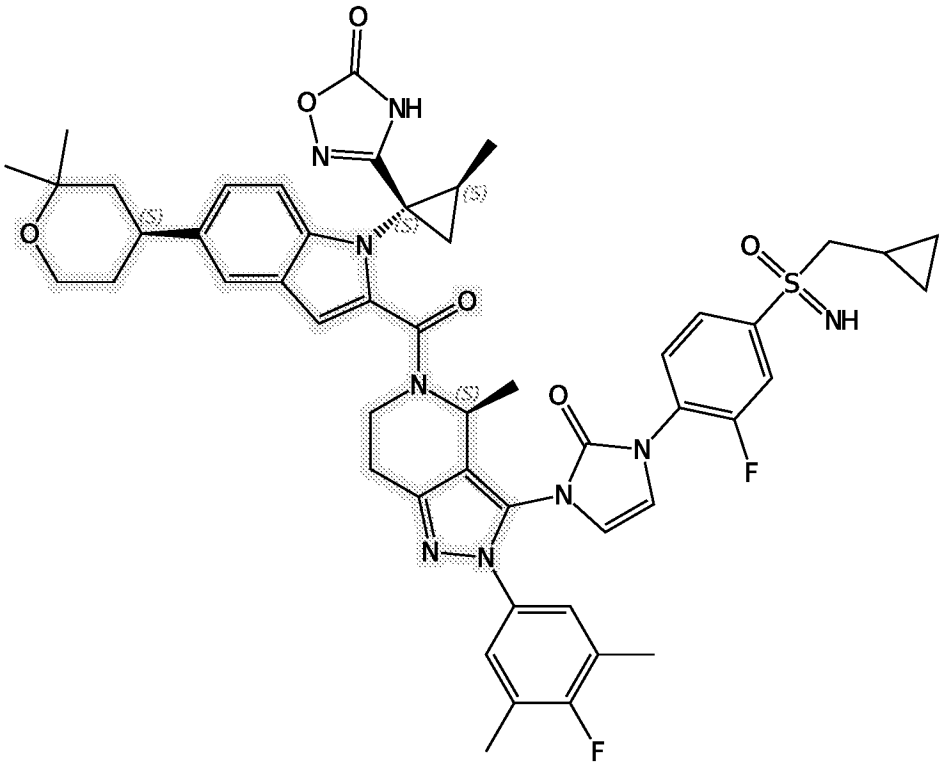
Absolute stereochemistry shown

RN 3054163-28-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



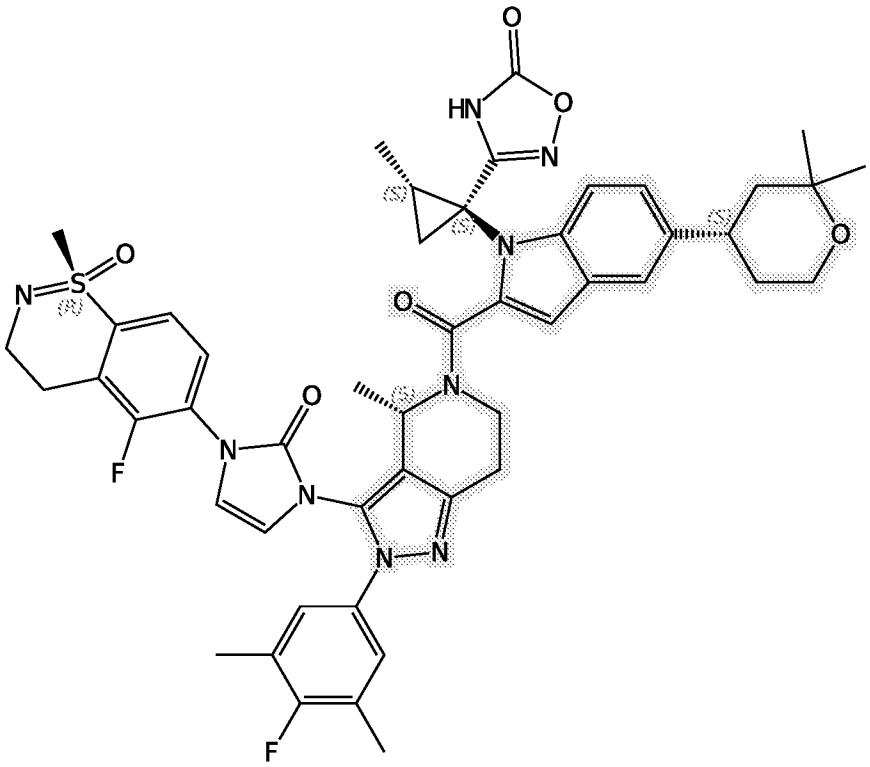

Absolute stereochemistry shown

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



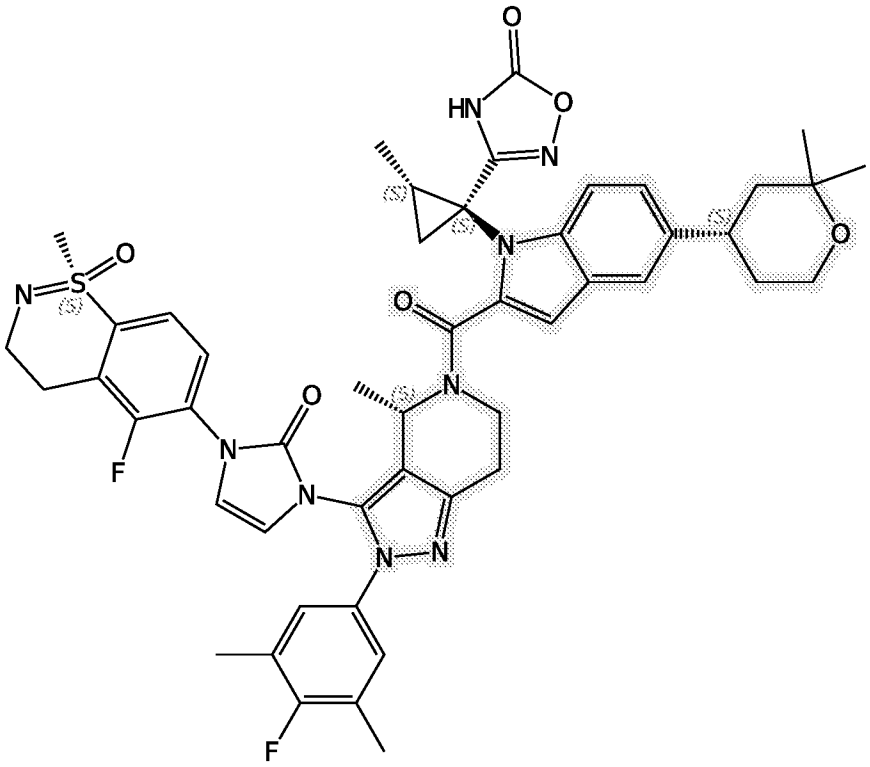
Absolute stereochemistry shown

RN 3054163-30-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



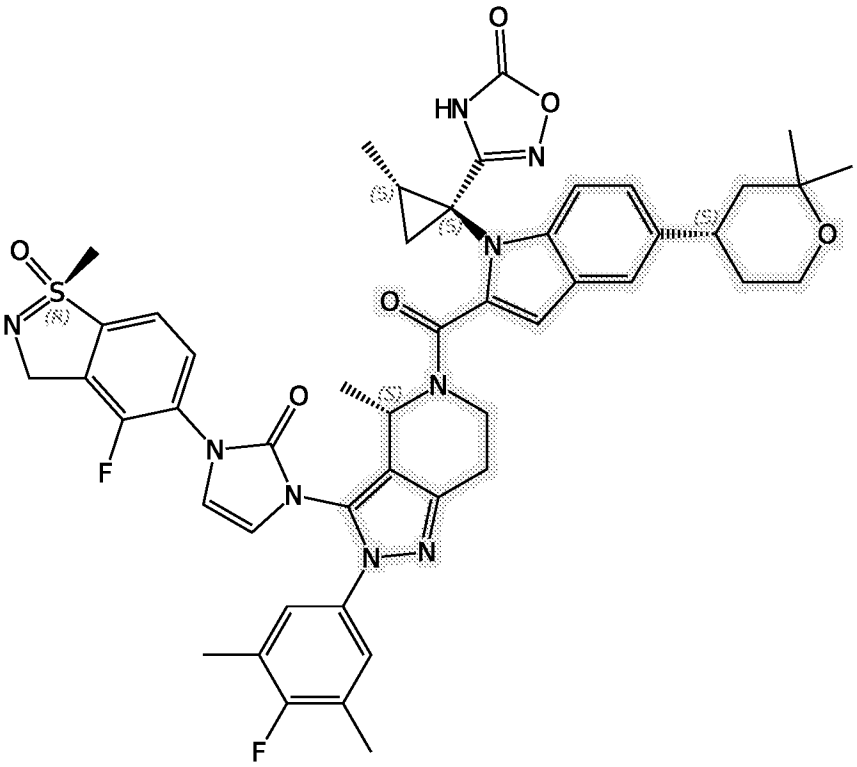
Absolute stereochemistry shown

RN 3054163-31-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



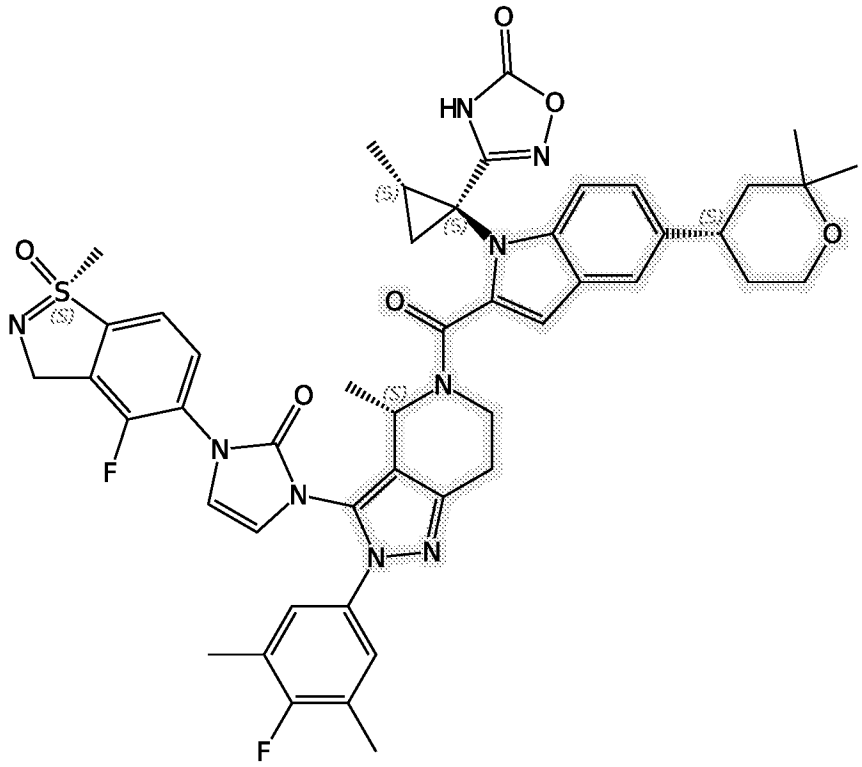
Absolute stereochemistry shown

RN 3054163-32-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



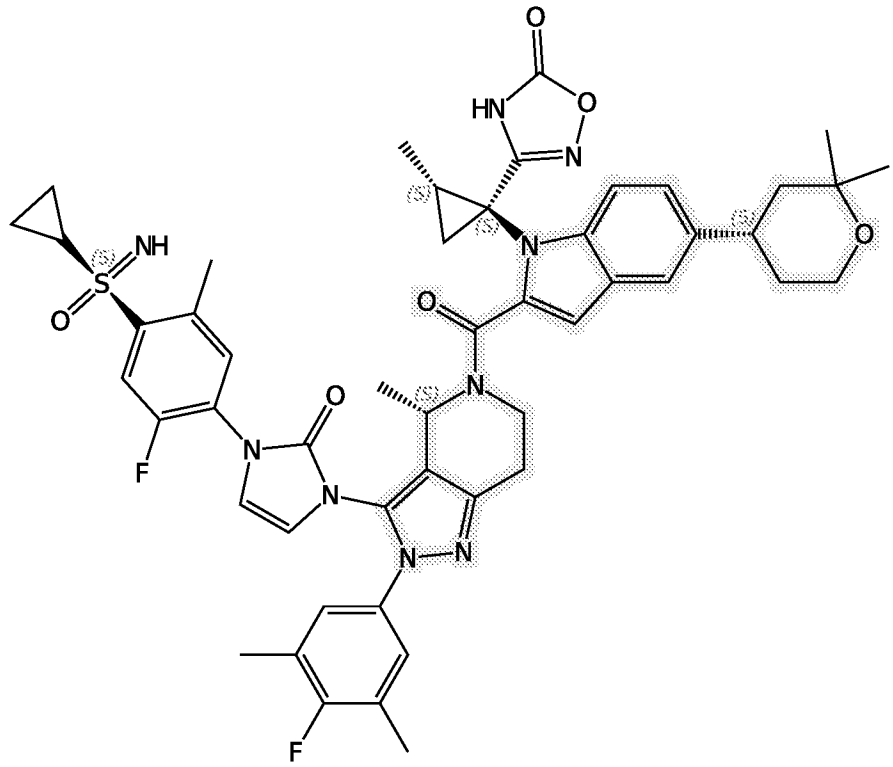
Absolute stereochemistry shown

RN 3054163-33-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



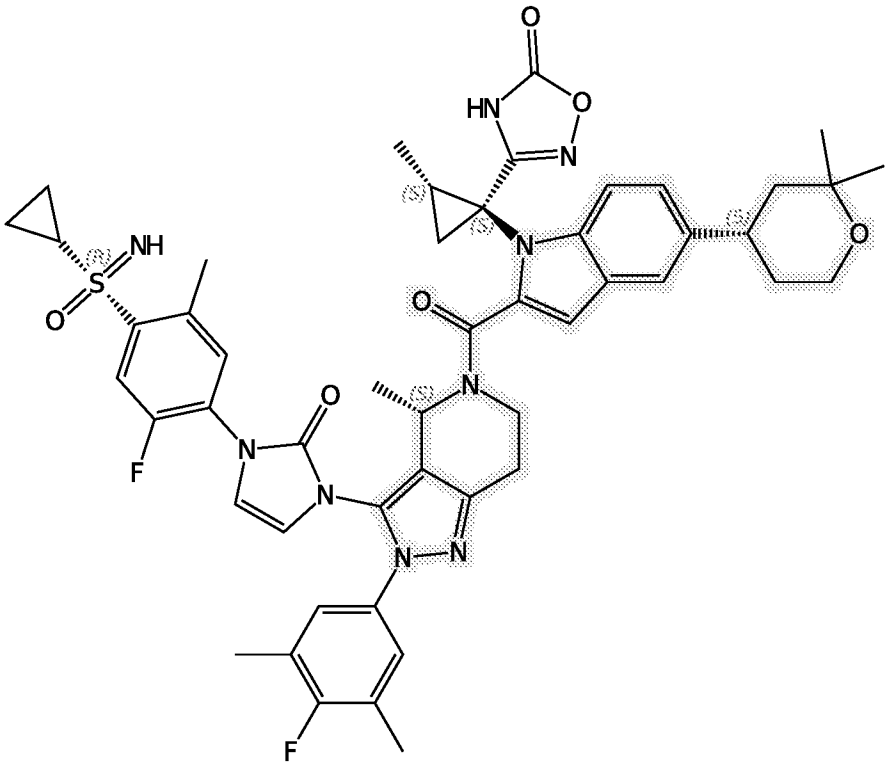
Absolute stereochemistry shown

RN 3054163-34-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



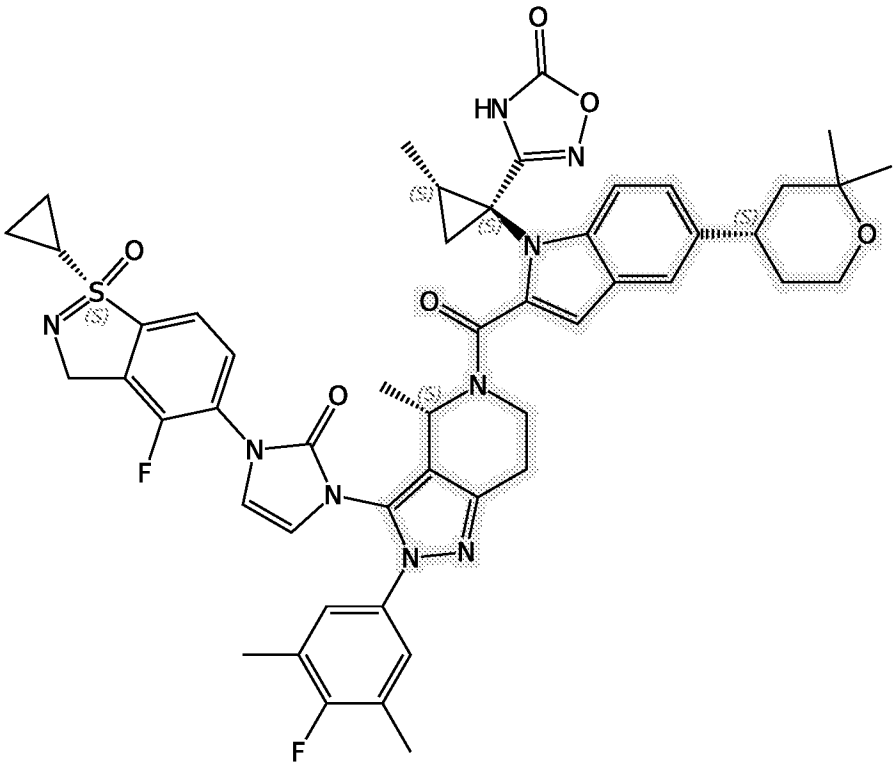
Absolute stereochemistry shown

RN 3054163-35-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



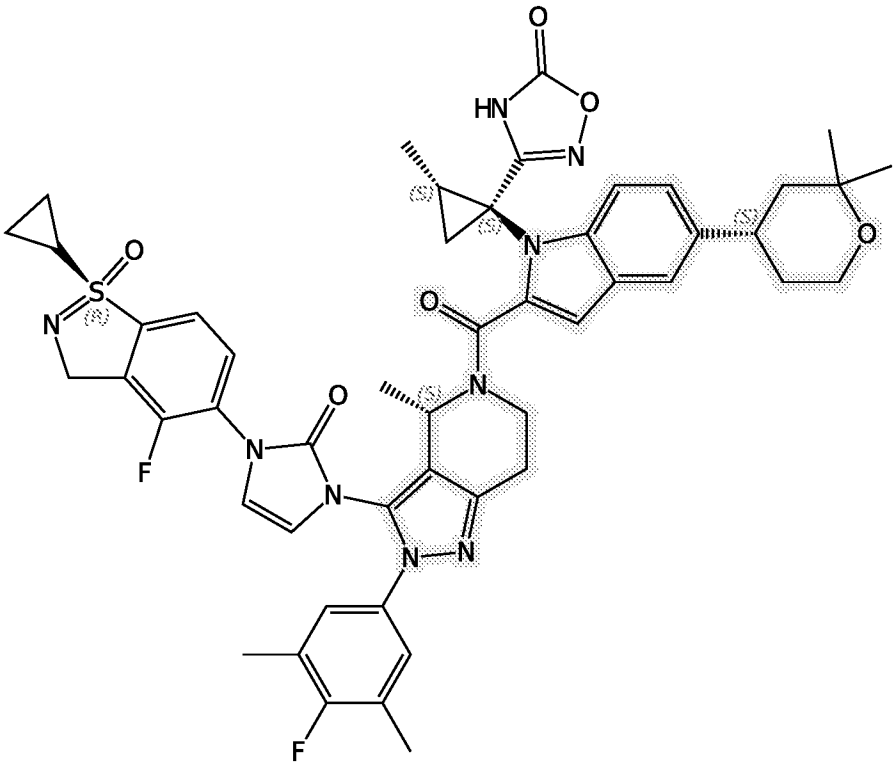
Absolute stereochemistry shown

RN 3054163-36-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



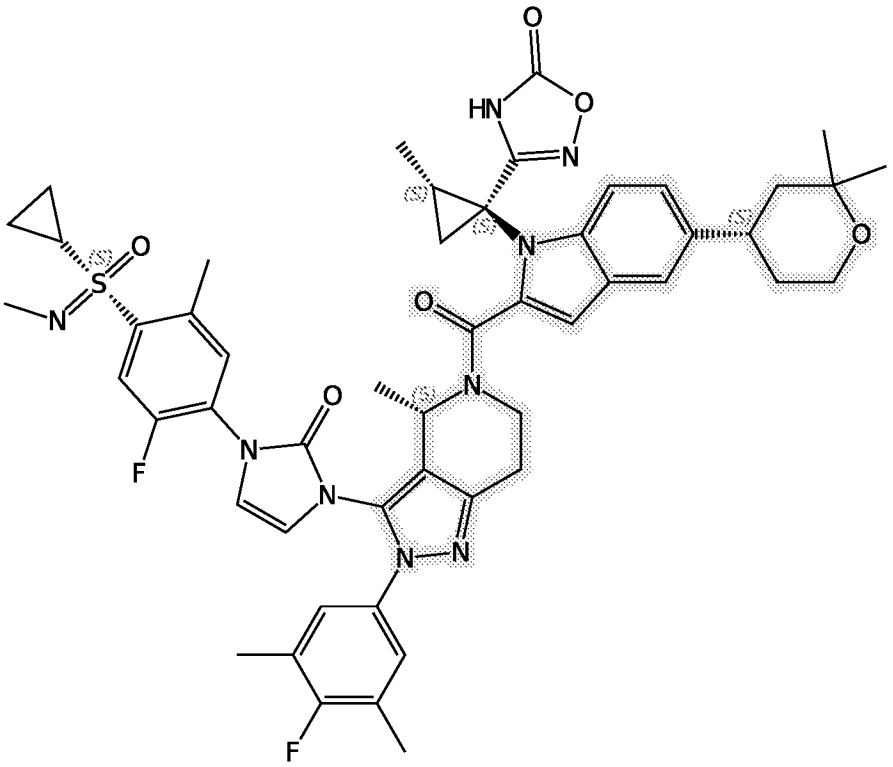
Absolute stereochemistry shown

RN 3054163-37-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



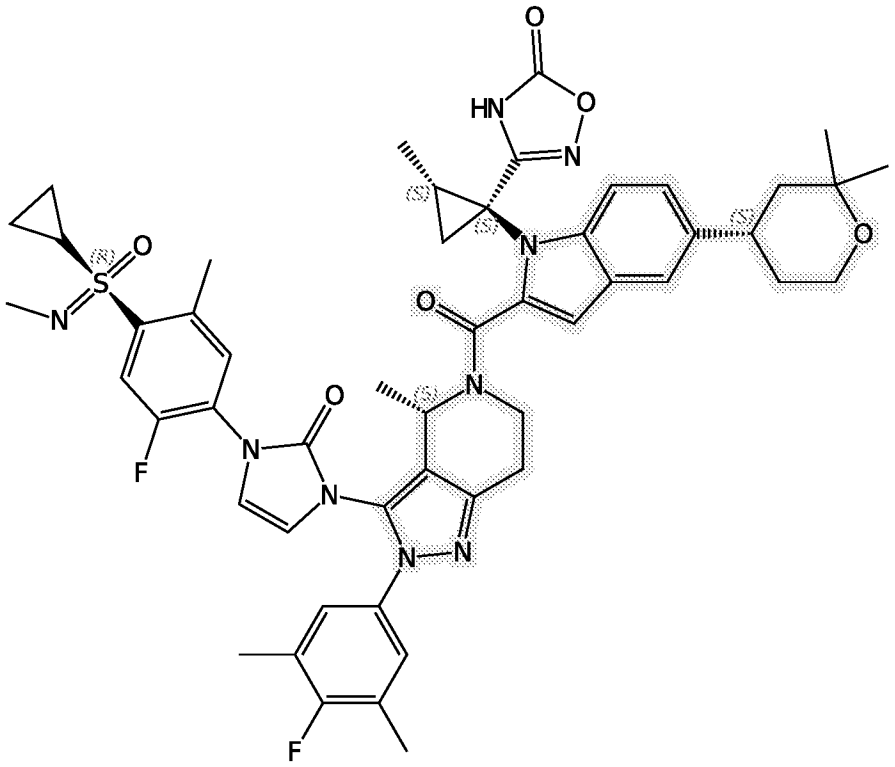
Absolute stereochemistry shown

RN 3054163-38-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



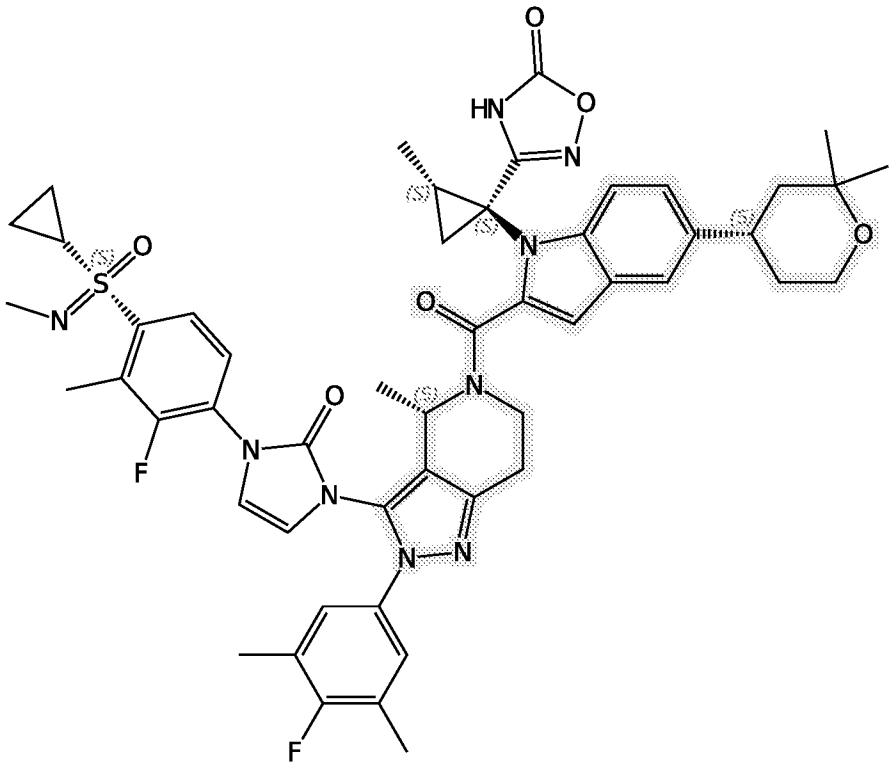
Absolute stereochemistry shown

RN 3054163-39-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

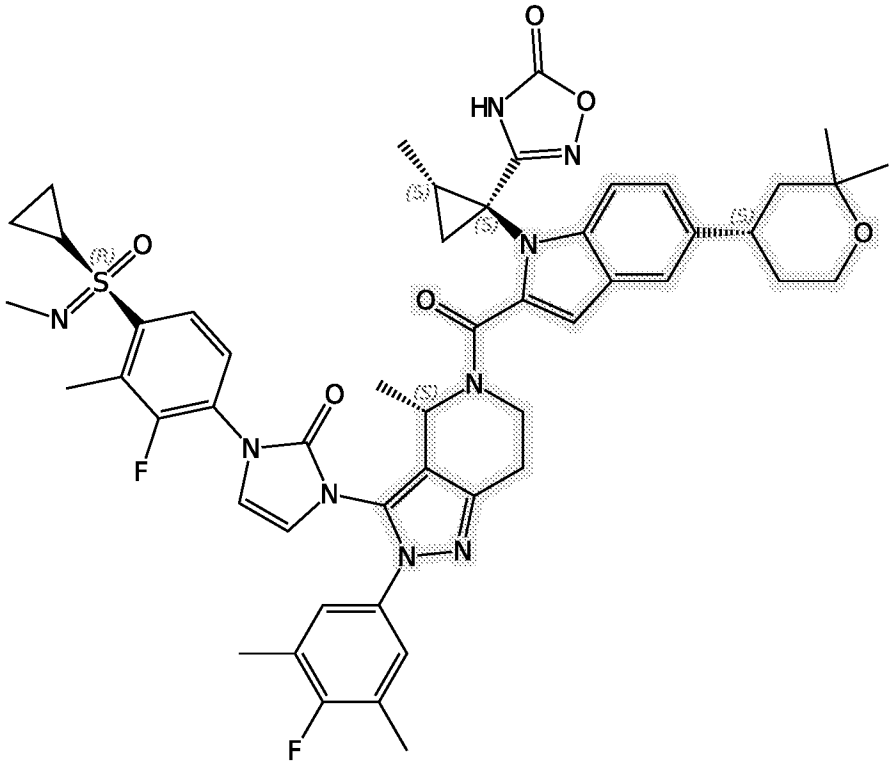
IT 3054163-40-2P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydropyrazolopyridine derivs. as GLP-1 agonists)
RN 3054163-40-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

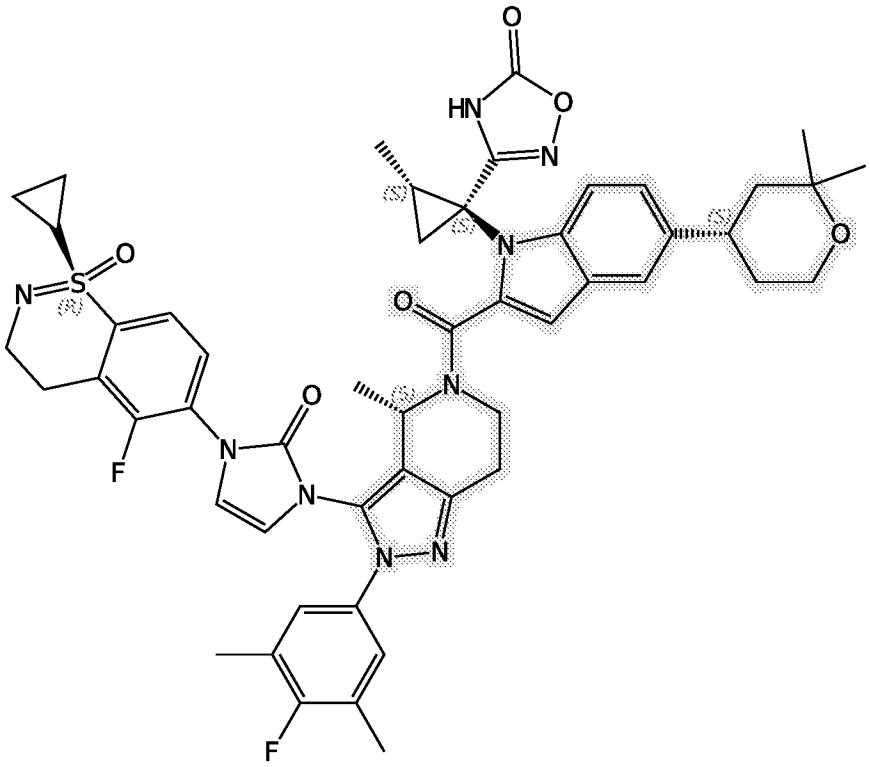
IT 3054163-41-3P 3054163-42-4P 3054163-43-5P
3054163-44-6P 3054163-45-7P 3054163-46-8P
3054163-47-9P 3054163-48-0P 3054163-49-1P
3054163-50-4P 3054163-51-5P 3054163-52-6P
3054163-53-7P 3054163-54-8P 3054163-55-9P
3054163-56-0P 3054163-57-1P 3054163-58-2P
RL: PAC (Pharmacological activity); SPN (Synthetic 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



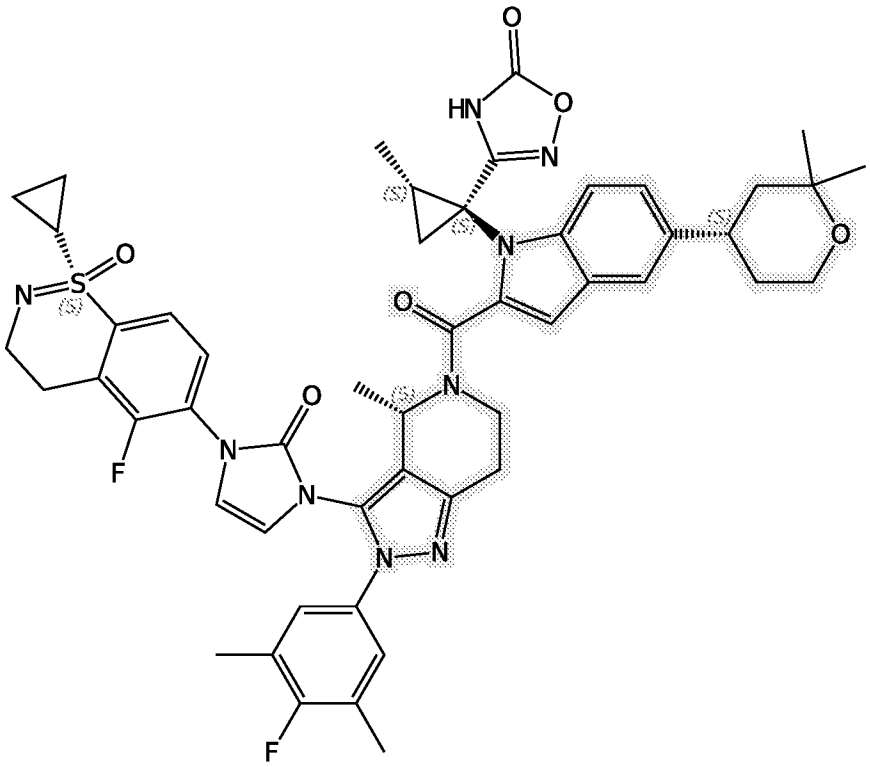
Absolute stereochemistry shown

RN 3054163-42-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



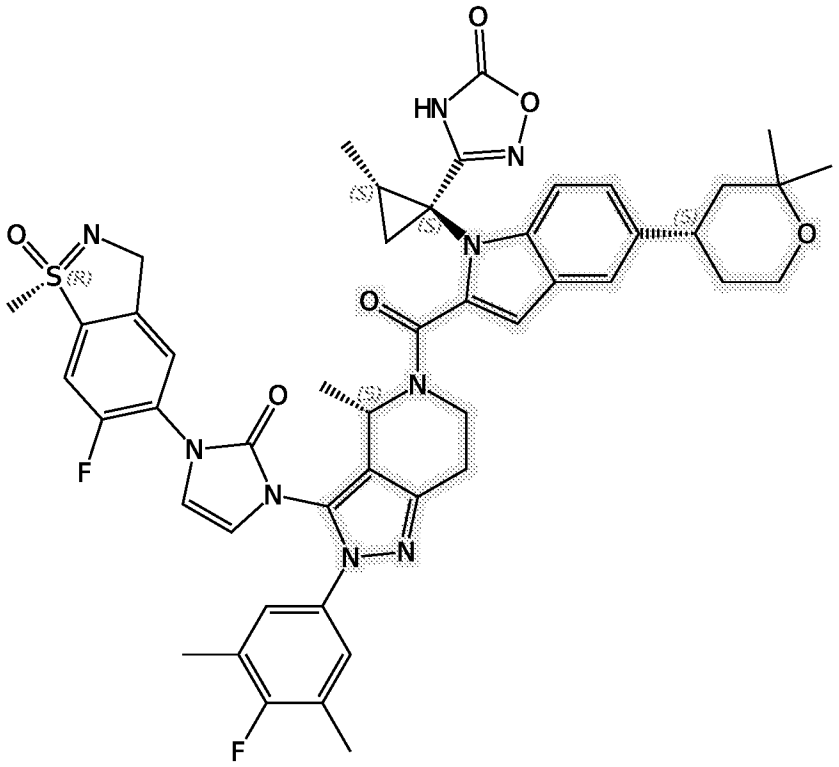
Absolute stereochemistry shown

RN 3054163-43-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



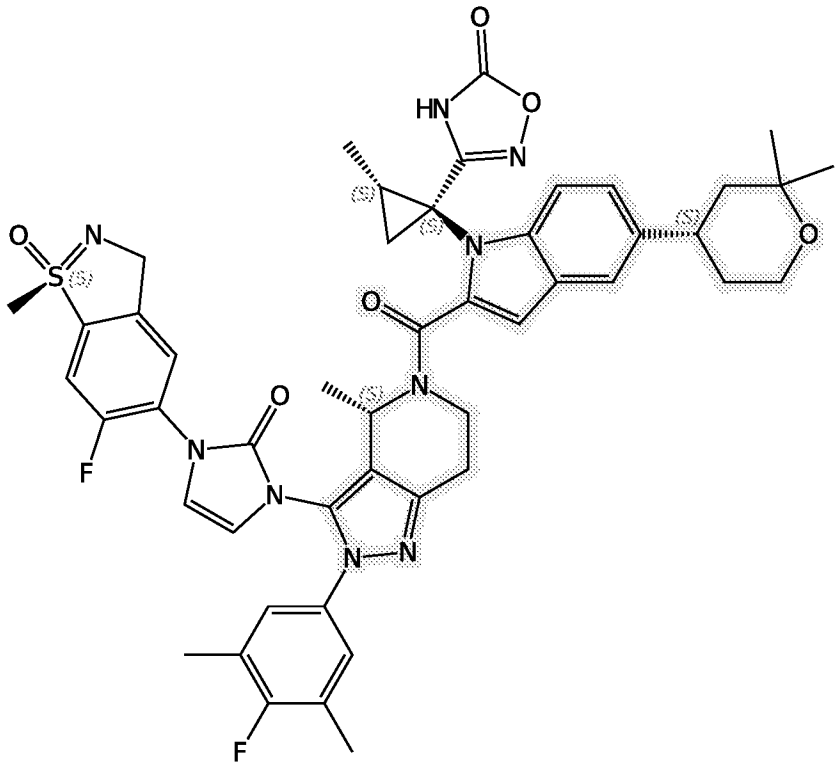
Absolute stereochemistry shown

RN 3054163-44-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



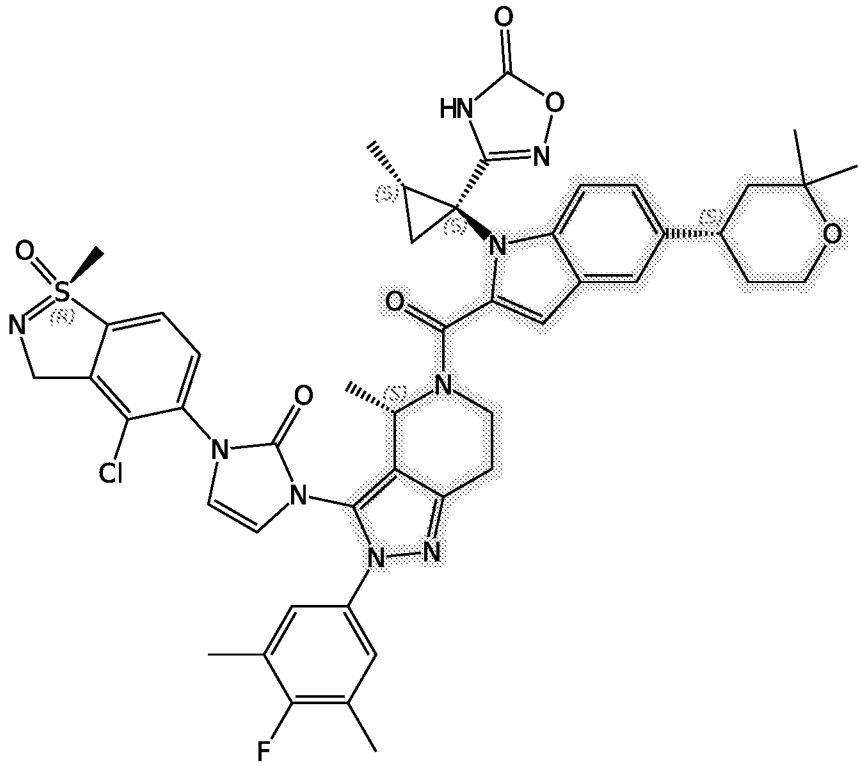

Absolute stereochemistry shown

RN 3054163-45-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



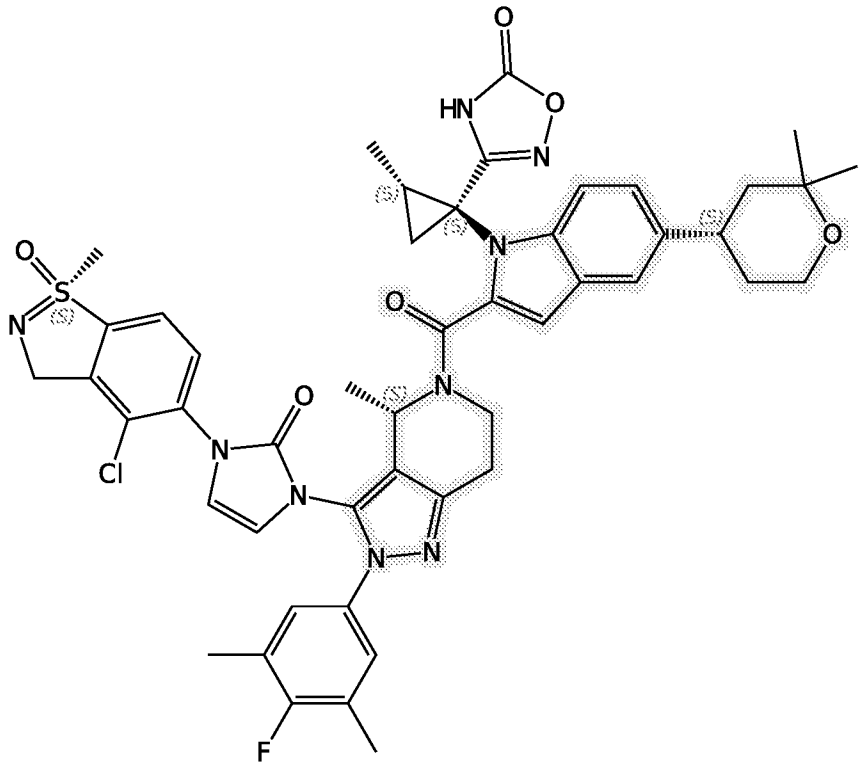

Absolute stereochemistry shown

RN 3054163-46-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



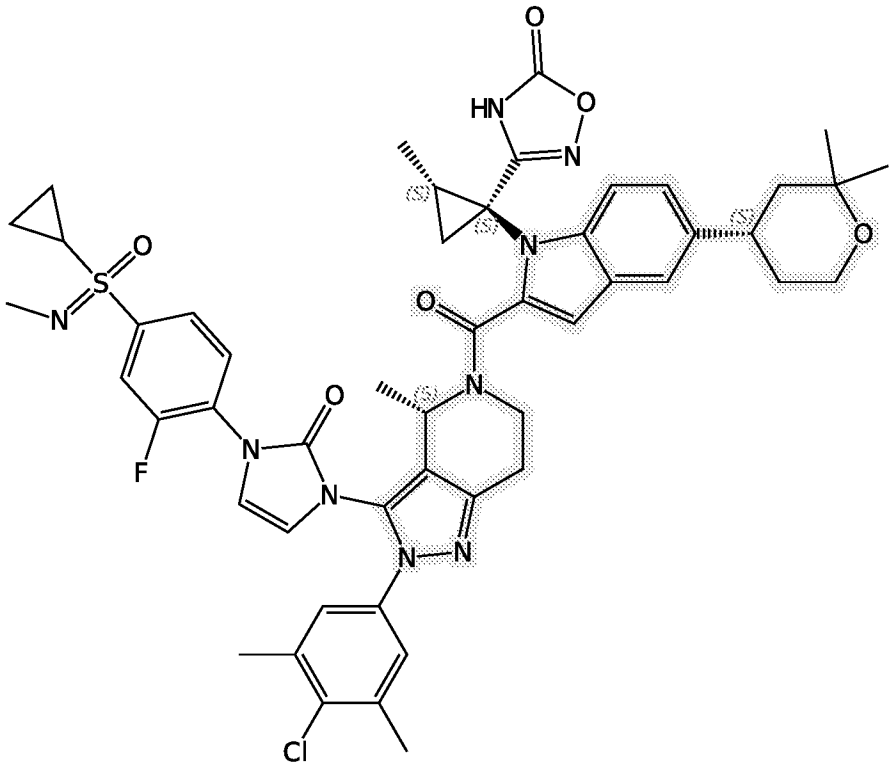
Absolute stereochemistry shown

RN 3054163-47-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



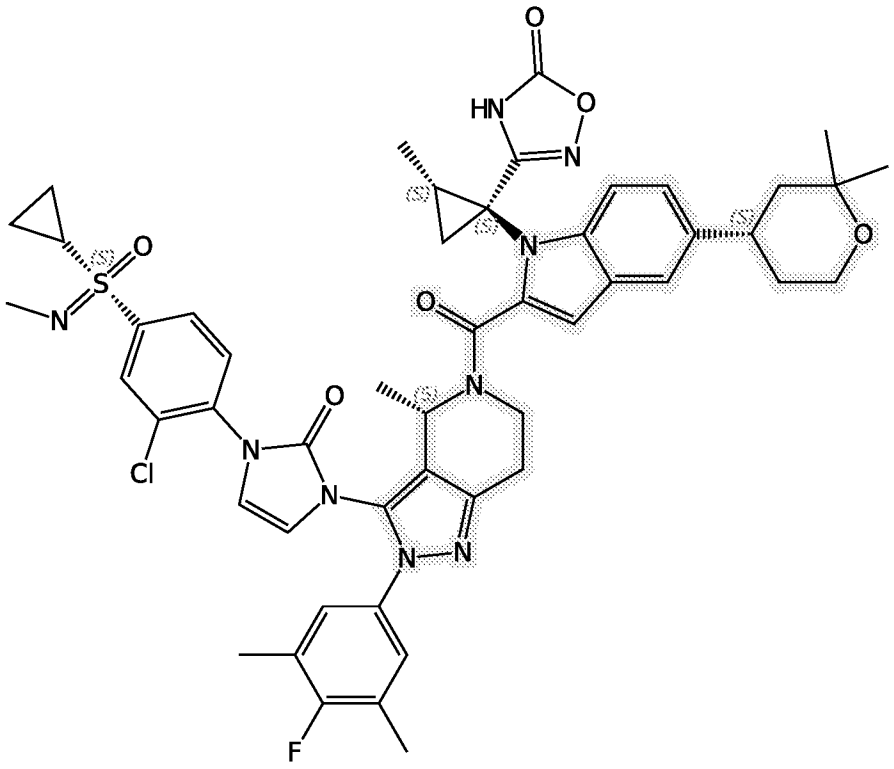
Absolute stereochemistry shown

RN 3054163-48-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



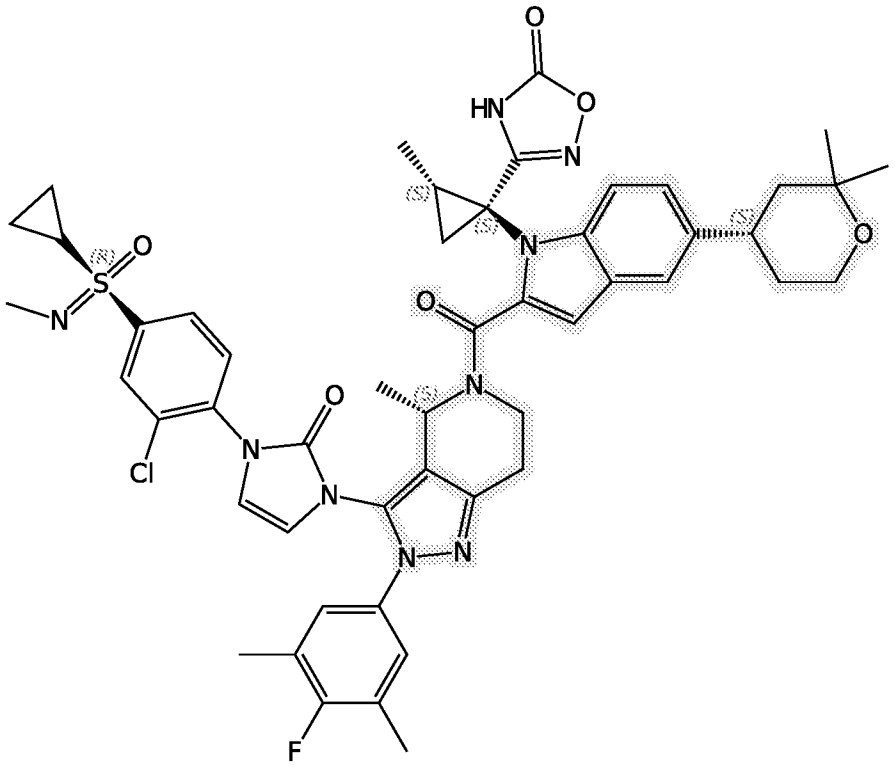
Absolute stereochemistry shown

RN 3054163-49-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



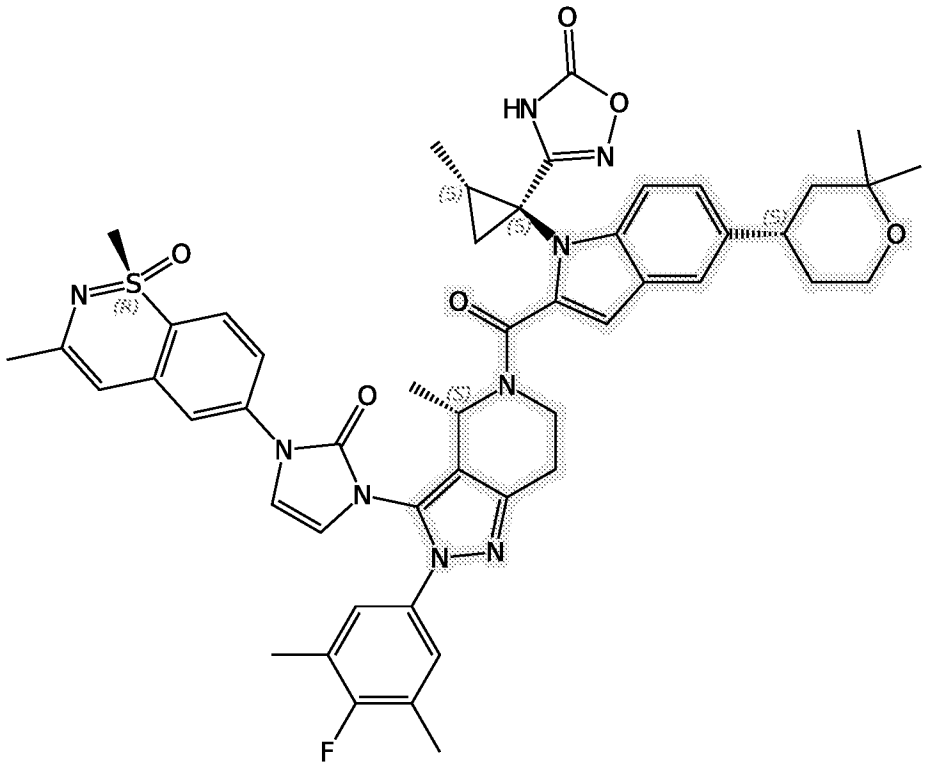
Absolute stereochemistry shown

RN 3054163-50-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



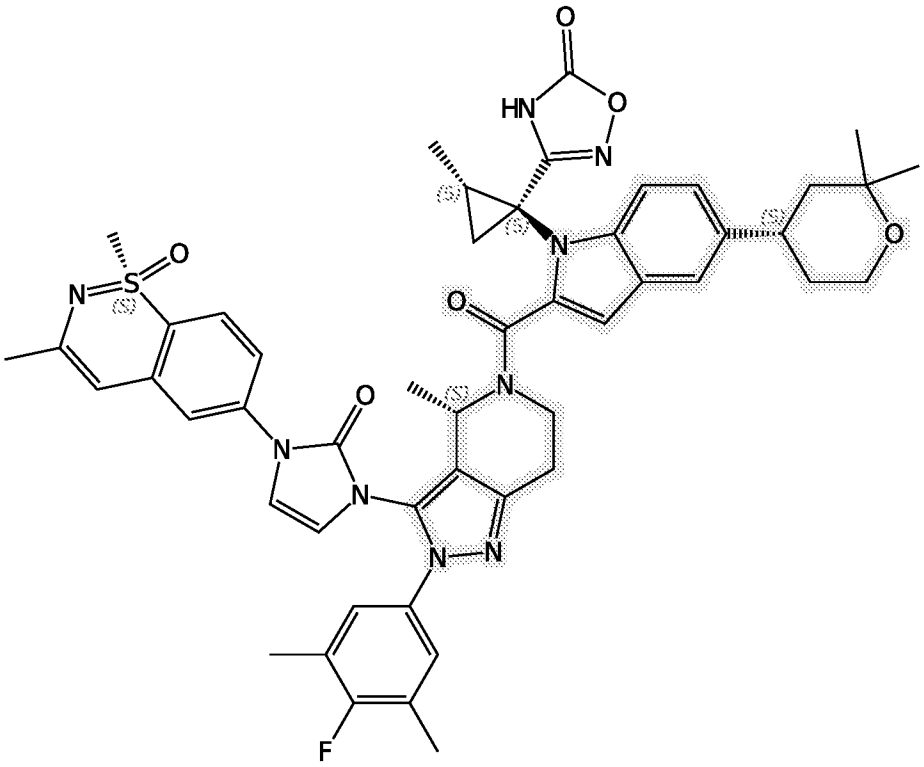
Absolute stereochemistry shown

RN 3054163-51-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



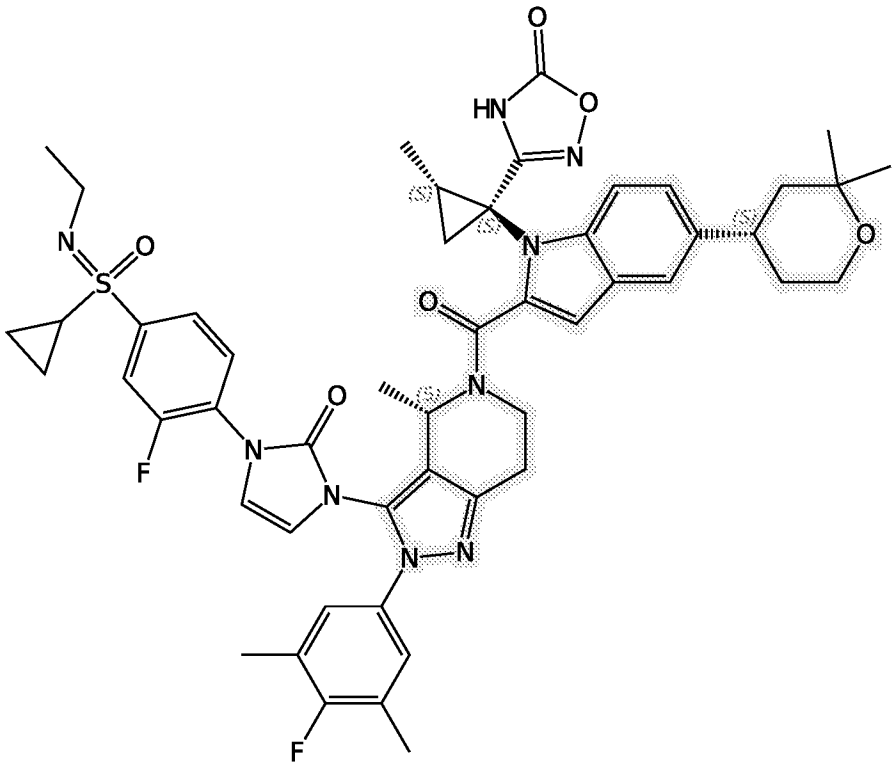
Absolute stereochemistry shown

RN 3054163-52-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



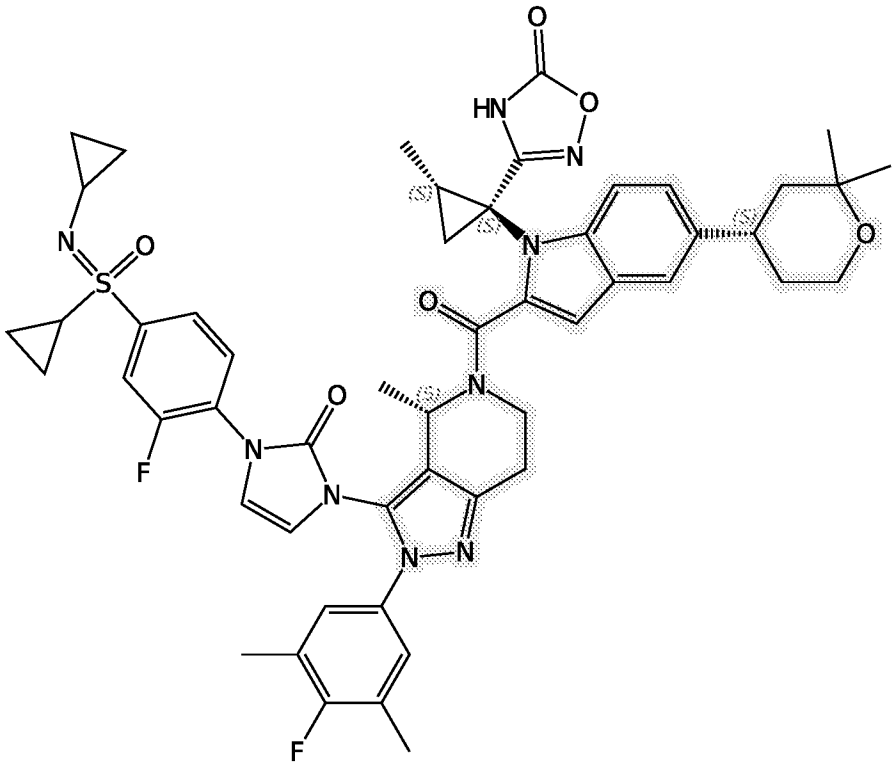
Absolute stereochemistry shown

RN 3054163-53-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



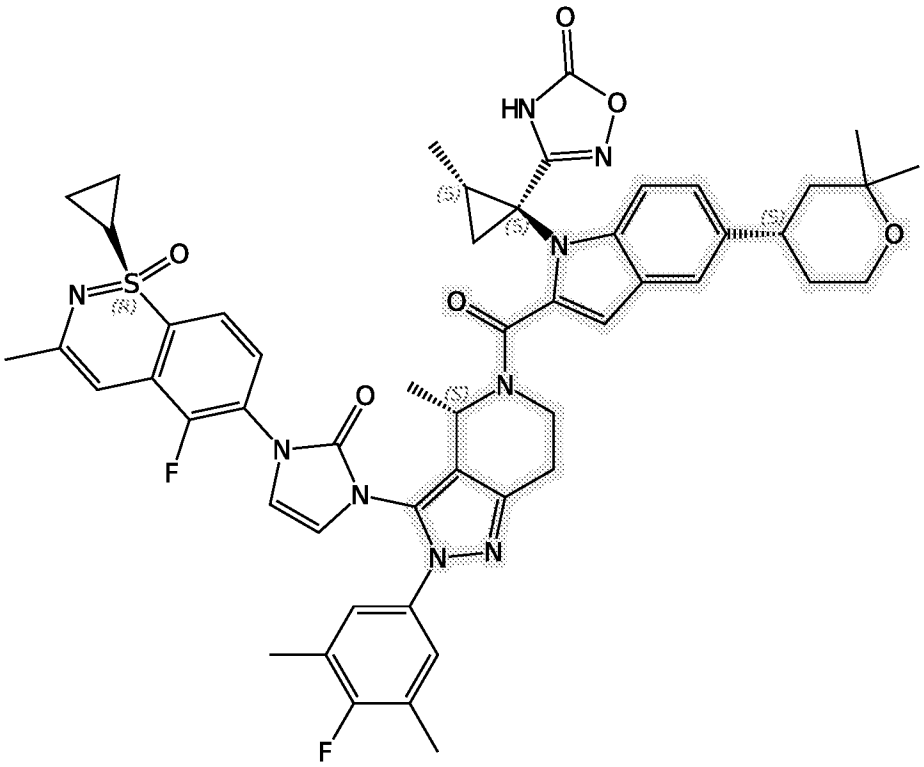
Absolute stereochemistry shown

RN 3054163-54-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



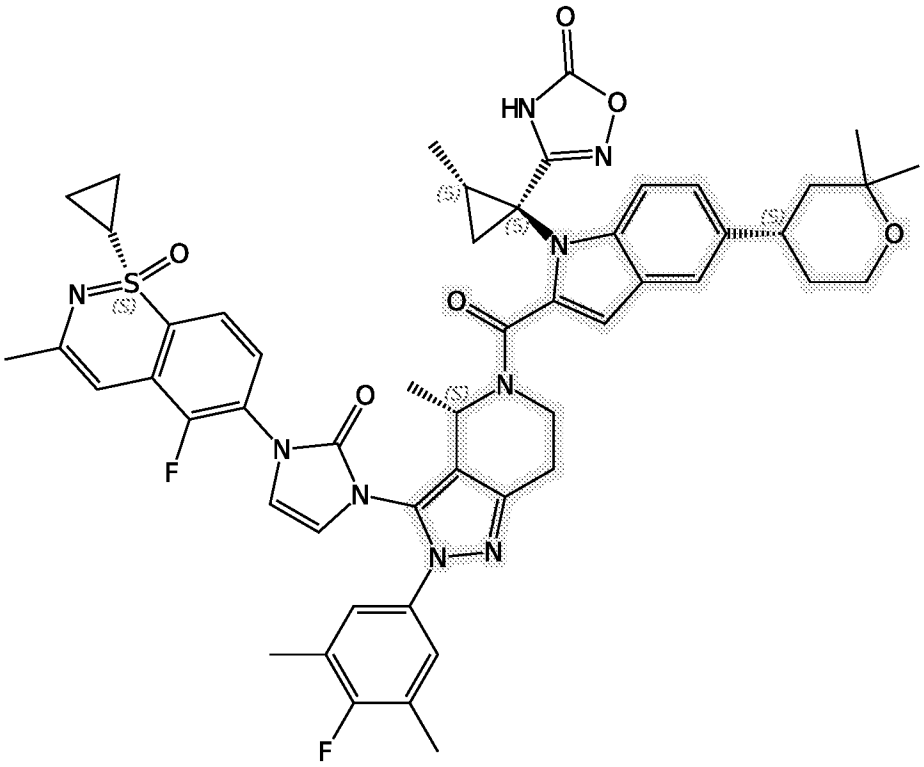
Absolute stereochemistry shown

RN 3054163-55-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



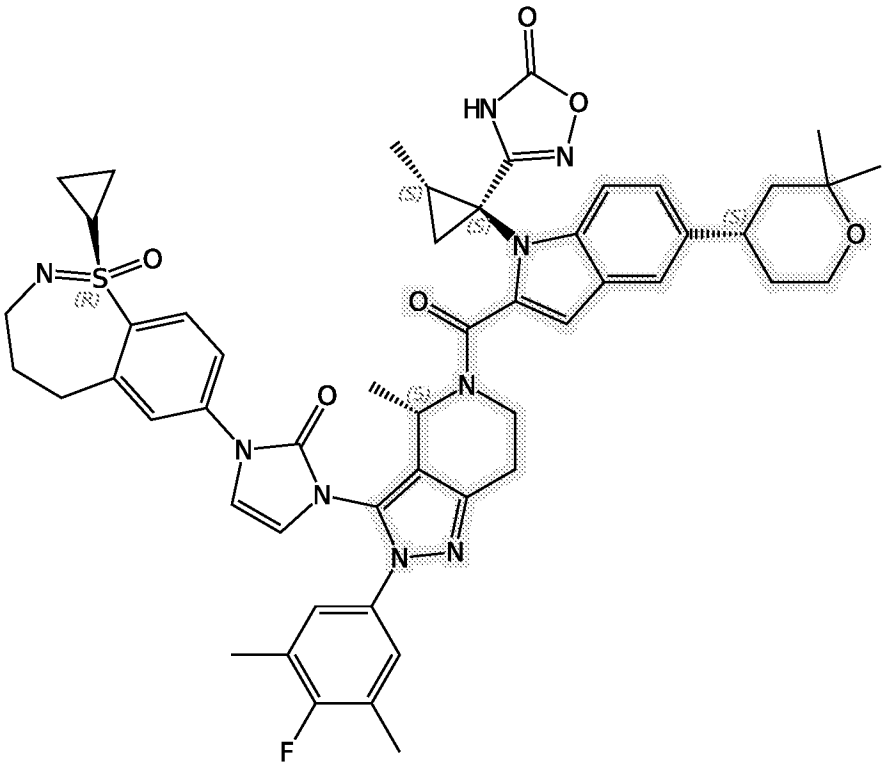
Absolute stereochemistry shown

RN 3054163-56-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



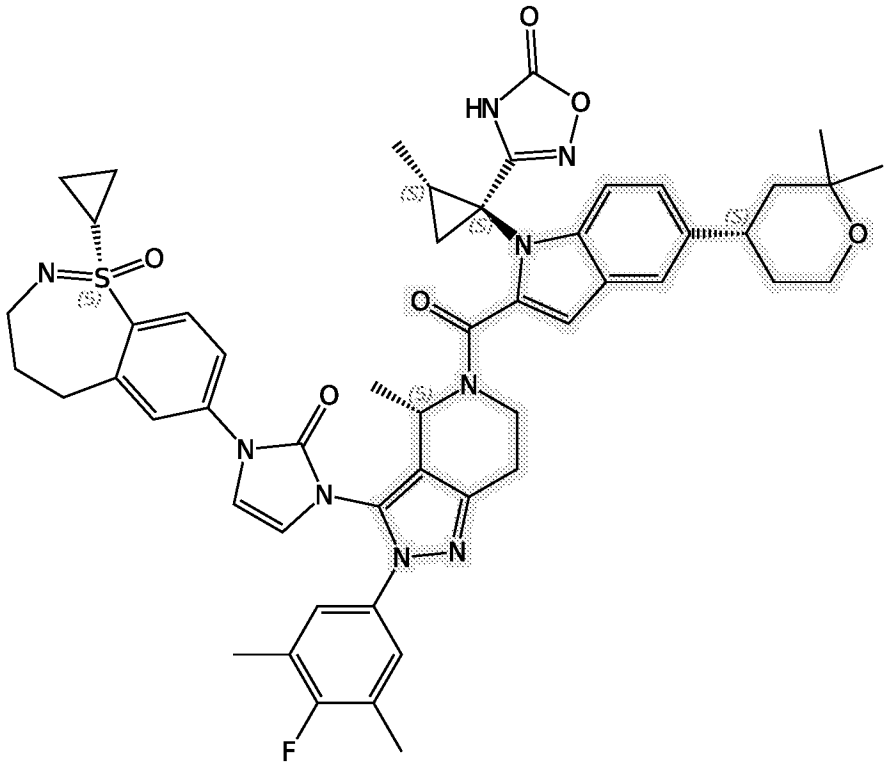
Absolute stereochemistry shown

RN 3054163-57-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



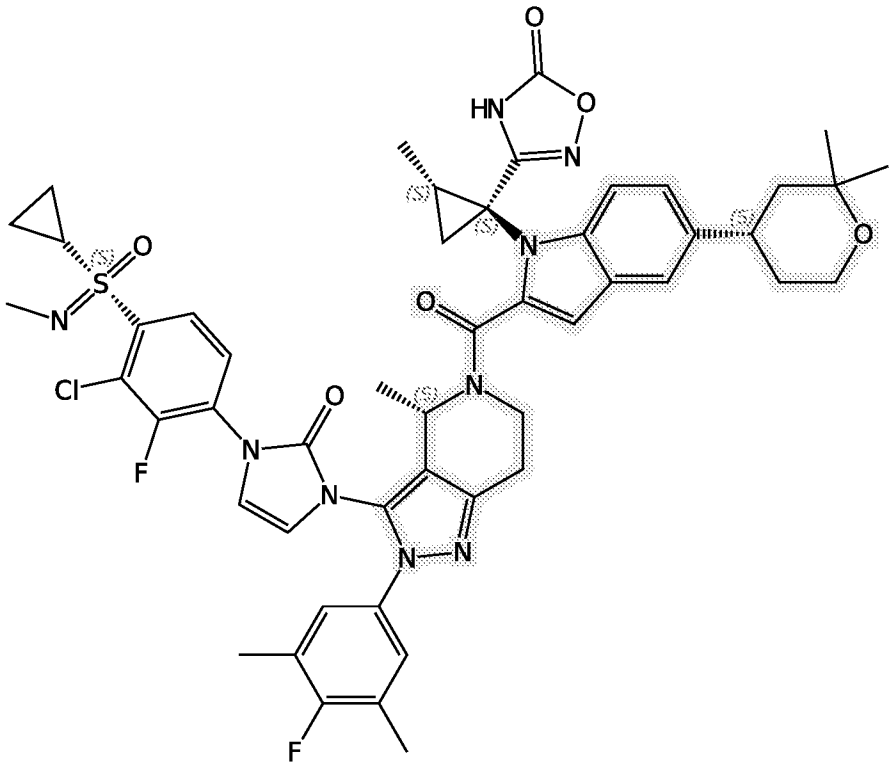
Absolute stereochemistry shown

RN 3054163-58-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



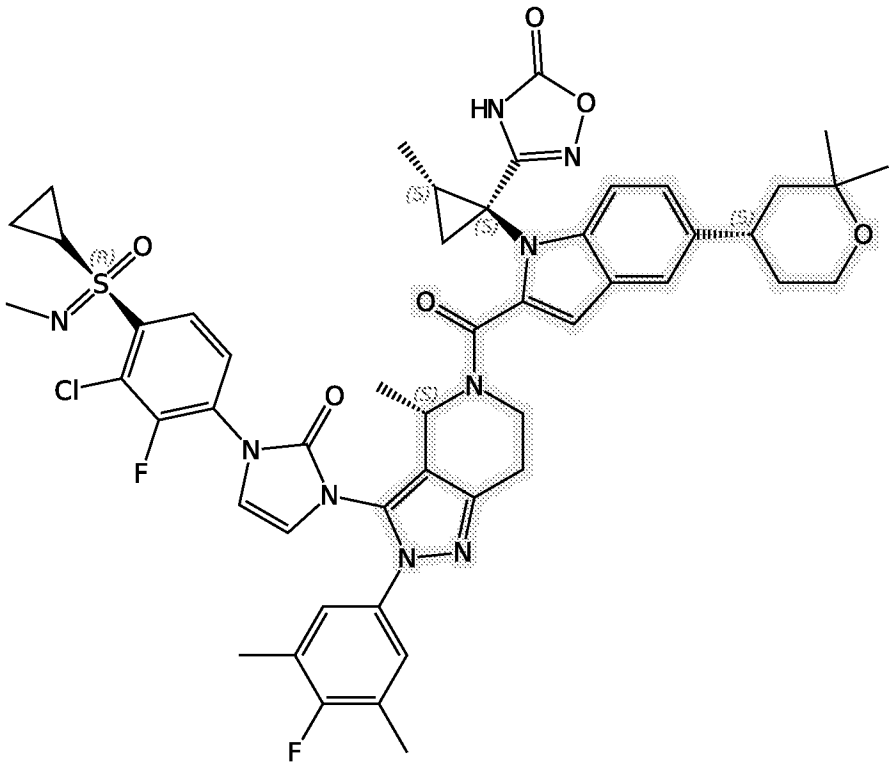
Absolute stereochemistry shown

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



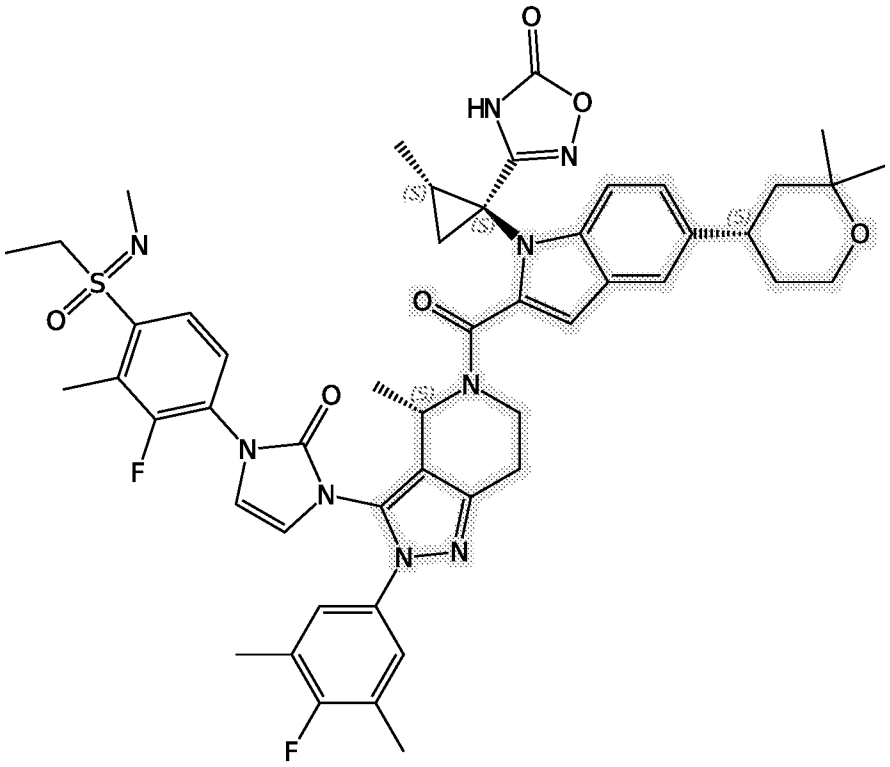
Absolute stereochemistry shown

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



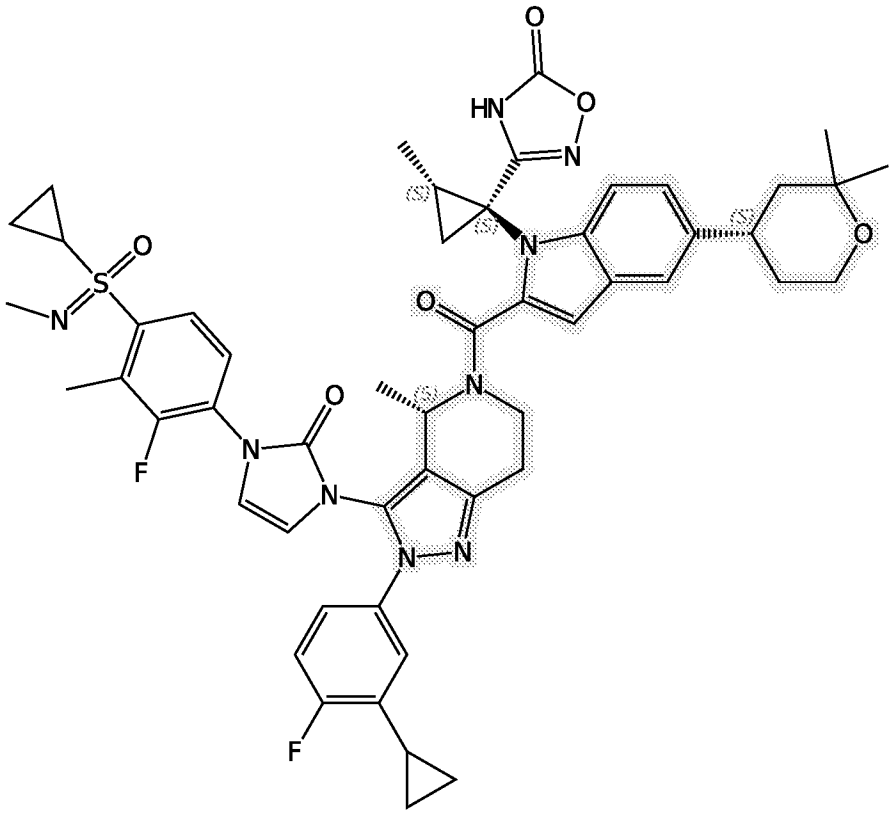

Absolute stereochemistry shown

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



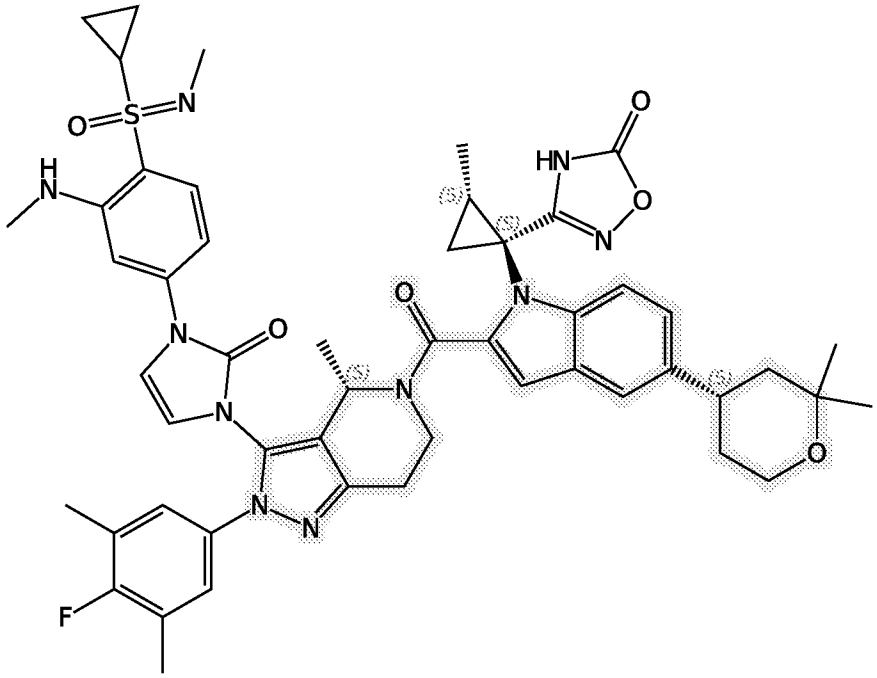
Absolute stereochemistry shown

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



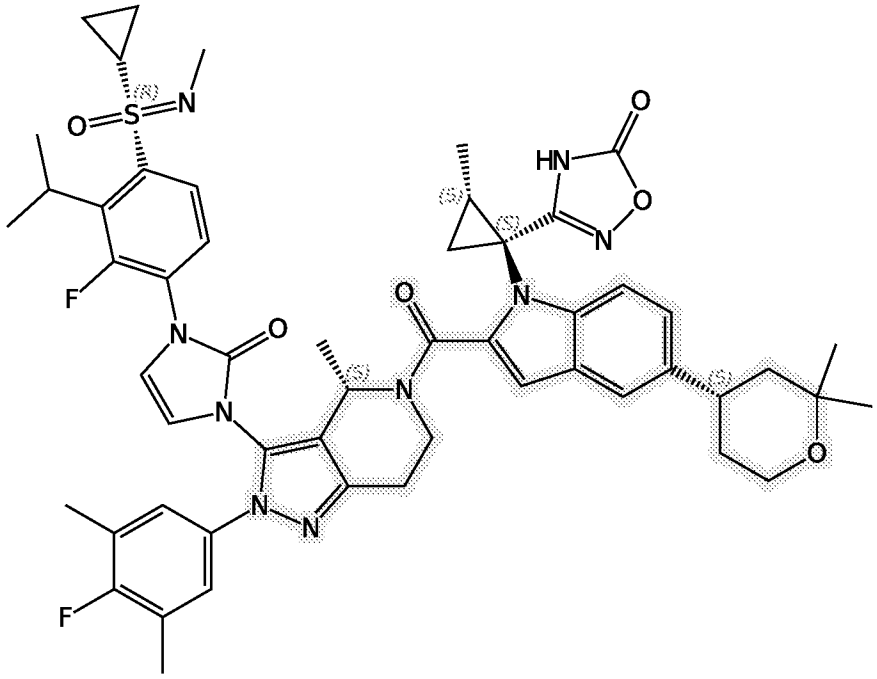
Absolute stereochemistry shown

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



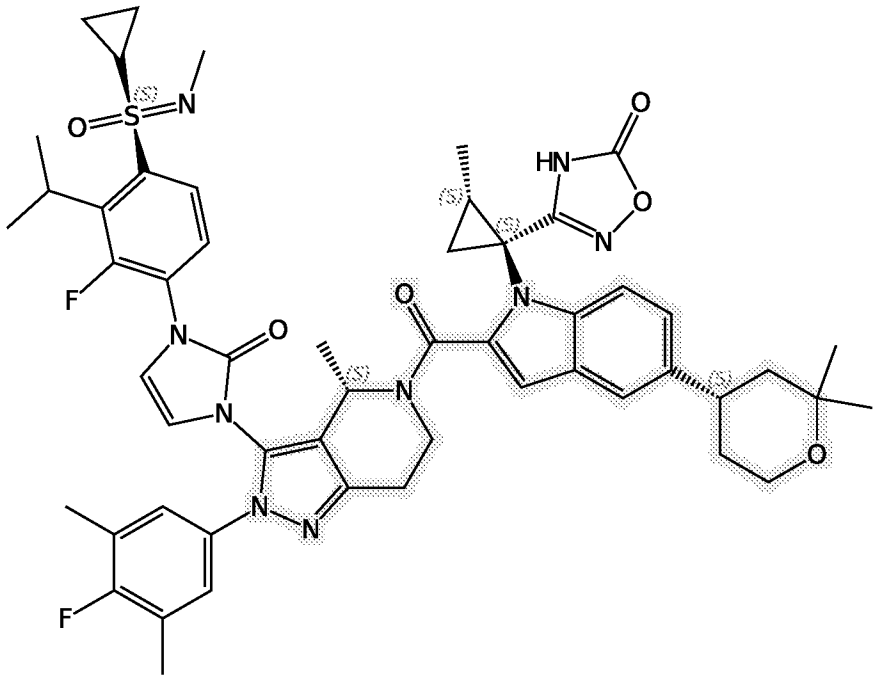
Absolute stereochemistry shown

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

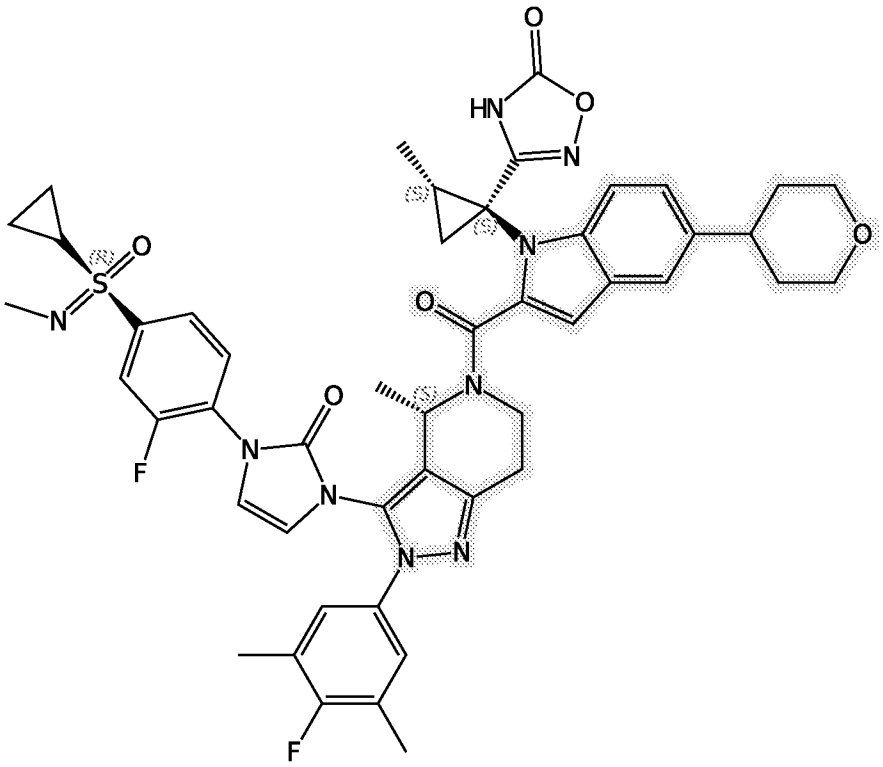
RN 3054163-65-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

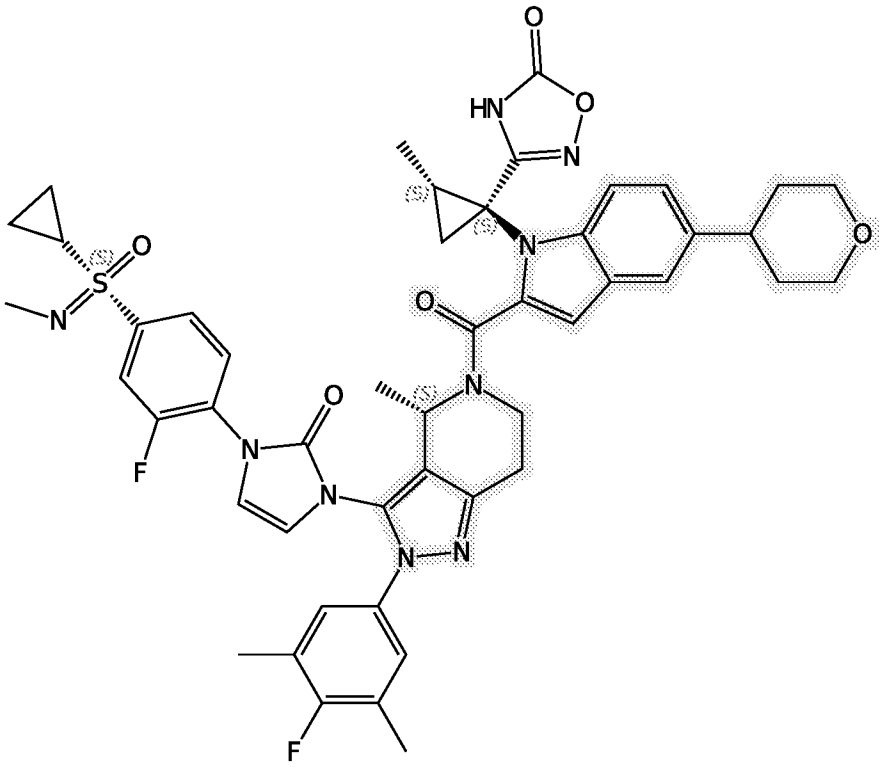
IT 3054163-66-2P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN

(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



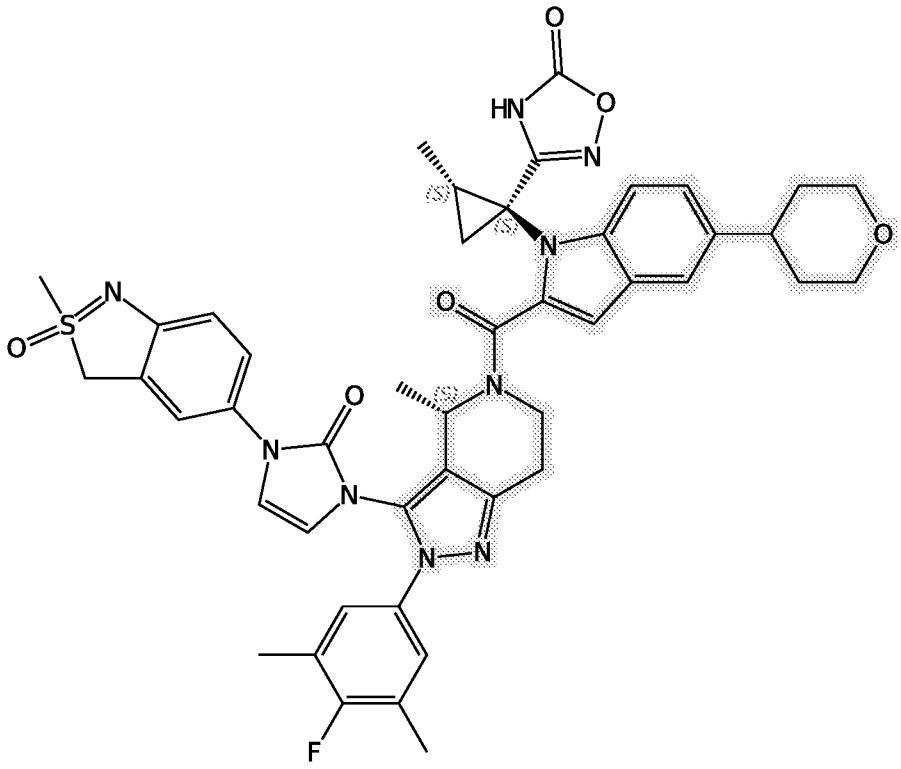
Absolute stereochemistry shown

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



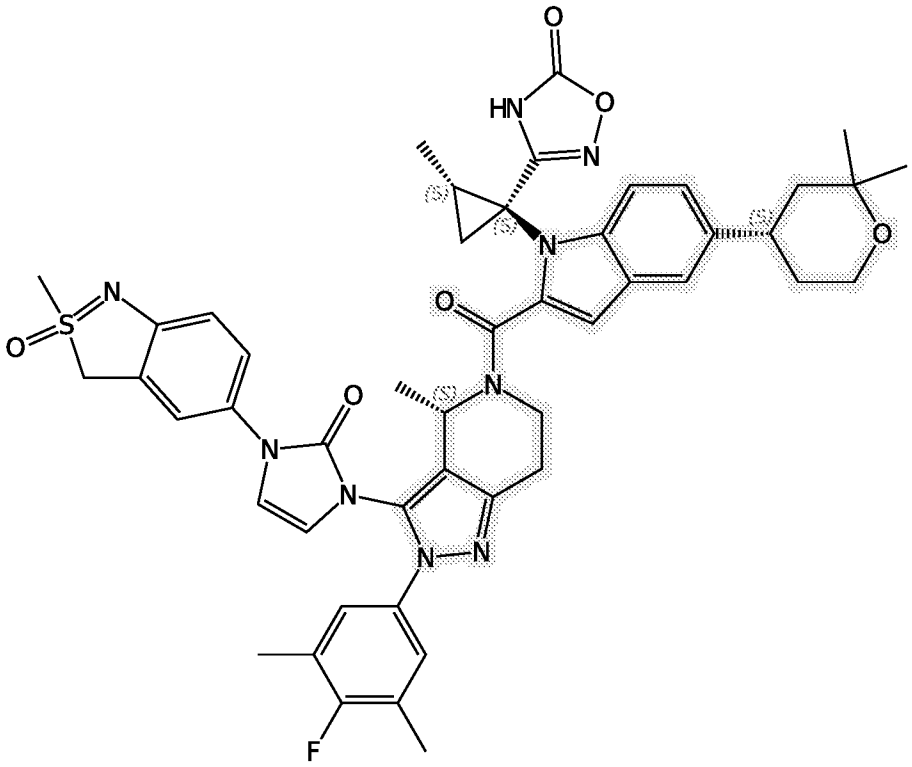
Absolute stereochemistry shown

RN 3054163-68-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



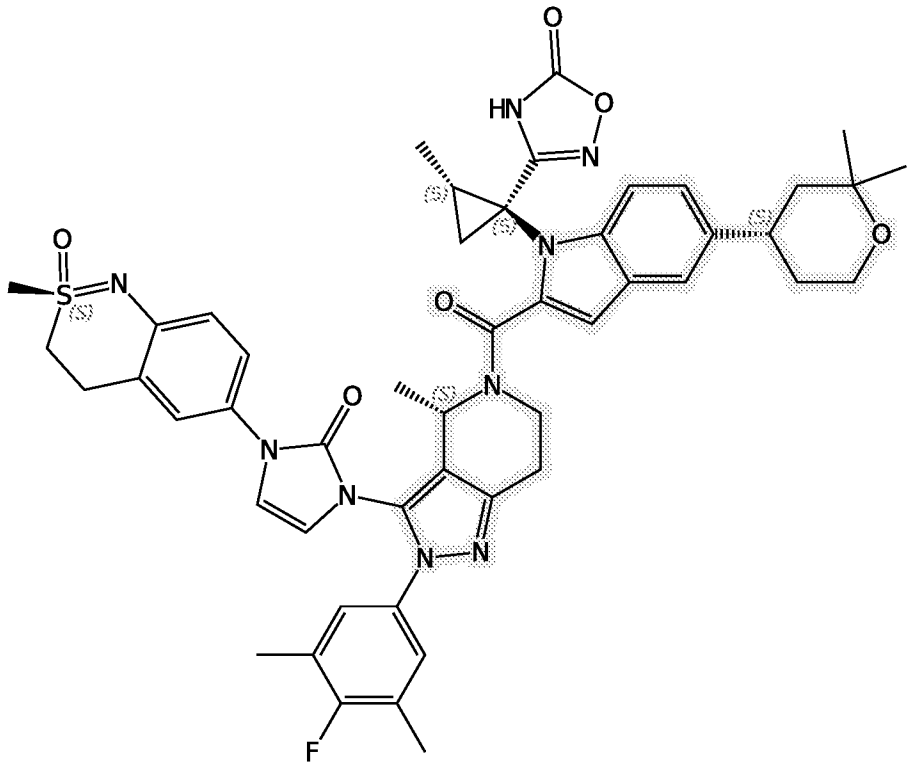
Absolute stereochemistry shown

RN 3054163-69-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



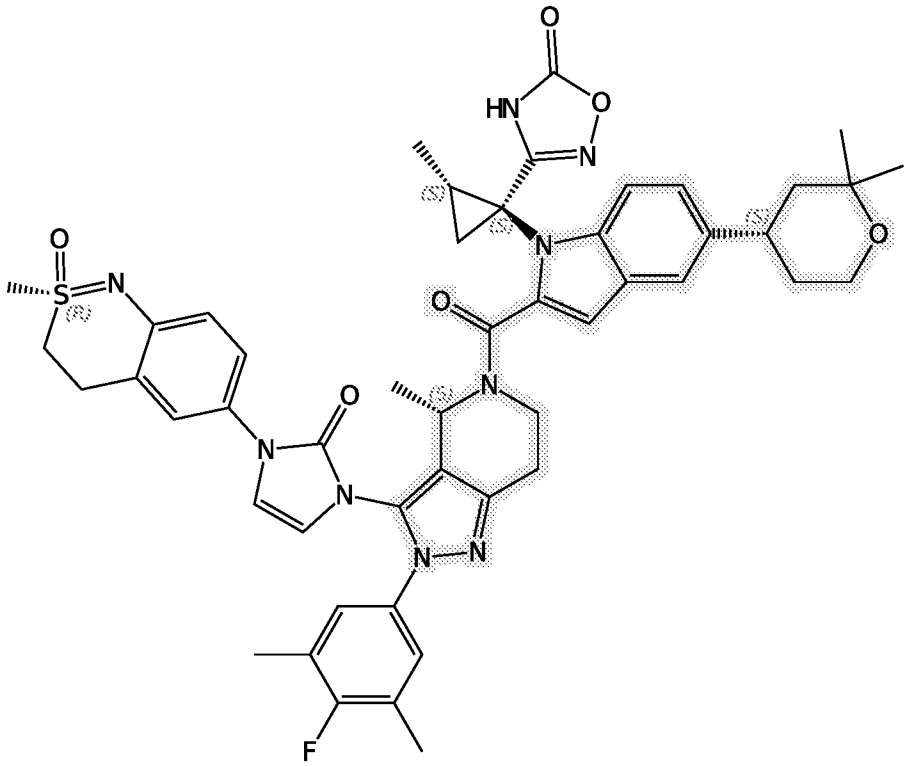
Absolute stereochemistry shown

RN 3054163-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



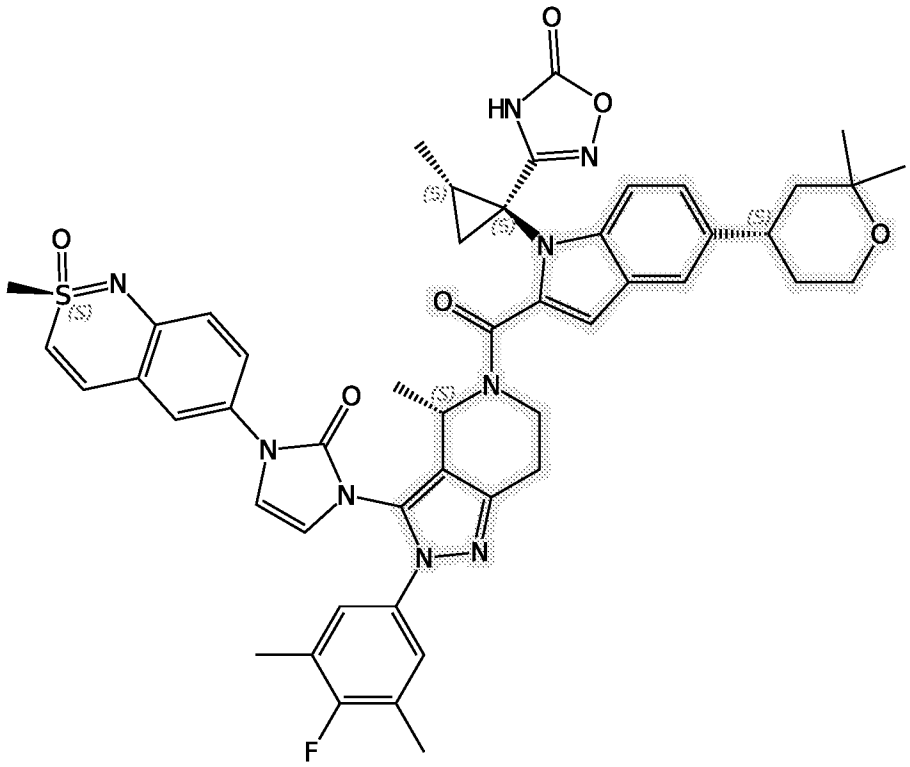
Absolute stereochemistry shown

RN 3054163-71-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



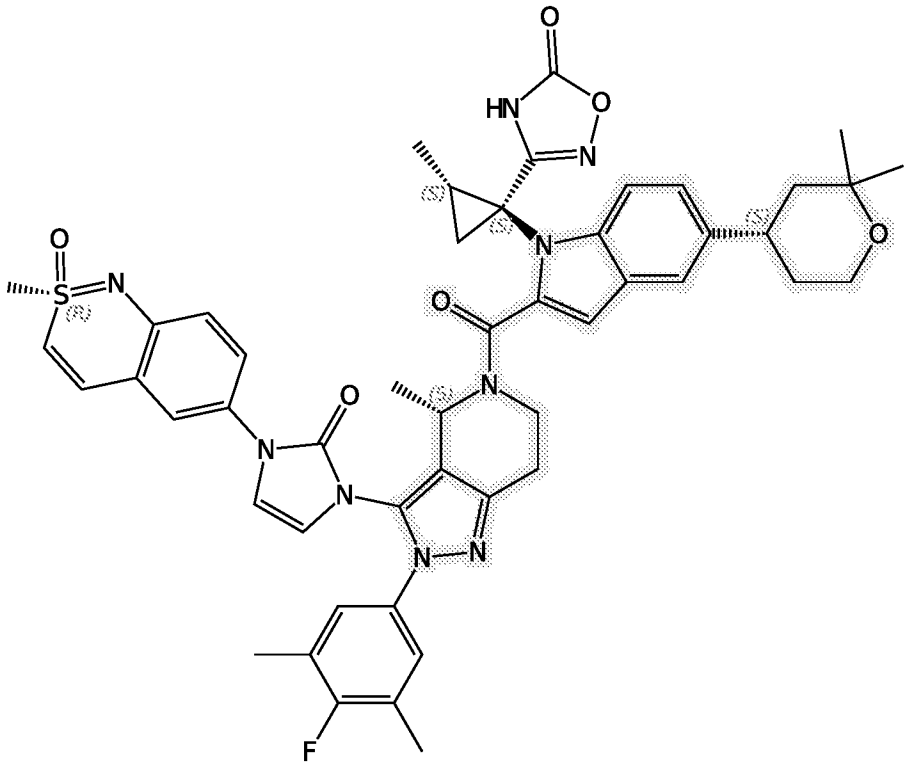
Absolute stereochemistry shown

RN 3054163-72-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



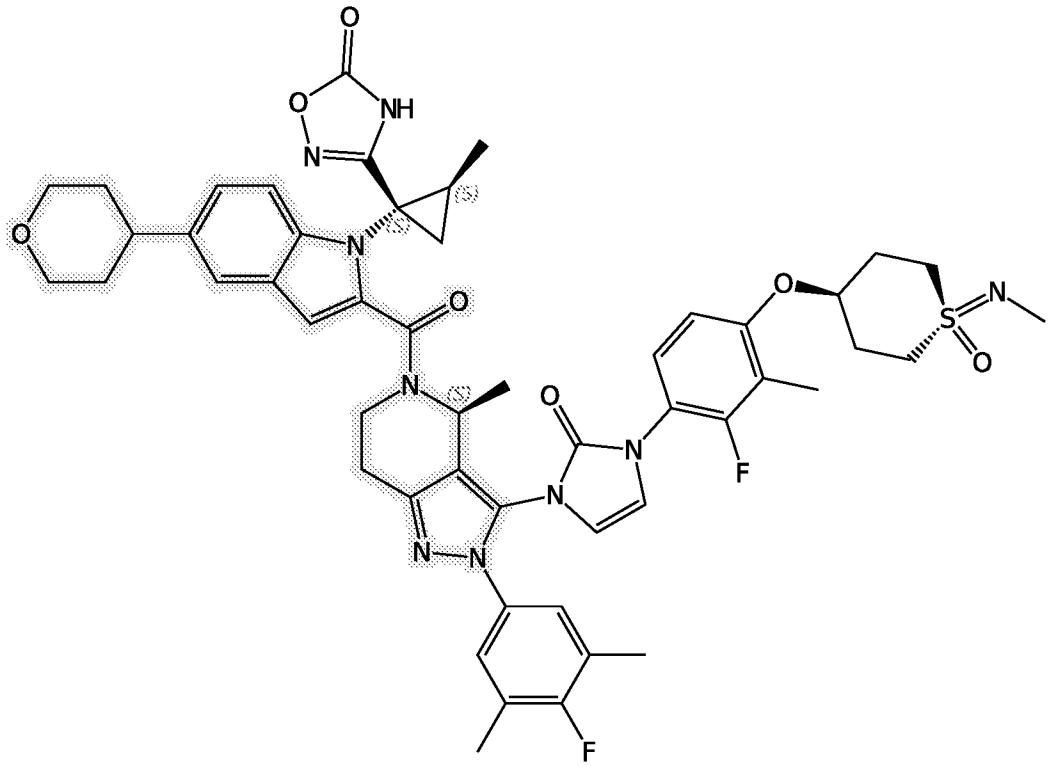
Absolute stereochemistry shown

RN 3054163-73-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



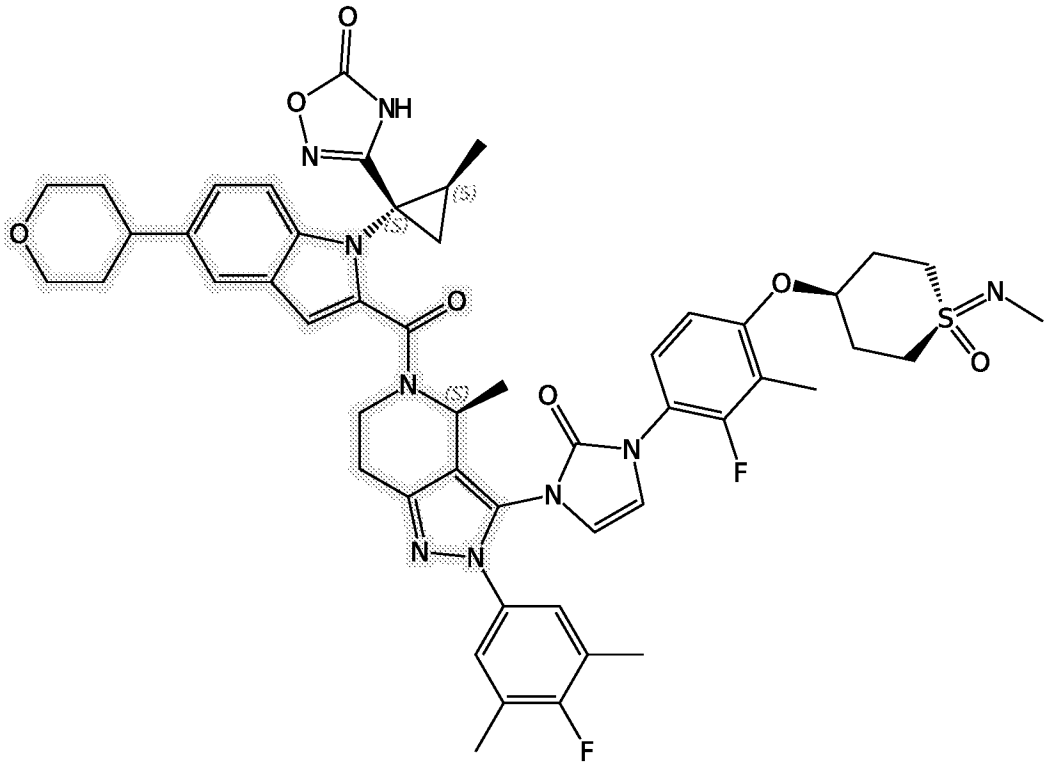
Absolute stereochemistry shown

RN 3054163-74-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



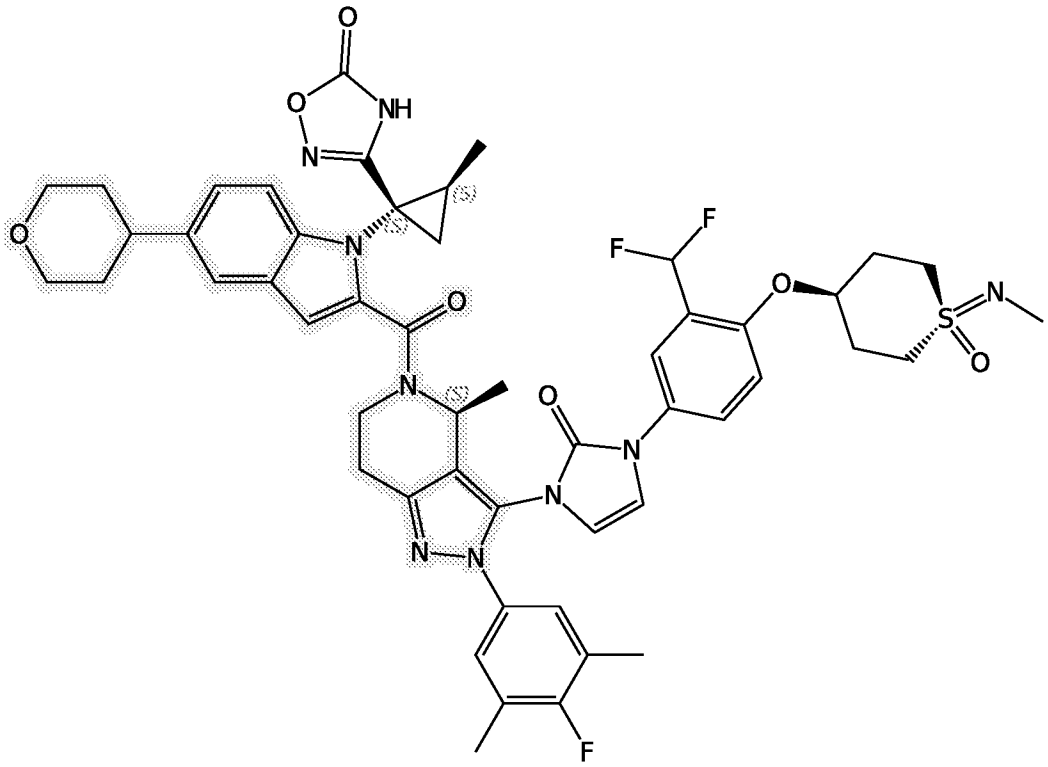
Absolute stereochemistry shown

RN 3054163-75-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



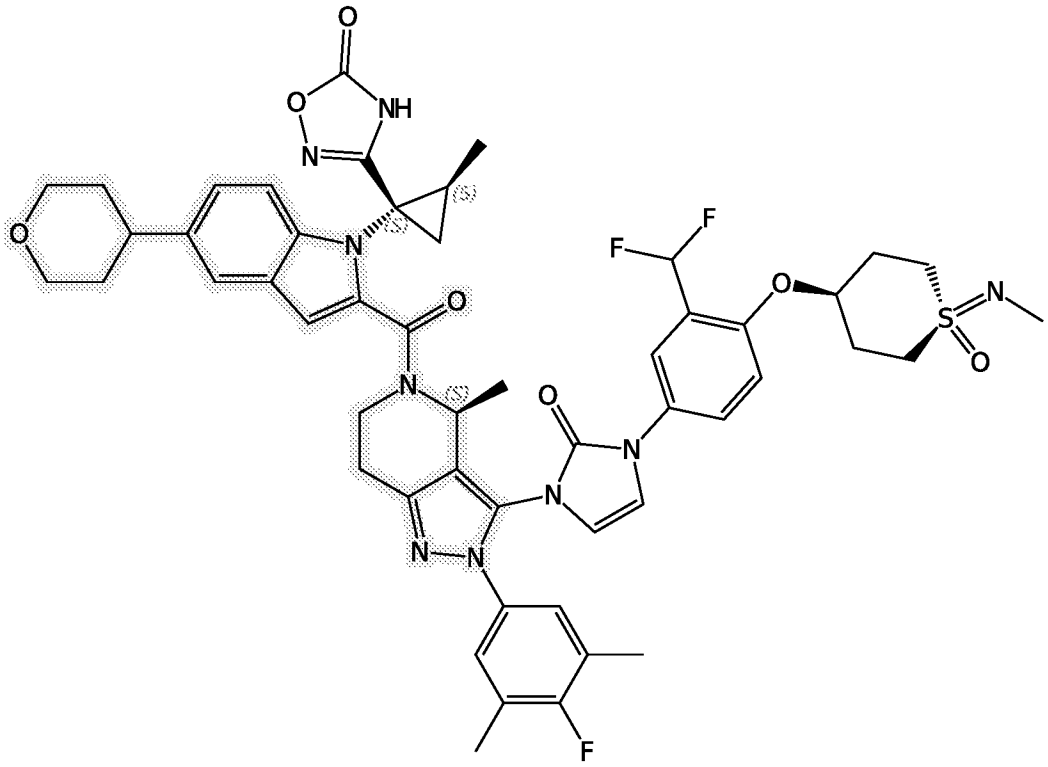
Absolute stereochemistry shown

RN 3054163-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



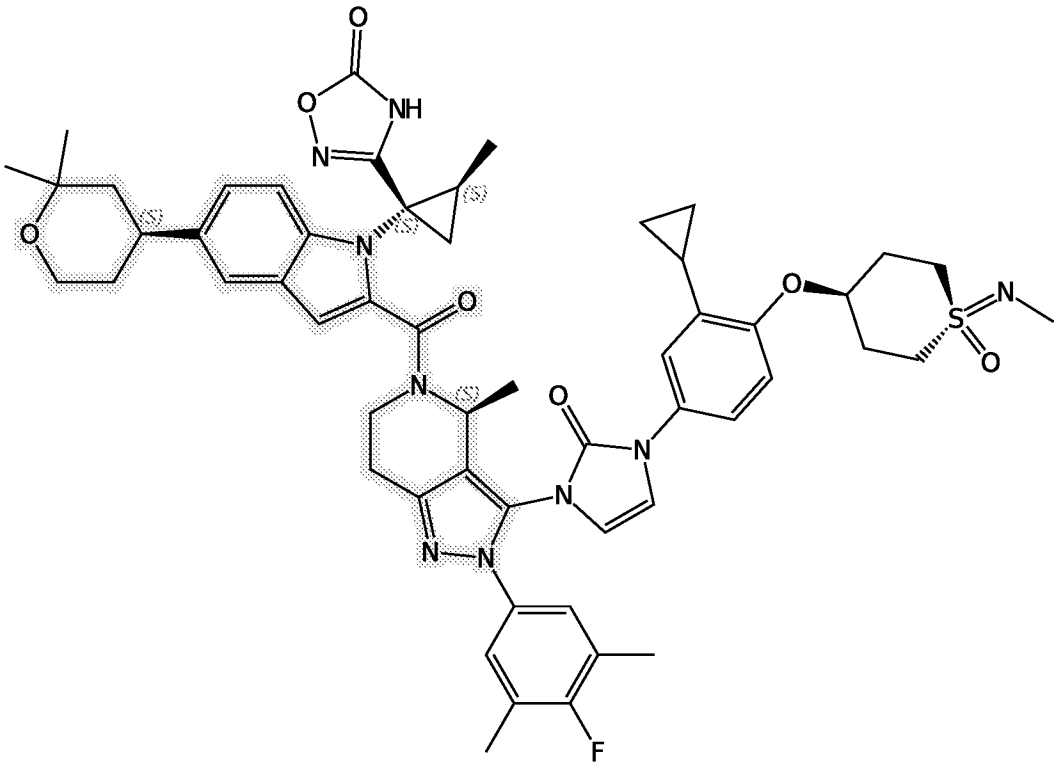
Absolute stereochemistry shown

RN 3054163-77-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



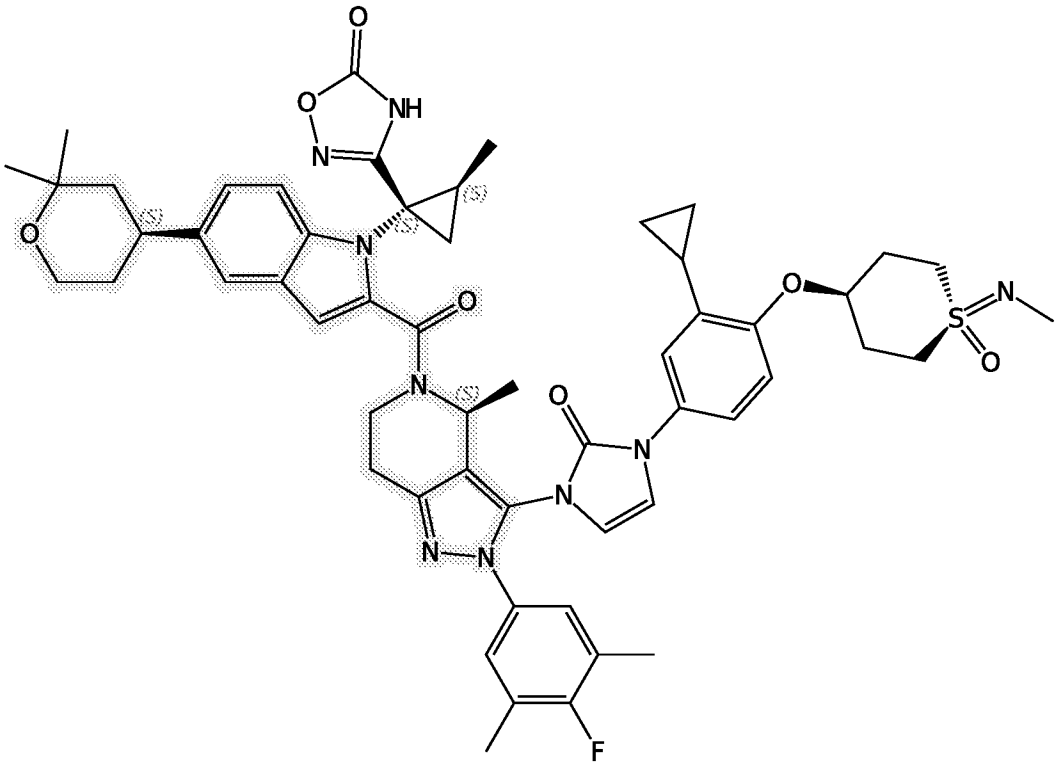
Absolute stereochemistry shown

RN 3054163-78-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



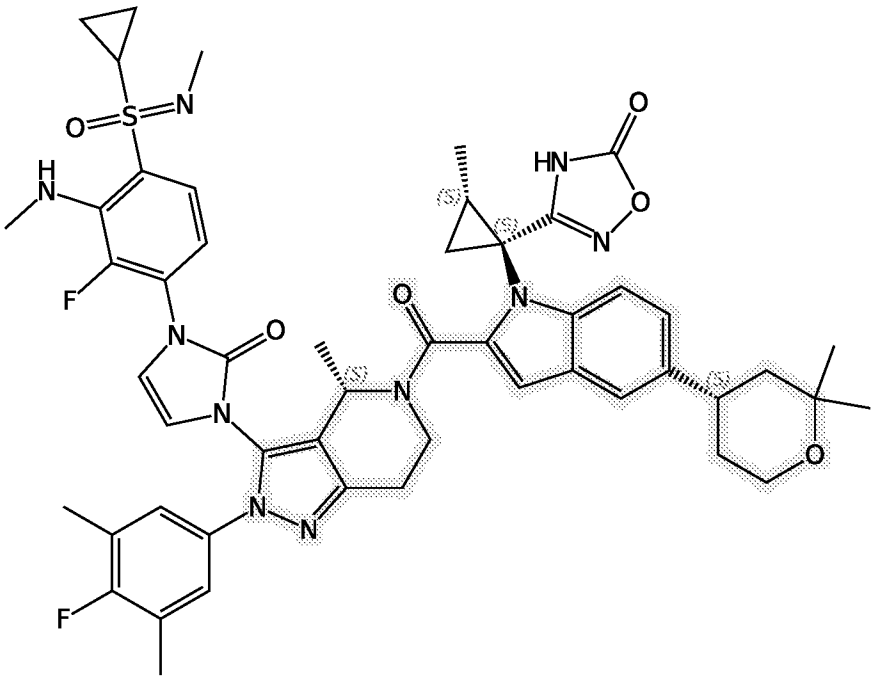
Absolute stereochemistry shown

RN 3054163-79-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



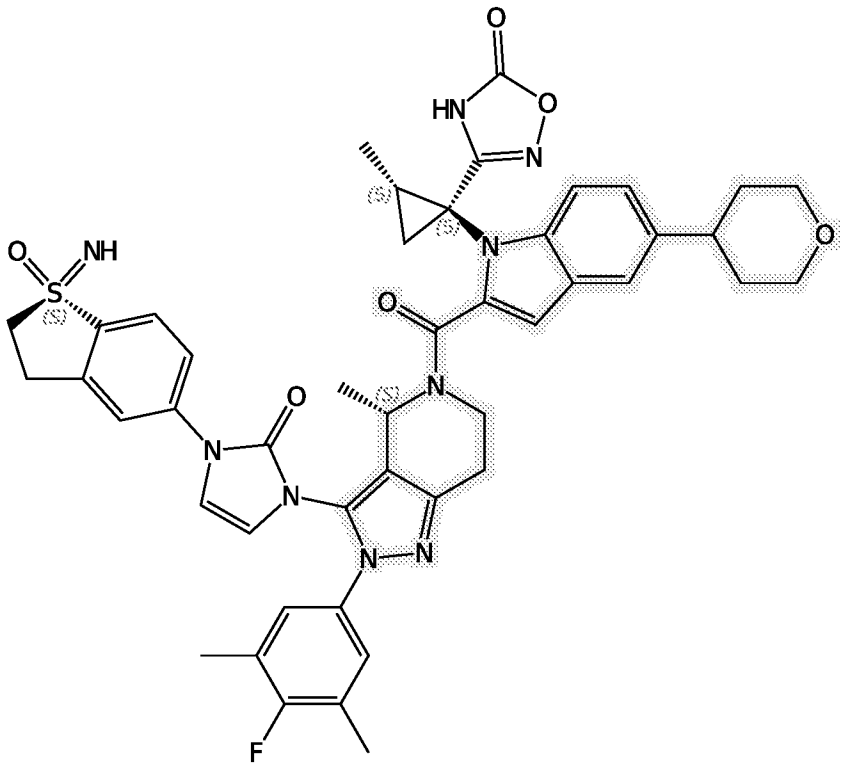
Absolute stereochemistry shown

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



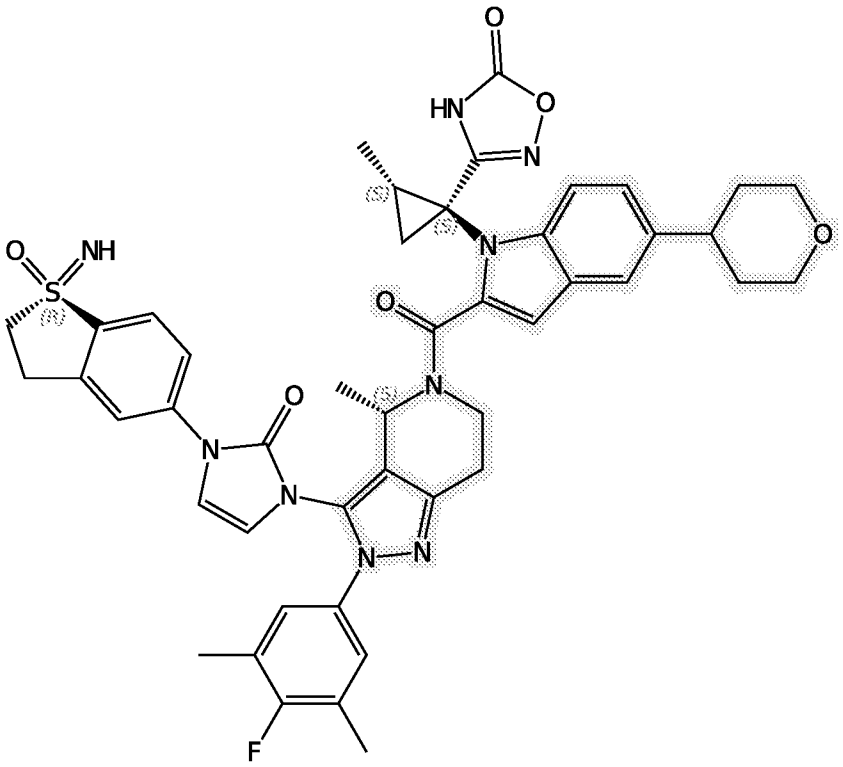
Absolute stereochemistry shown

IT 3054163-81-1P 3054163-82-2P 3054163-83-3P
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3054164-40-5P 3054164-41-6P 3054164-42-7P
3054164-43-8P 3054164-44-9P 3054164-45-0P
3054164-46-1P 3054164-47-2P 3054164-48-3P
3054164-49-4P 3054164-50-7P 3054164-51-8P
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3054164-55-2P 3054164-56-3P 3054164-57-4P
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3054164-64-3P 3054164-65-4P 3054164-66-5P
3054164-67-6P 3054164-68-7P 3054164-69-8P
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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



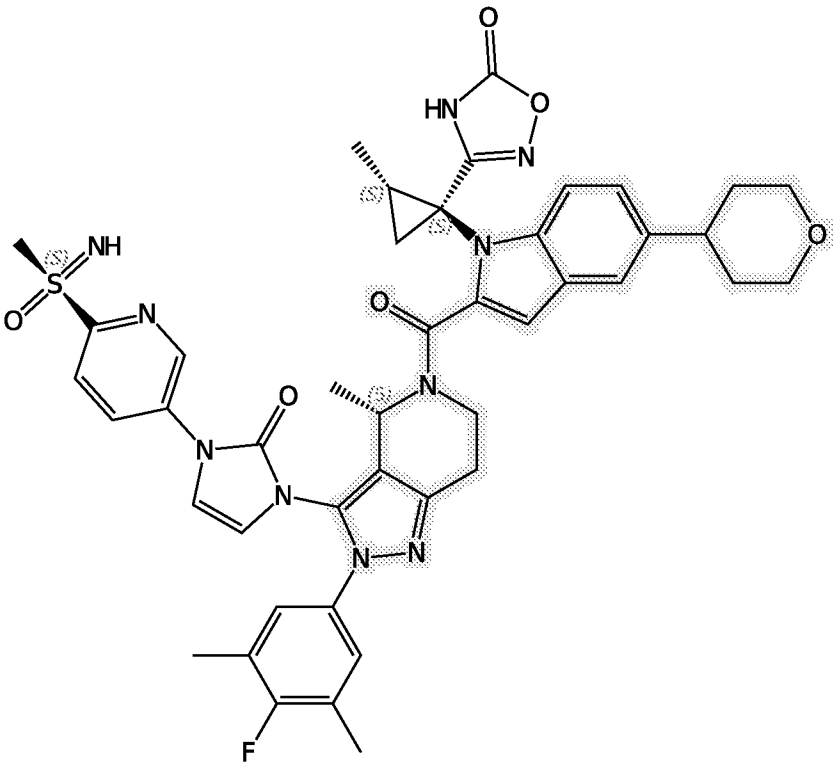

Absolute stereochemistry shown

RN 3054163-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



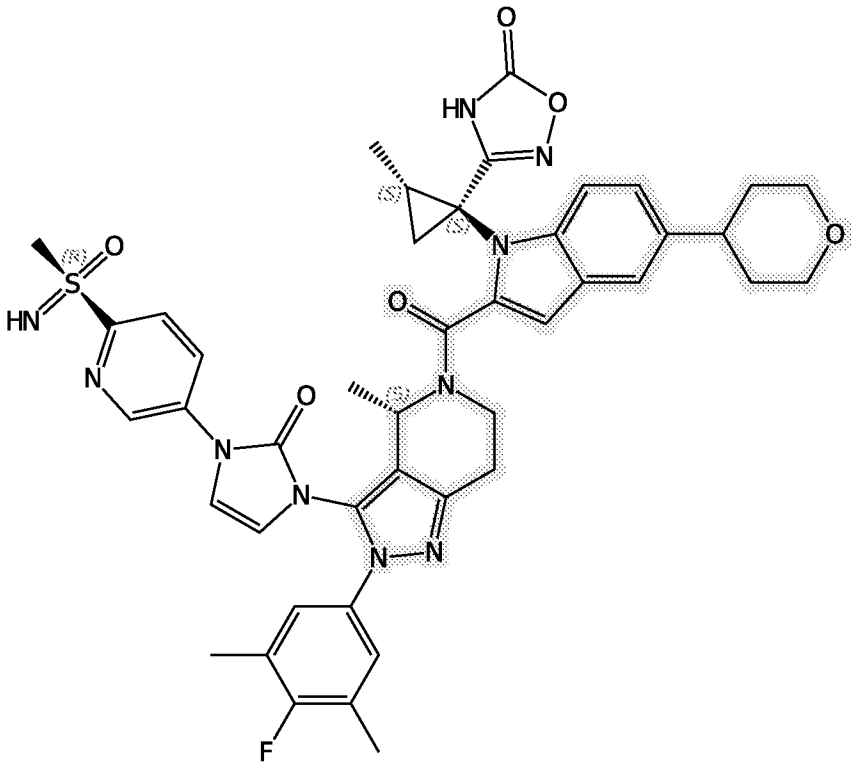
Absolute stereochemistry shown

RN 3054163-83-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



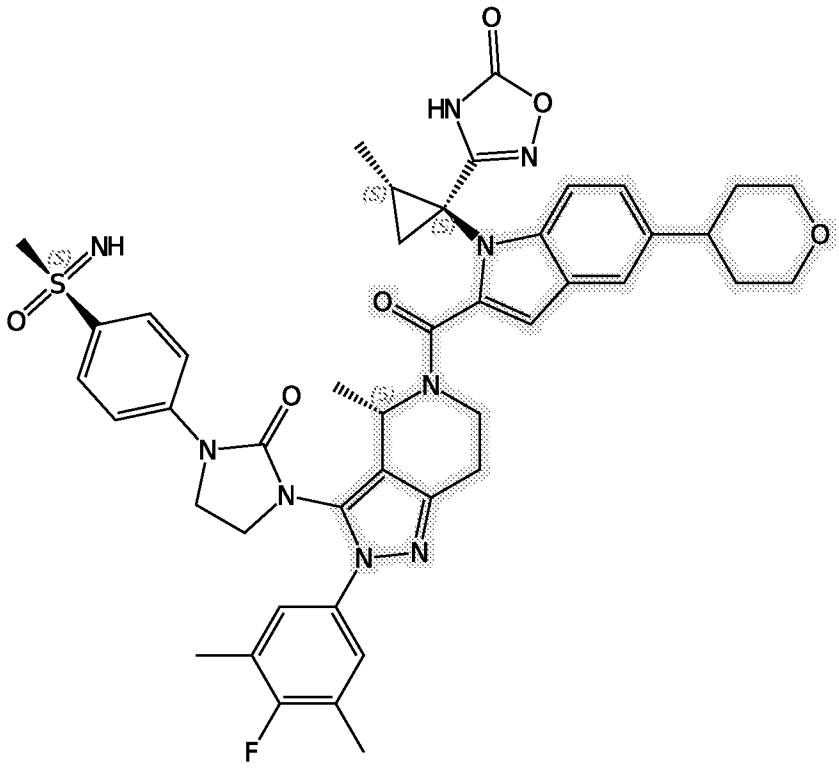
Absolute stereochemistry shown

RN 3054163-84-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



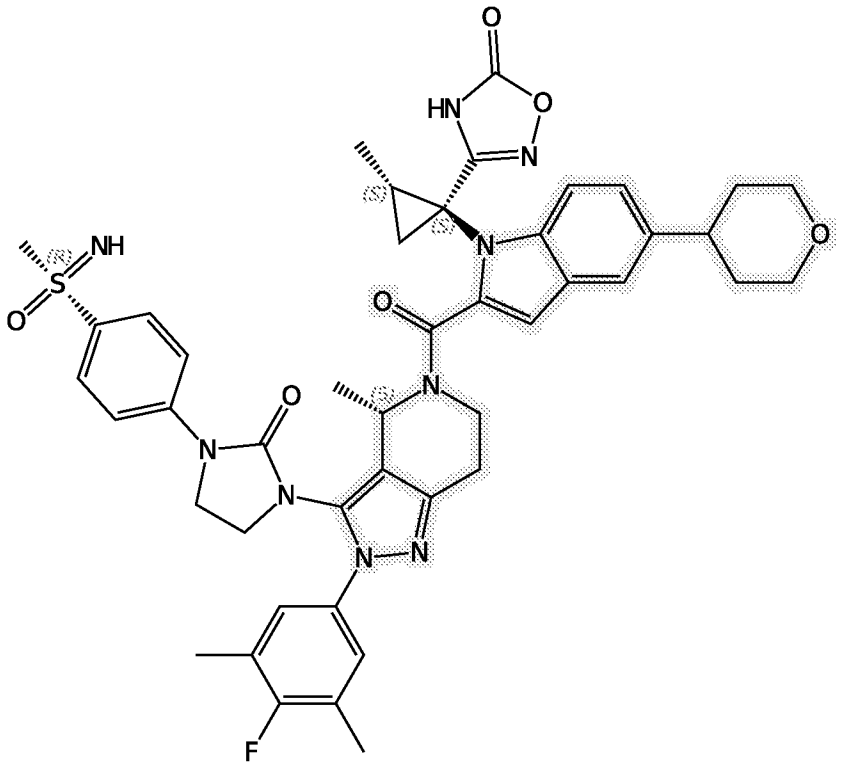
Absolute stereochemistry shown

RN 3054163-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



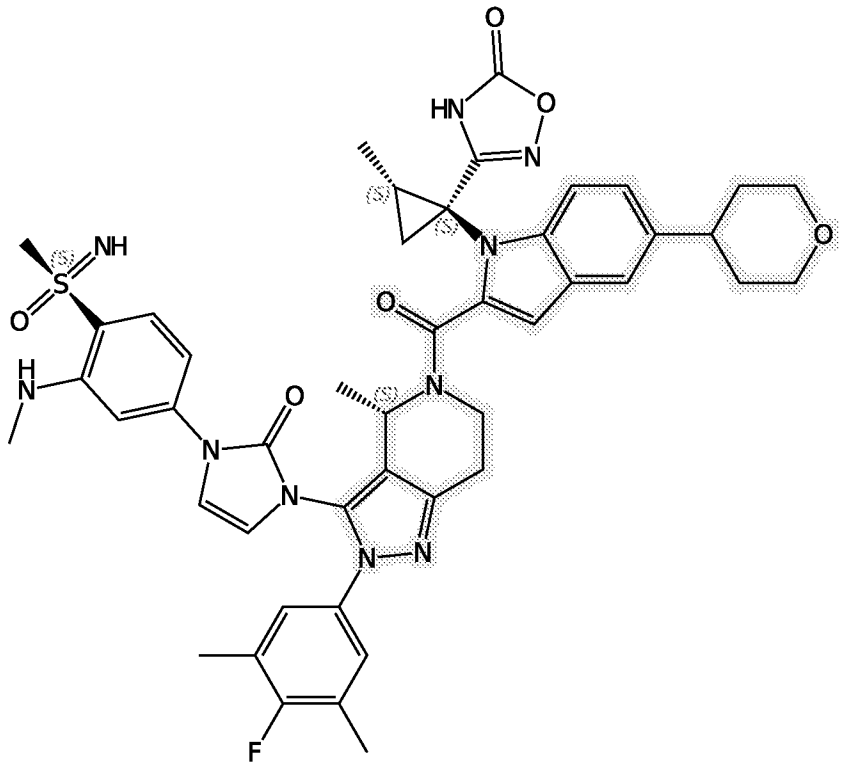
Absolute stereochemistry shown

RN 3054163-86-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



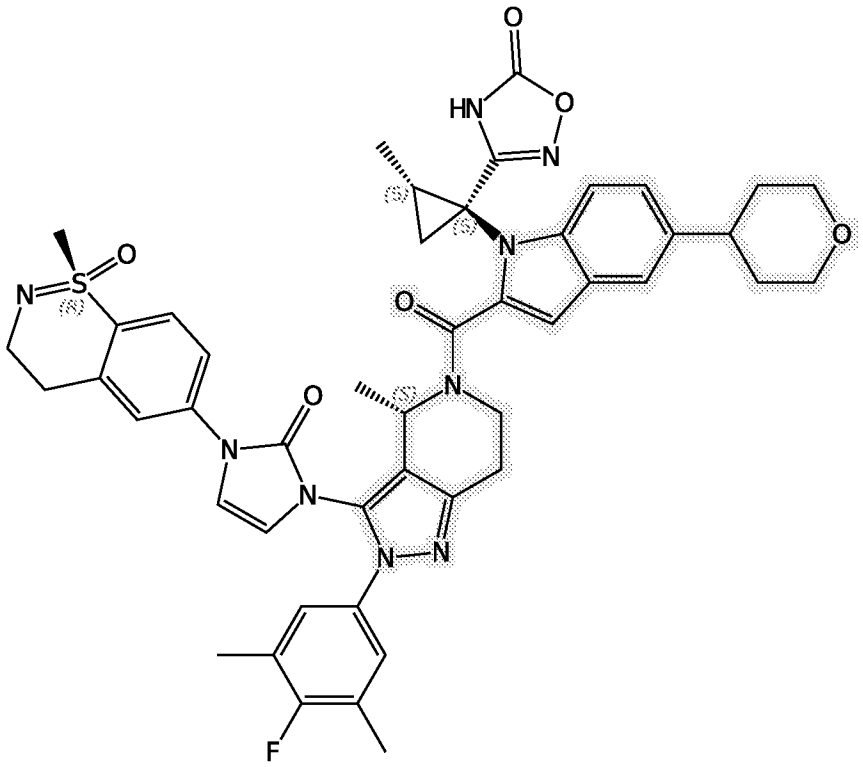
Absolute stereochemistry shown

RN 3054163-87-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



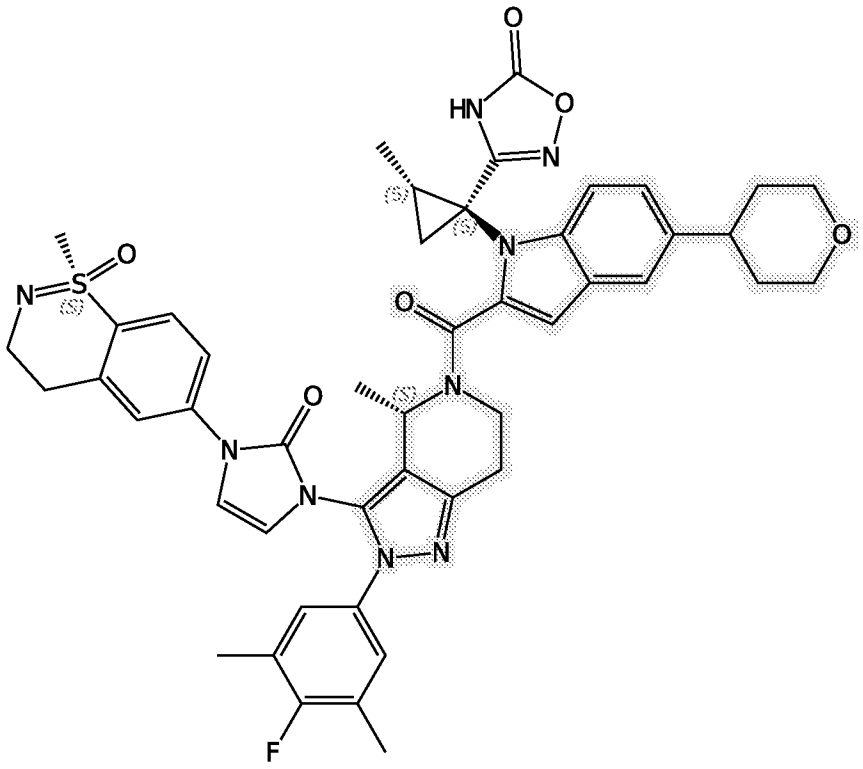
Absolute stereochemistry shown

RN 3054163-92-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



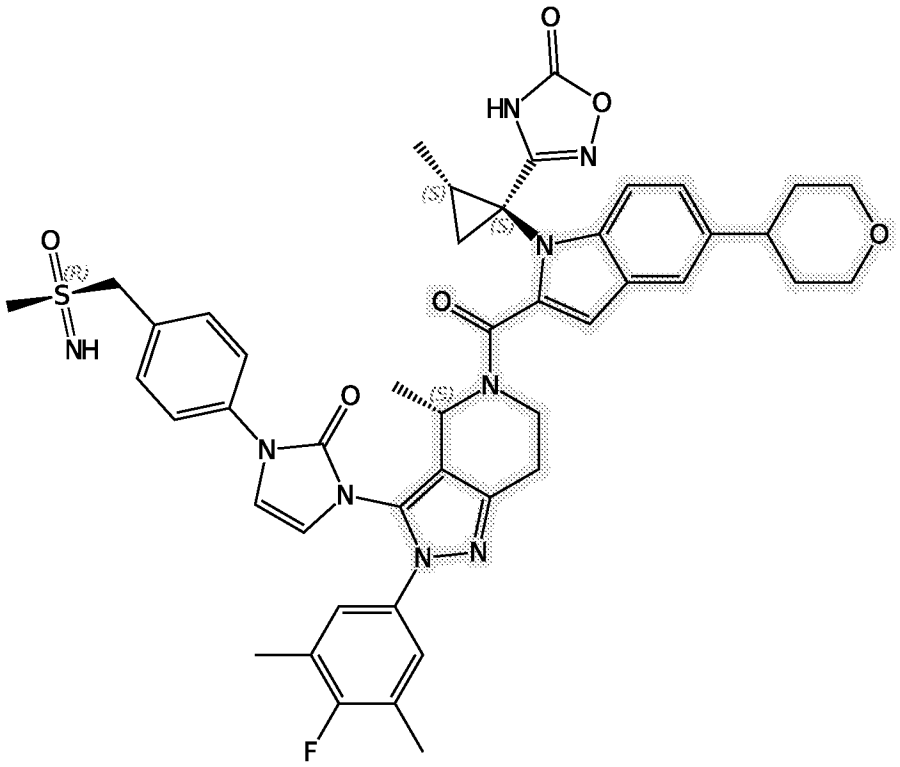
Absolute stereochemistry shown

RN 3054163-93-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



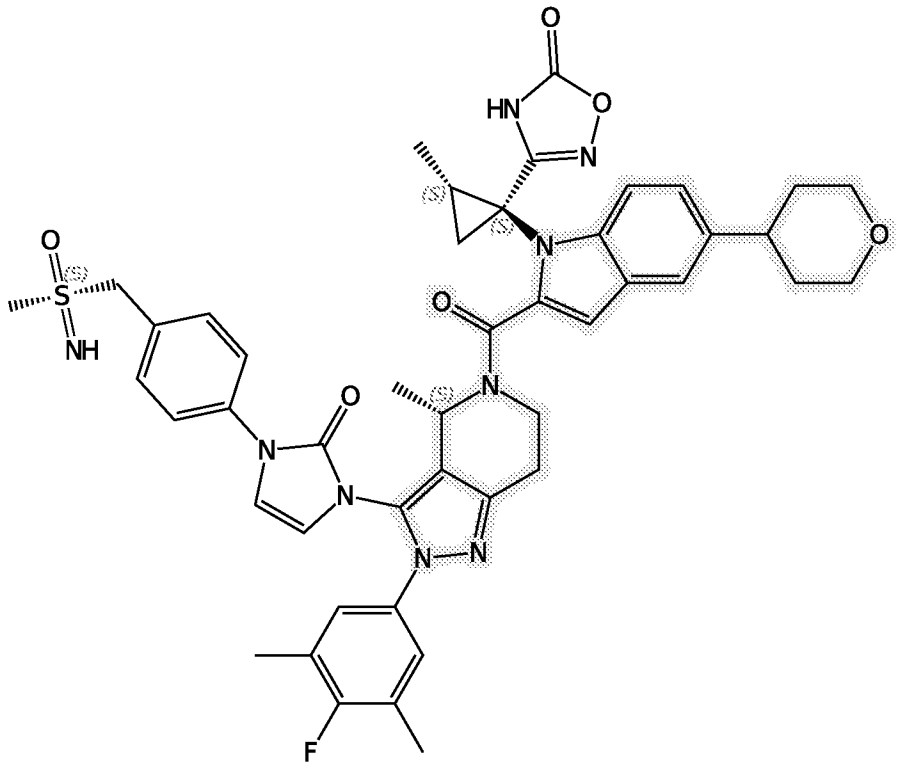
Absolute stereochemistry shown

RN 3054163-96-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



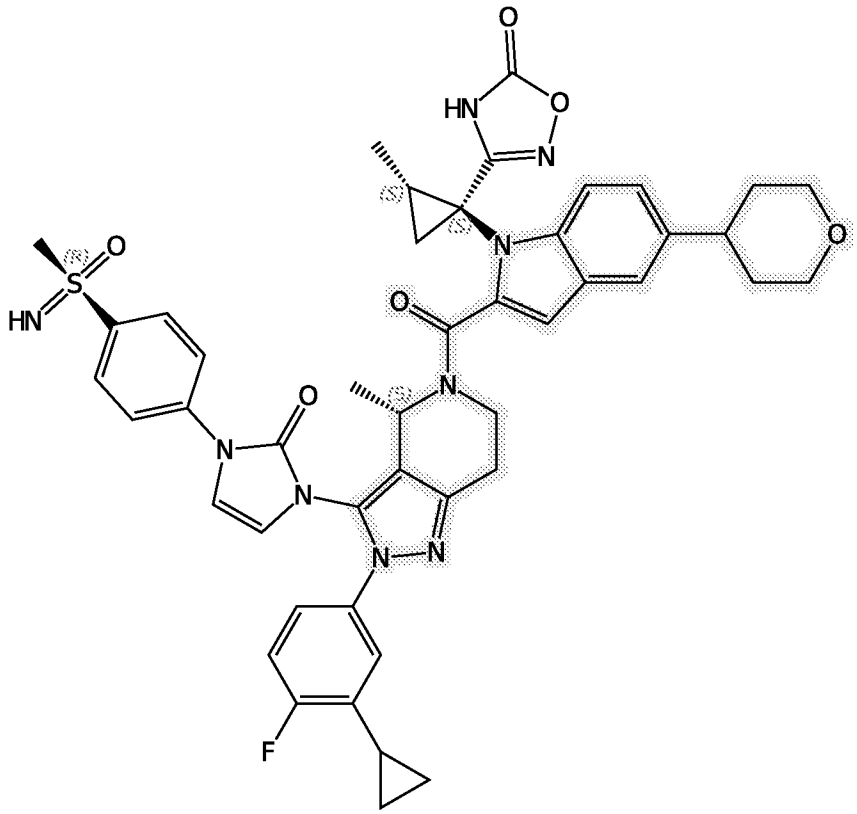
Absolute stereochemistry shown

RN 3054163-97-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



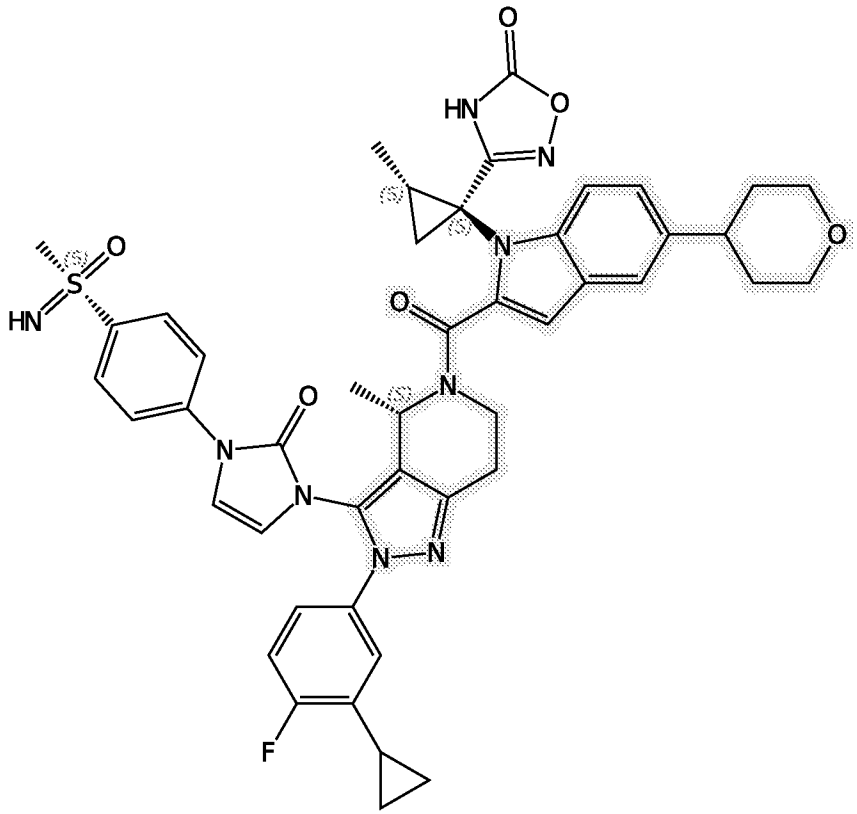
Absolute stereochemistry shown

RN 3054163-98-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



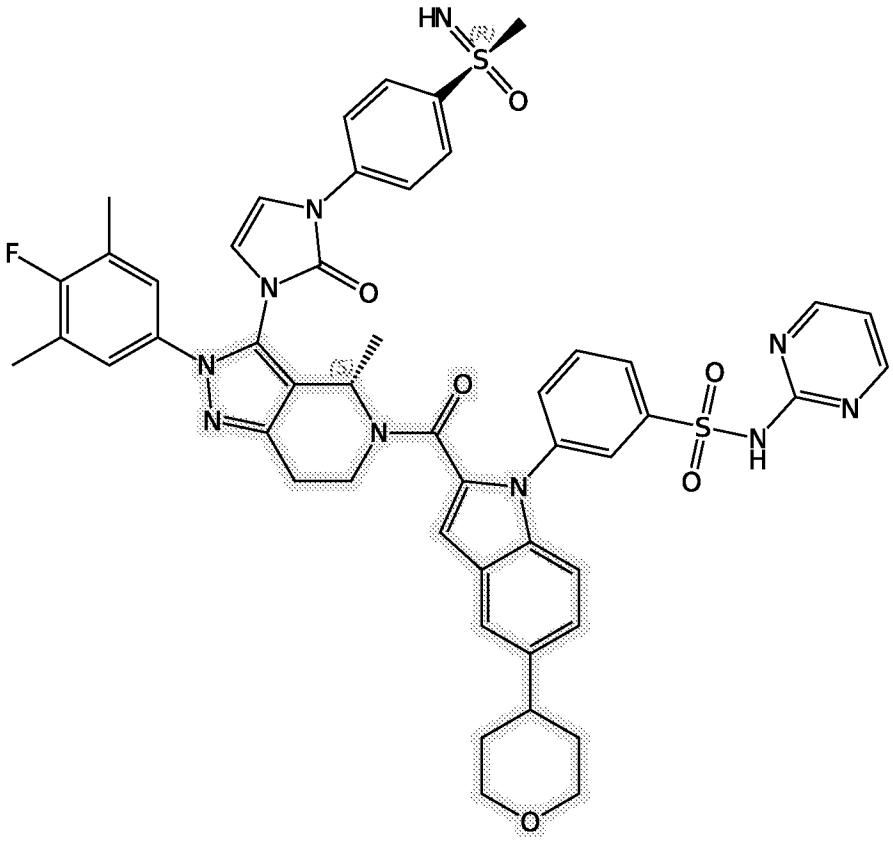
Absolute stereochemistry shown

RN 3054163-99-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



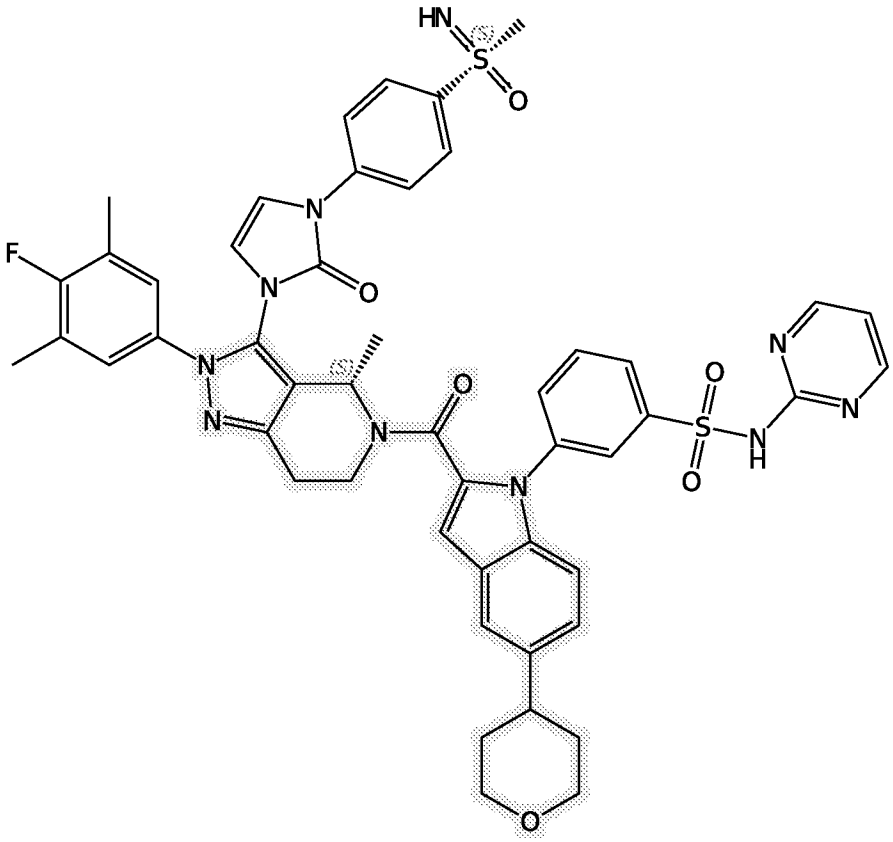
Absolute stereochemistry shown

RN 3054164-00-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



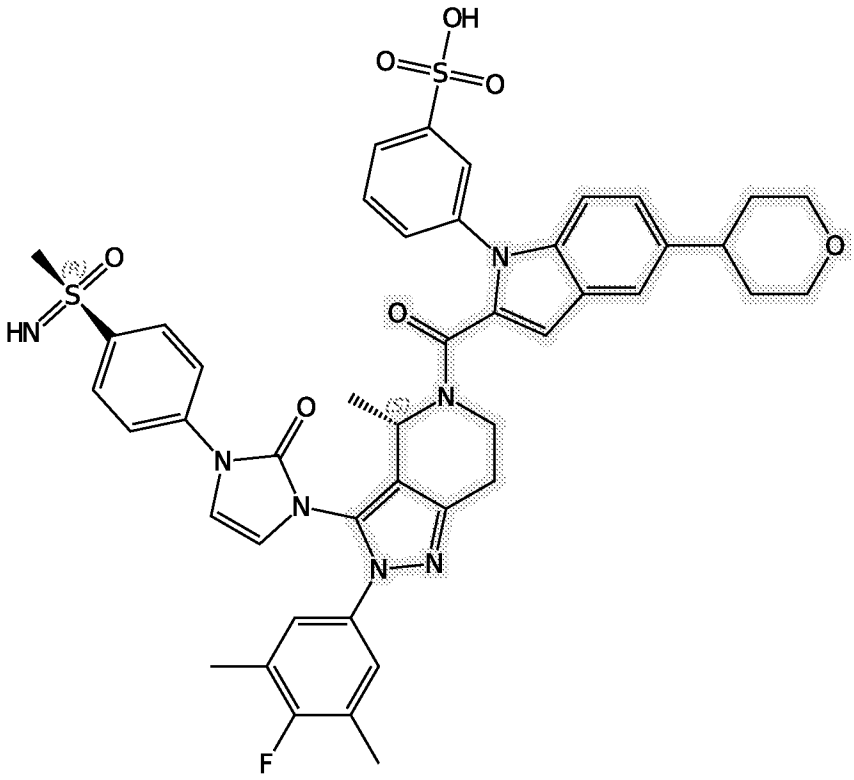

Absolute stereochemistry shown

RN 3054164-01-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



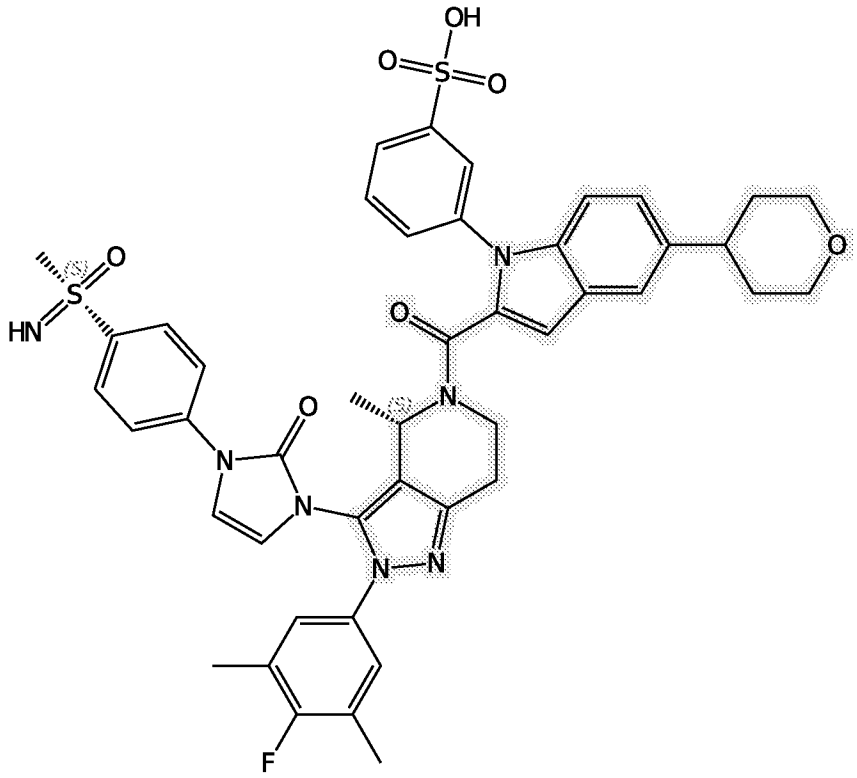
Absolute stereochemistry shown

RN 3054164-02-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



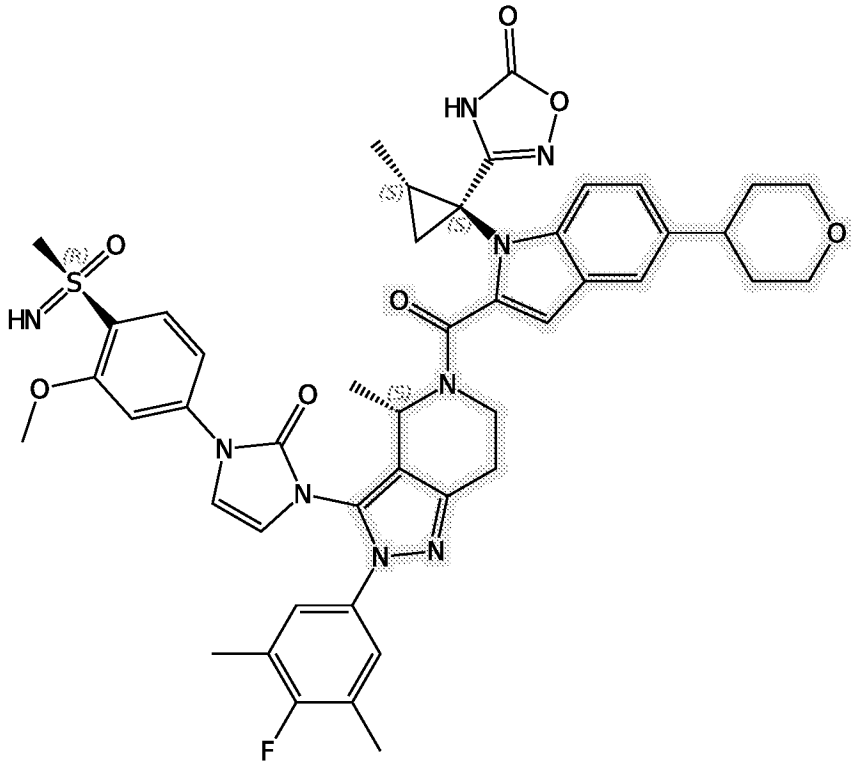
Absolute stereochemistry shown

RN 3054164-03-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



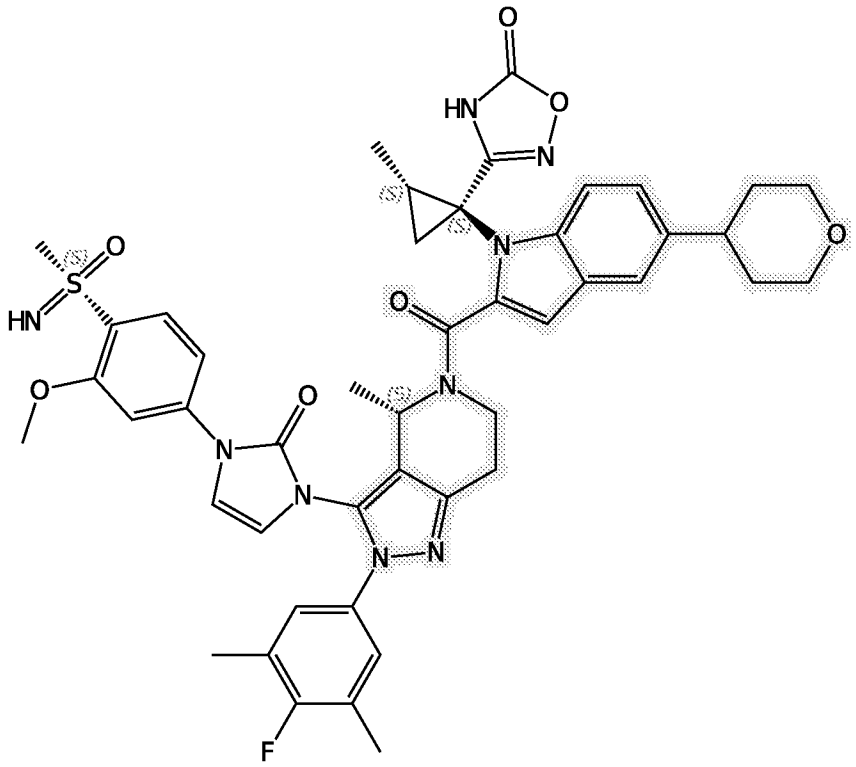
Absolute stereochemistry shown

RN 3054164-04-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



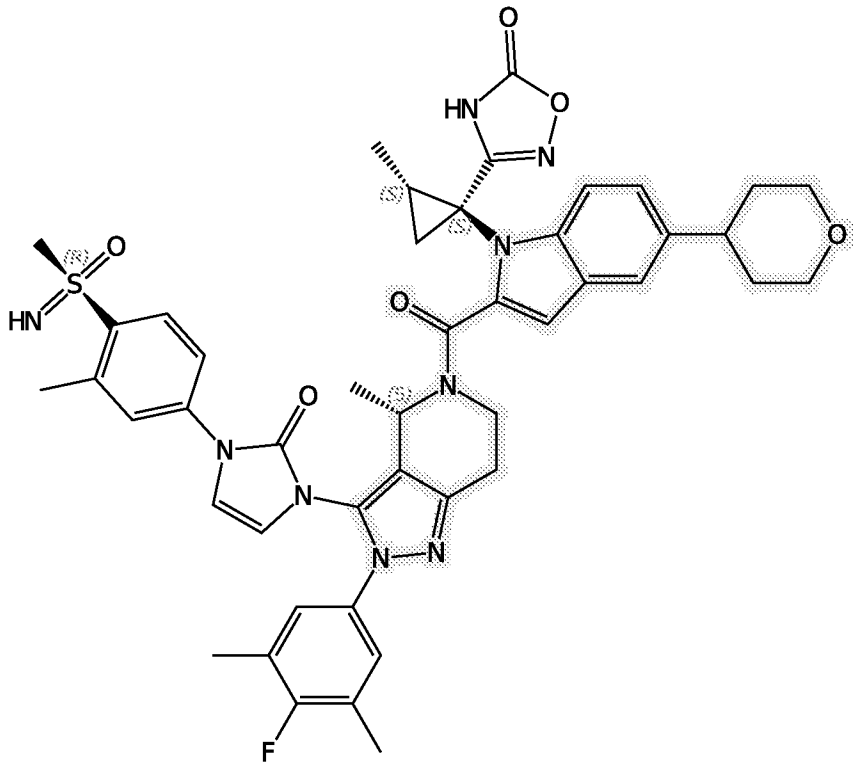
Absolute stereochemistry shown

RN 3054164-05-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



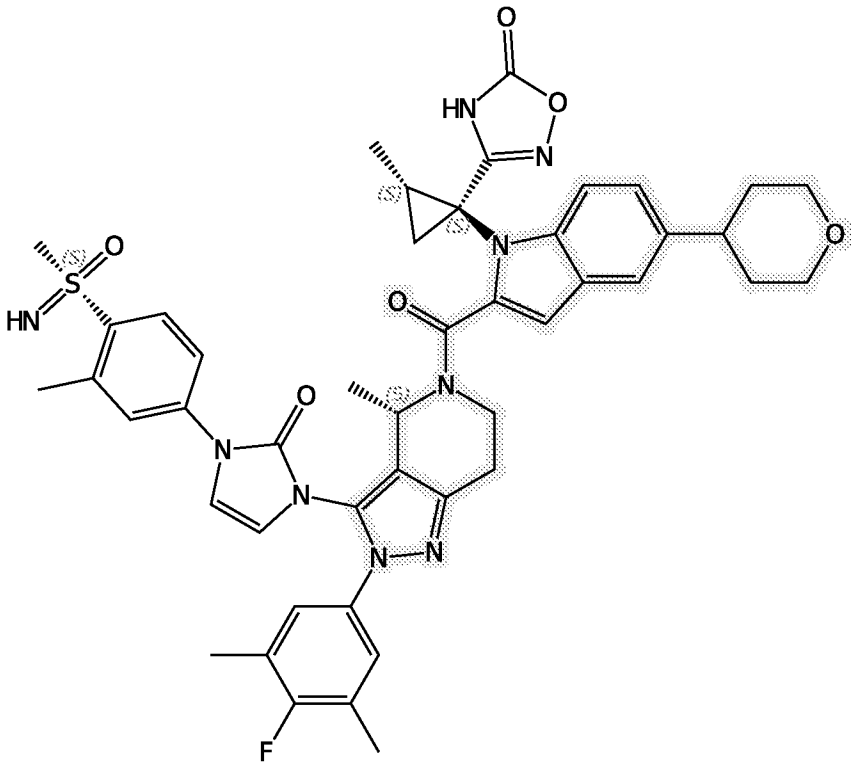
Absolute stereochemistry shown

RN 3054164-06-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



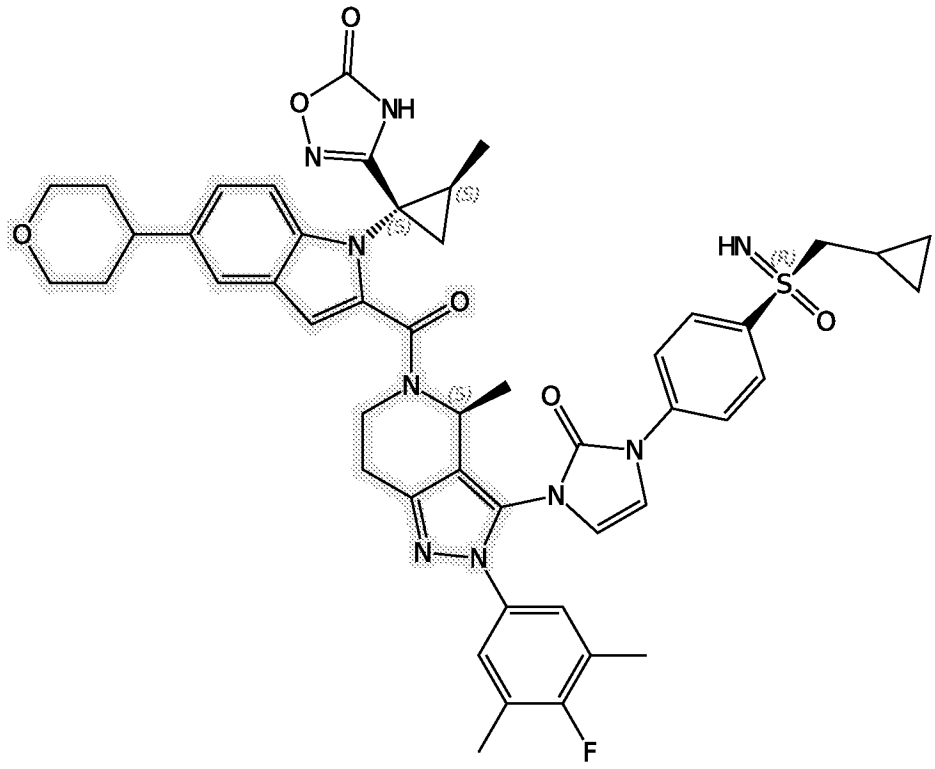
Absolute stereochemistry shown

RN 3054164-07-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



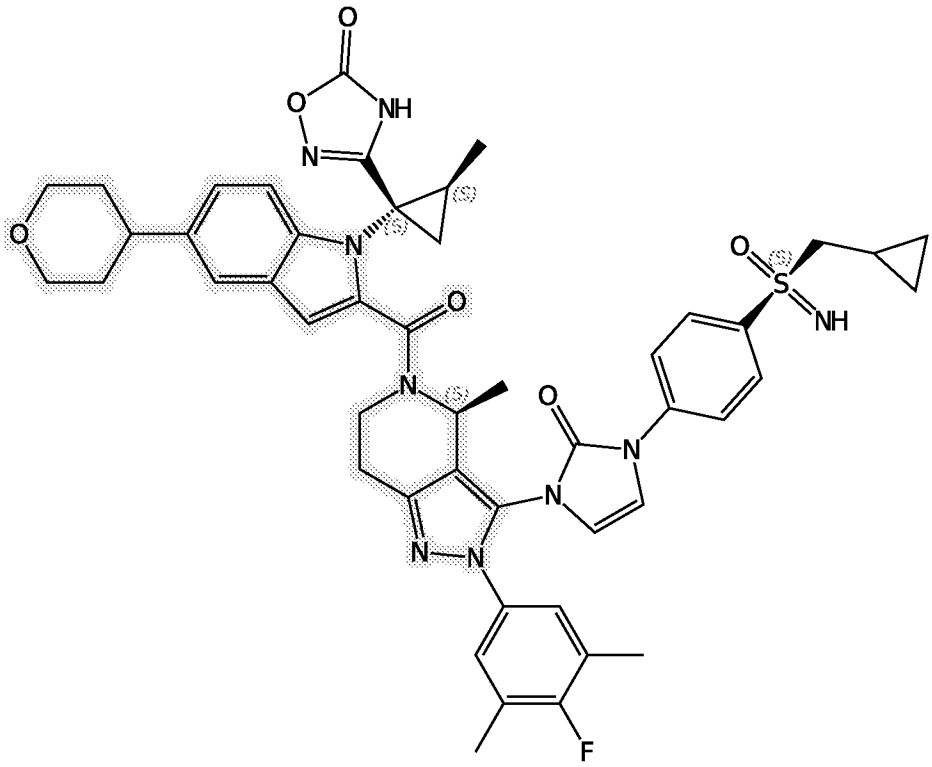
Absolute stereochemistry shown

RN 3054164-10-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



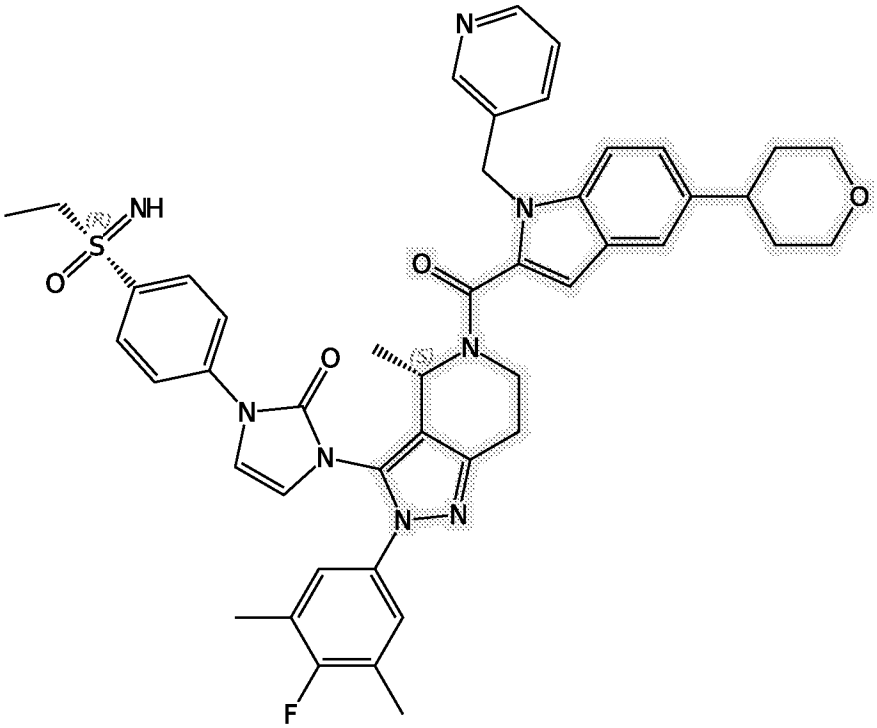
Absolute stereochemistry shown

RN 3054164-11-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

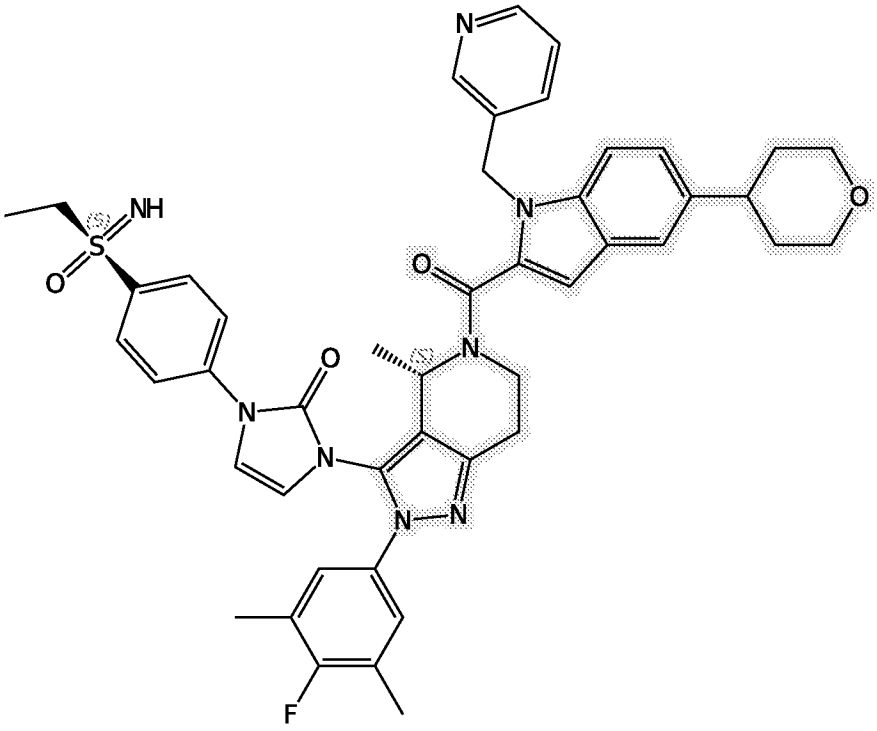
RN 3054164-12-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

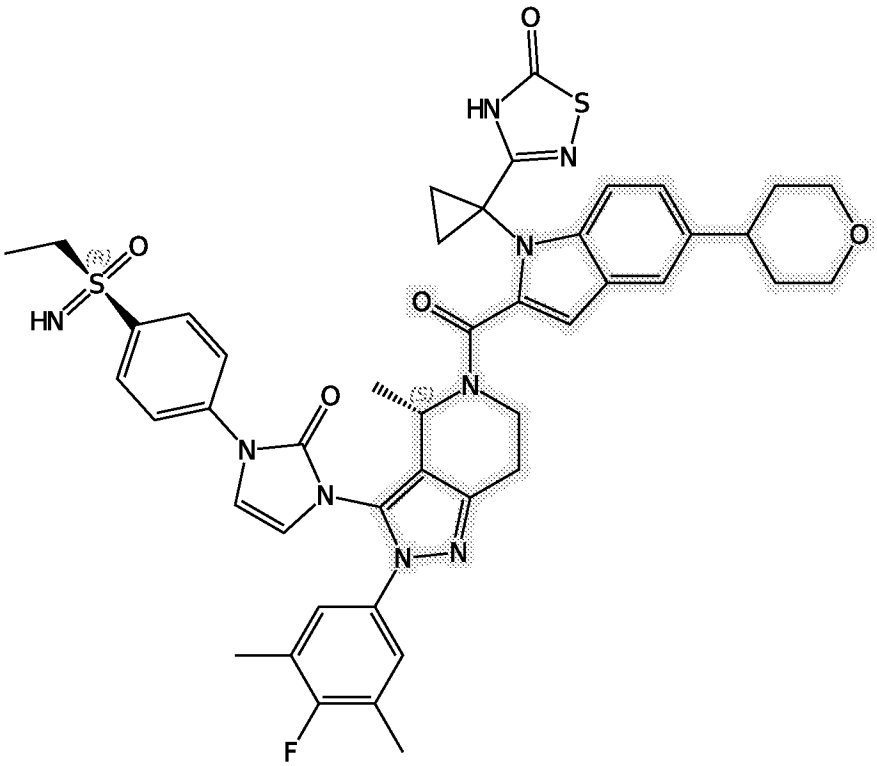
RN 3054164-13-2 CAPLUS

CN  INDEX NAME NOT YET ASSIGNED



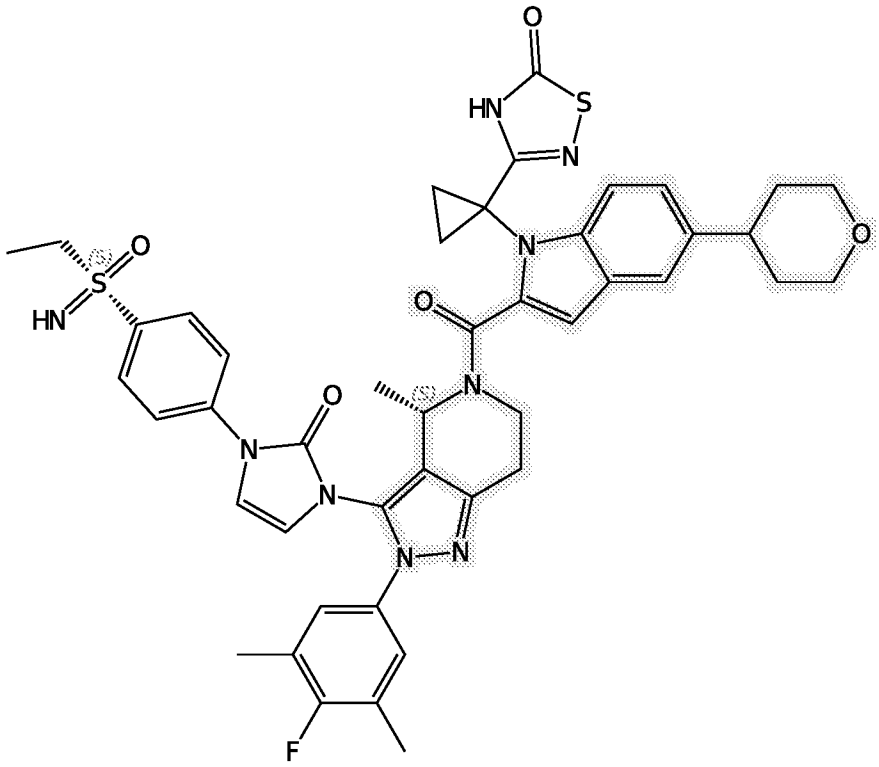
Absolute stereochemistry shown

RN  3054164-14-3  CAPLUS
CN  INDEX NAME NOT YET ASSIGNED



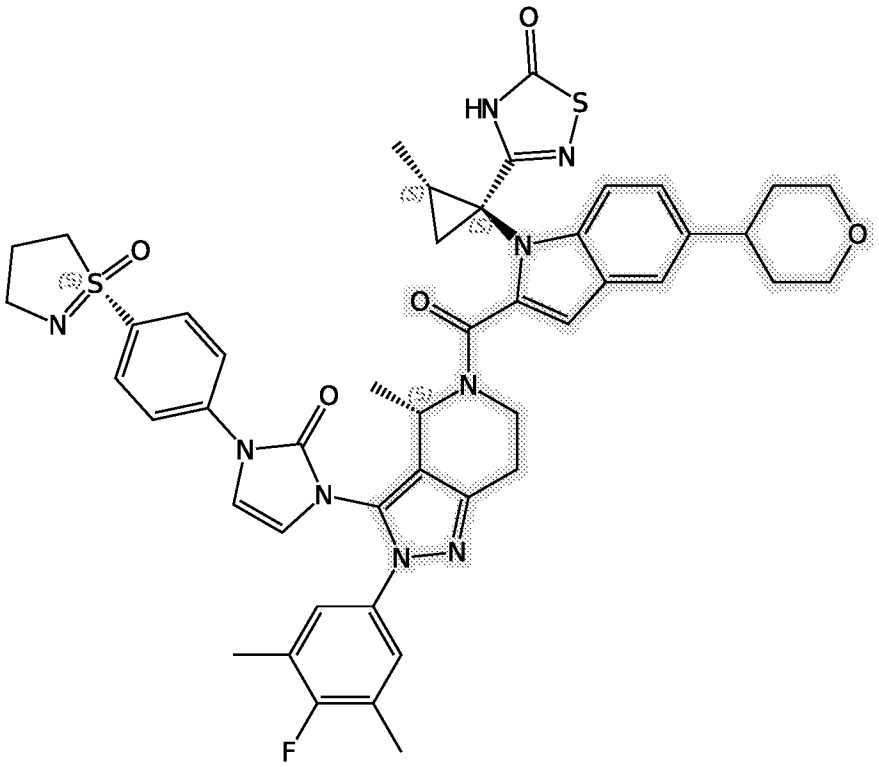
Absolute stereochemistry shown

RN  3054164-15-4  CAPLUS
CN  INDEX NAME NOT YET ASSIGNED



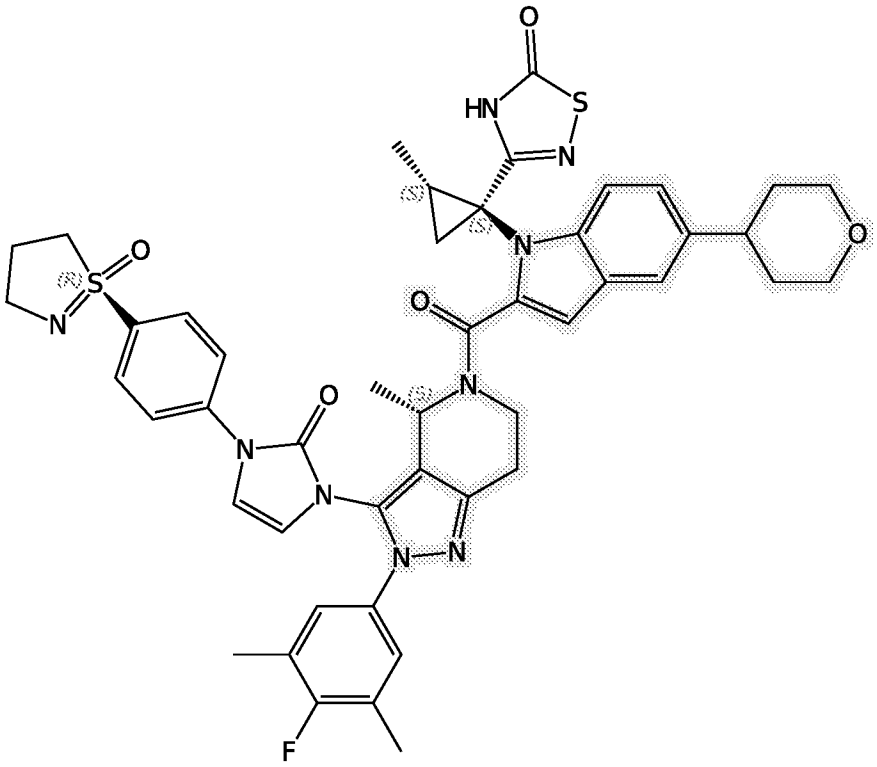
Absolute stereochemistry shown

RN 3054164-16-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



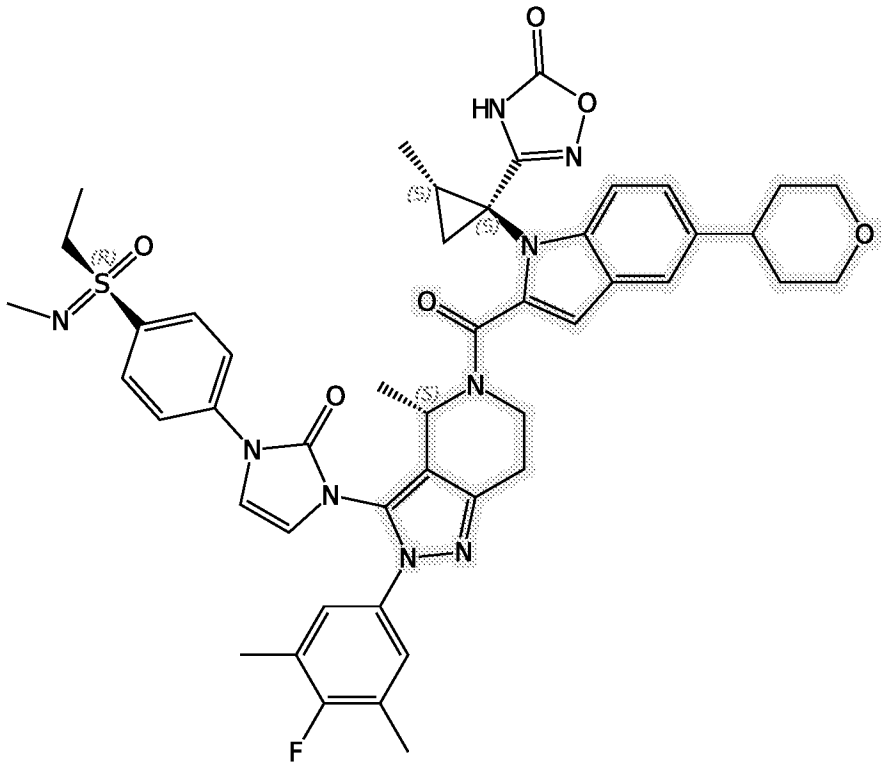
Absolute stereochemistry shown

RN 3054164-17-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



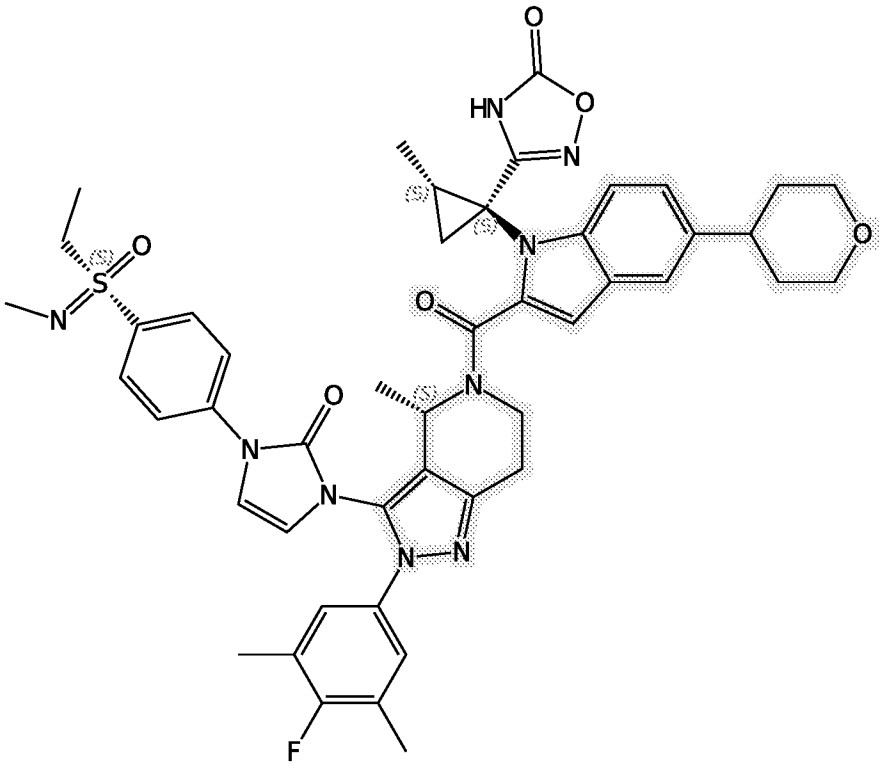
Absolute stereochemistry shown

RN 3054164-18-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



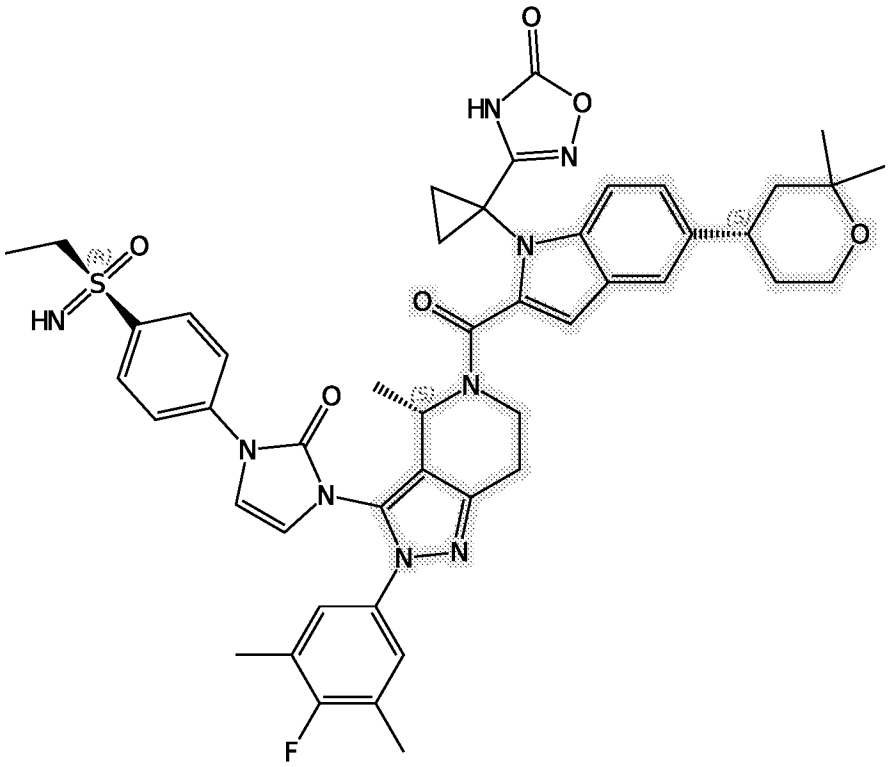
Absolute stereochemistry shown

RN 3054164-19-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



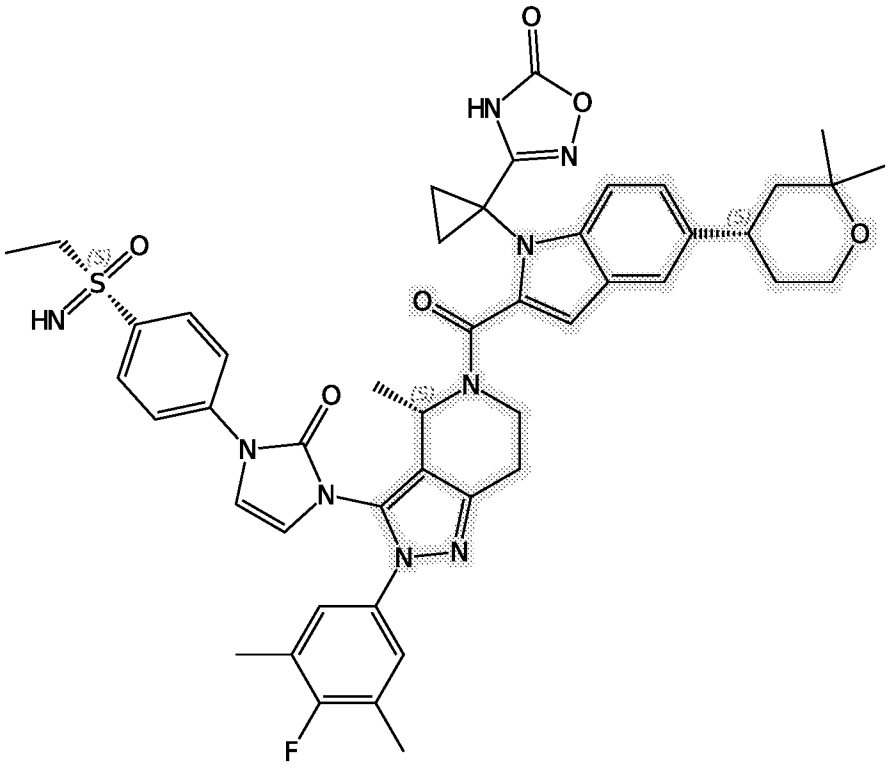
Absolute stereochemistry shown

RN 3054164-20-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



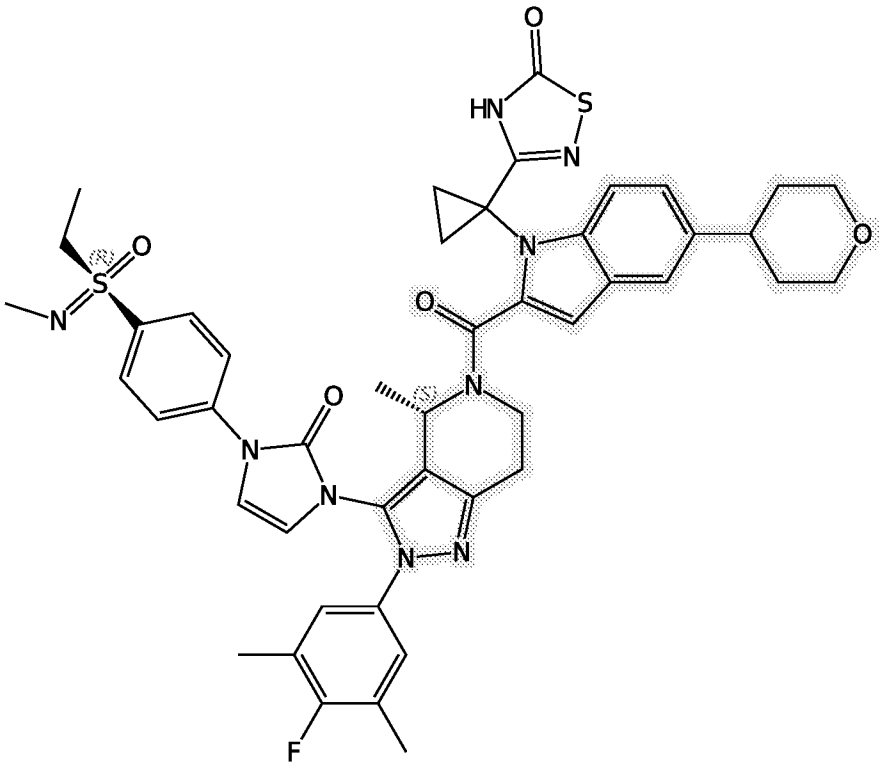
Absolute stereochemistry shown

RN 3054164-21-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



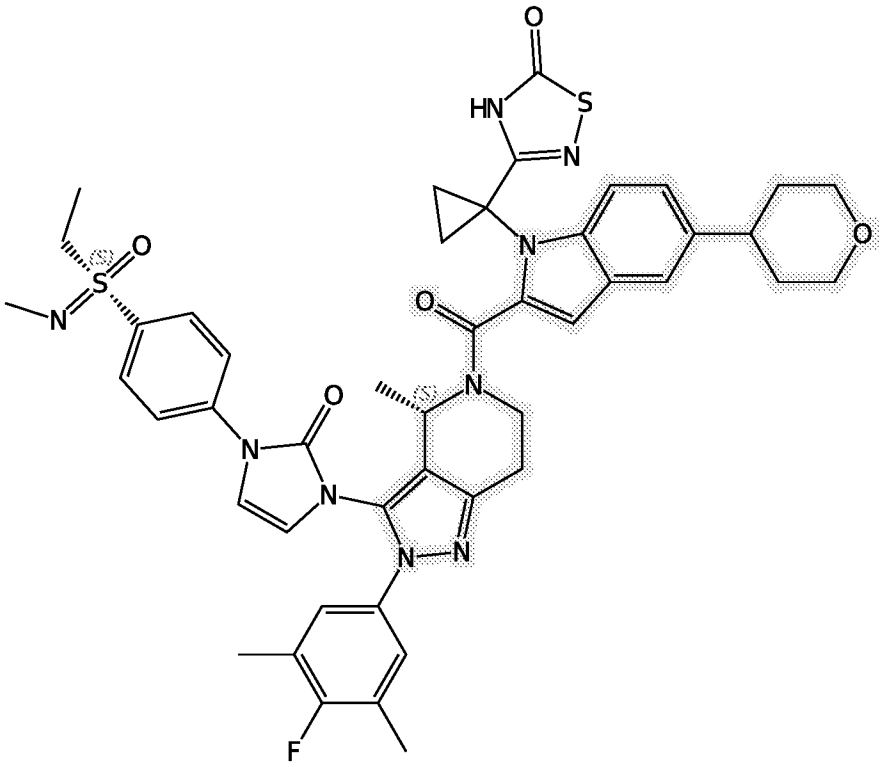
Absolute stereochemistry shown

RN 3054164-22-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



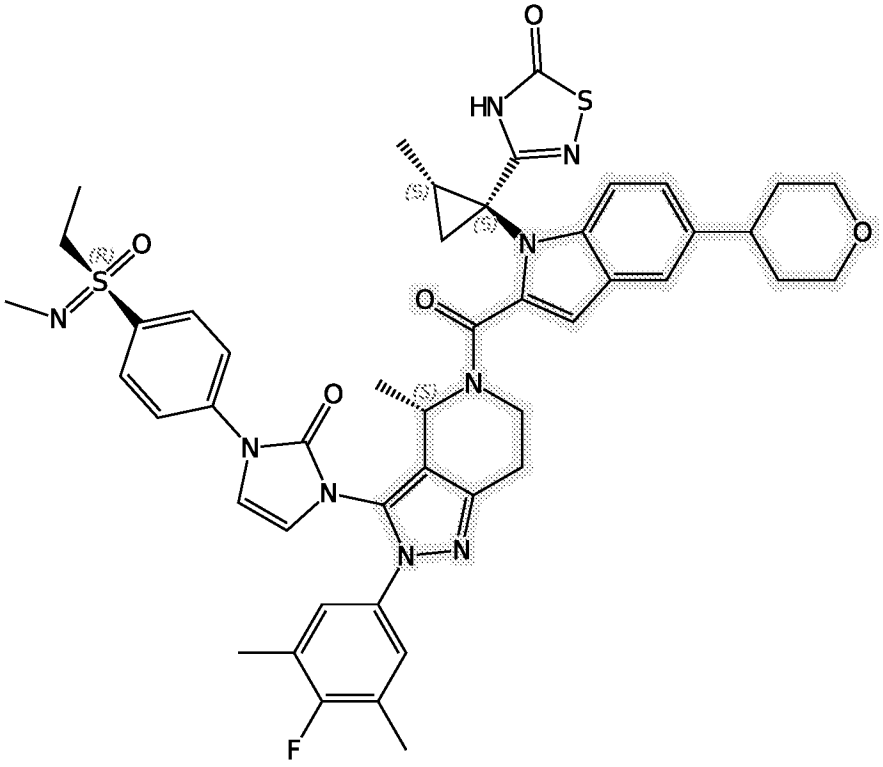
Absolute stereochemistry shown

RN 3054164-23-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



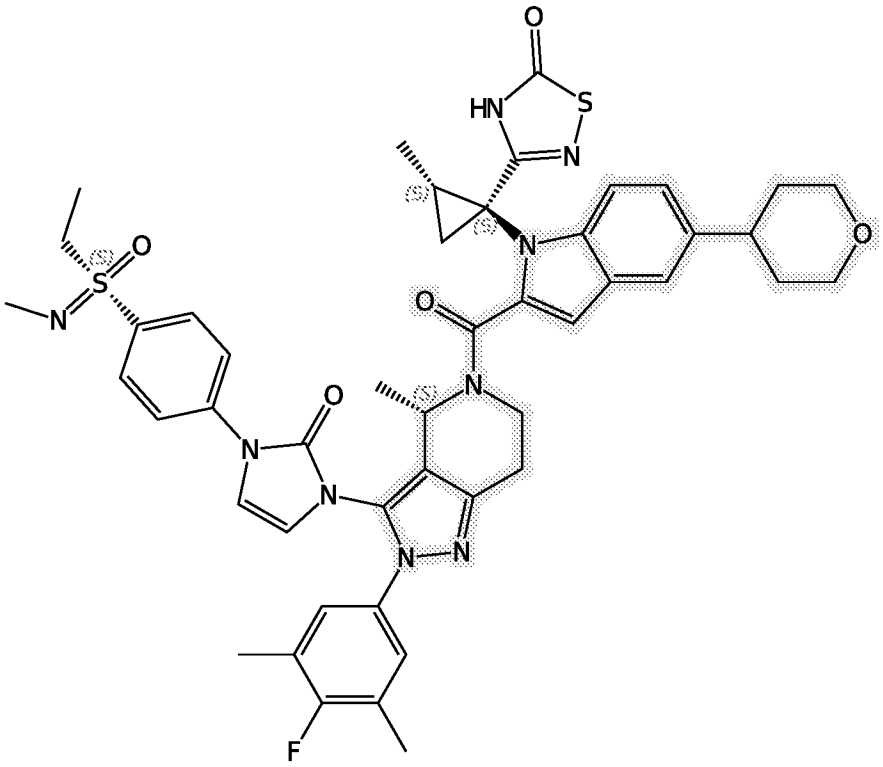
Absolute stereochemistry shown

RN 3054164-24-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



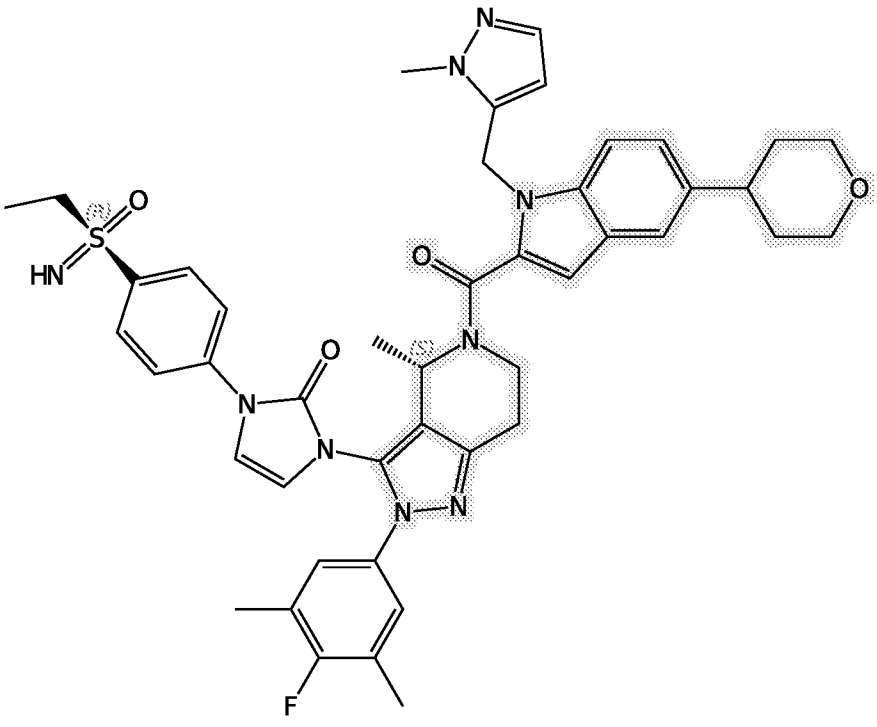
Absolute stereochemistry shown

RN 3054164-25-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



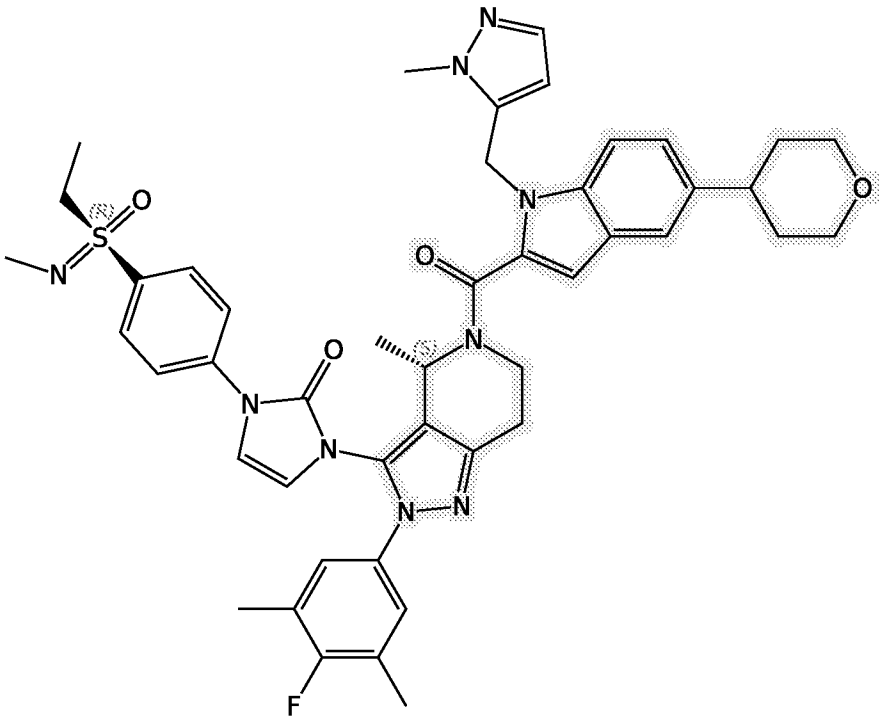
Absolute stereochemistry shown

RN 3054164-26-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



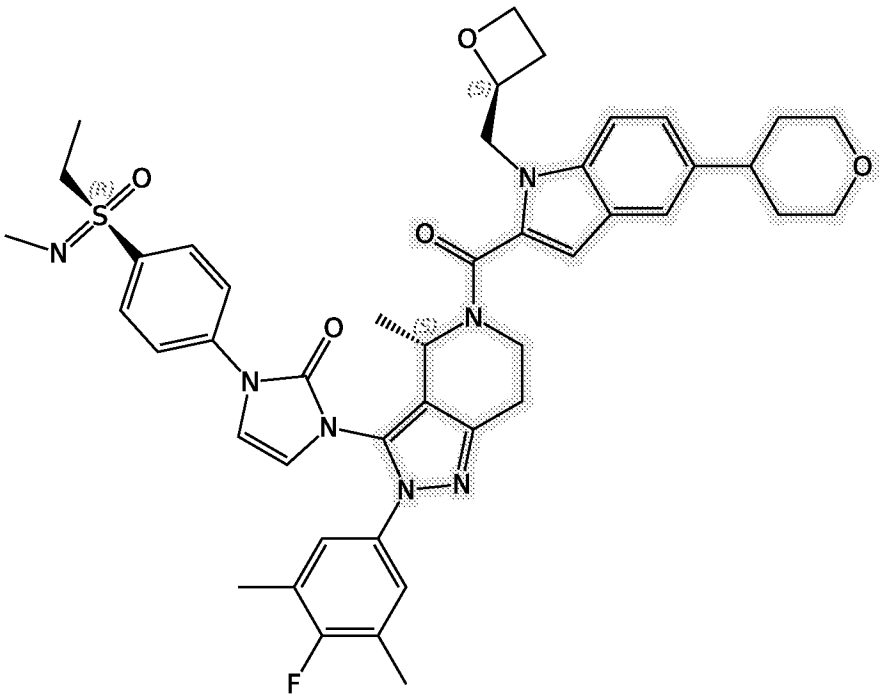
Absolute stereochemistry shown

RN 3054164-27-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



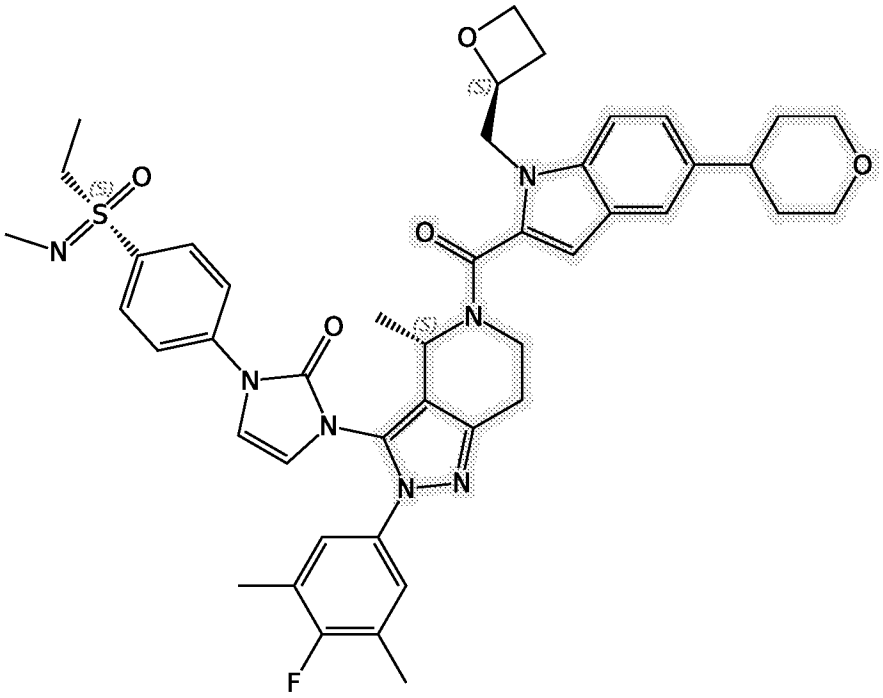
Absolute stereochemistry shown

RN 3054164-34-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



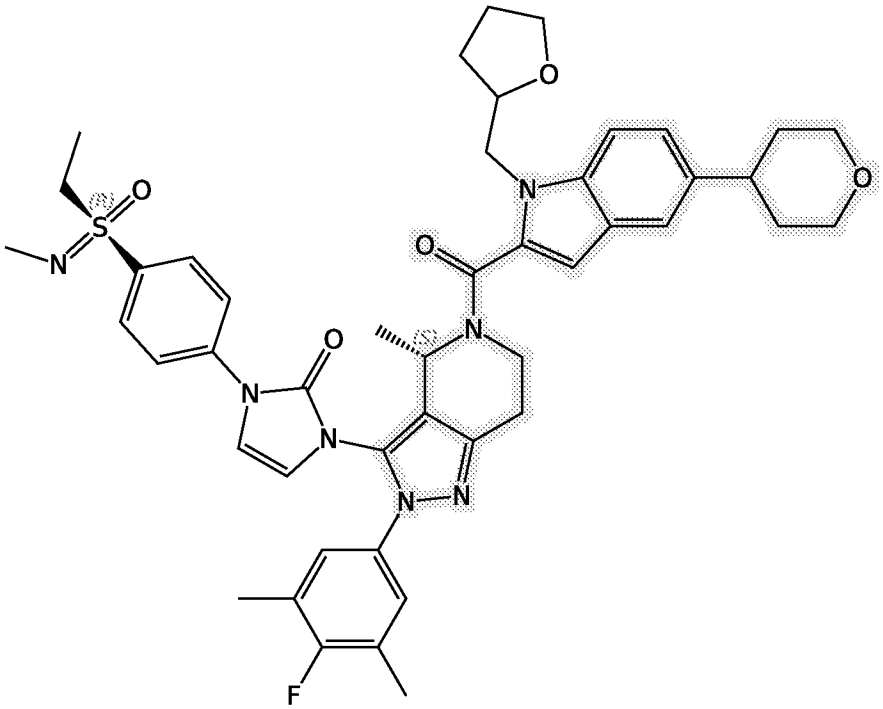
Absolute stereochemistry shown

RN 3054164-35-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



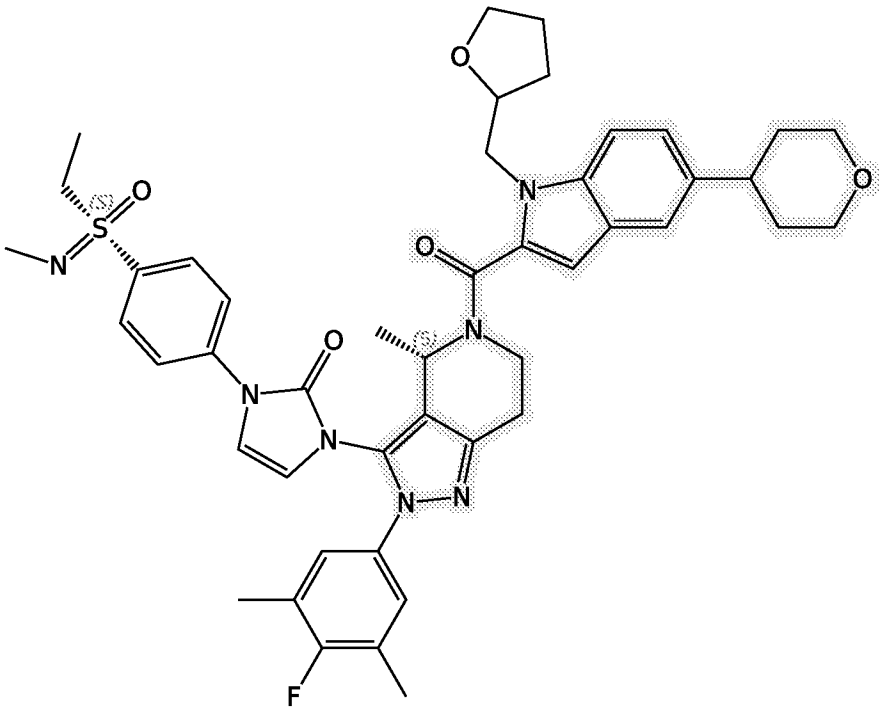
Absolute stereochemistry shown

RN 3054164-36-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



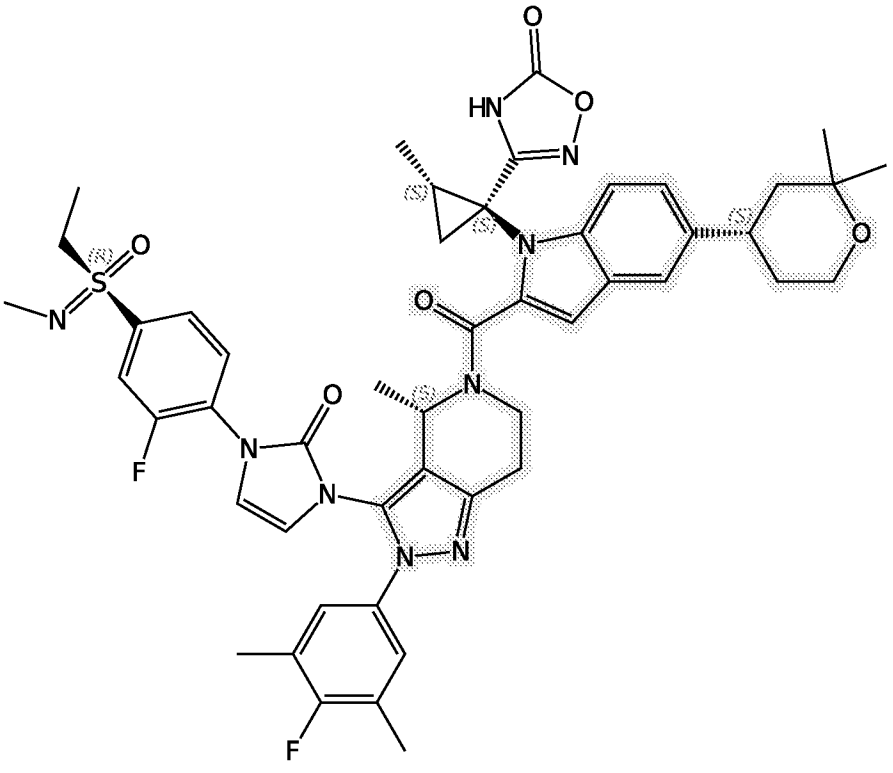
Absolute stereochemistry shown

RN 3054164-37-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



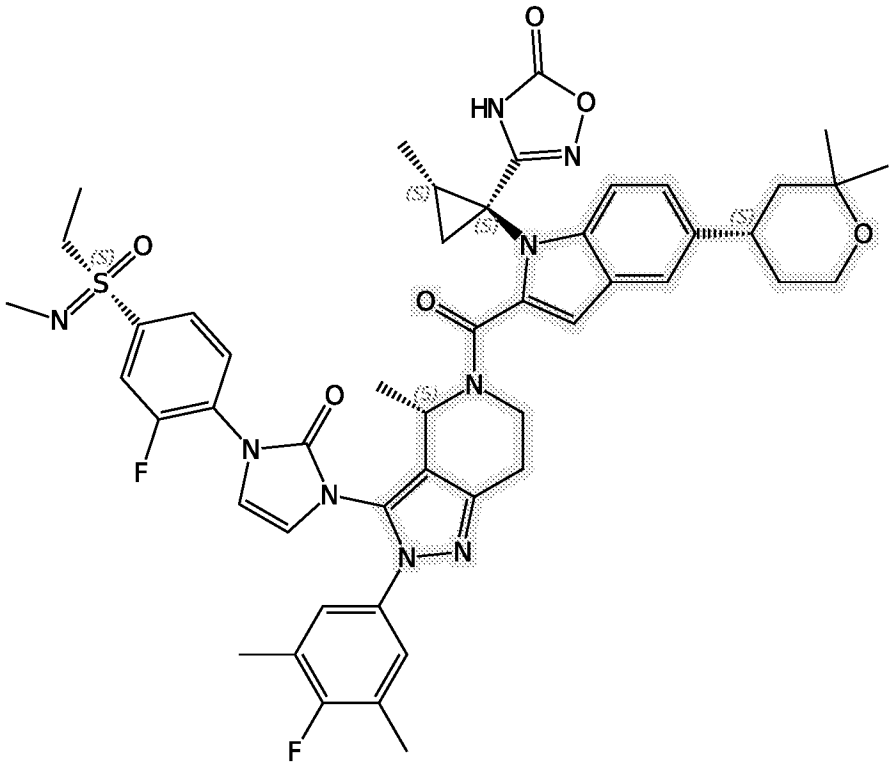
Absolute stereochemistry shown

RN 3054164-38-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



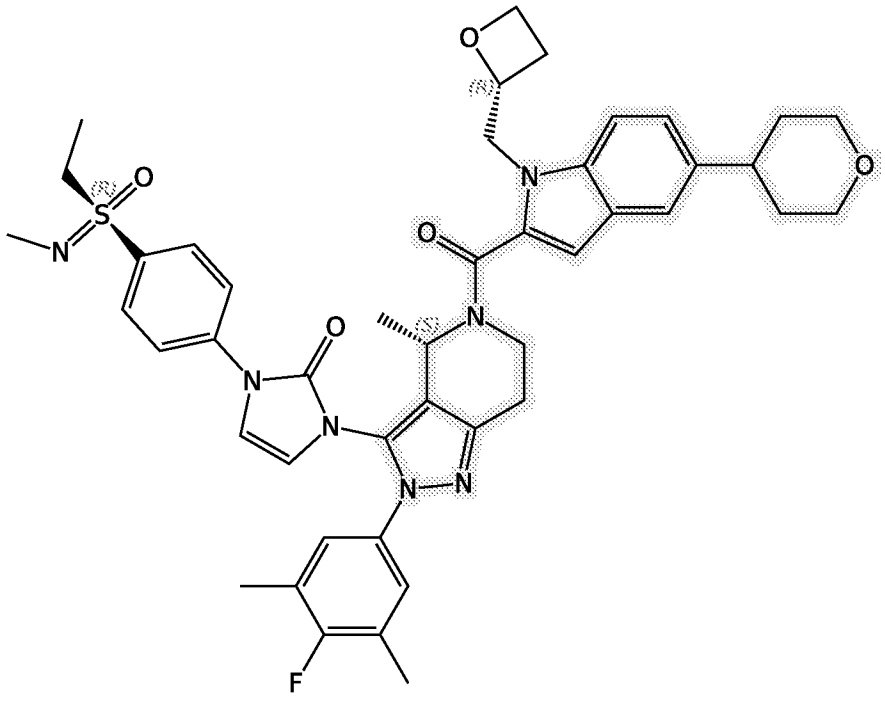
Absolute stereochemistry shown

RN 3054164-39-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



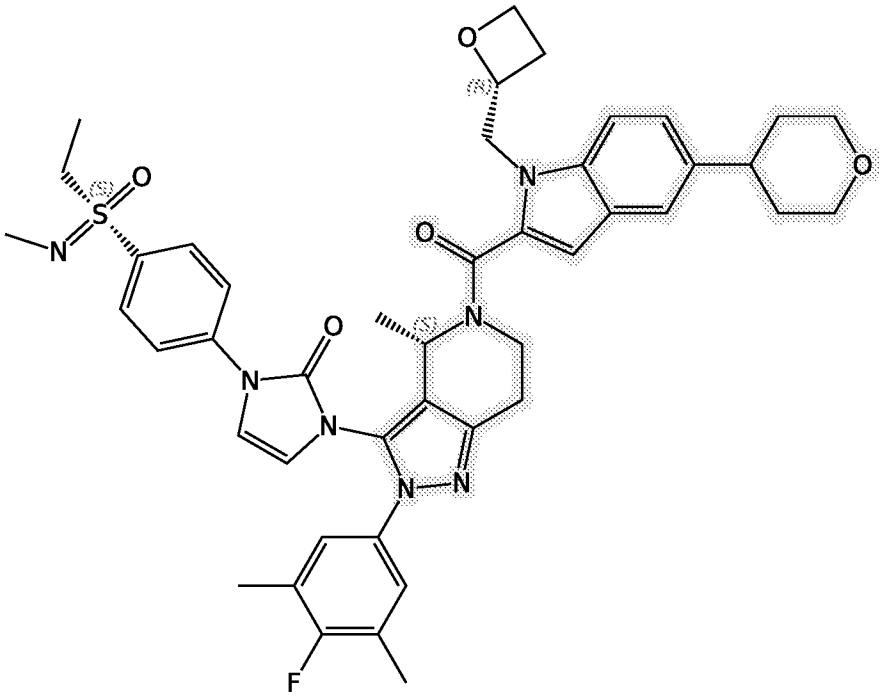
Absolute stereochemistry shown

RN 3054164-40-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



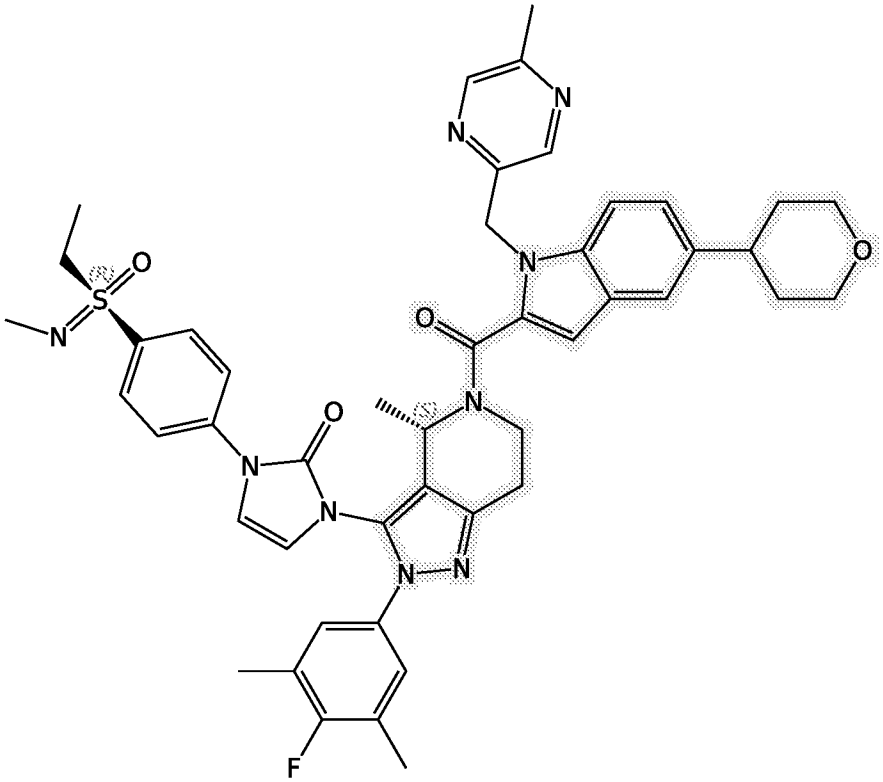
Absolute stereochemistry shown

RN 3054164-41-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



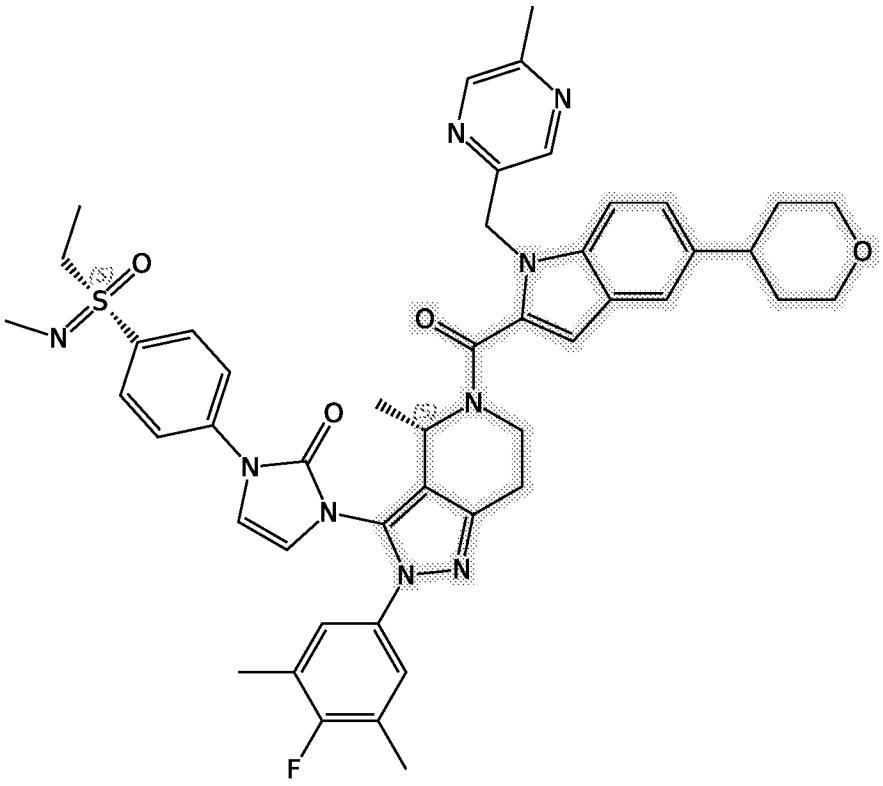
Absolute stereochemistry shown

RN 3054164-42-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



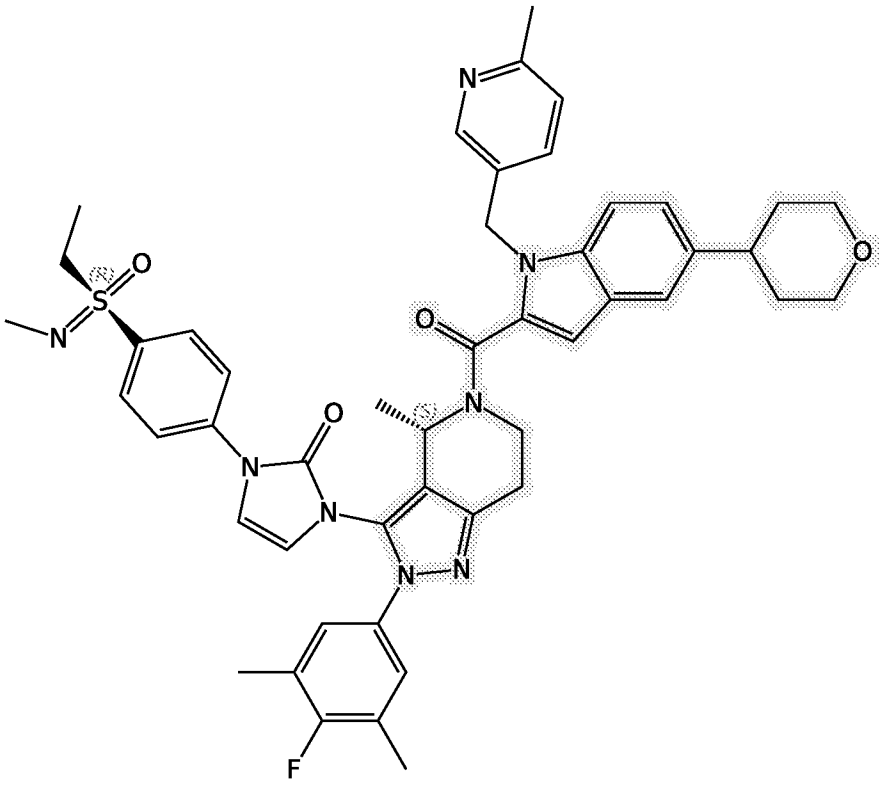
Absolute stereochemistry shown

RN 3054164-43-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

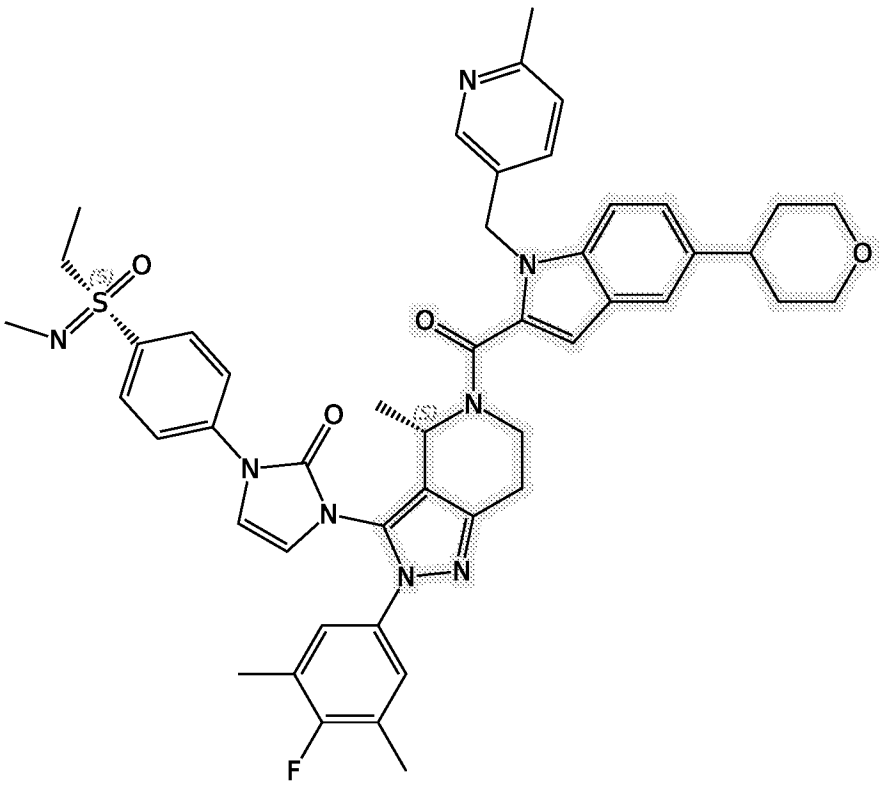
RN 3054164-44-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

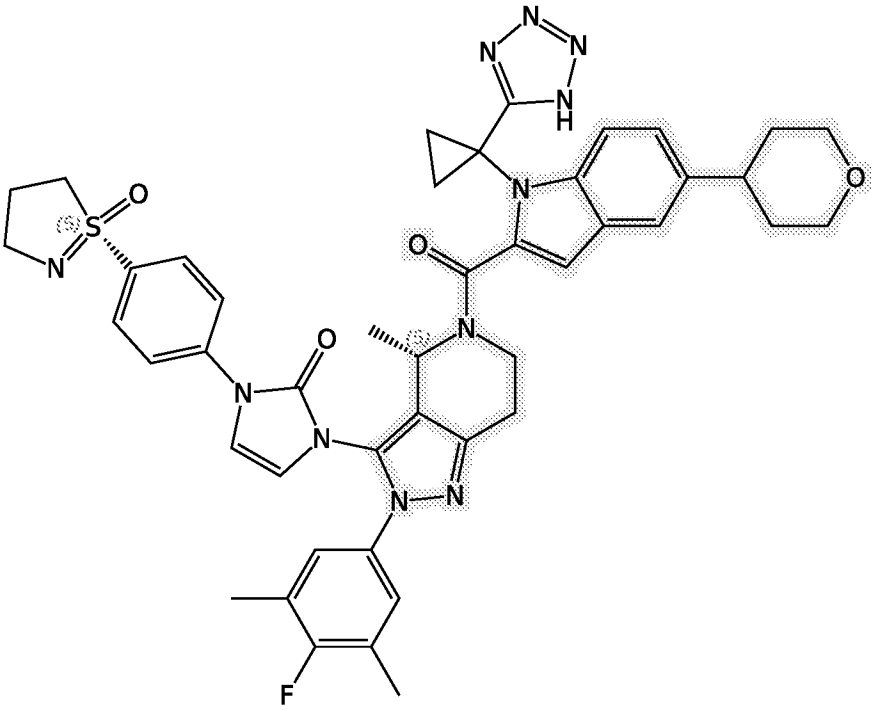
RN 3054164-45-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



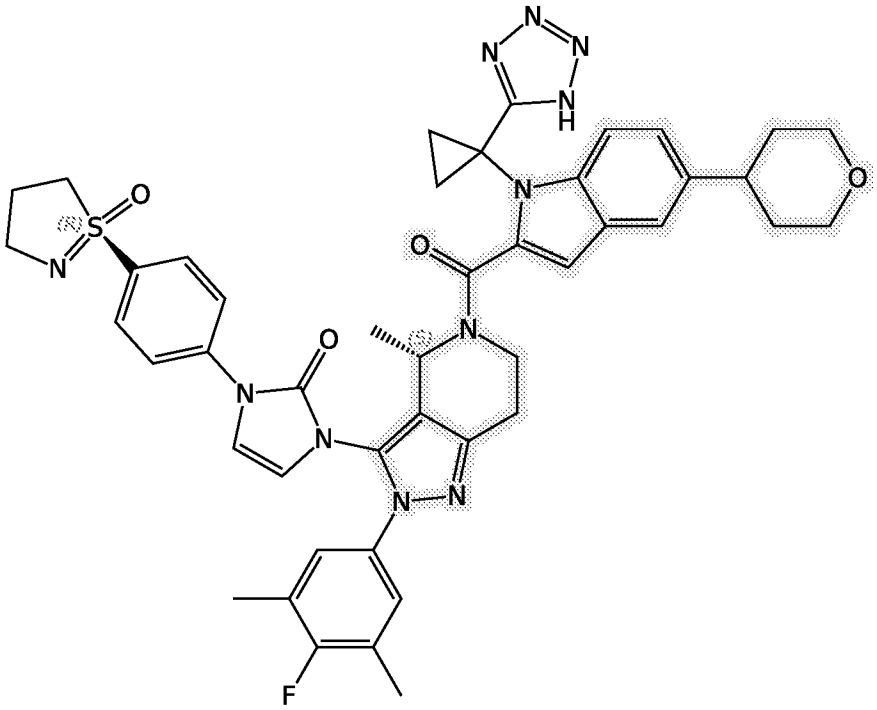
Absolute stereochemistry shown

RN 3054164-46-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



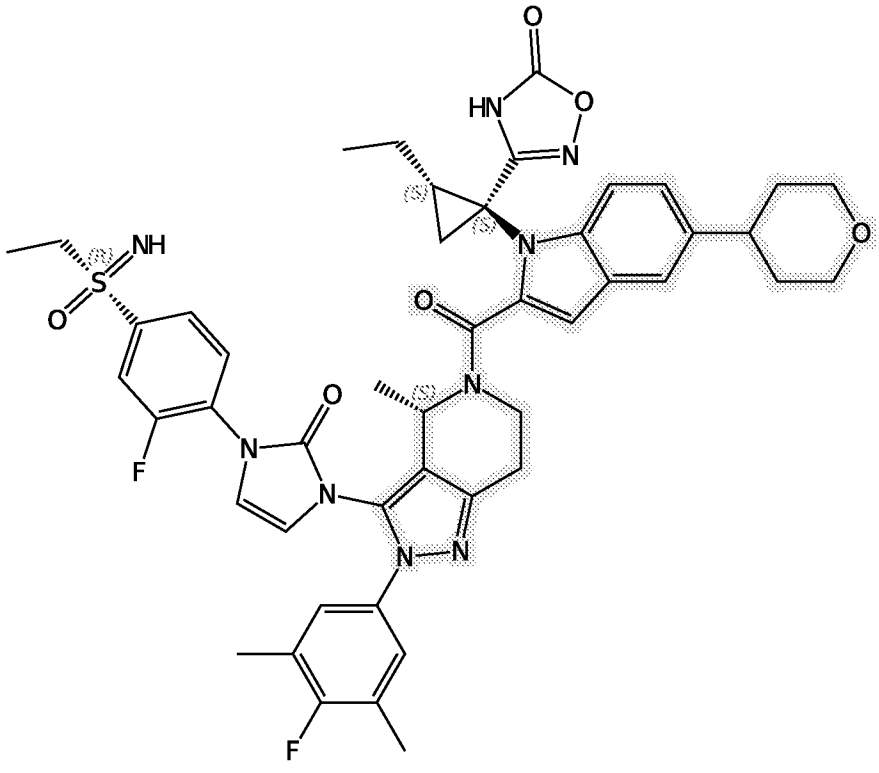
Absolute stereochemistry shown

RN 3054164-47-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



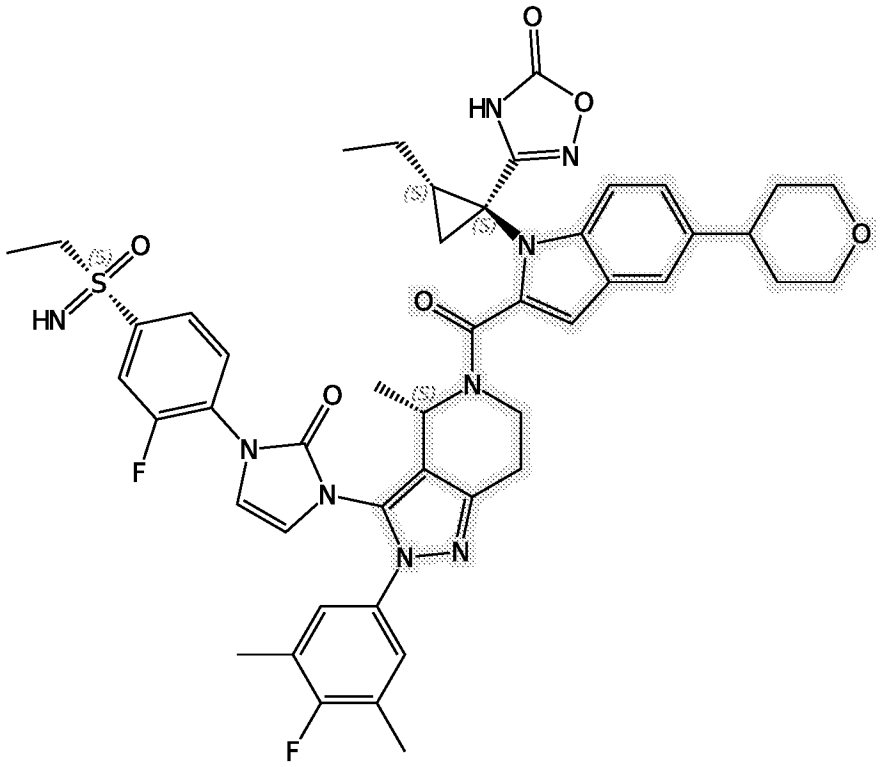
Absolute stereochemistry shown

RN 3054164-48-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



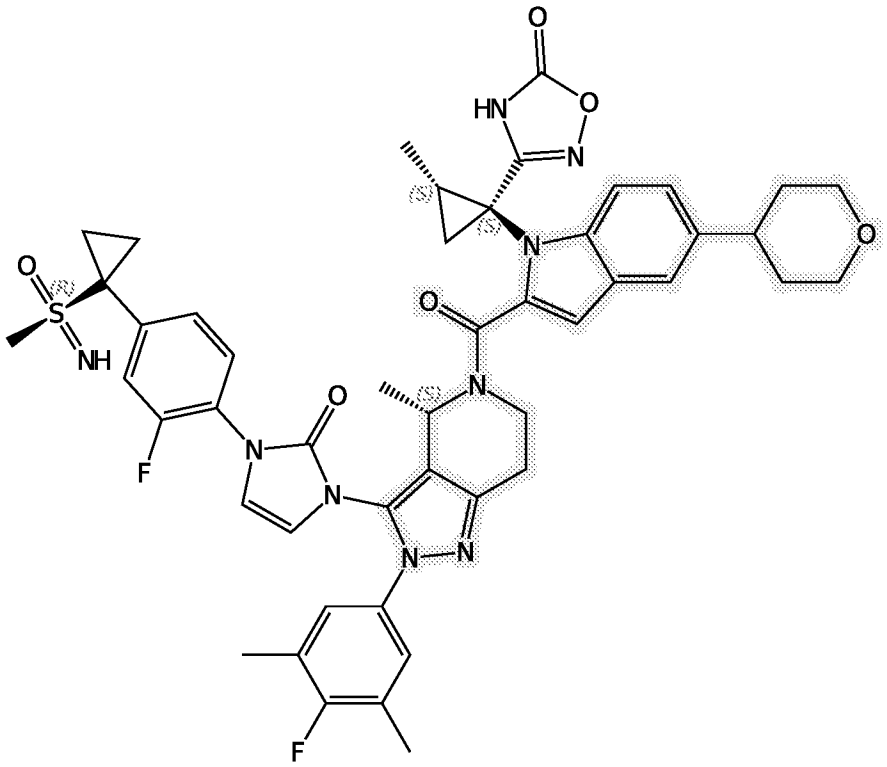
Absolute stereochemistry shown

RN 3054164-49-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



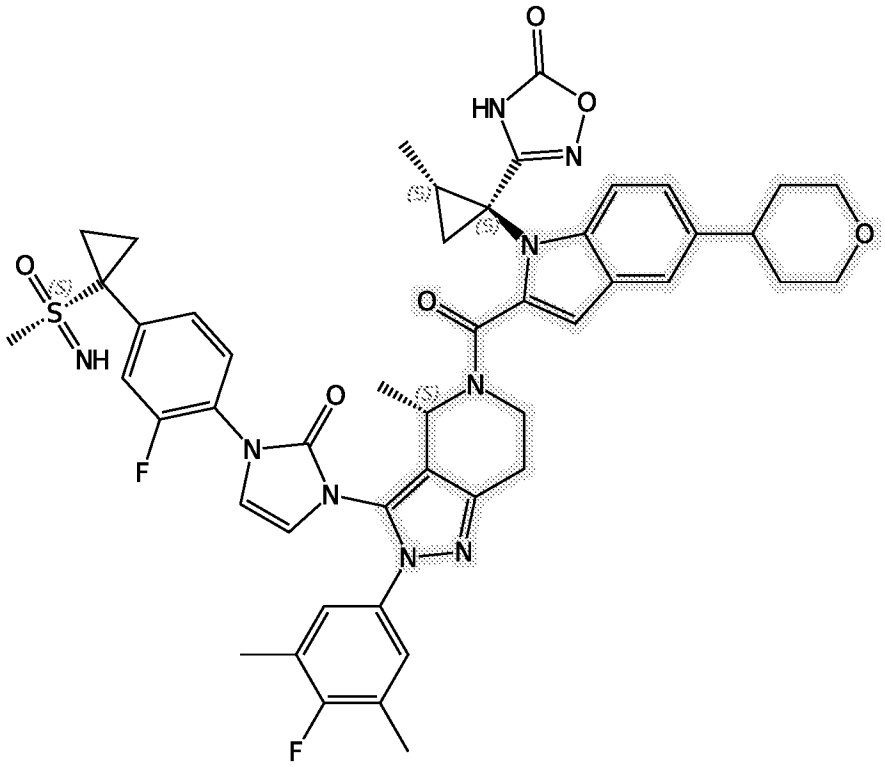
Absolute stereochemistry shown

RN 3054164-50-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



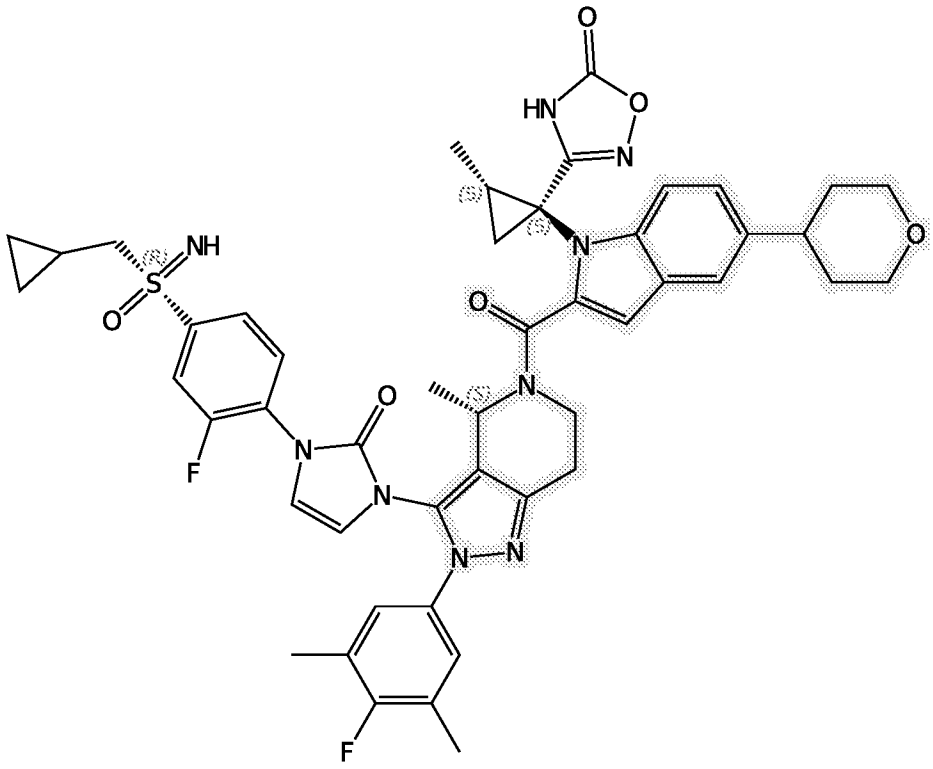
Absolute stereochemistry shown

RN 3054164-51-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



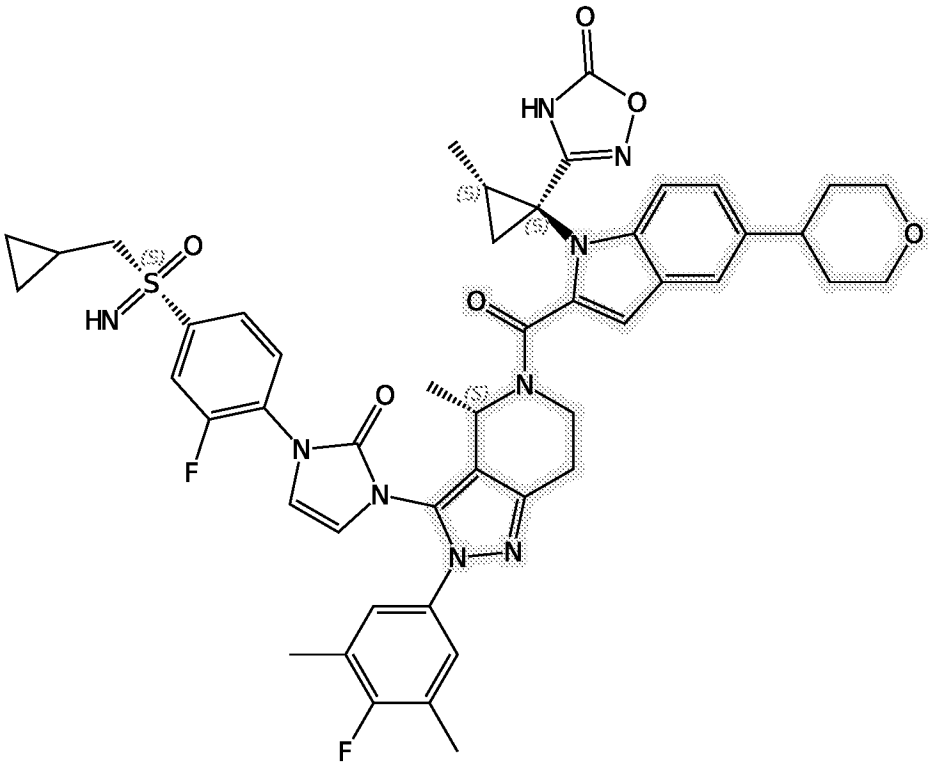
Absolute stereochemistry shown

RN 3054164-52-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



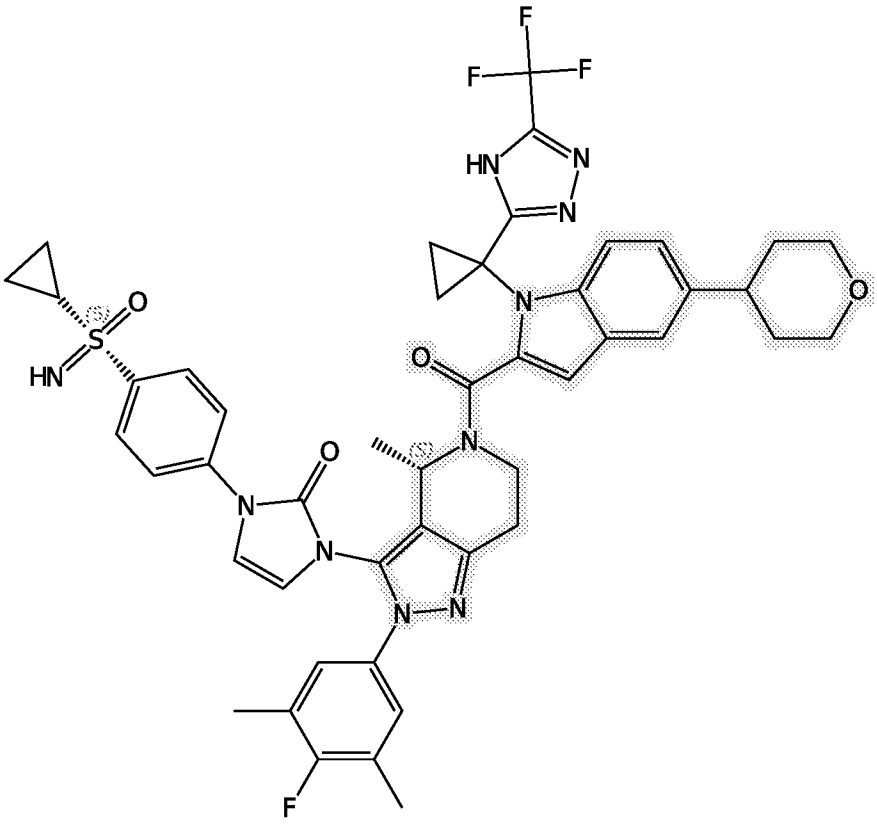
Absolute stereochemistry shown

RN 3054164-53-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



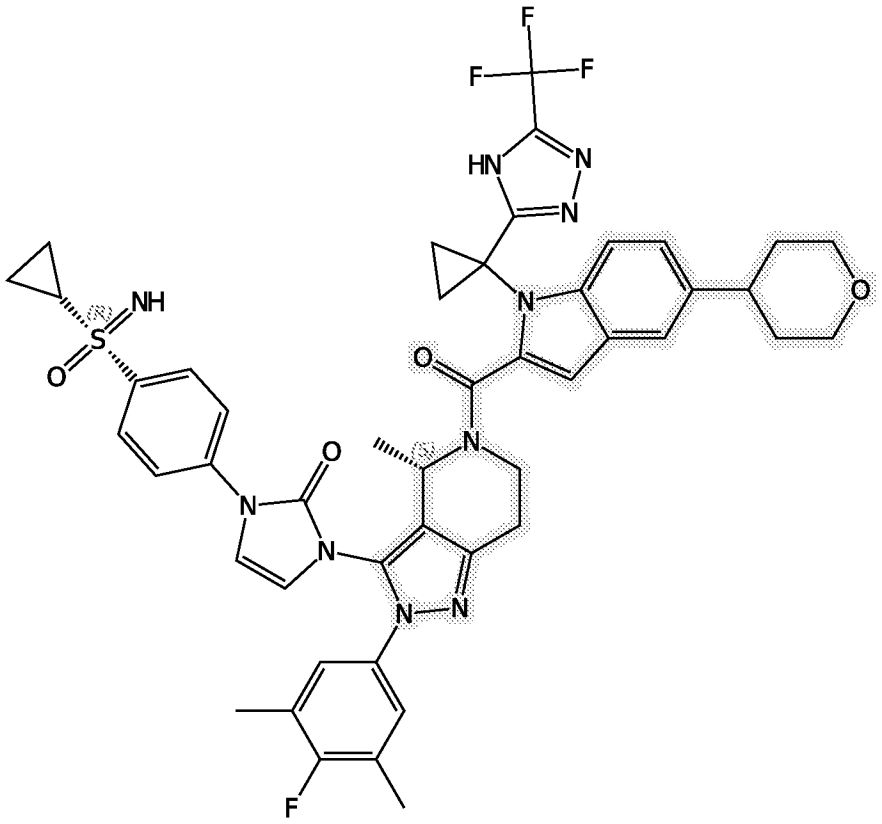
Absolute stereochemistry shown

RN 3054164-54-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



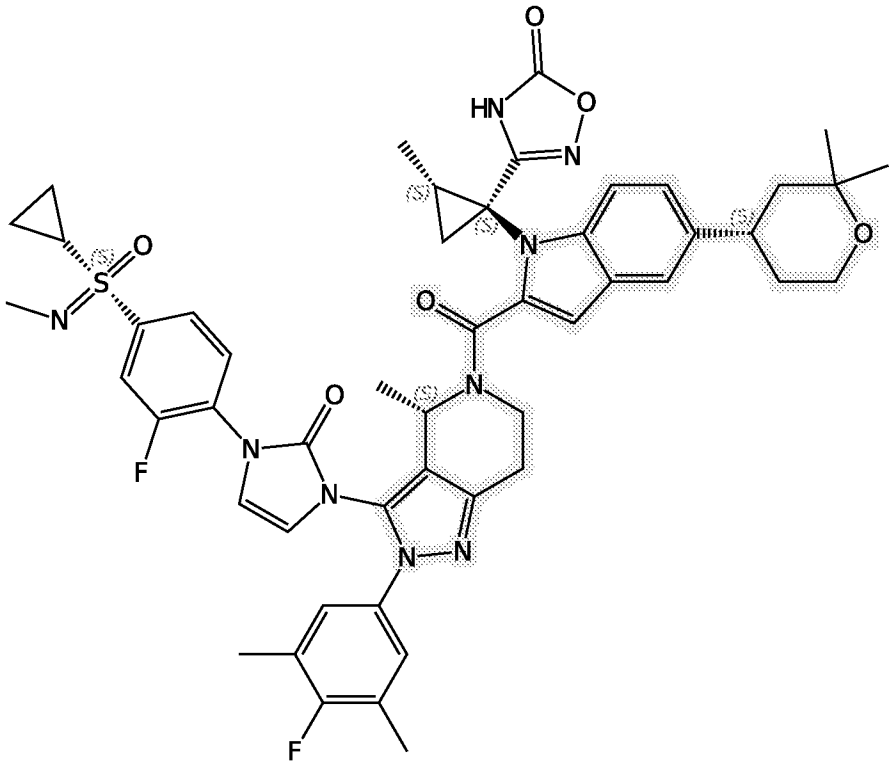
Absolute stereochemistry shown

RN 3054164-55-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



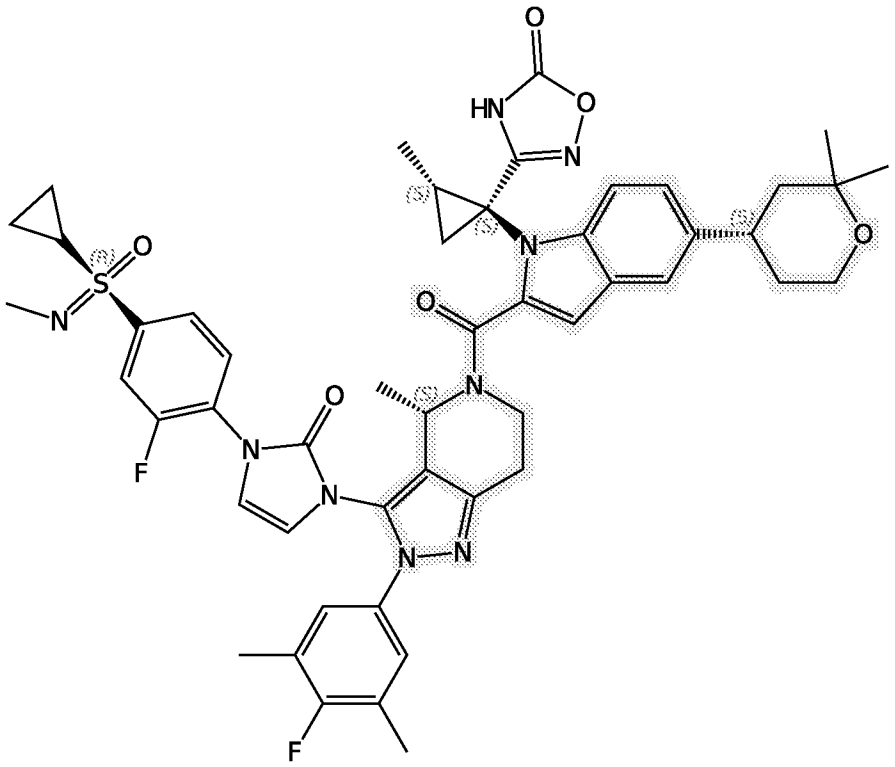
Absolute stereochemistry shown

RN 3054164-56-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



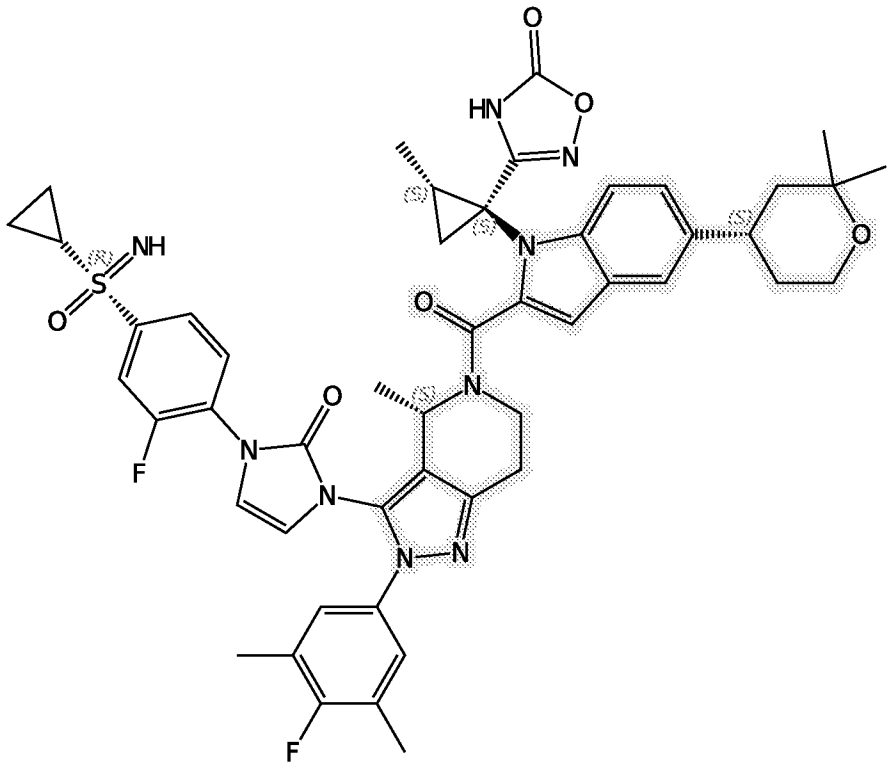
Absolute stereochemistry shown

RN 3054164-57-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



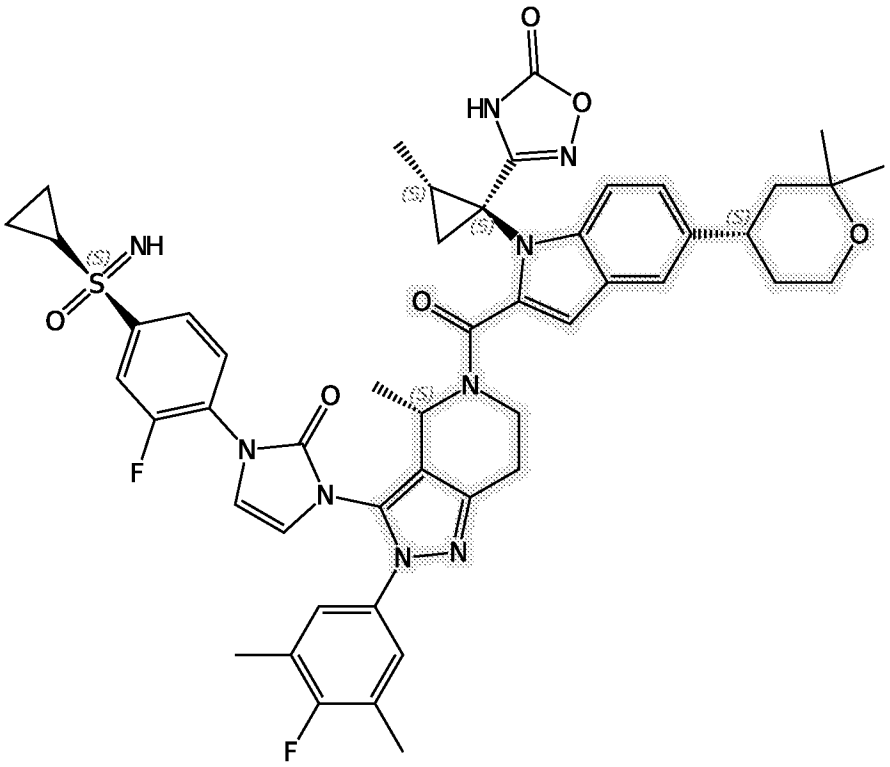
Absolute stereochemistry shown

RN 3054164-58-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



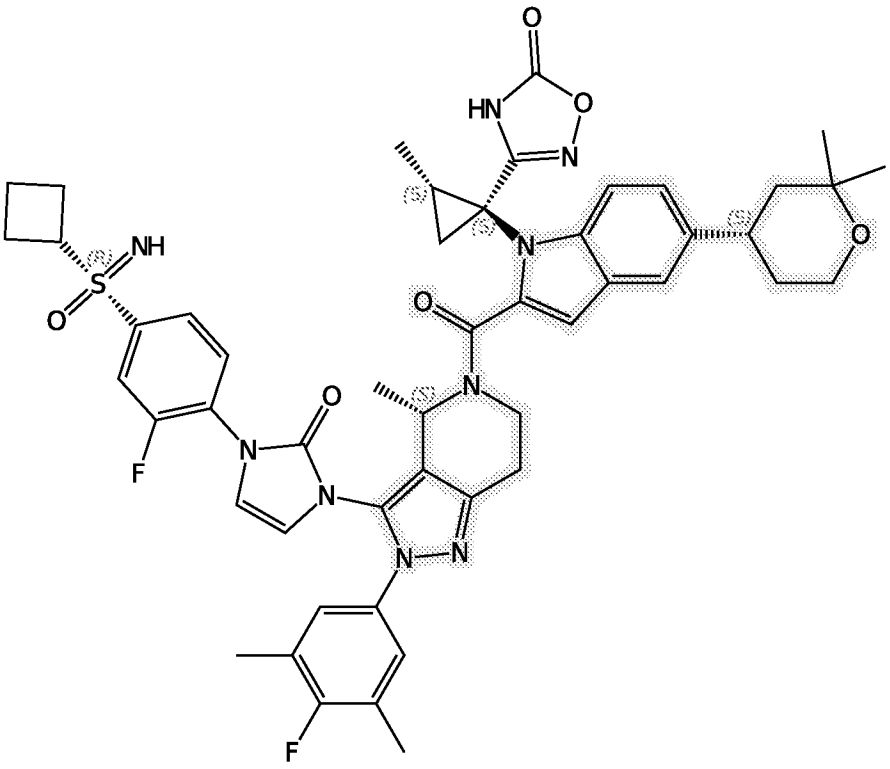
Absolute stereochemistry shown

RN 3054164-59-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



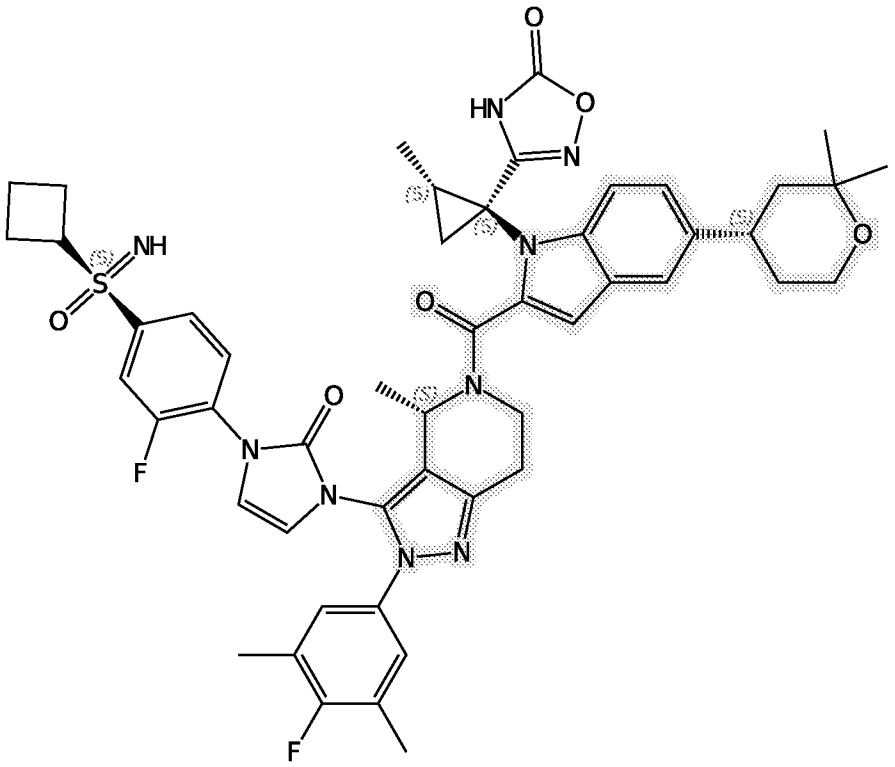
Absolute stereochemistry shown

RN 3054164-60-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



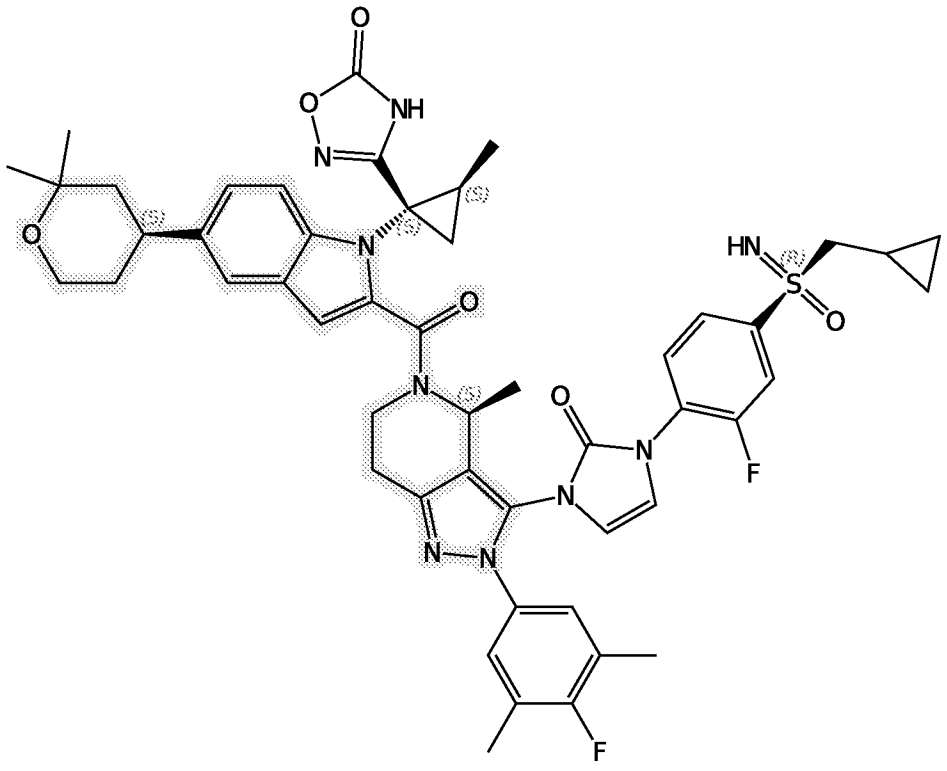
Absolute stereochemistry shown

RN 3054164-61-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



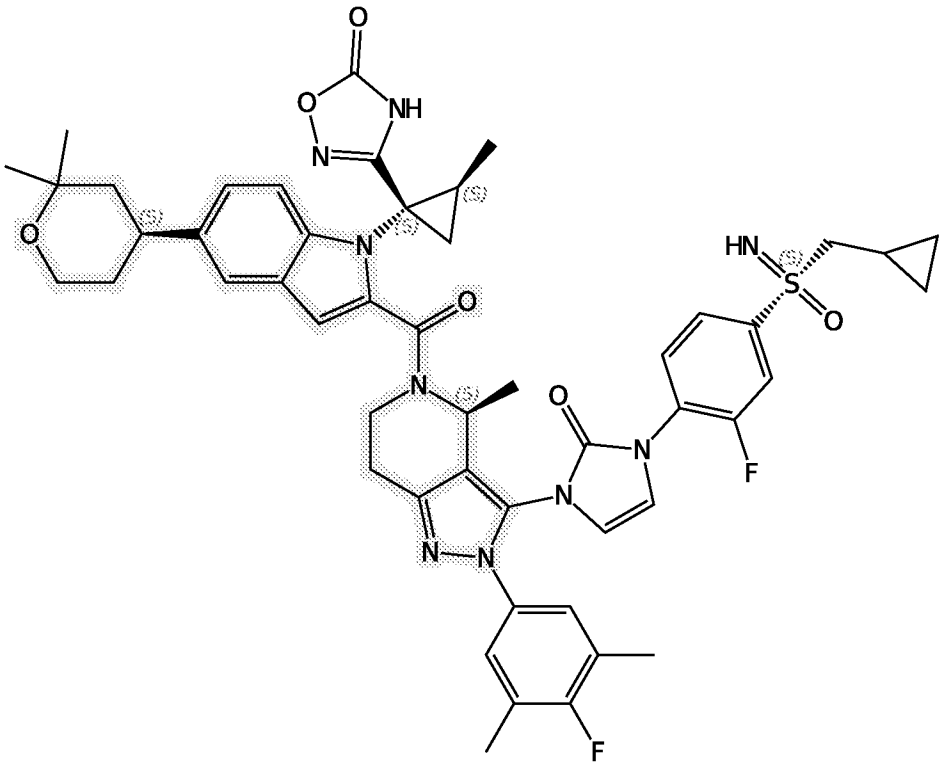
Absolute stereochemistry shown

RN 3054164-62-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



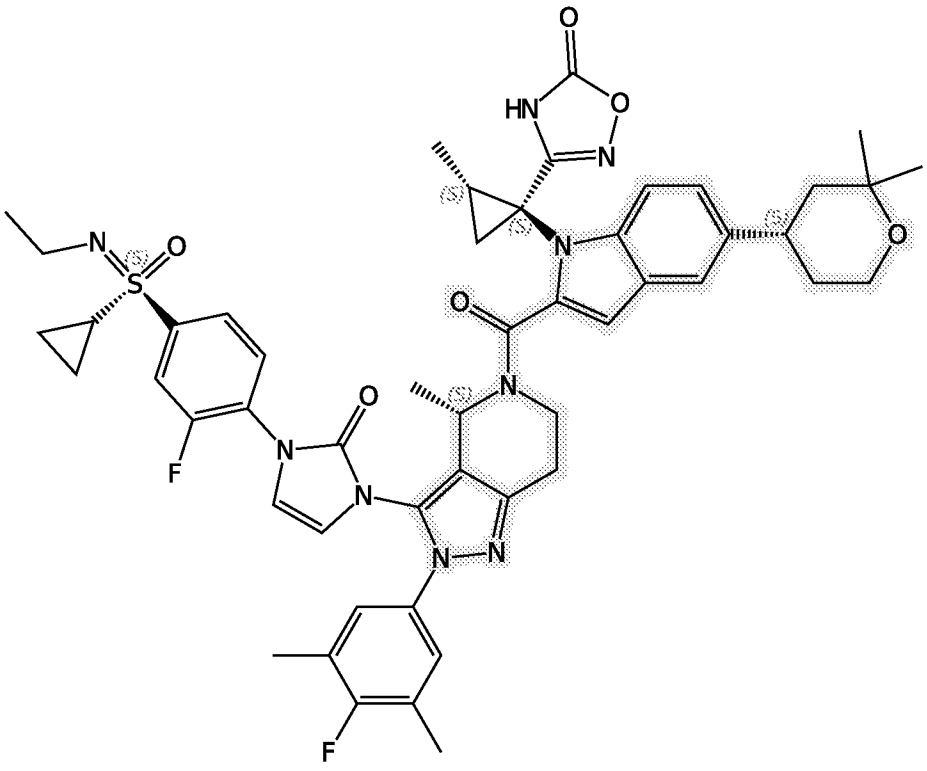
Absolute stereochemistry shown

RN 3054164-63-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



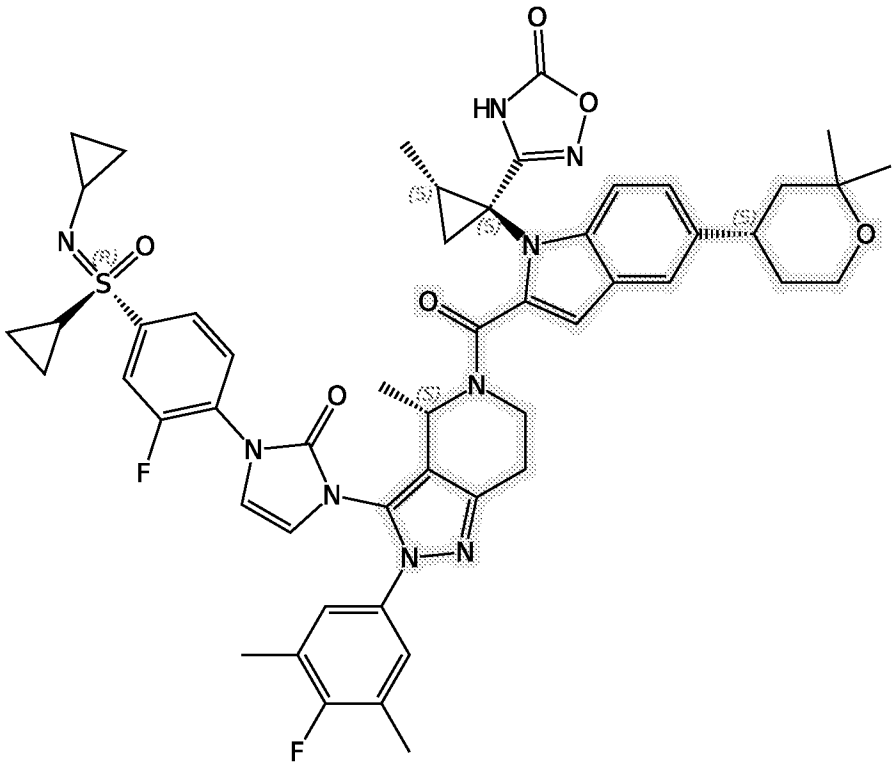
Absolute stereochemistry shown

RN 3054164-64-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



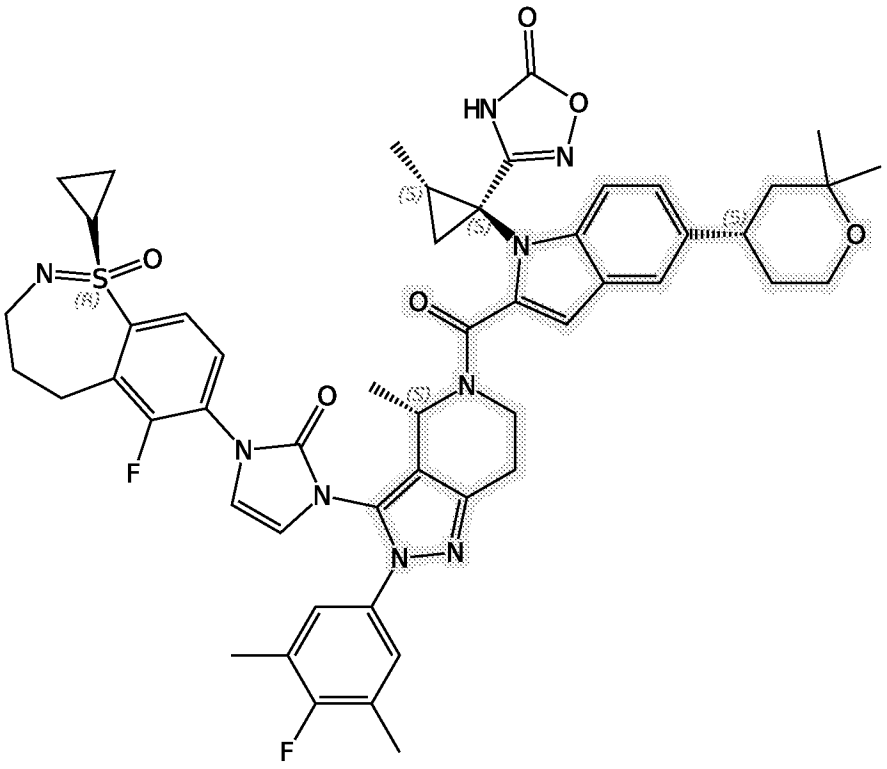
Absolute stereochemistry shown

RN 3054164-65-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



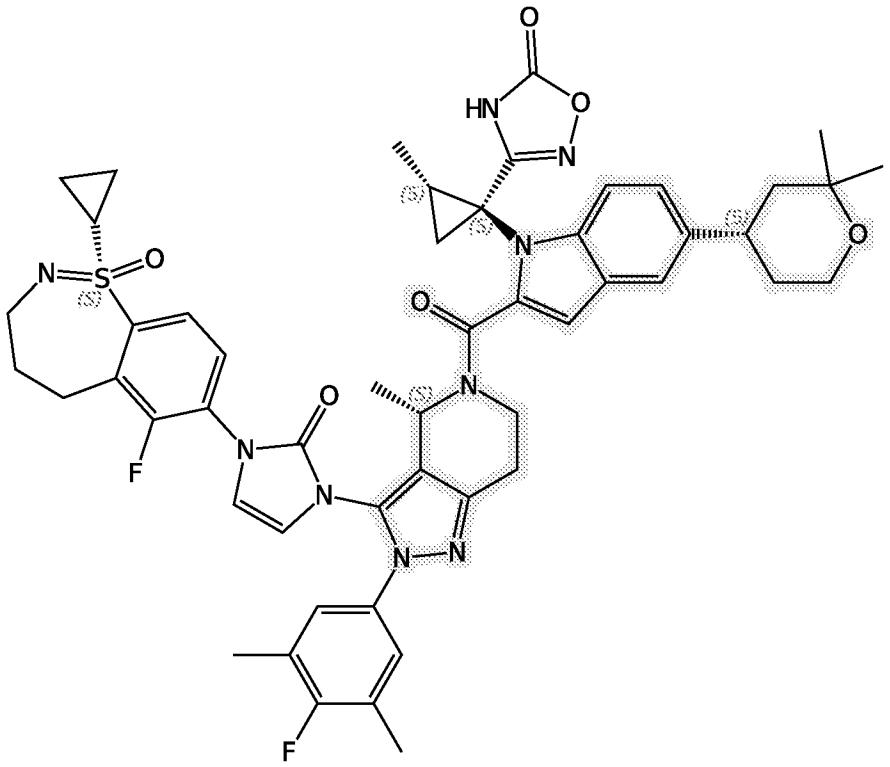
Absolute stereochemistry shown

RN 3054164-66-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



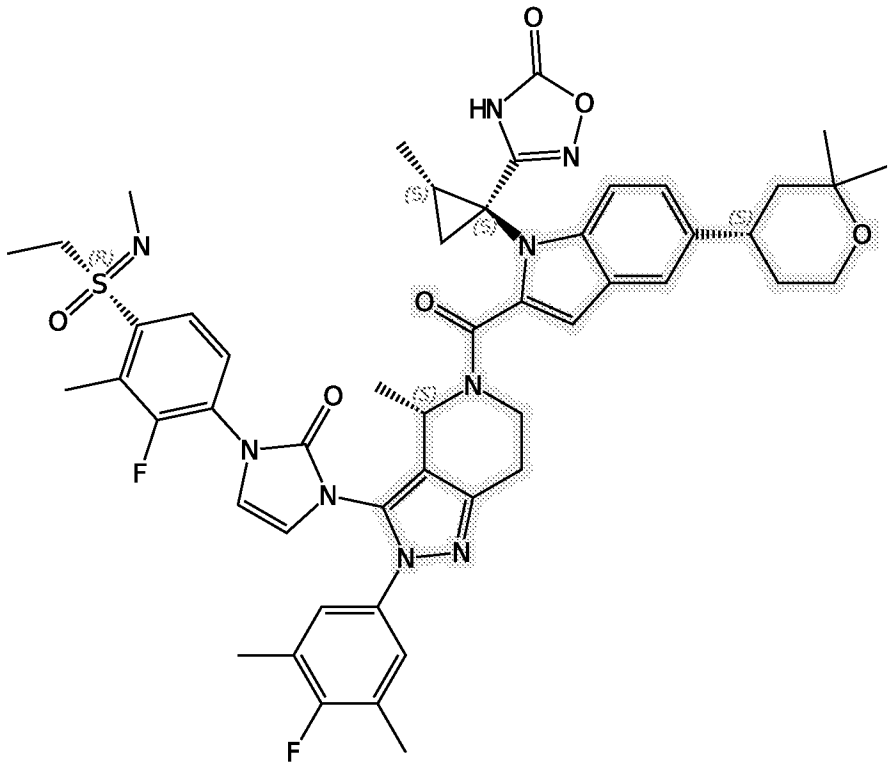
Absolute stereochemistry shown

RN 3054164-67-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



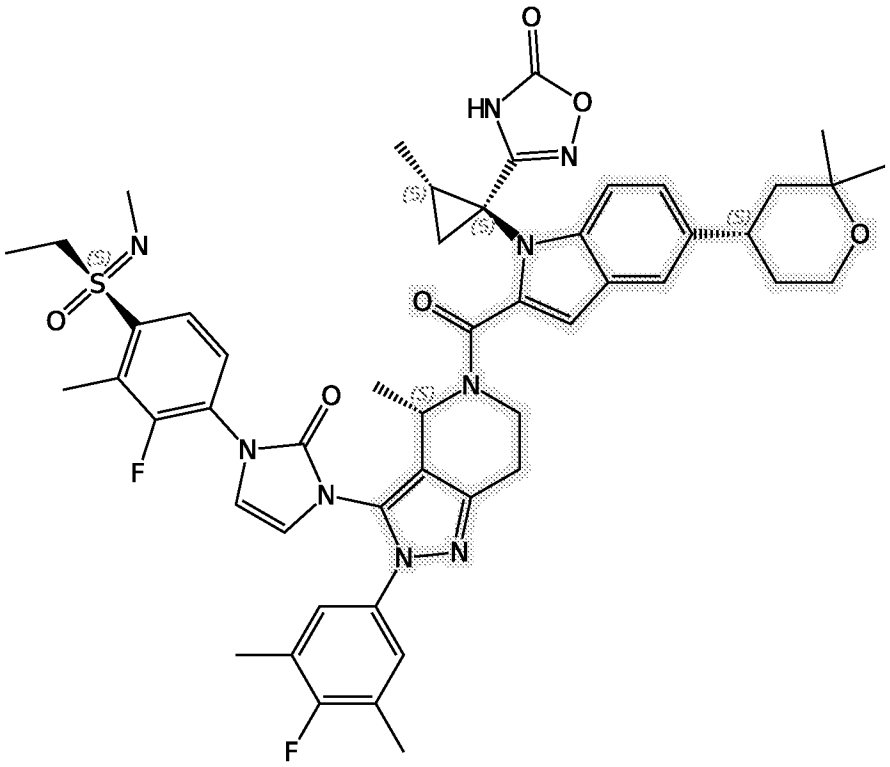
Absolute stereochemistry shown

RN 3054164-68-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



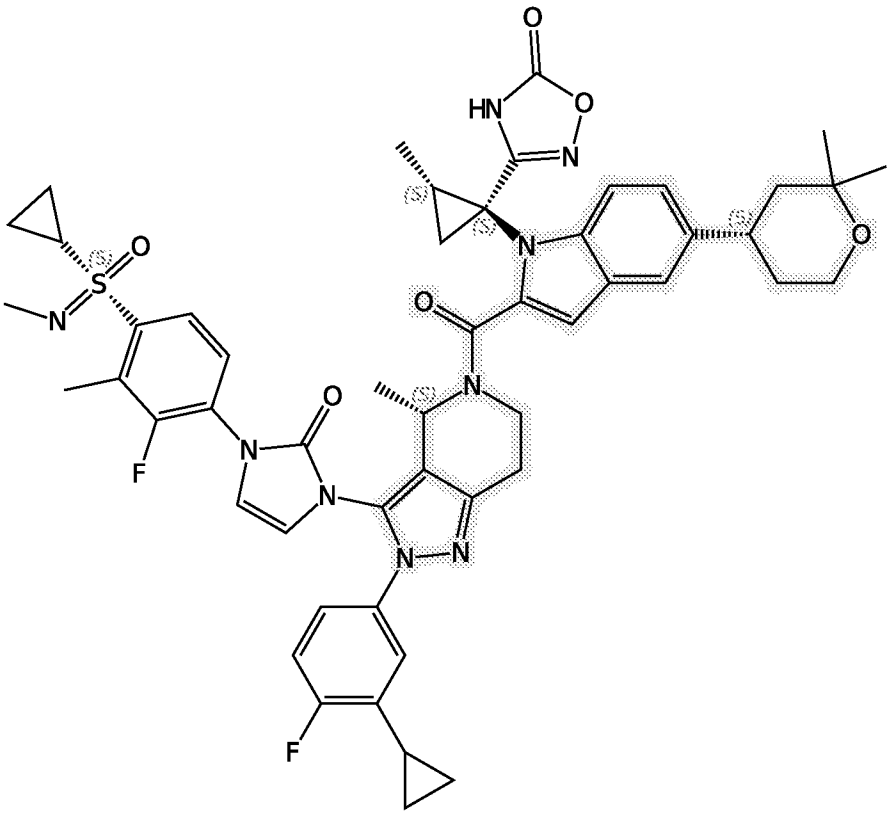
Absolute stereochemistry shown

RN 3054164-69-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



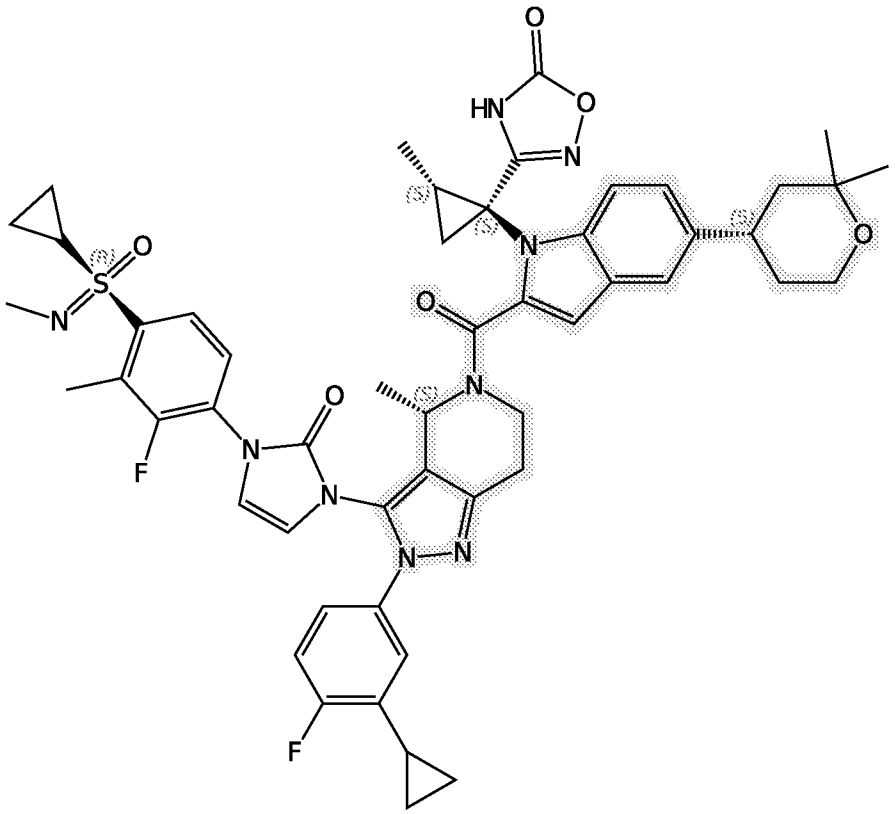
Absolute stereochemistry shown

RN 3054164-70-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



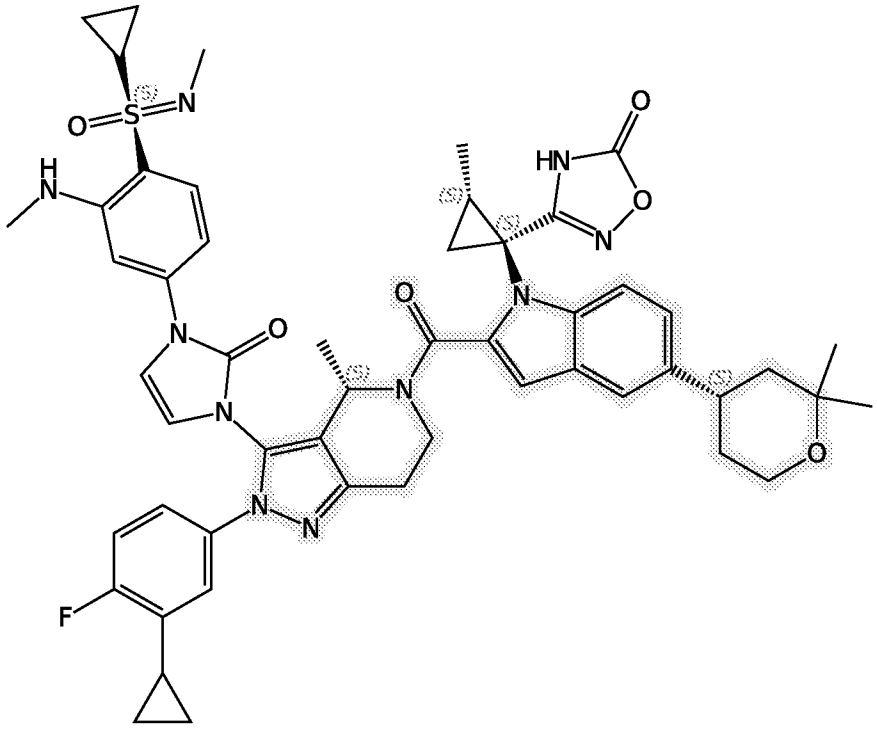
Absolute stereochemistry shown

RN 3054164-71-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



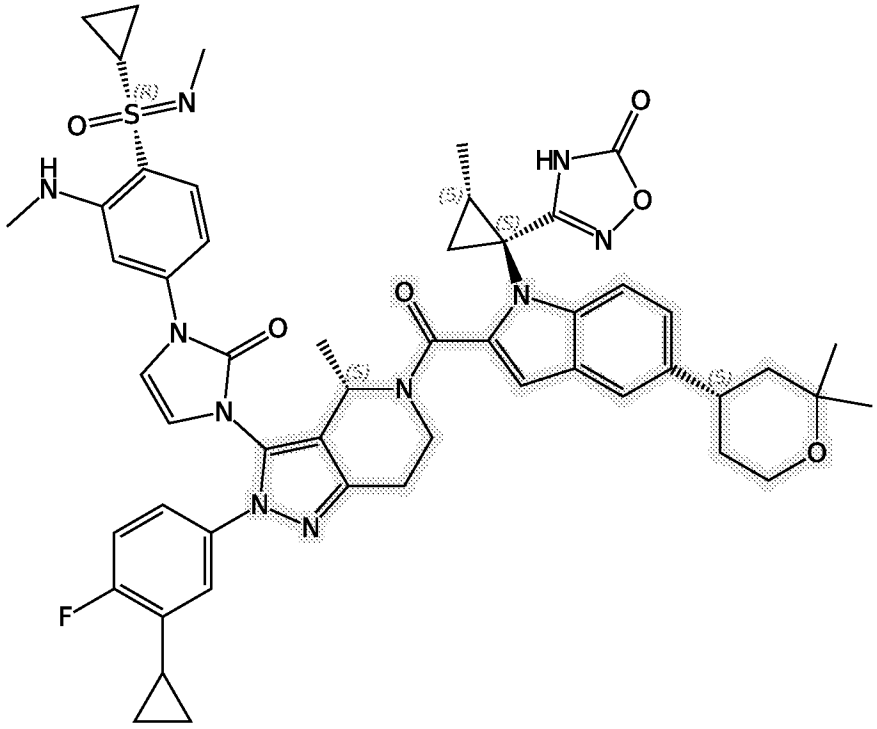
Absolute stereochemistry shown

RN 3054164-72-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



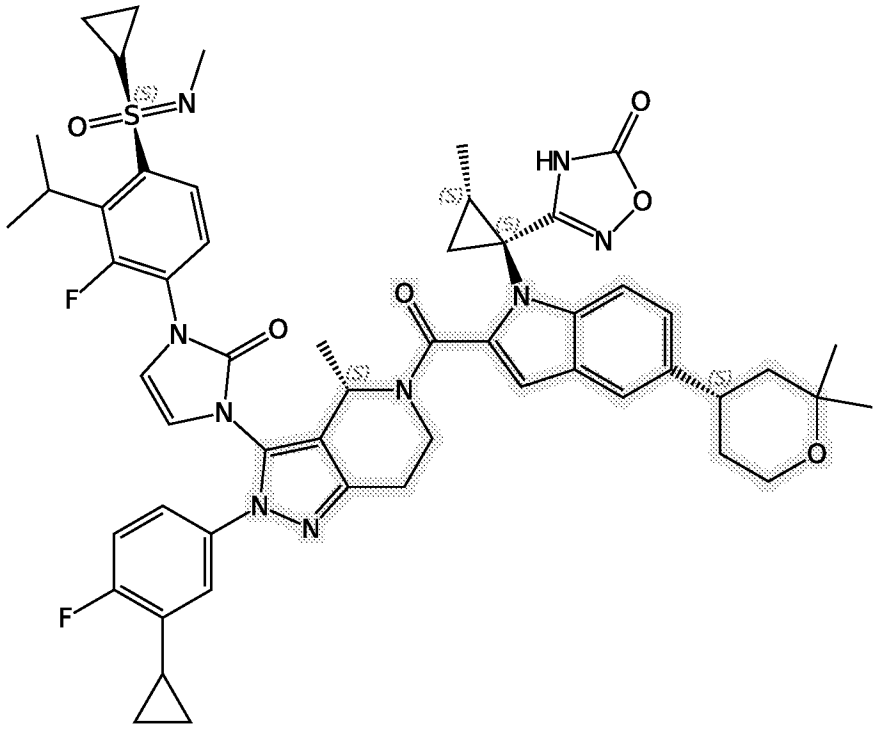
Absolute stereochemistry shown

RN 3054164-73-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



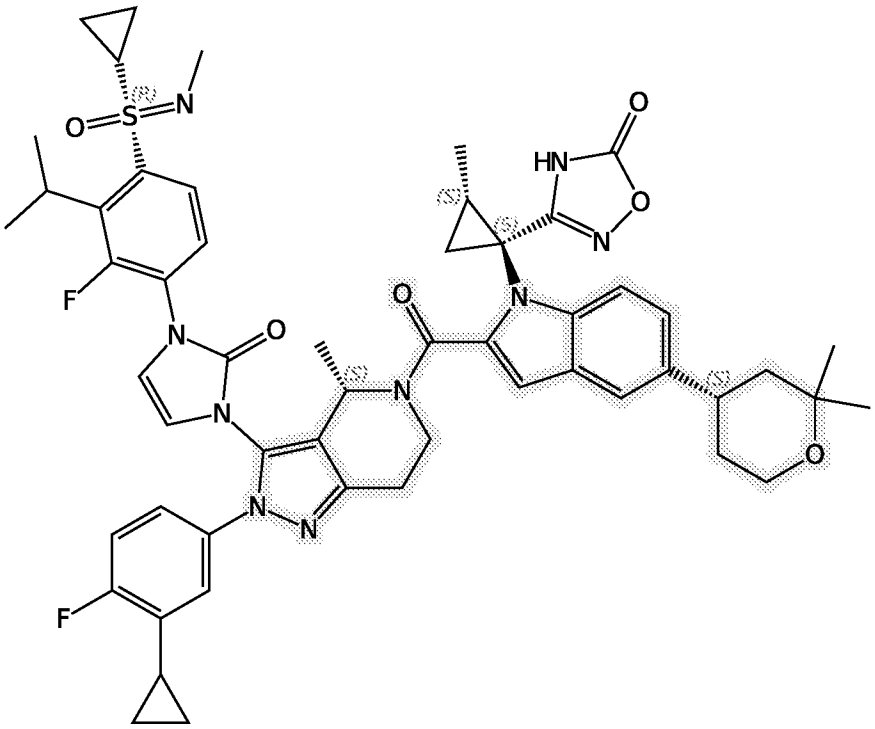
Absolute stereochemistry shown

RN 3054164-74-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



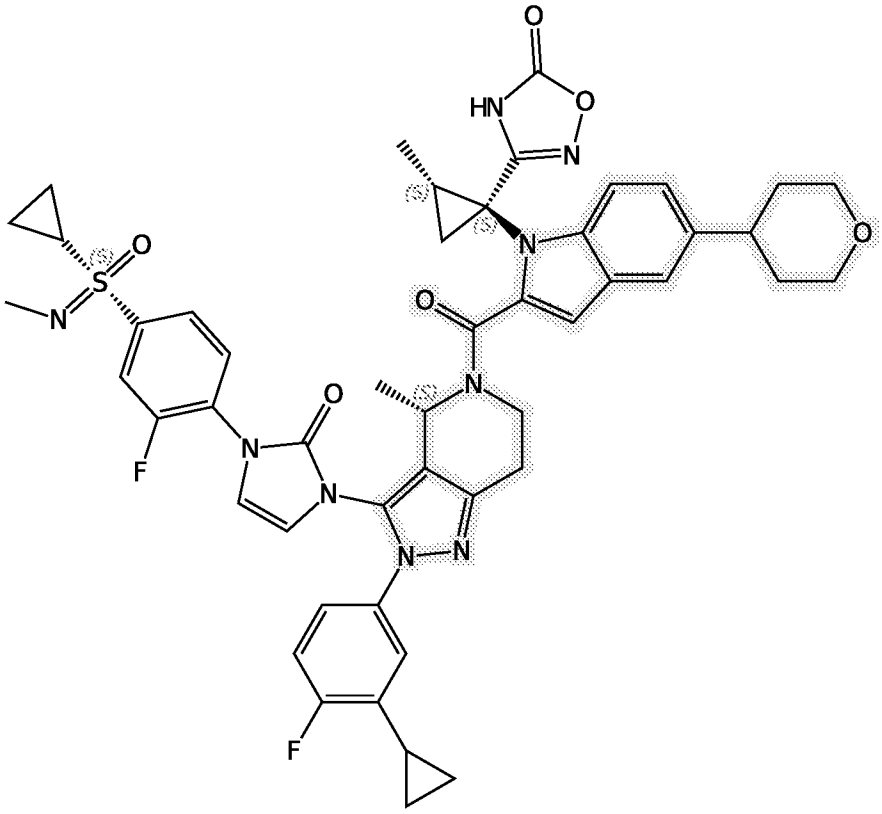
Absolute stereochemistry shown

RN 3054164-75-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



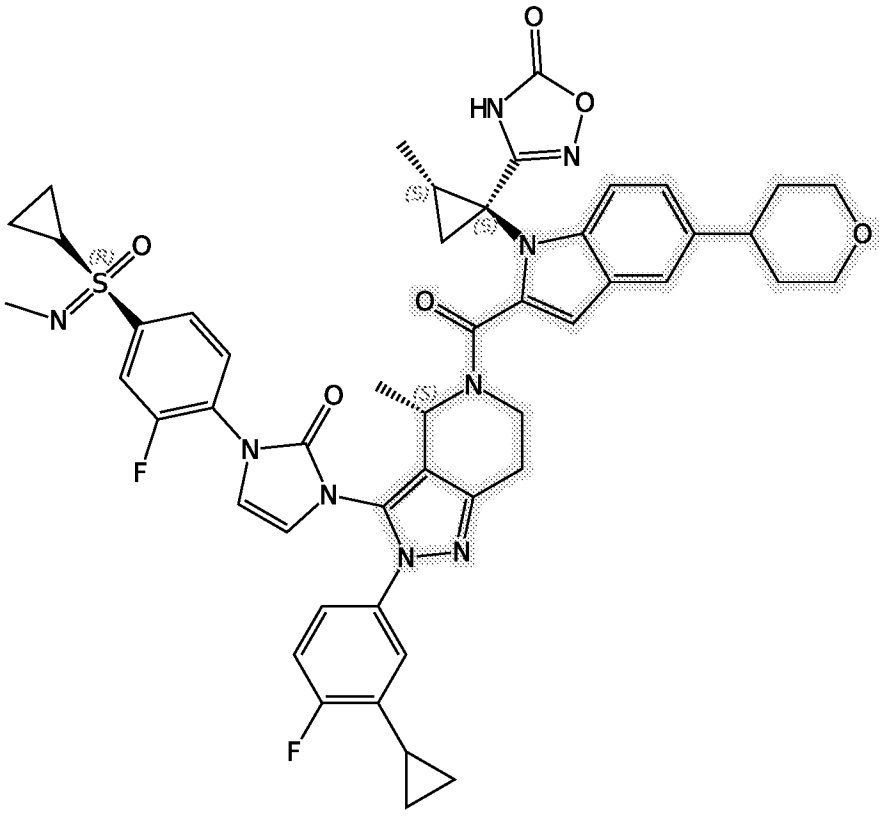
Absolute stereochemistry shown

RN 3054164-76-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



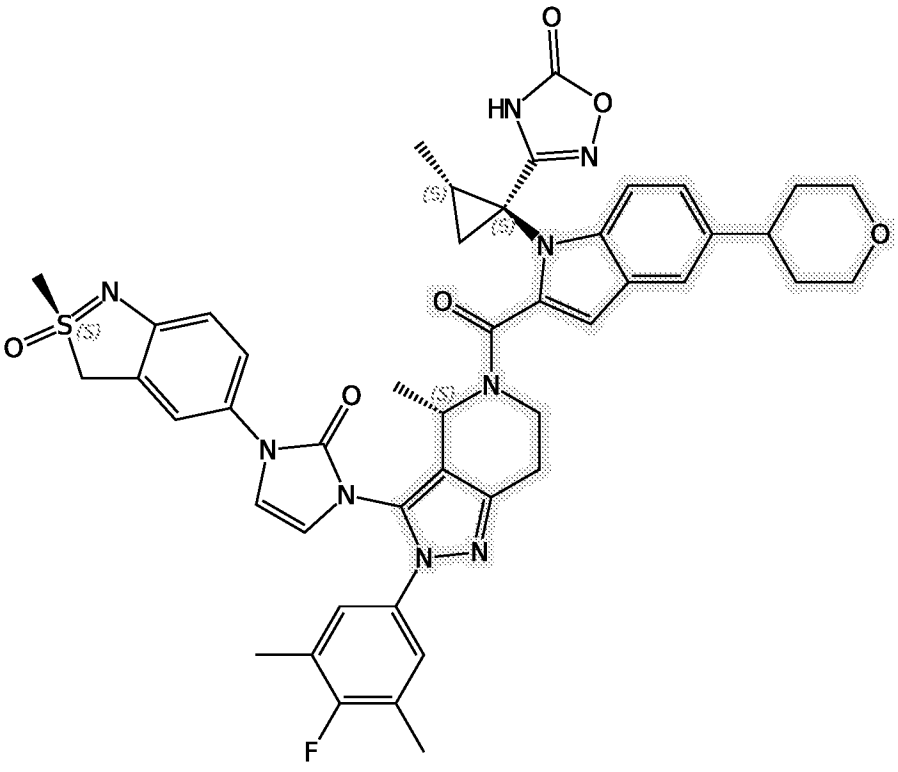
Absolute stereochemistry shown

RN 3054164-77-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



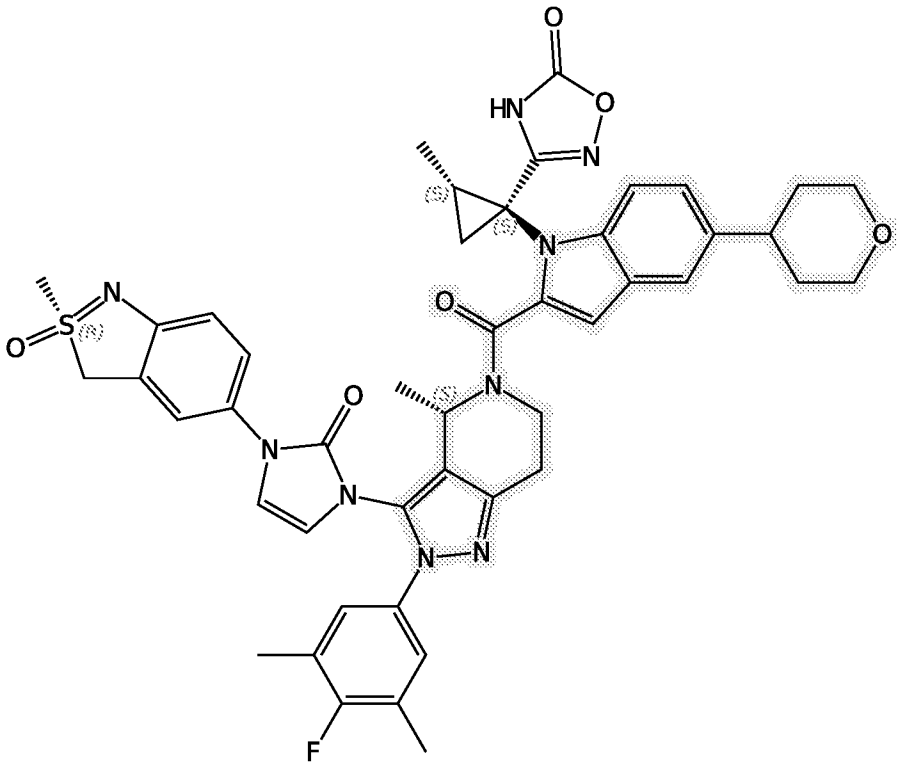
Absolute stereochemistry shown

RN 3054164-78-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



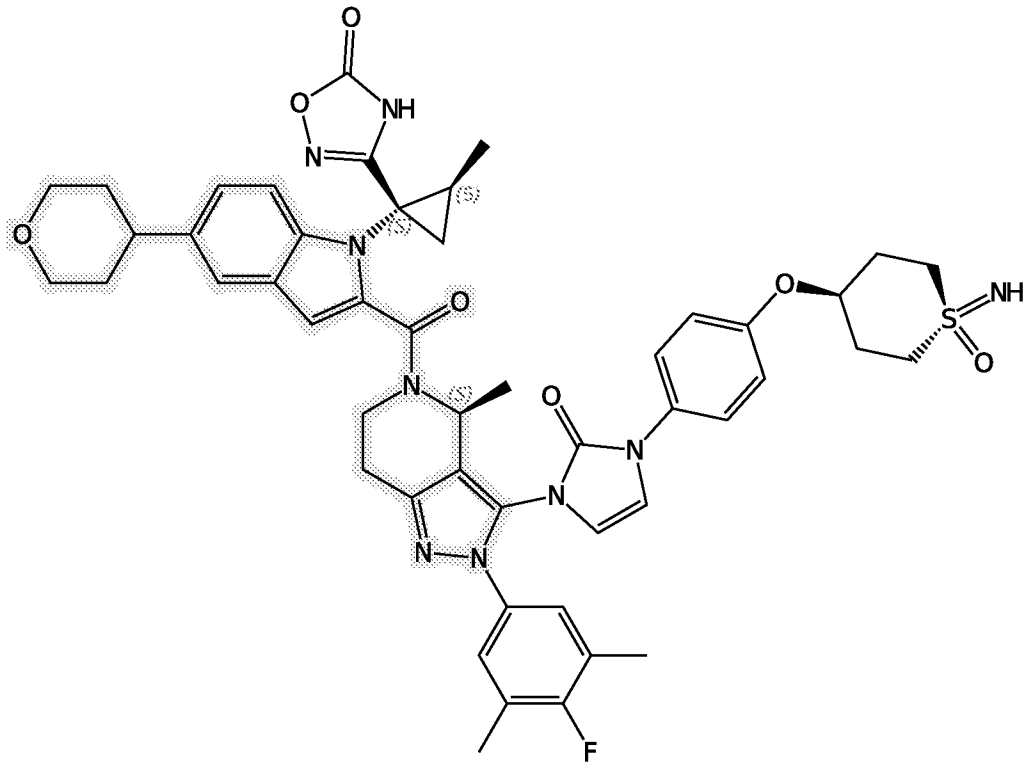
Absolute stereochemistry shown

RN 3054164-79-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



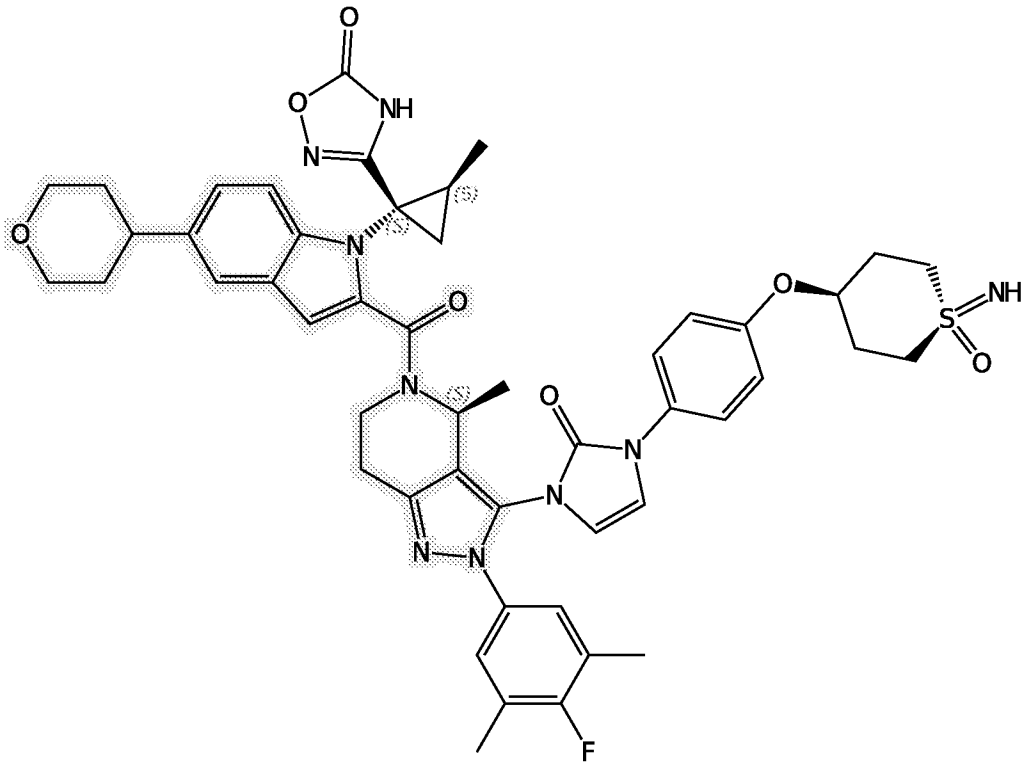
Absolute stereochemistry shown

RN 3054164-80-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



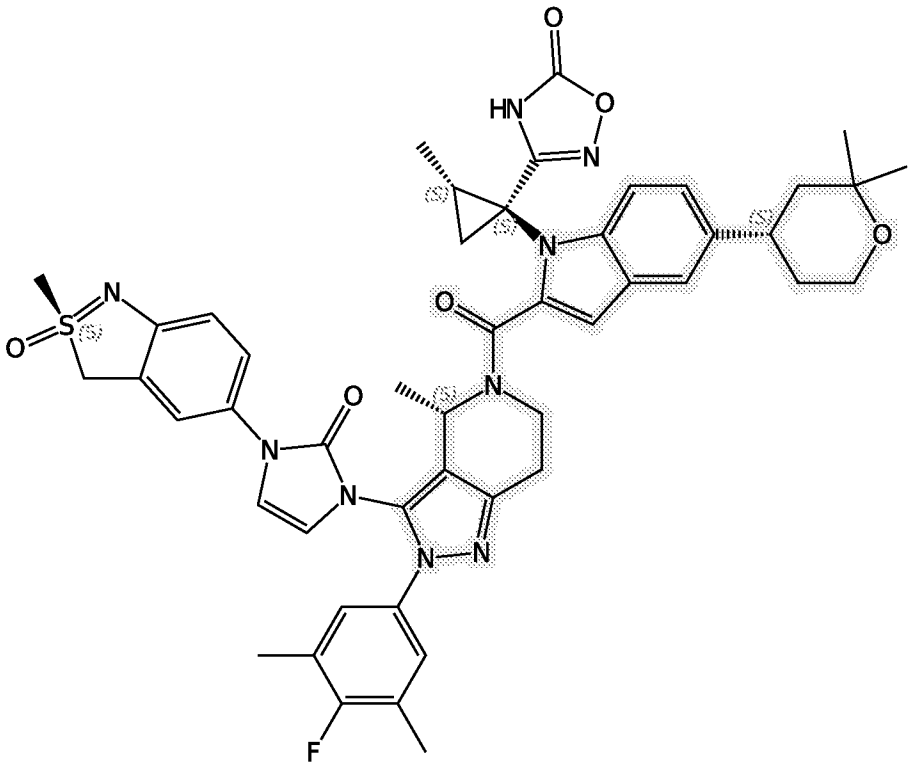
Absolute stereochemistry shown

RN 3054164-81-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



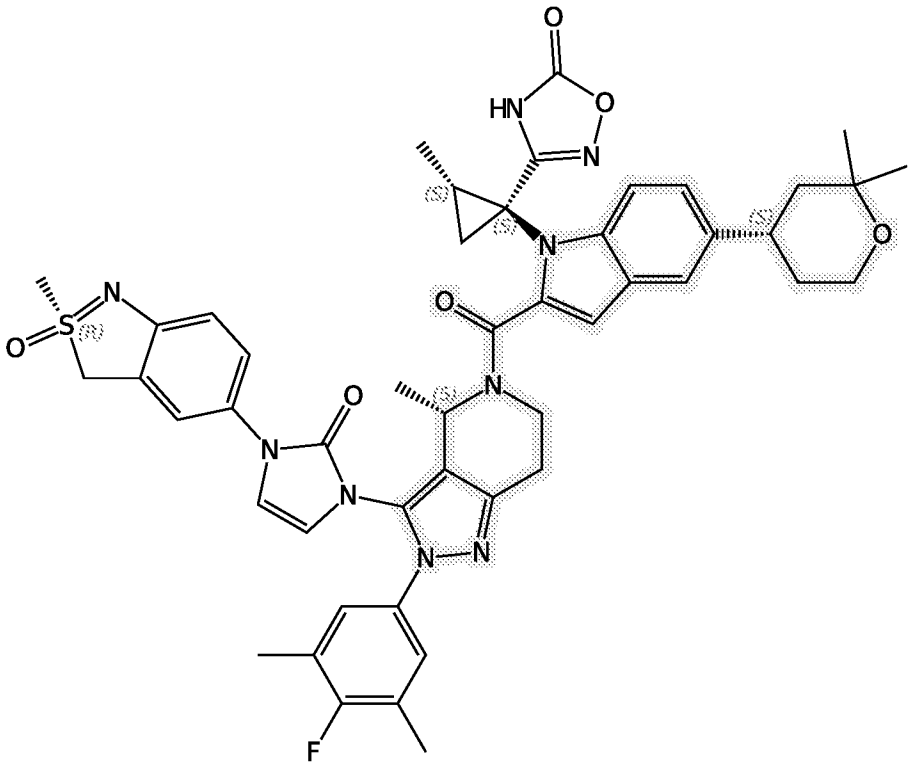
Absolute stereochemistry shown

RN 3054164-82-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



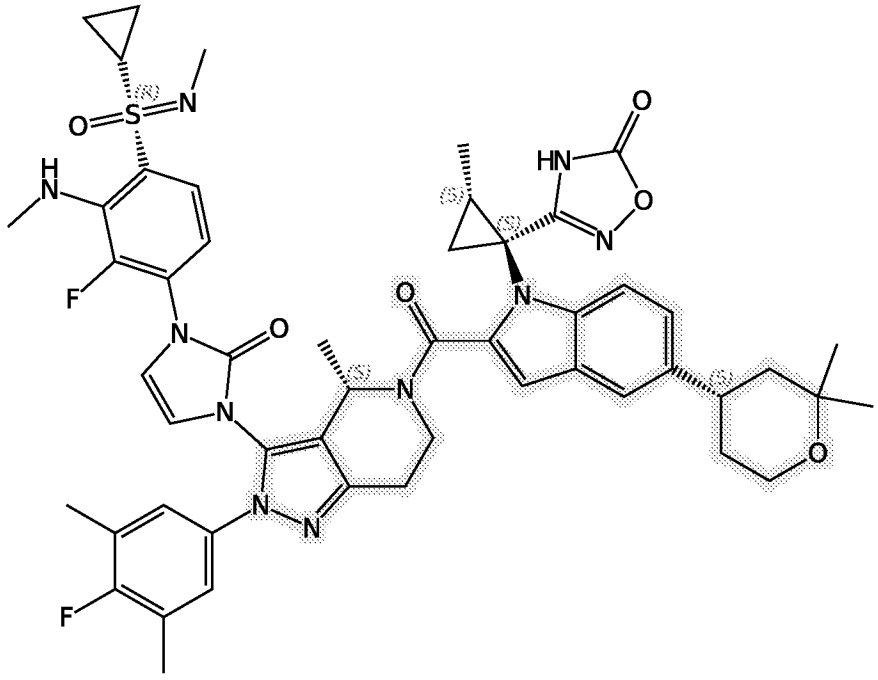
Absolute stereochemistry shown

RN 3054164-83-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



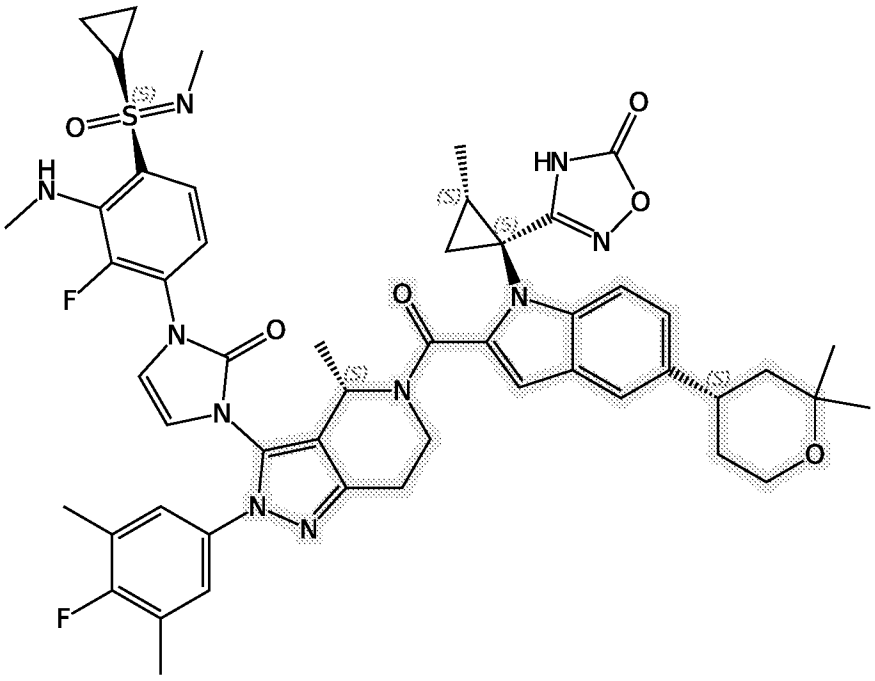
Absolute stereochemistry shown

RN 3054164-84-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



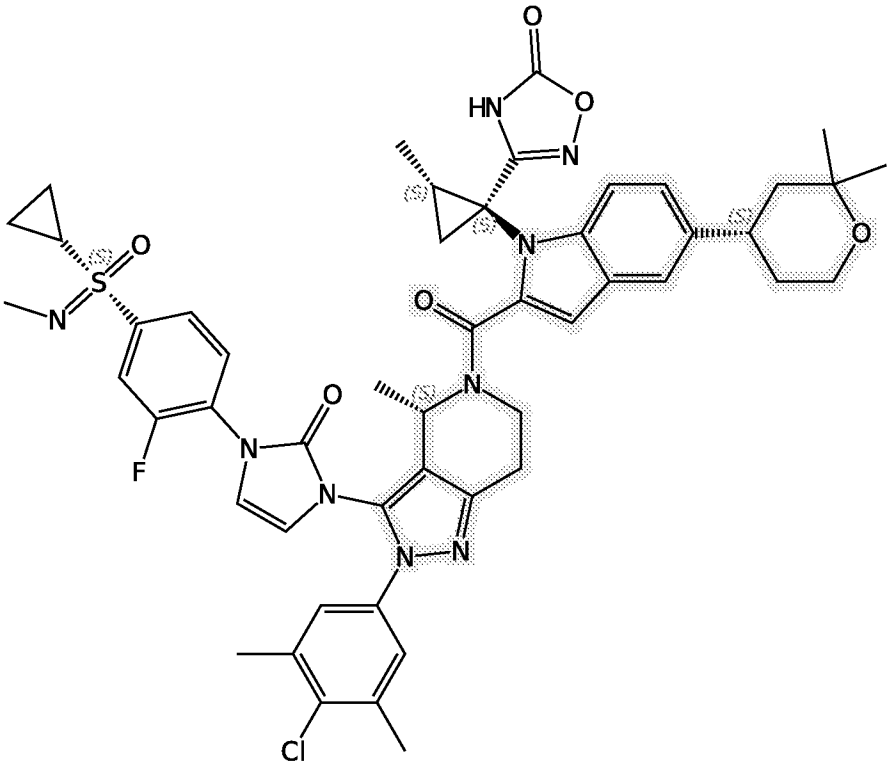
Absolute stereochemistry shown

RN 3054164-85-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



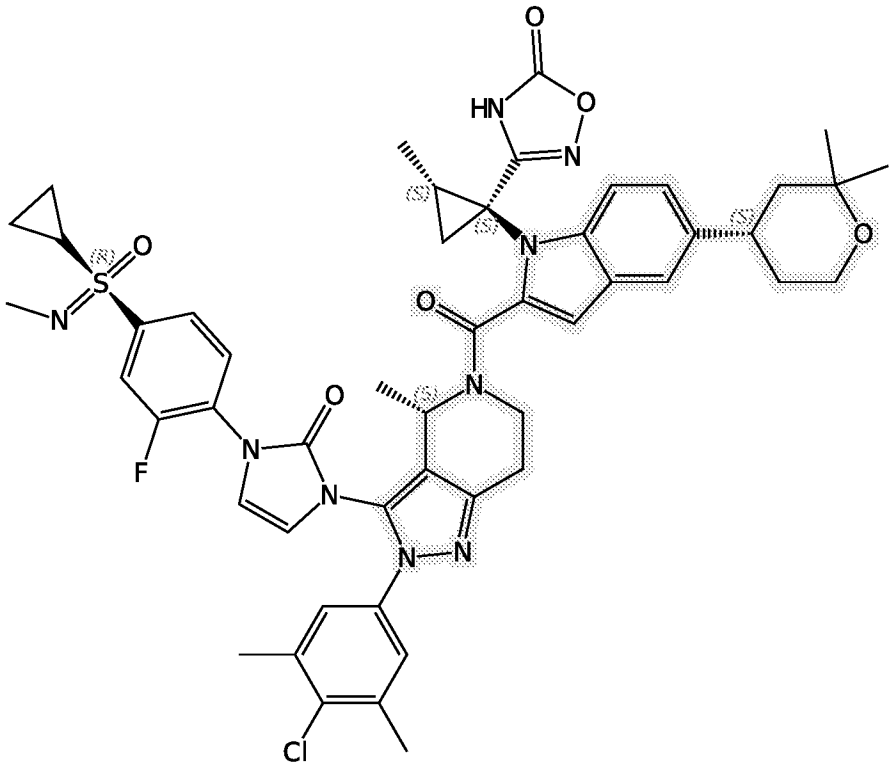
Absolute stereochemistry shown

RN 3054169-32-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



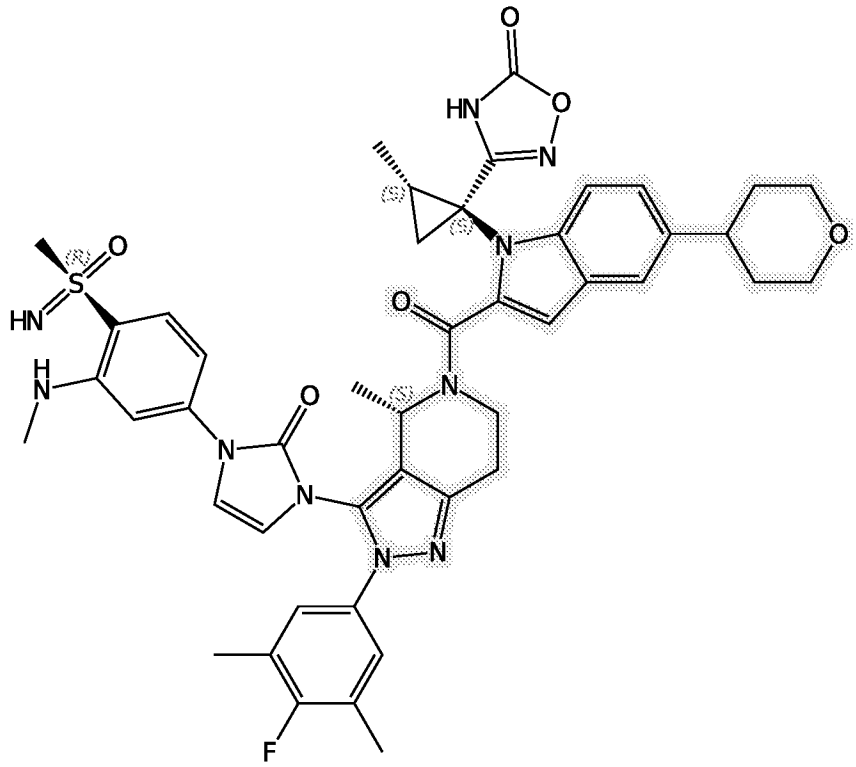
Absolute stereochemistry shown

RN 3054169-33-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



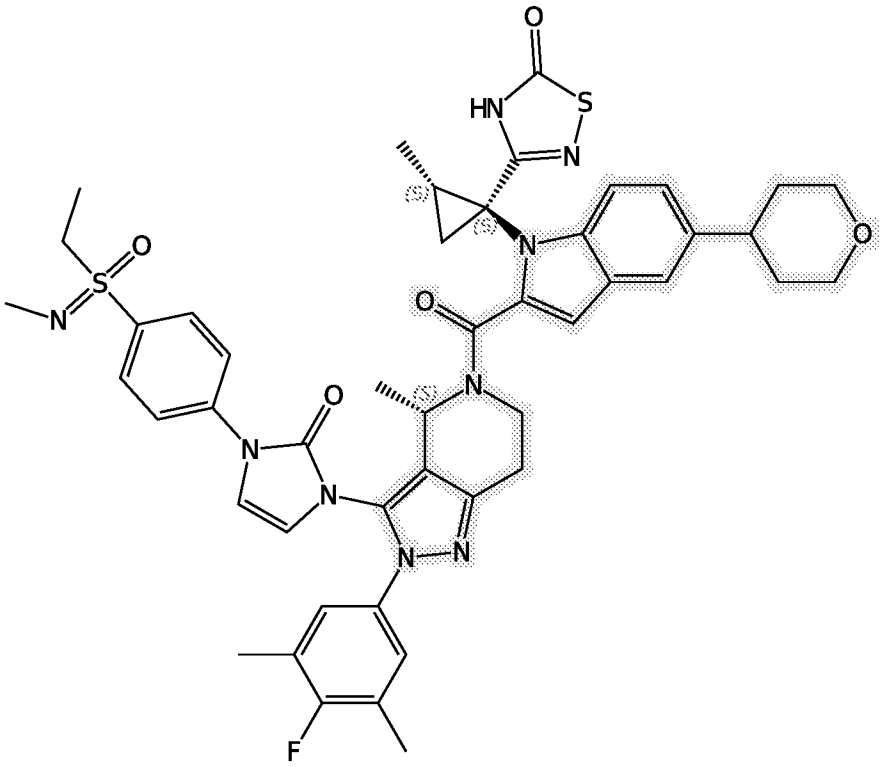
Absolute stereochemistry shown

RN 3054169-34-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



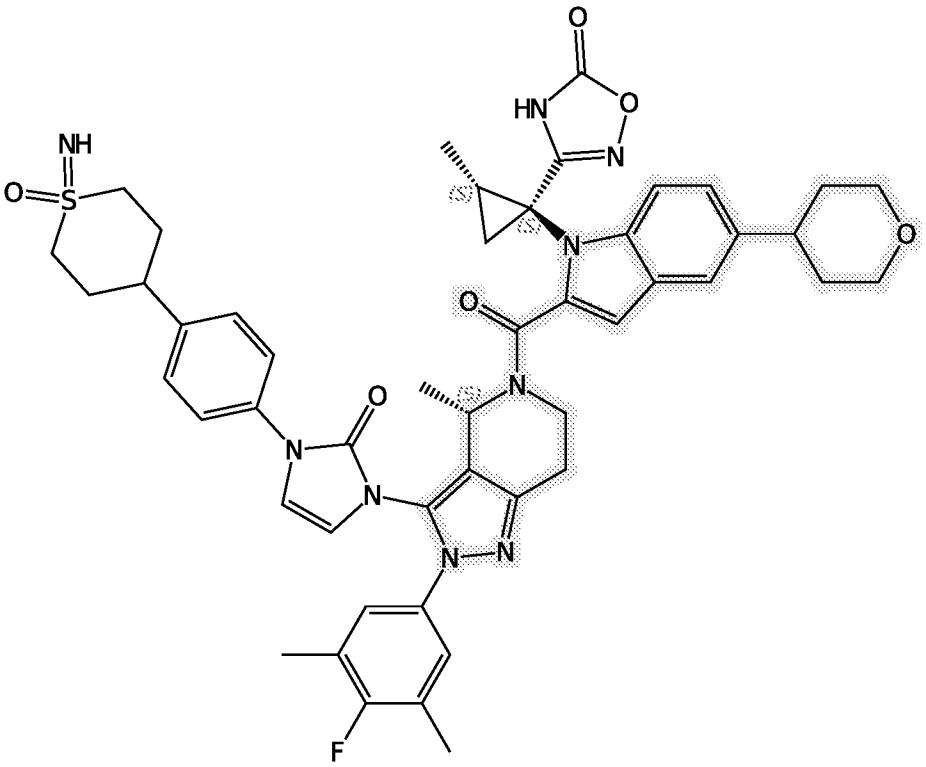
Absolute stereochemistry shown

RN 3054169-35-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



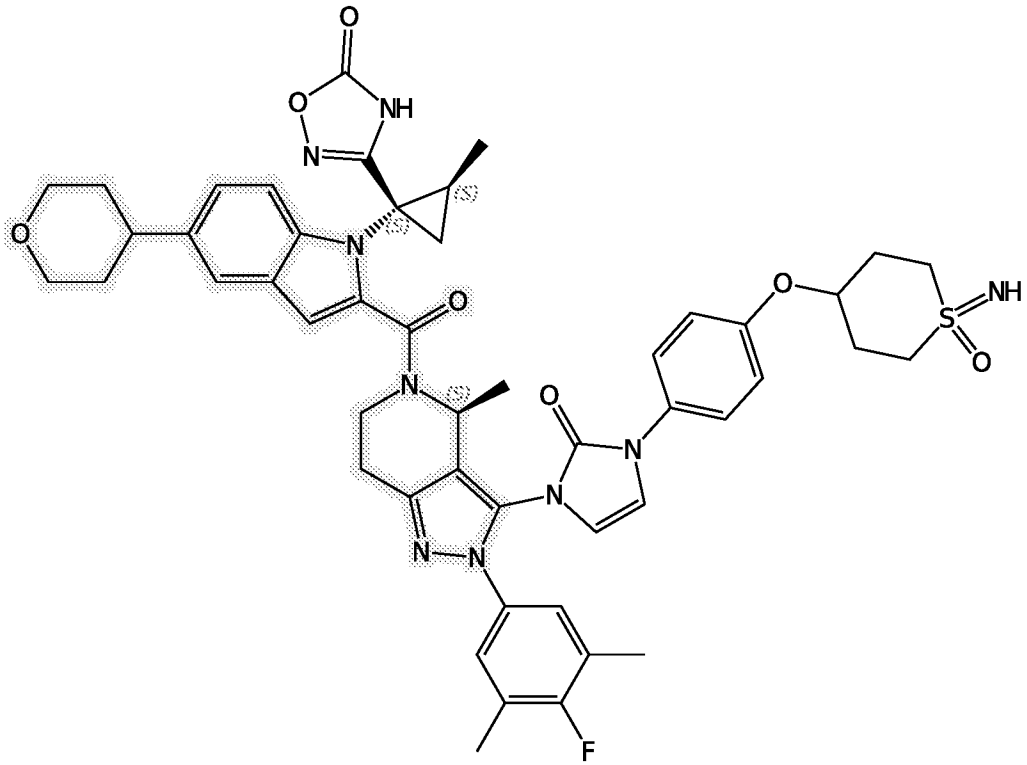

Absolute stereochemistry shown

RN 3054400-25-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



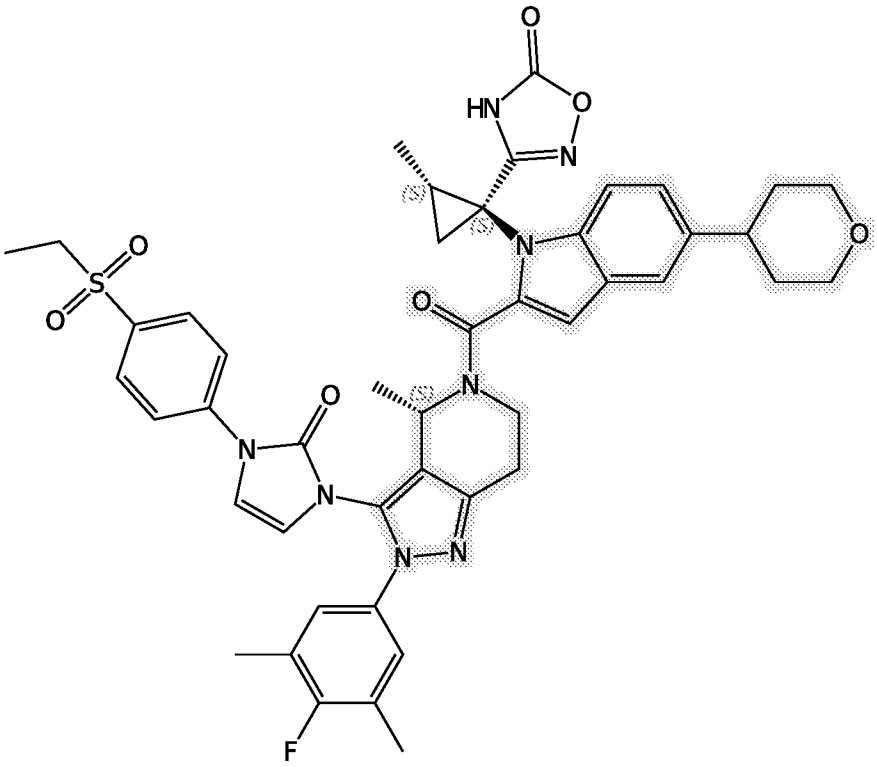

Absolute stereochemistry shown

RN 3054400-26-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



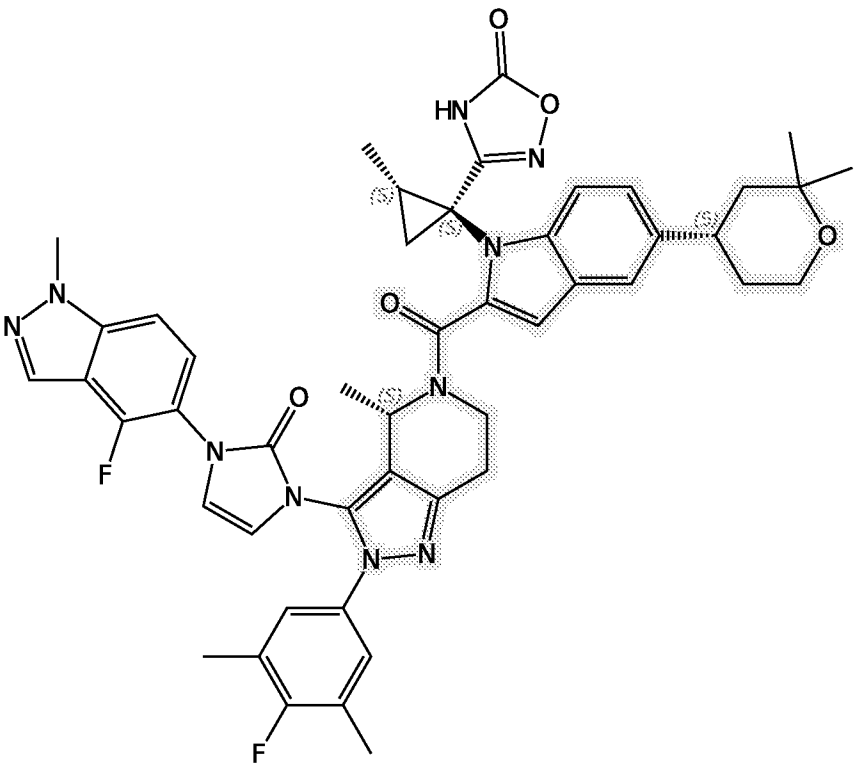
Absolute stereochemistry shown

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)



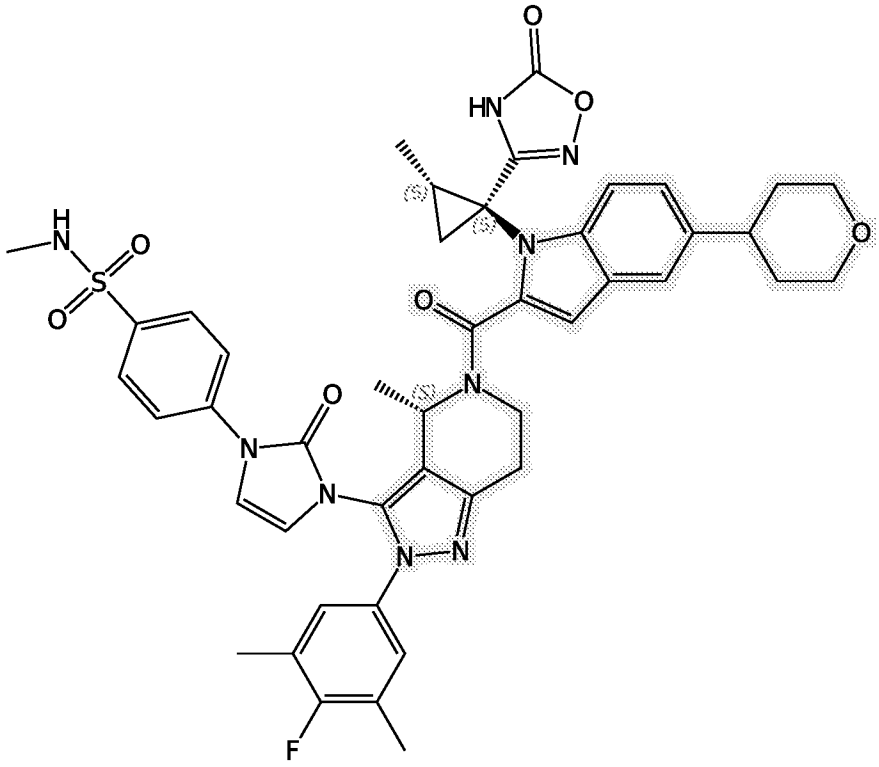
Absolute stereochemistry shown

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)



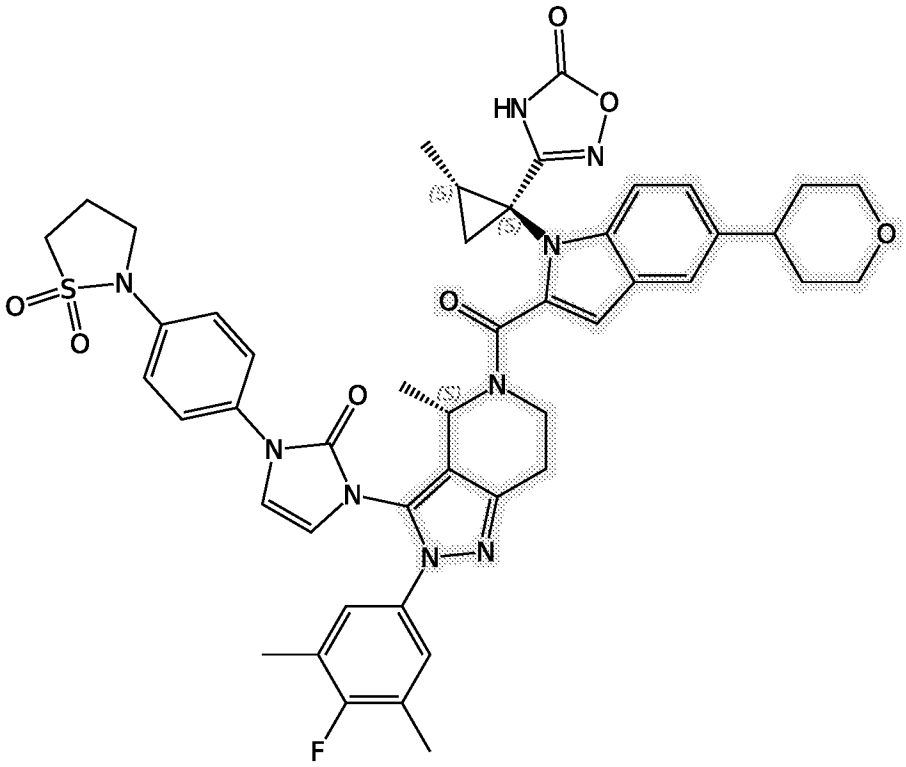
Absolute stereochemistry shown

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)



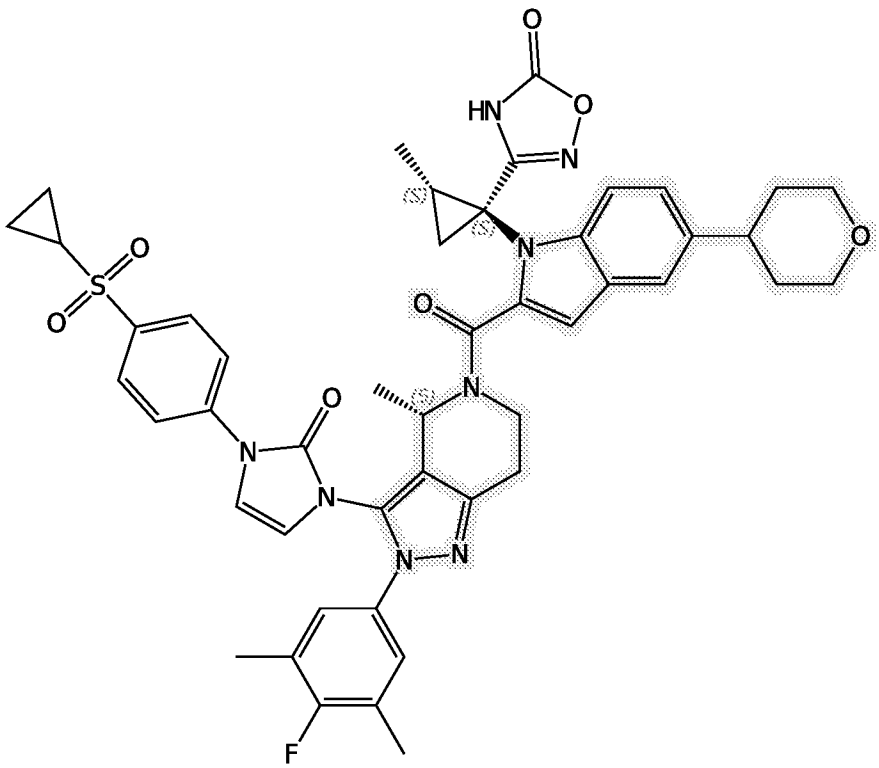
Absolute stereochemistry shown

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)



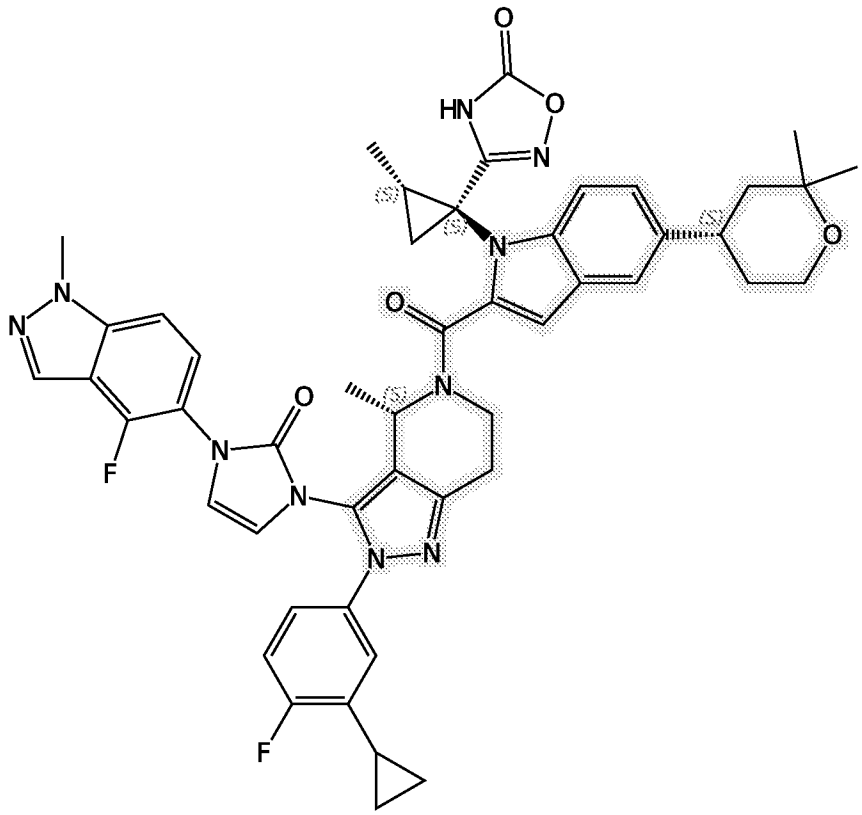
Absolute stereochemistry shown

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:

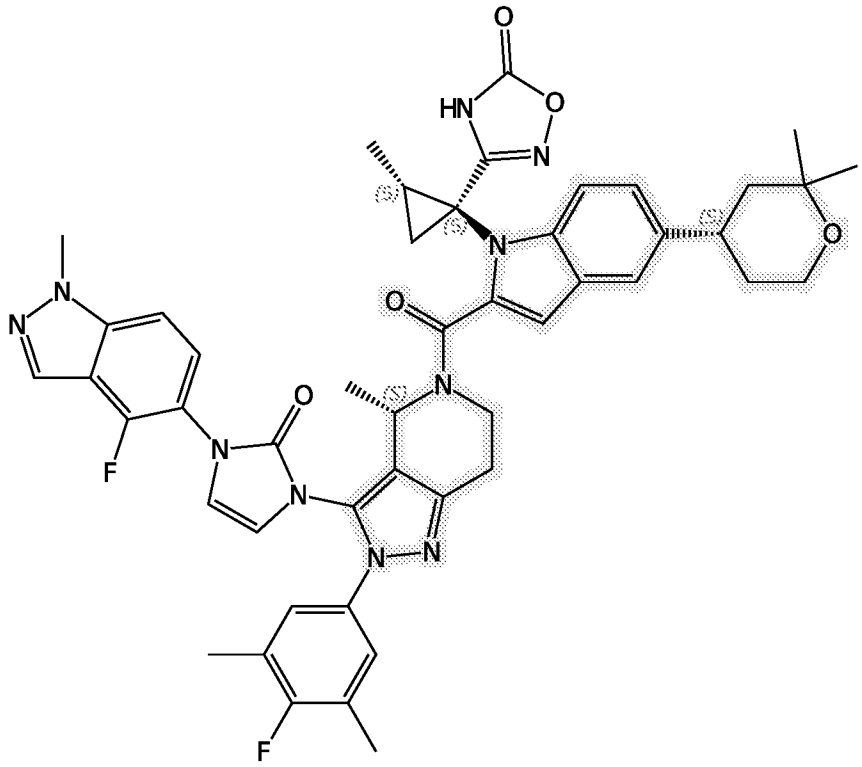
| PATENT NO. | KIND | STATUS | STATUS DATE |
|---|---|---|---|
| WO 2024159211 | A1 | Alive | 20240815 |

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 |

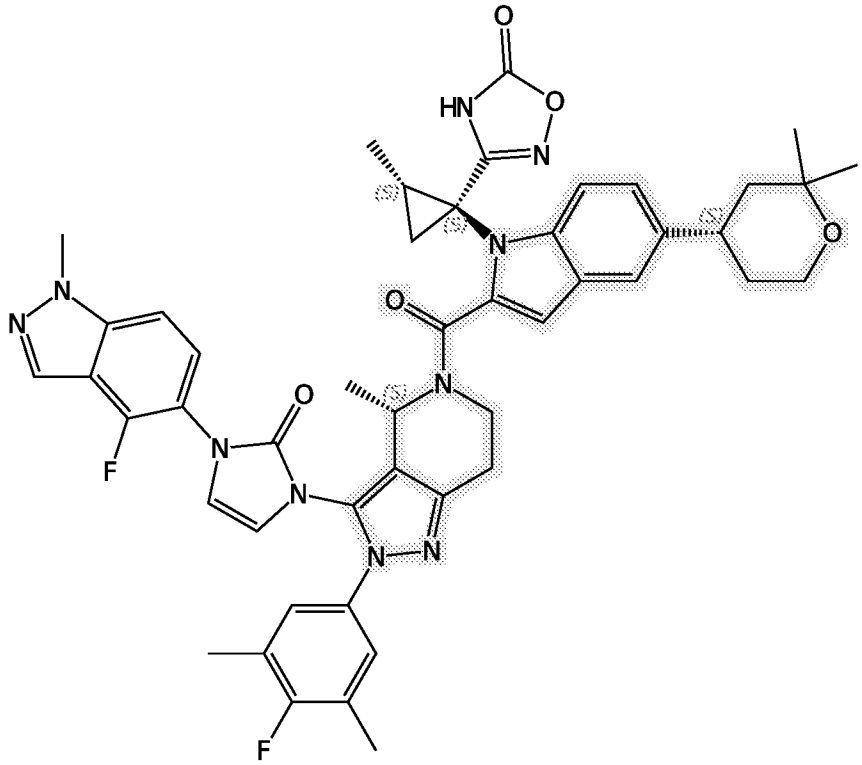
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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

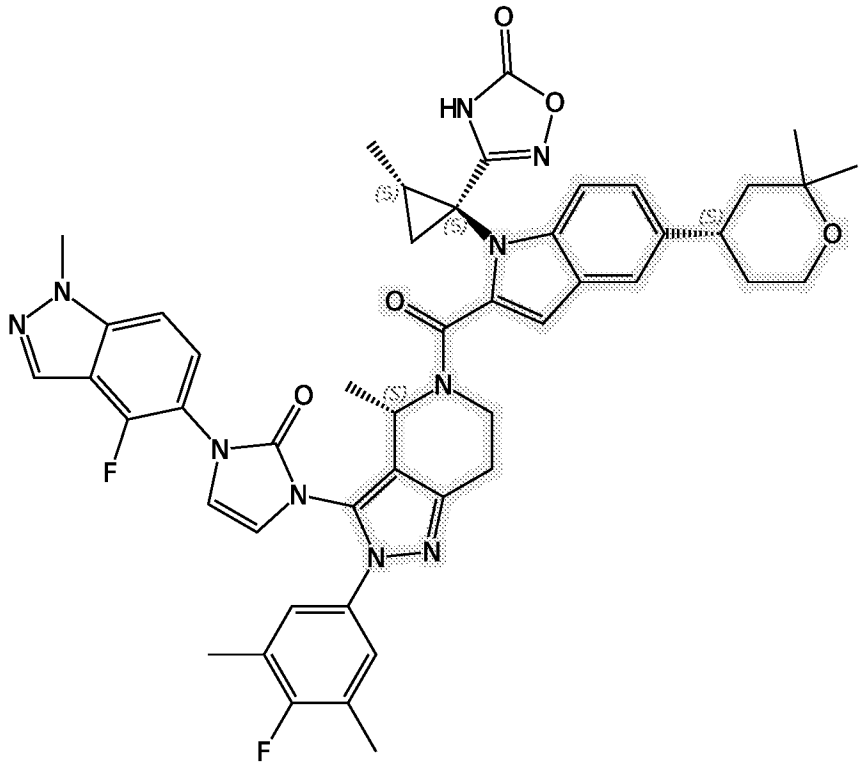
ACCESSION NUMBER: 2024:1612964 CAPLUS Full-text
DOCUMENT NUMBER: 187:241026
TITLE: Crystalline forms of n-[4-[4-(4-morpholinyl)-7h-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]-4-[[3(r)-[(l-oxo-2-propen-1-yl)amino]-1-piperidinyl]methyl]-2-pyridinecarboxamide and its therapeutic use
INVENTOR(S): Puppali, Satish 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)-[(l-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 |

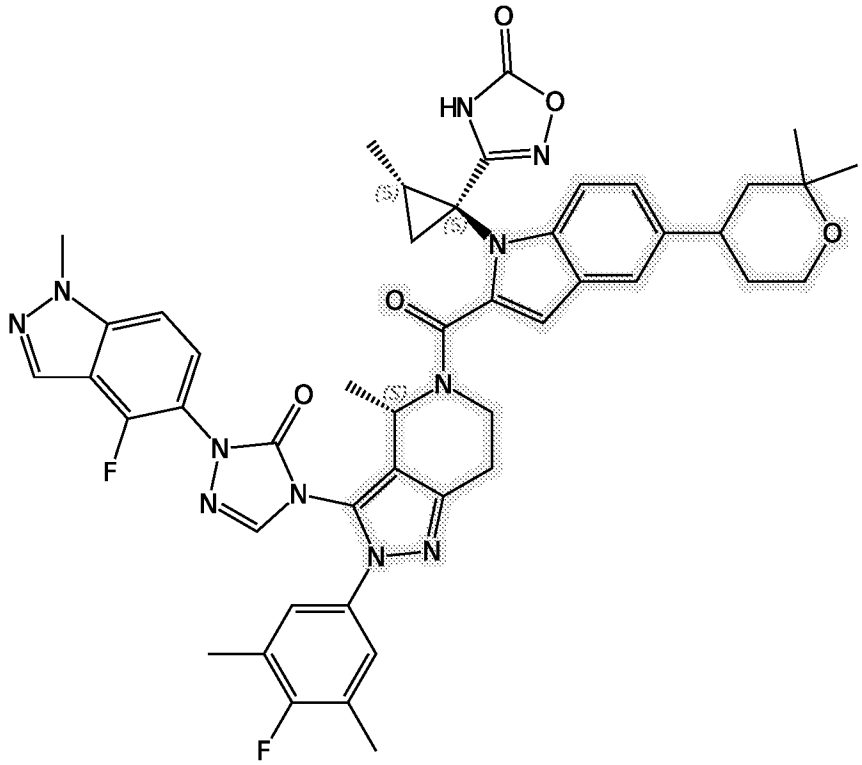
PATENT STATUS PATENT INFORMATION:

| 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.

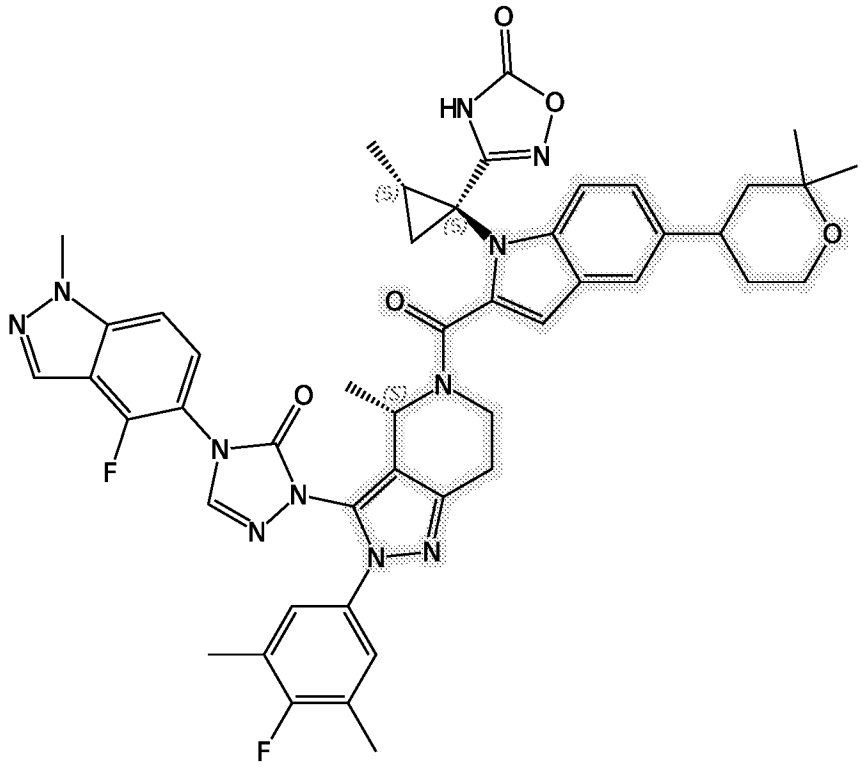
IT 3051607-09-8P 3051607-18-9P 3051607-21-4P
3051607-24-7P 3051607-26-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the treatment of diseases)

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)



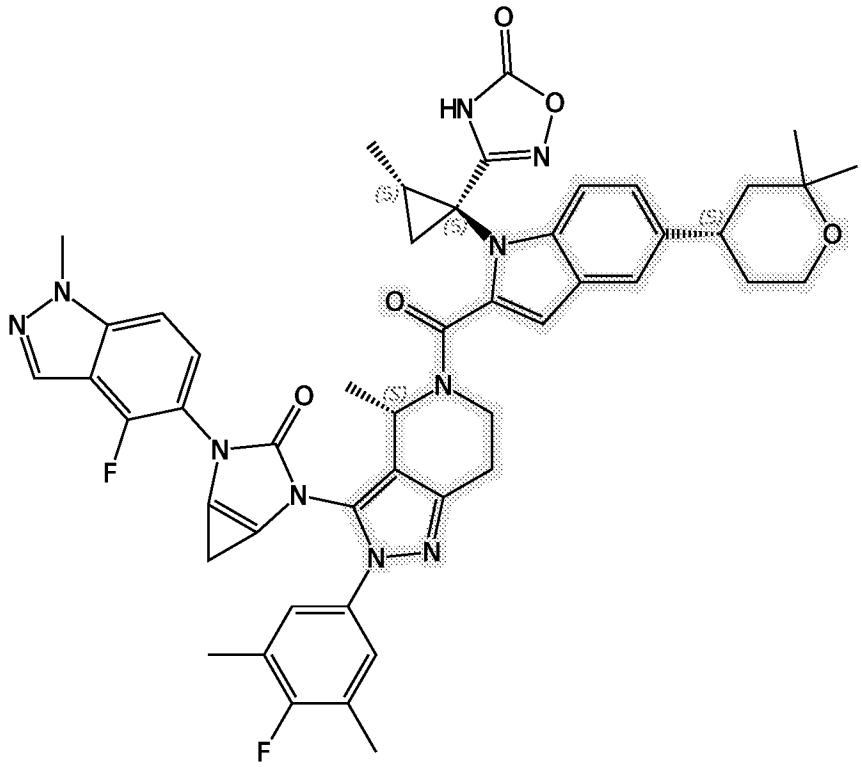
Absolute stereochemistry shown

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

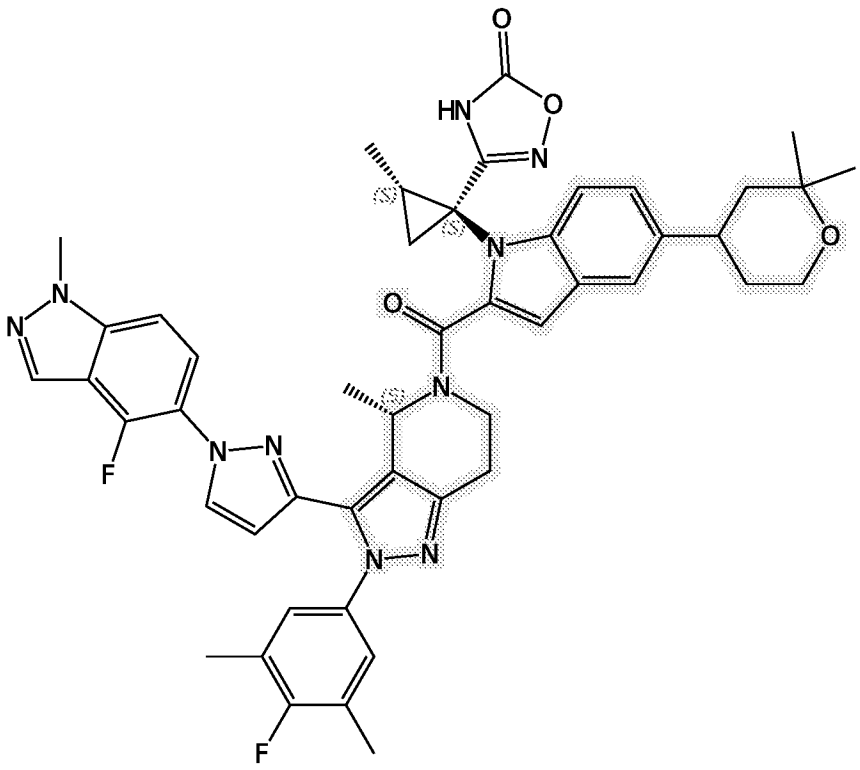
RN 3051607-21-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

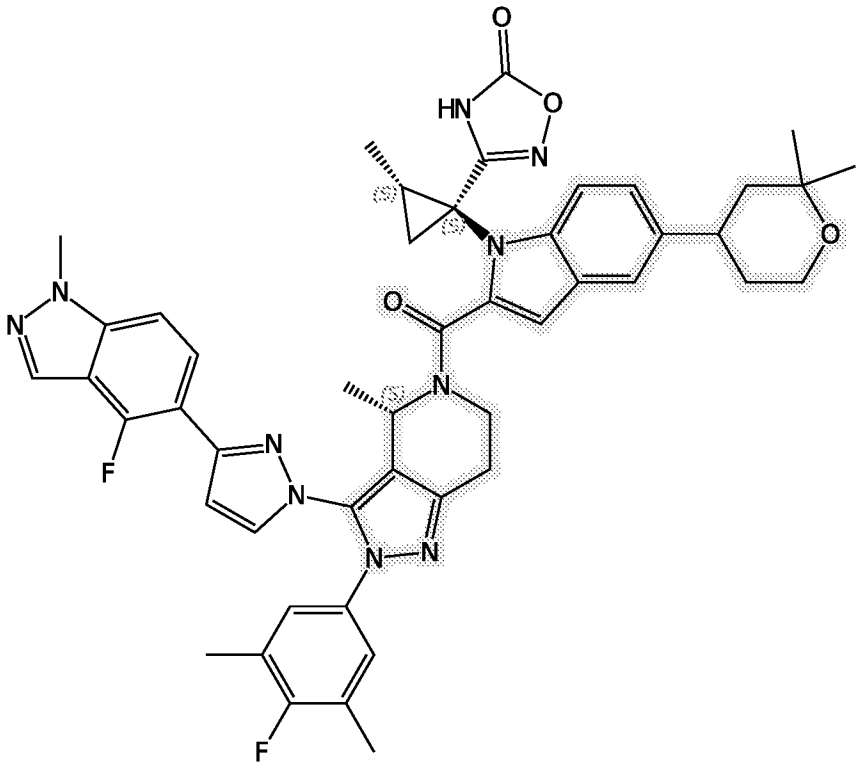
RN 3051607-24-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylp

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

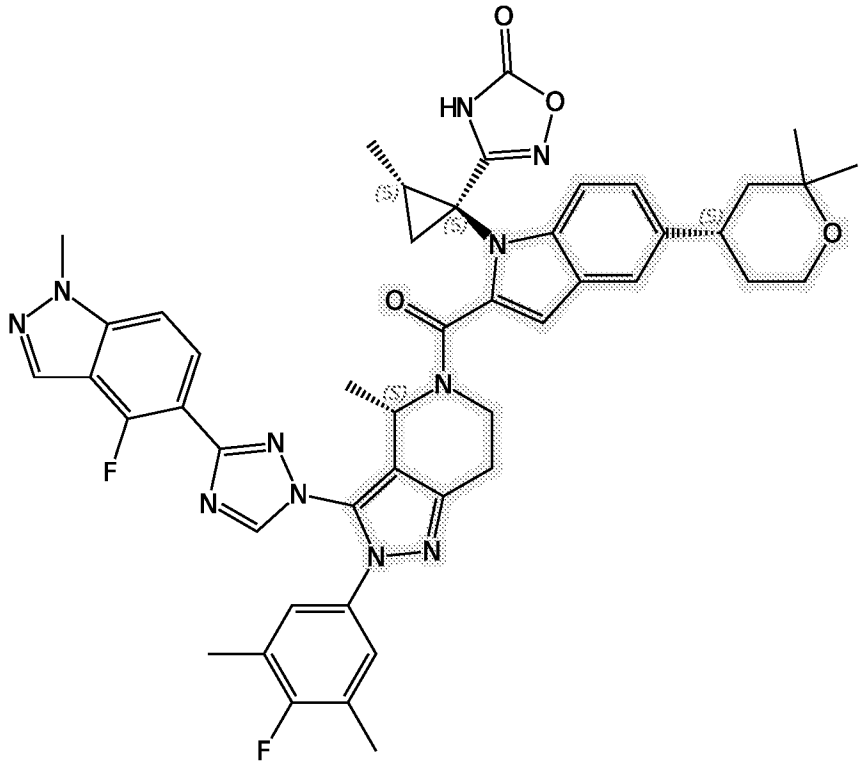
RN   3051607-26-9  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylp
henyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-pyrazol-1-yl]-2,4,6,7-tetrahy
dro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl
-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX NAME)



Absolute stereochemistry shown

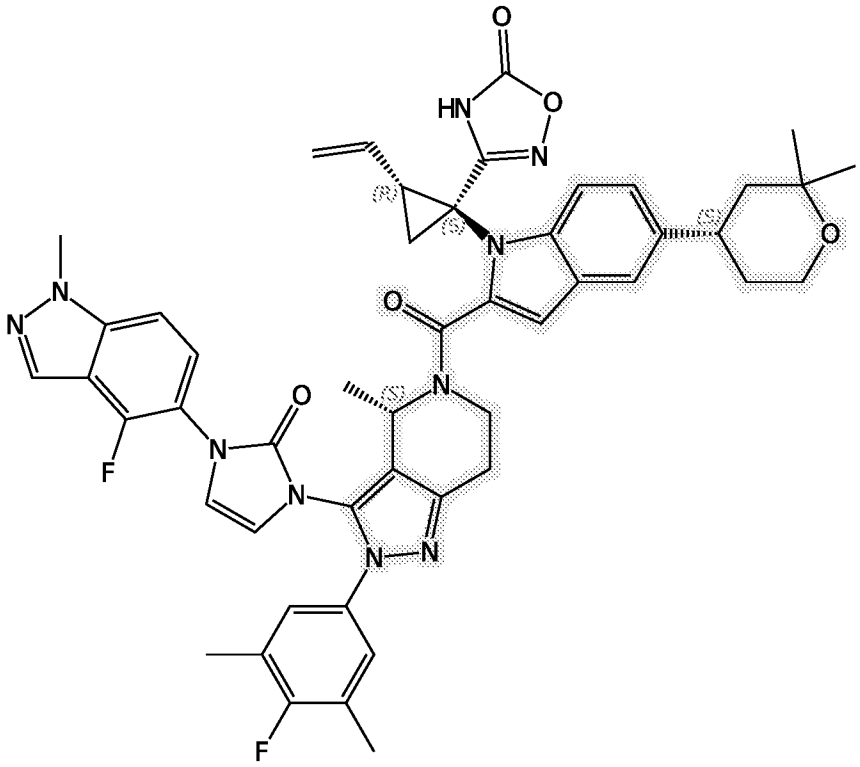
IT 3051607-28-1P 3051607-47-4P 3051607-50-9P
3051607-53-2P 3051607-59-8P 3051607-65-6P
3051607-68-9P 3051607-70-3P 3051607-72-5P
3051607-74-7P 3051607-77-0P
RL: PAC (Pharmacological activity); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (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)



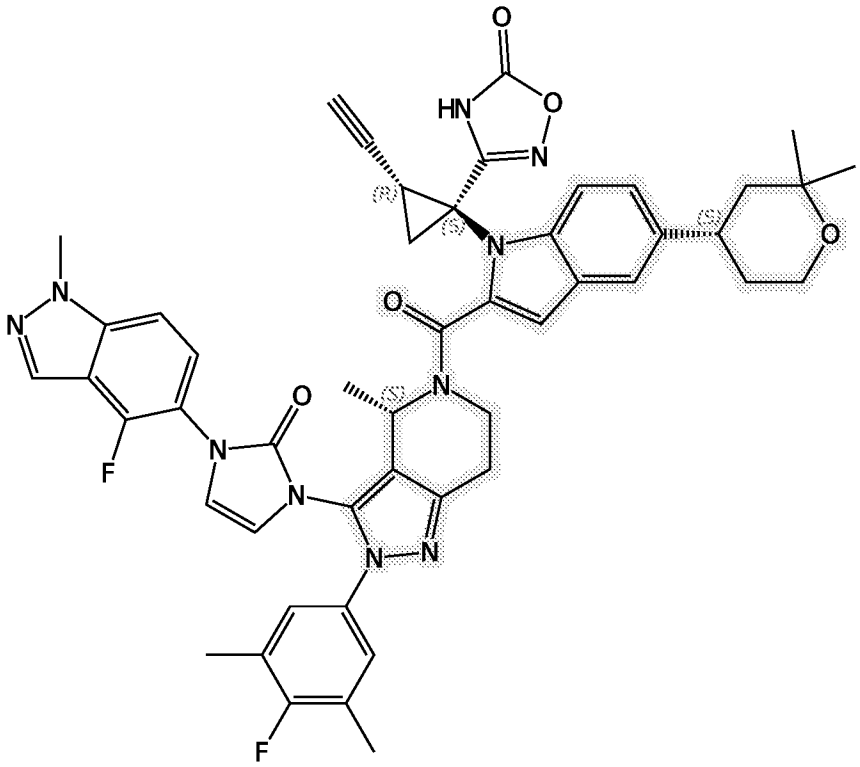
Absolute stereochemistry shown

RN 3051607-47-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



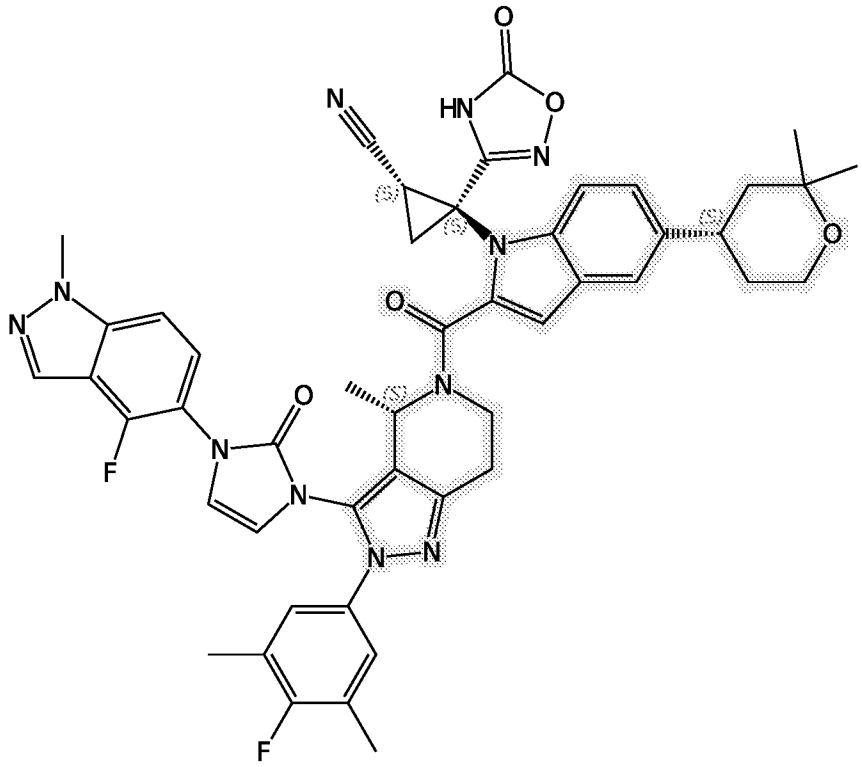
Absolute stereochemistry shown

RN 3051607-50-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



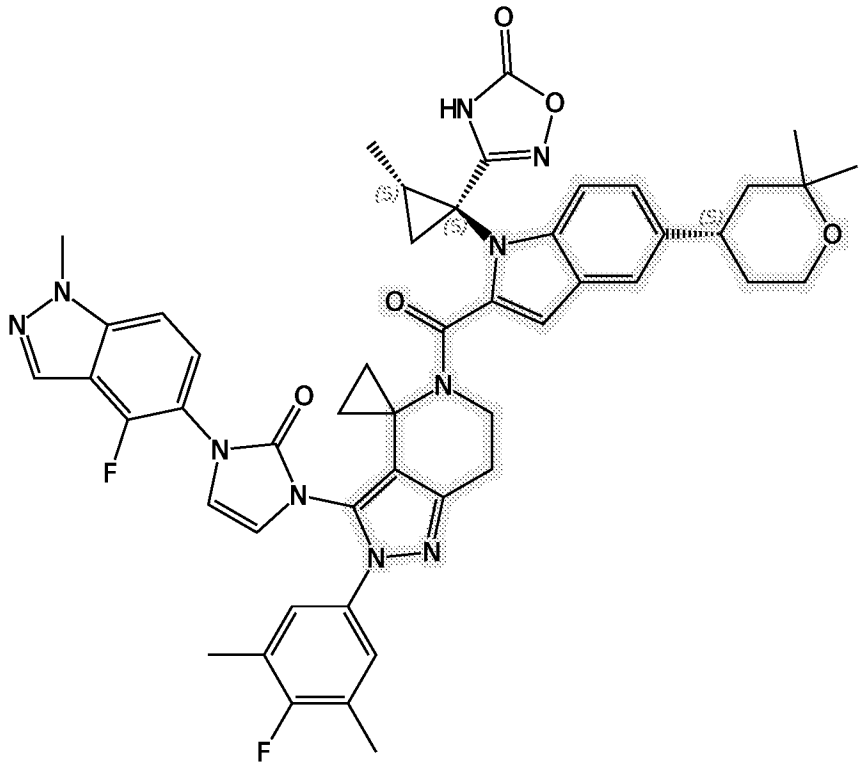
Absolute stereochemistry shown

RN 3051607-53-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



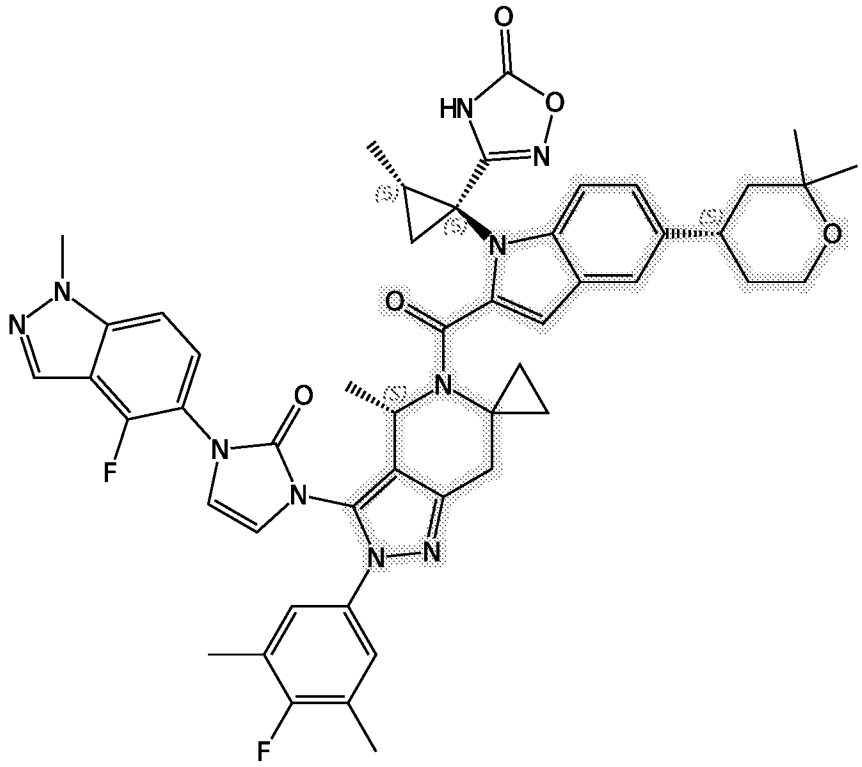
Absolute stereochemistry shown

RN 3051607-59-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



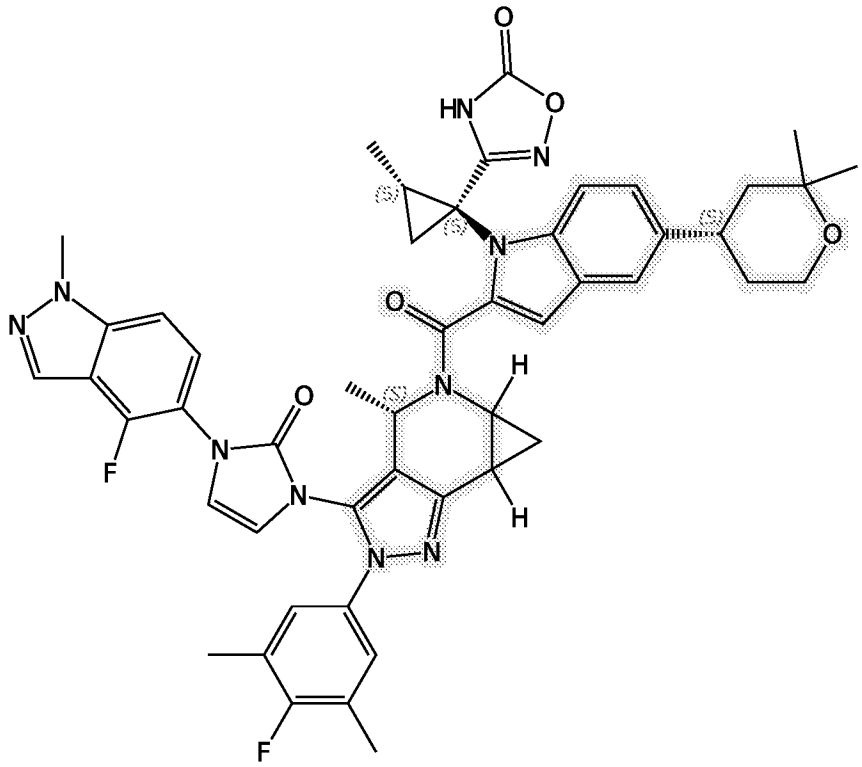
Absolute stereochemistry shown

RN 3051607-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

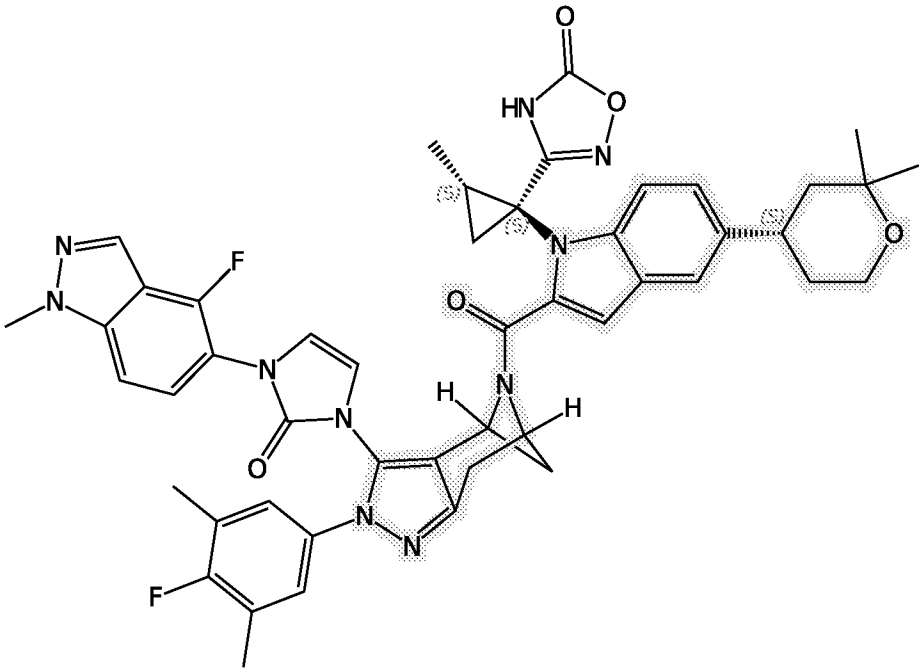
RN 3051607-68-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

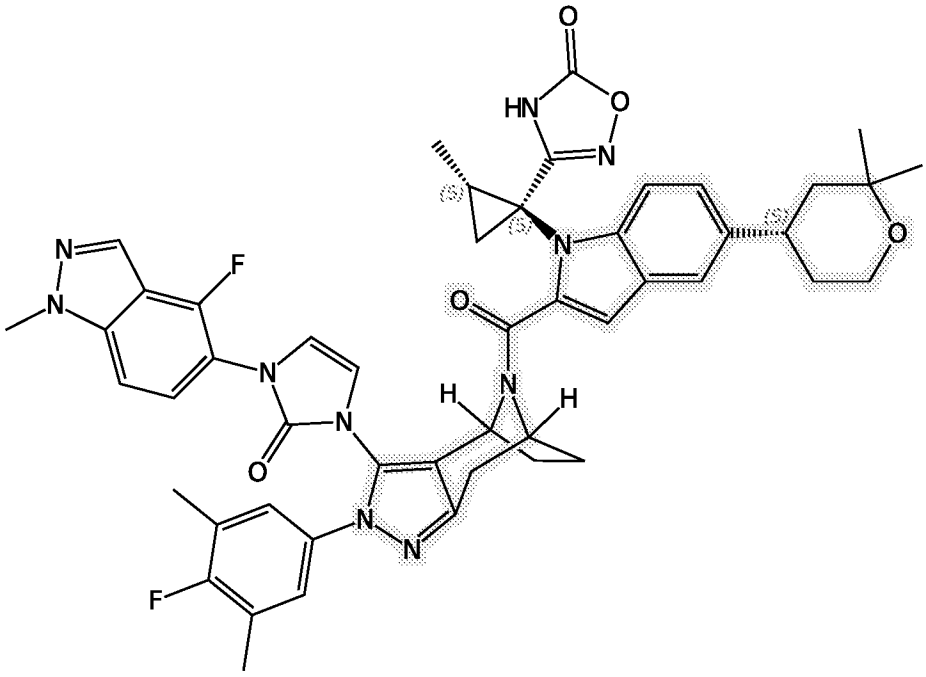
RN 3051607-70-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl

)-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

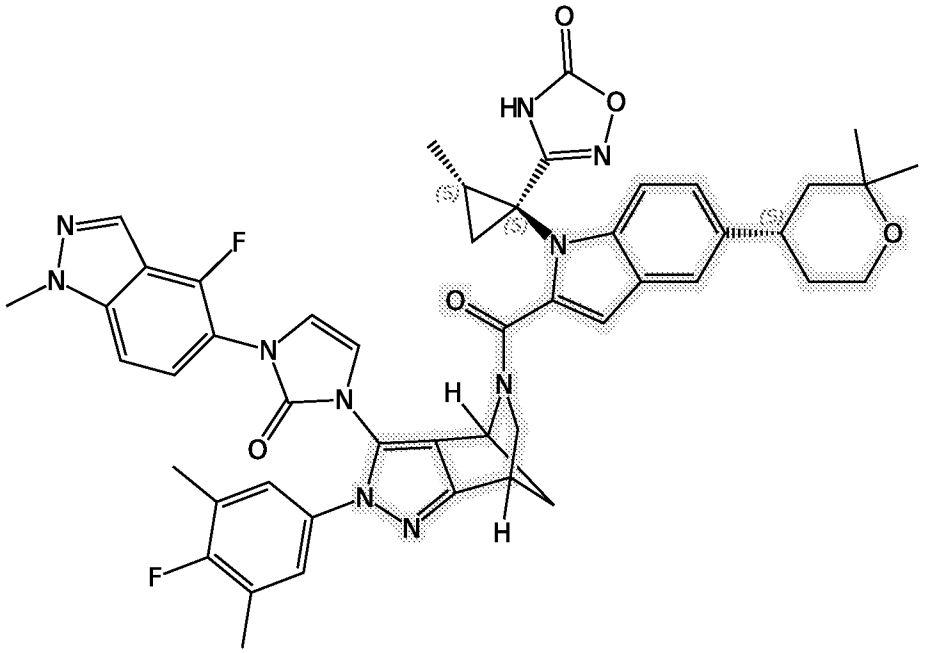
RN   3051607-72-5  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl
)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2
,4,5,6,7,8-hexahydro-4,7-iminocycloheptapyrazol-9-yl]carbonyl]-5-[(4S)-tetrahydr
o-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX
NAME)



Absolute stereochemistry shown

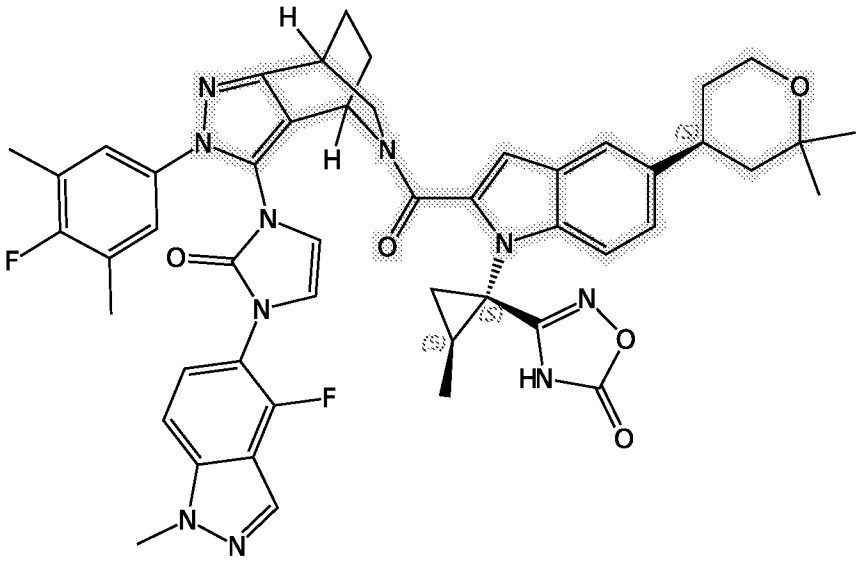
RN   3051607-74-7  CAPLUS

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

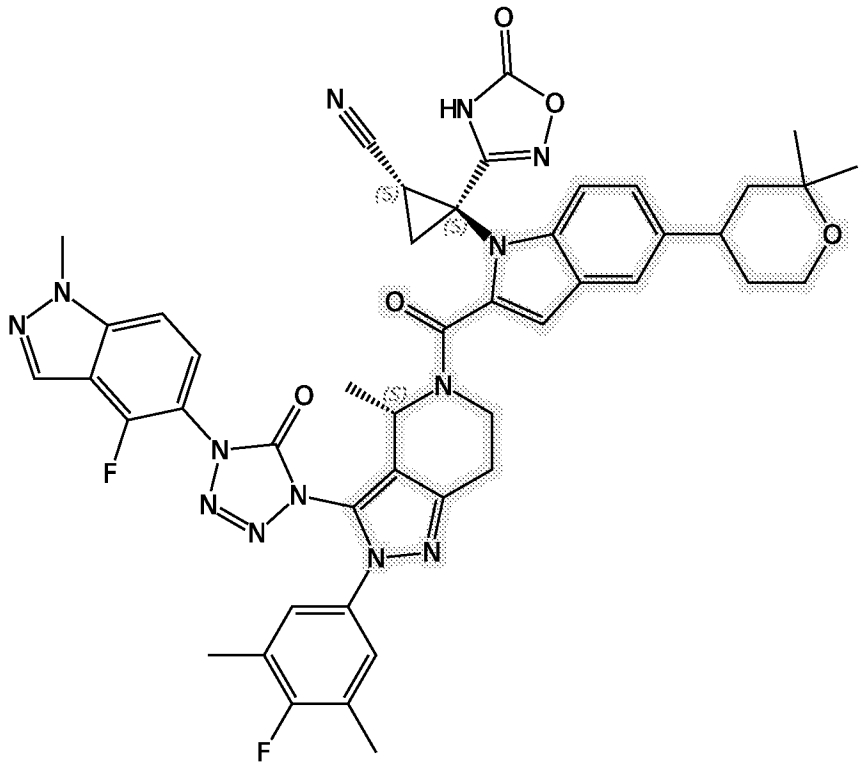
RN 3051607-77-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4,7-ethano-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

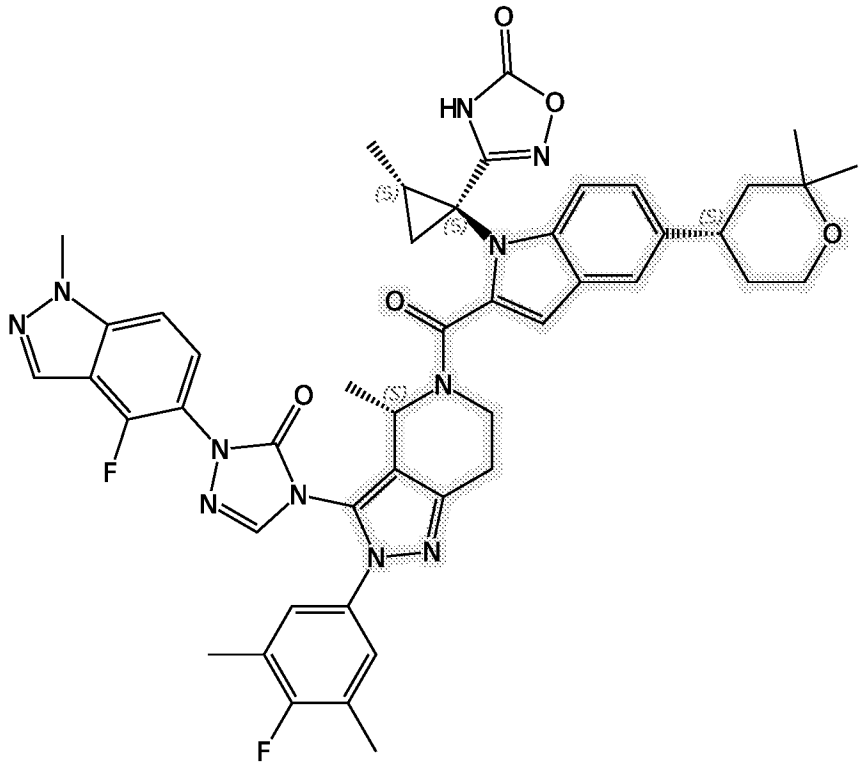
IT 3051607-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the

treatment of diseases)
RN 3051607-93-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



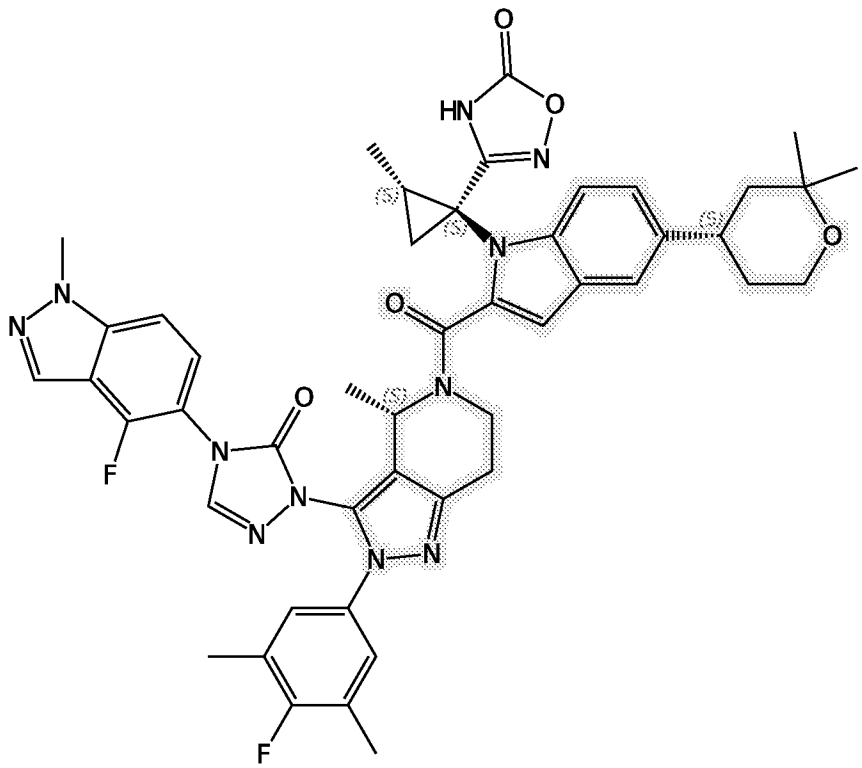
Absolute stereochemistry shown

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)



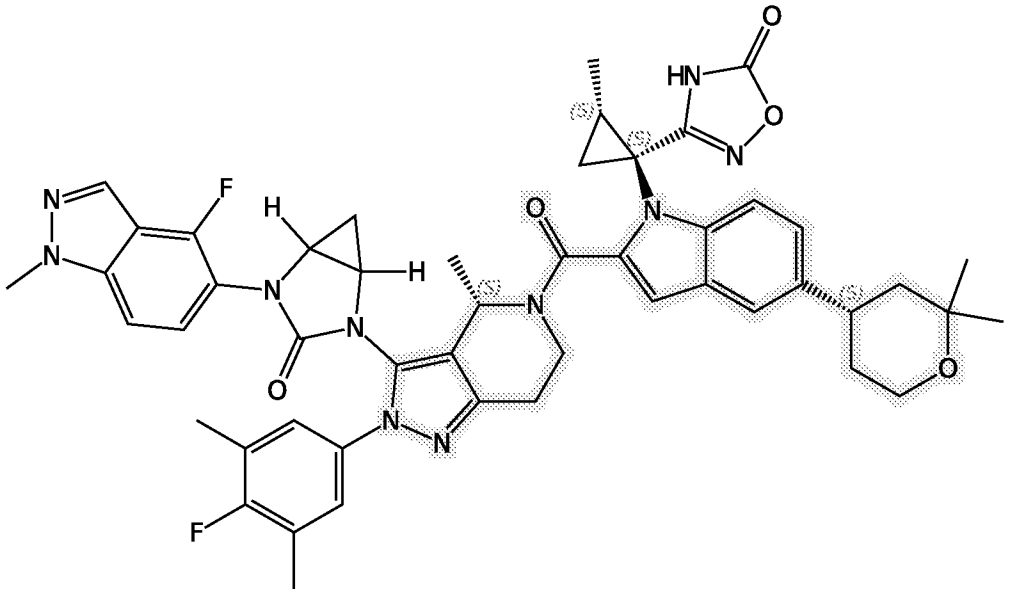
Absolute stereochemistry shown

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)



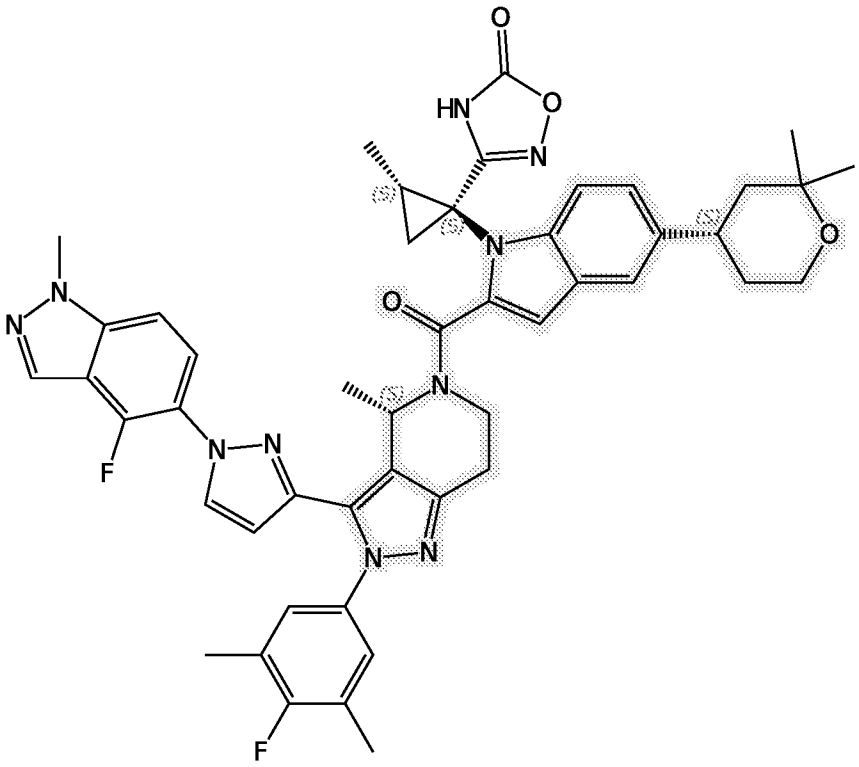
Absolute stereochemistry shown

RN 3051608-59-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

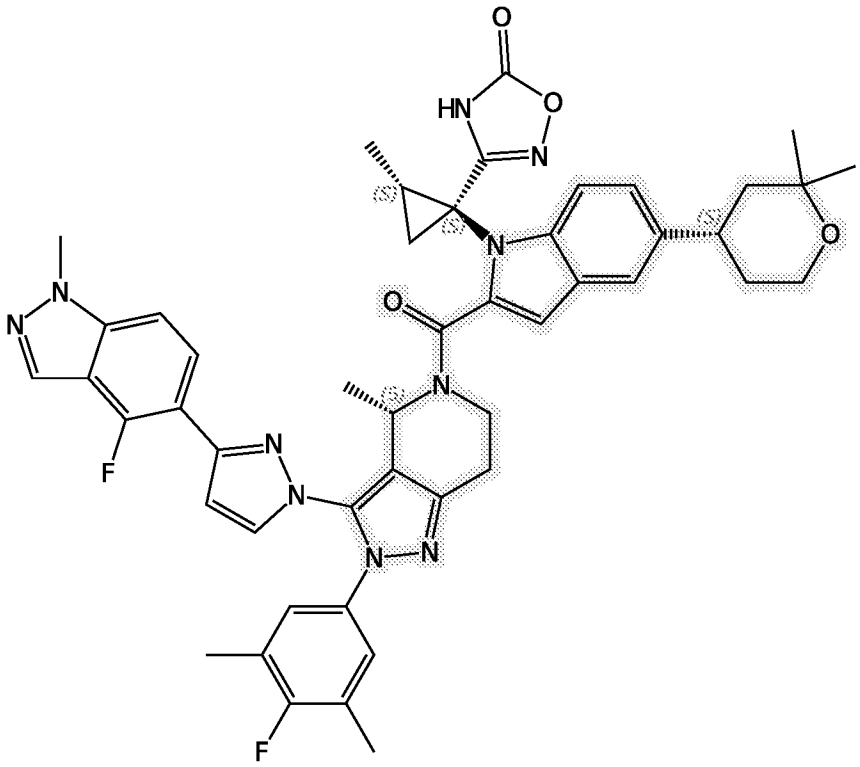
RN 3051608-61-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[1-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-pyrazol-3-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

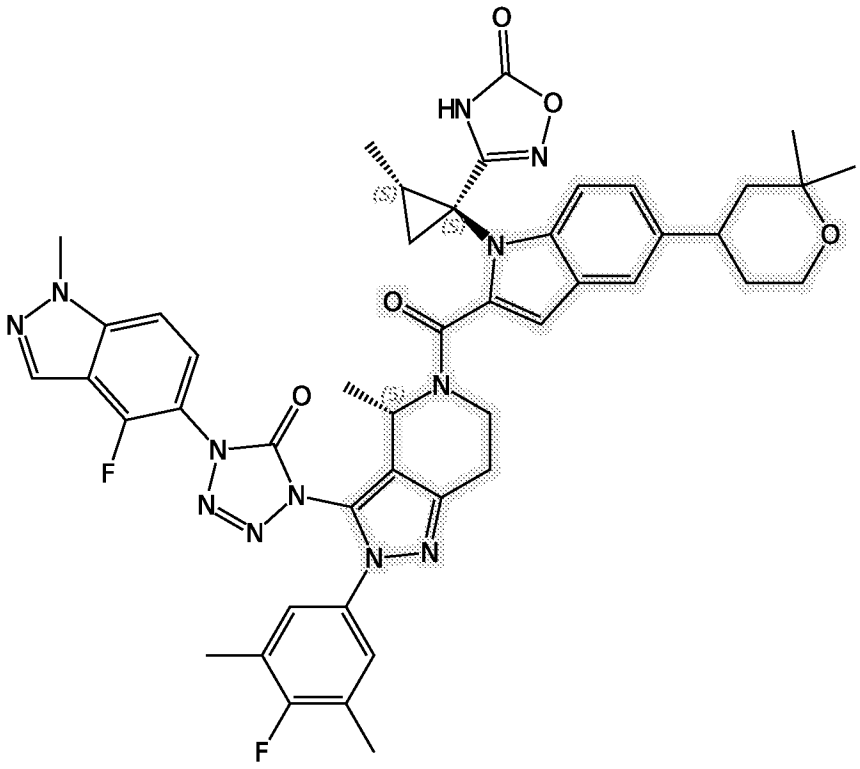
RN 3051608-63-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-1H-pyrazol-1-yl]-2,4,6,7-tetrahy

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

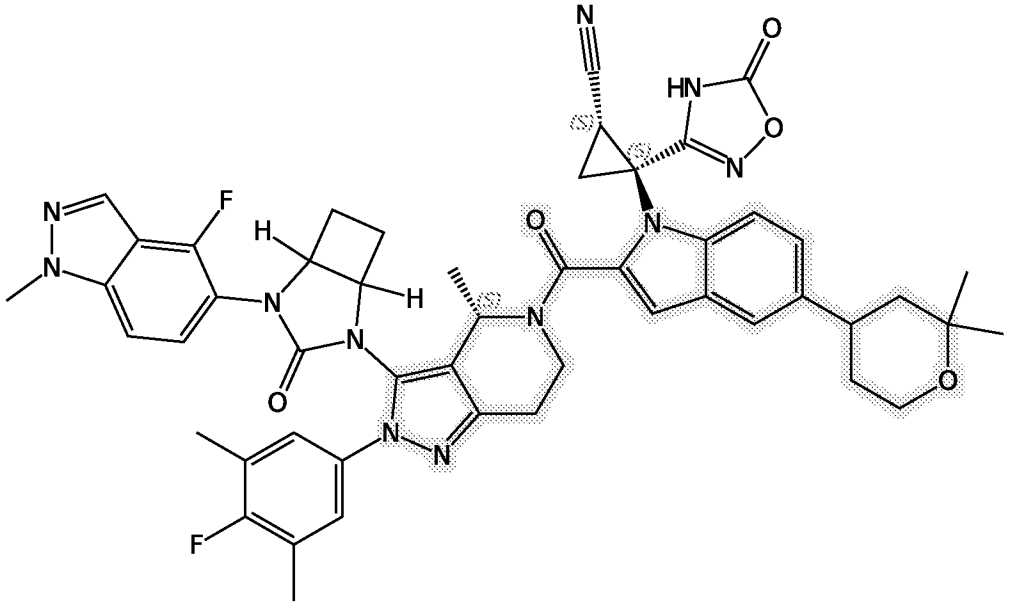
RN   3051609-26-5  CAPLUS
CN   INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

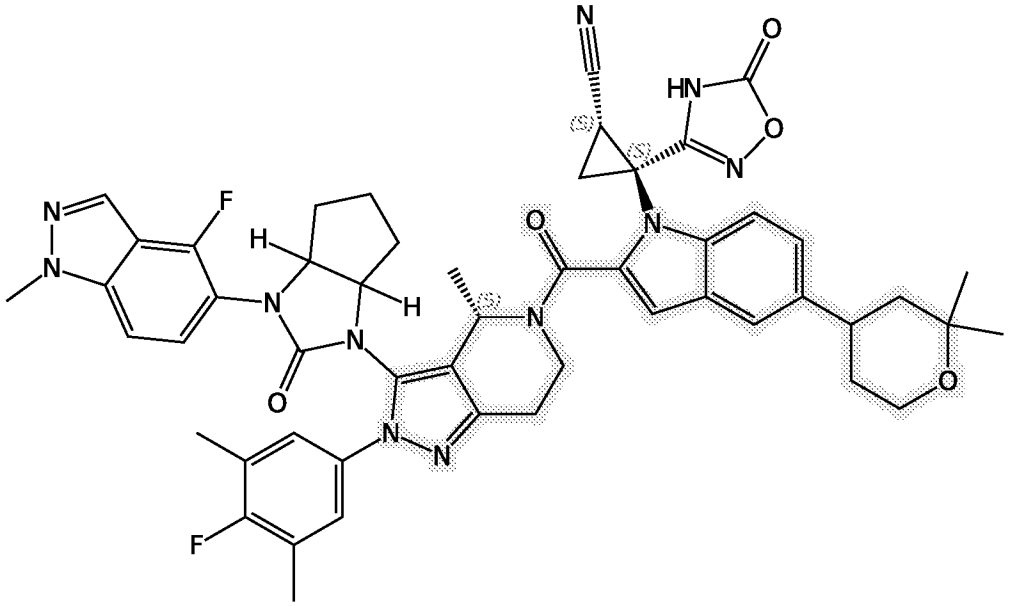
IT 3051800-62-2P 3051800-63-3P 3051800-64-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic ketones as GLP-1R agonists useful in the treatment of diseases)

RN 3051800-62-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



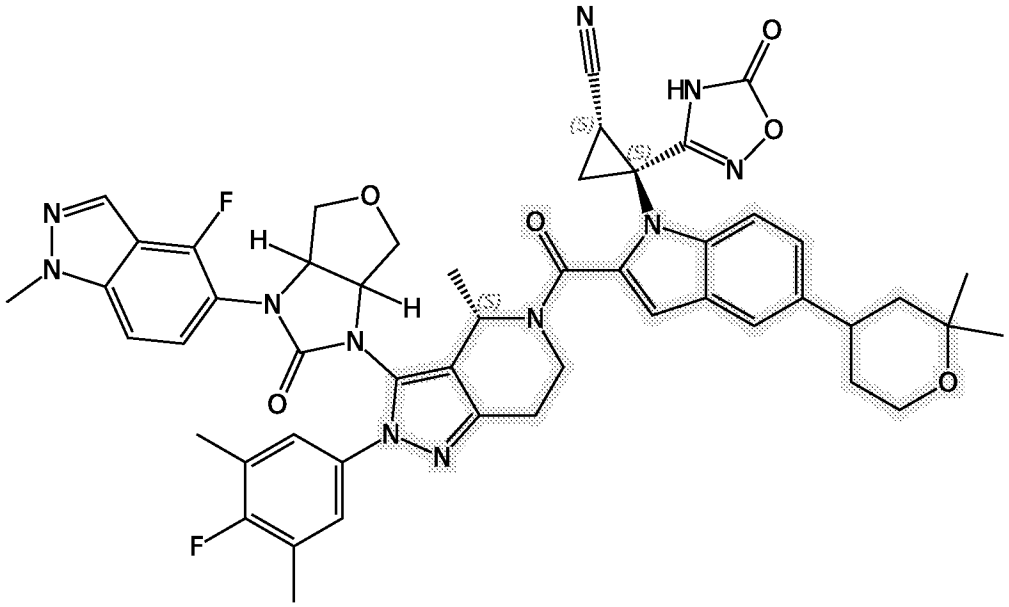
Absolute stereochemistry shown

RN 3051800-63-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



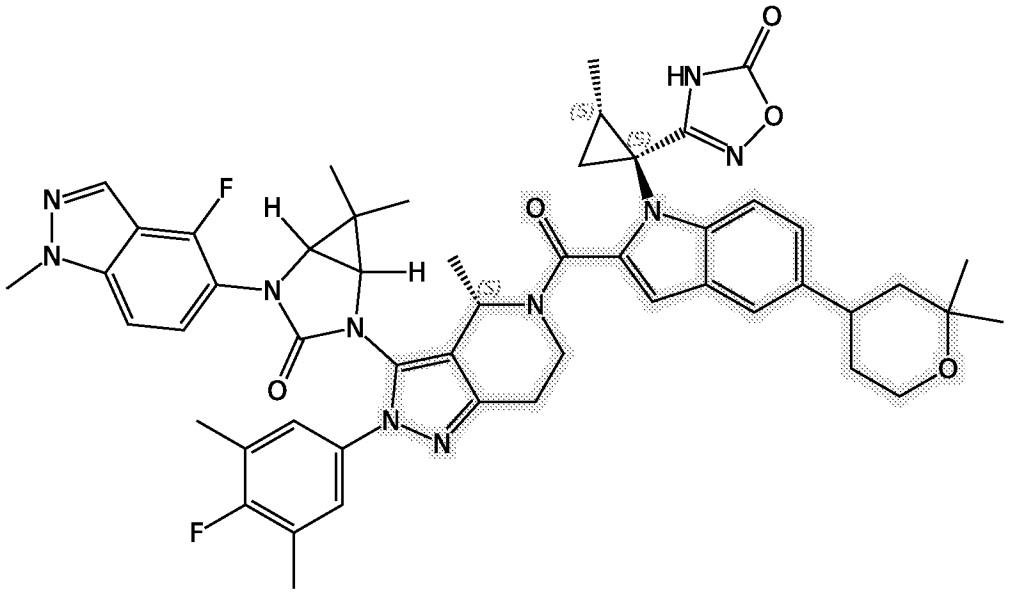
Absolute stereochemistry shown

RN 3051800-64-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



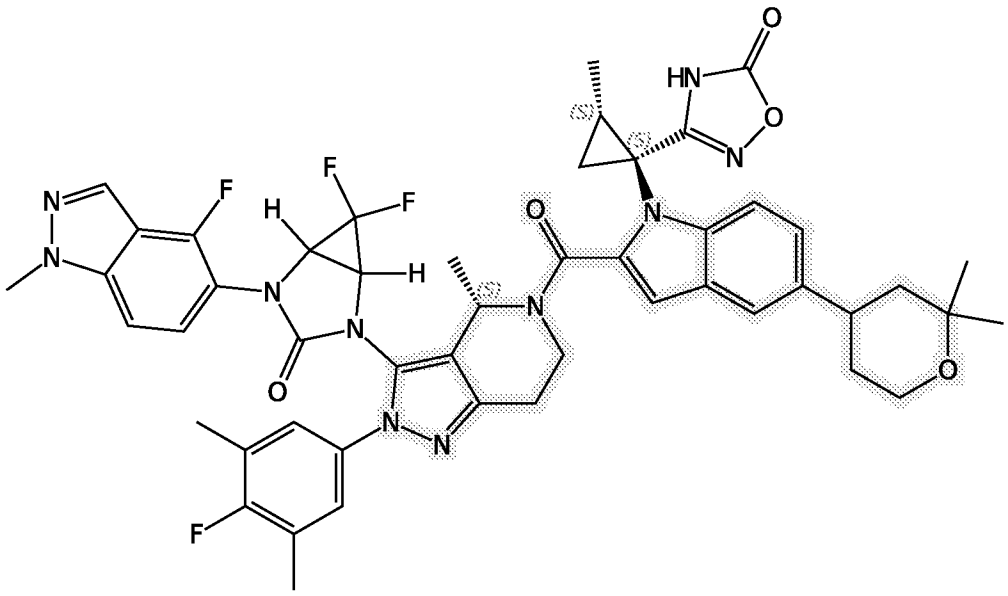
Absolute stereochemistry shown

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



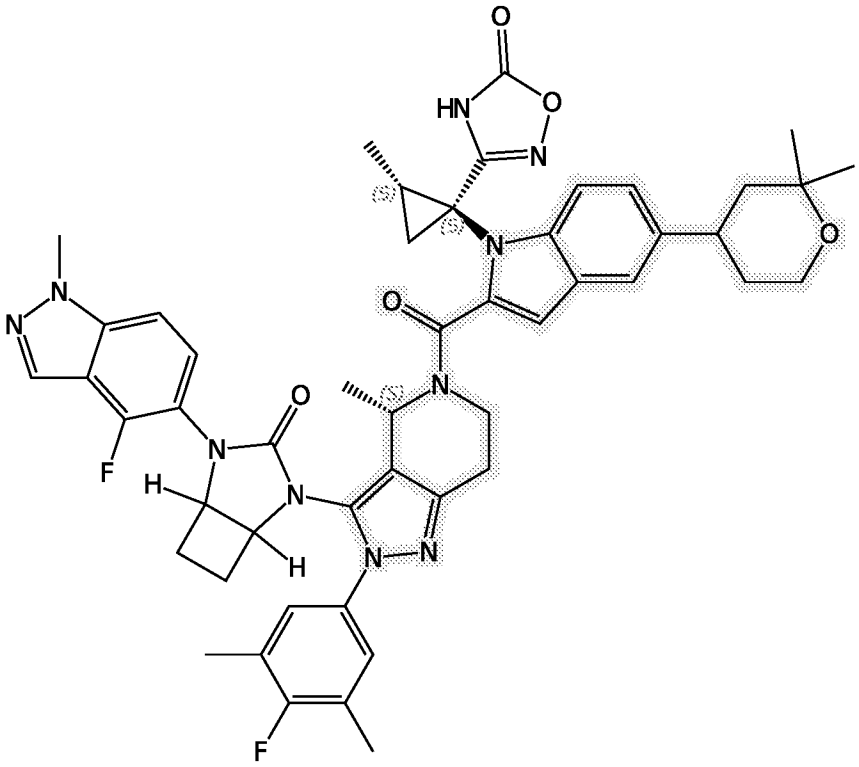
Absolute stereochemistry shown

RN 3051800-76-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



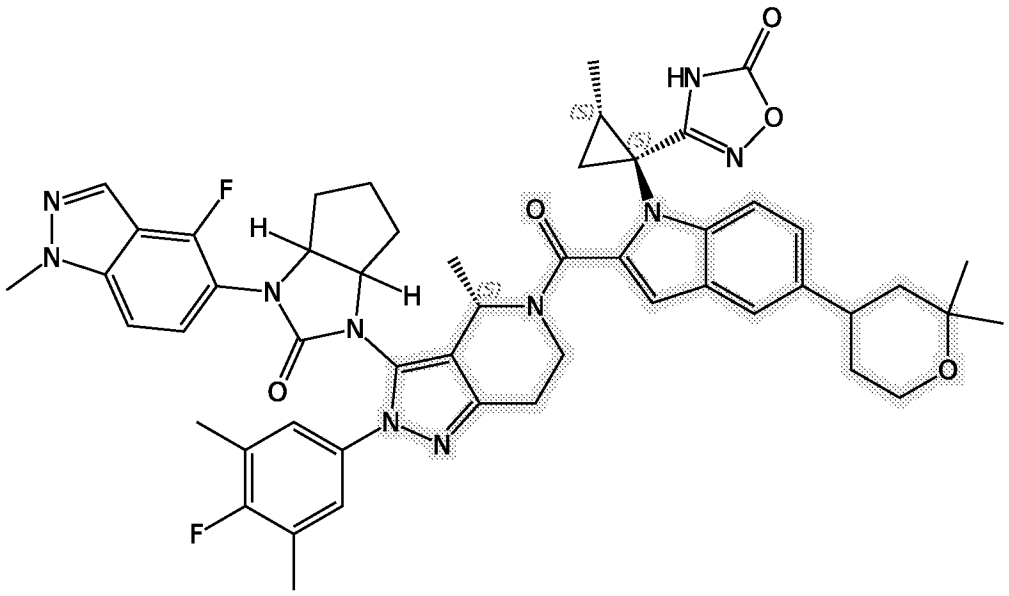
Absolute stereochemistry shown

RN 3051800-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



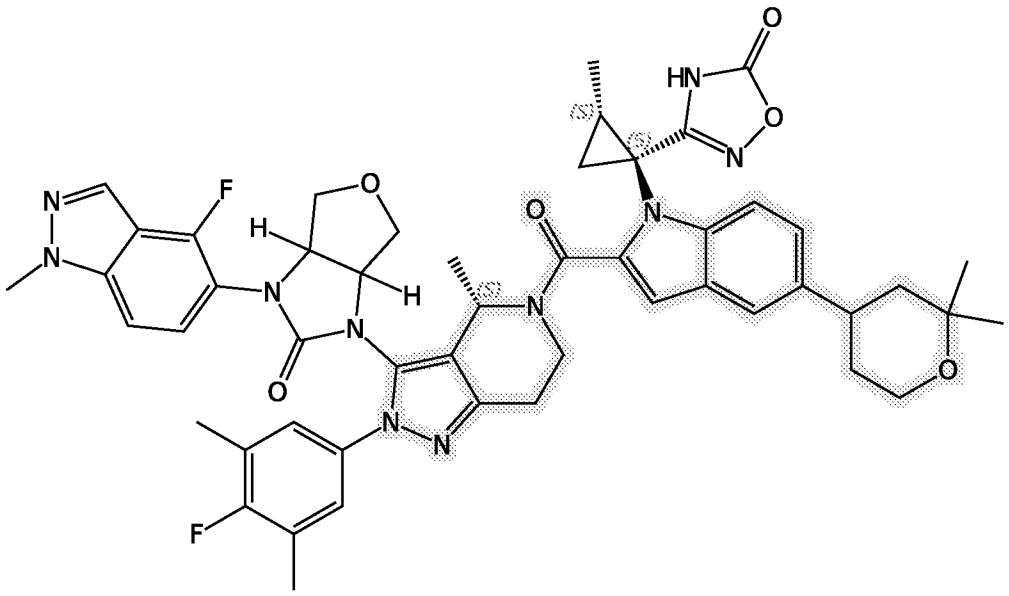
Absolute stereochemistry shown

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:

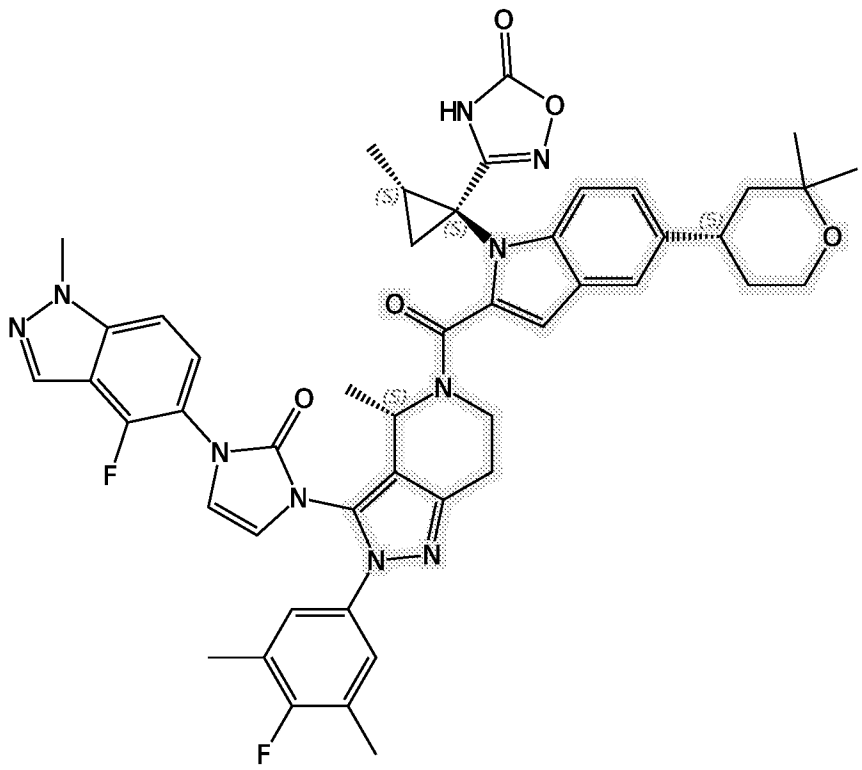
| PATENT NO. | KIND | STATUS | STATUS DATE |
|---|---|---|---|
| WO 2024148104 | A1 | Alive | 20240718 |

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)

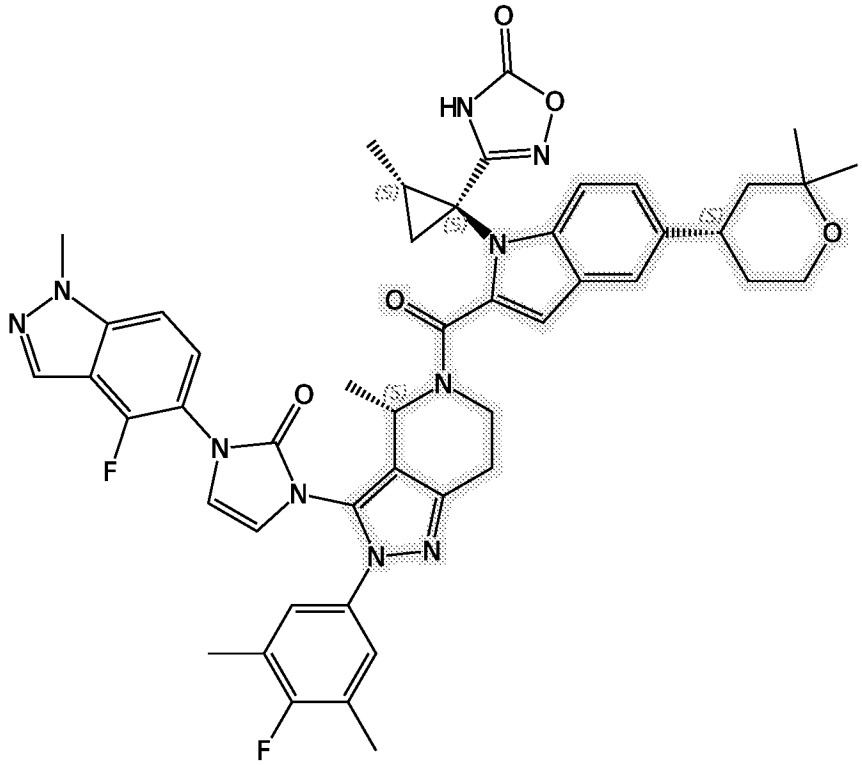
RN 2212020-52-3 CAPLUS

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:

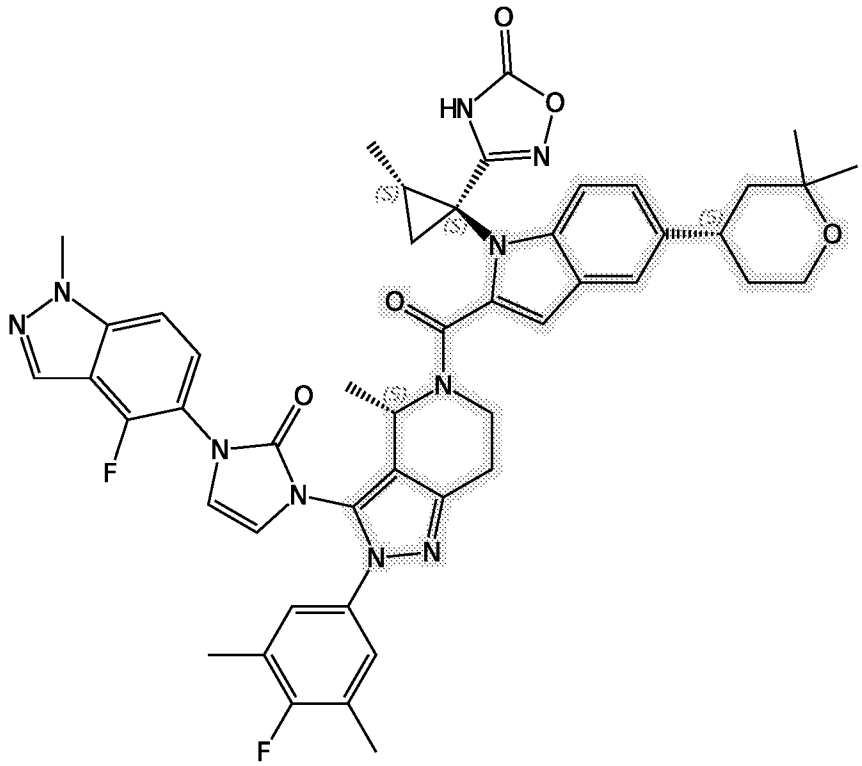
| PATENT NO. | KIND | STATUS | STATUS DATE |
|---|---|---|---|
| WO 2024141760 | A1 | Alive | 20240718 |

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 |

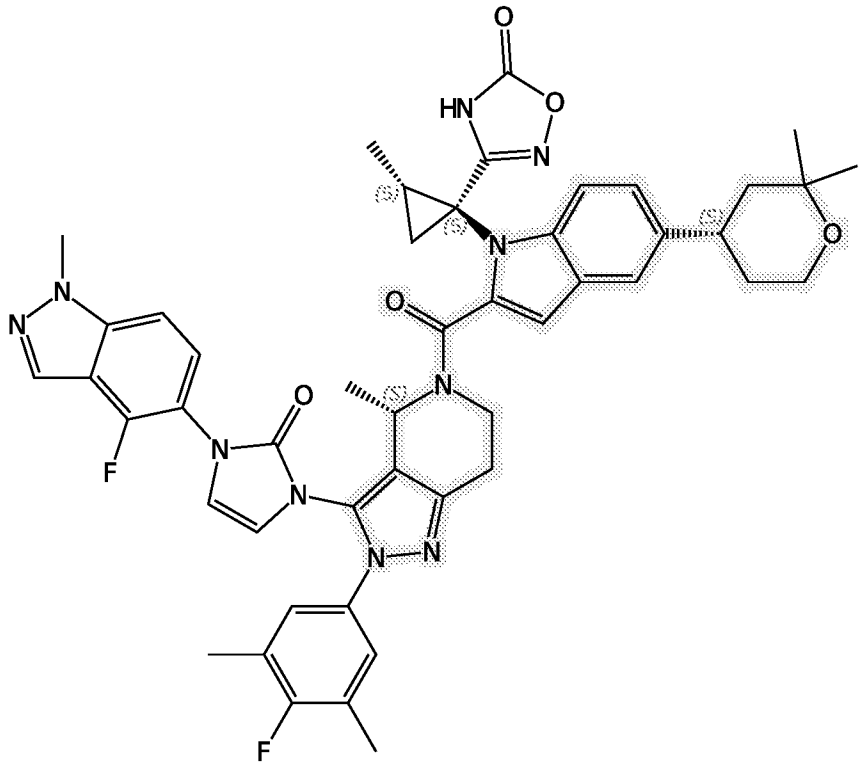
L3 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1416023 CAPLUS Full-text
DOCUMENT NUMBER: 187:158766
TITLE: Process to make GLP1RA and intermediates therefor
INVENTOR(S): Allian, Ayman D.; Berglund, Kenneth Derek; Cole, Kevin Paul; Davis, Ashlee Jeanette; Hess, Molly; Jemison, 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 |

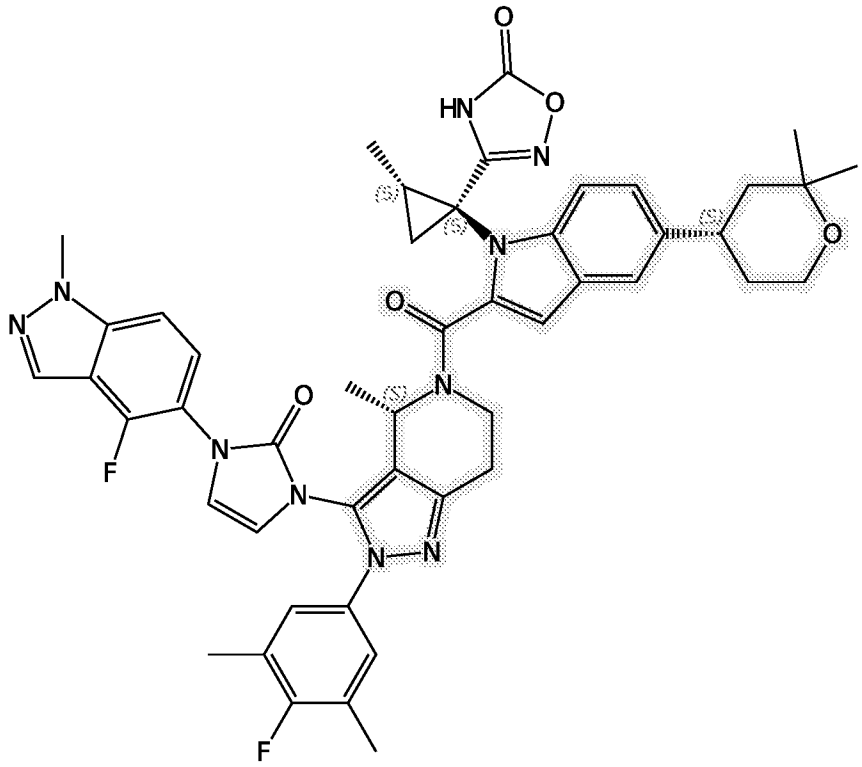
OTHER SOURCE(S): MARPAT 187:158766
AB The present invention relates to the synthesis of 3-[(1S,2S)-1-[5-[(4S)-2,2-dimethyloxan-4-yl]-2-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-4-methyl-6,7-dihydro-4H-pyrazolo[4,3-c]pyridine-5-carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one, 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 |

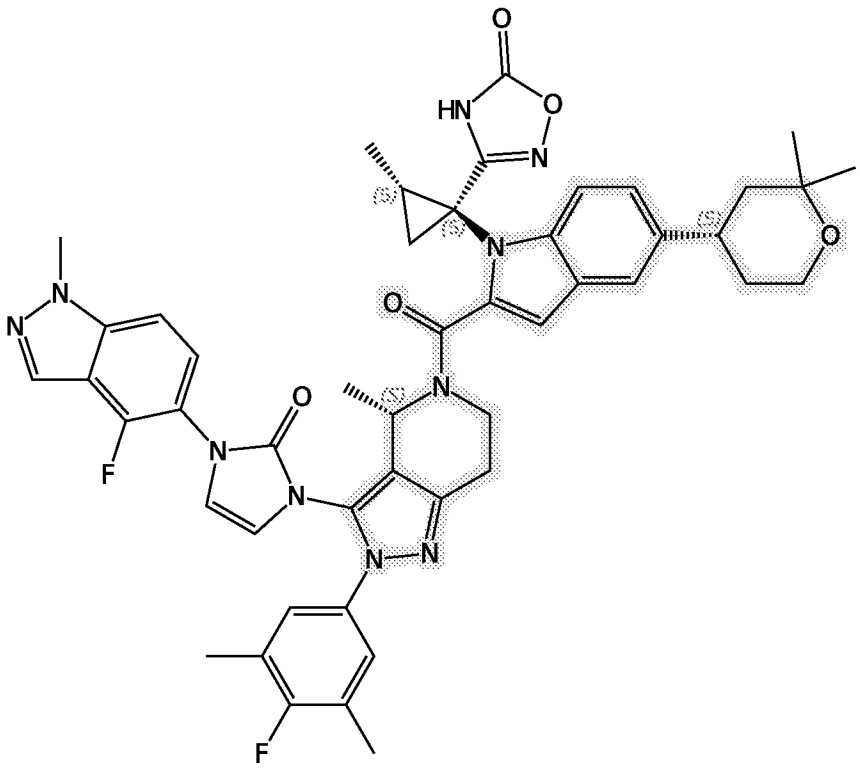
L3 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1370256 CAPLUS Full-text
DOCUMENT NUMBER: 188:98545
TITLE: Oral glucagon-like peptide-1 receptor agonists and combinations of entero-pancreatic hormones as treatments for adults with type 2 diabetes: where are we now?
AUTHOR(S): 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 |

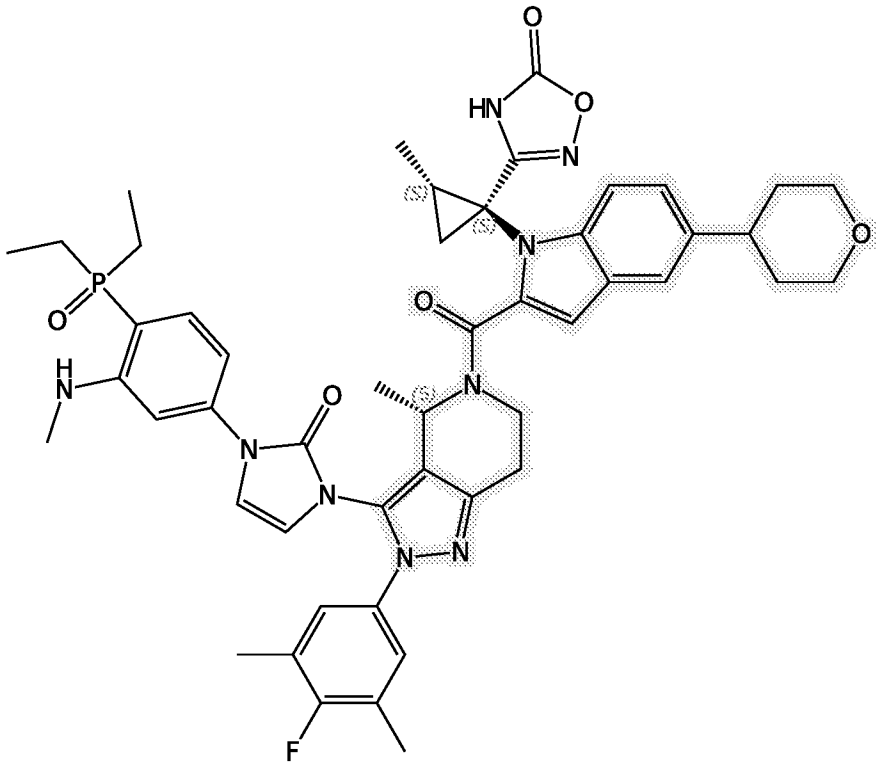
PATENT STATUS PATENT INFORMATION:

| 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).

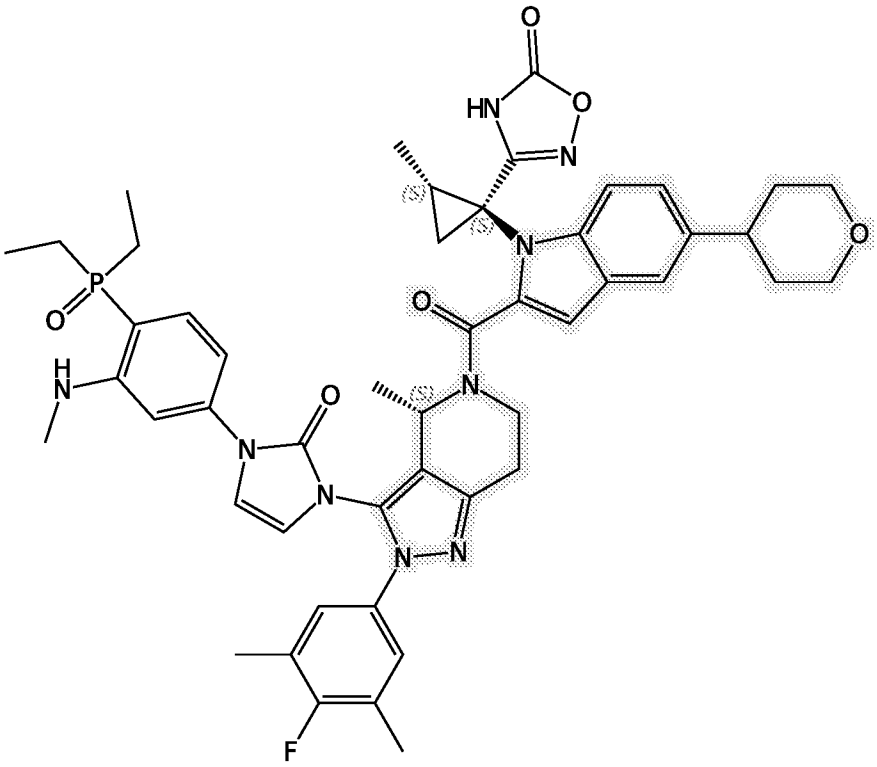
IT 2685823-26-9P 3044052-98-1P 3044052-99-2P
3044053-00-8P 3044053-01-9P 3044053-02-0P
RL: PRP (Properties); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(salts and solid forms of a compd. having GLP-1 agonist activity)

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)



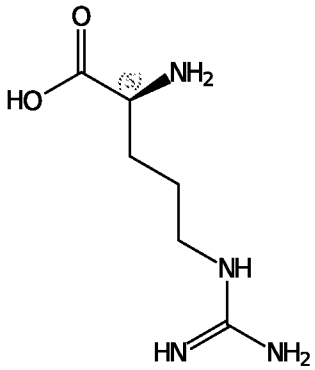
Absolute stereochemistry shown

RN 3044052-98-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED
CM 1
CRN 2685823-26-9
CMF C49 H55 F N9 O6 P



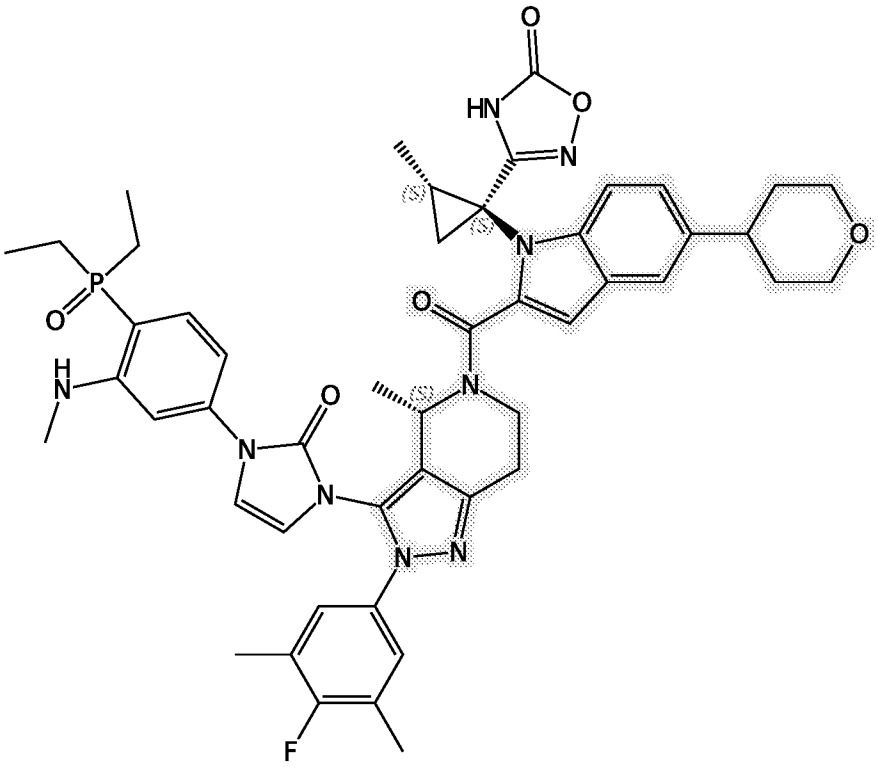
Absolute stereochemistry shown

CM 2
CRN 74-79-3
CMF C6 H14 N4 O2



Absolute stereochemistry shown

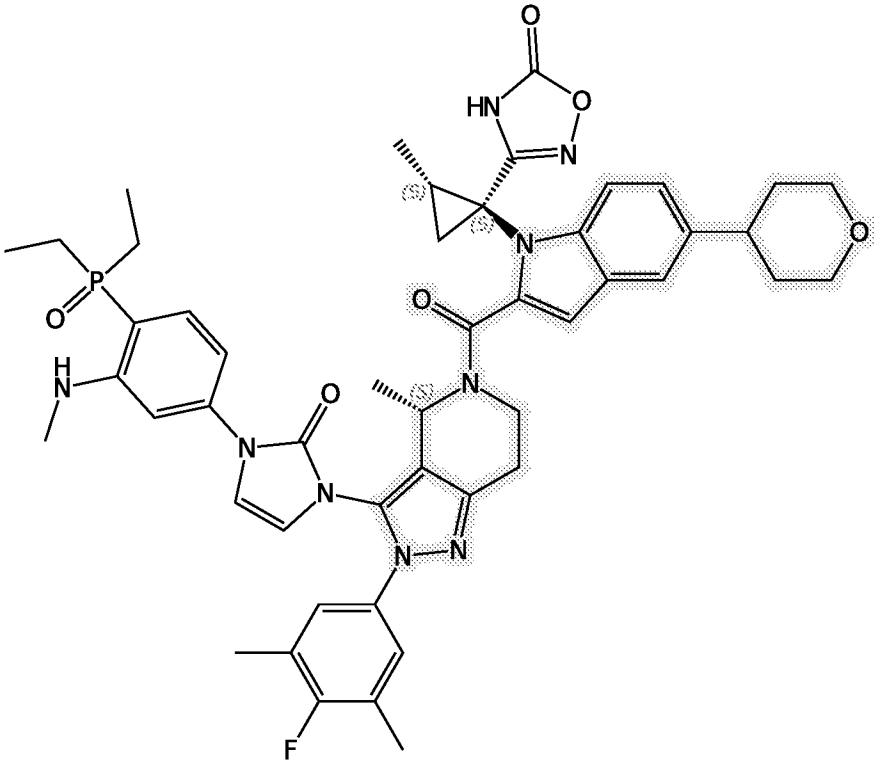
RN 3044052-99-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• Na

Absolute stereochemistry shown

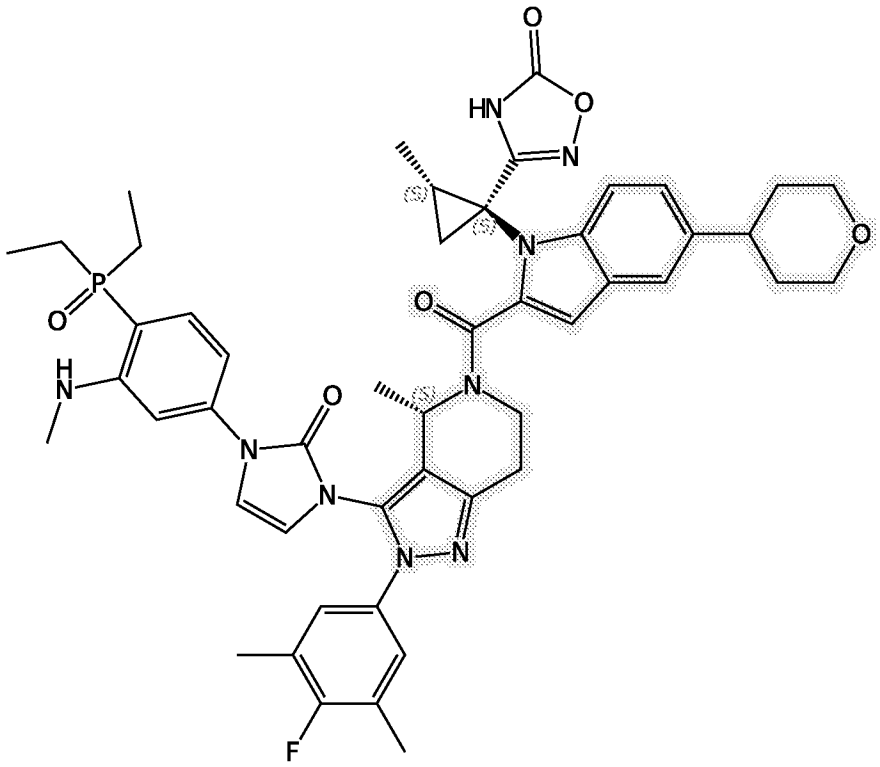
RN 3044053-00-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• K

Absolute stereochemistry shown

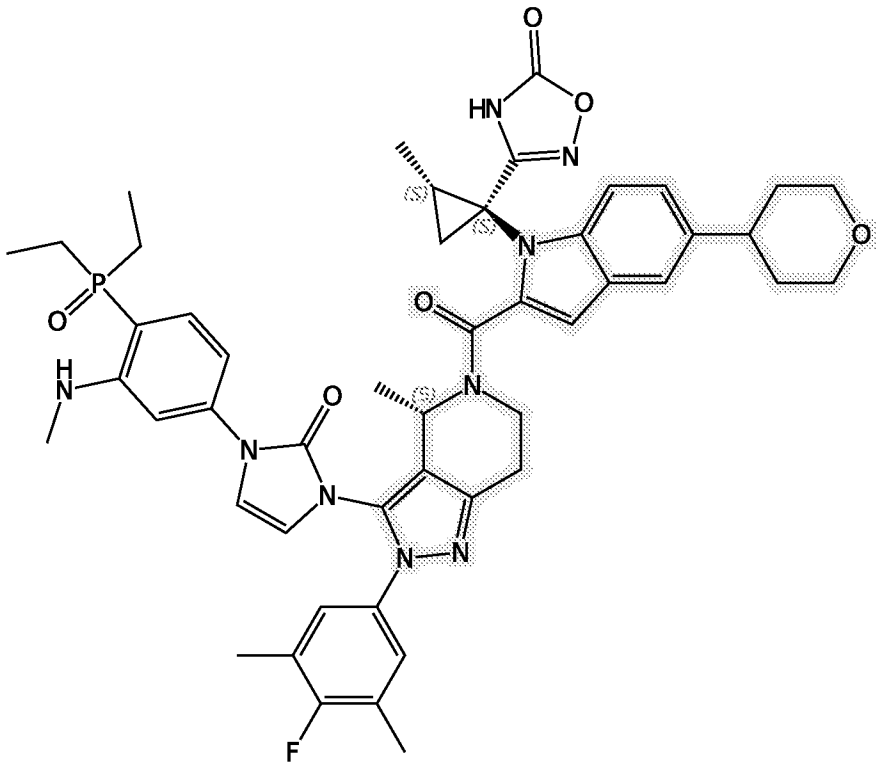
RN 3044053-01-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• 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 |

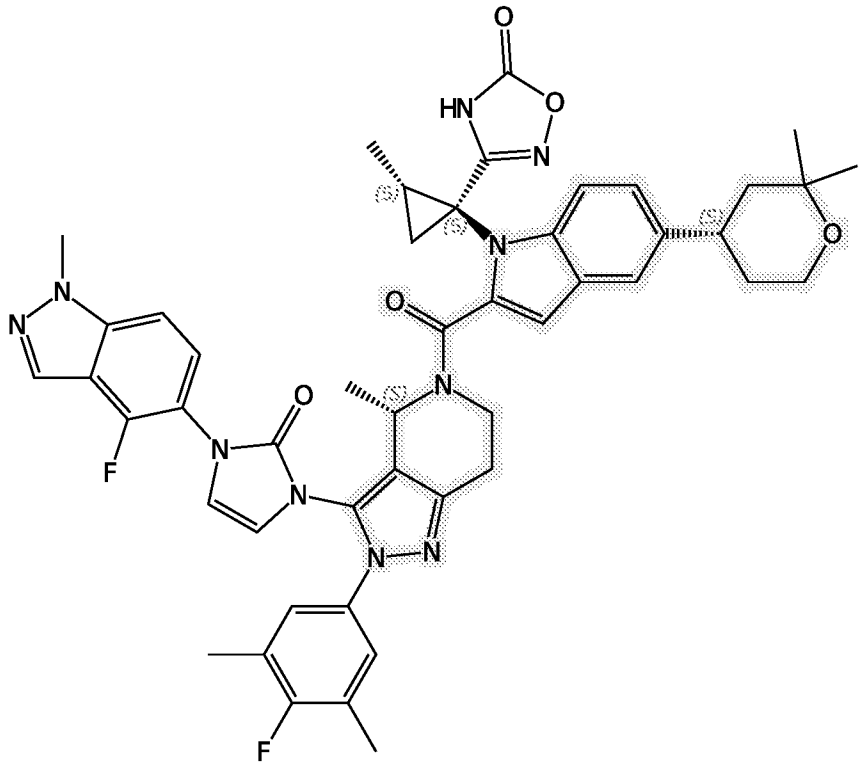
L3 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2024:1345182 CAPLUS Full-text
DOCUMENT NUMBER: 187:129138
TITLE: Composition containing orforglipron and method for treating subject with type 2 diabetes (T2D), obesity, or overweight with at least one weight related comorbidity
INVENTOR(S): 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:

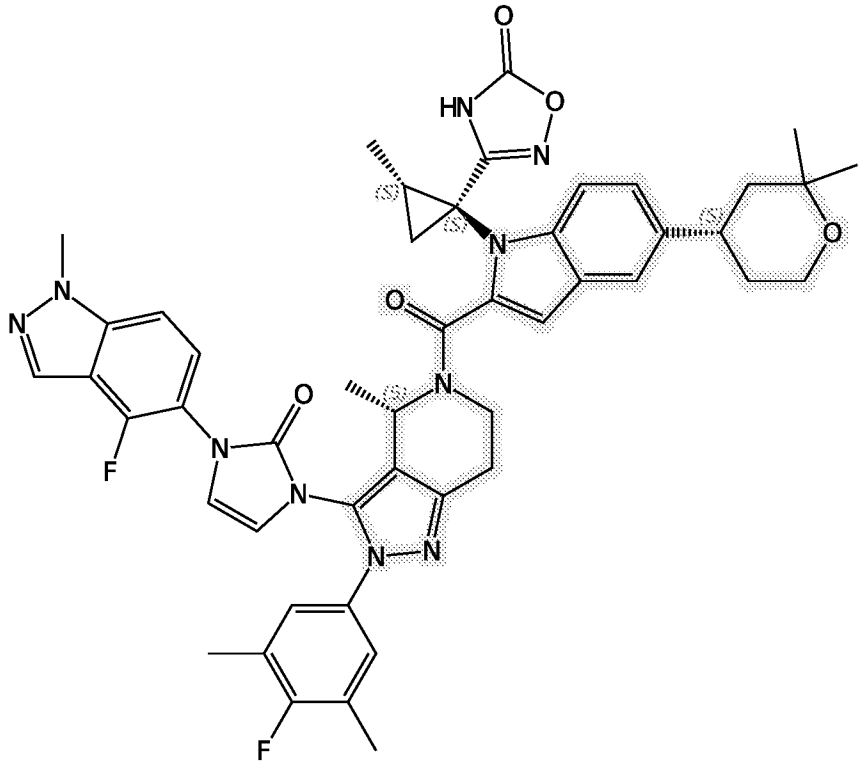
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 20240148833 | A1 | 20240509 | US 2023-18501740 | | 20231103 |
| WO 2024098005 | A1 | 20240510 | WO 2023-US78704 | | 20231103 |
| PRIORITY APPLN. INFO.: | | | US 2022-63382287 | P | 20221103 |

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:

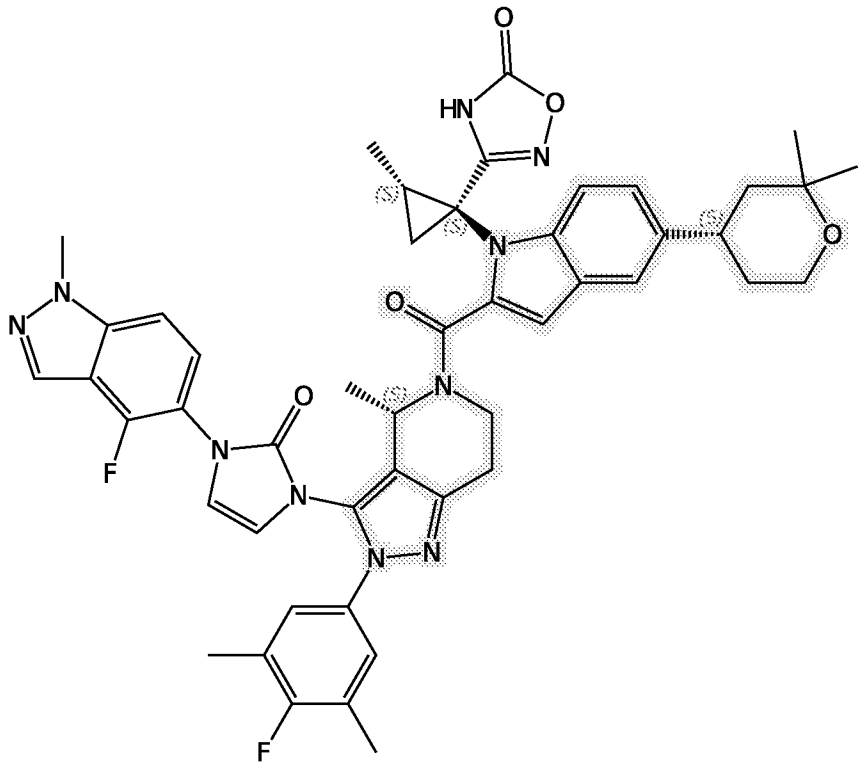
| PATENT NO. | KIND | STATUS | STATUS DATE |
|---|---|---|---|
| CN 117964617 | A | Alive | 20240516 |

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

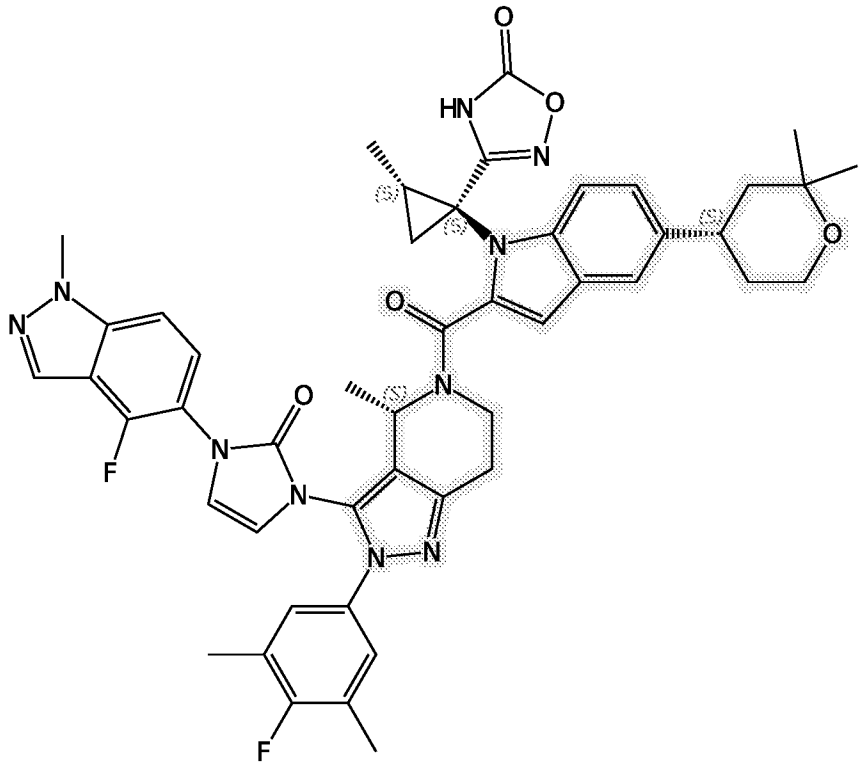
LINK INFORMATION

| 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:

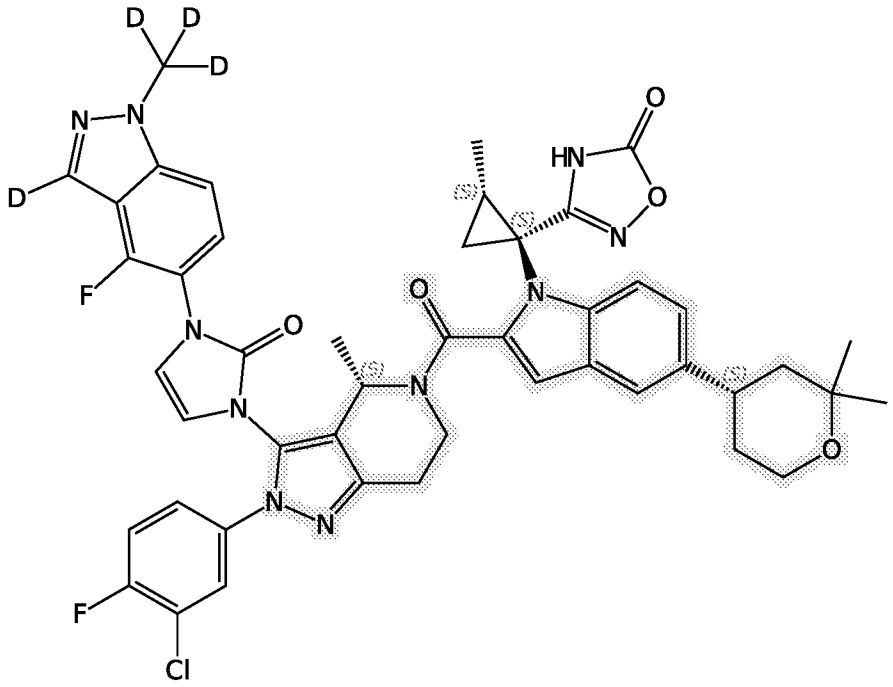
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 117447493 | A | 20240126 | CN 2023-11785556 | 20231225 |

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 |
|---|---|---|---|

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|---|---|---|---|---|
| US 11584751 | B1 | Alive | 20230302 |
| US 20230051320 | A1 | Alive | 20230831 |
| US 12037339 | B2 | Alive | 20230831 |

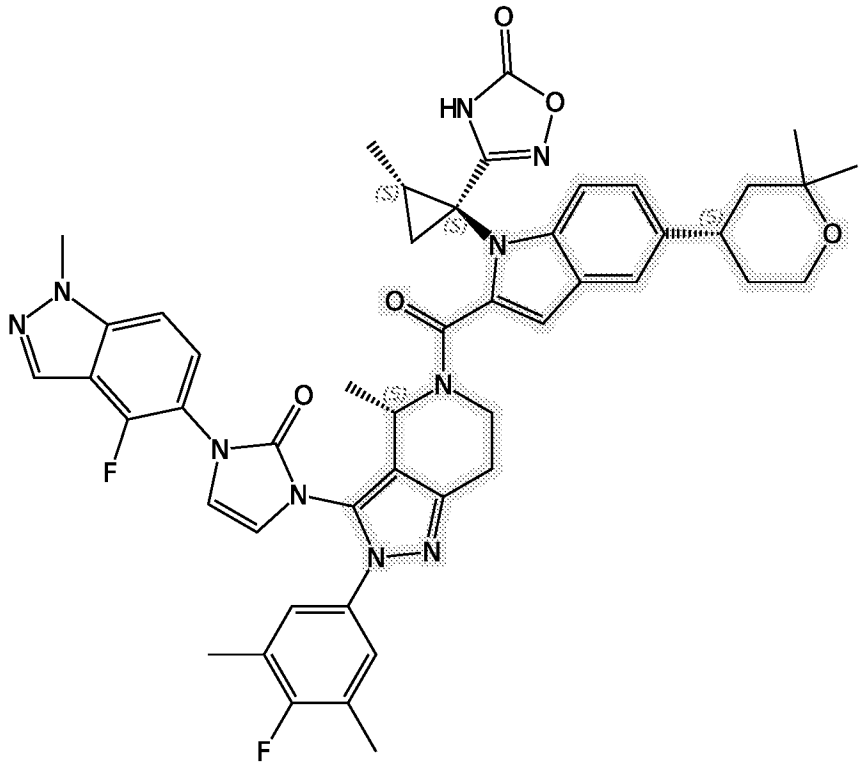
OTHER SOURCE(S): CASREACT 185:30523; MARPAT 185:30523

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)



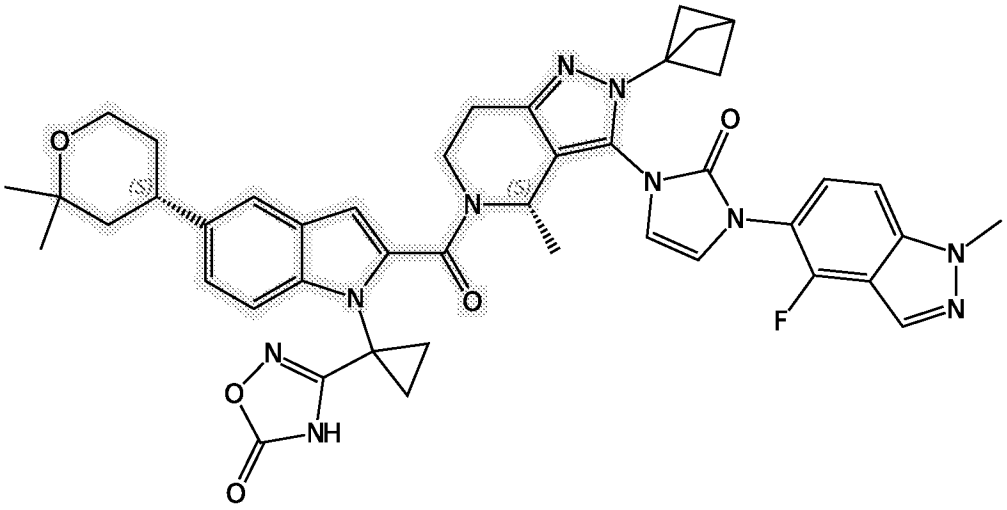
Absolute stereochemistry shown

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

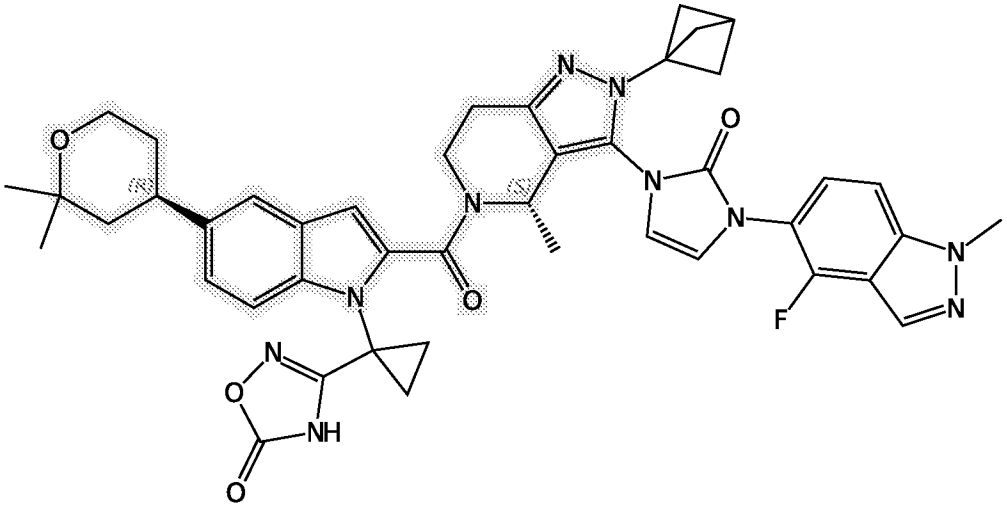
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-bicyclo[1.1.1]pent-1-yl-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,

2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



Absolute stereochemistry shown

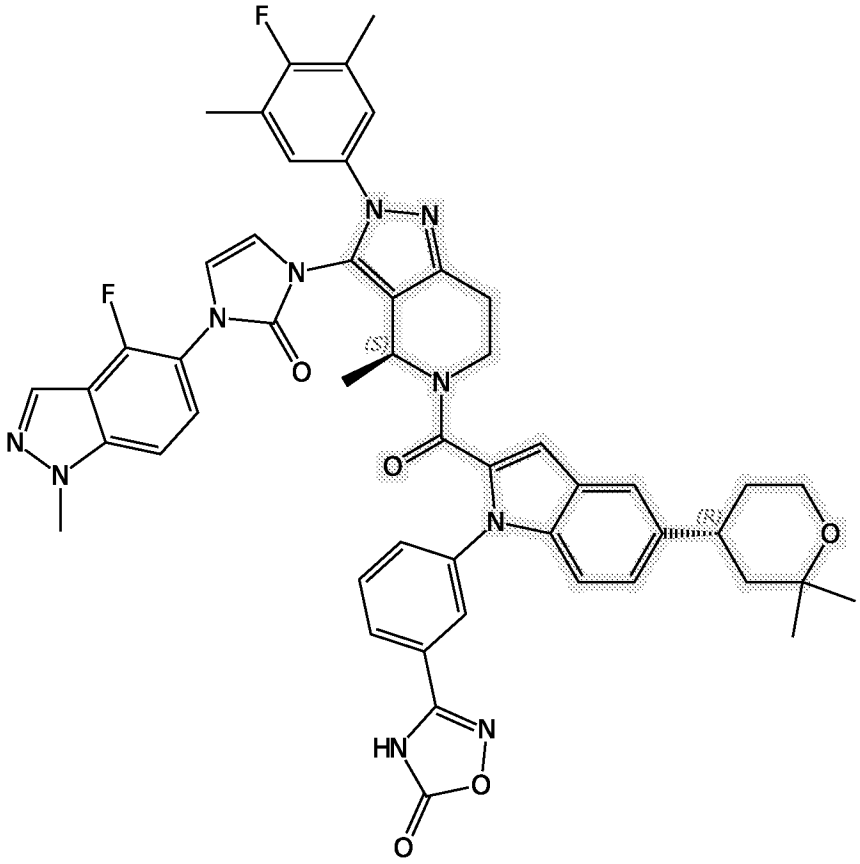
RN 2758658-76-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-bicyclo[1.1.1]pent-1-yl-3-[3-(4
-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-te
trahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,
2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



Absolute stereochemistry shown

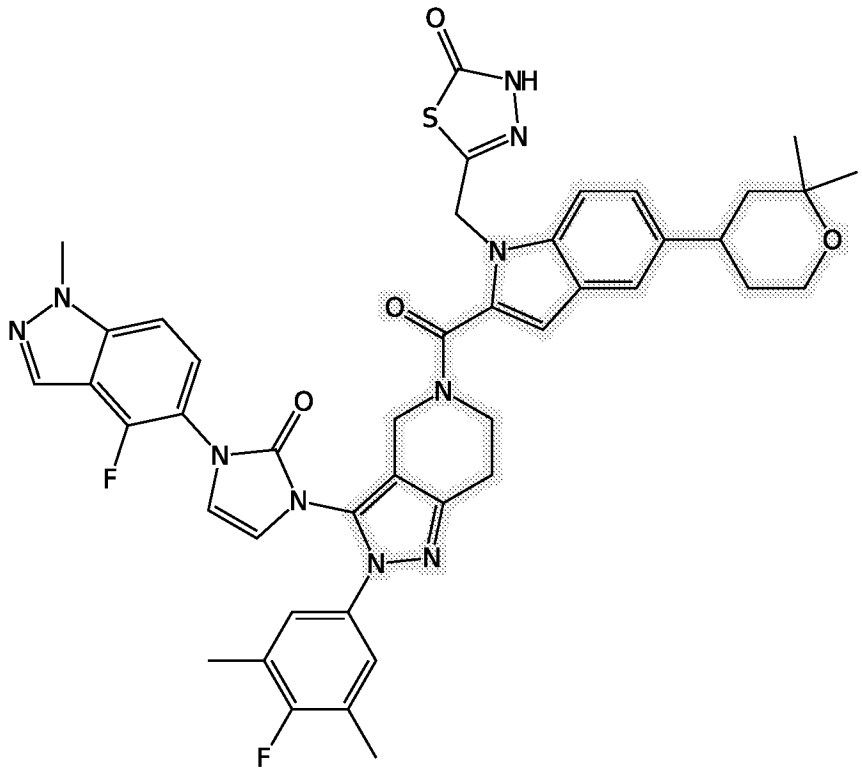
IT 2758657-82-6P 2758657-96-2P 2758658-00-1P
2758658-04-5P 2758658-07-8P 2758658-10-3P
2758658-14-7P 2758658-17-0P 2758658-20-5P
2758658-24-9P 2758658-27-2P 2758658-31-8P
2758658-34-1P 2758658-37-4P 2758658-40-9P
2758658-57-8P 2758658-63-6P 2758658-66-9P
2758658-72-7P 2758658-83-0P 3008600-59-4P
3008601-07-5P 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)

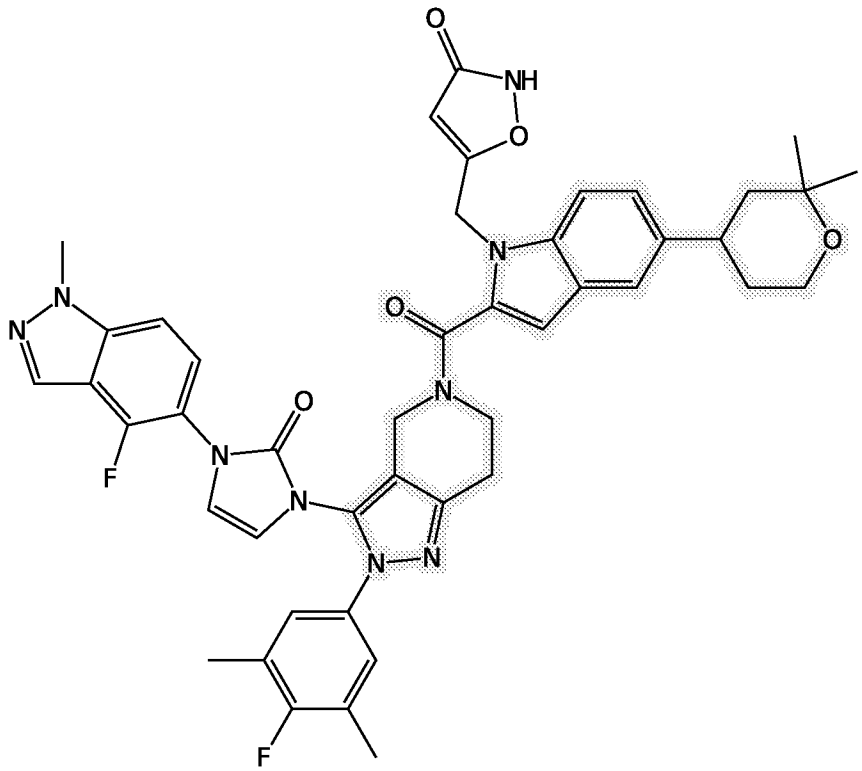


Absolute stereochemistry shown

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)

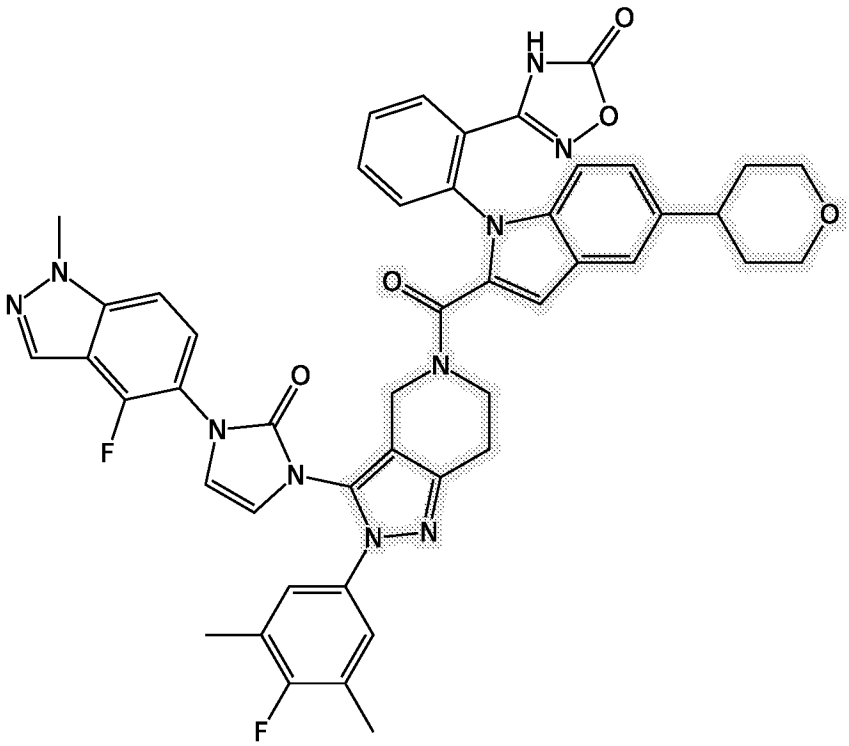


RN 2758658-00-1 CAPLUS
CN 3(2H)-Isoxazolone, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

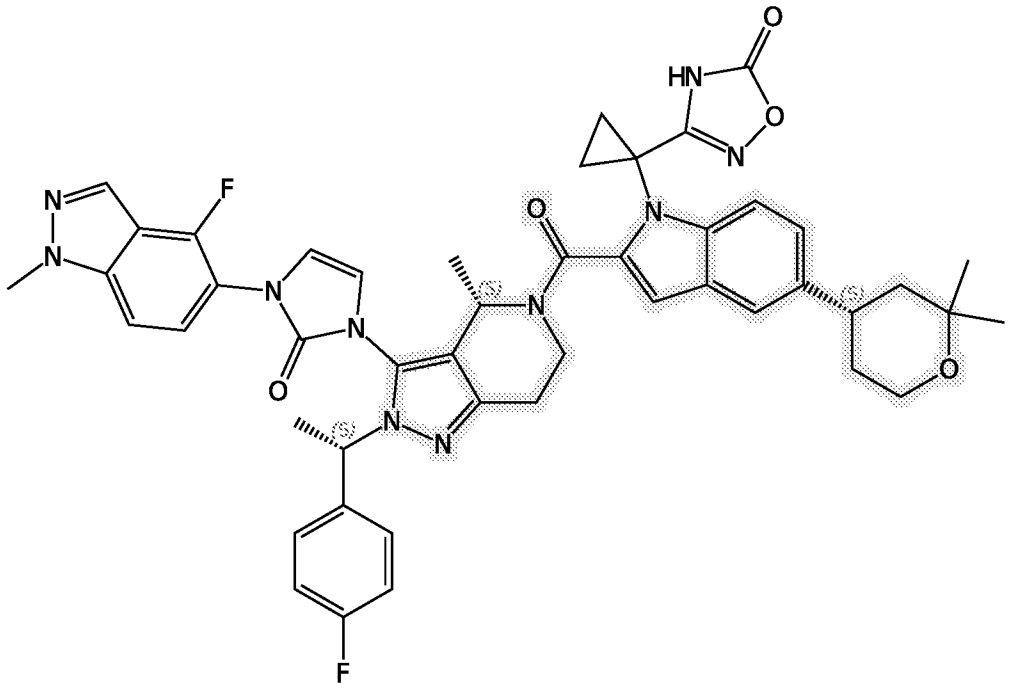


RN 2758658-04-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[2-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(

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)



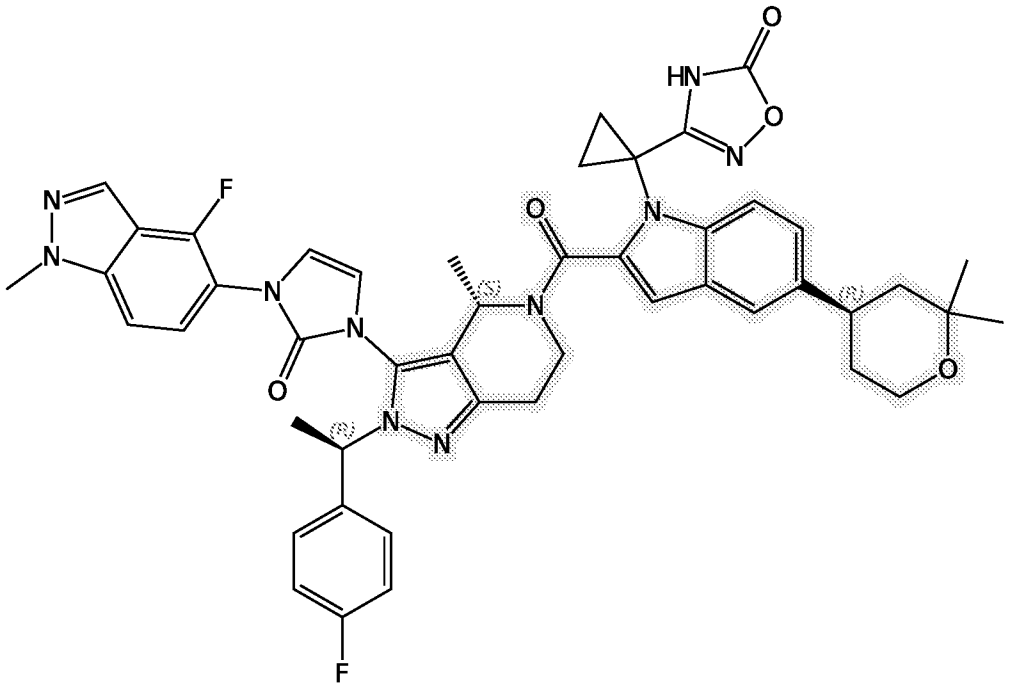
RN   2758658-07-8  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-  (CA INDEX NAME)



Absolute stereochemistry shown

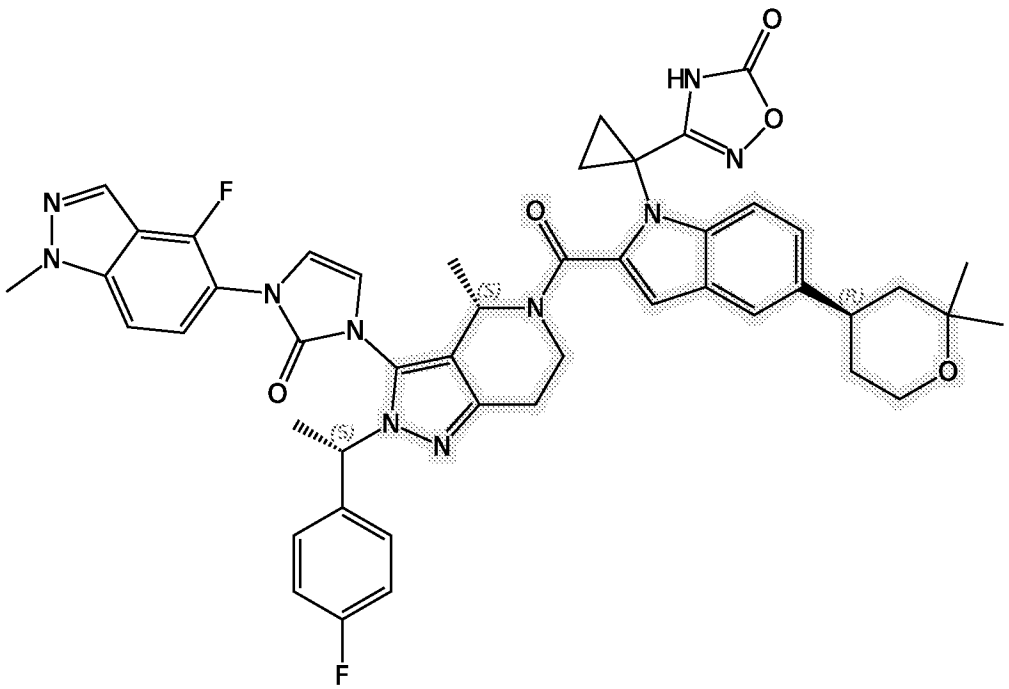
RN   2758658-10-3  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

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

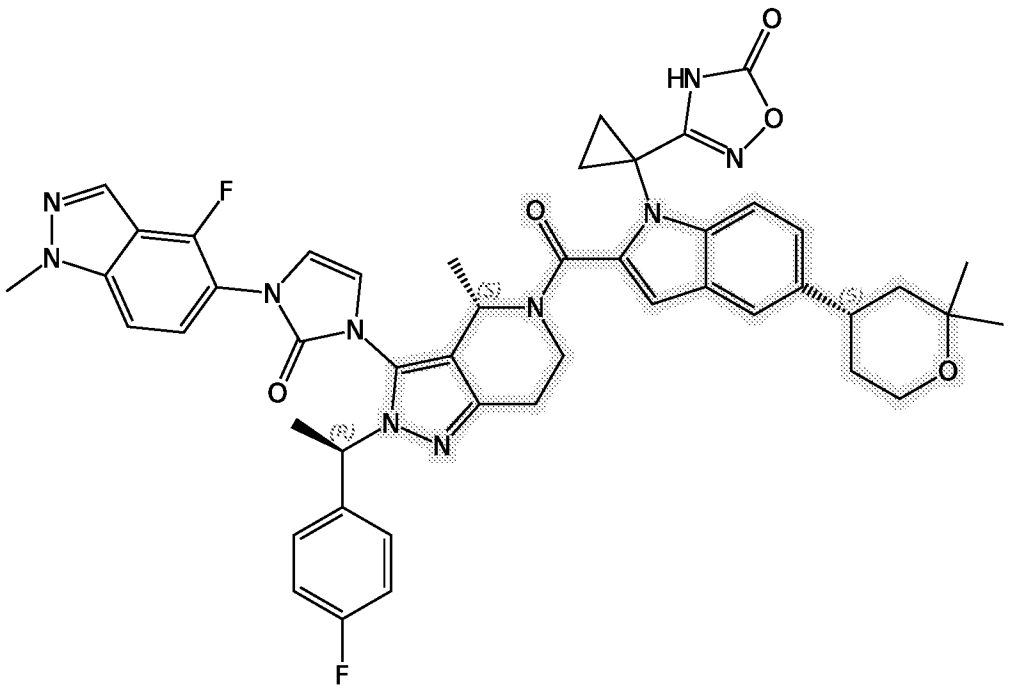
RN   2758658-14-7  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-  (CA INDEX NAME)



Absolute stereochemistry shown

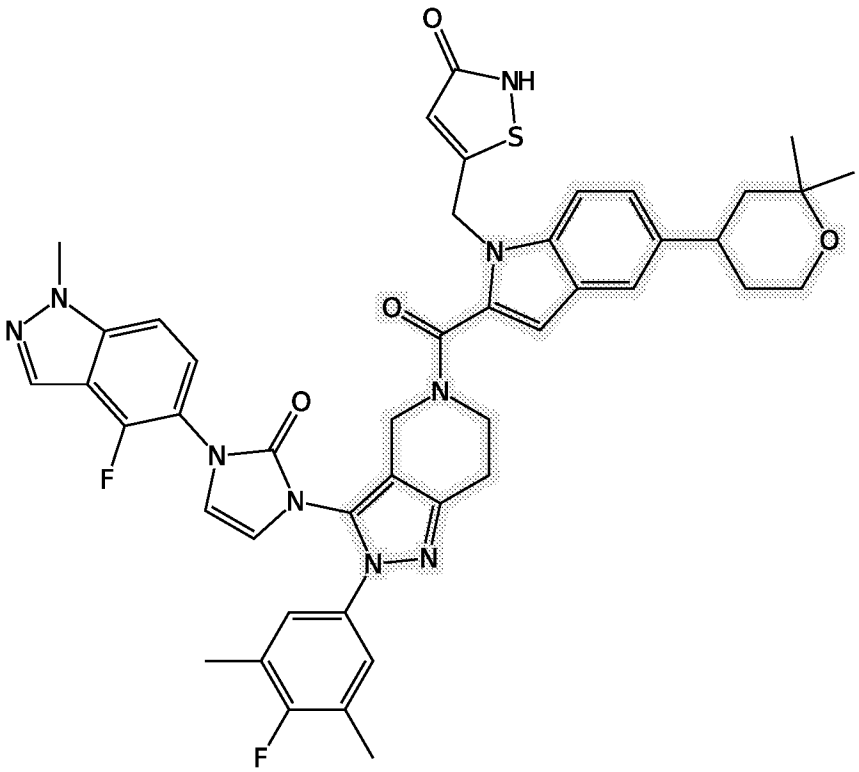
RN   2758658-17-0  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

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)



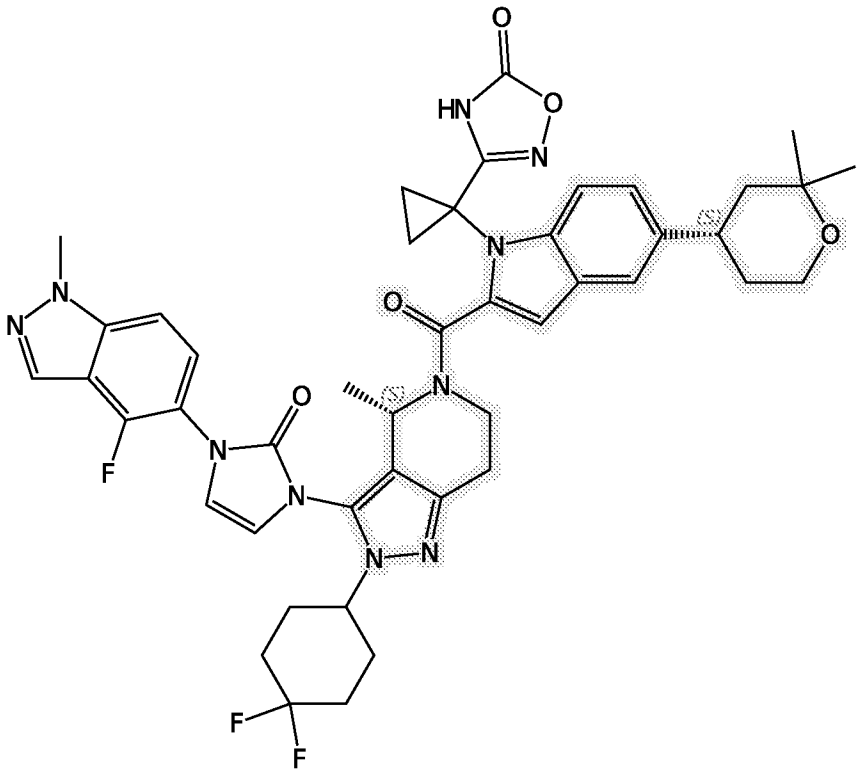
Absolute stereochemistry shown

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)



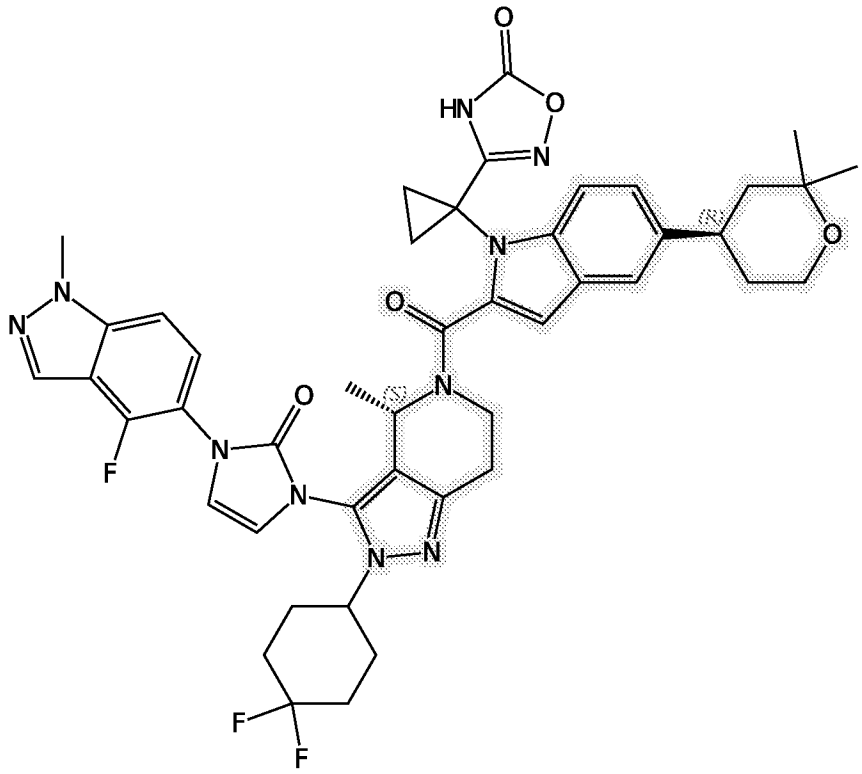
RN   2758658-24-9  CAPLUS

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-t
etrahydro-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)



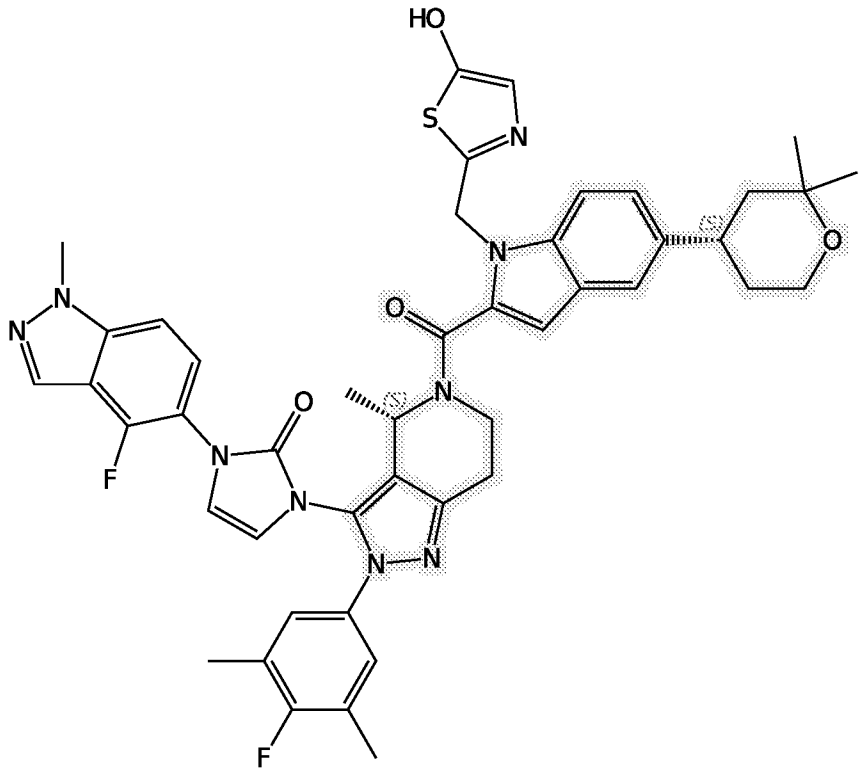
Absolute stereochemistry shown

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-t
etrahydro-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)



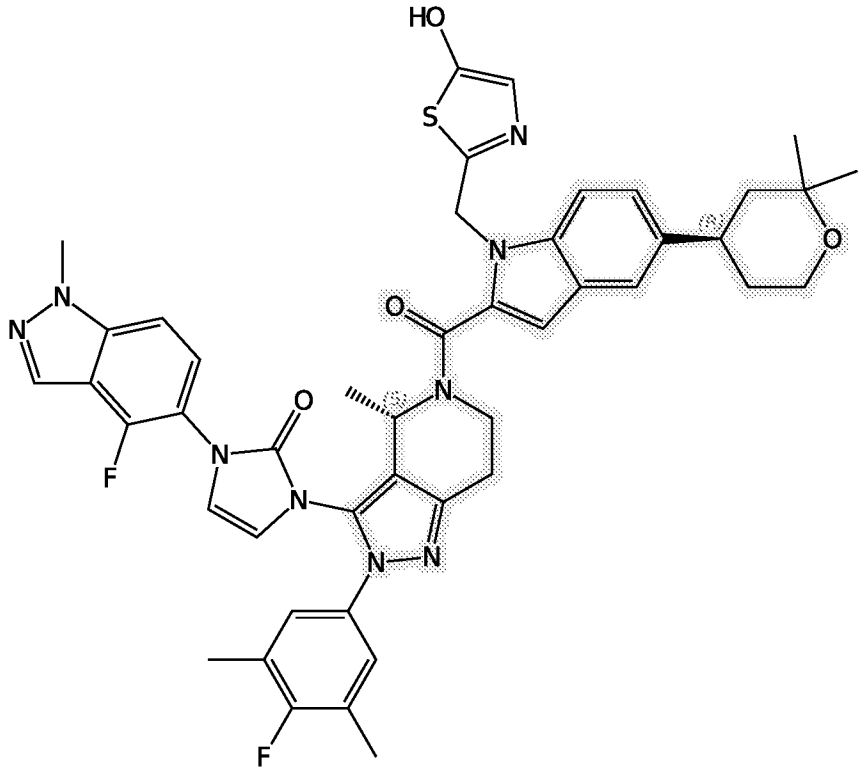
Absolute stereochemistry shown

RN 2758658-31-8 CAPLUS
CN 2H-Imidazol-2-one, 1-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahyd
ro-5-[[1-[(5-hydroxy-2-thiazolyl)methyl]-5-[(4S)-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)



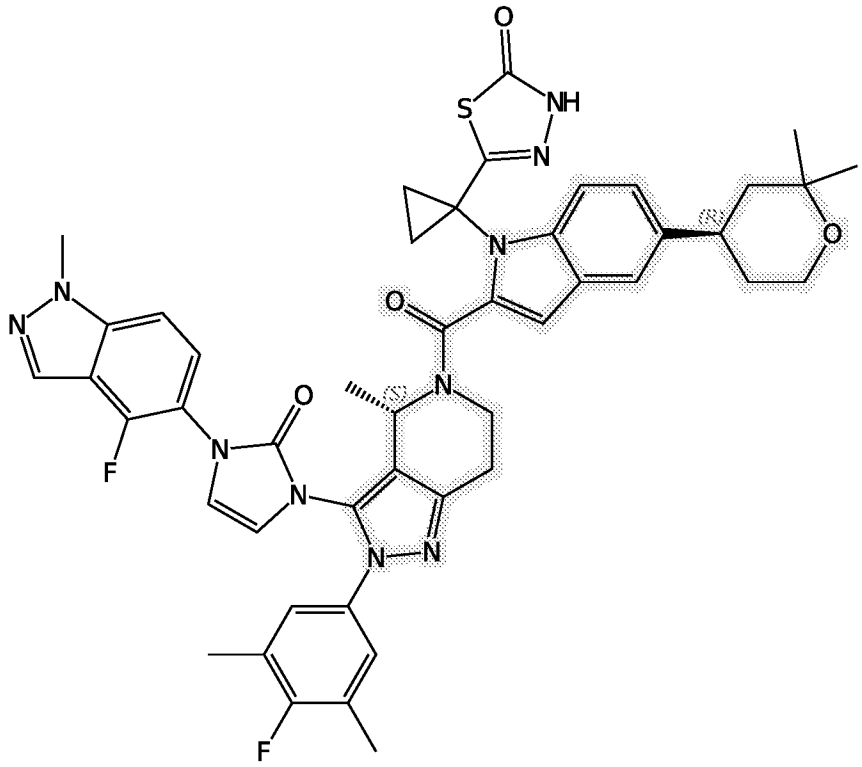
Absolute stereochemistry shown

RN 2758658-34-1 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-[(4R)-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)



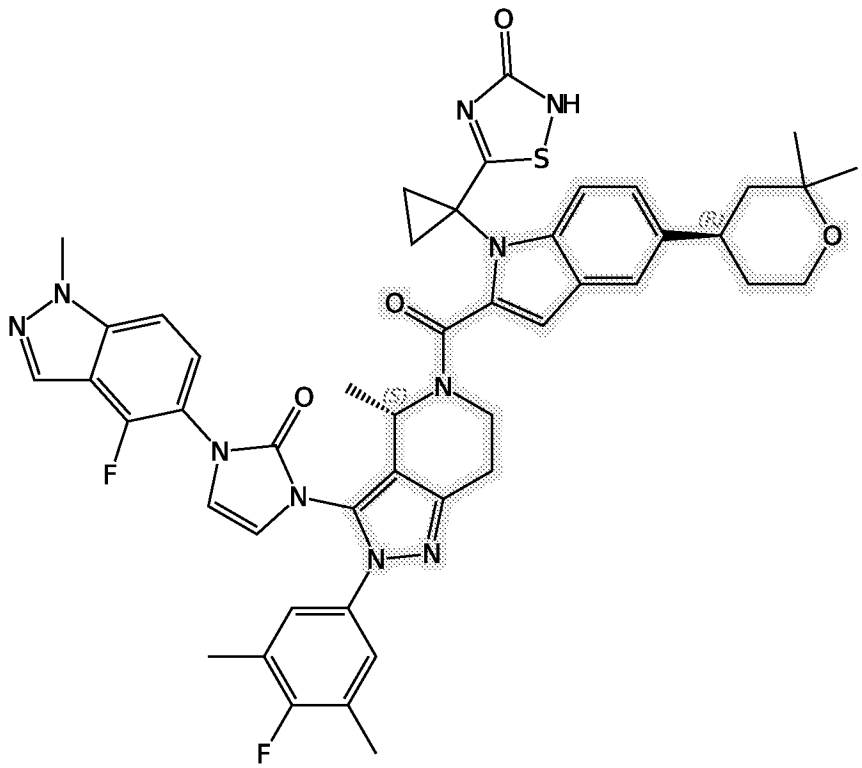

Absolute stereochemistry shown

RN 2758658-37-4 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)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



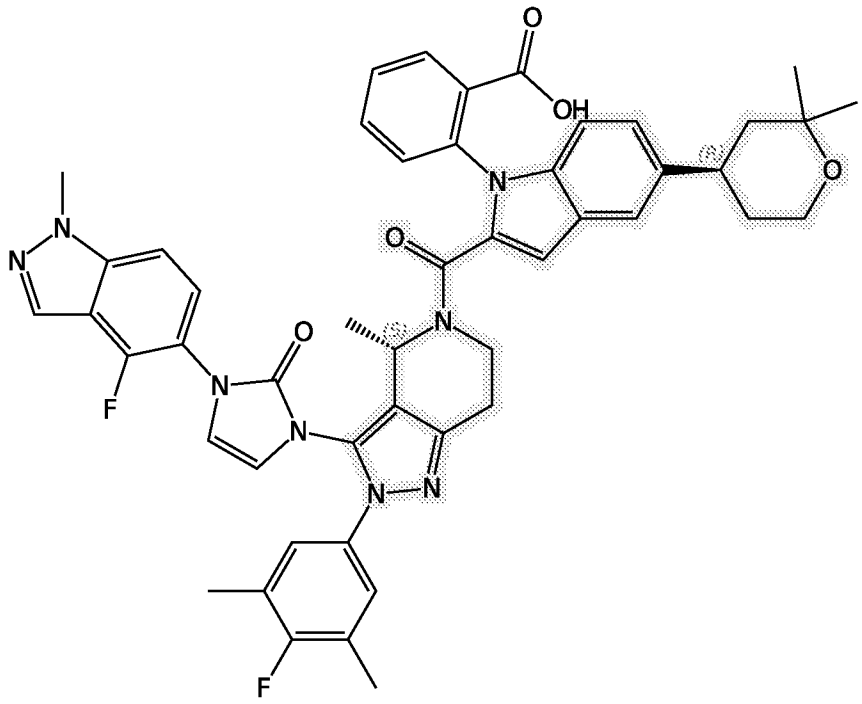
Absolute stereochemistry shown

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)



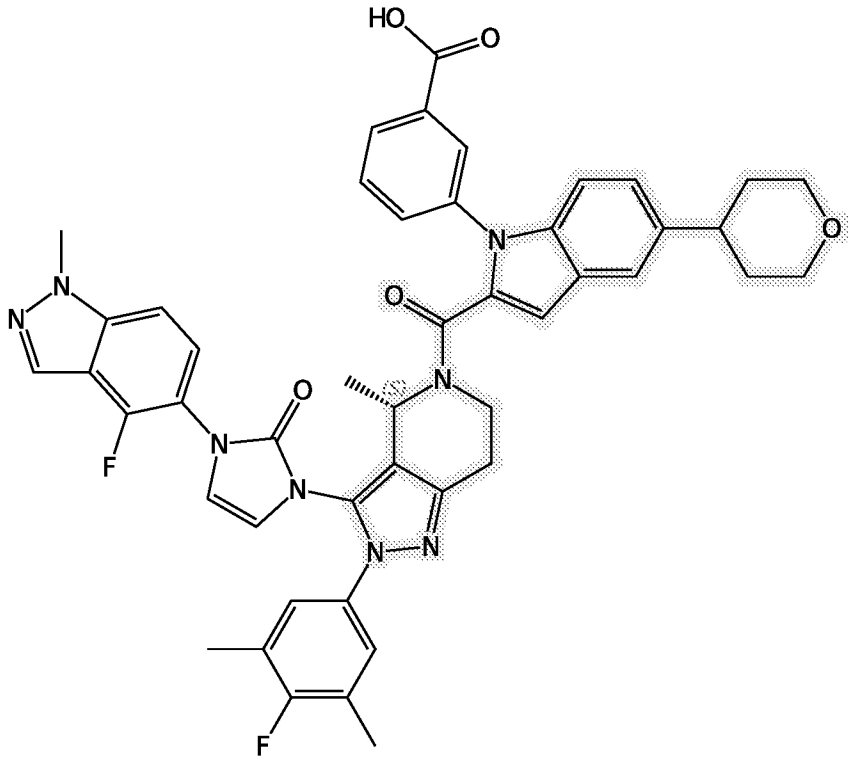
Absolute stereochemistry shown

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)



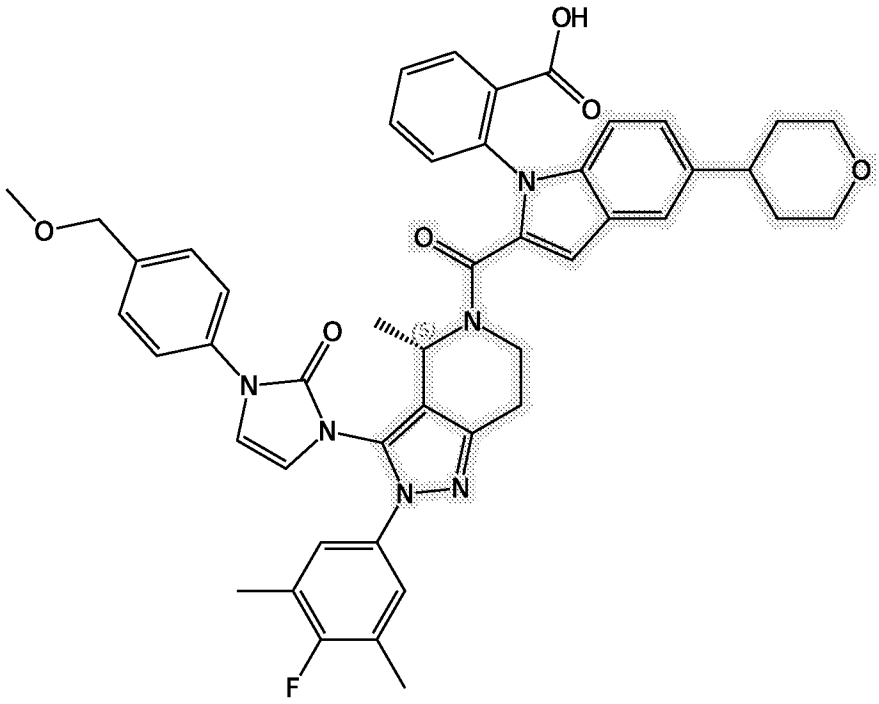
Absolute stereochemistry shown

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)



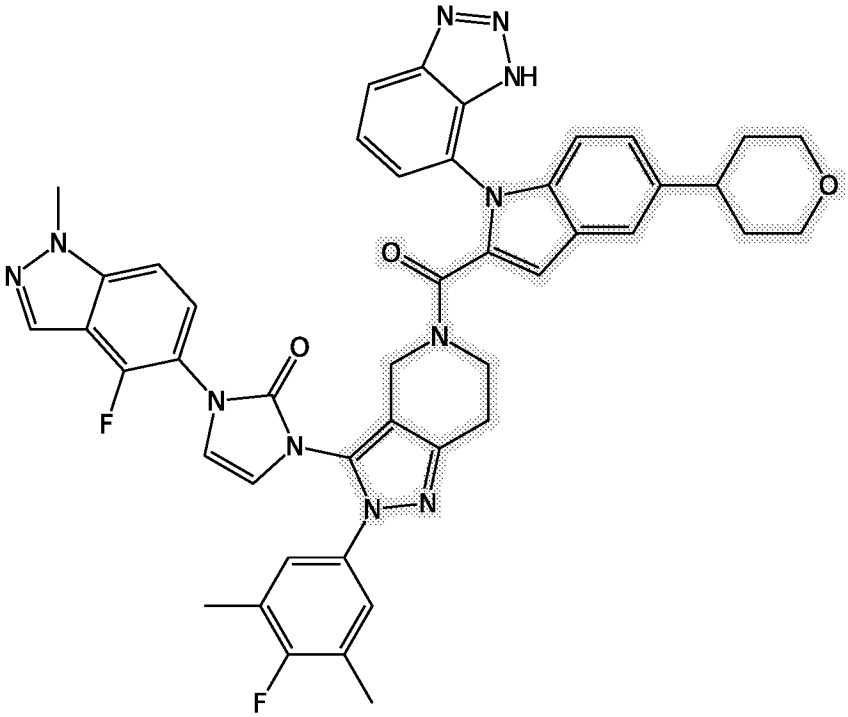
Absolute stereochemistry shown

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)

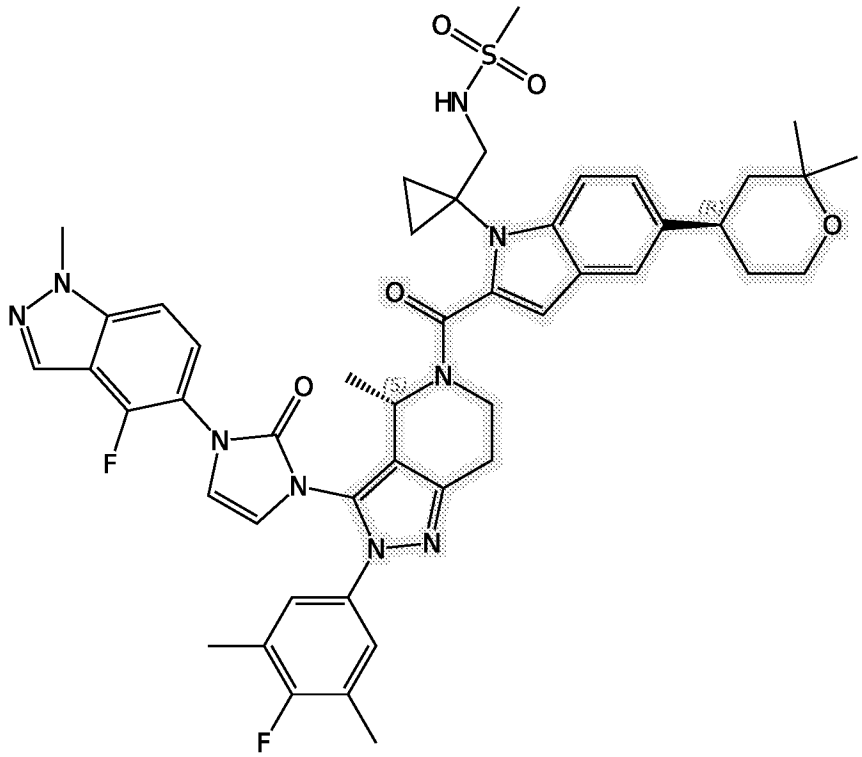


Absolute stereochemistry shown

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)

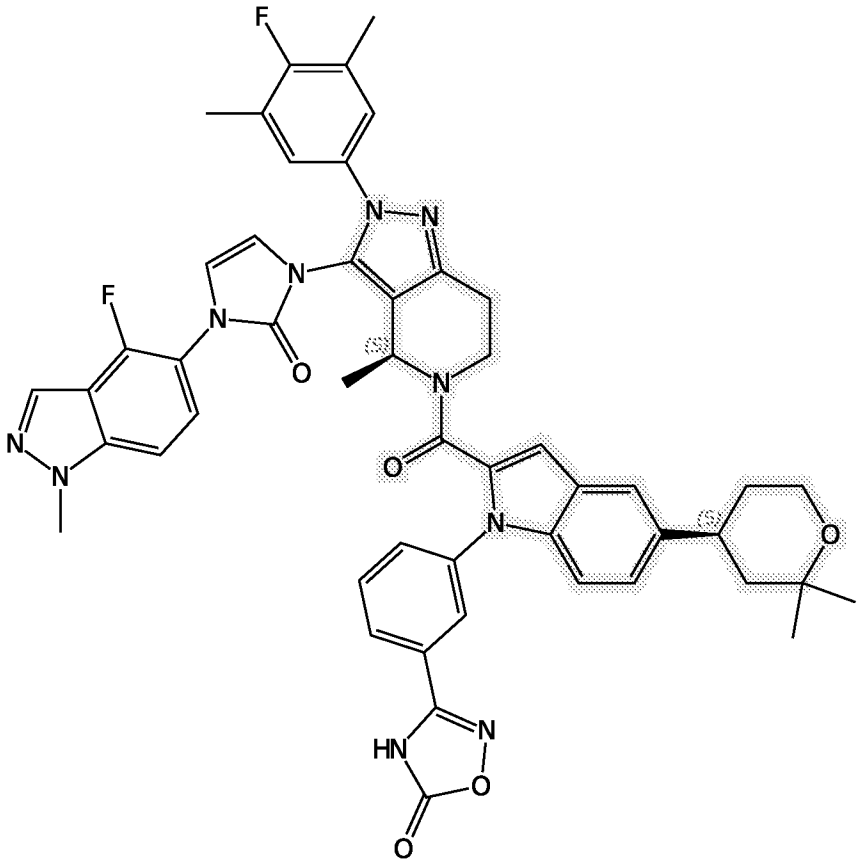


RN 2758658-83-0 CAPLUS
CN Methanesulfonamide, N-[[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]methyl]- (CA INDEX NAME)



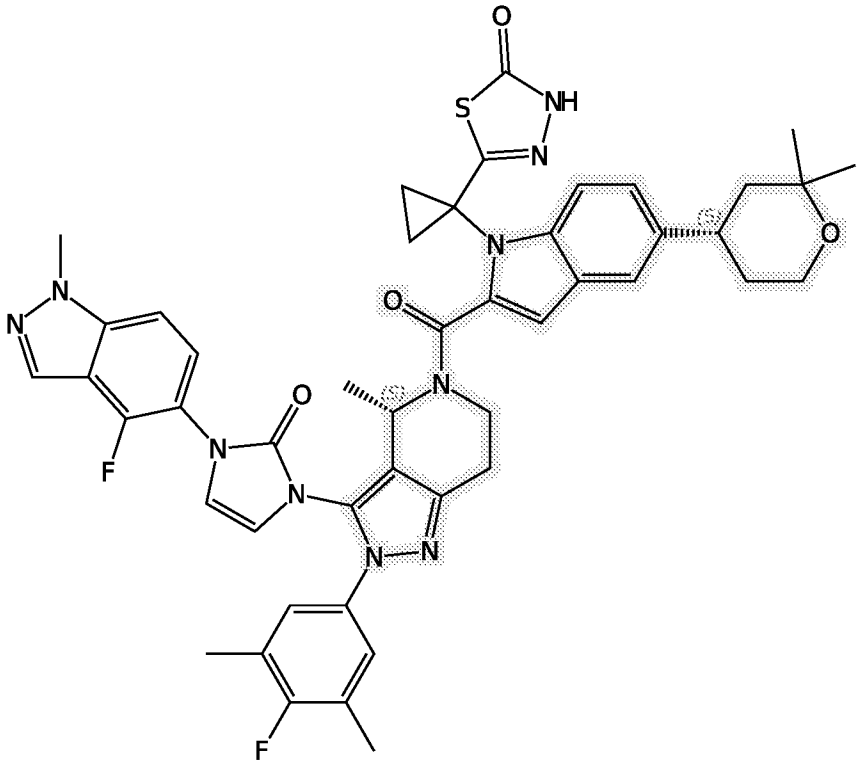
Absolute stereochemistry shown

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)



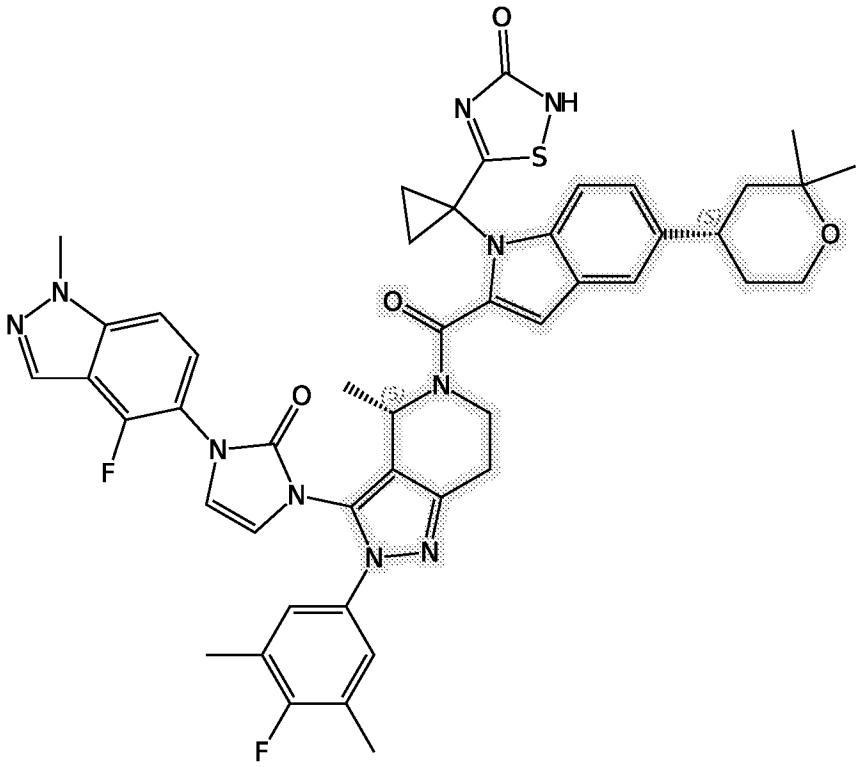
Absolute stereochemistry shown

RN 3008601-07-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



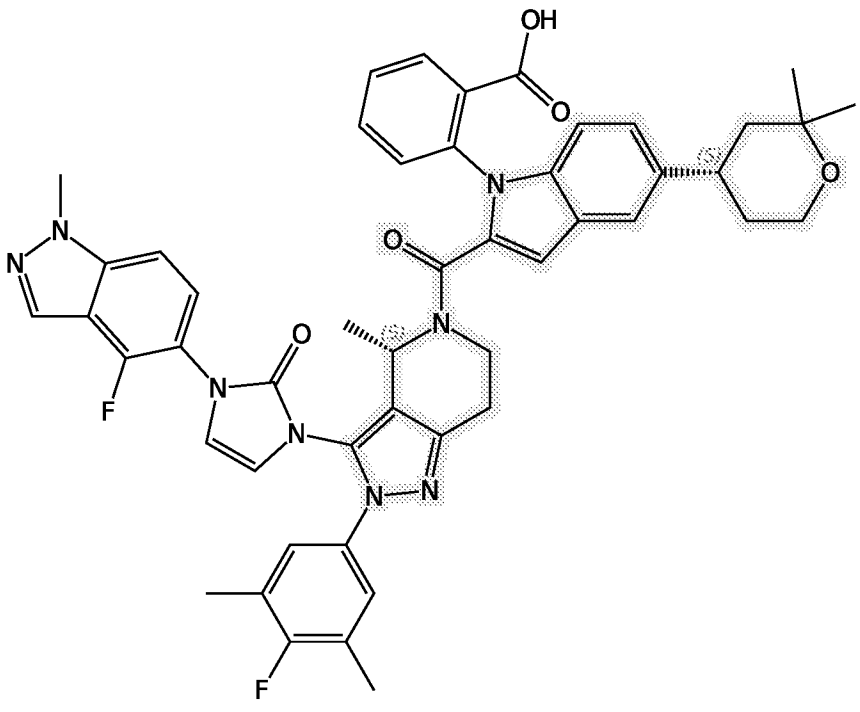
Absolute stereochemistry shown

RN 3008601-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



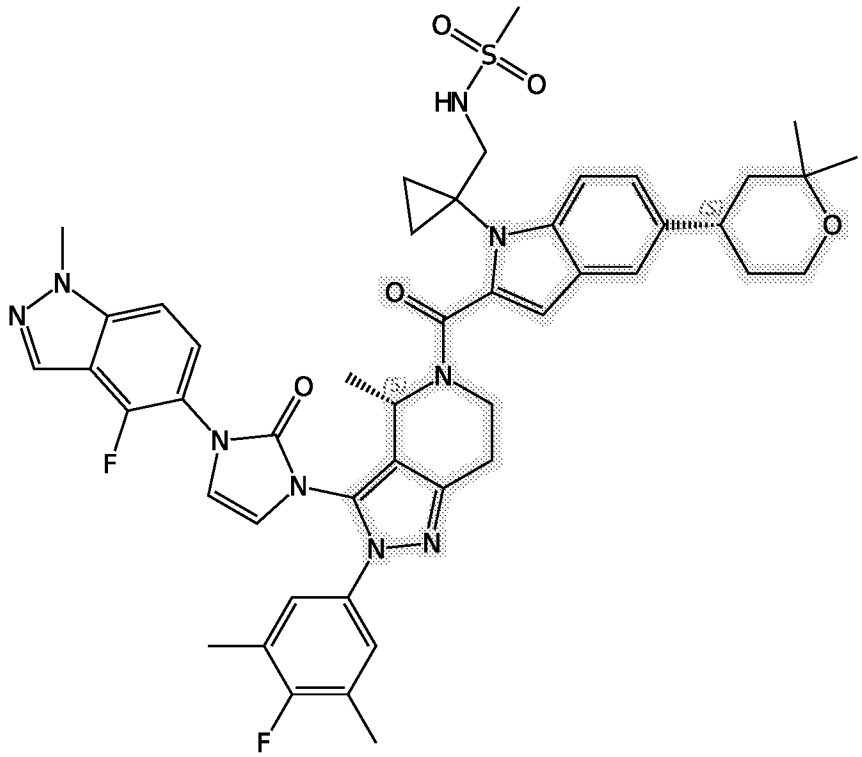
Absolute stereochemistry shown

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)



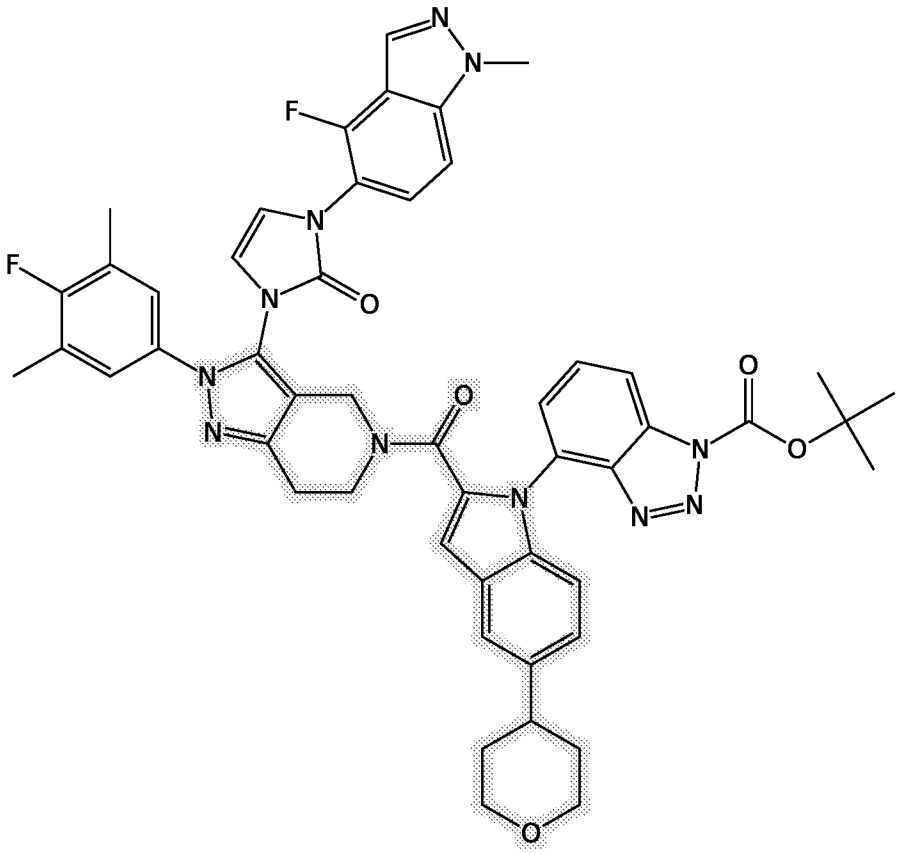
Absolute stereochemistry shown

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 |

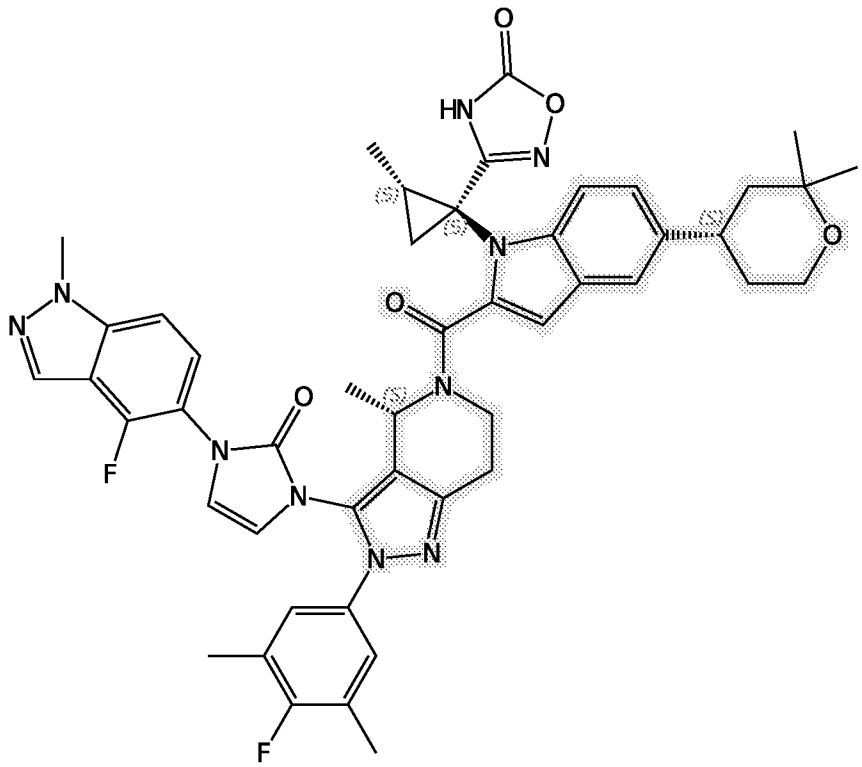
L3 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:2360096 CAPLUS Full-text
DOCUMENT NUMBER: 184:347210
TITLE: Pharmaceutical tablet composition containing glucagon-like peptide-1 for treating Type 2 diabetes mellitus
INVENTOR(S): Aburub, Aktham; Allgeier, Matthew Carl; Hanson, 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 |

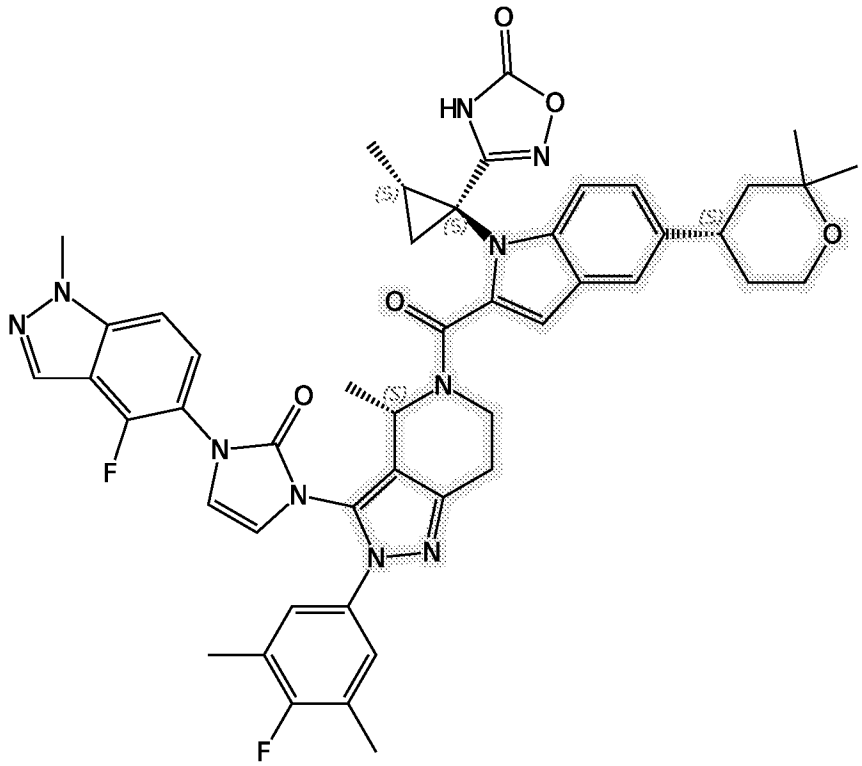
OTHER SOURCE(S): CASFORMULTNS 2023:2360082

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.)

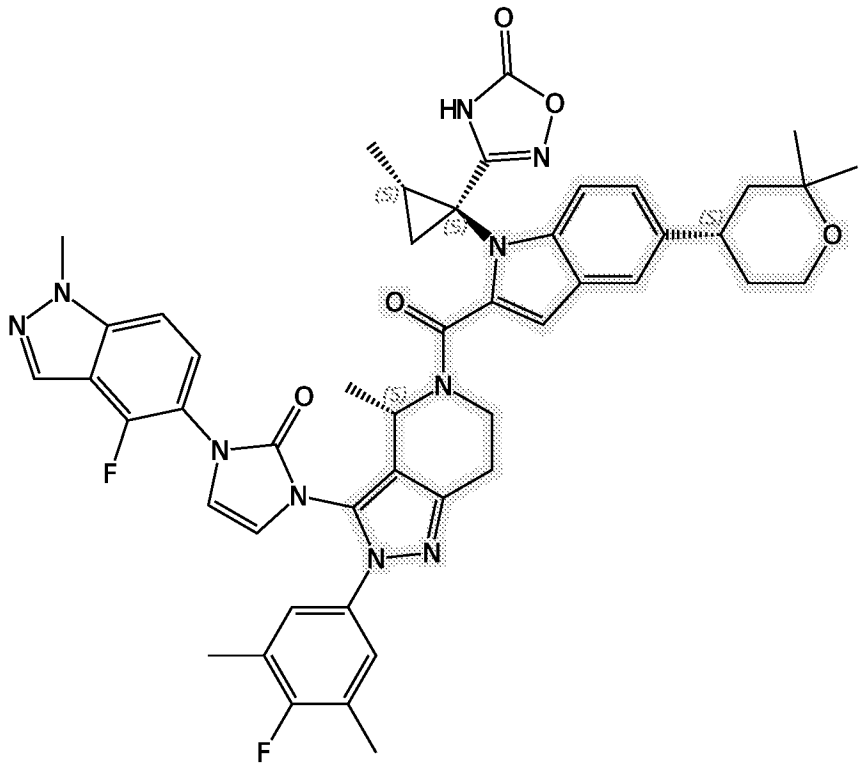
RN 3008544-96-2 CAPLUS

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)




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 |

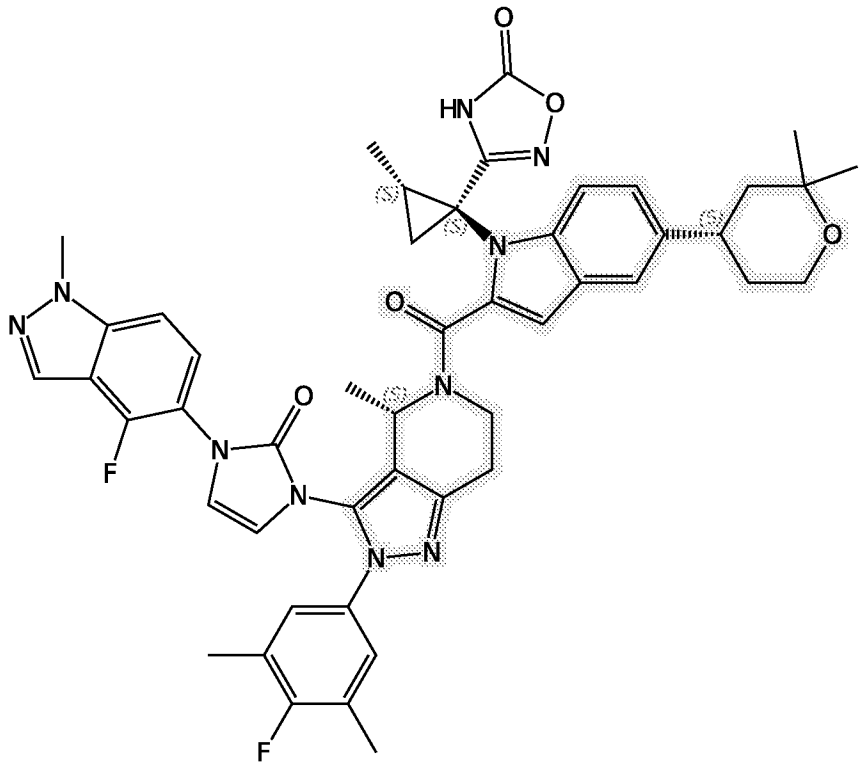
L3 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:2160033 CAPLUS Full-text
DOCUMENT NUMBER: 184:327495
TITLE: Safety and efficacy of the new, oral, small-molecule, GLP-1 receptor agonists orforglipron and danuglipron for the treatment of type 2 diabetes and obesity: systematic 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)

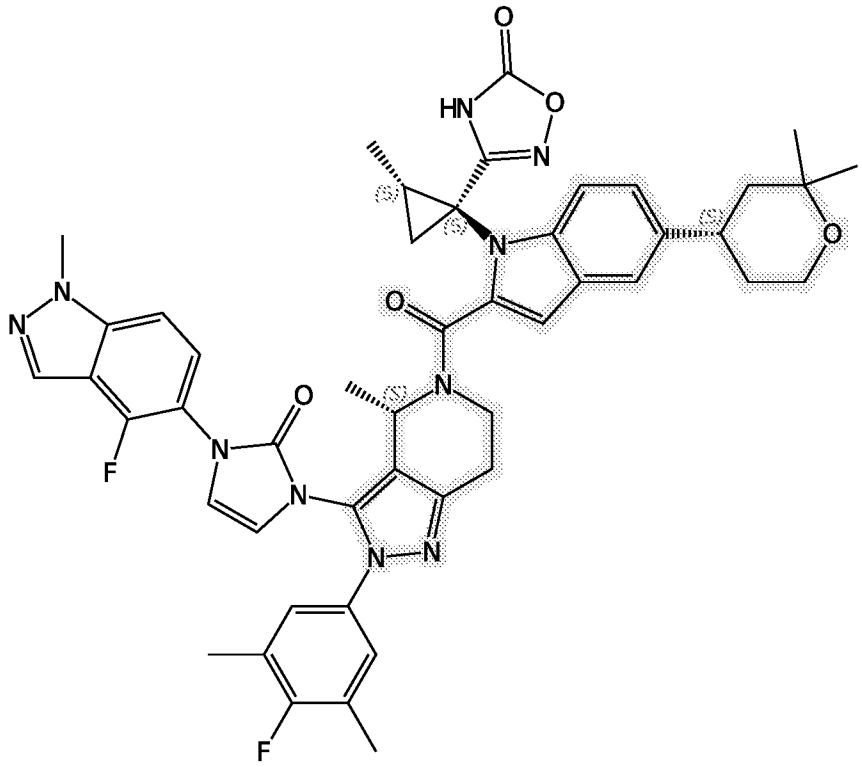


Absolute stereochemistry shown

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

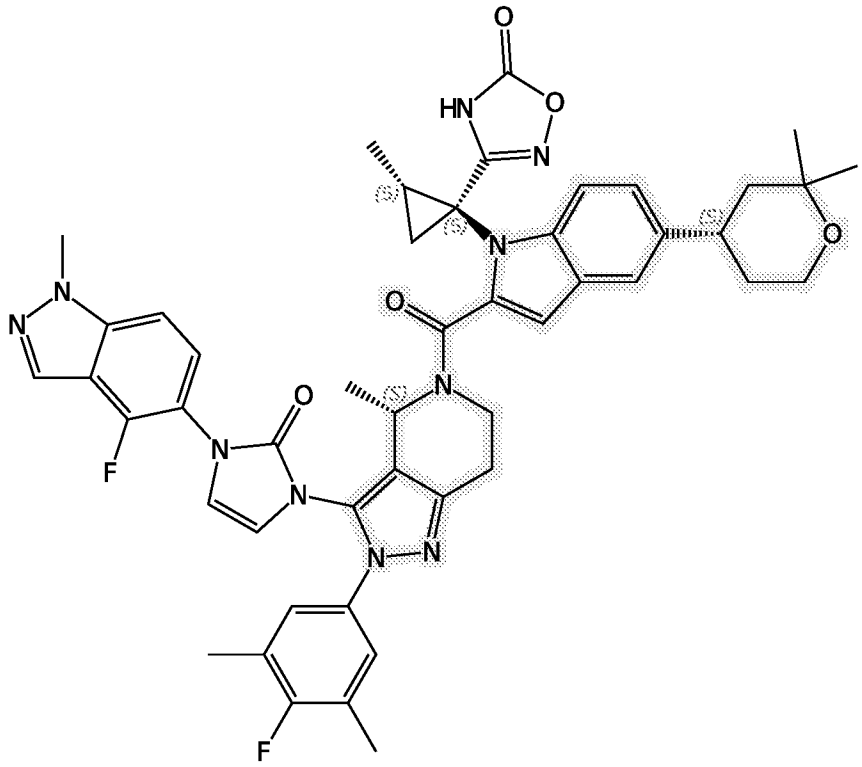
AB Orforglipron, an oral, non-peptide glucagon-like peptide-1 (GLP-1) receptor agonist, is in development for type 2 diabetes and obesity. We assessed the efficacy and safety of orforglipron vs. placebo or dulaglutide in participants with type 2 diabetes. In this 26-wk, phase 2, double-blind, randomised, 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

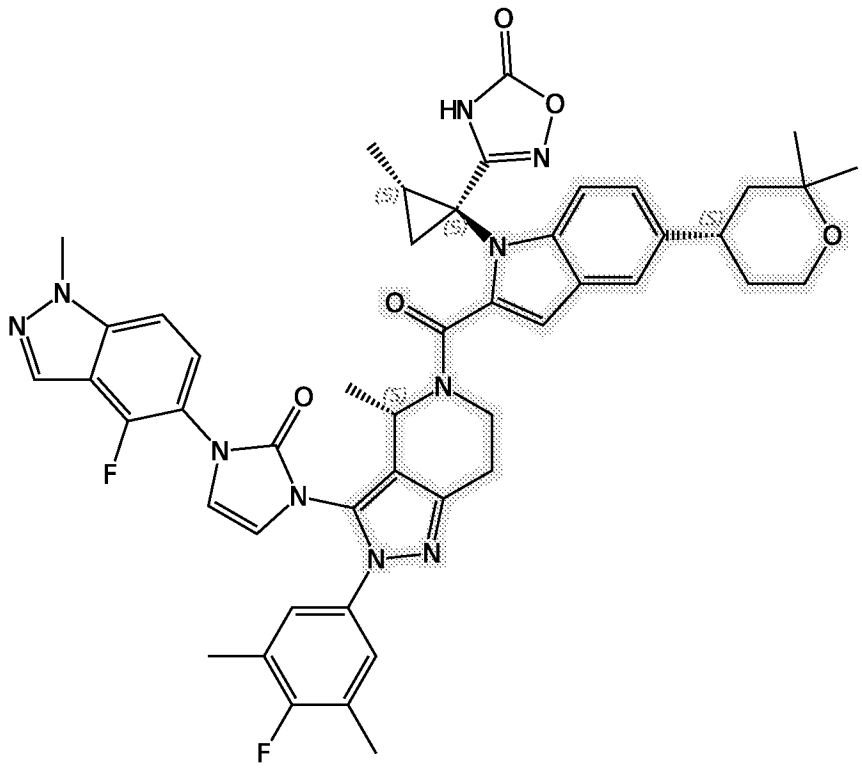
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]-2-methylcyclopropyl]- (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

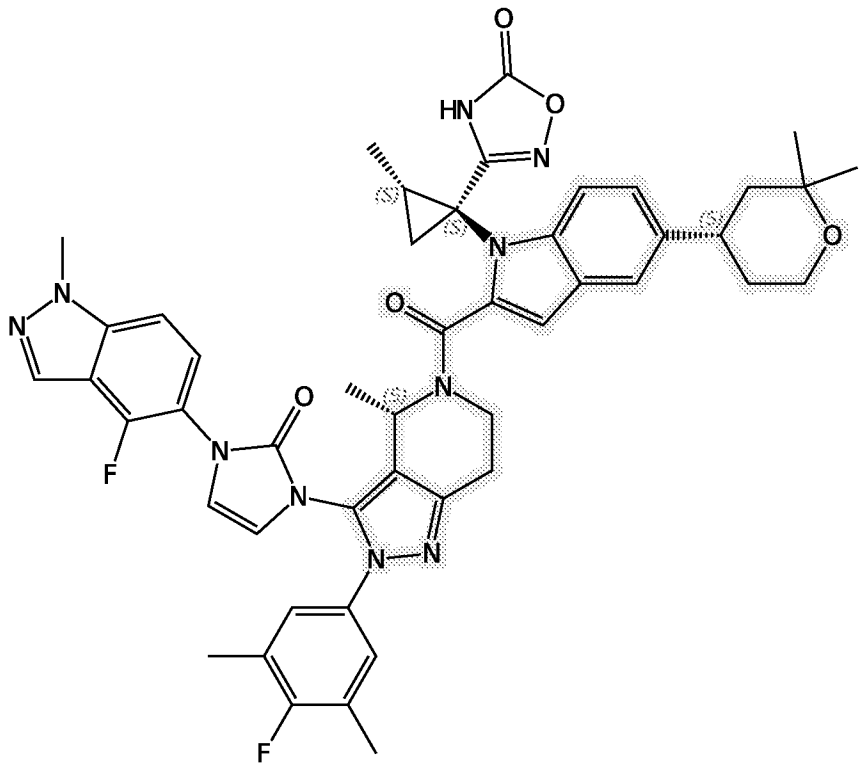
AB To evaluate the safety, tolerability, pharmacokinetics and pharmacodynamics of single and multiple doses of orforglipron (LY3502970), an oral, non-peptide glucagon-like peptide-1 receptor agonist (GLP-1RA) in healthy participants. This was a double-blind, placebo-controlled, Phase 1 study. Overtly 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)

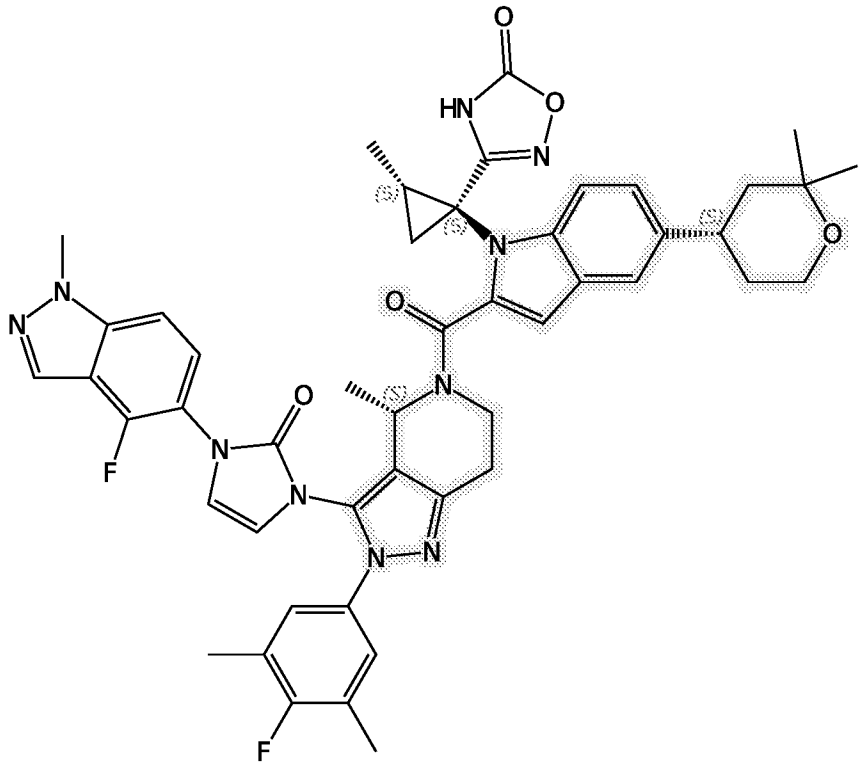


Absolute stereochemistry shown

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.

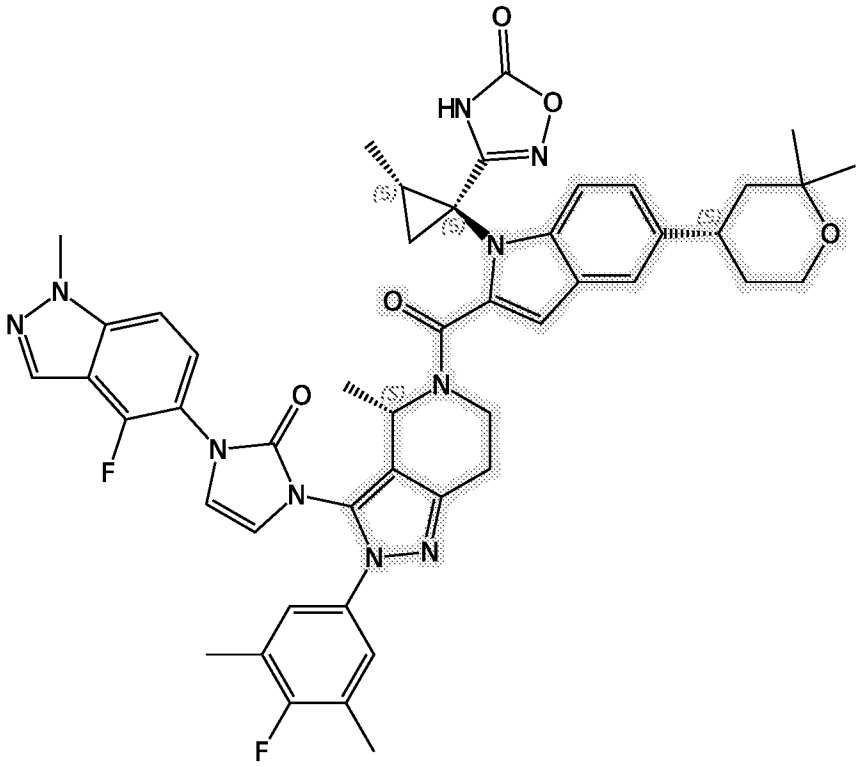
IT INDEXING IN PROGRESS

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

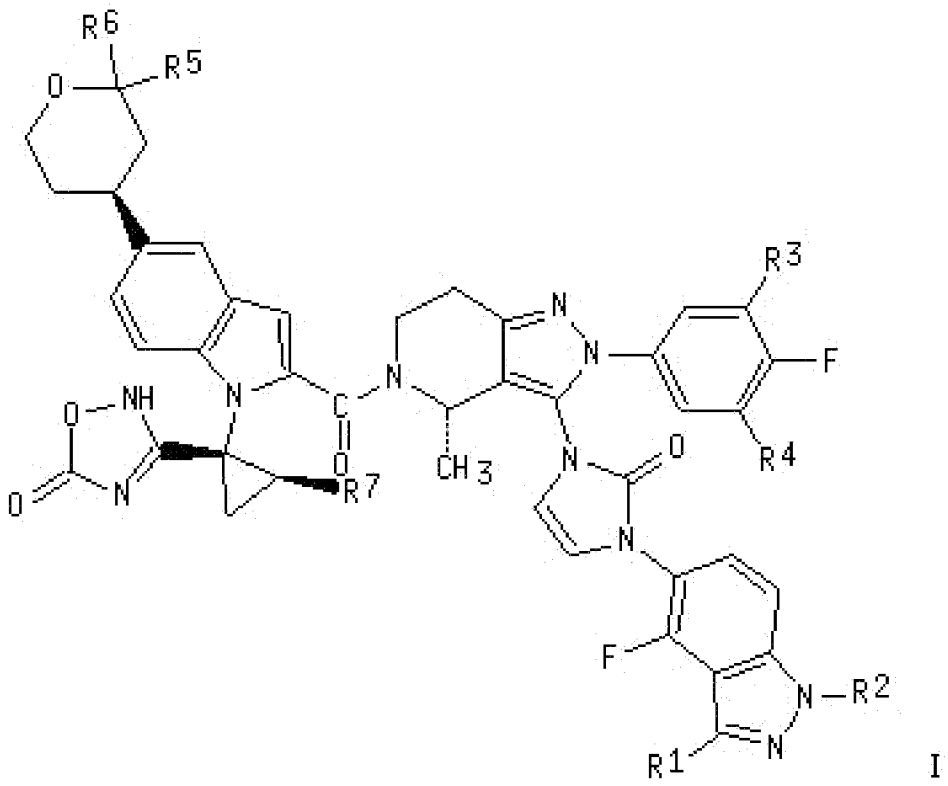
ULTIMATE OWNER STANDARD: YAOKANG ZHONGTUO BEIJING PHARMACEUTICAL TECH
SOURCE: Faming Zhuanli Shenqing, 13pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| 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

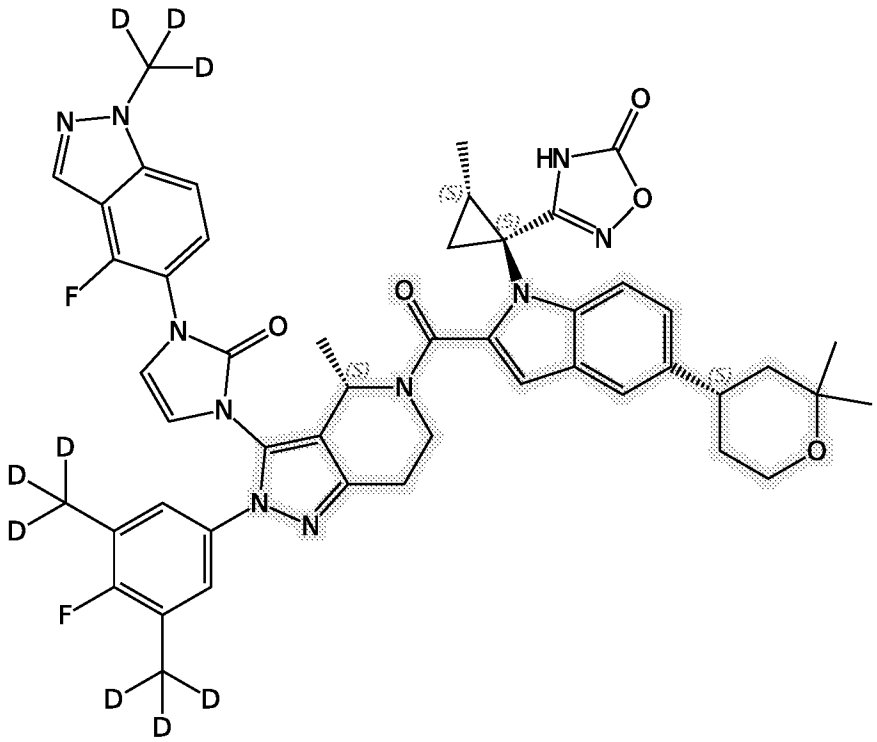



I

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.

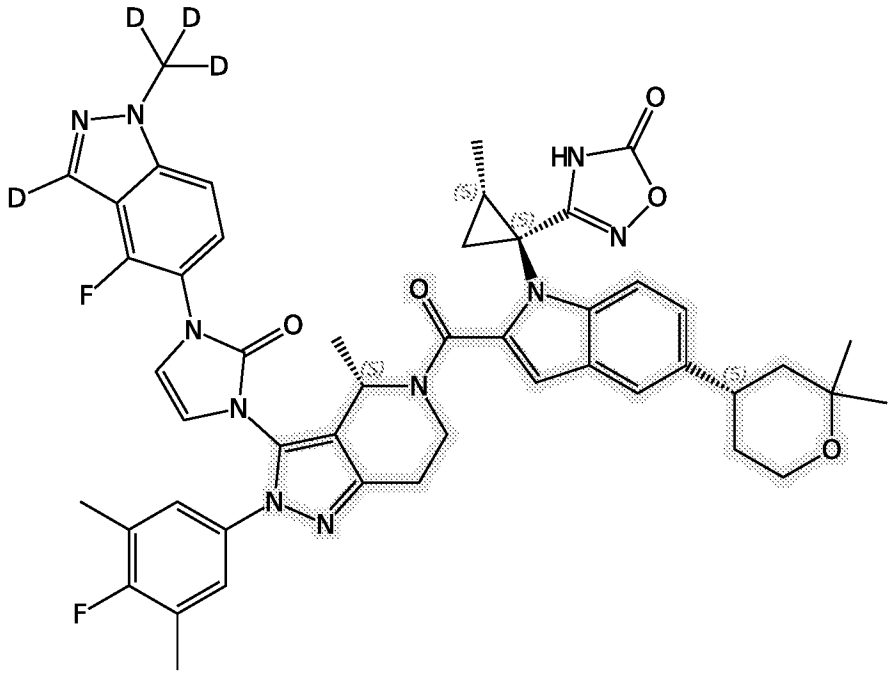
IT 2923760-27-2P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SCLM (Substance claimed); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of deuterated indazole compds. as GLP-1 receptor agonists)

RN 2923760-27-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



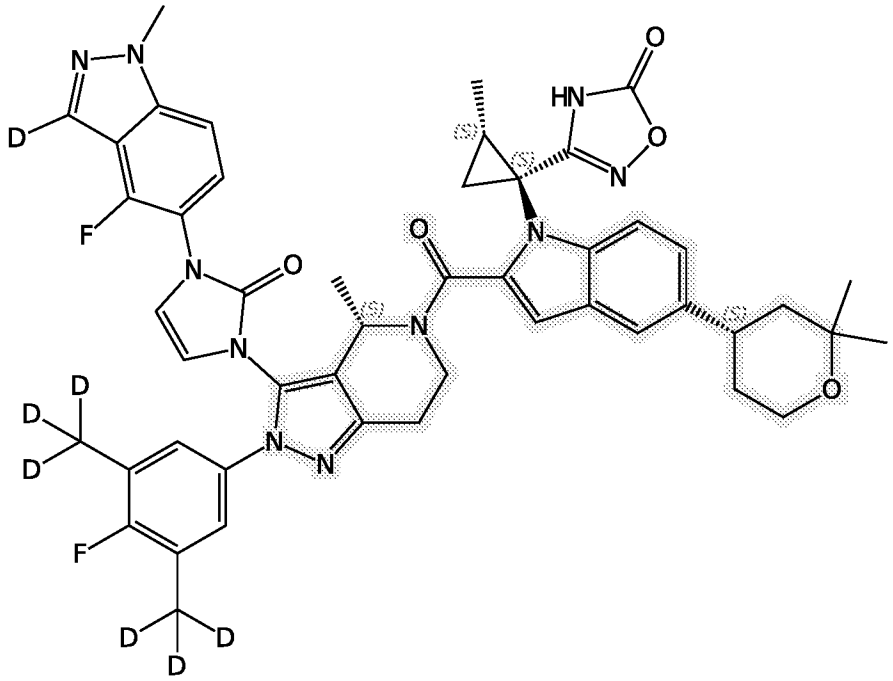
Absolute stereochemistry shown

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



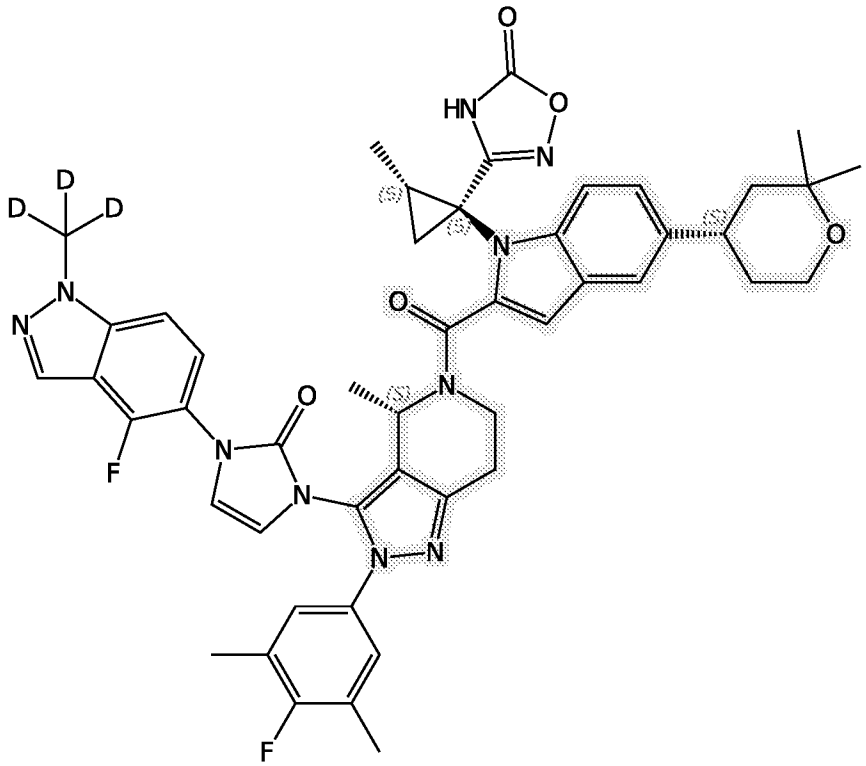
Absolute stereochemistry shown

RN 2923760-31-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



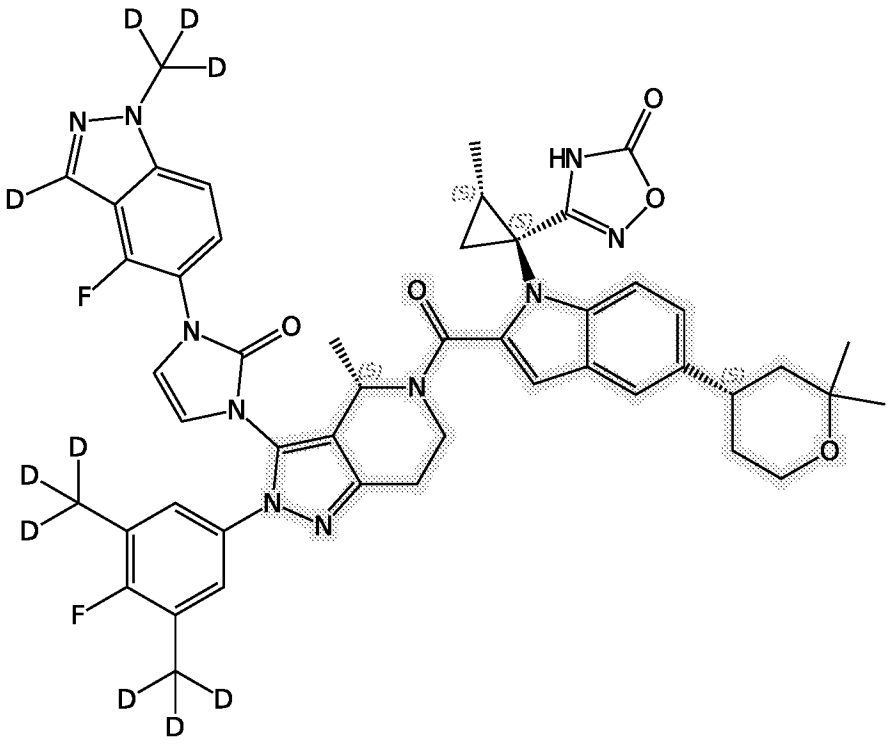
Absolute stereochemistry shown

RN 2923760-33-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



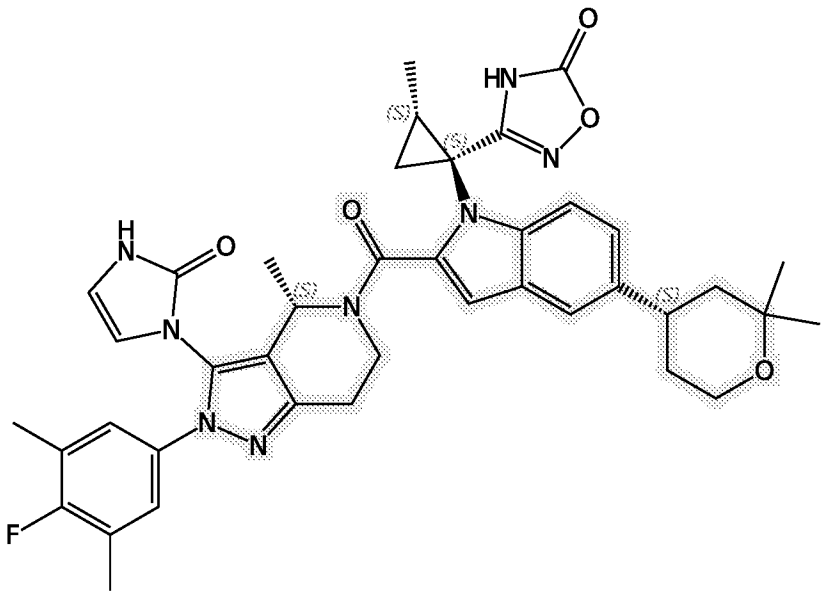
Absolute stereochemistry shown

RN 2923760-36-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

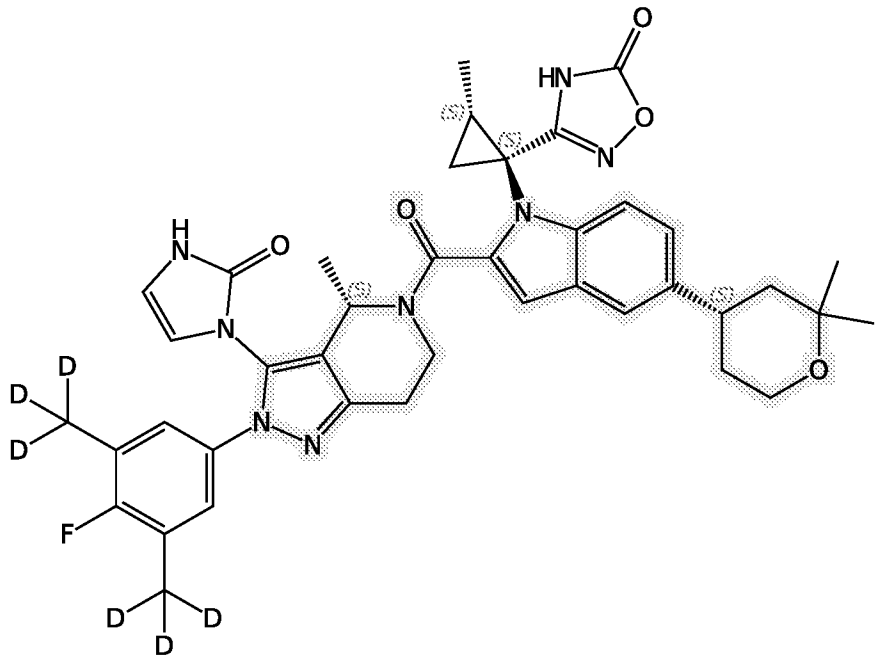
IT 2212020-29-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of deuterated indazole compds. as GLP-1 receptor agonists)
RN 2212020-29-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-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 |

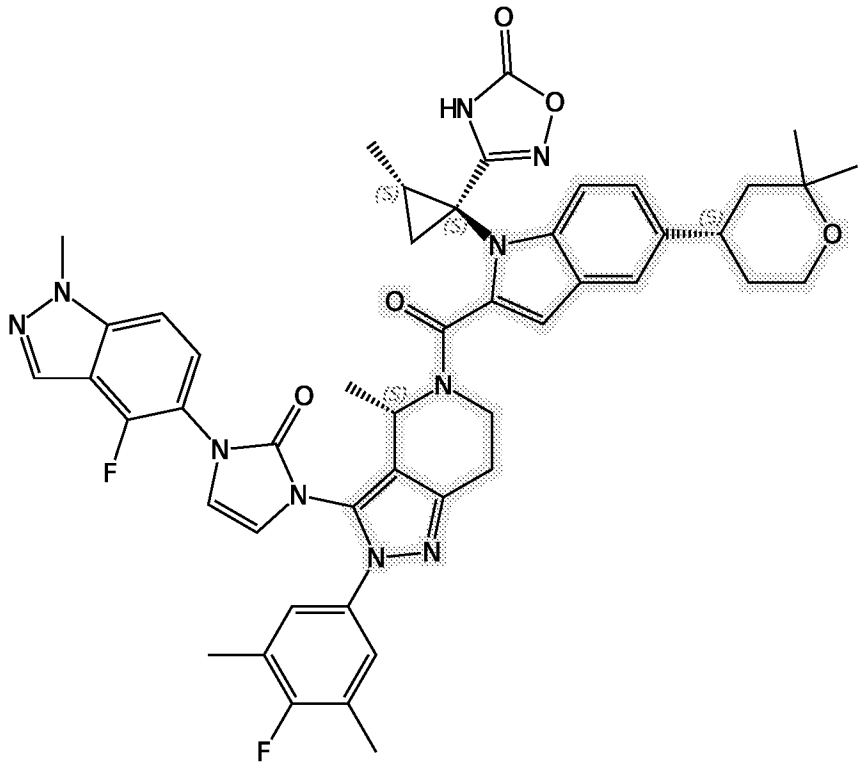
L3 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2023:528384 CAPLUS Full-text
DOCUMENT NUMBER: 182:173340
TITLE: Medicine for prevention and treatment of diseases linked to anti-obesity activity
INVENTOR(S): Takemoto, Kosuke; Kusumoto, Keisuke
PATENT ASSIGNEE(S): Shionogi & Co., 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

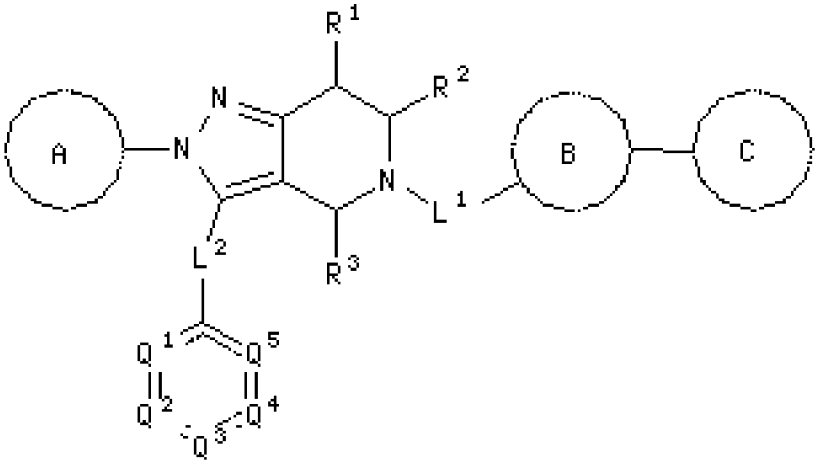
DOCUMENT NUMBER: 182:36684
TITLE: Preparation of heterocyclic compounds as GLP-1 agonists
INVENTOR(S): Meng, Qinghua; Lin, Xichen; Zhang, Haizhen; Xing, Weiqiang; Lei, Hui; Jennings, Andrew
PATENT ASSIGNEE(S): Gasherbrum Bio, Inc., USA
ULTIMATE OWNER: STRUCTURE THERAPEUTICS INC
ULTIMATE OWNER STANDARD: 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 |

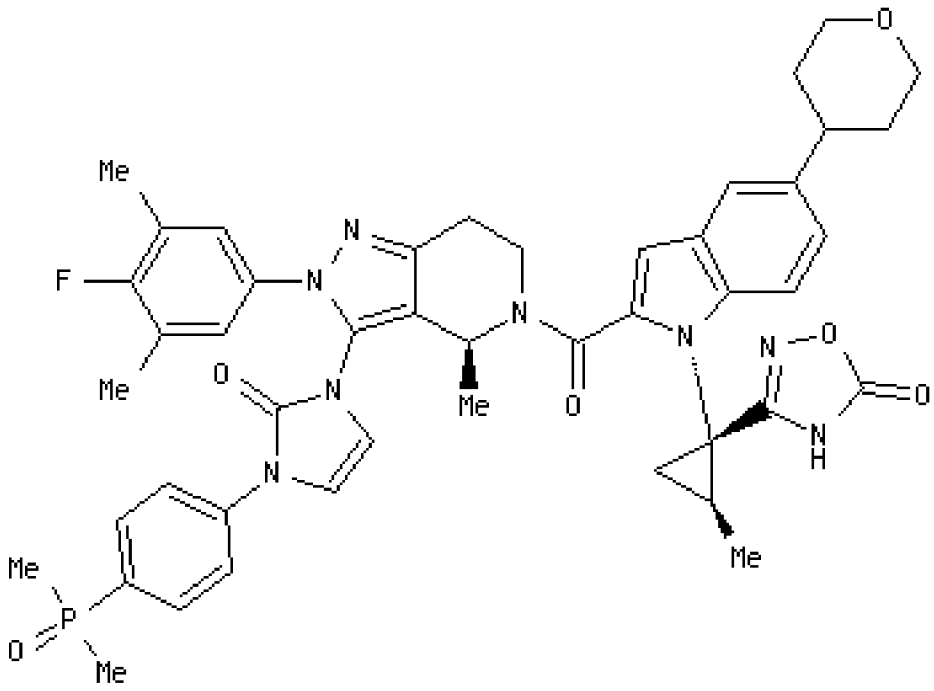
PATENT STATUS PATENT INFORMATION:

| PATENT NO. | KIND | STATUS | STATUS DATE |
|---|---|---|---|
| WO 2023016546 | A1 | Alive | 20230223 |

OTHER SOURCE(S): CASREACT 182:36684; MARPAT 182:36684
GI



I



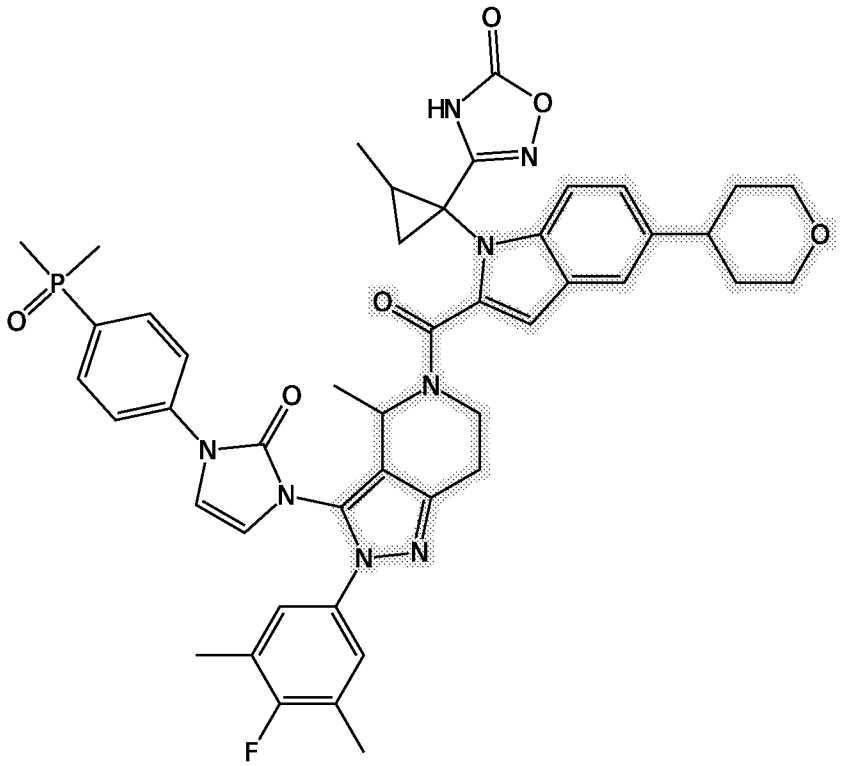
II

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

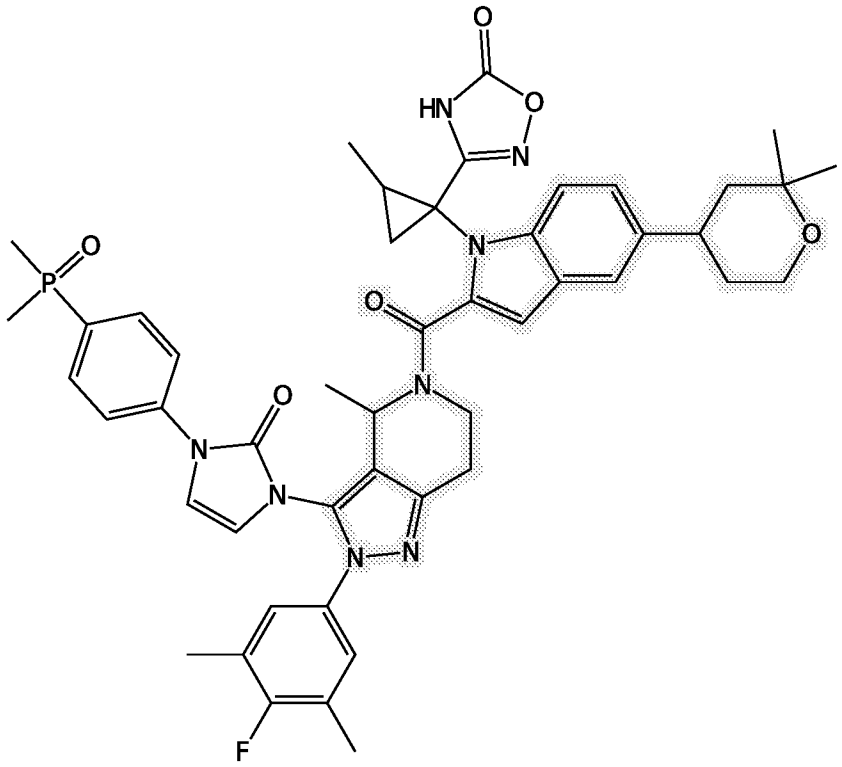
GLP-1-assocd. disease, disorder, or condition, in a patient in need thereof, the method comprising administering to the patient a therapeutically effective amt. of an anti-emetic agent and a therapeutically effective amt. of a compd. I. Thus, II was prepd. by a multistep procedure (procedure given). 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)

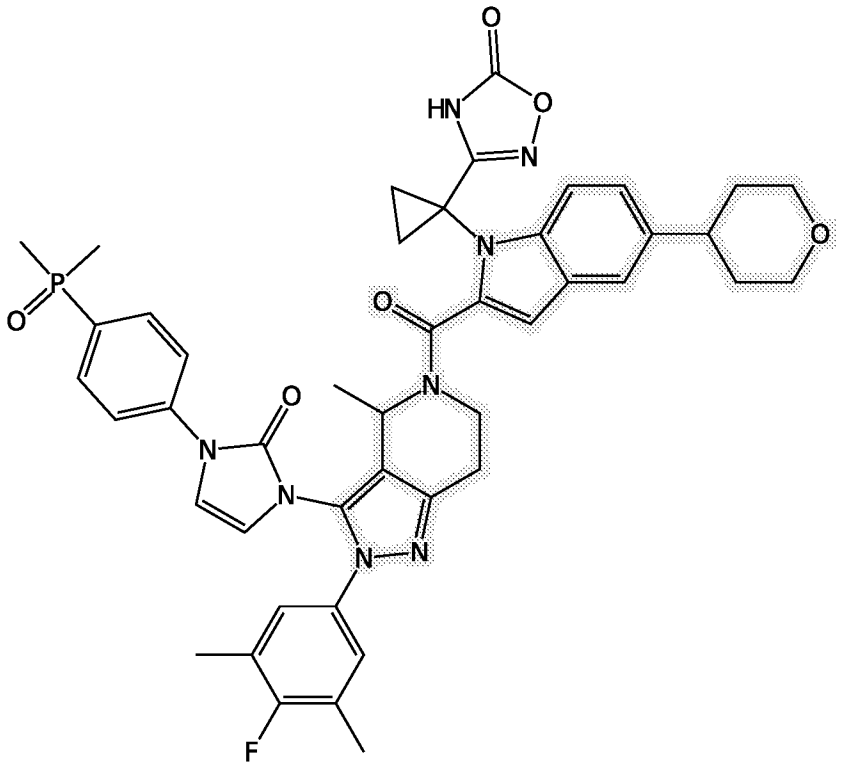
RN 2685823-79-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (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)

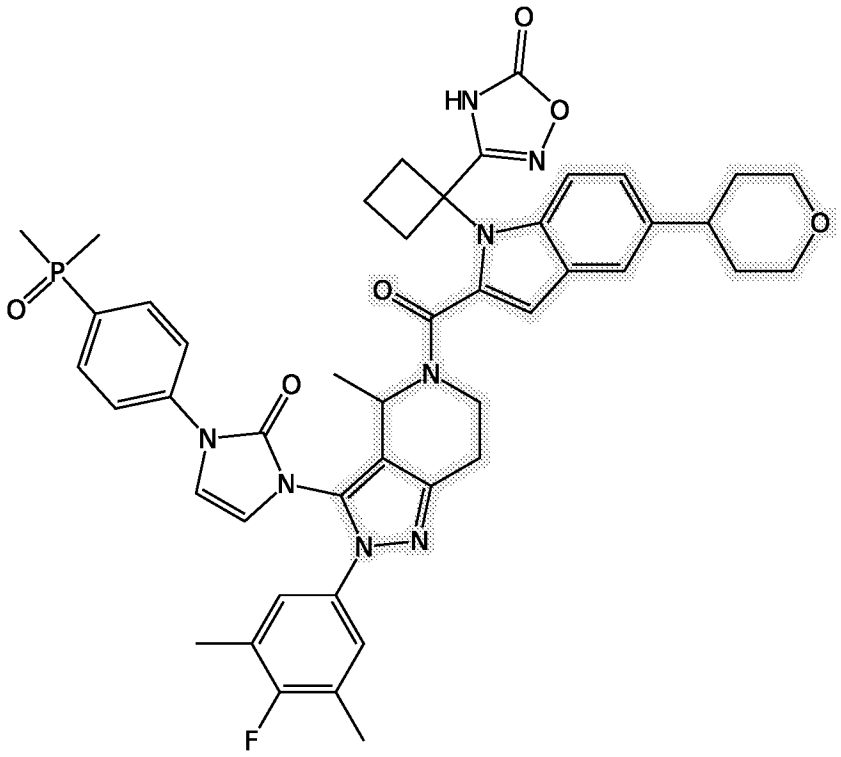


RN 2685823-83-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)

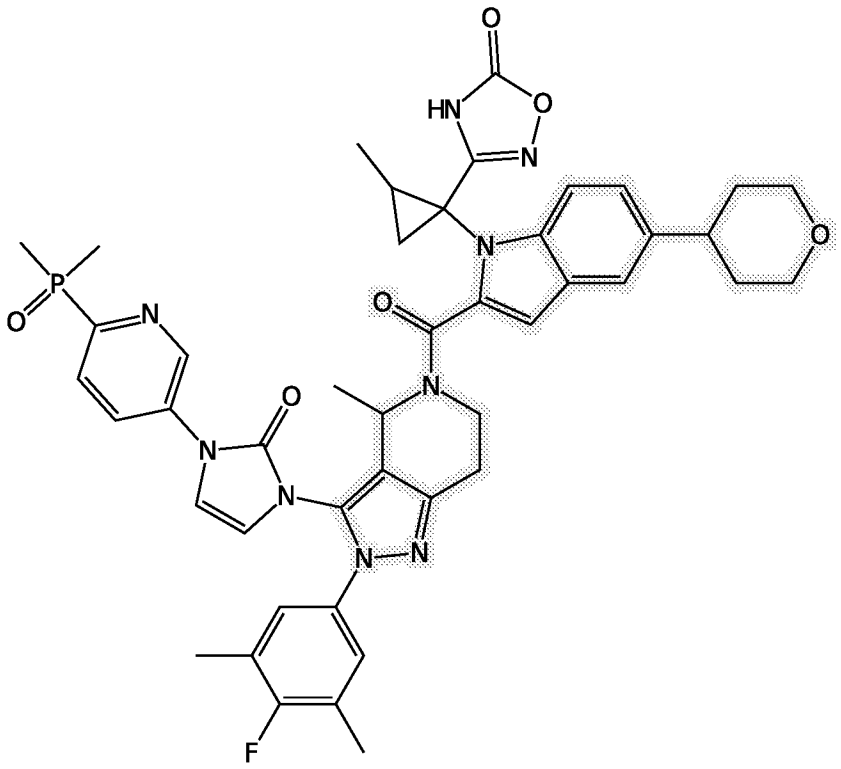


RN 2685823-85-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrah

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)

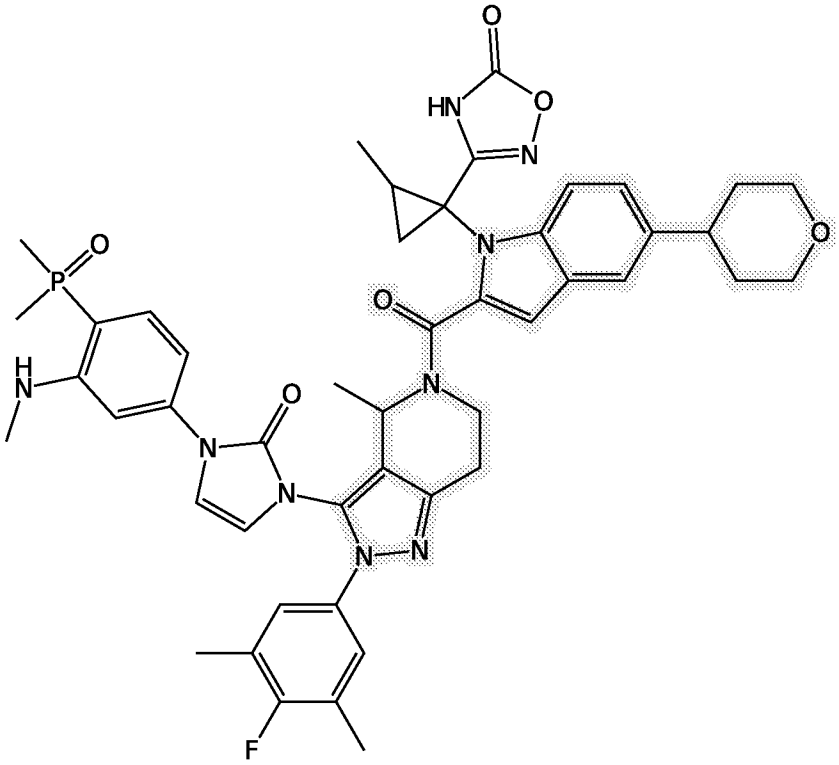


RN   2685823-87-2  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX NAME)

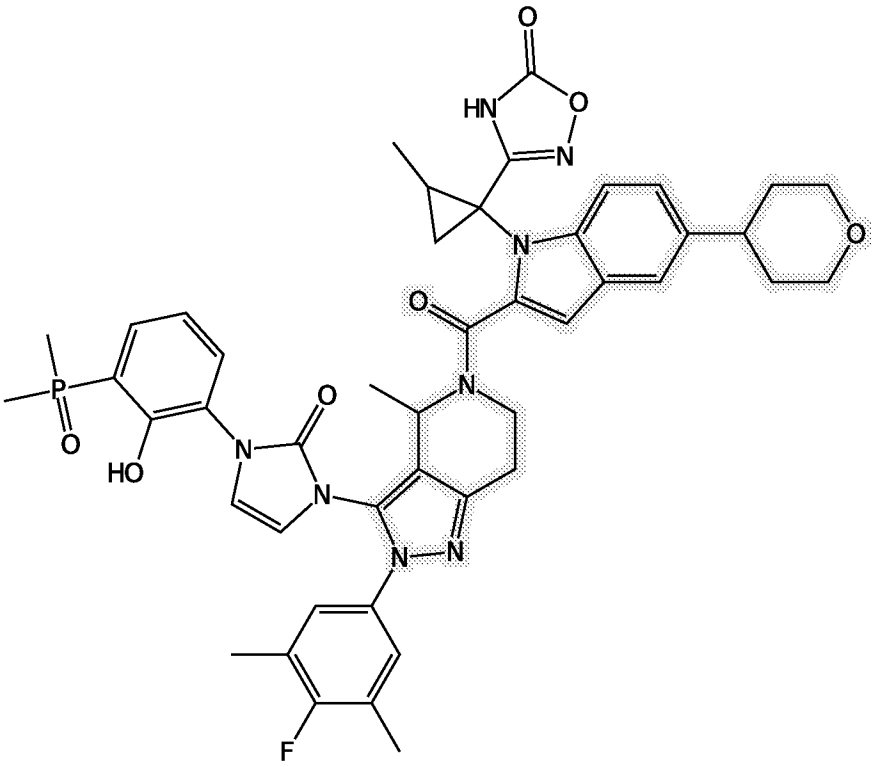


RN   2685823-89-4  CAPLUS

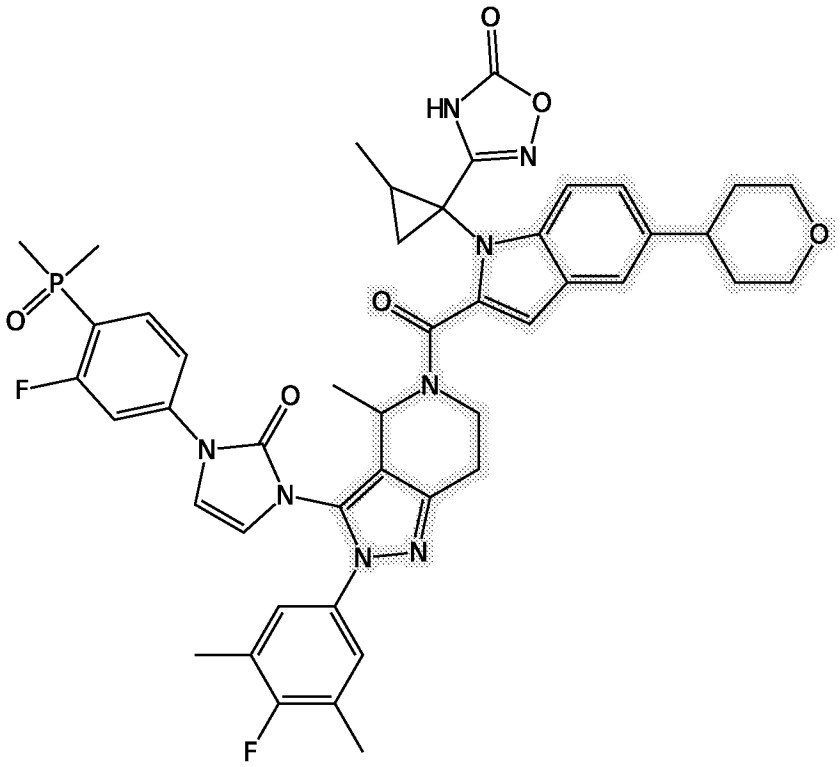
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(methyl amino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl )-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrah ydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



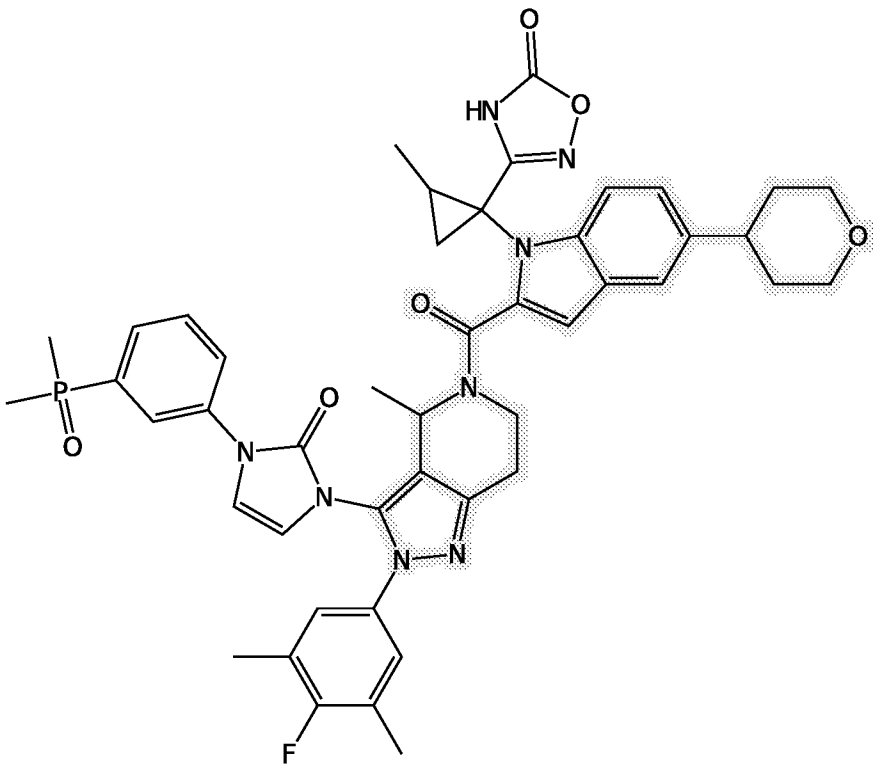
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)-2-hydroxy phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4, 6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2 H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



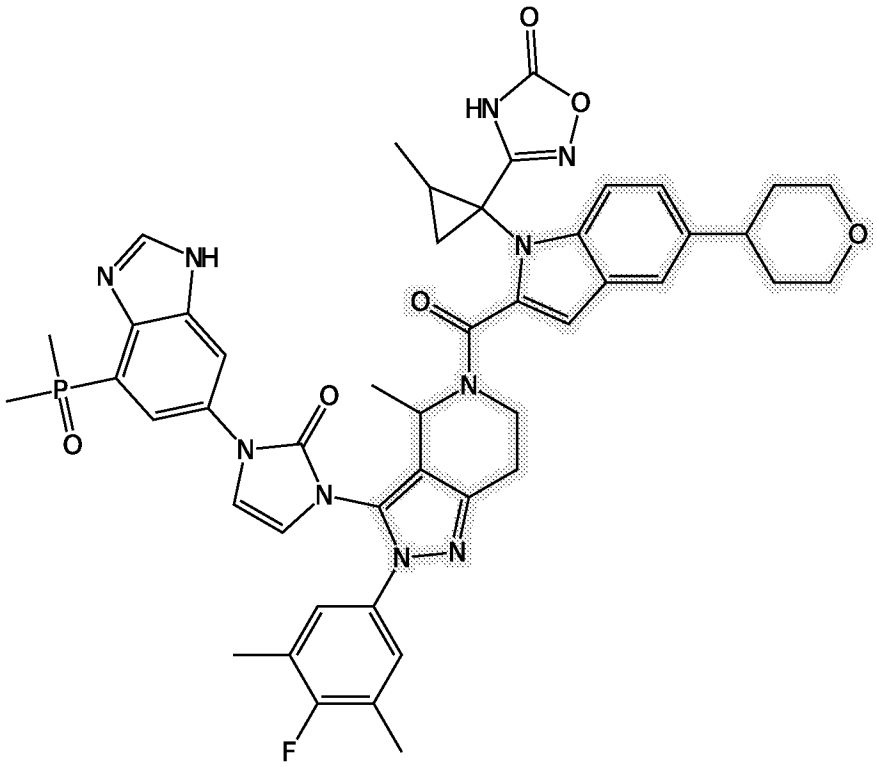
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



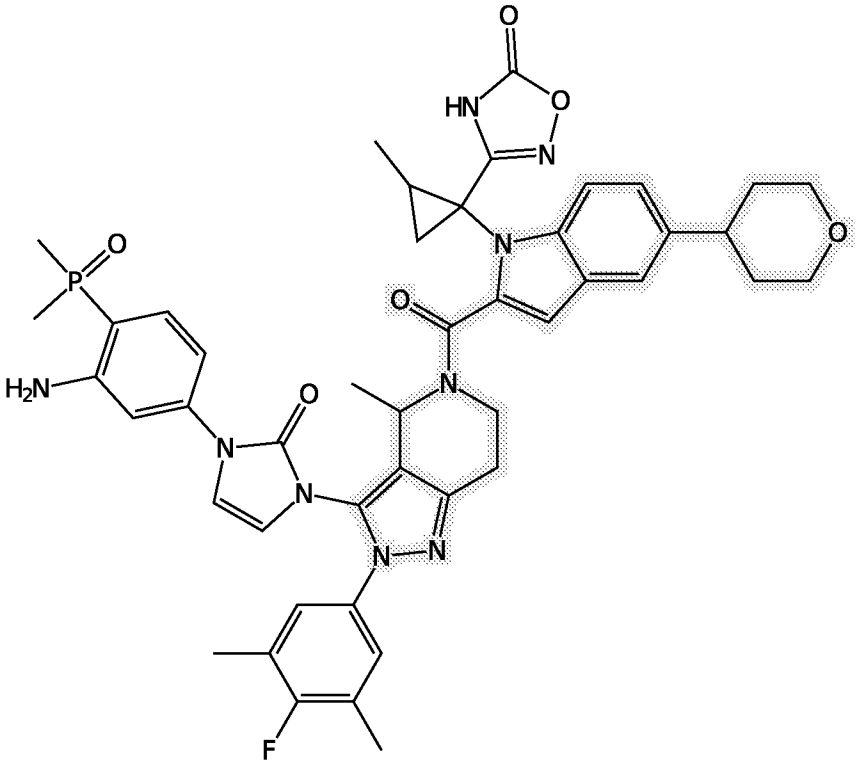
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



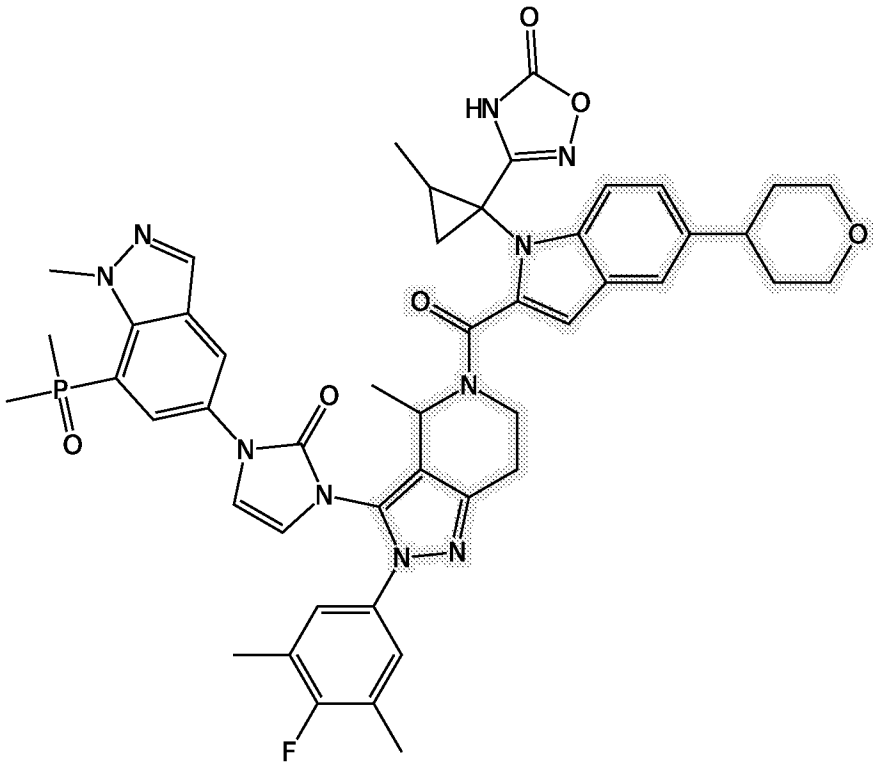
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1H-benzim
idazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)
-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahy
dro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



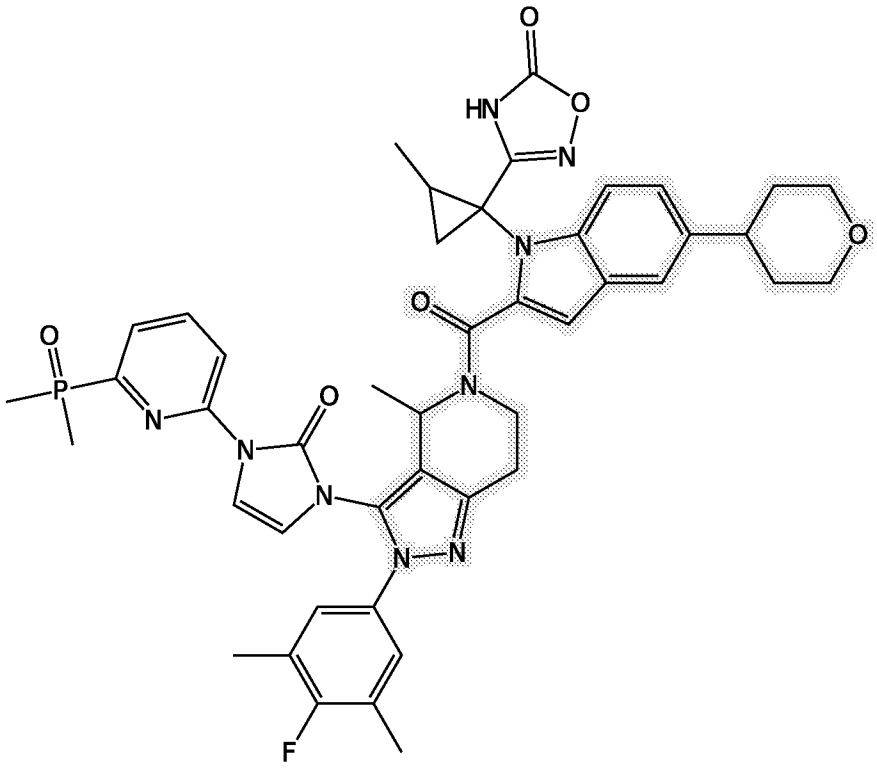
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(dimethylphosphinyl)ph
enyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,
7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-
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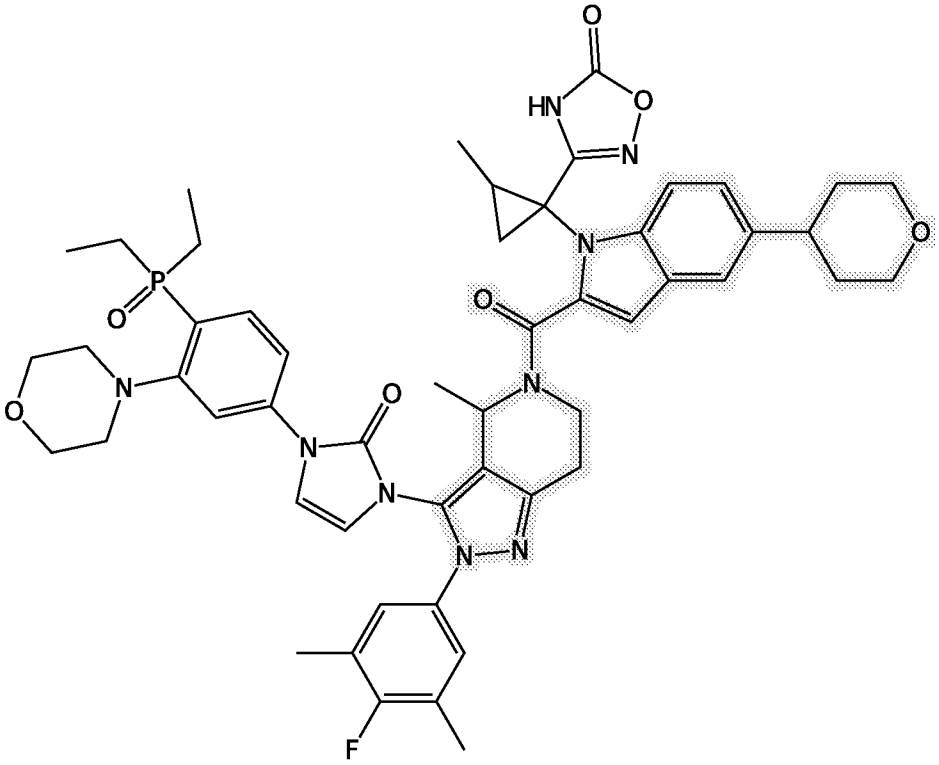
RN 2685824-01-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1-methyl-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



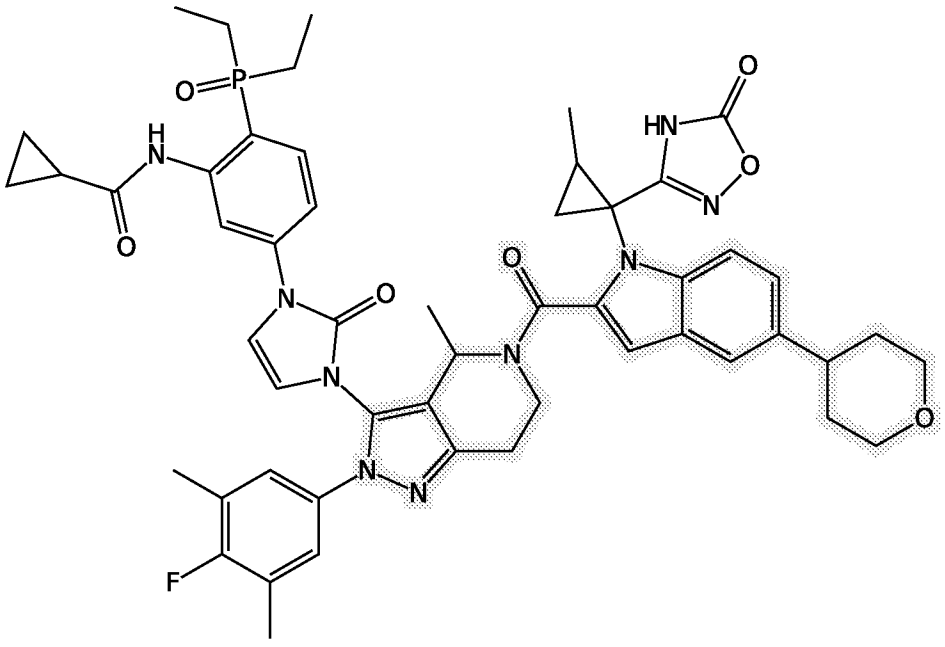
RN 2685824-03-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-2-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (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)

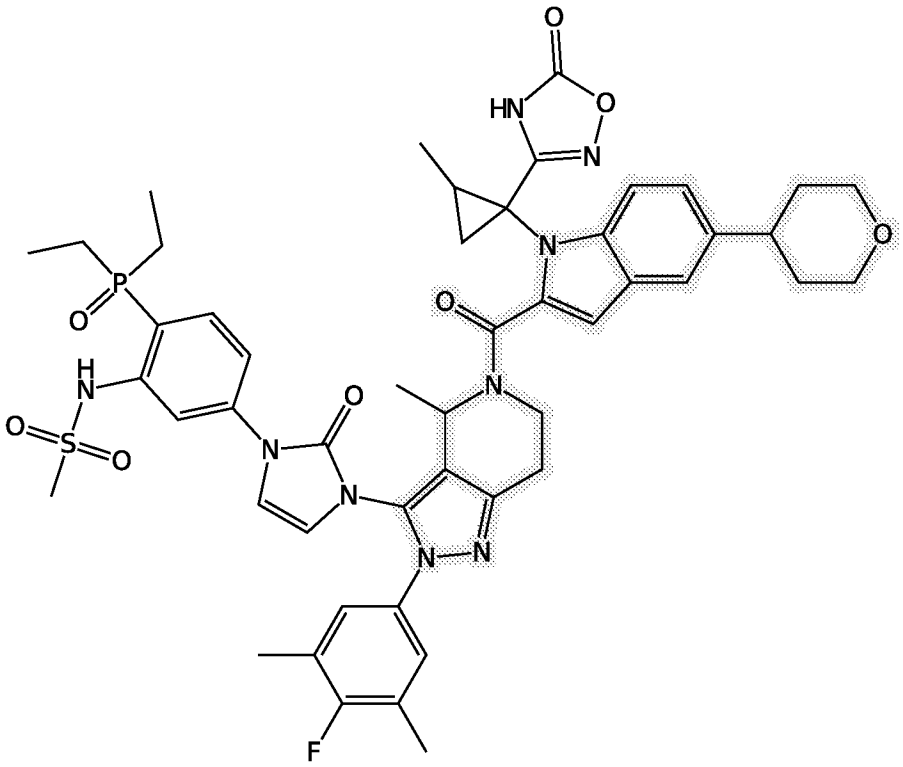


RN 2685824-07-9 CAPLUS
CN Cyclopropanecarboxamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)

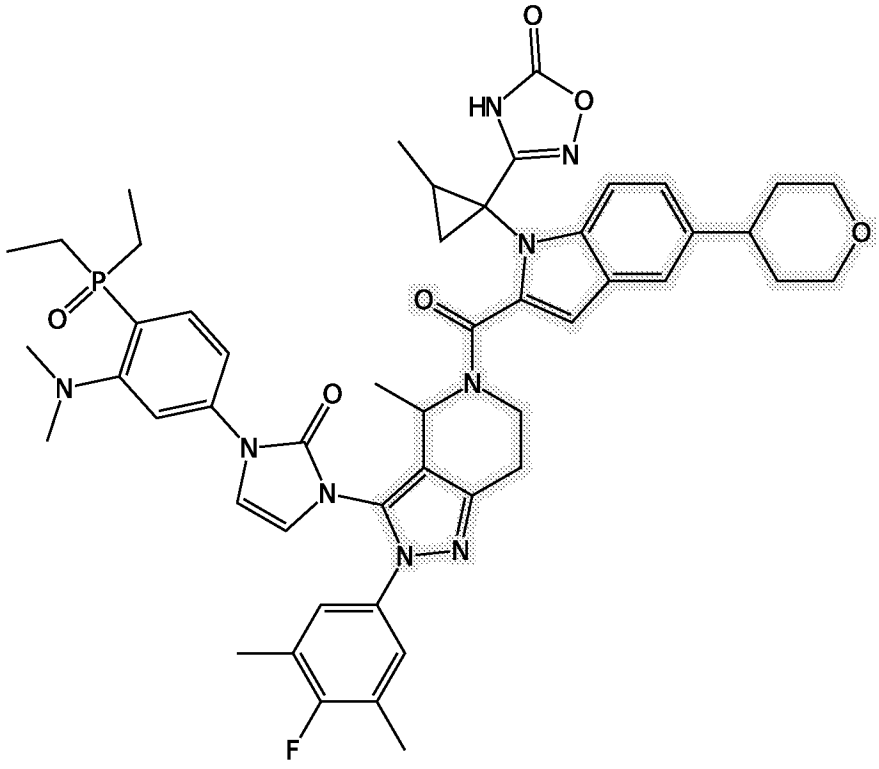


RN 2685824-09-1 CAPLUS

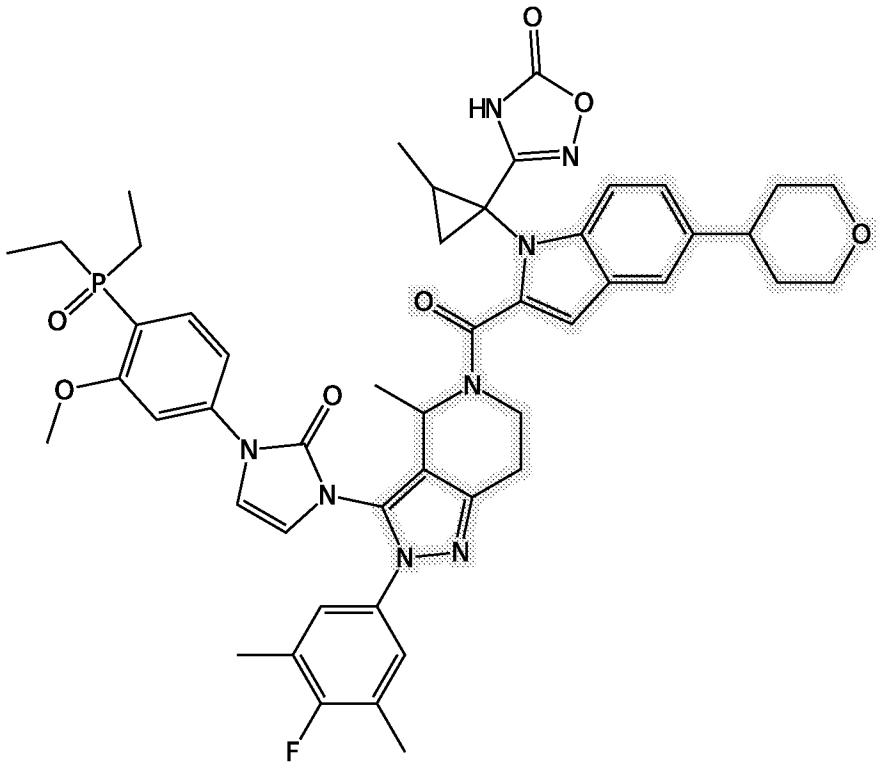
CN Methanesulfonamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA INDEX NAME)



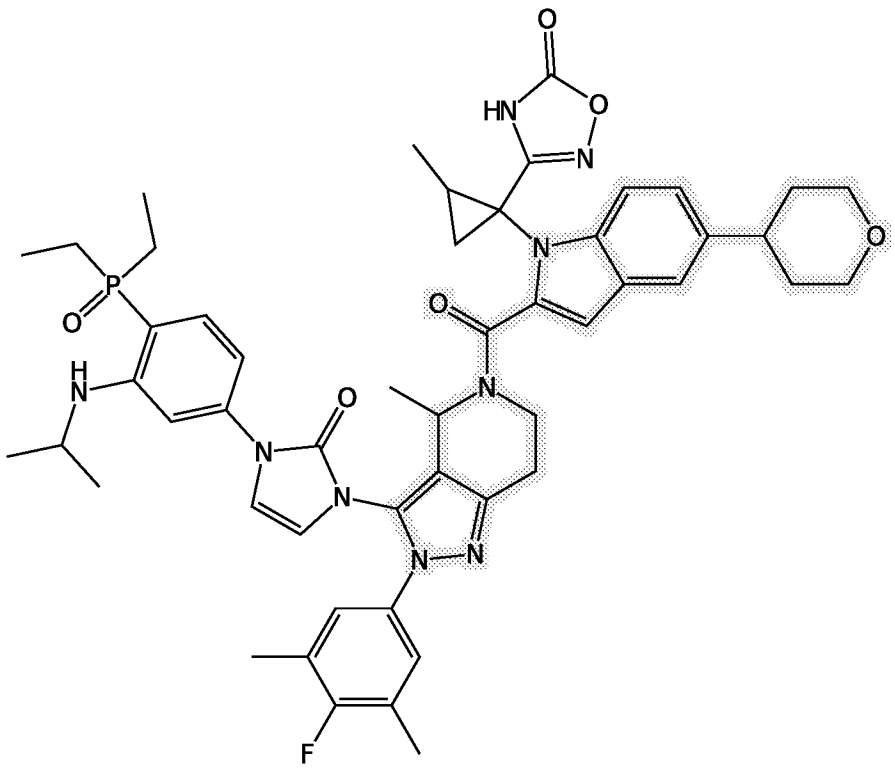
RN 2685824-11-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



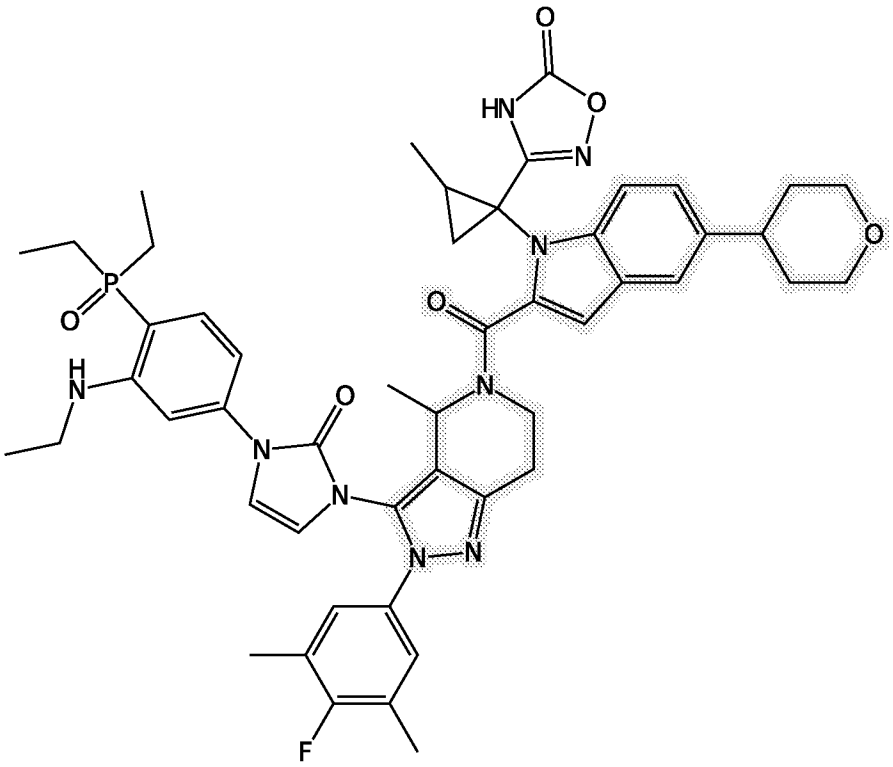
RN 2685824-13-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methoxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



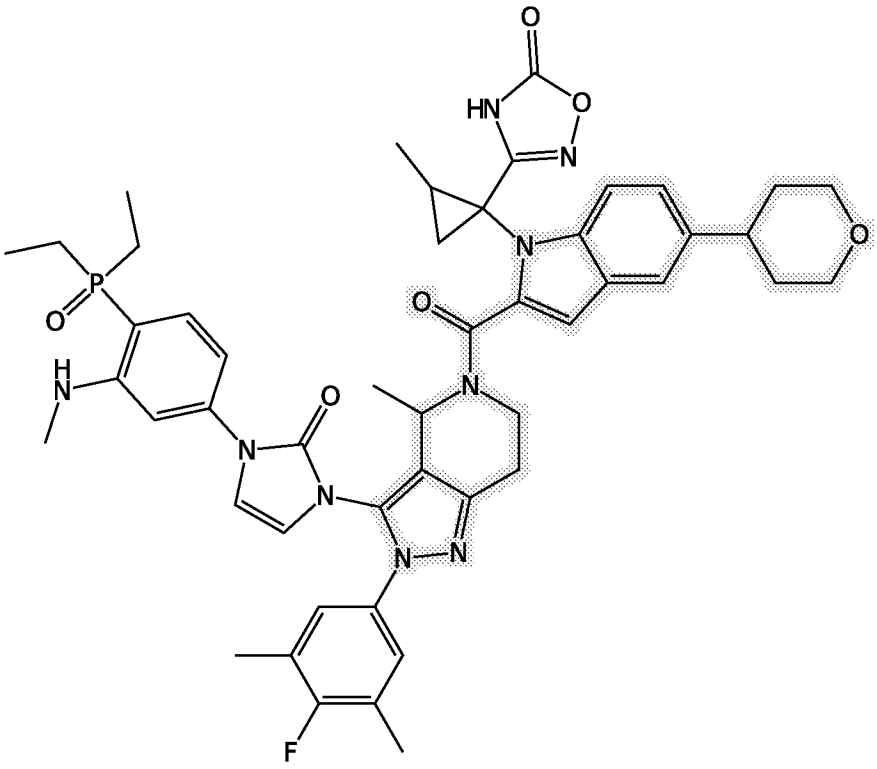
RN 2685824-15-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(1-methylethyl)amino]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



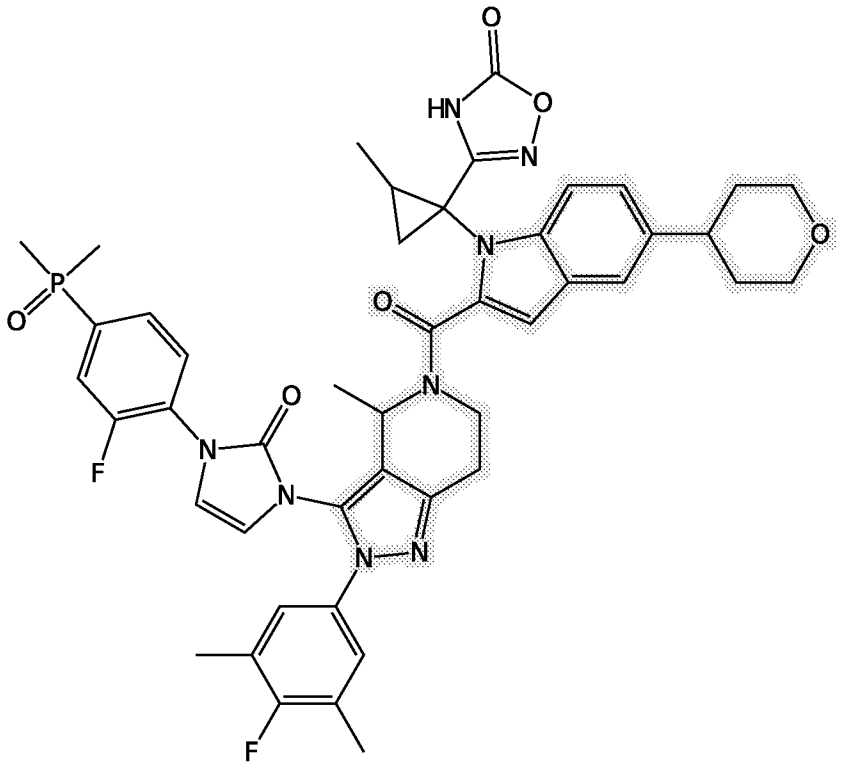
RN 2685824-17-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(ethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



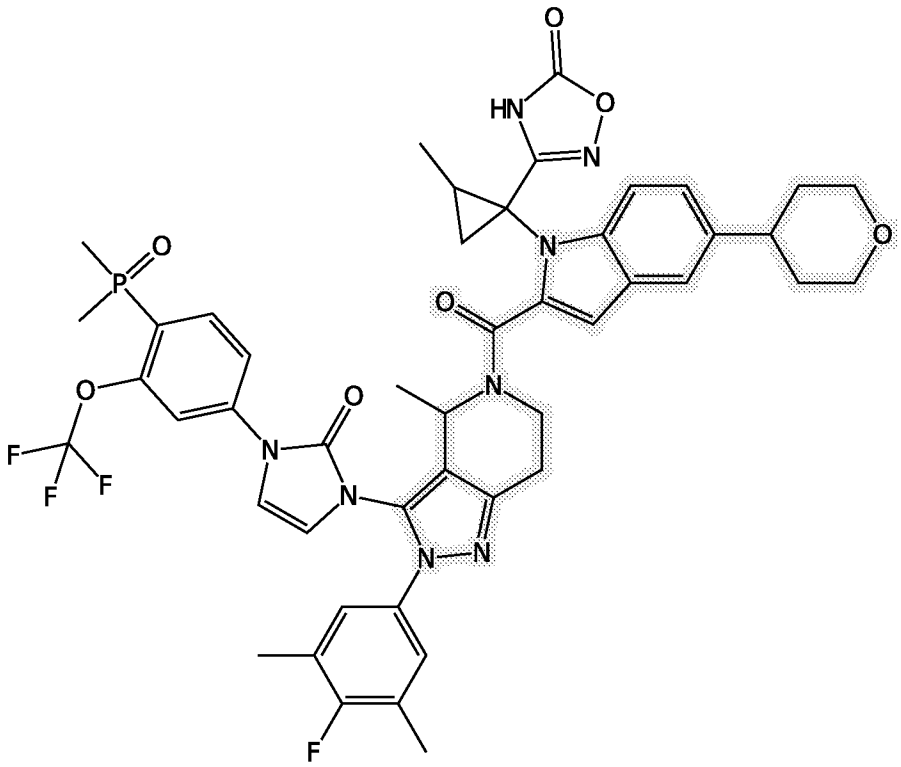
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



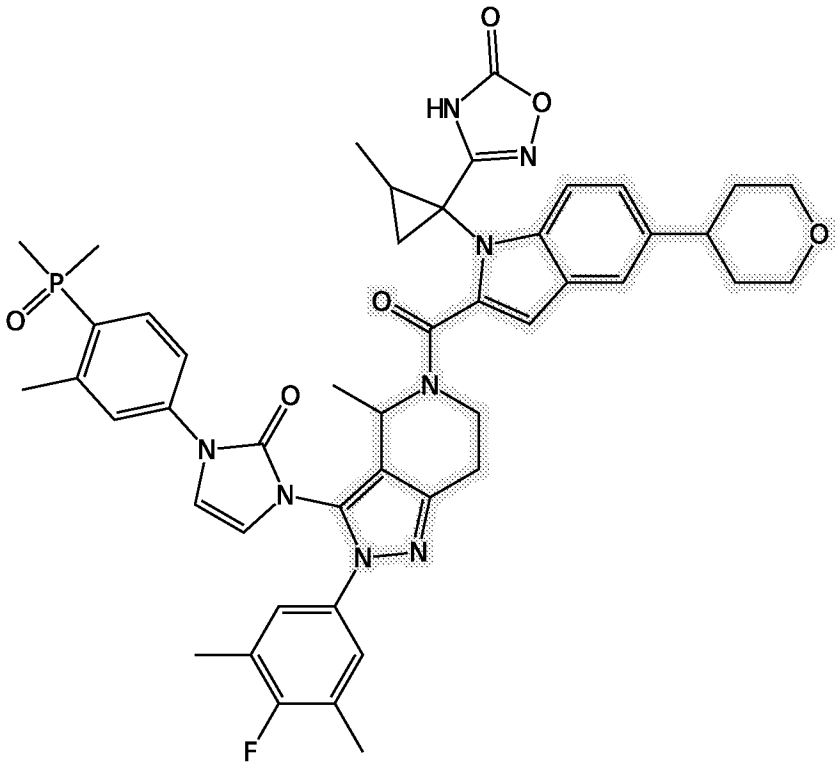
RN 2685824-21-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



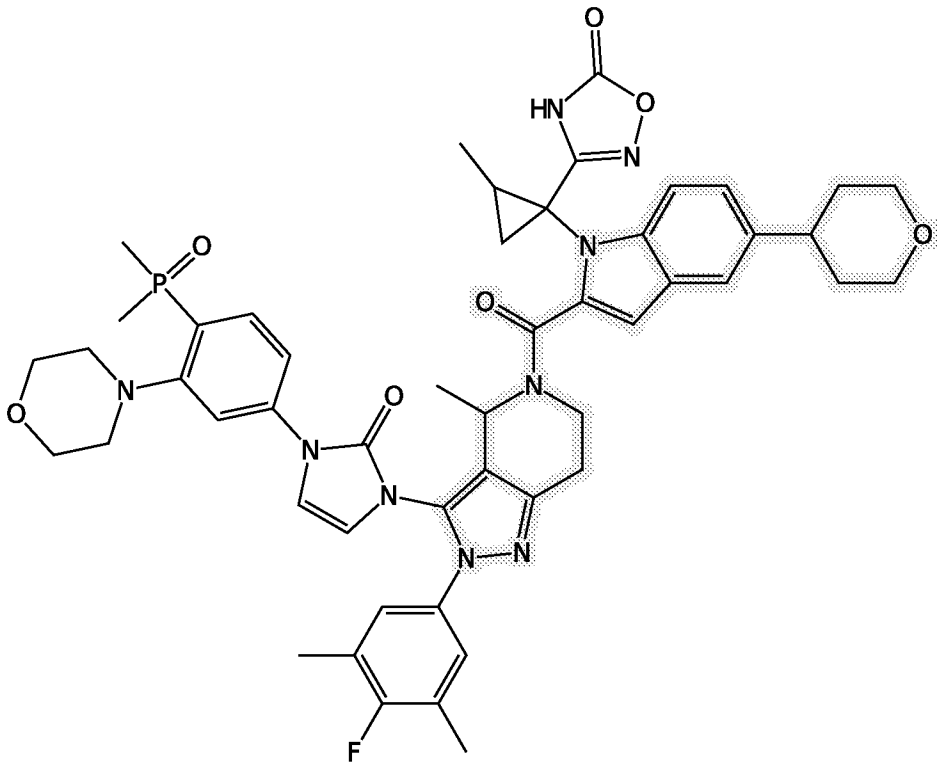
RN 2685824-23-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(trifluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



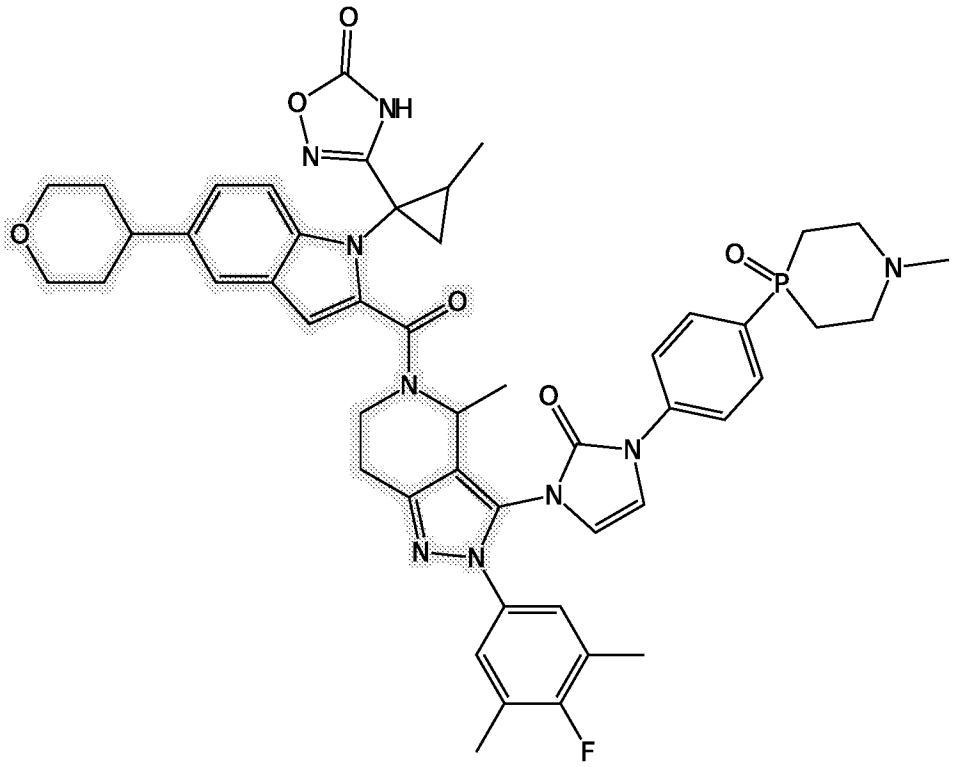
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-methylphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



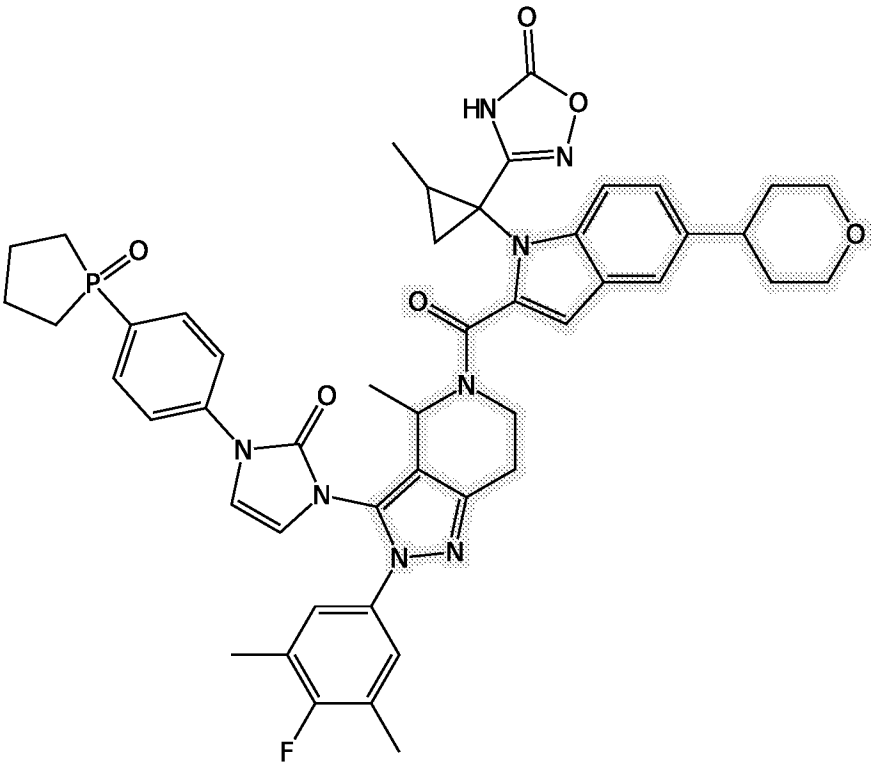
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



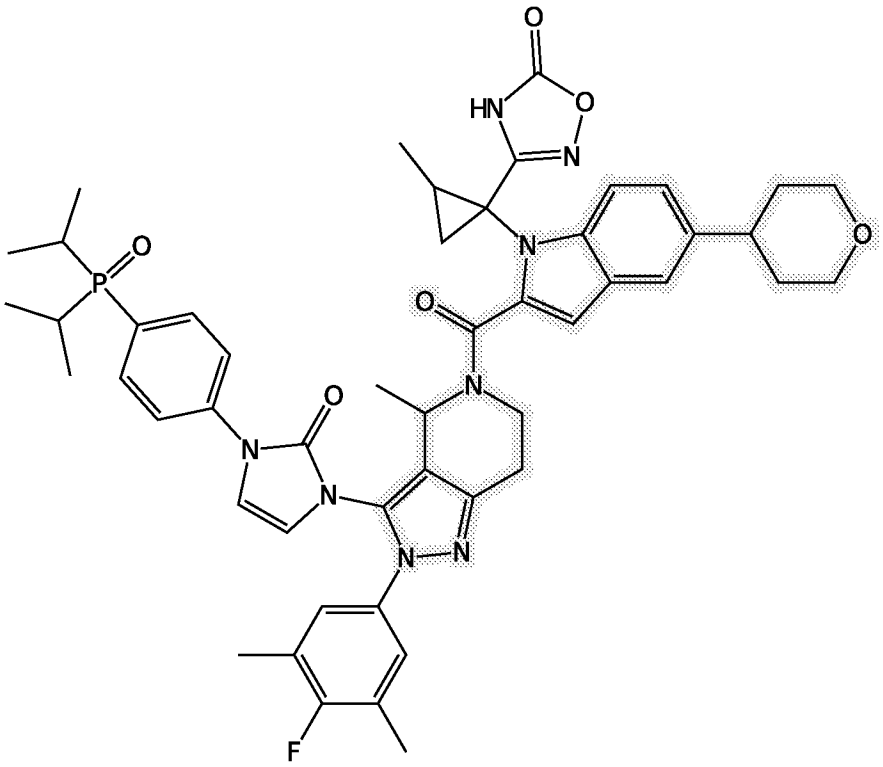
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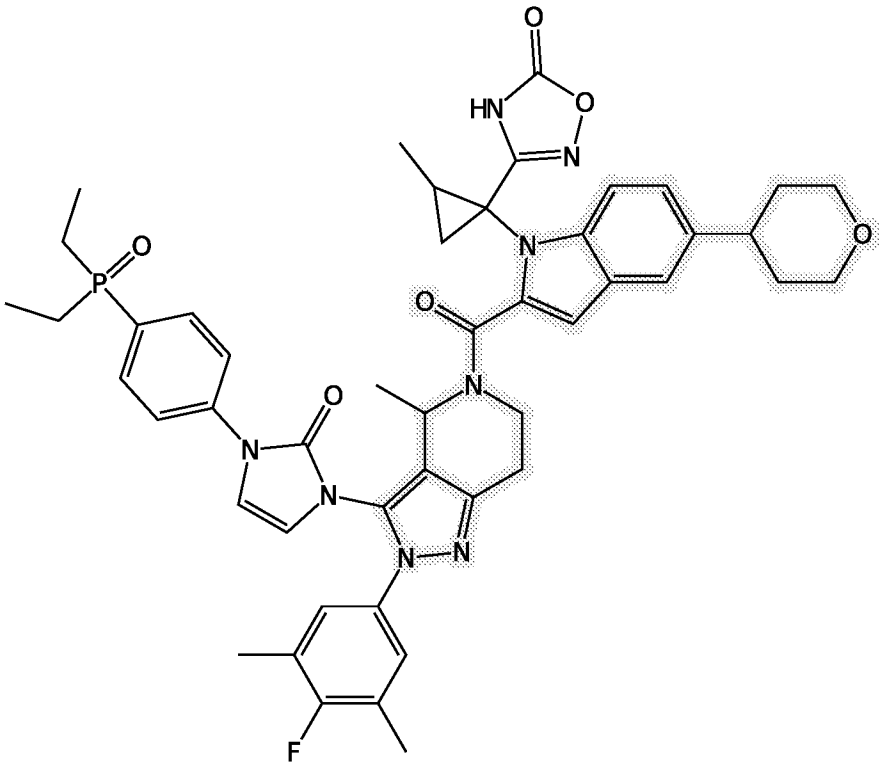
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luoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-
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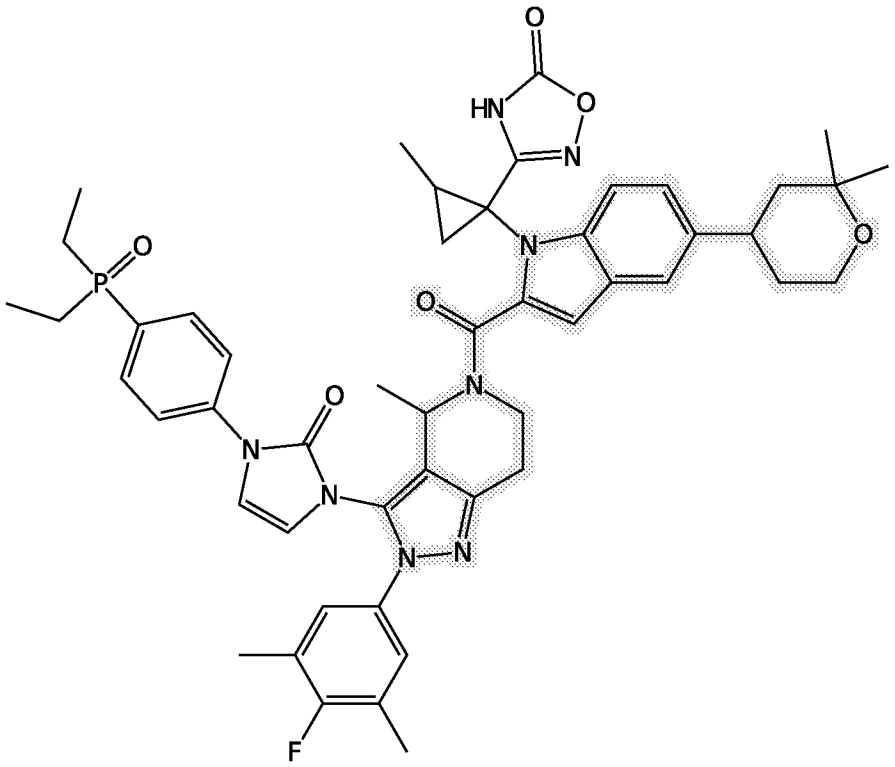
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



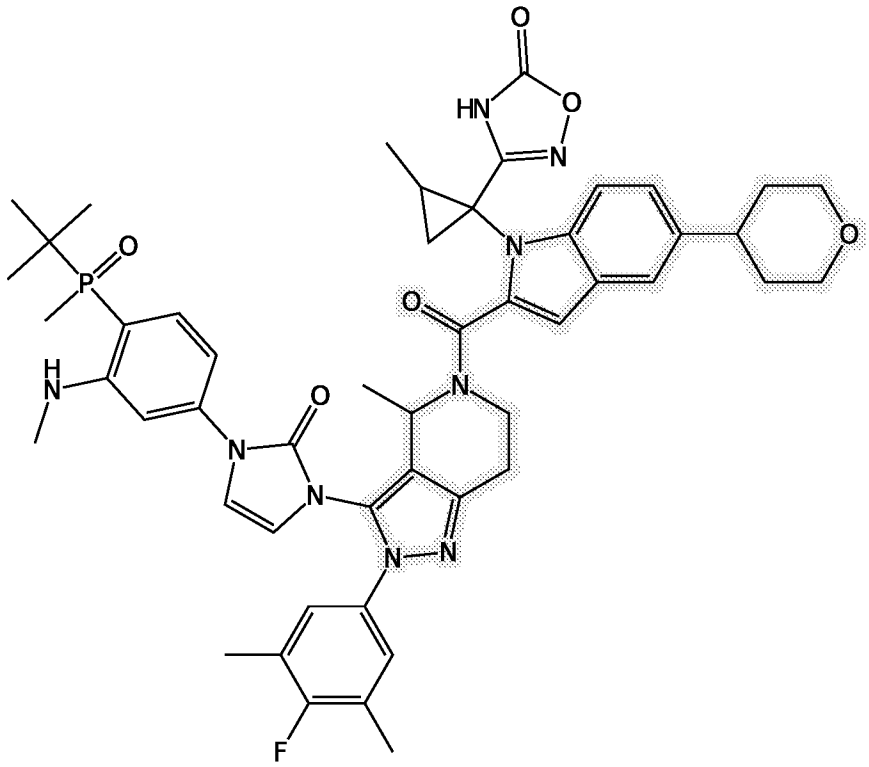
RN 2685824-35-3 CAPLUS
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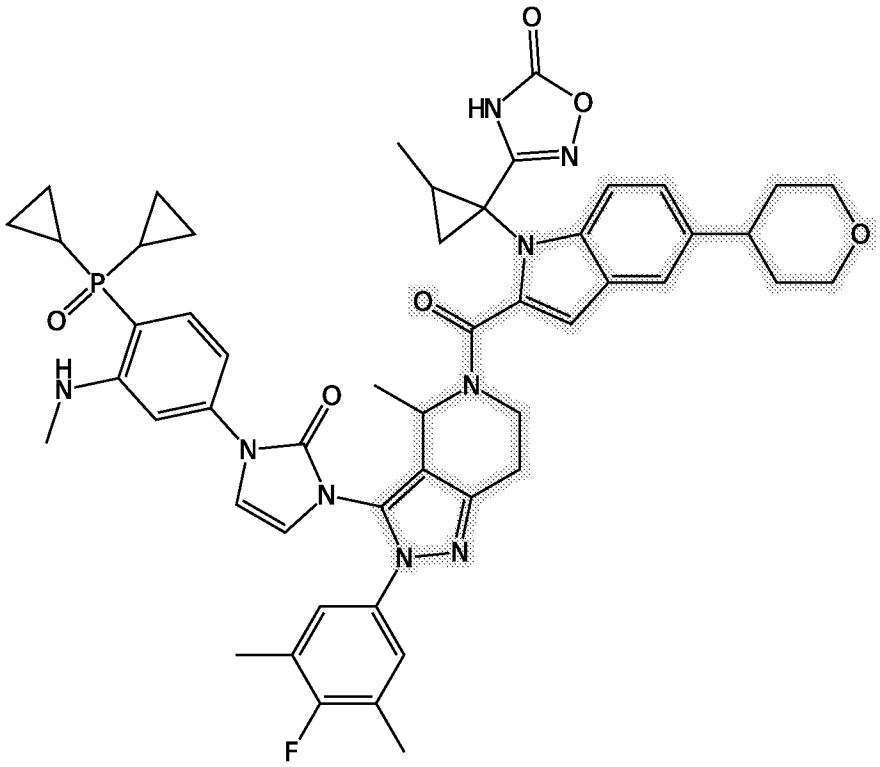
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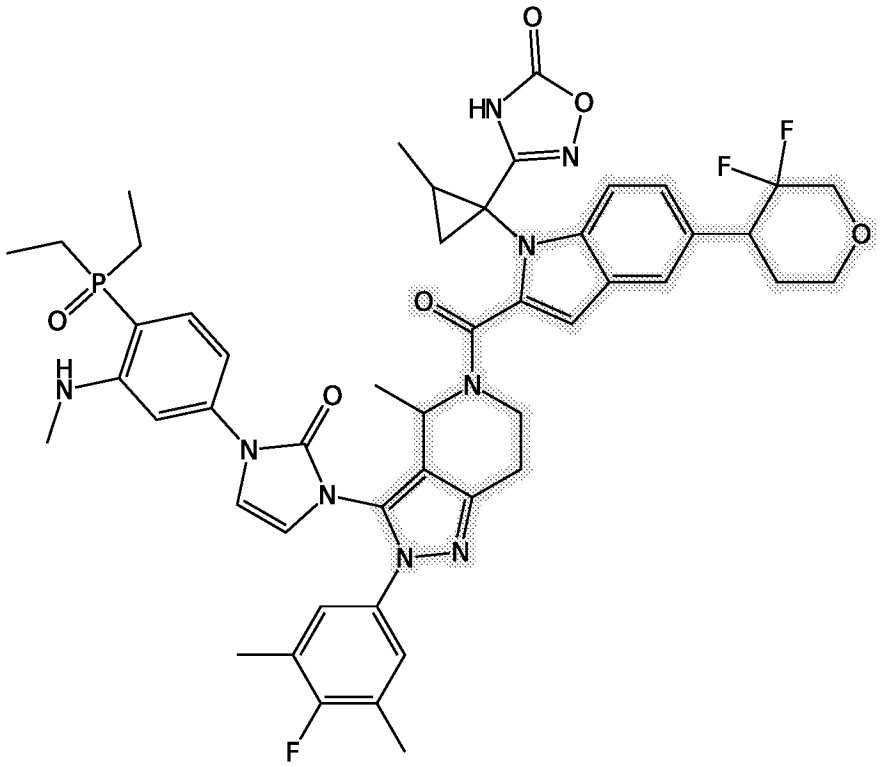
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



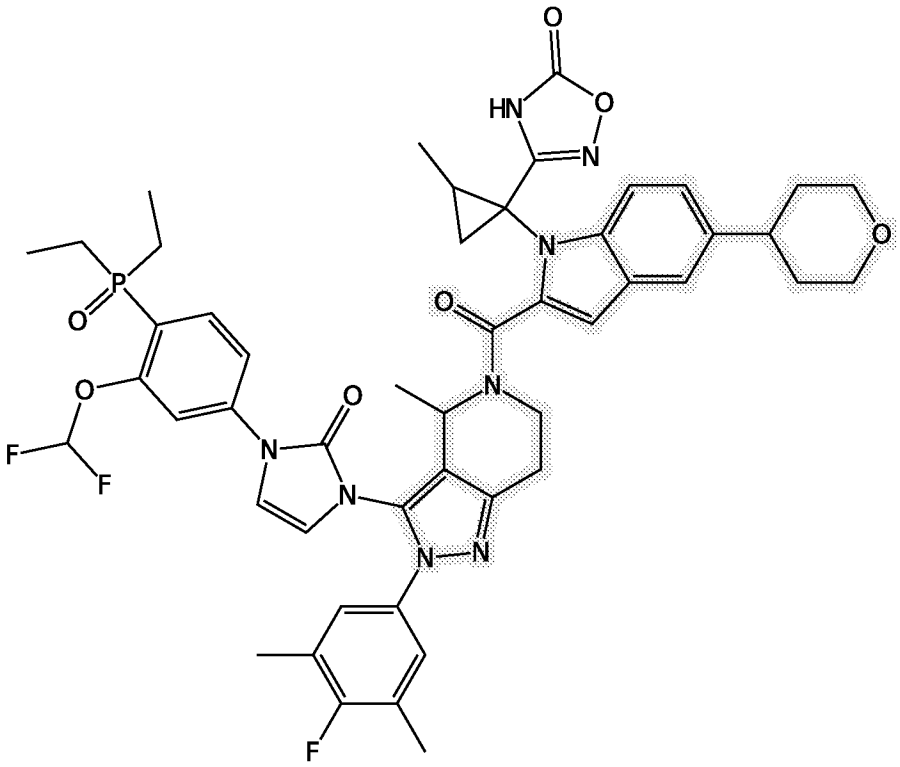
RN 2685824-41-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



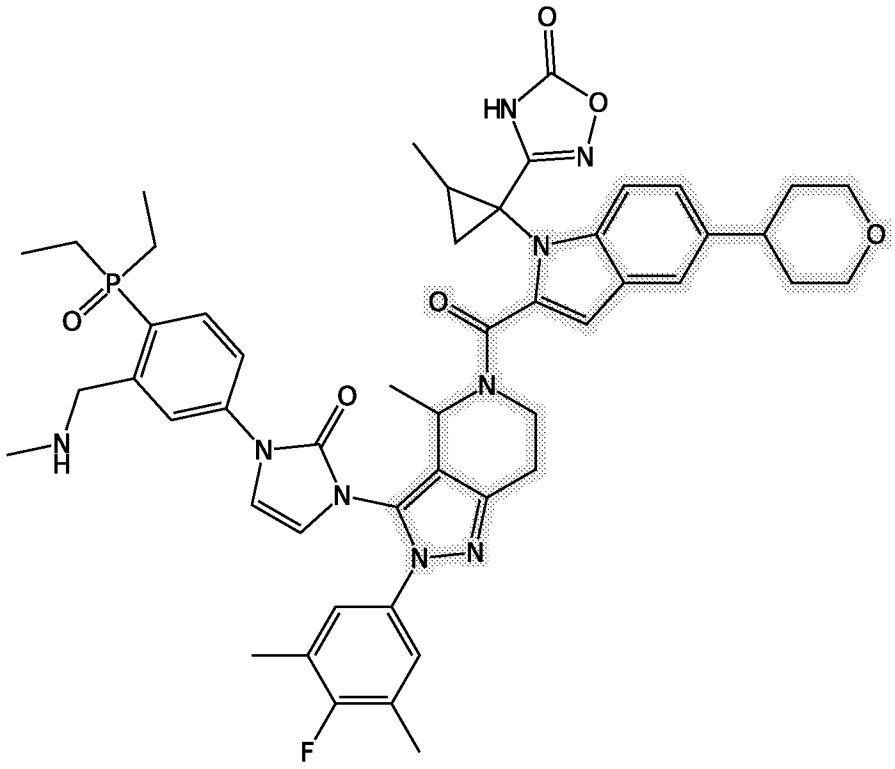
RN 2685824-45-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(3,3-difluorotetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



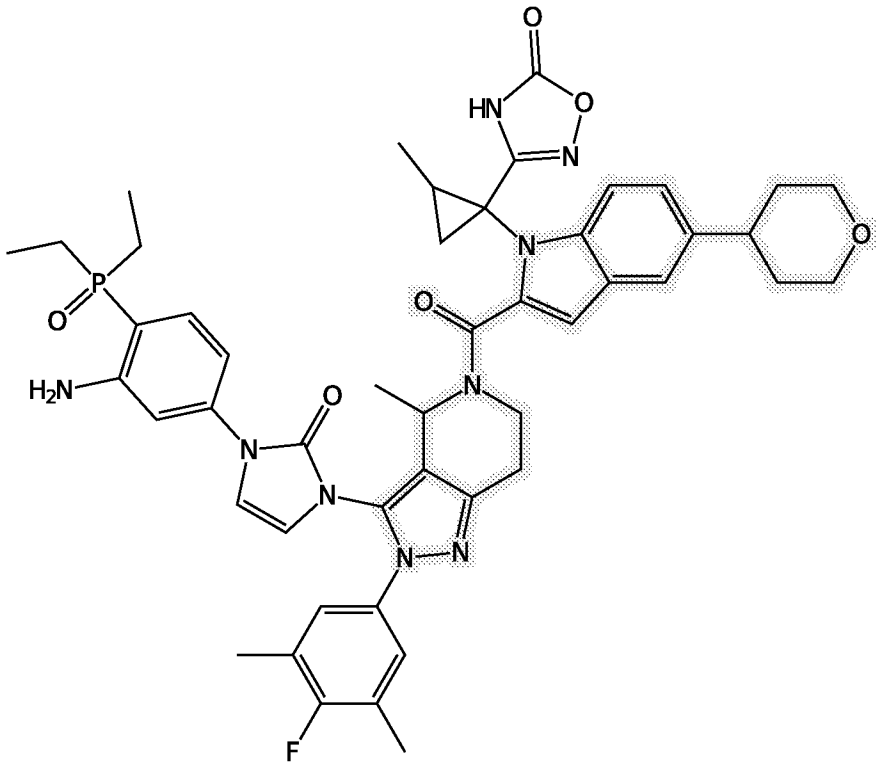
RN 2685824-49-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



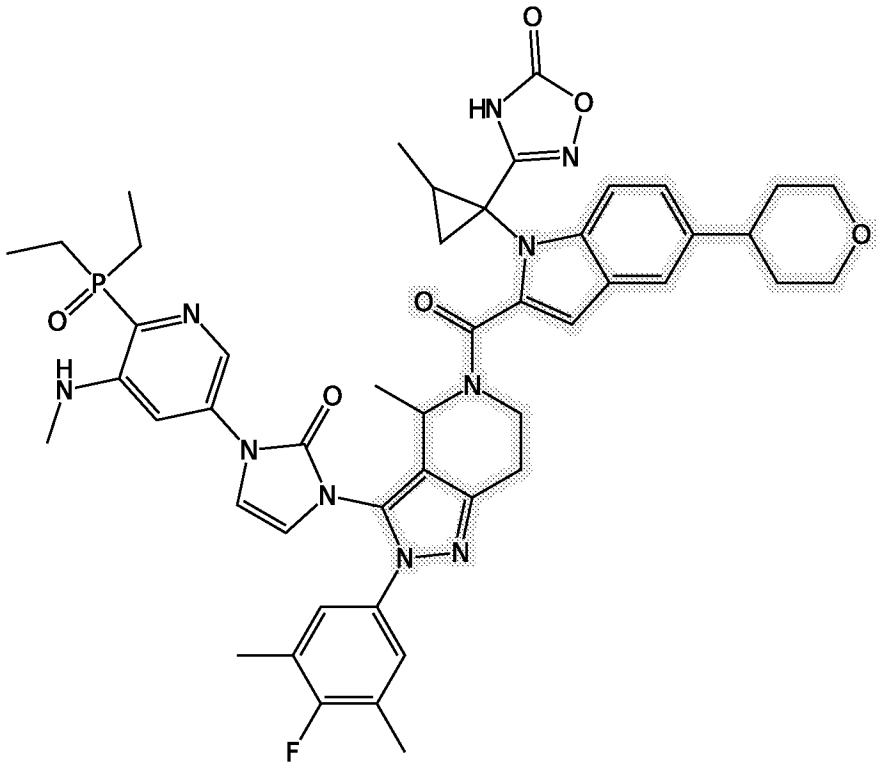
RN 2685824-51-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(methylamino)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



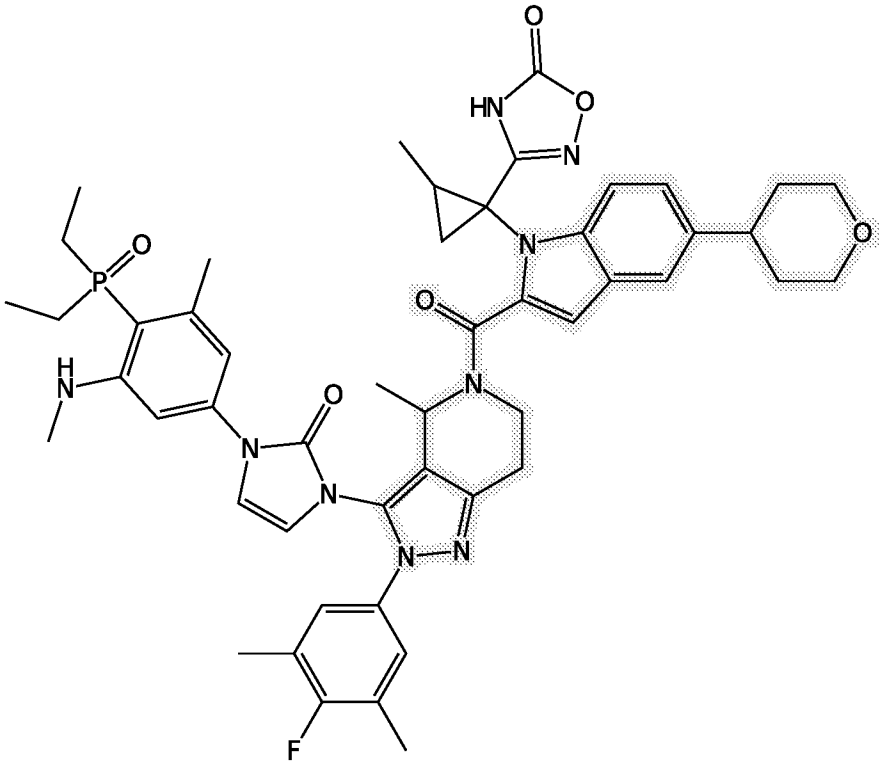
RN 2685824-53-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



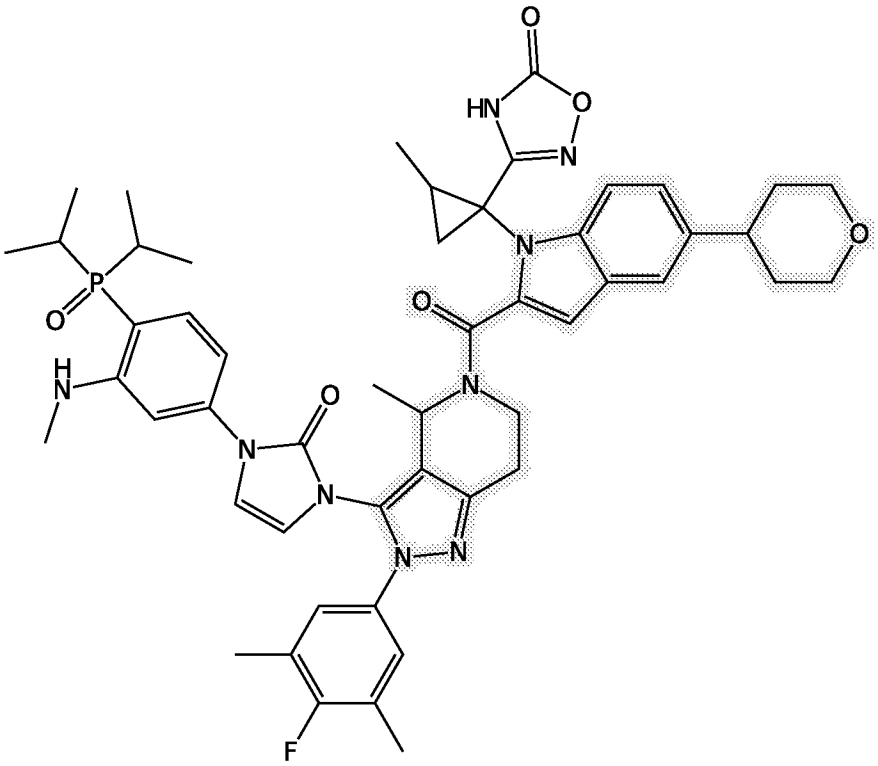
RN 2685824-55-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(diethylphosphinyl)-5-(methylamino)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



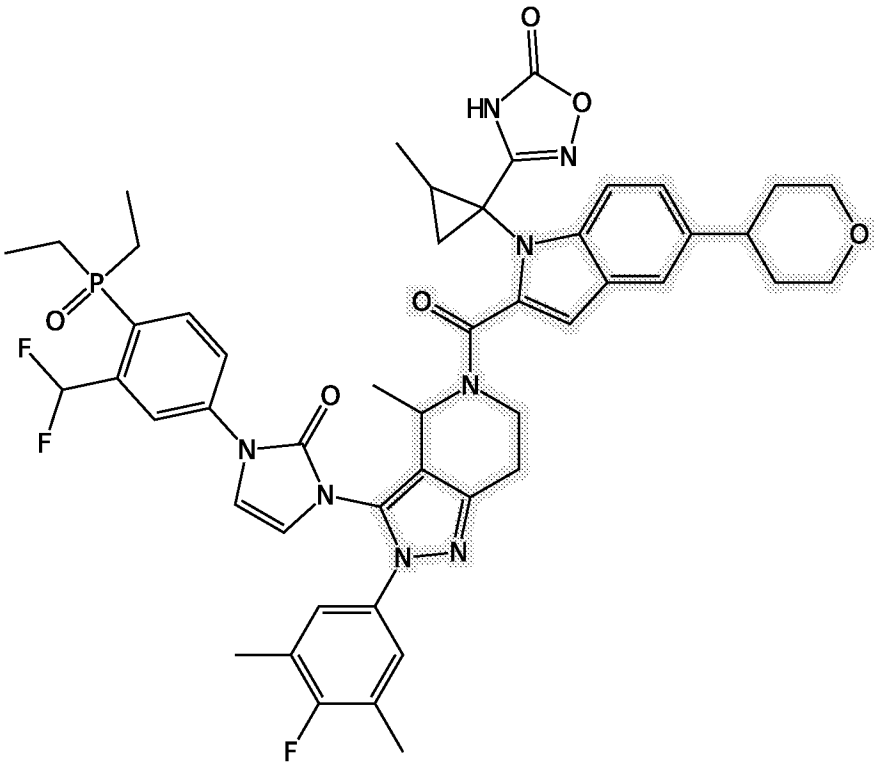
RN 2685824-57-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methyl-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



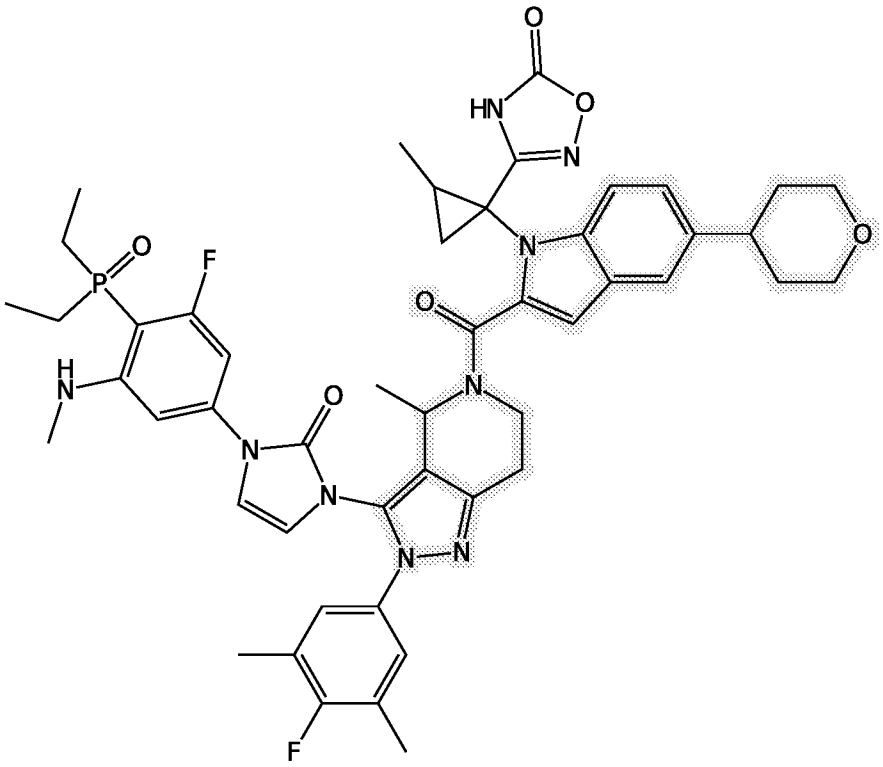
RN 2685824-59-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



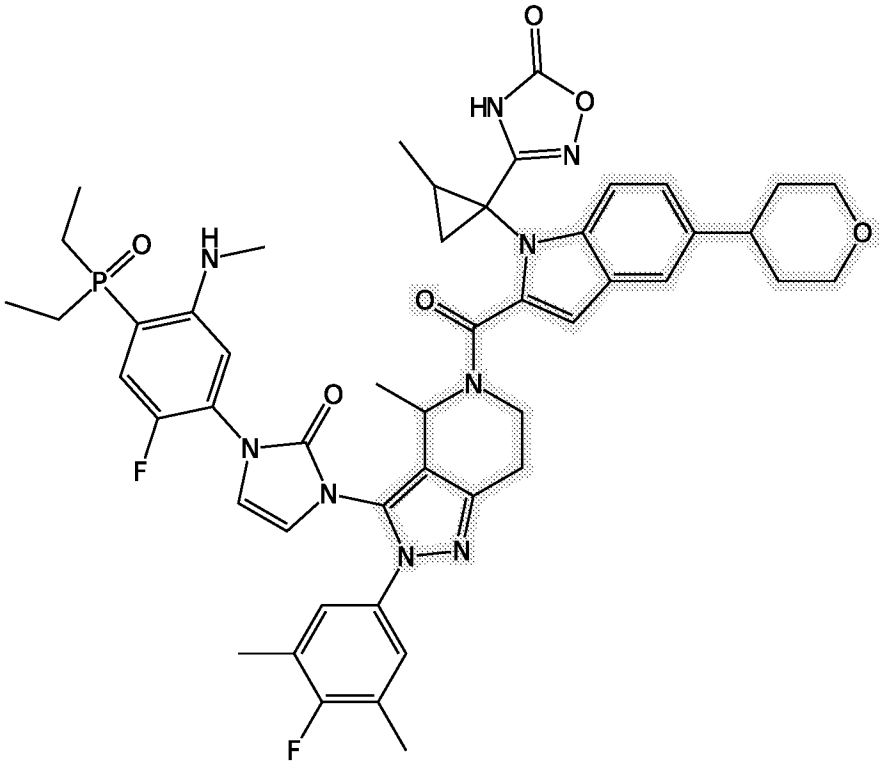
RN 2685824-61-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



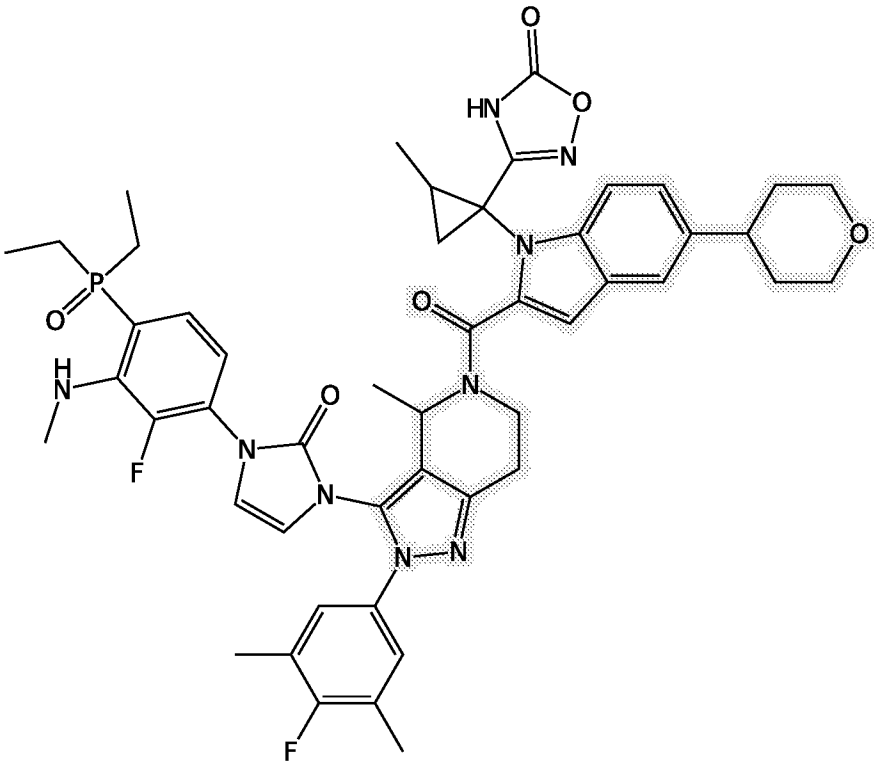
RN 2685824-63-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



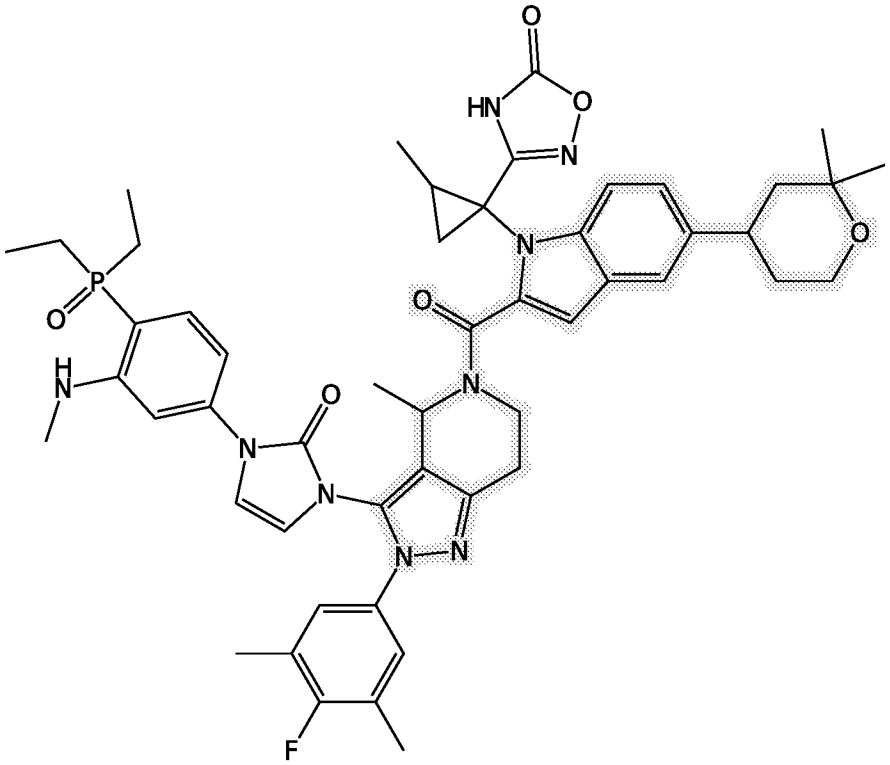
RN 2685824-65-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-2-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



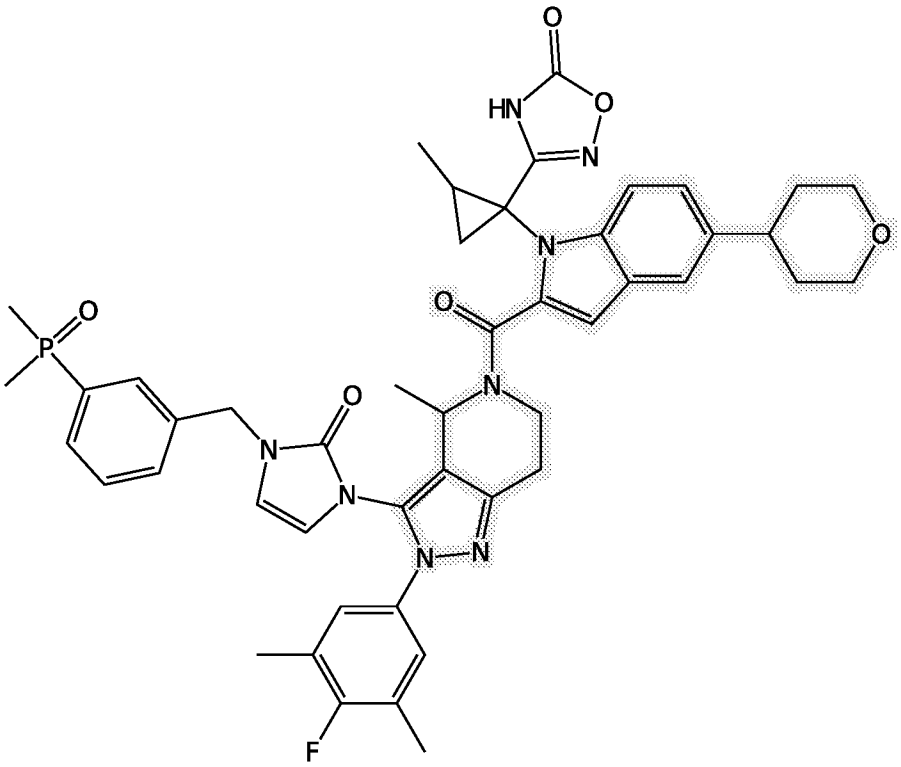
RN 2685824-67-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-2-fluoro-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



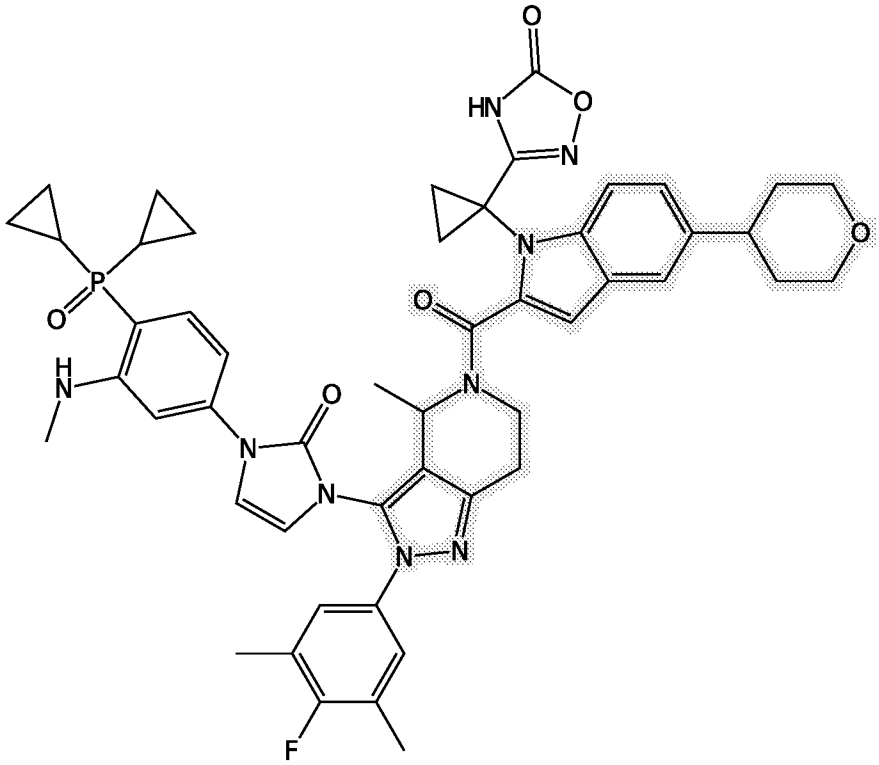
RN 2685824-69-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



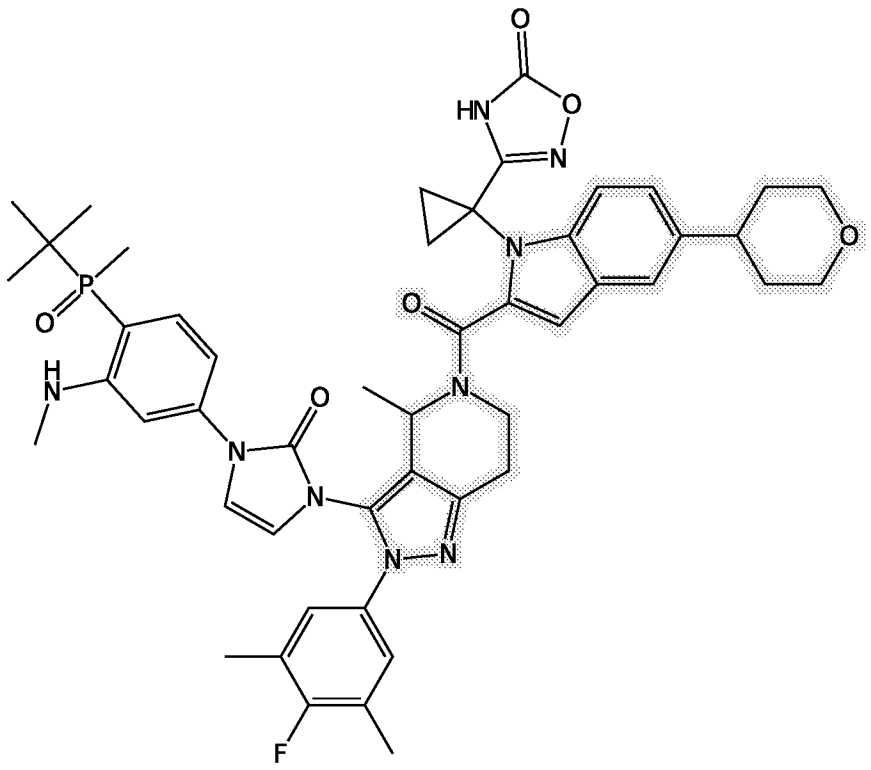
RN 2685824-71-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[[3-(dimethylphosphinyl)phenyl]methyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (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)

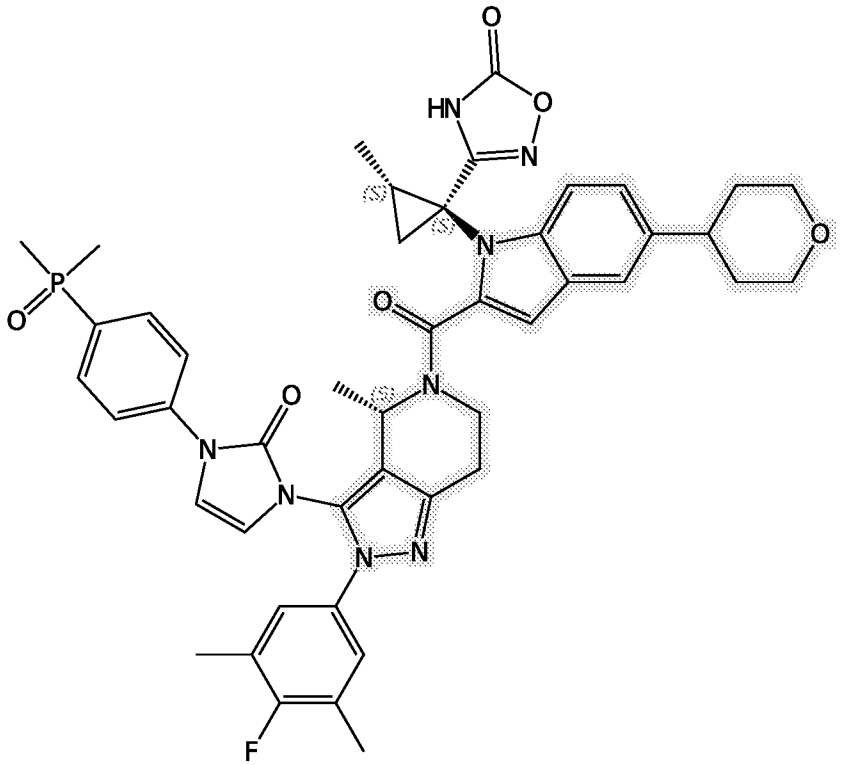


RN 2685824-75-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



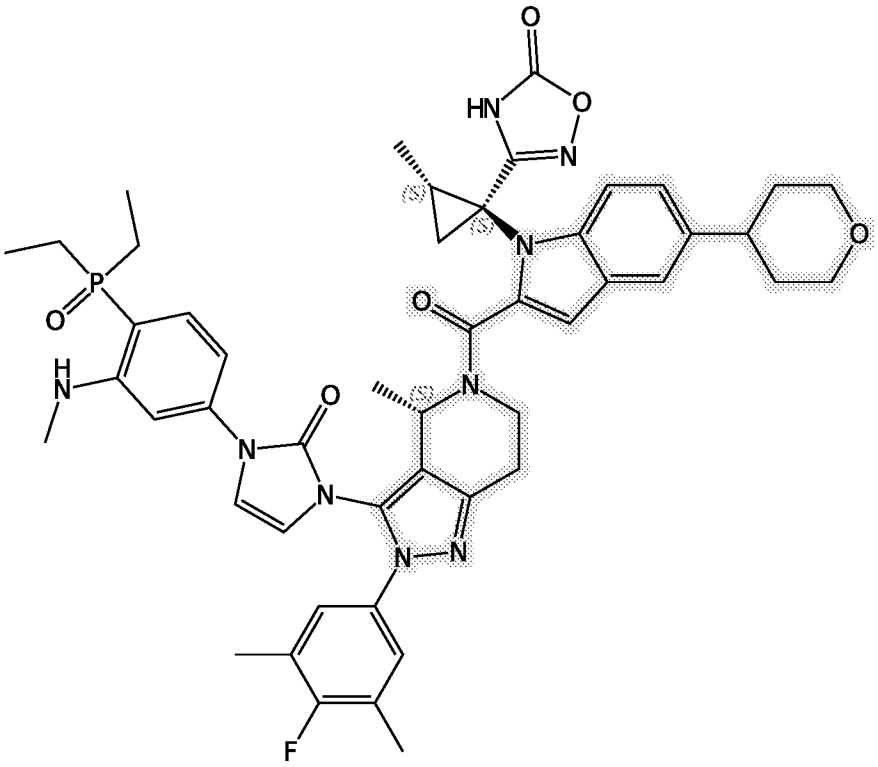
IT 2685823-25-8P 2685823-26-9P 2685823-27-0P
2685823-28-1P 2685823-29-2P 2685823-30-5P
2685823-31-6P 2685823-32-7P 2685823-33-8P
2685823-34-9P 2685823-35-0P 2685823-36-1P
2685823-37-2P 2685823-38-3P 2685823-39-4P
2685823-40-7P 2685823-41-8P 2685823-42-9P
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2685823-46-3P 2685823-47-4P 2685823-48-5P
2685823-49-6P 2685823-50-9P 2685823-51-0P
2685823-52-1P 2685823-53-2P 2685823-54-3P
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)



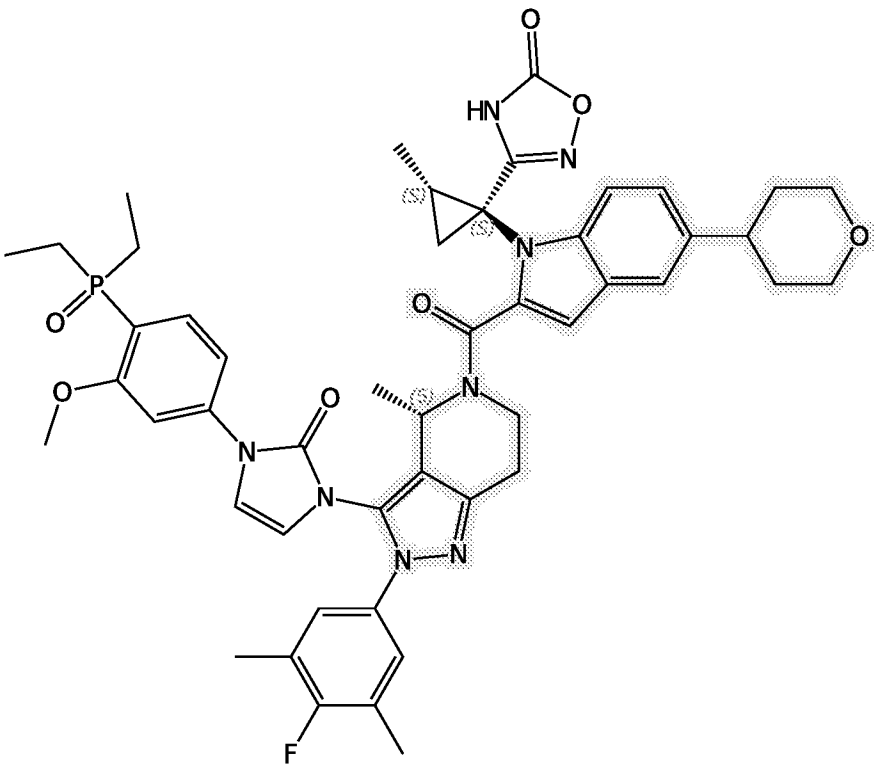

Absolute stereochemistry shown

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)



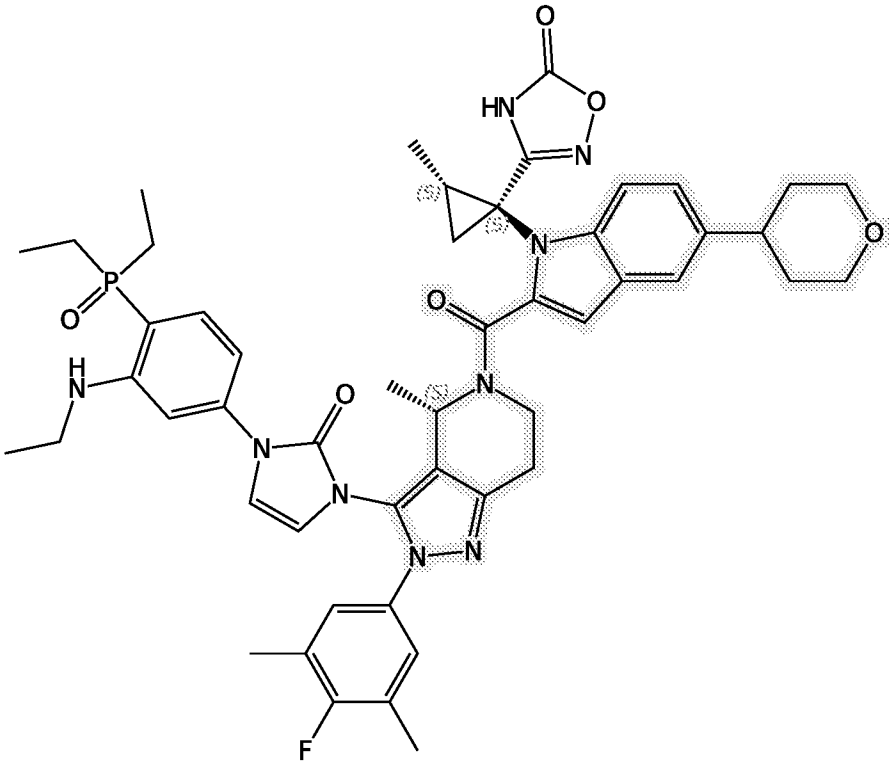
Absolute stereochemistry shown

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)



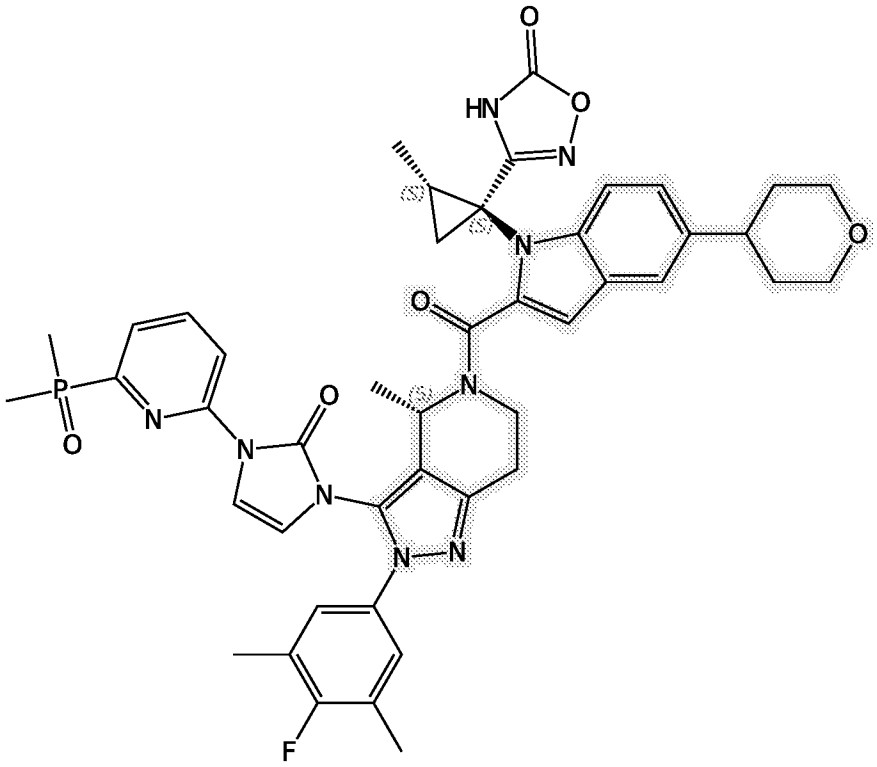
Absolute stereochemistry shown

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)



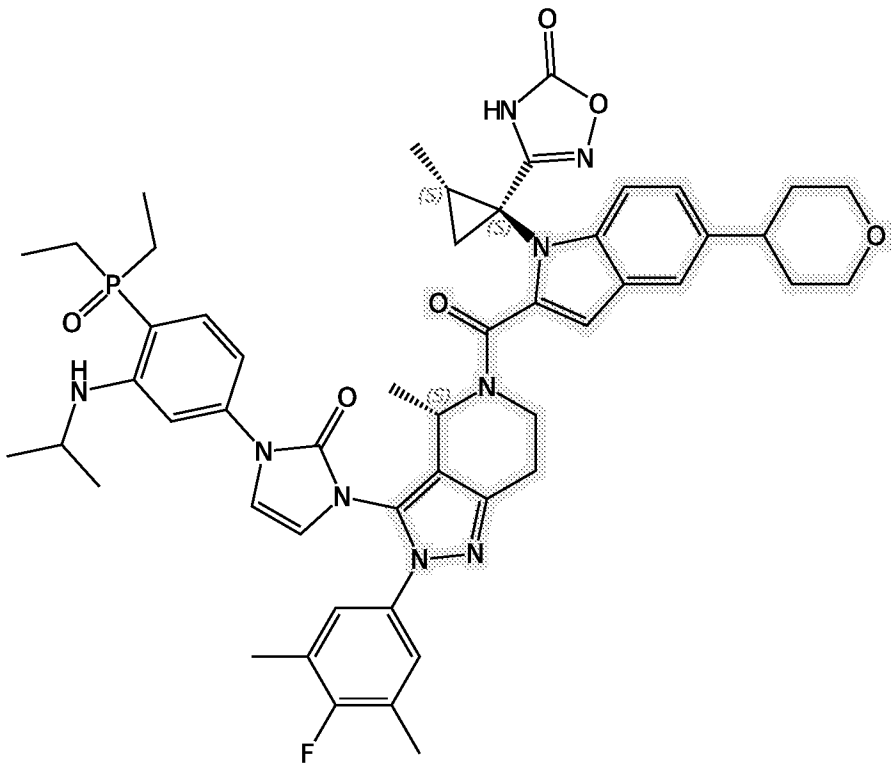
Absolute stereochemistry shown

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)



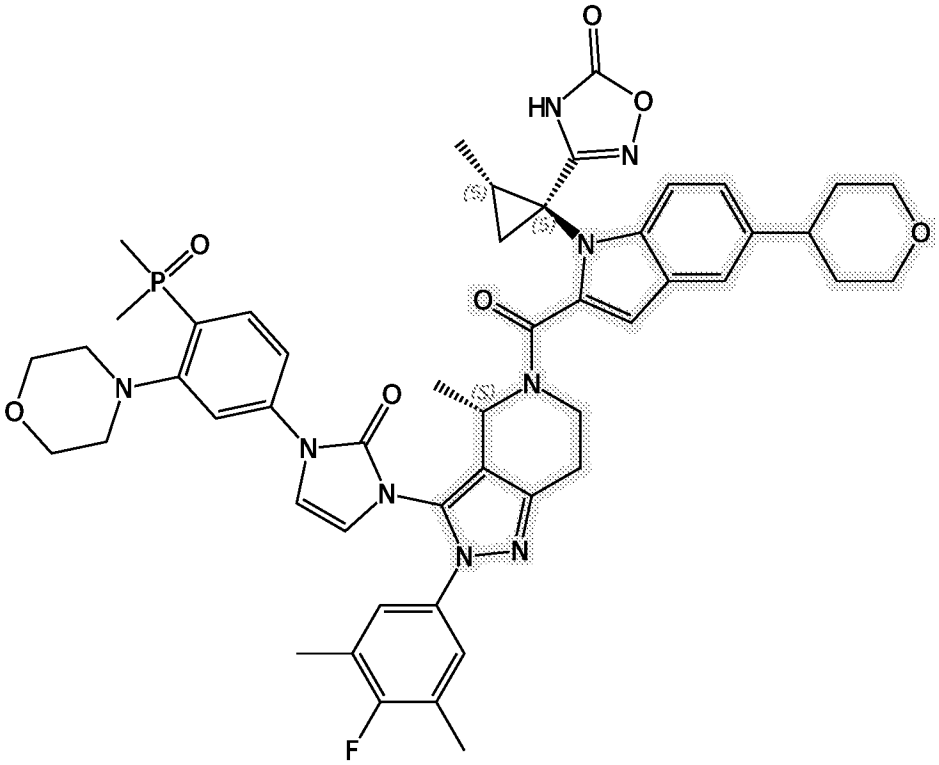
Absolute stereochemistry shown

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)



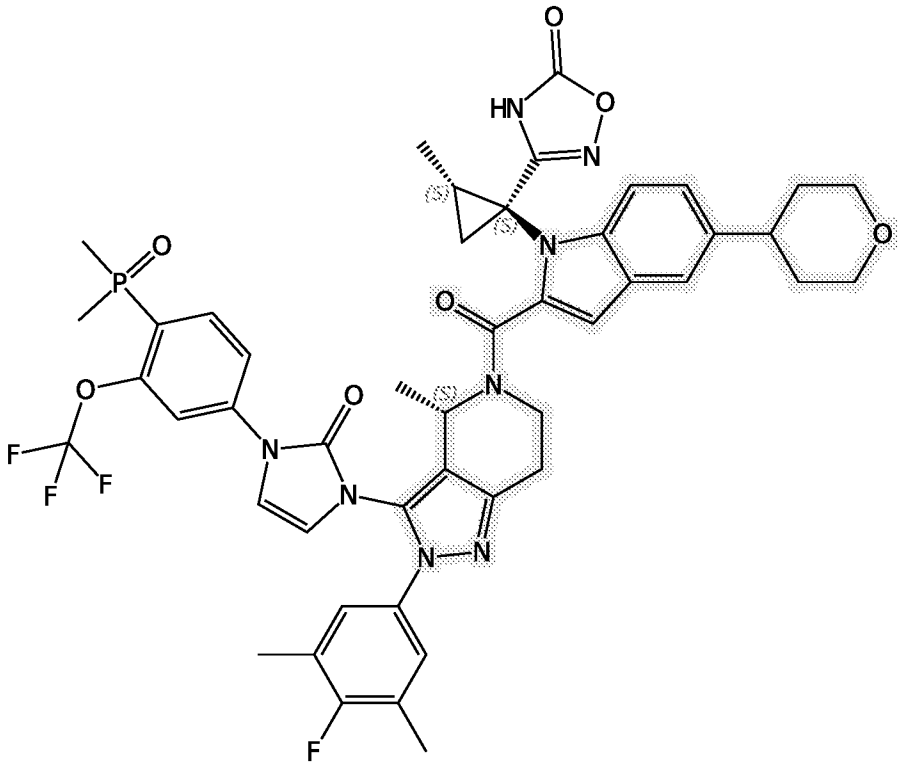
Absolute stereochemistry shown

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)



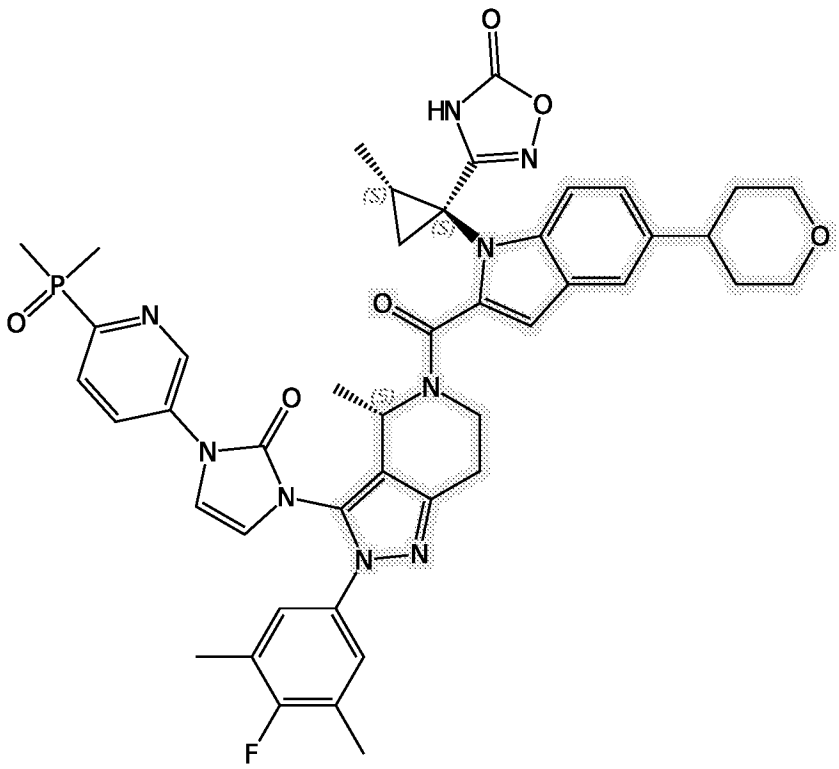
Absolute stereochemistry shown

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)



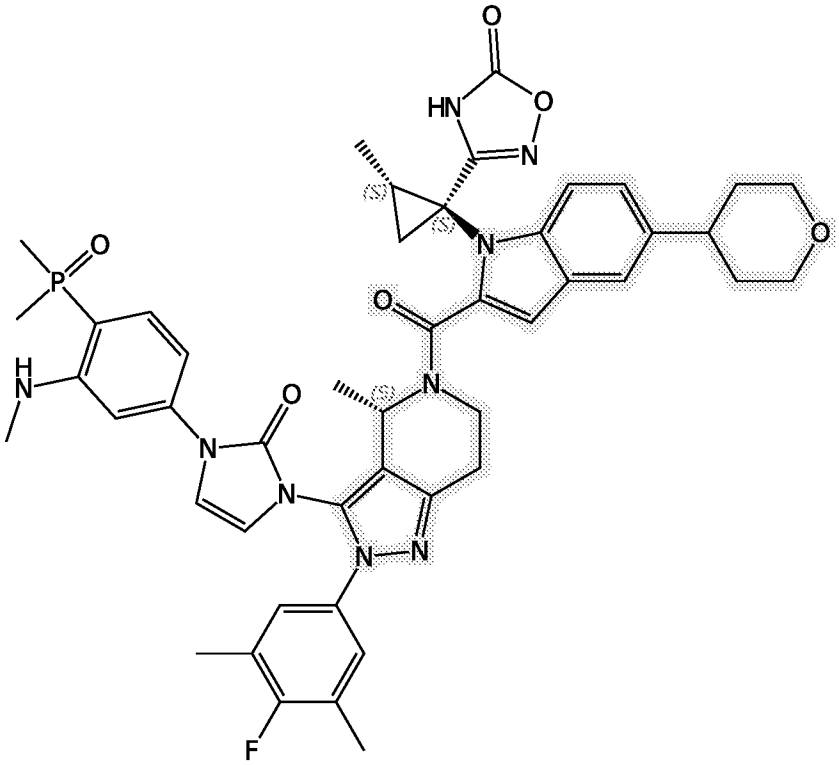
Absolute stereochemistry shown

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)



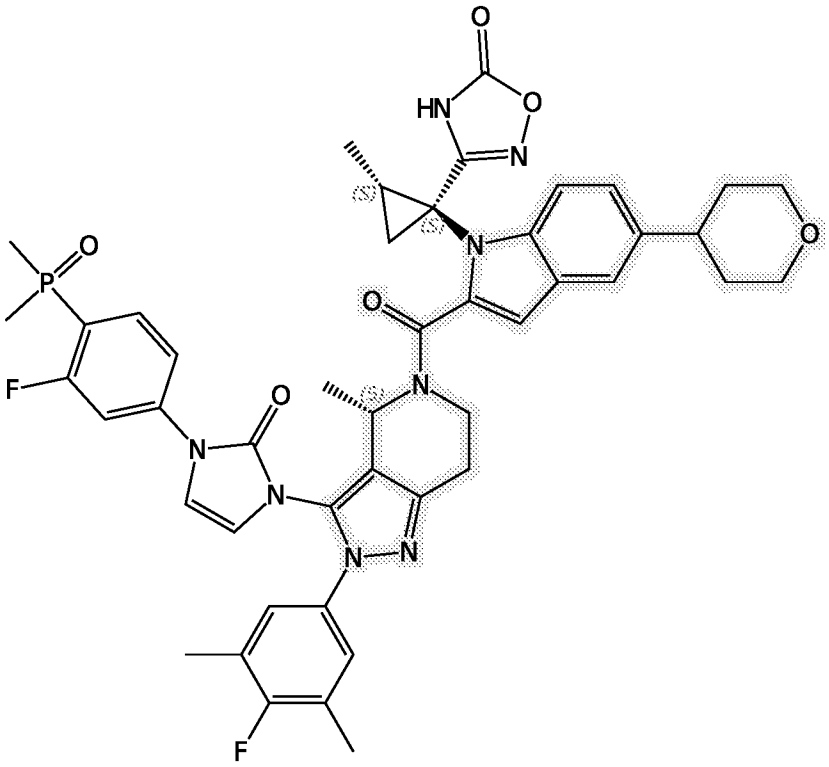
Absolute stereochemistry shown

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)



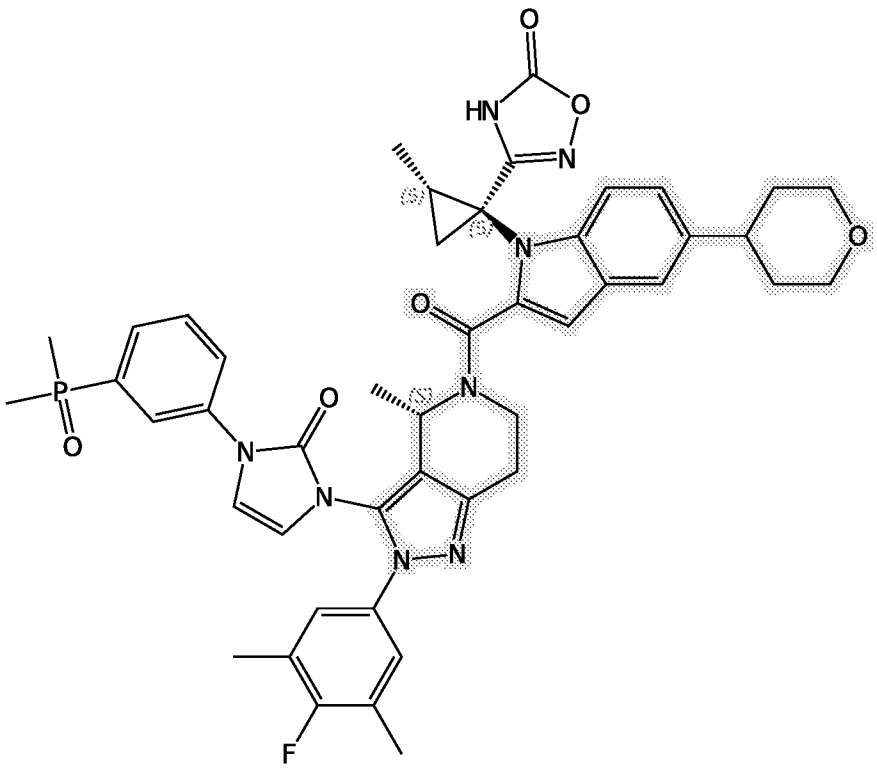

Absolute stereochemistry shown

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)



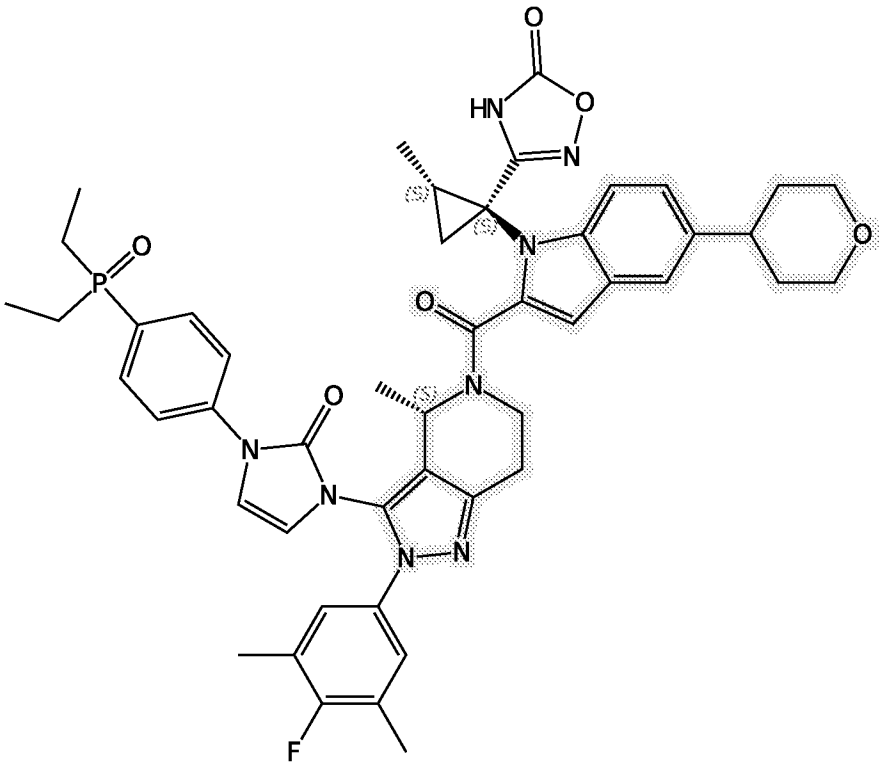
Absolute stereochemistry shown

RN 2685823-36-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-(dimethylphosphin
yl)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-(tetrahydr
o-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



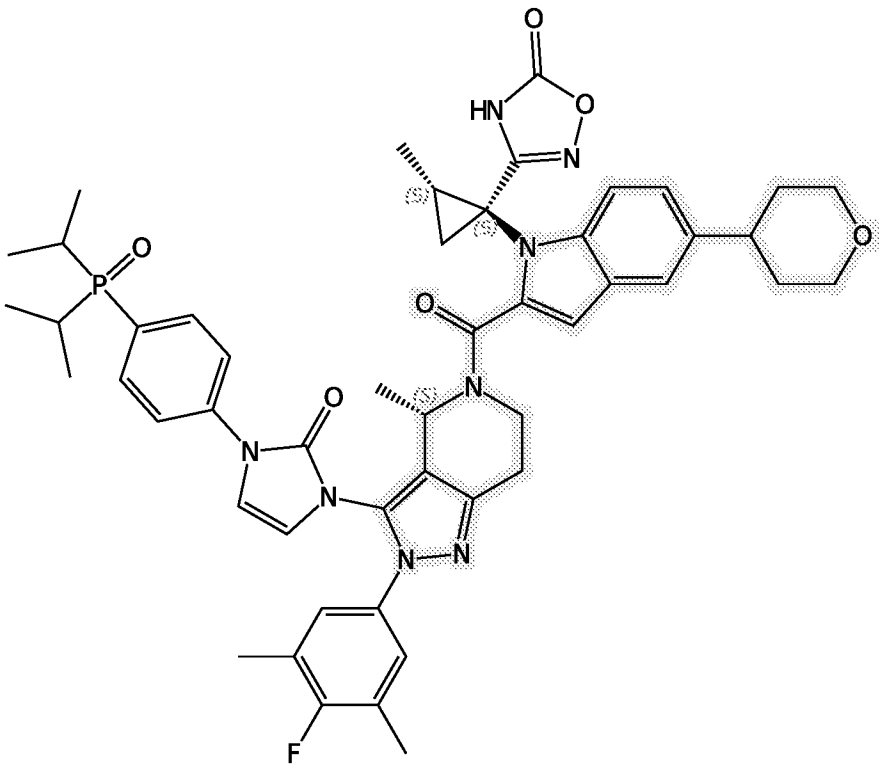
Absolute stereochemistry shown

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)



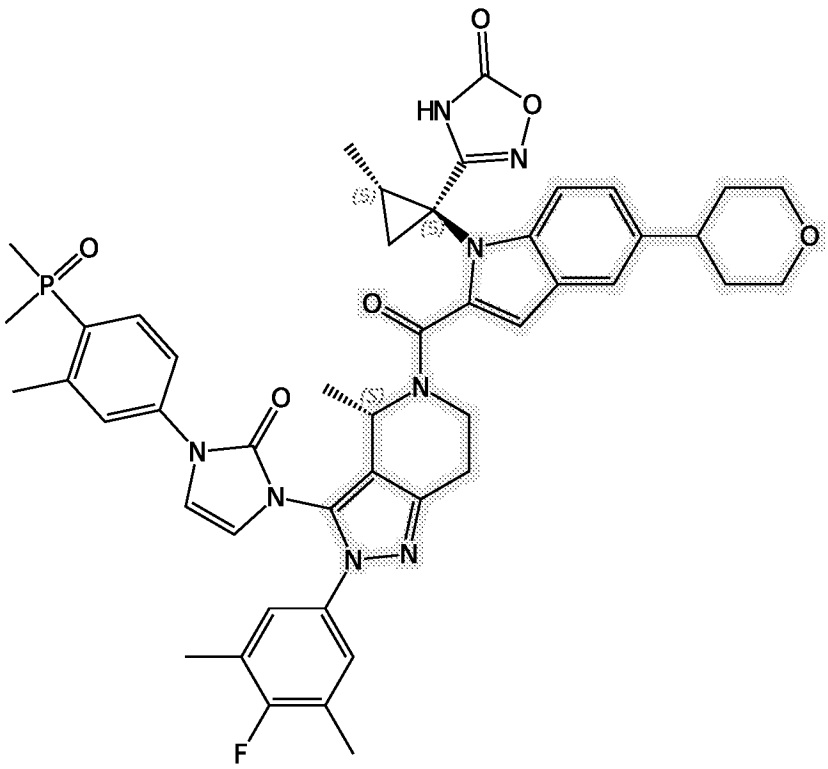
Absolute stereochemistry shown

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)



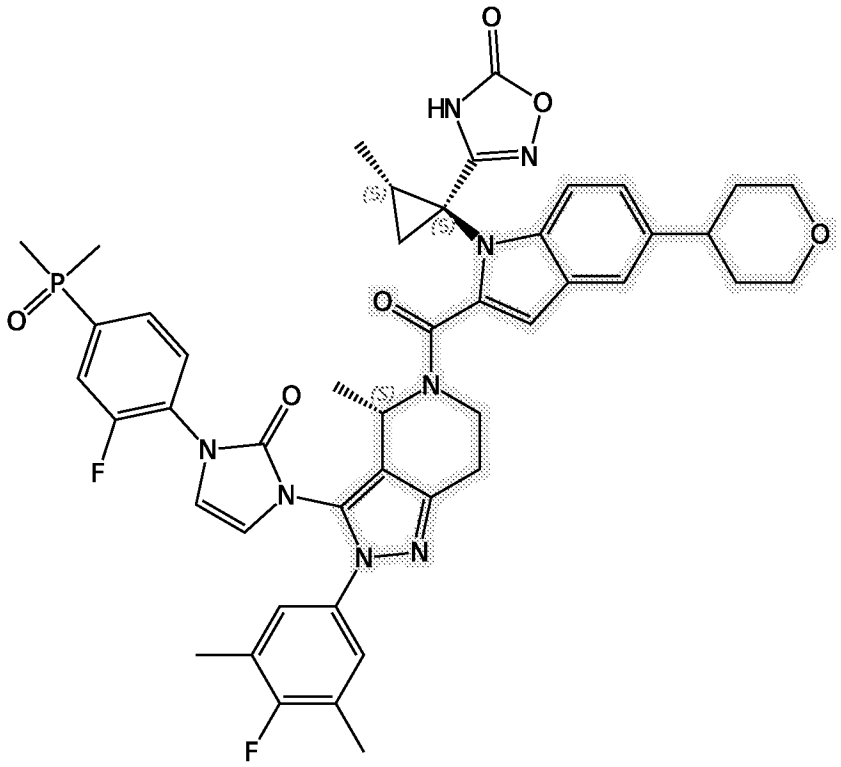
Absolute stereochemistry shown

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)



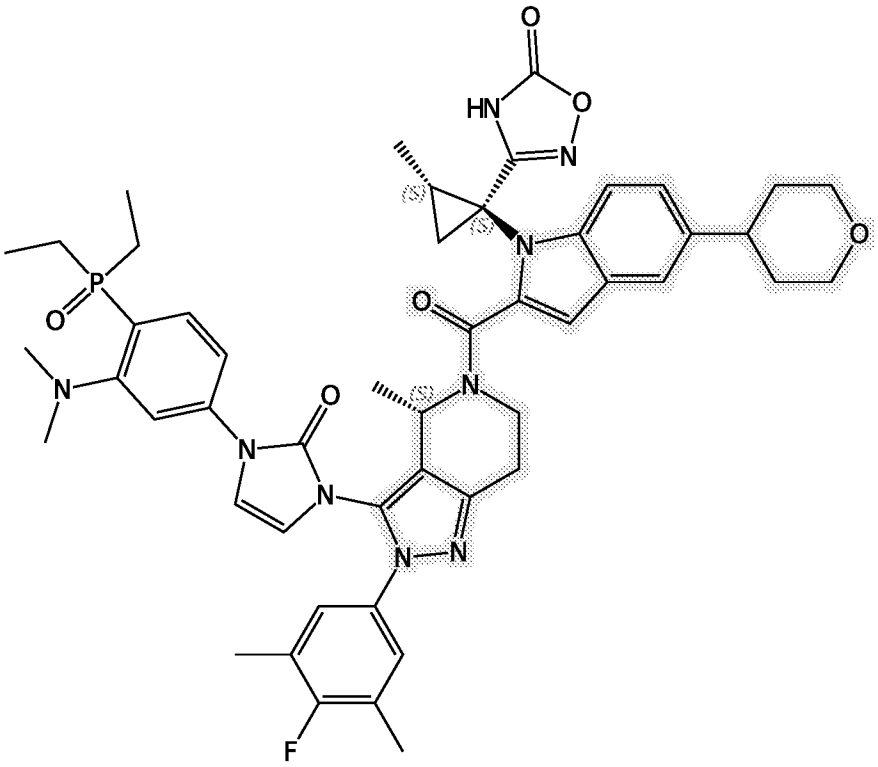
Absolute stereochemistry shown

RN 2685823-40-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(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)



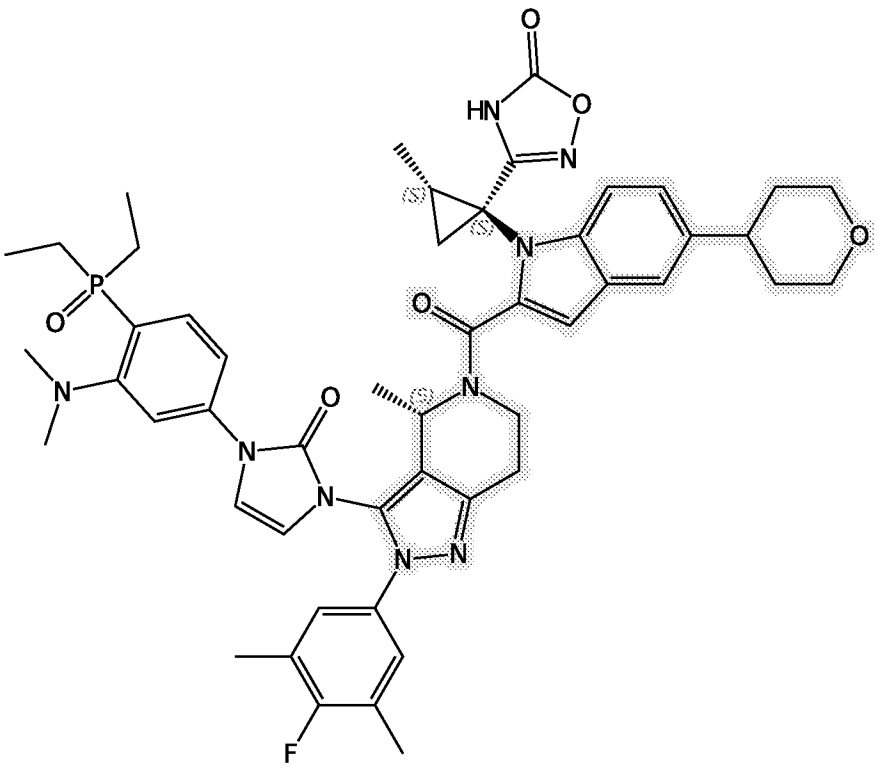

Absolute stereochemistry shown

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

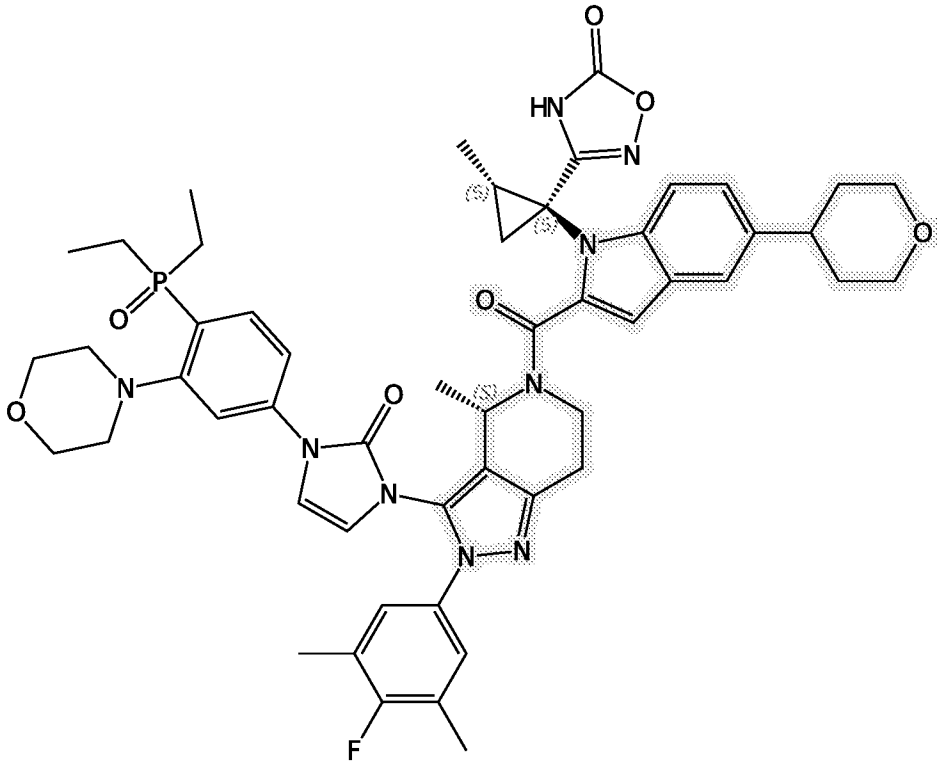
RN 2685823-42-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)



• HCl

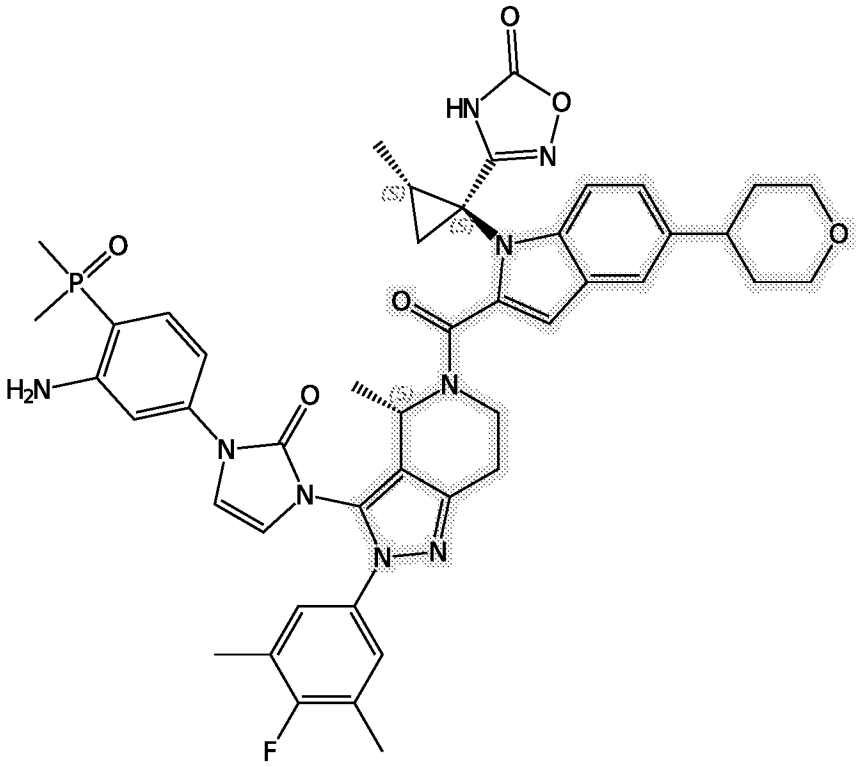
Absolute stereochemistry shown

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)



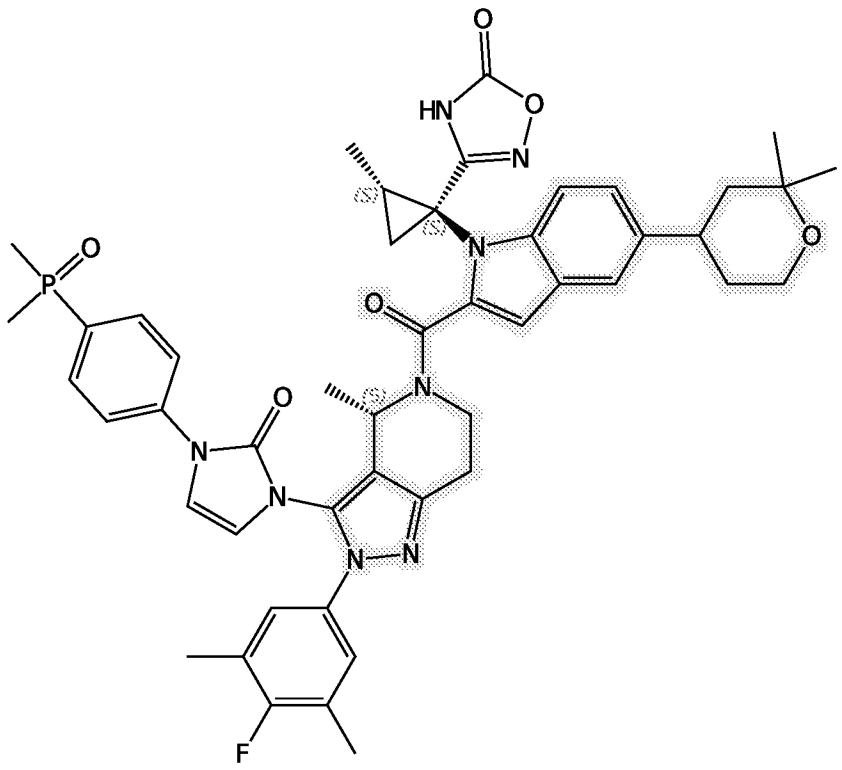
Absolute stereochemistry shown

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)



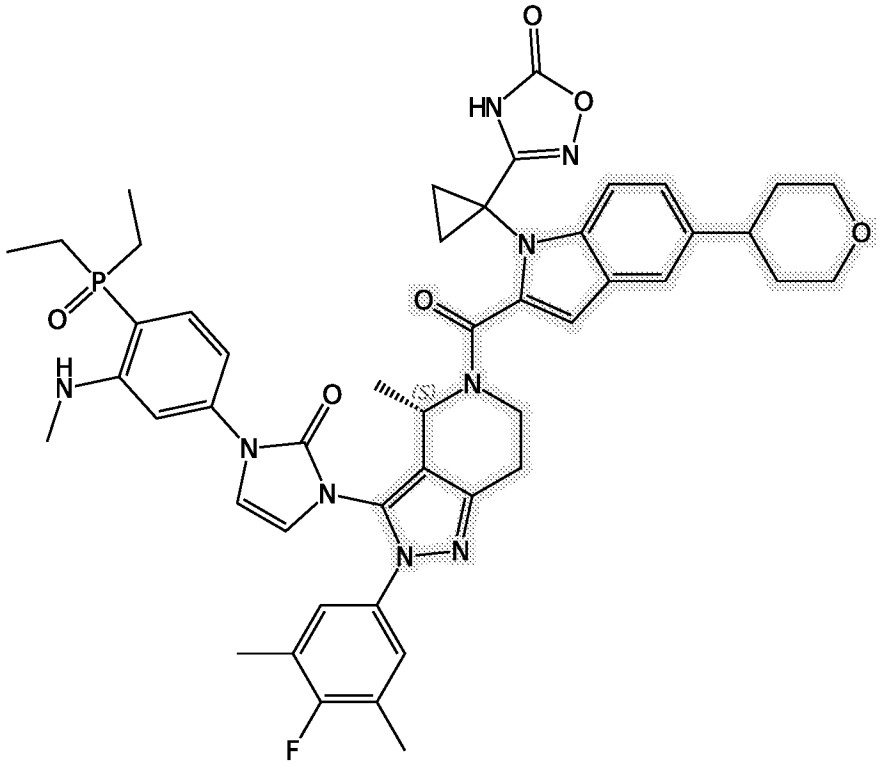
Absolute stereochemistry shown

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)



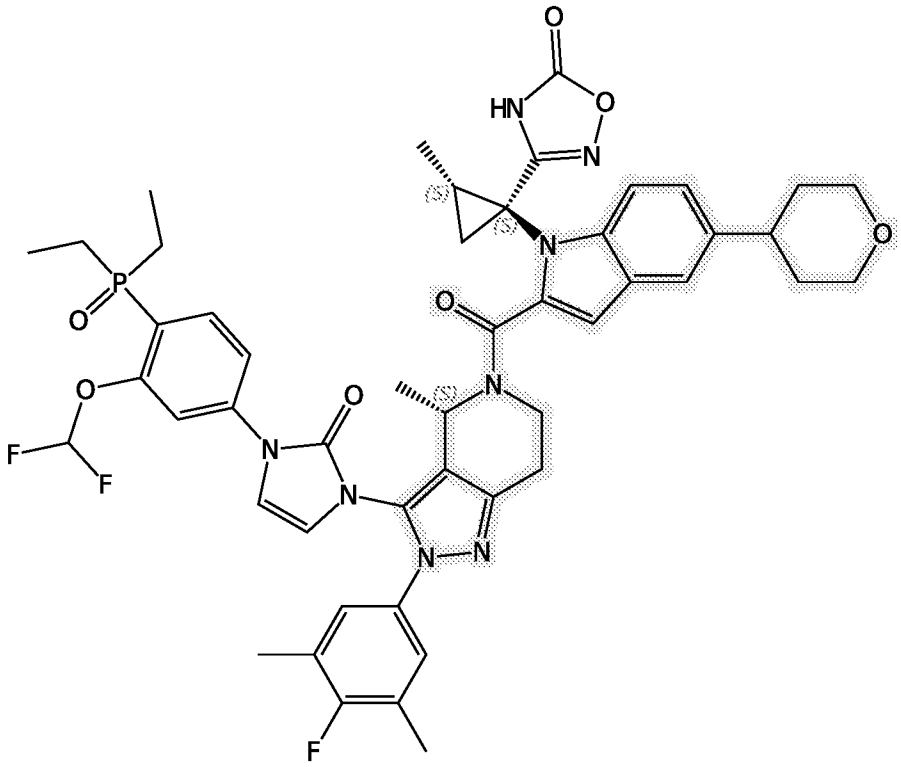
Absolute stereochemistry shown

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)



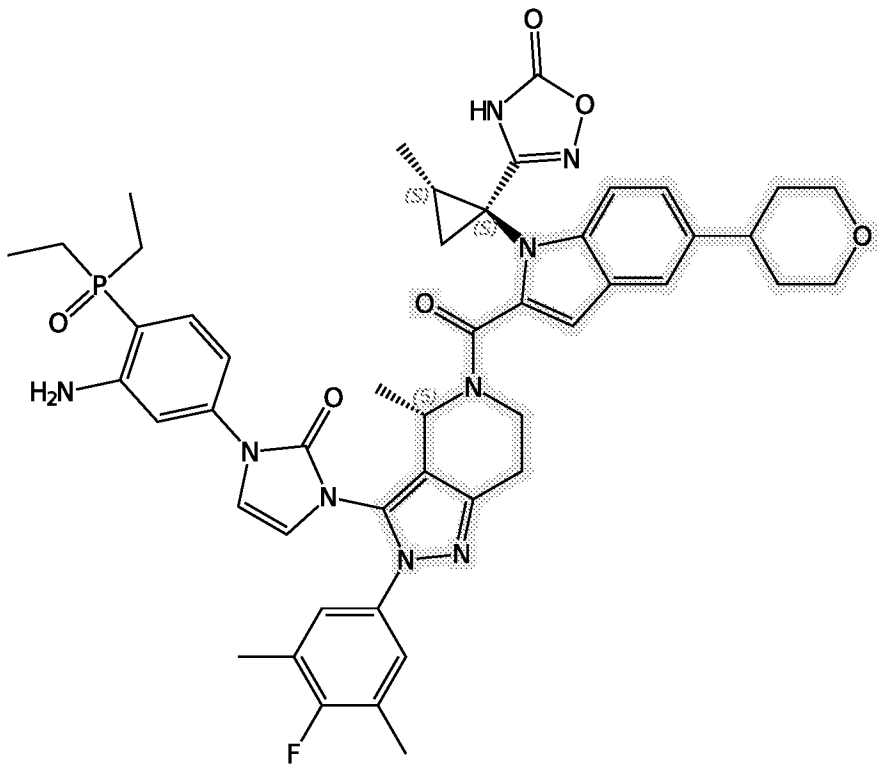
Absolute stereochemistry shown

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)



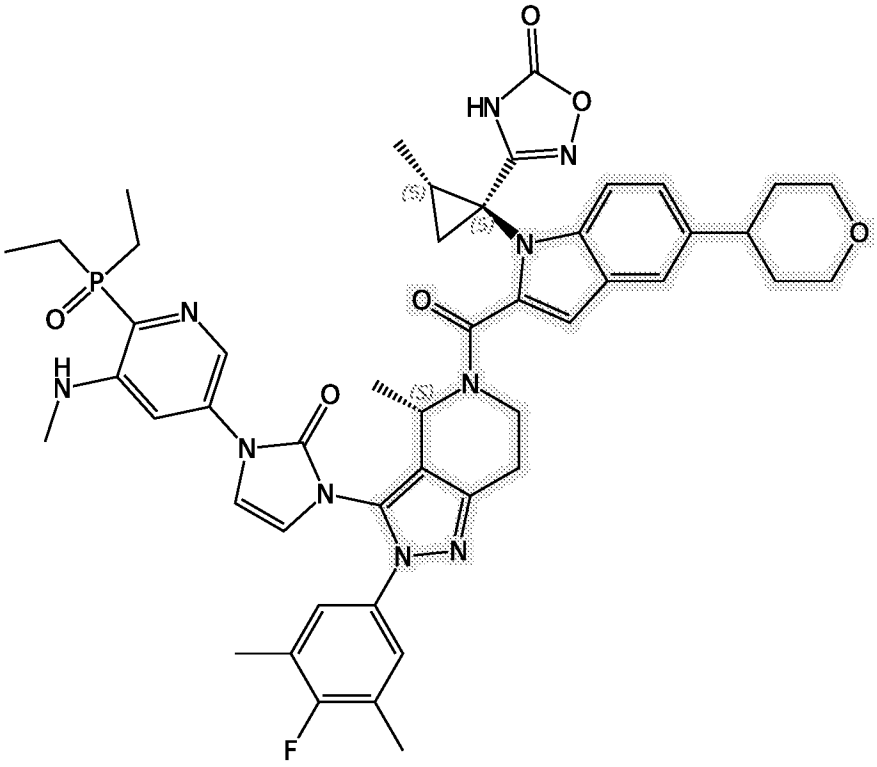
Absolute stereochemistry shown

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)



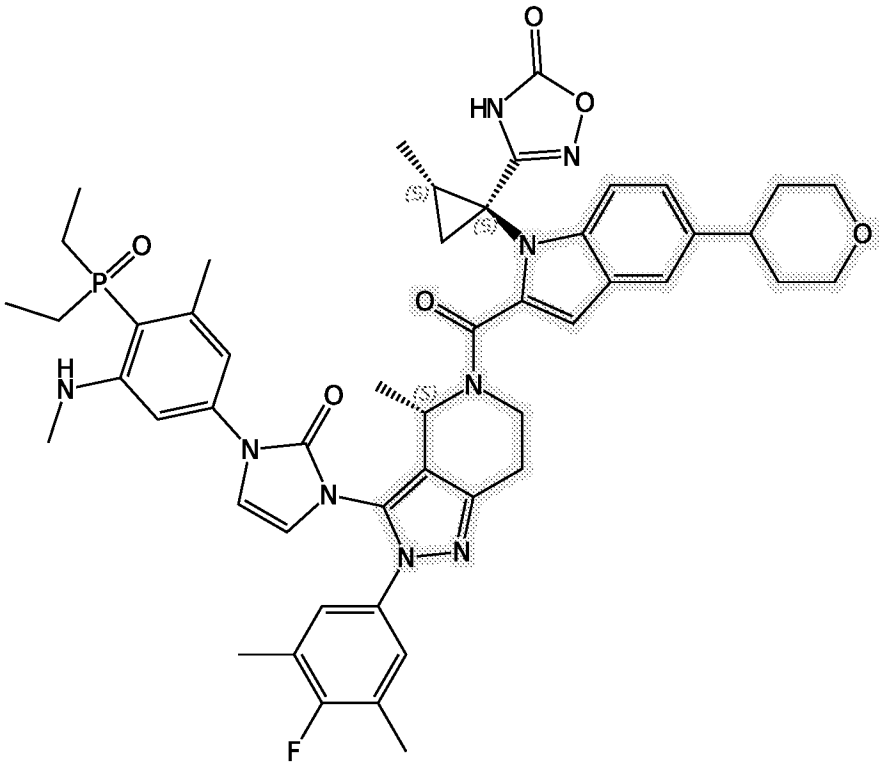
Absolute stereochemistry shown

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)



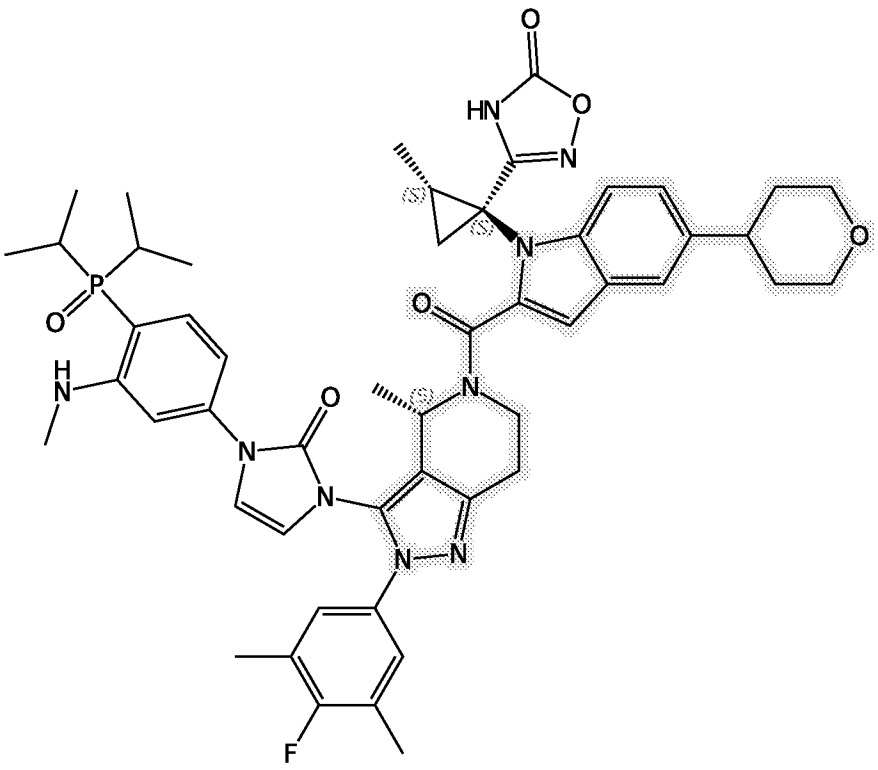
Absolute stereochemistry shown

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)



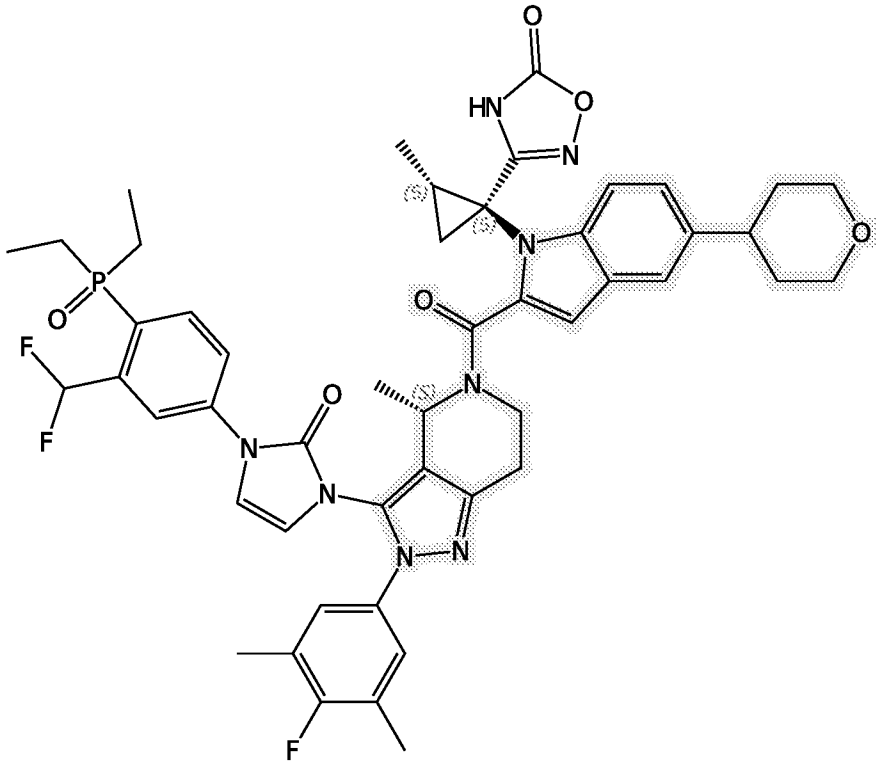
Absolute stereochemistry shown

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)



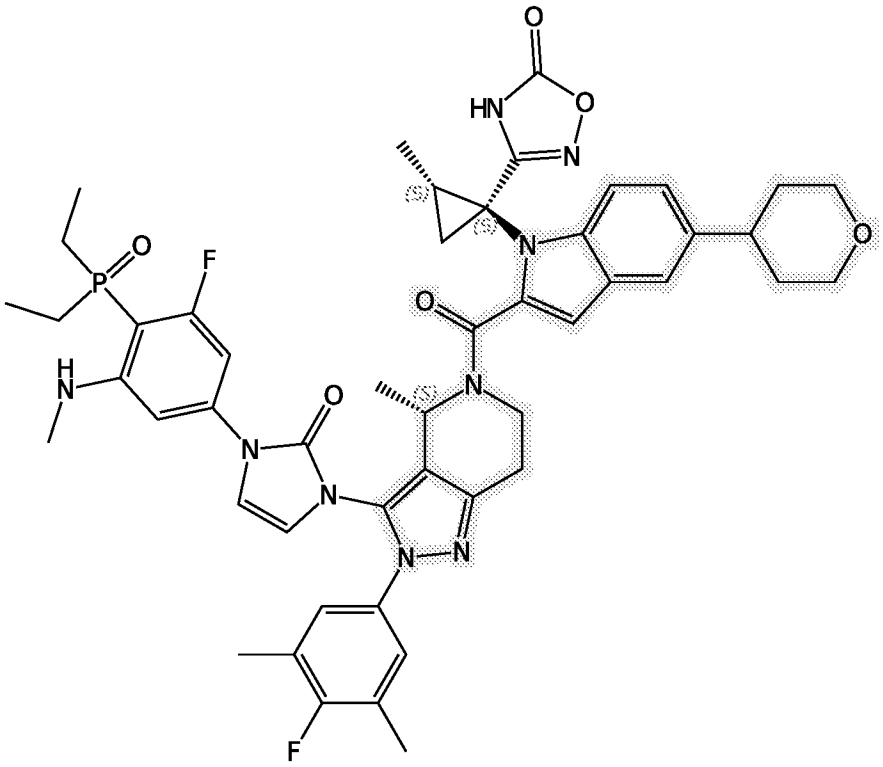
Absolute stereochemistry shown

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)



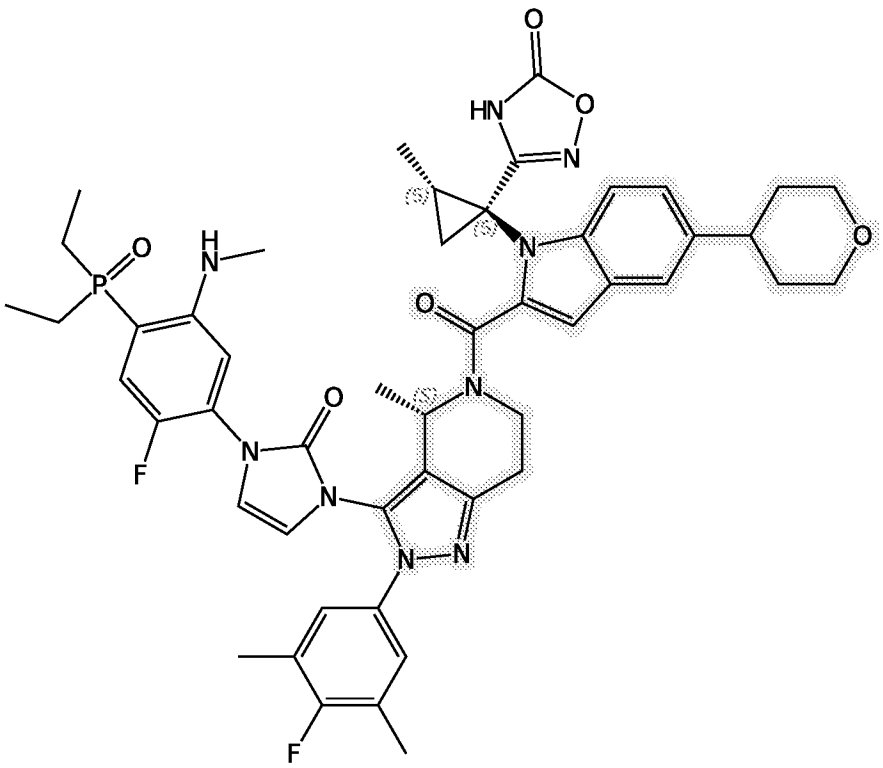
Absolute stereochemistry shown

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)



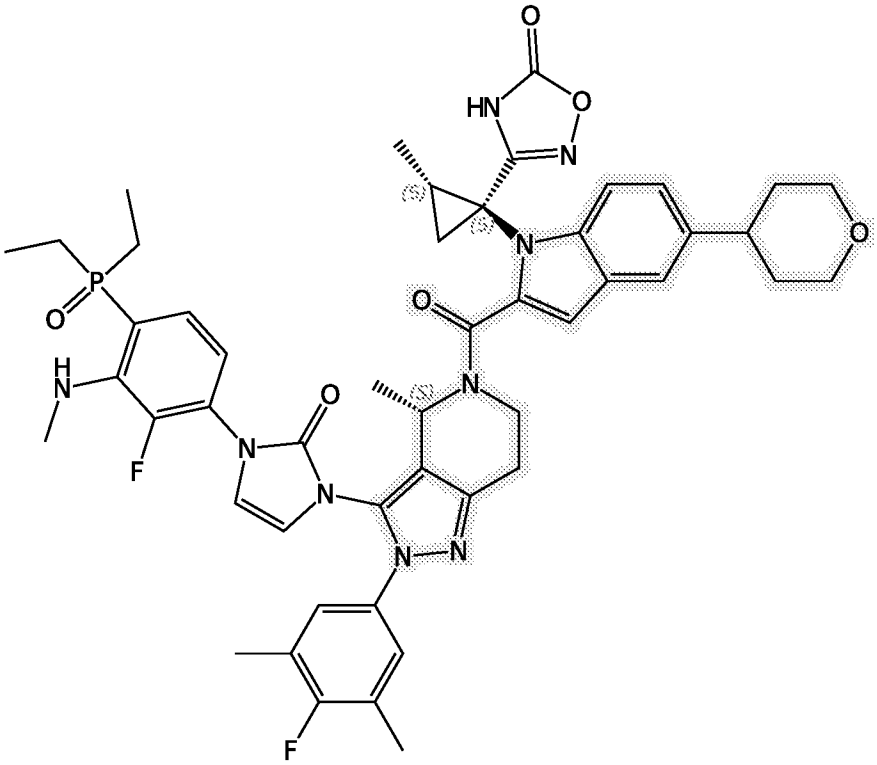
Absolute stereochemistry shown

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)



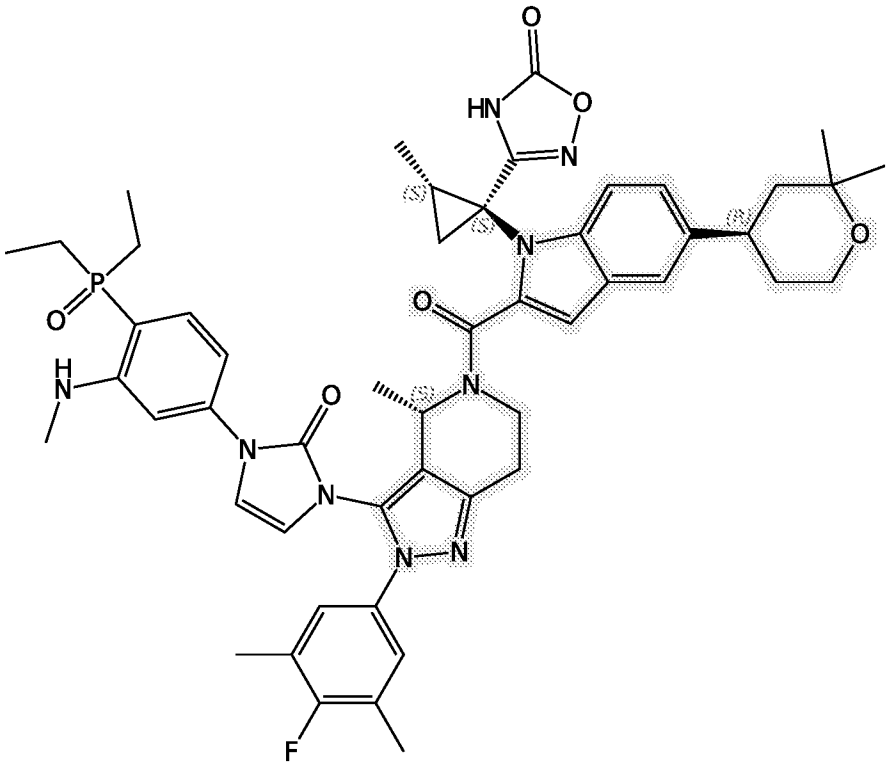
Absolute stereochemistry shown

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)



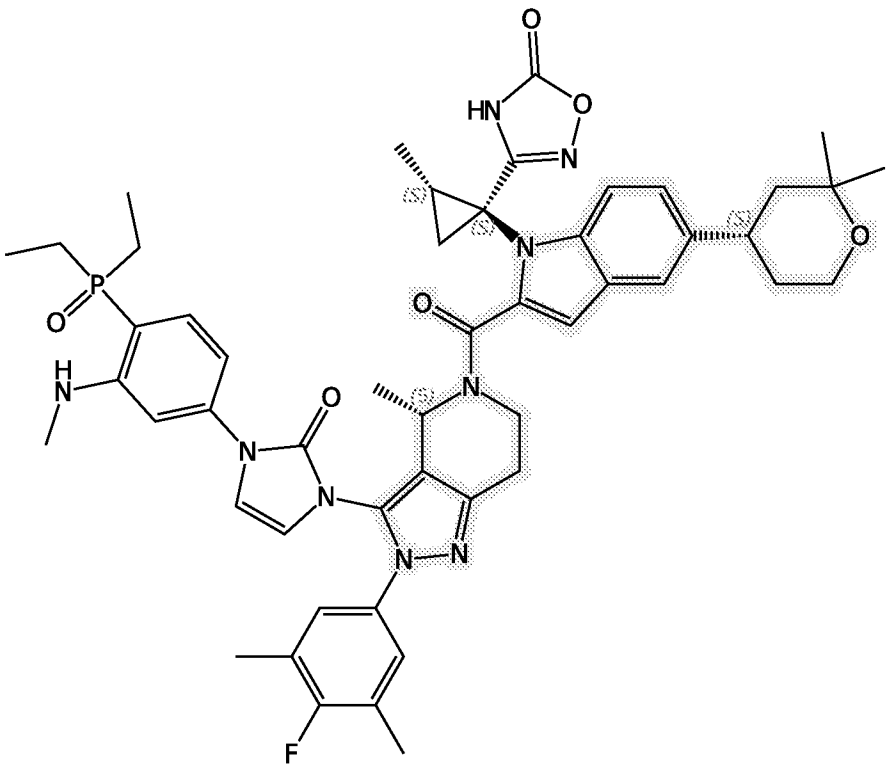
Absolute stereochemistry shown

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)



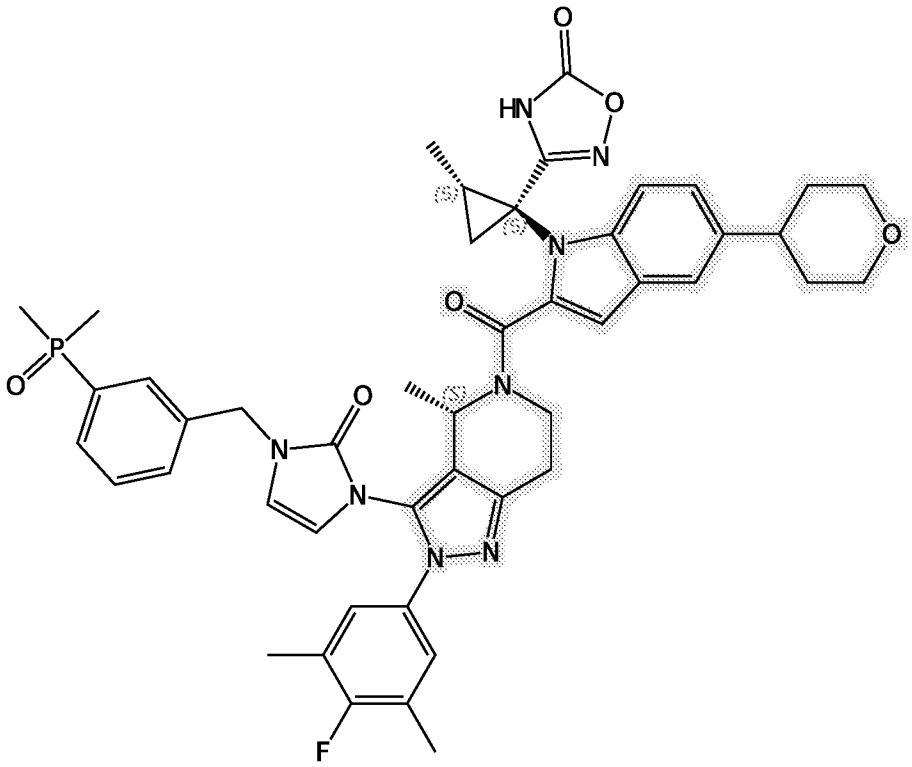
Absolute stereochemistry shown

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)



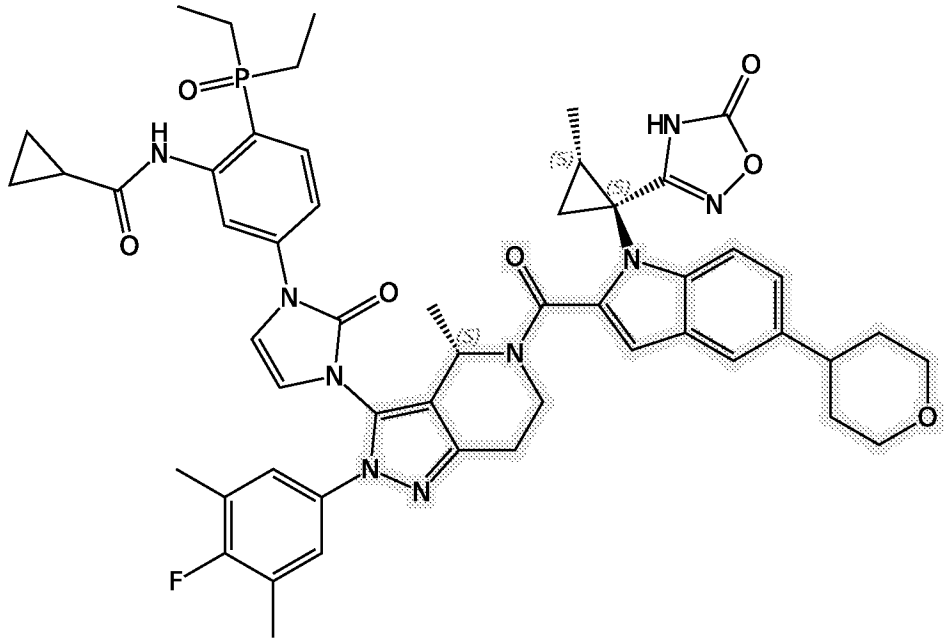
Absolute stereochemistry shown

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)



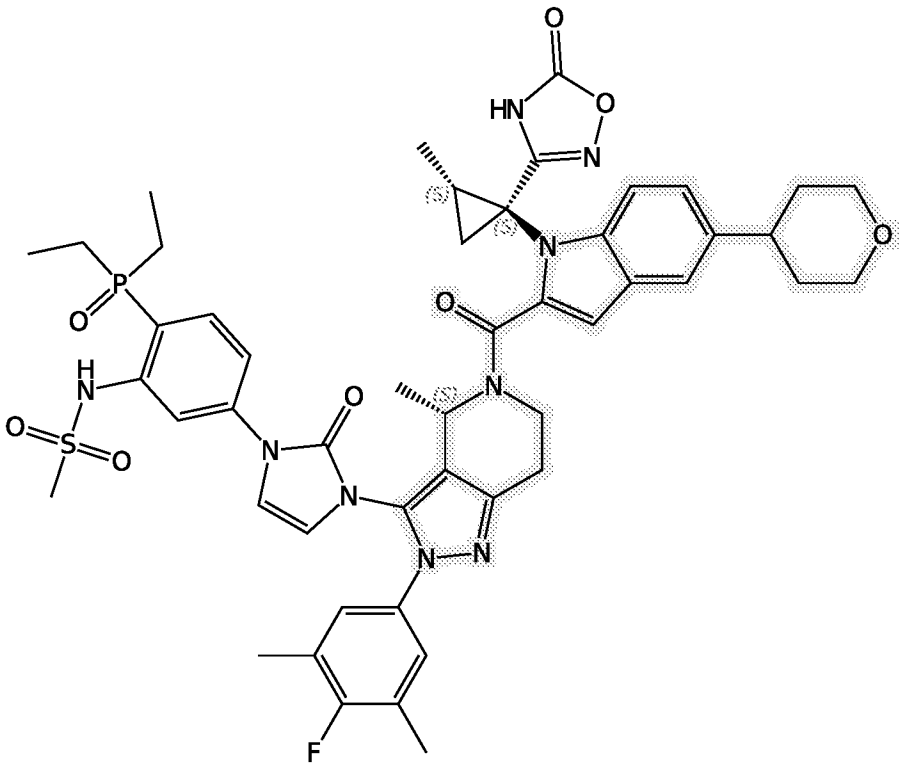
Absolute stereochemistry shown

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)



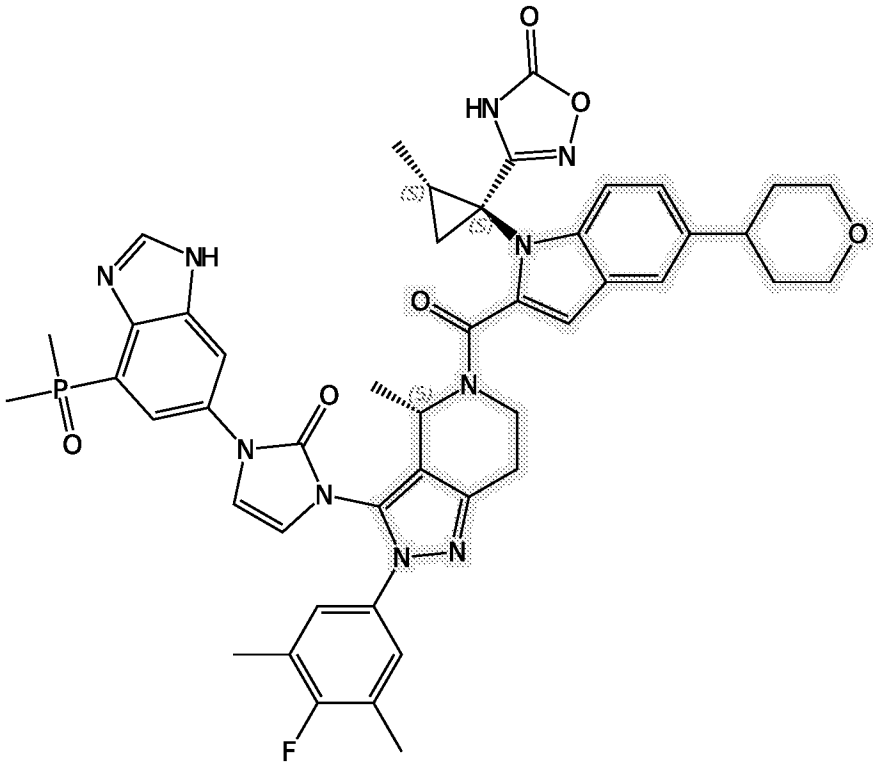
Absolute stereochemistry shown

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)



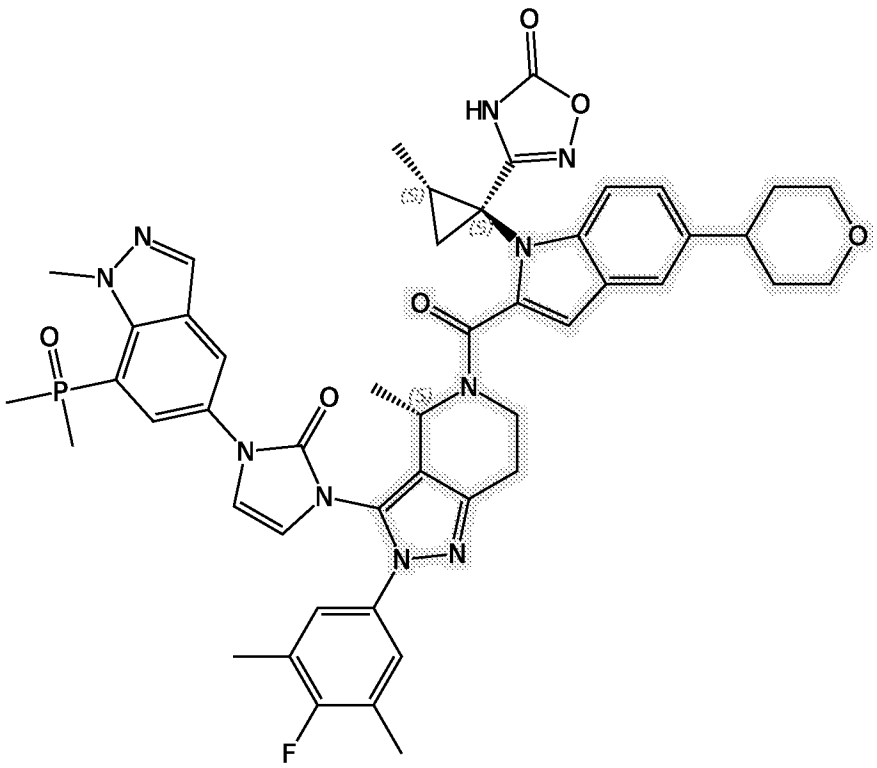
Absolute stereochemistry shown

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)



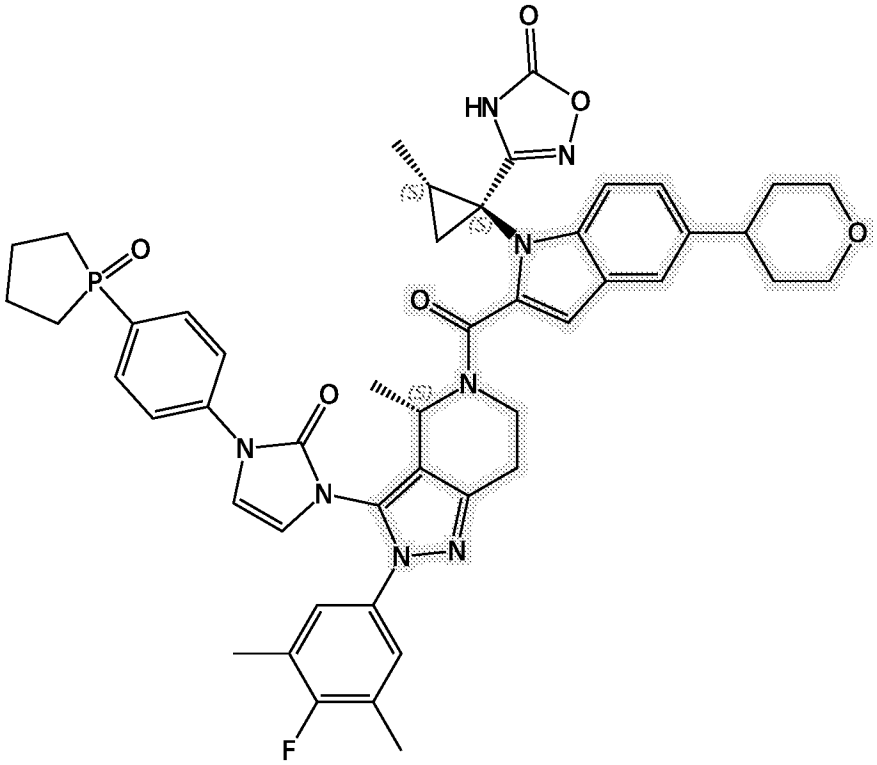
Absolute stereochemistry shown

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)



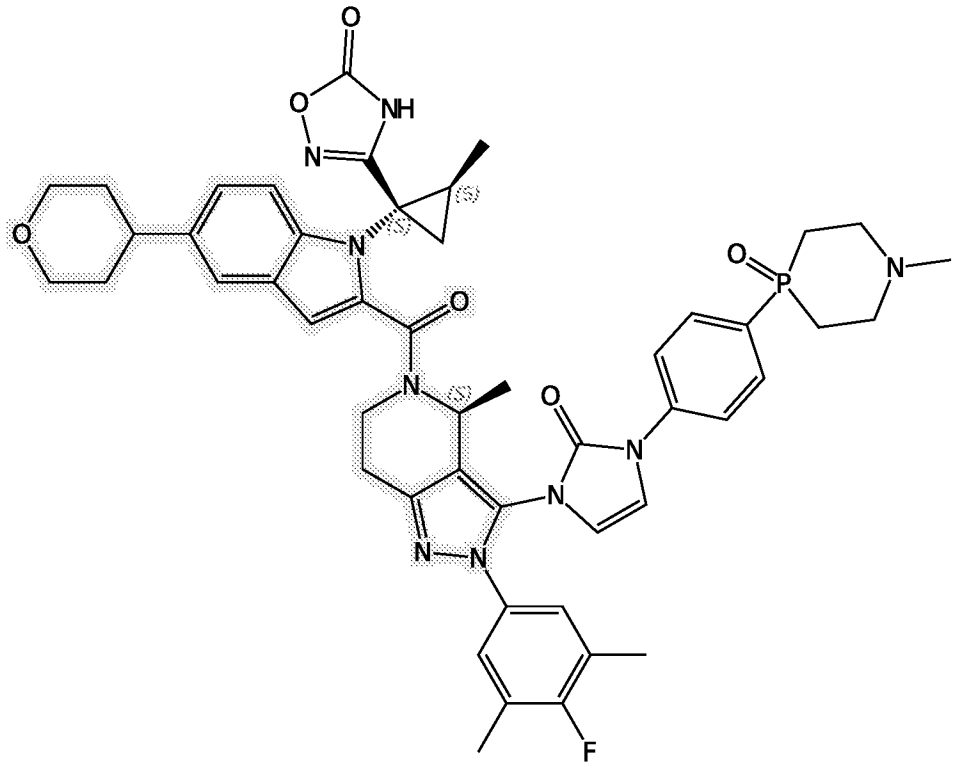
Absolute stereochemistry shown

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)



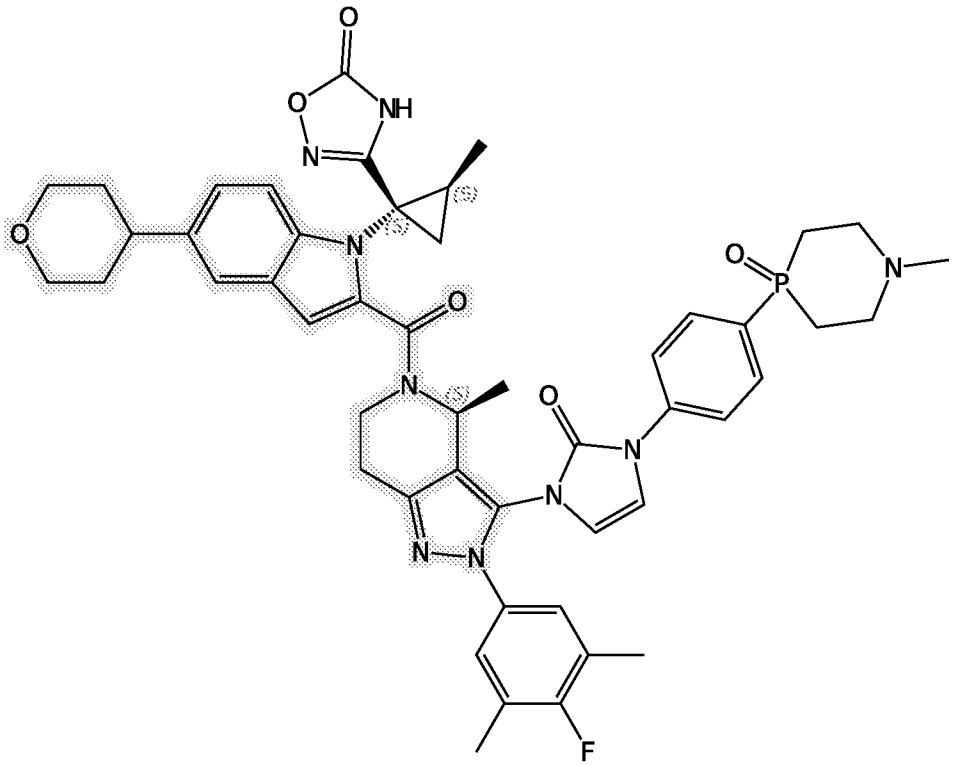
Absolute stereochemistry shown

RN 2685823-64-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



Absolute stereochemistry shown

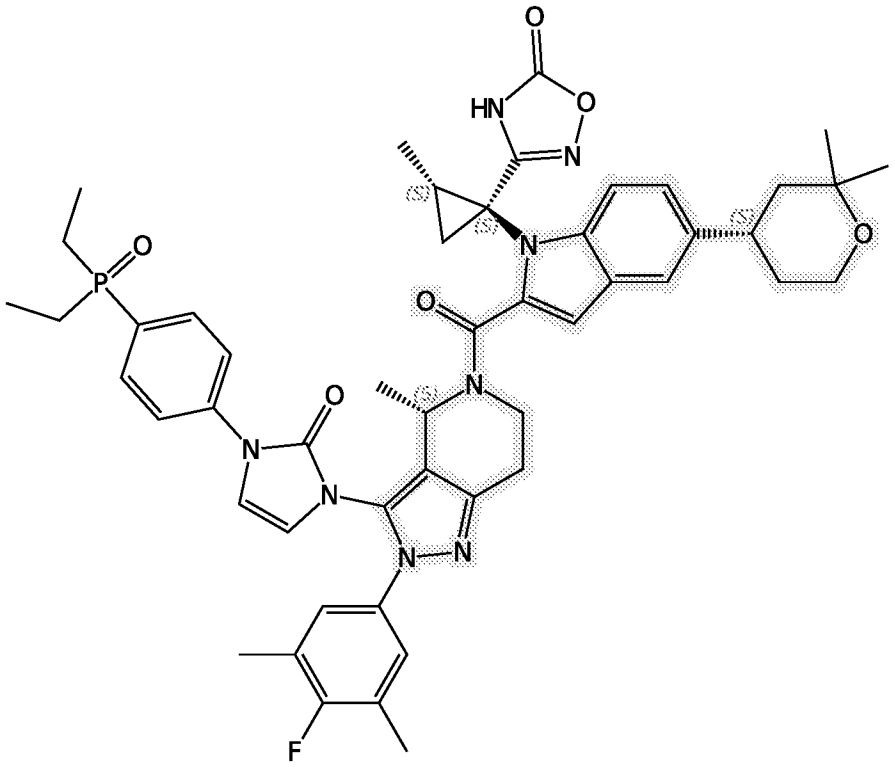
RN 2685823-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• HCl

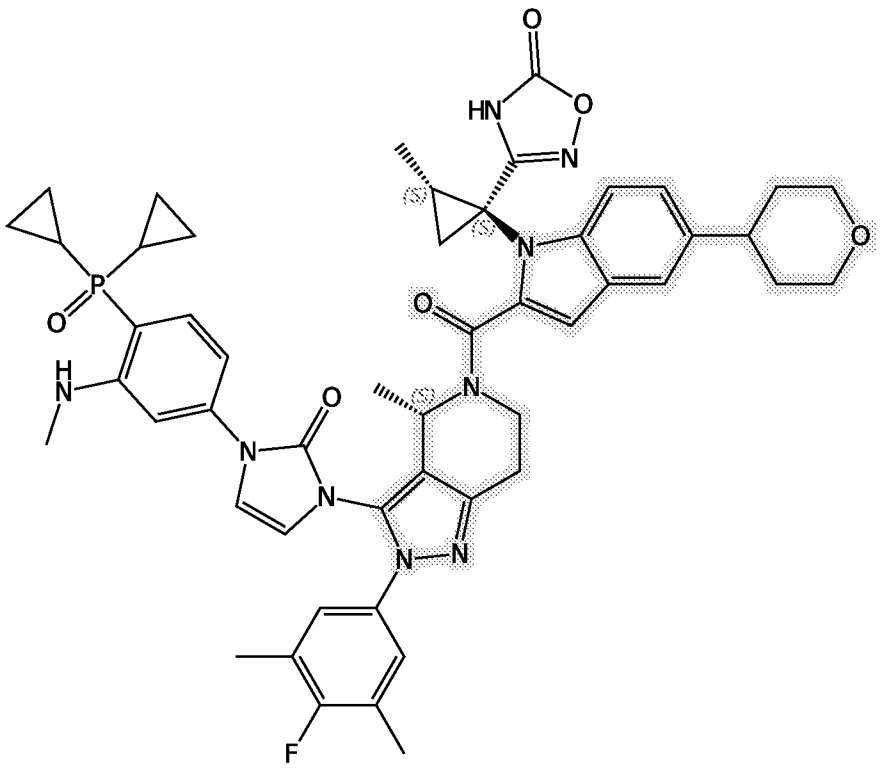
Absolute stereochemistry shown

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)



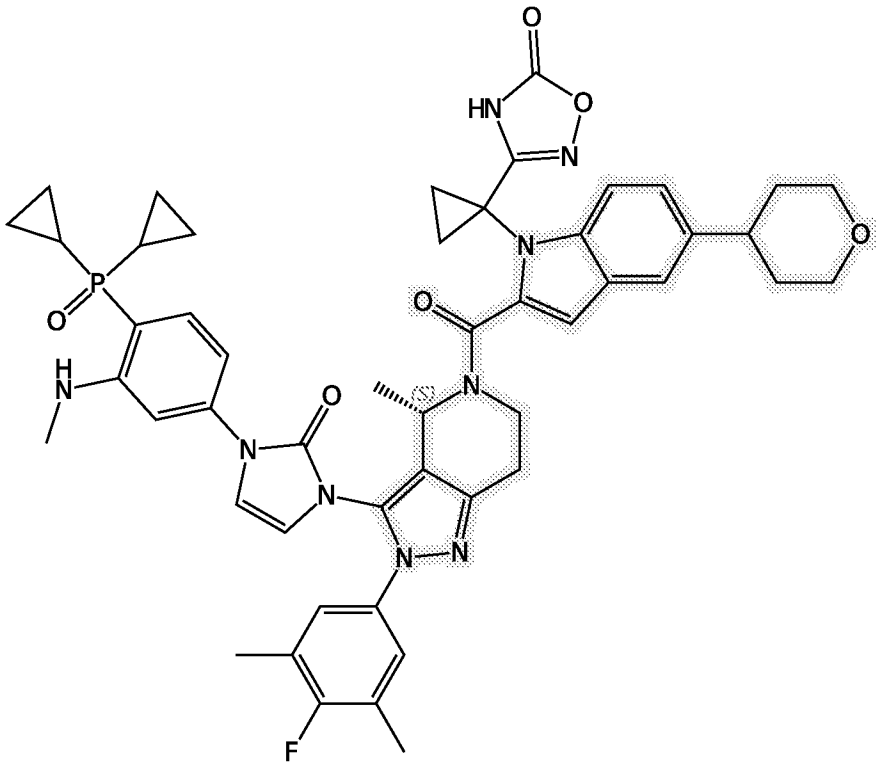
Absolute stereochemistry shown

RN 2685823-67-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(dicyclopropylpho
sphinyl)-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]c
arbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



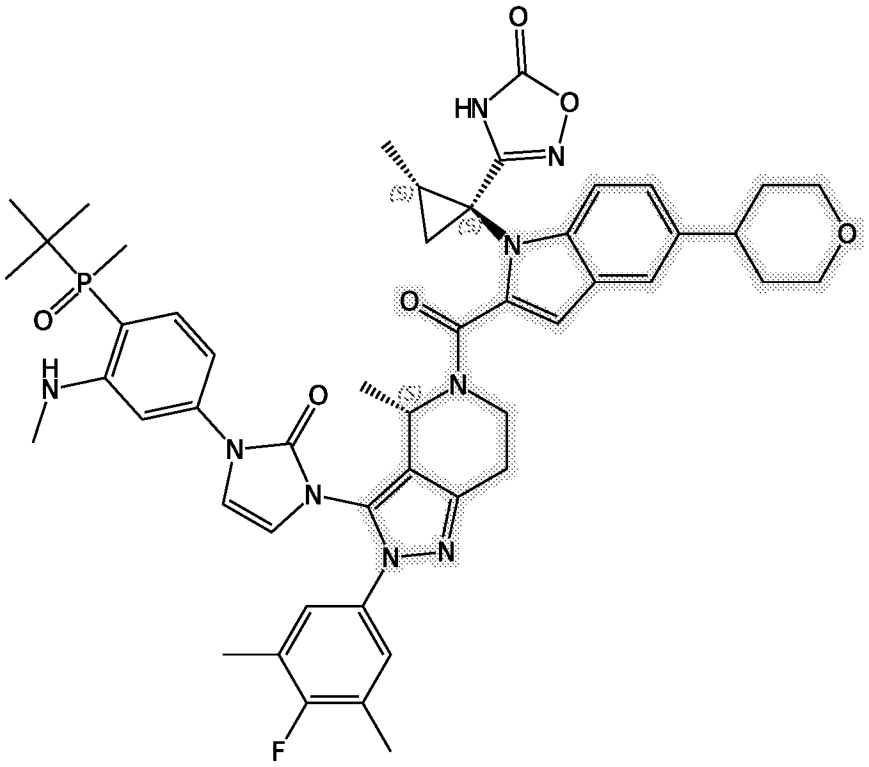
Absolute stereochemistry shown

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)



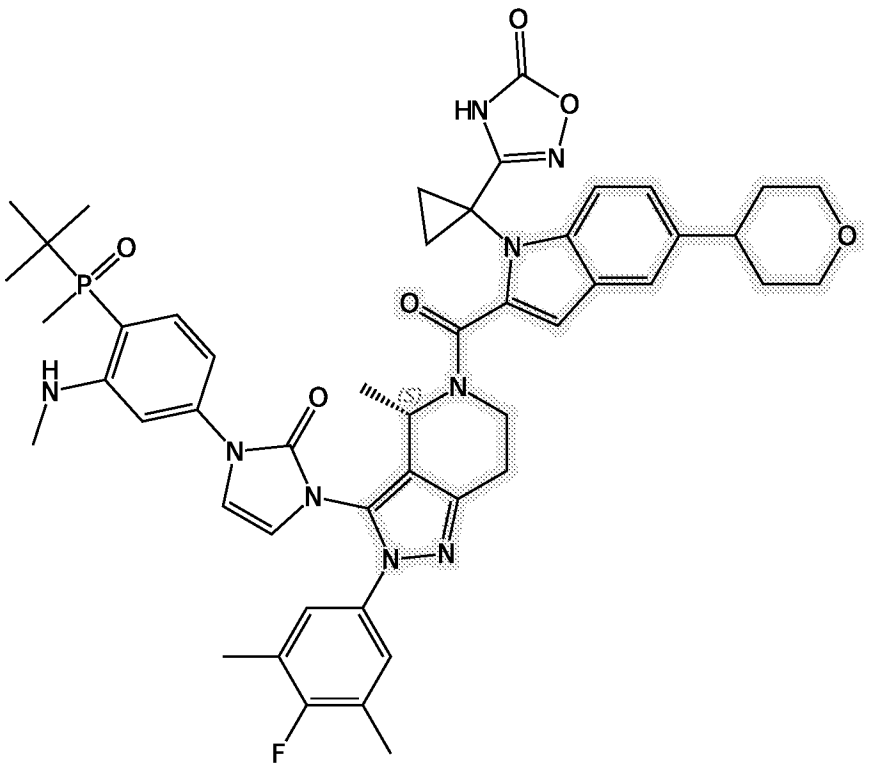
Absolute stereochemistry shown

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)



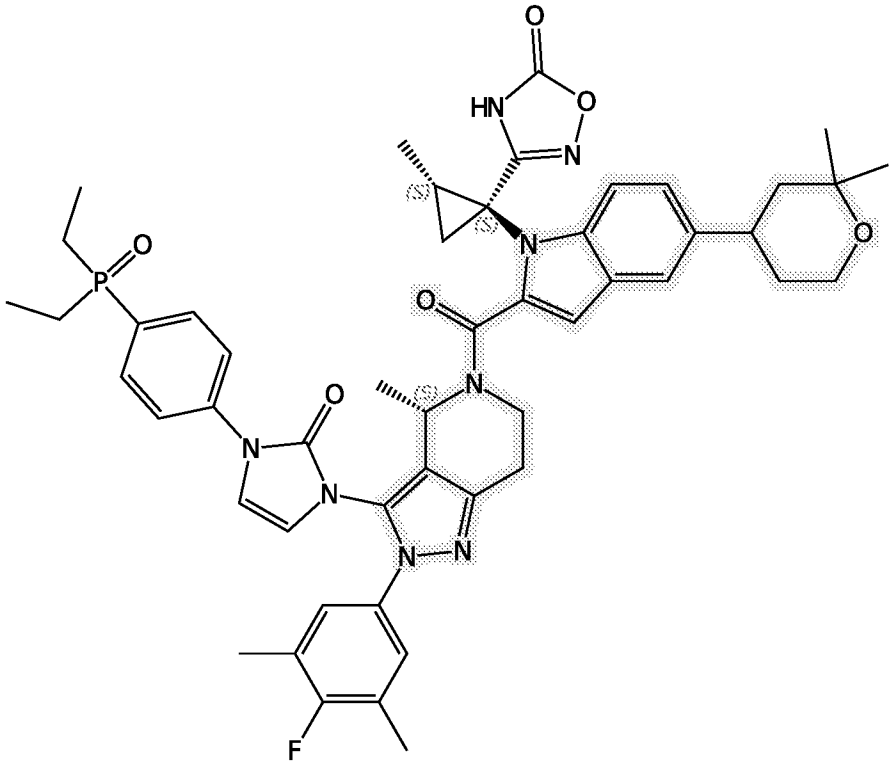
Absolute stereochemistry shown

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)



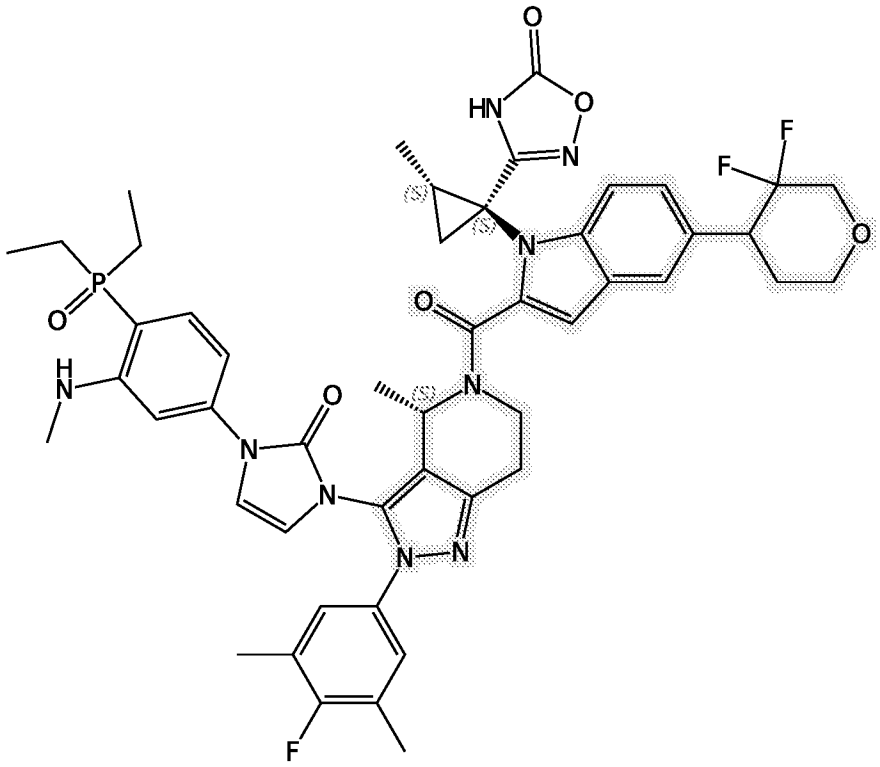
Absolute stereochemistry shown

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)



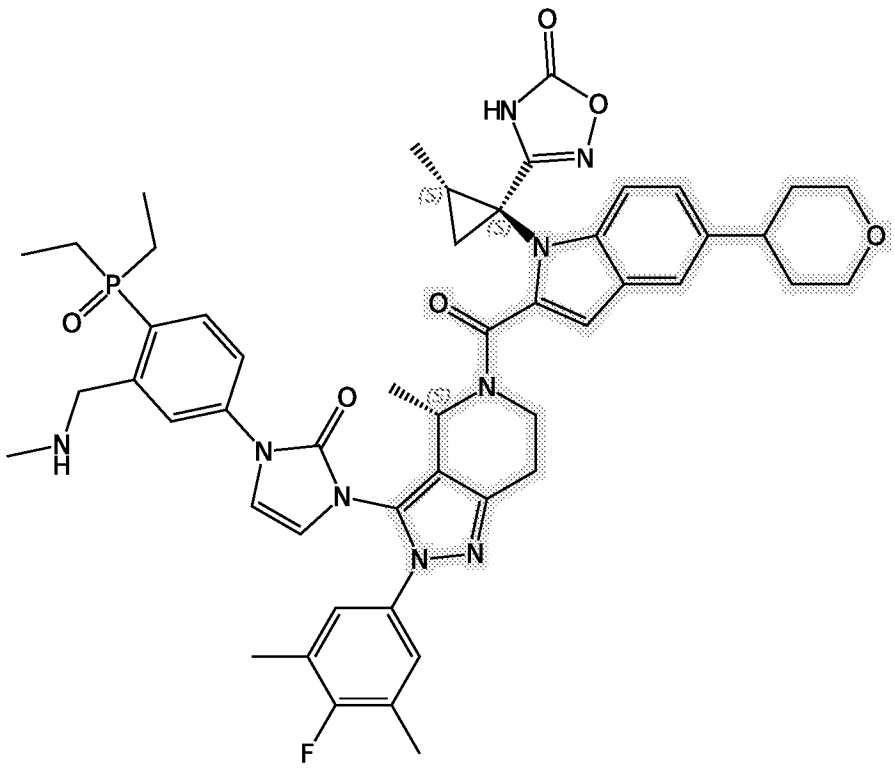
Absolute stereochemistry shown

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)



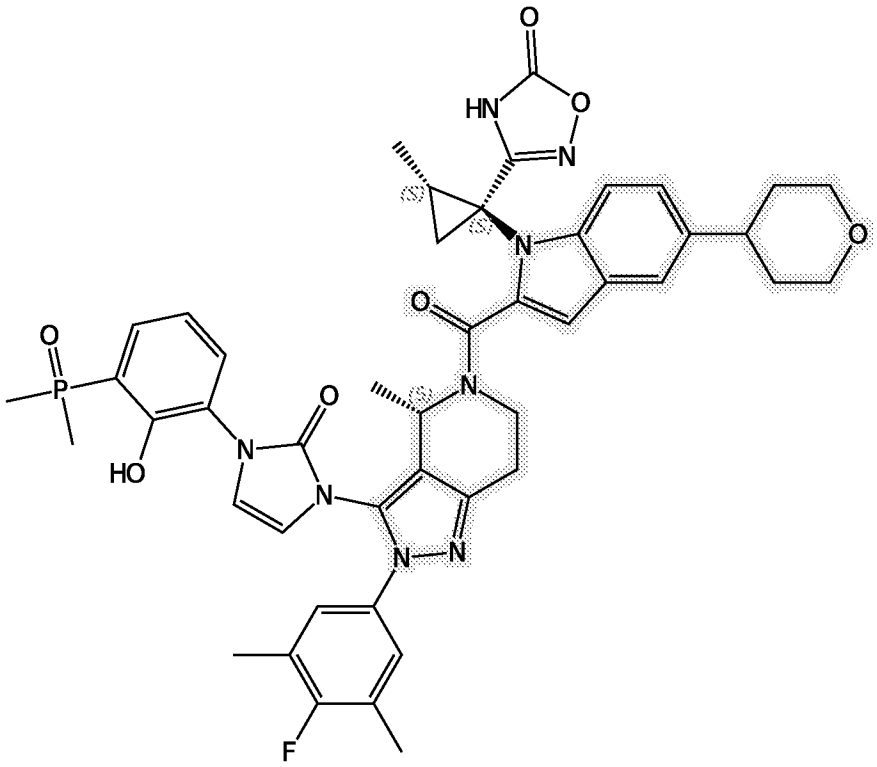
Absolute stereochemistry shown

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)



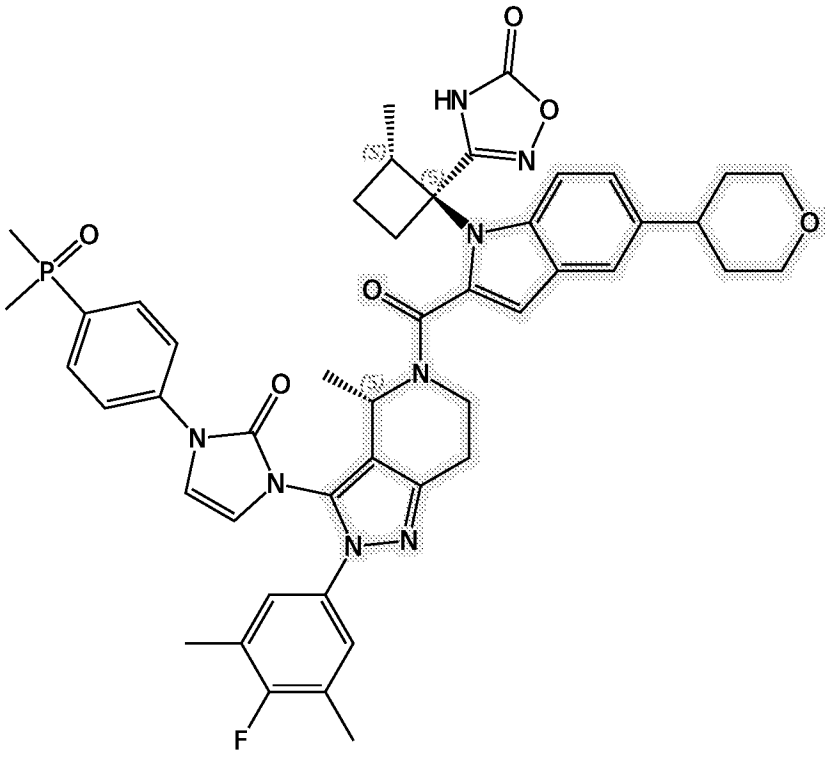
Absolute stereochemistry shown

RN 2766050-03-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[3-(dimethylphosphin
yl)-2-hydroxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethy
lphenyl)-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-(dimethylphosphin
yl)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-(tetrahydr
o-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

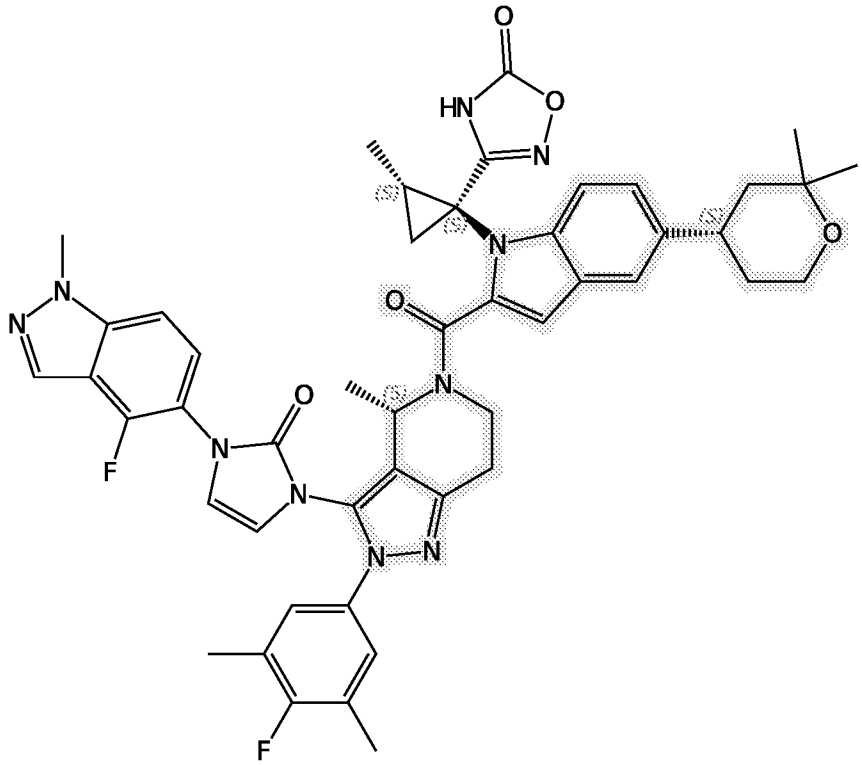
OTHER SOURCE(S): MARPAT 181:196805

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 |

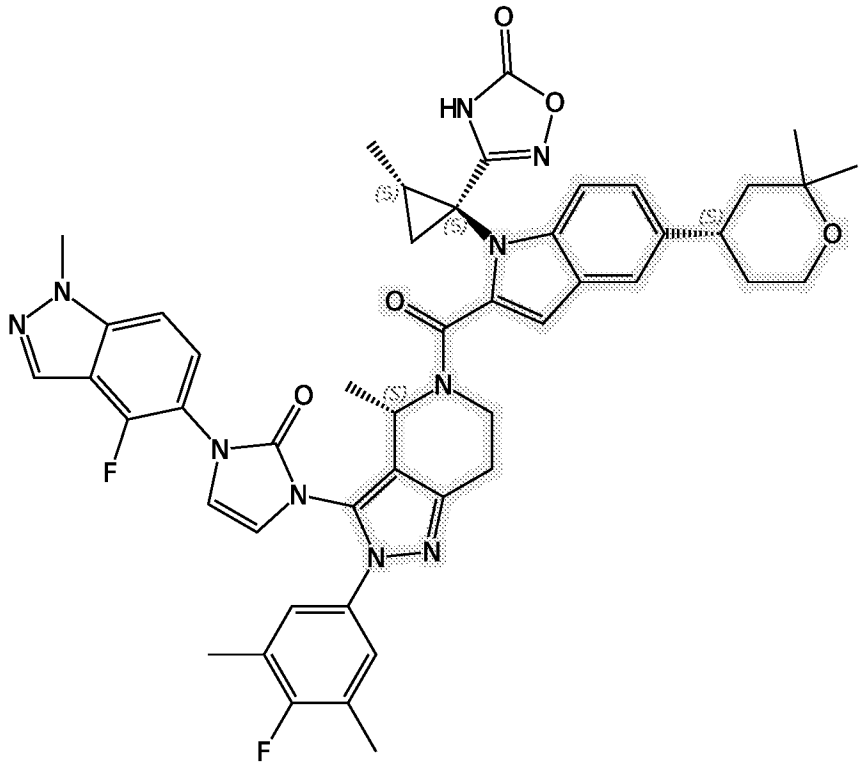
L3 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2022:2947018 CAPLUS Full-text
DOCUMENT NUMBER: 181:95196
TITLE: Methods and compositions for inducing brown adipogenesis
INVENTOR(S): Boss, Olivier D.; Freeman, Brian
PATENT ASSIGNEE(S): Energesis Pharmaceuticals, Inc., USA
ULTIMATE 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.

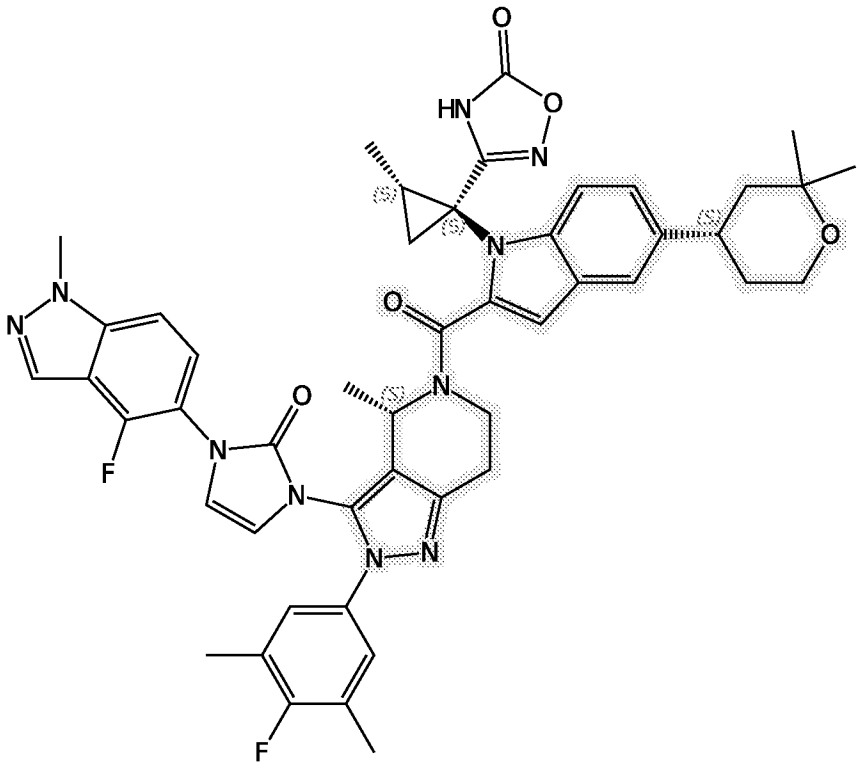
IT INDEXING IN PROGRESS

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

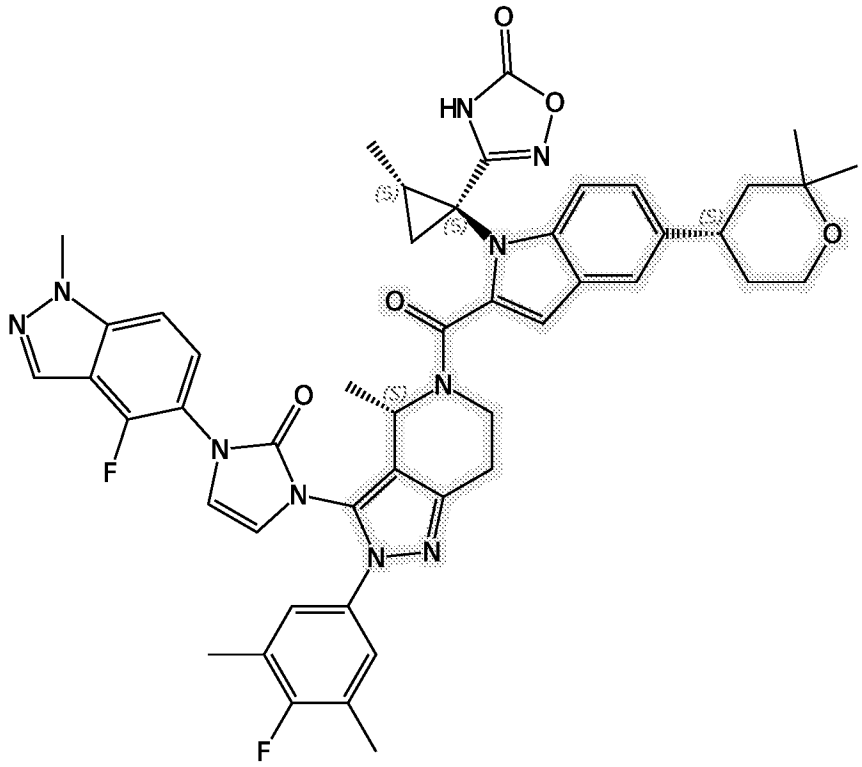
L3 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2024 ACS on STN
ACCESSION NUMBER: 2022:1555619 CAPLUS Full-text
DOCUMENT NUMBER: 179:153914
TITLE: A method for reversing aging brain functional decline
INVENTOR(S): Ko, Ho; Li, Zhonggi; Chen, Xinyi; Vong, Si Long; Zhao, Lei; Mok, Chung Tong Vincent; Huang, Junzhe; 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 |

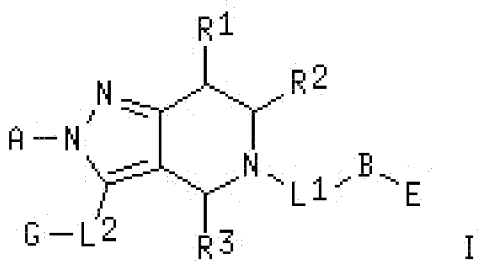
PATENT STATUS PATENT INFORMATION:

| 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 |

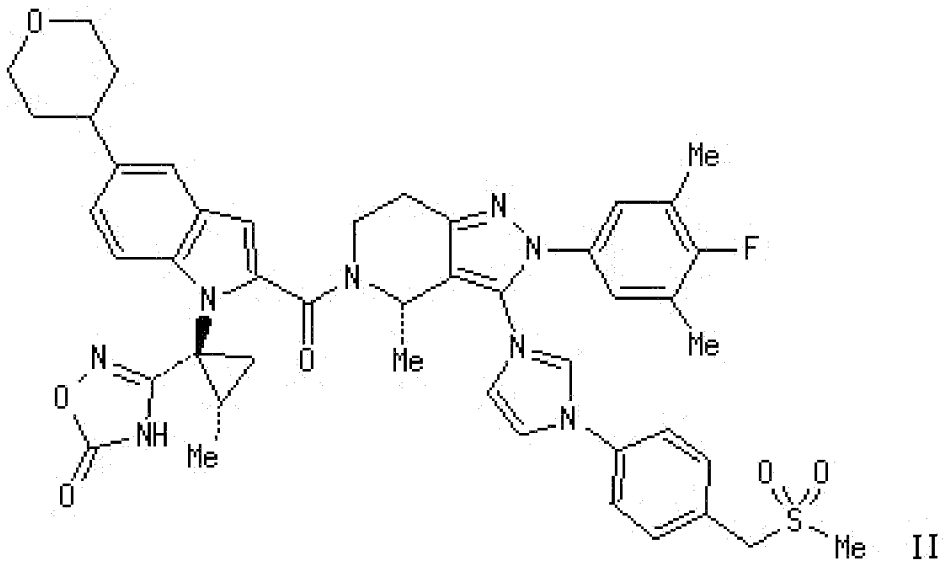
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 178:123279; MARPAT 178:123279

GI




I



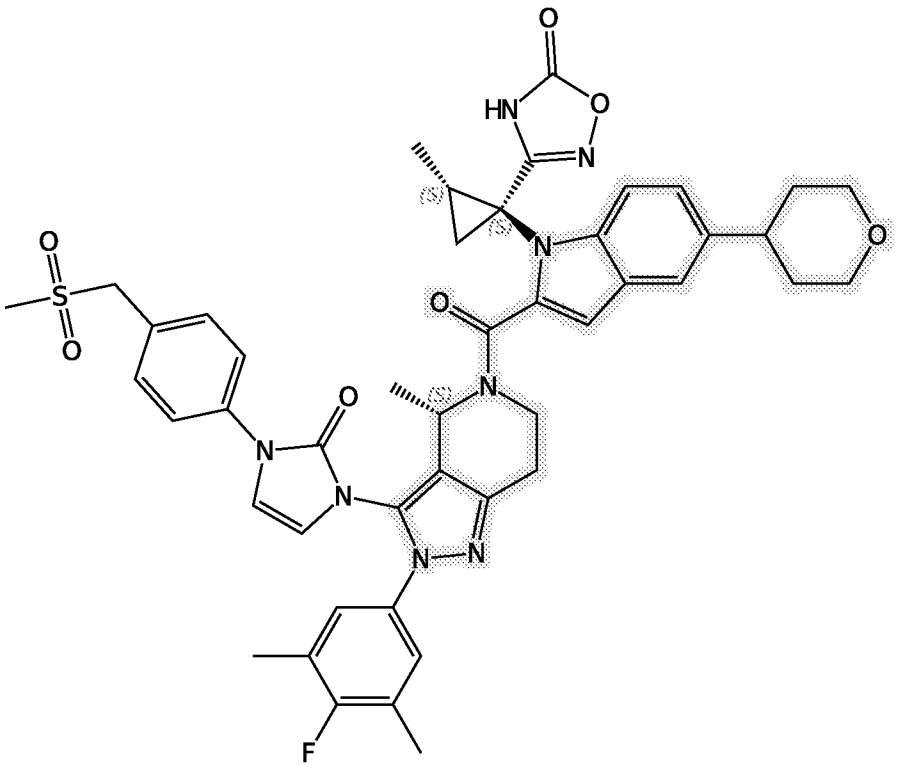

II

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

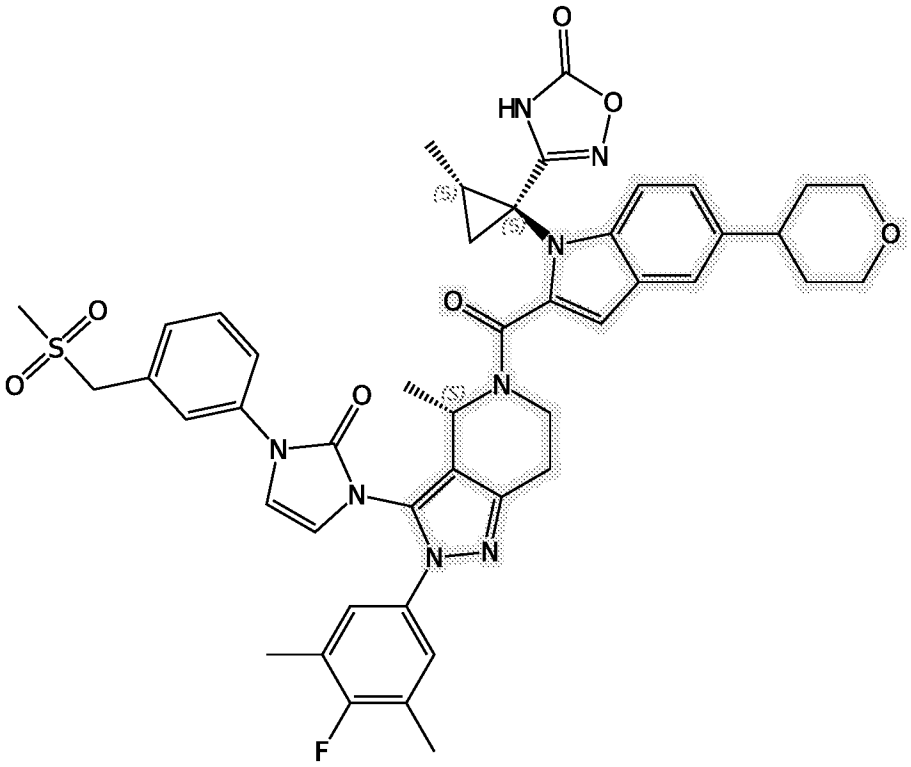
2763621-04-9P 2763621-05-0P 2763621-06-1P
2763621-07-2P 2763621-08-3P 2763621-09-4P
2763621-10-7P 2763621-11-8P 2763621-12-9P
2763621-13-0P 2763621-14-1P 2763621-15-2P
2763621-16-3P 2763621-17-4P 2763621-18-5P
2763621-19-6P 2763621-20-9P 2763621-21-0P
2763621-22-1P 2763621-23-2P
RL: PAC (Pharmacological 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)



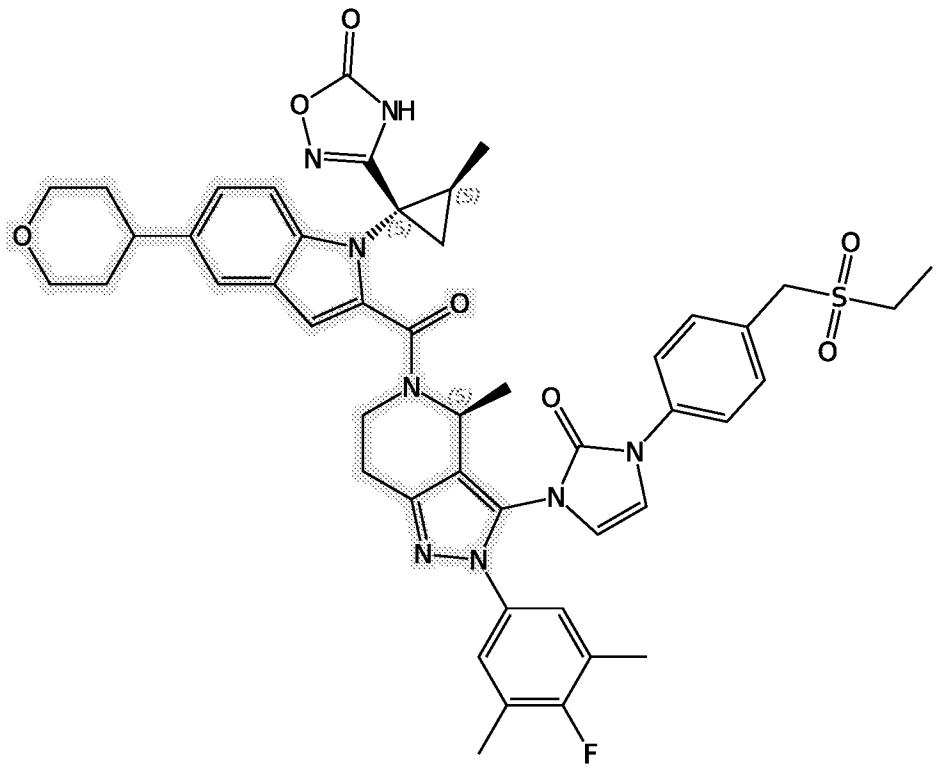
Absolute stereochemistry shown

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)



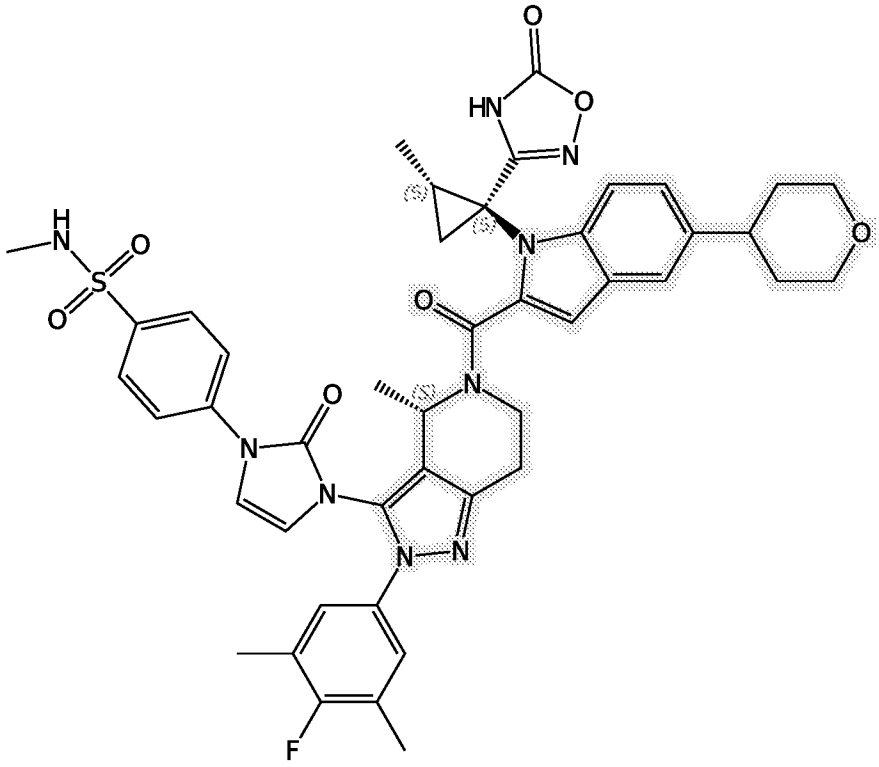
Absolute stereochemistry shown

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)



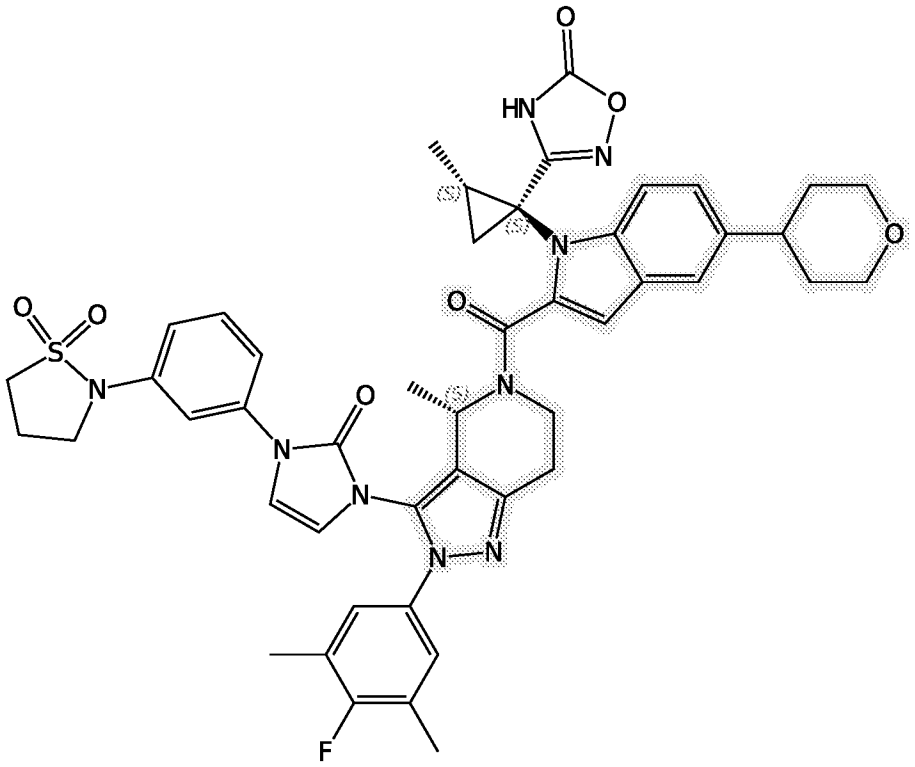
Absolute stereochemistry shown

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)



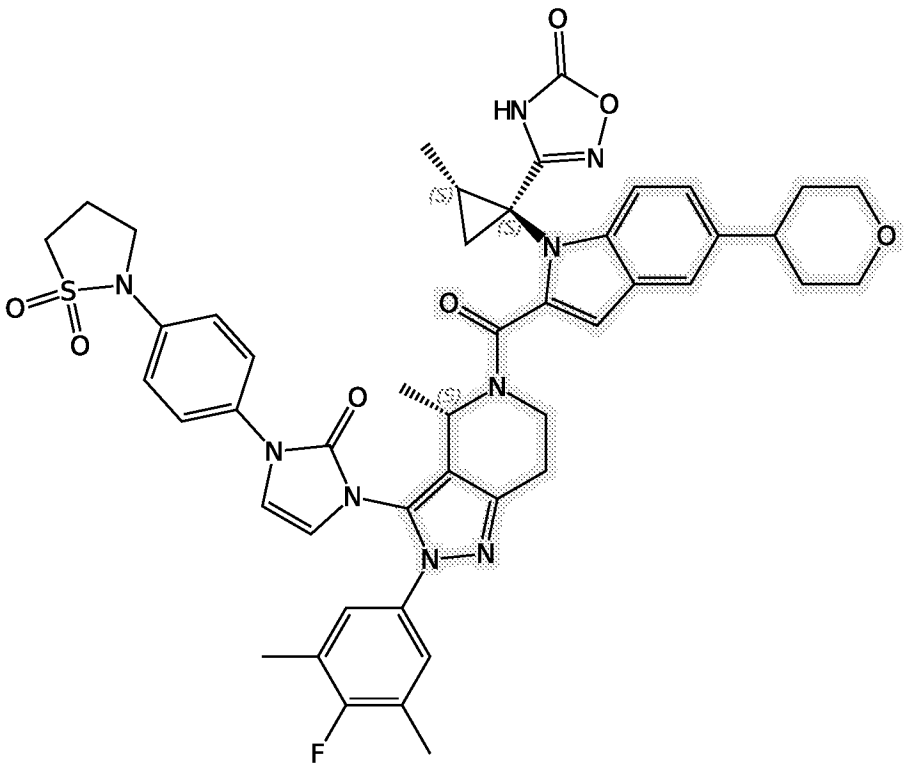
Absolute stereochemistry shown

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)



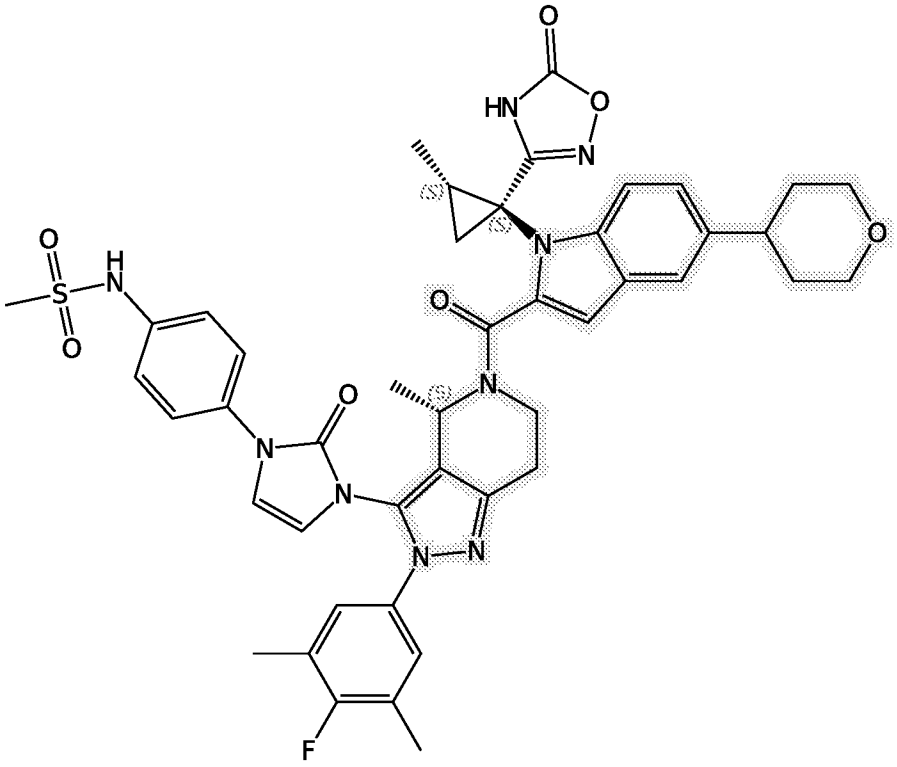
Absolute stereochemistry shown

RN 2763621-03-8 CAPLUS
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)



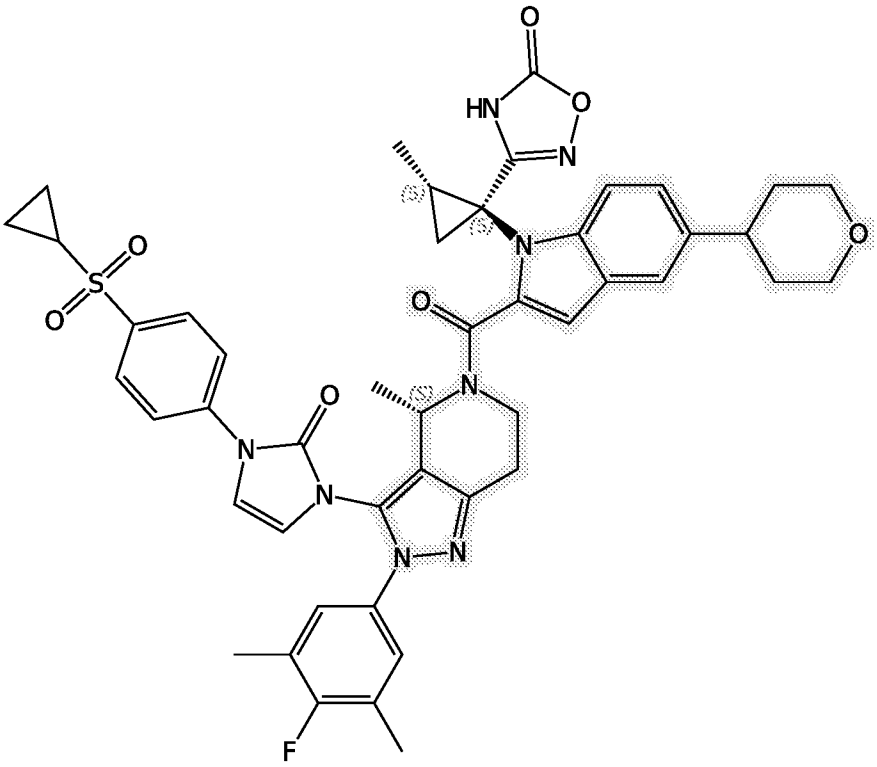
Absolute stereochemistry shown

RN 2763621-04-9 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)



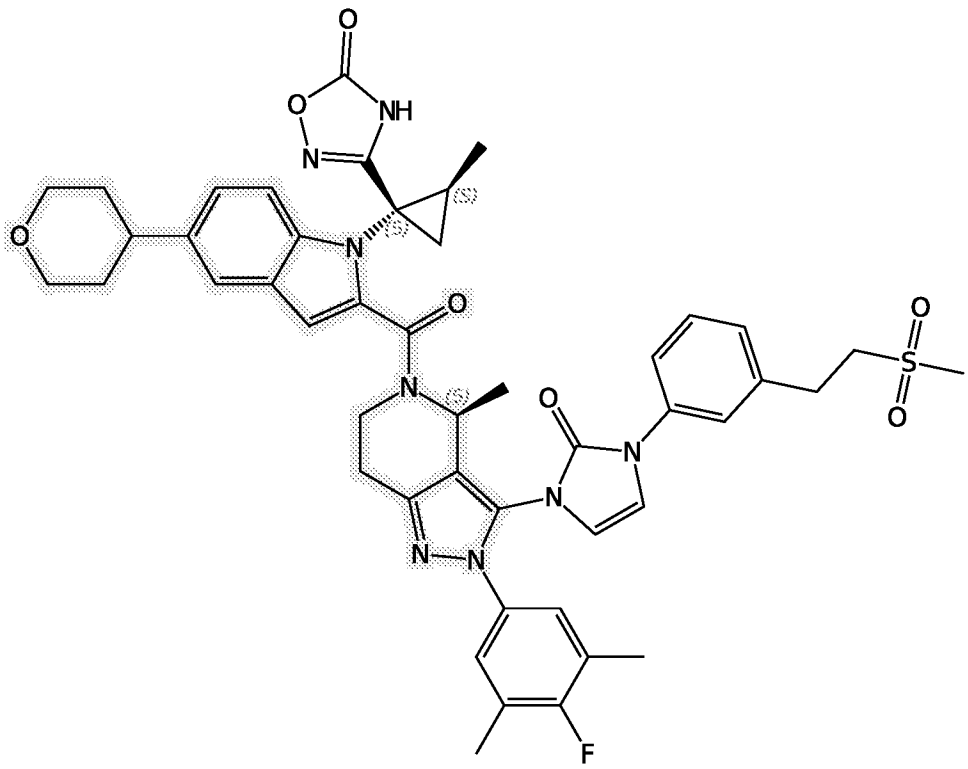
Absolute stereochemistry shown

RN 2763621-05-0 CAPLUS
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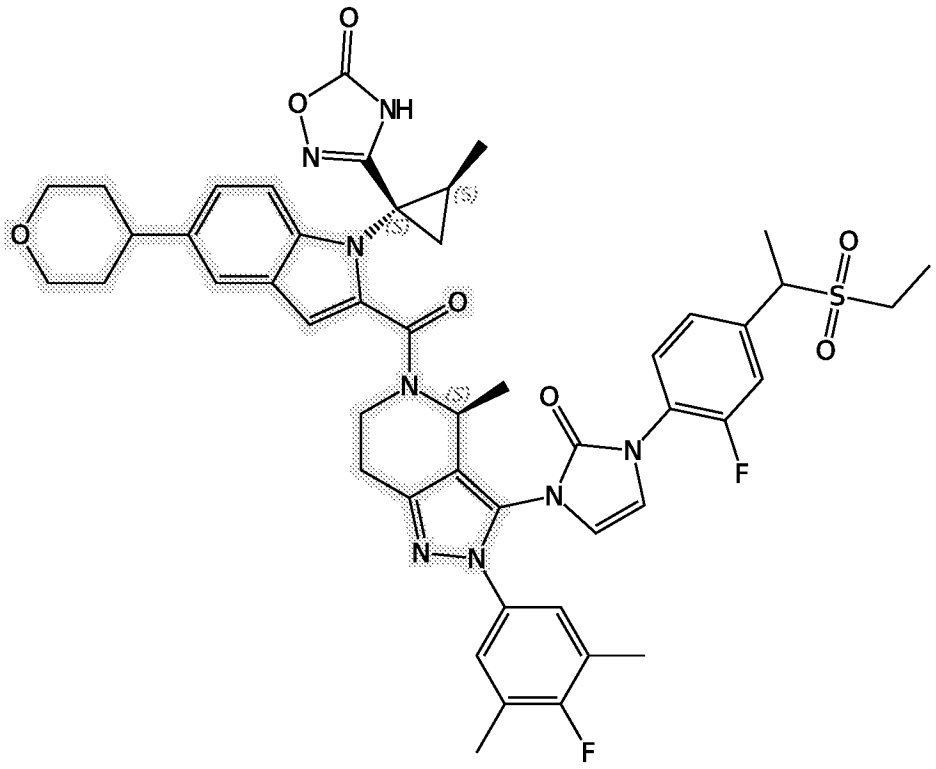
Absolute stereochemistry shown

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-(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)



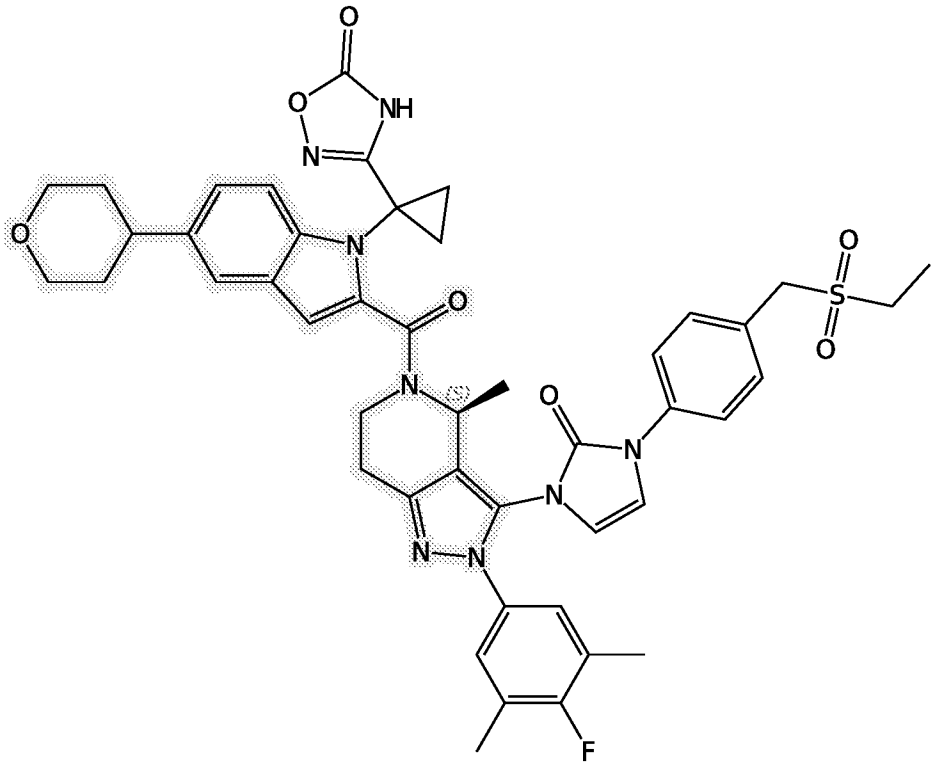
Absolute stereochemistry shown

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)



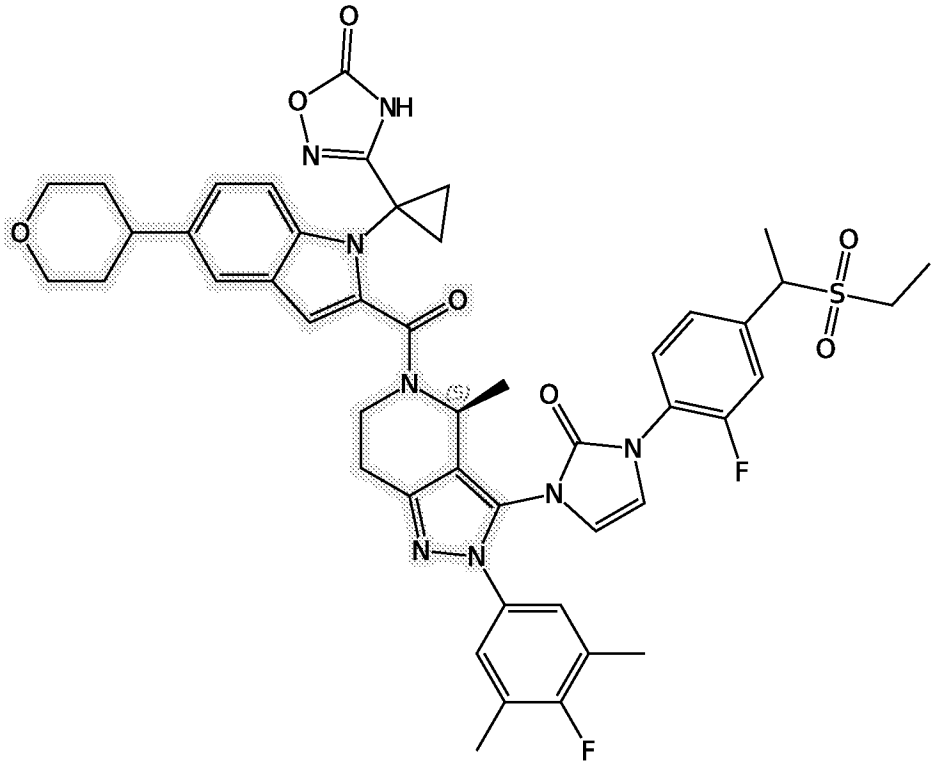

Absolute stereochemistry shown

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)



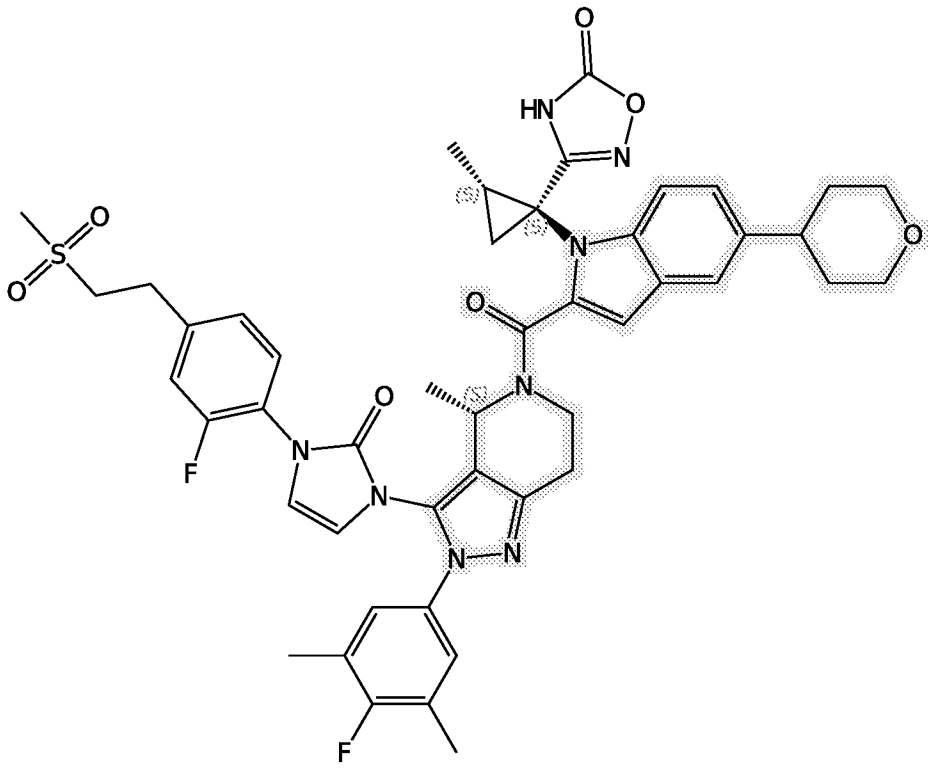
Absolute stereochemistry shown

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)



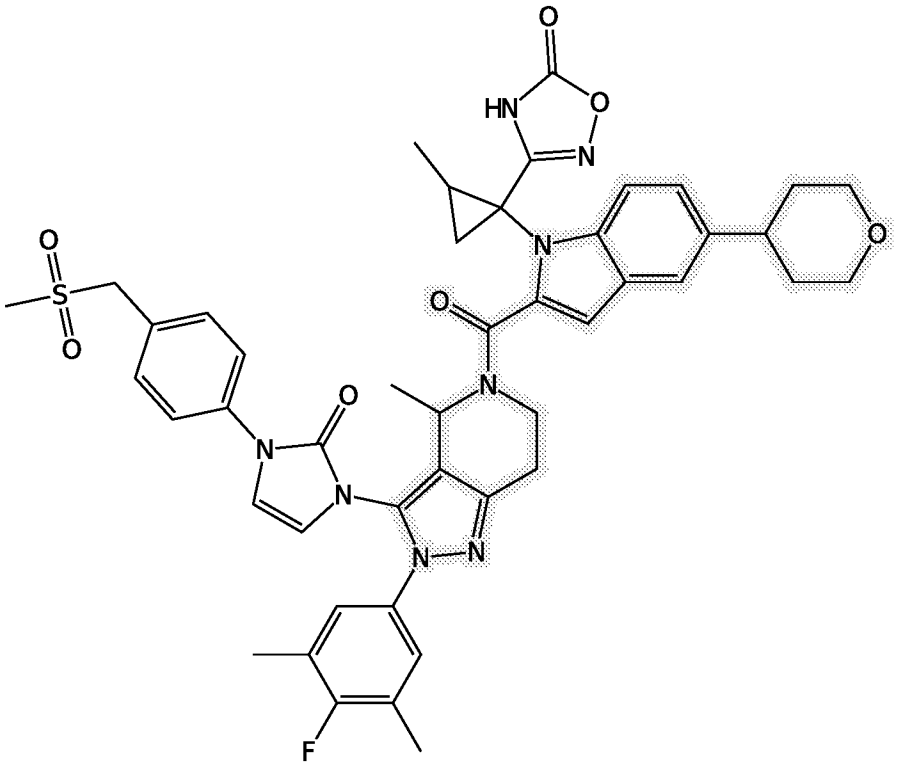
Absolute stereochemistry shown

RN 2763621-10-7 CAPLUS
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)

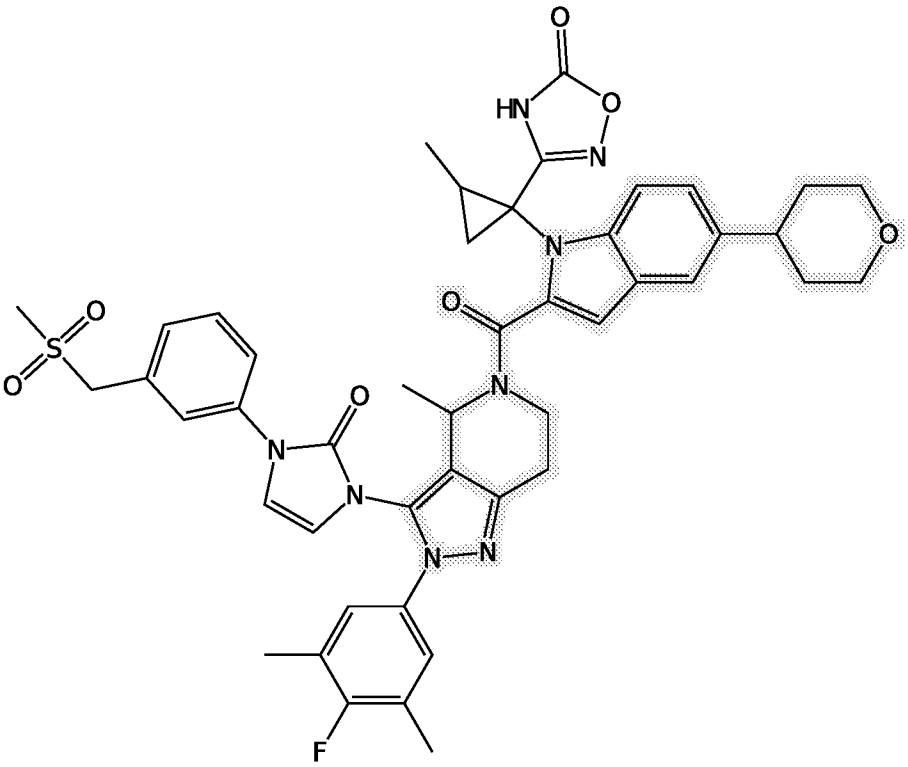


Absolute stereochemistry shown

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)

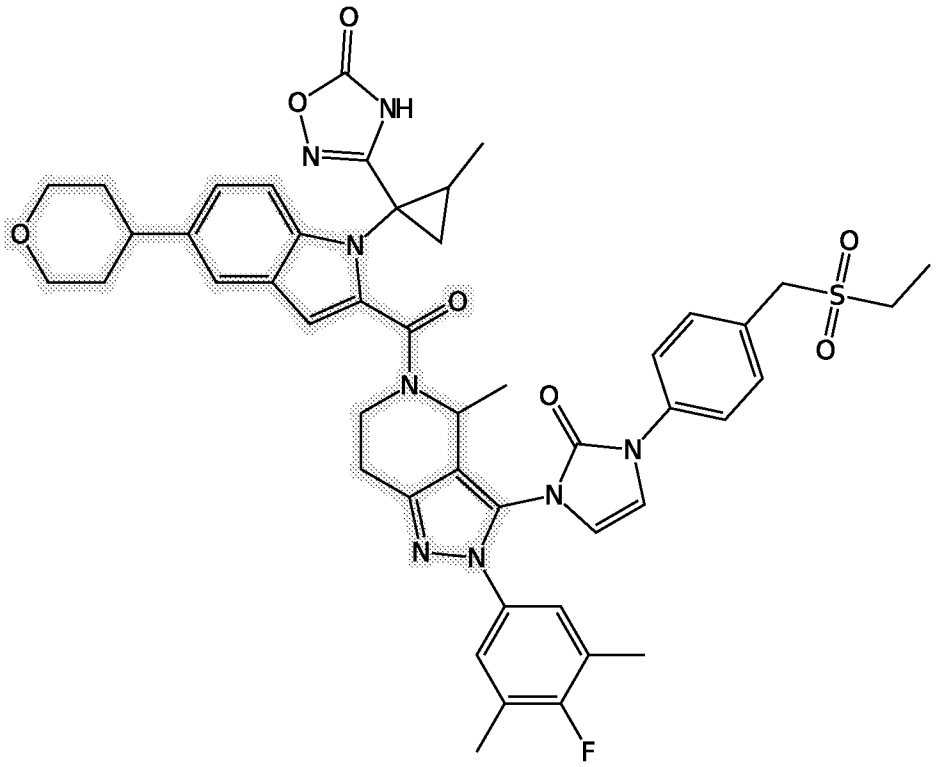


RN 2763621-12-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[3-[(methylsulfonyl)m
ethyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-te
trahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyra
n-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

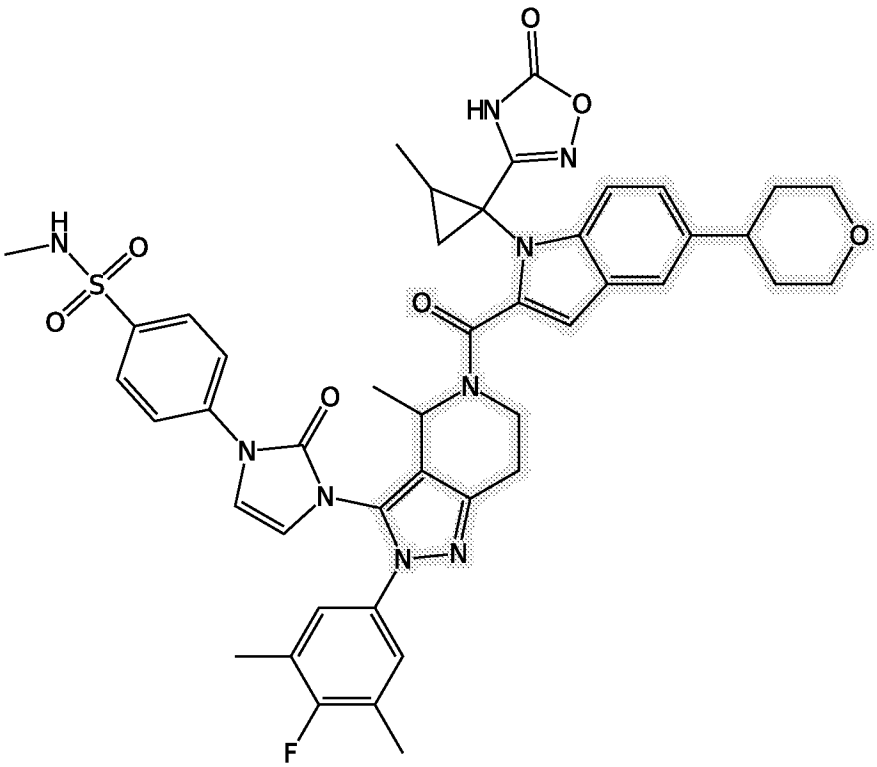


RN 2763621-13-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(ethylsulfonyl)methyl]phenyl]
-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tet

rahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran
-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX NAME)

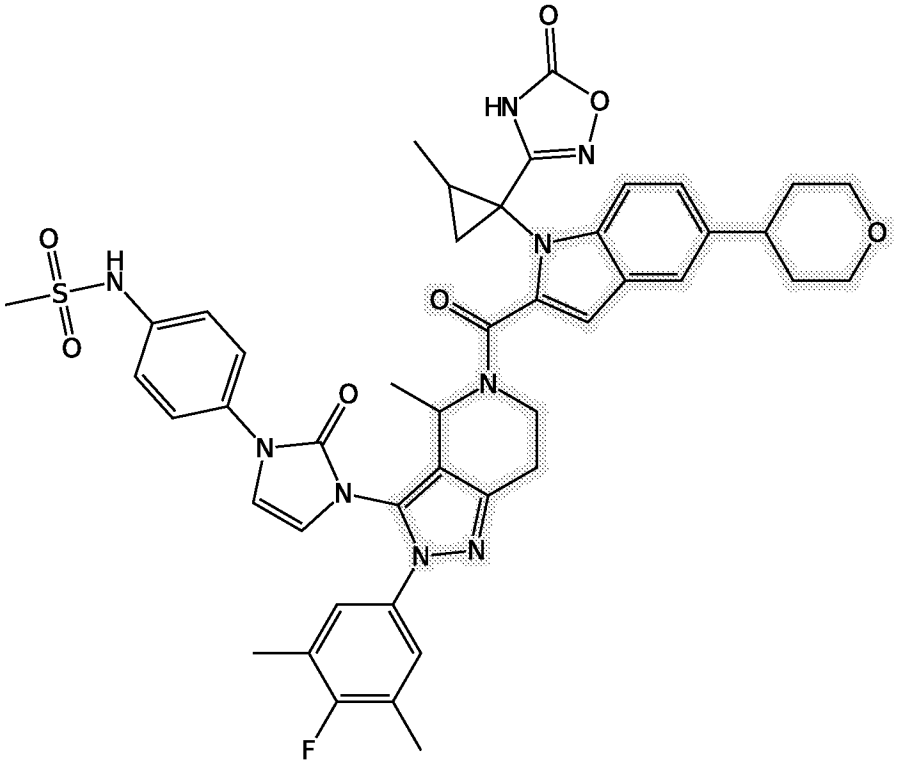


RN   2763621-14-1  CAPLUS
CN   Benzenesulfonamide, 4-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)
-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-
fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin
-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-N-methyl-  (CA INDEX NAME)

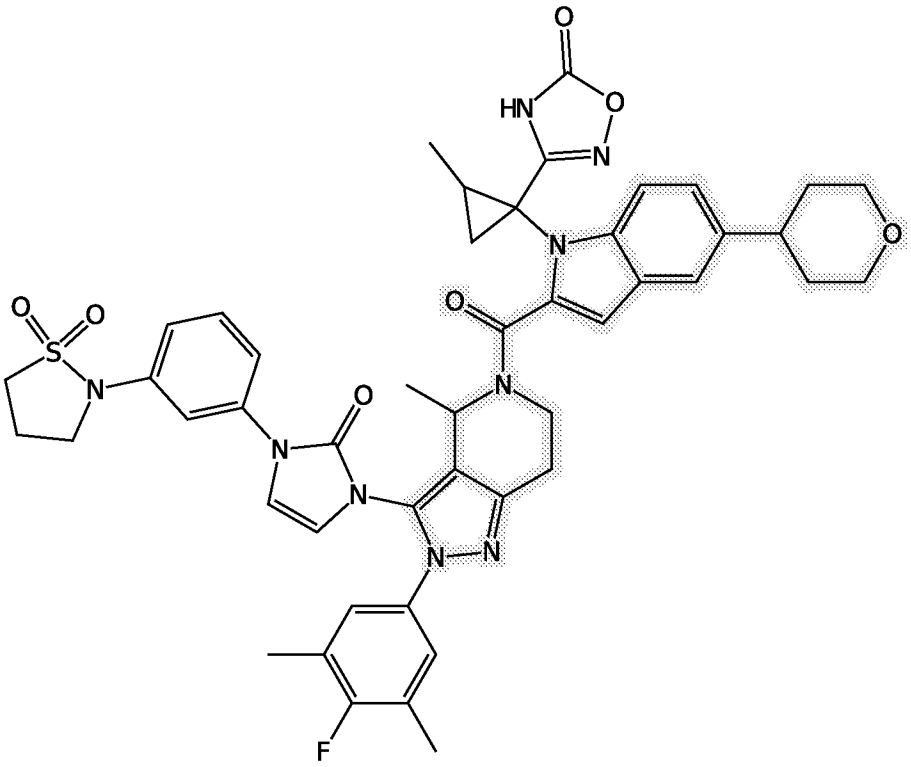


RN   2763621-15-2  CAPLUS

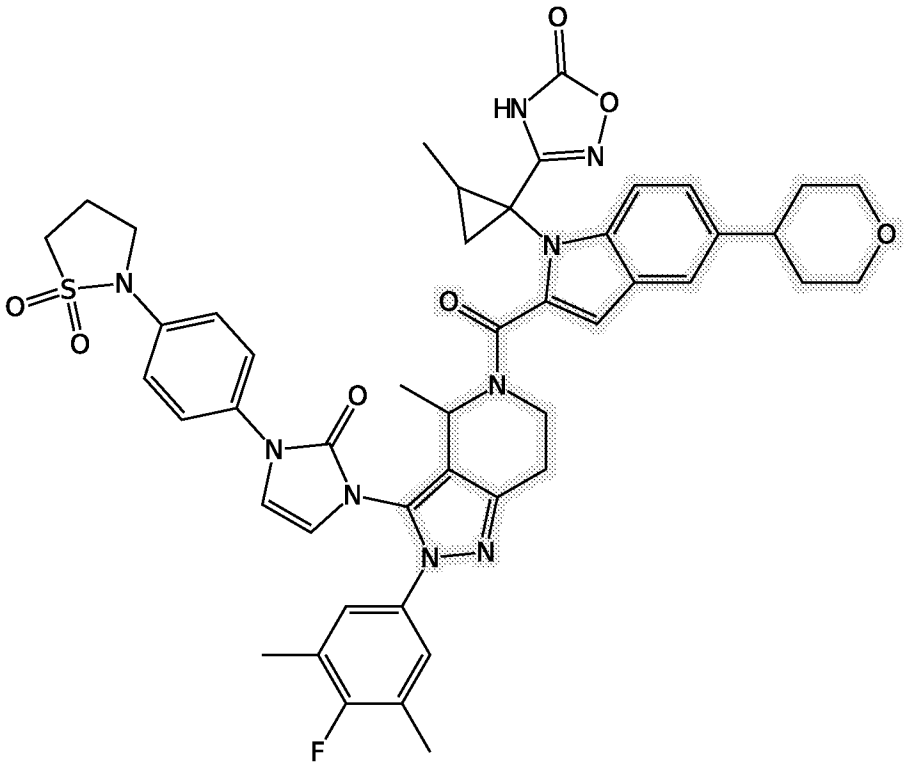
CN Methanesulfonamide, N-[4-[3-[5-[[1-[1-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]-(CA INDEX NAME)



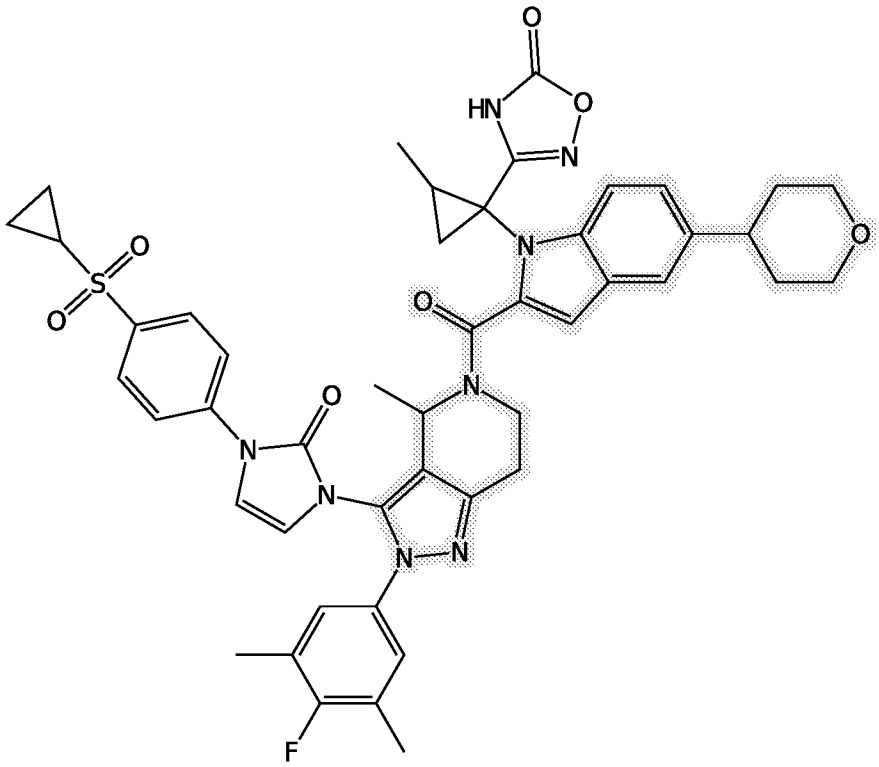
RN 2763621-16-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(1,1-dioxido-2-isothiazolidinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



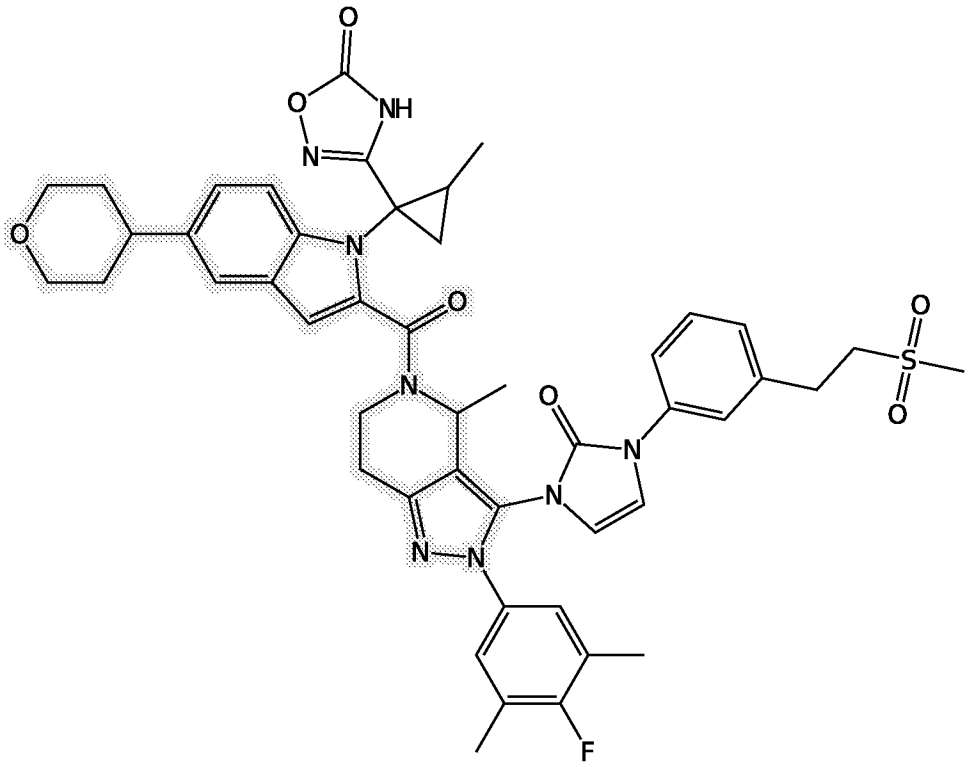
RN 2763621-17-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(1,1-dioxido-2-isothiazolidinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



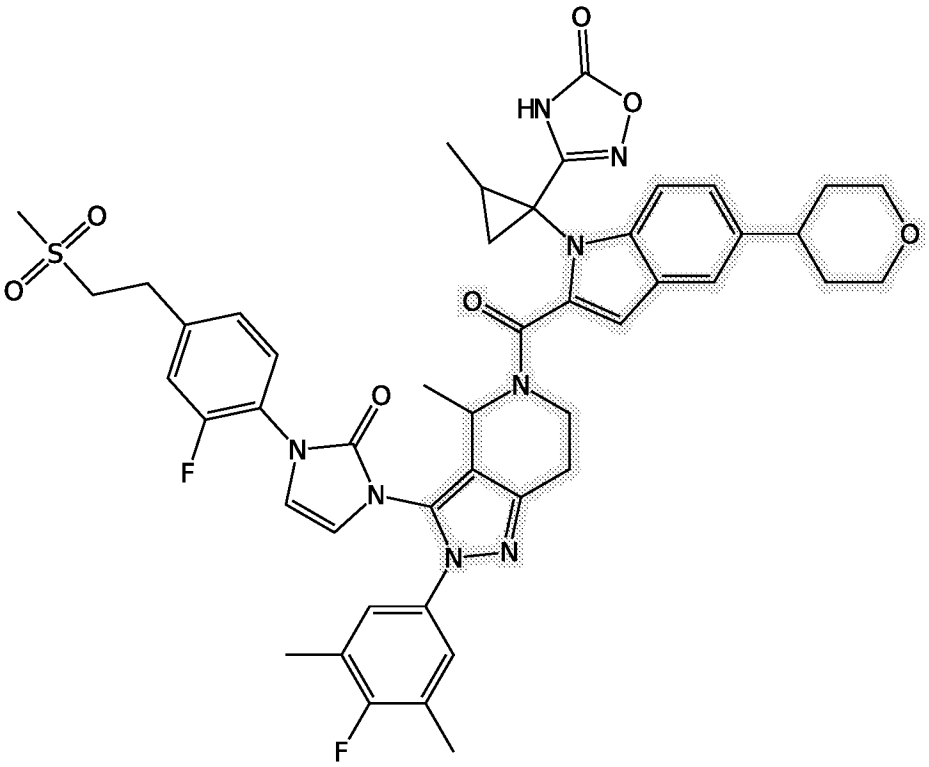
RN 2763621-18-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(cyclopropylsulfonyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



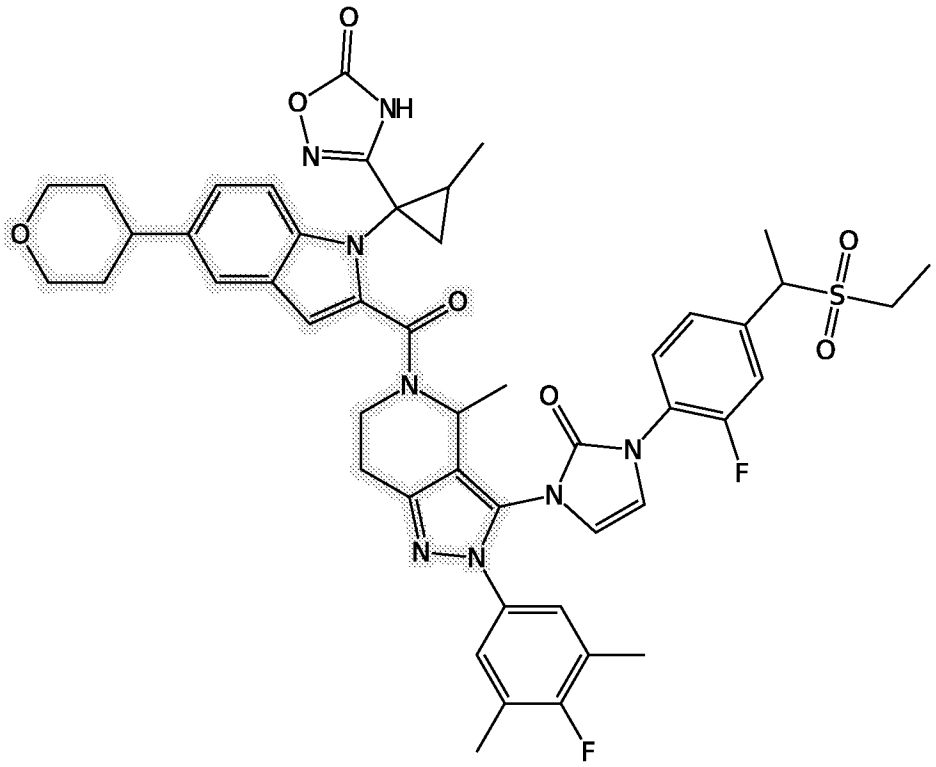
RN 2763621-19-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[3-[2-(methylsulfonyl)ethyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



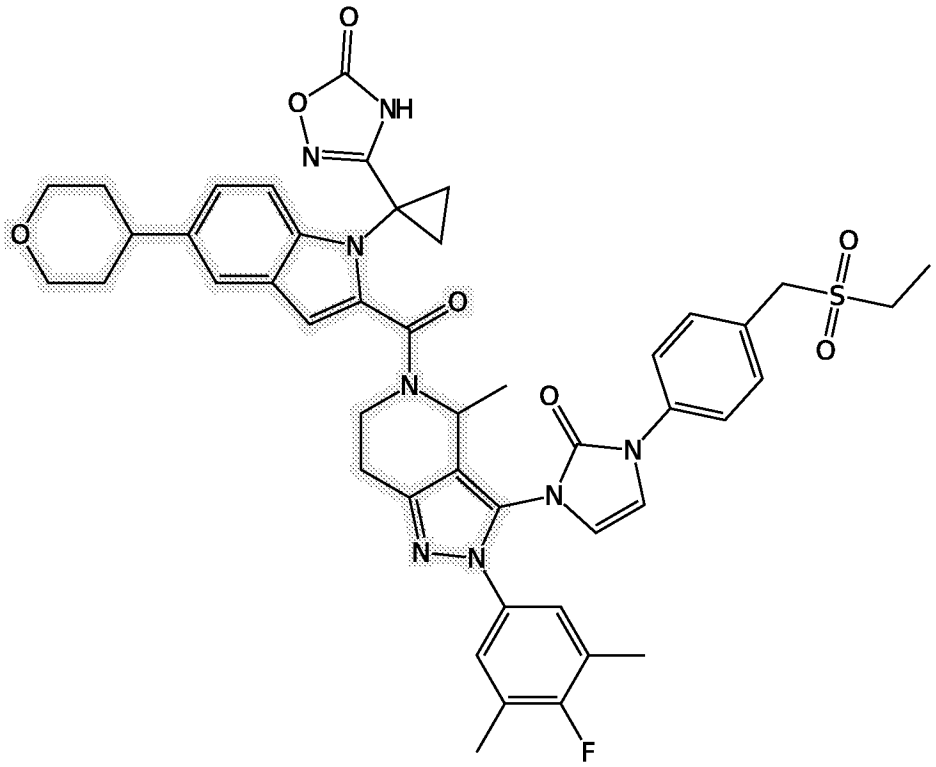
RN 2763621-20-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[2-fluoro-4-[2-(methylsulfonyl)ethyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



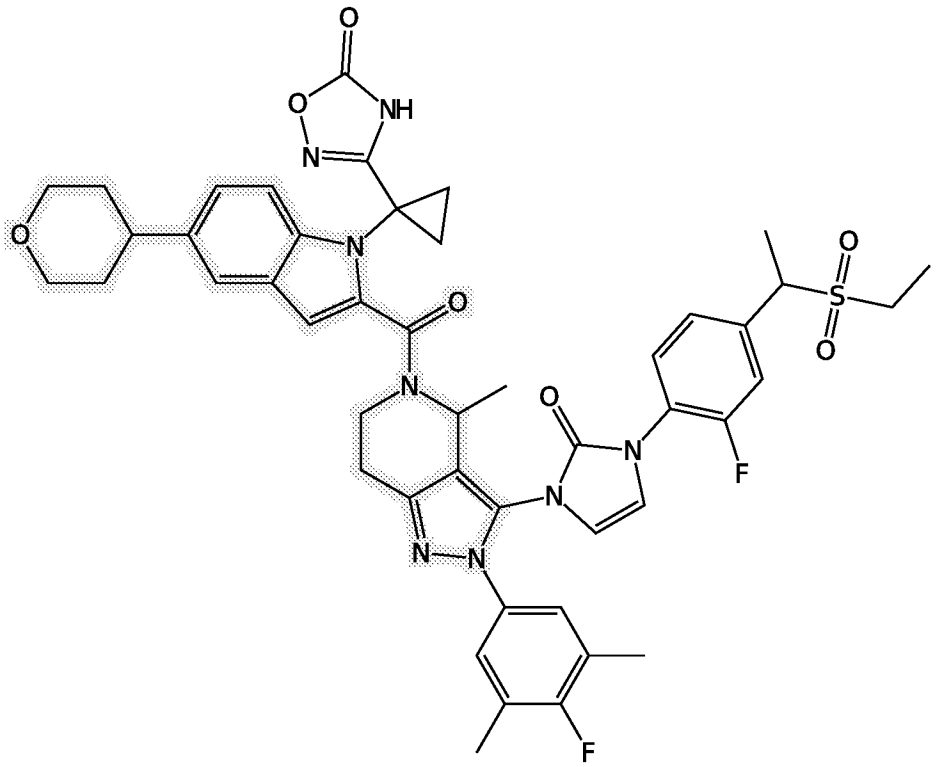
RN 2763621-21-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[1-(ethylsulfonyl)ethyl]-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



RN 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-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 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 |
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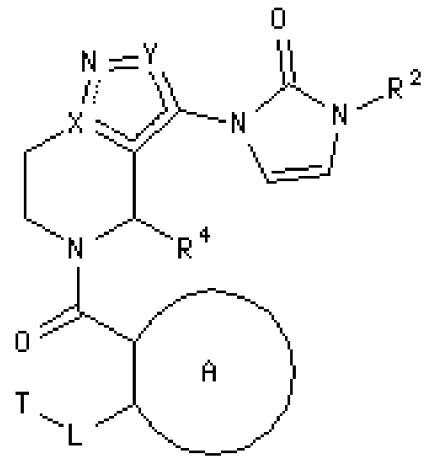
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| WO 2022017338 | A1 | 20220127 | WO 2021-CN107216 | | 20210720 |
| CA 3186217 | A1 | 20220127 | CA 2021-3186217 | | 20210720 |
| AU 2021311567 | A1 | 20230216 | AU 2021-311567 | | 20210720 |
| SG 11202300100 | A | 20230228 | SG 2023-11202300100 | | 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 |
| JP 7569443 | B2 | 20241017 | | | |
| 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:

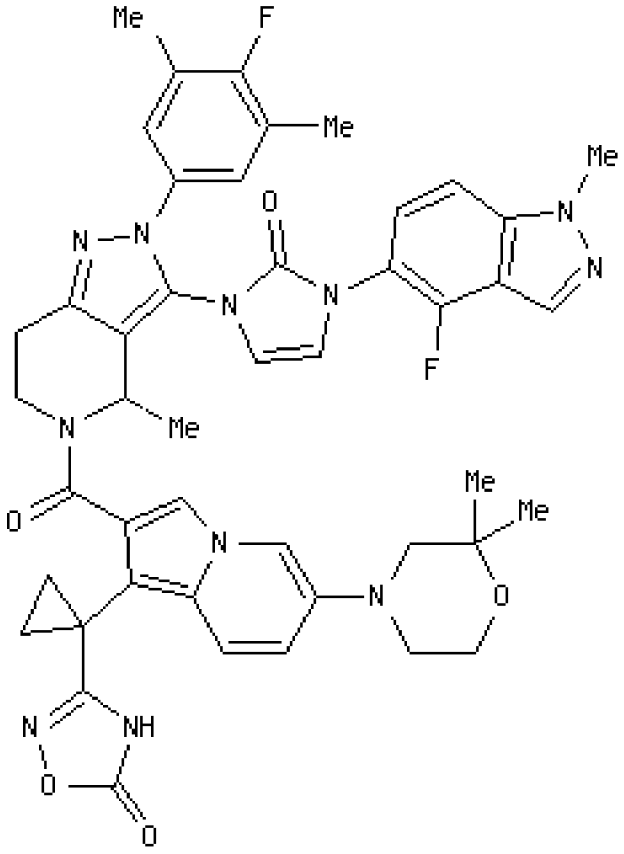
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| WO 2022017338 | A1 | Alive | 20220203 |
| CA 3186217 | A1 | Alive | 20230330 |
| AU 2021311567 | A1 | Alive | 20230223 |
| KR 2023048056 | A | Alive | 20230427 |
| EP 4182020 | A1 | Alive | 20230525 |
| PE 2023000854 | A1 | Alive | 20230720 |
| CN 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

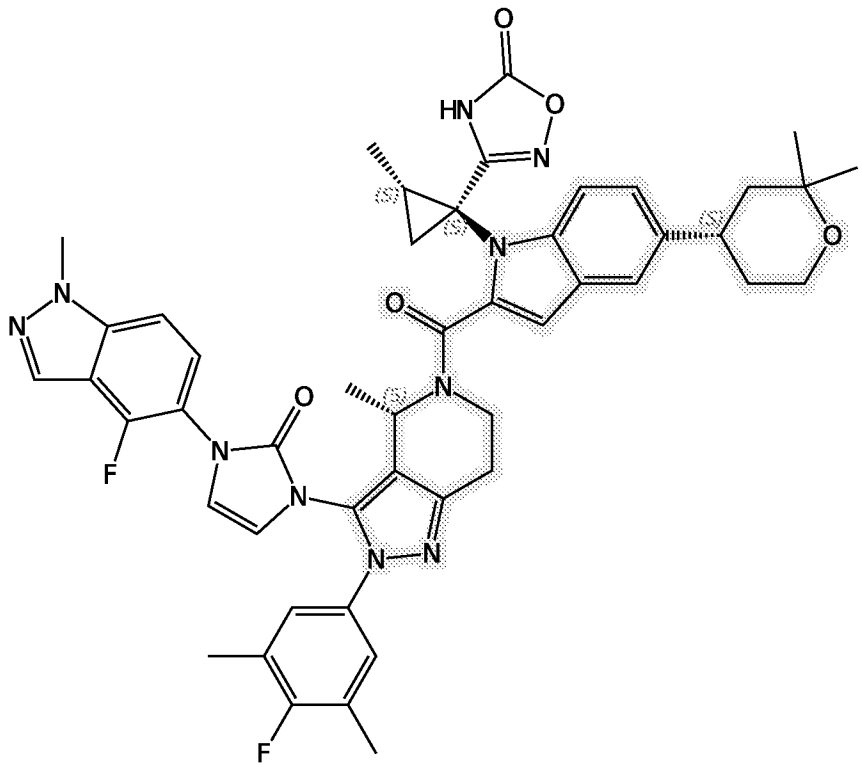
AB The application relates to a compd. of formula I or a pharmaceutically acceptable salt, hydrate, solvate, prodrug, stereoisomer, or tautomer thereof, which modulates the activity of GLP-1 receptor, a pharmaceutical compn. comprising a compd. of formula I, and a method of treating or preventing a disease 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)

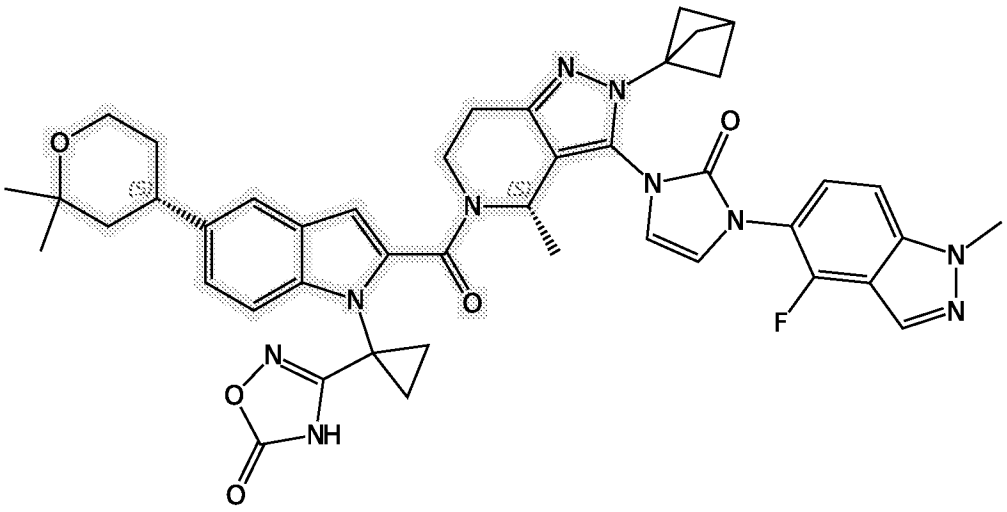


Absolute stereochemistry shown

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)

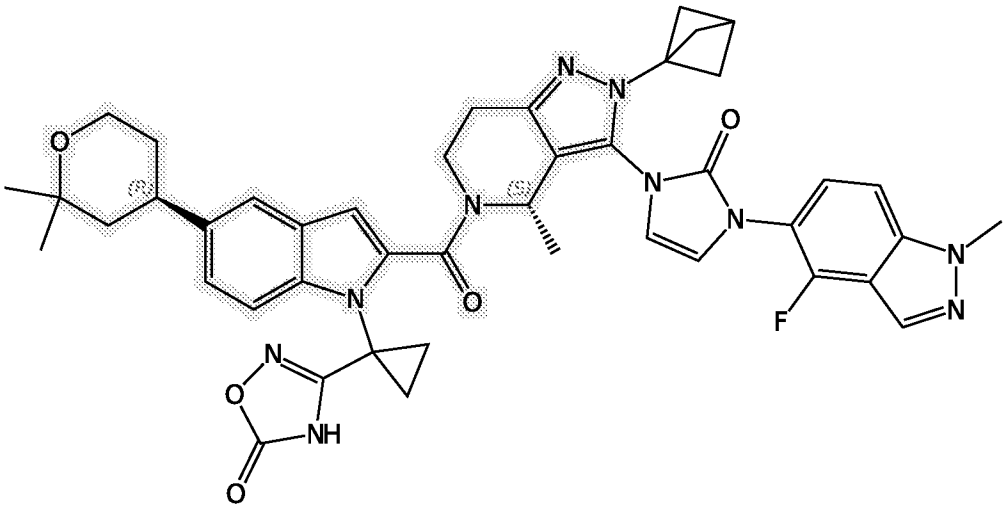
RN 2758658-74-9 CAPLUS

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

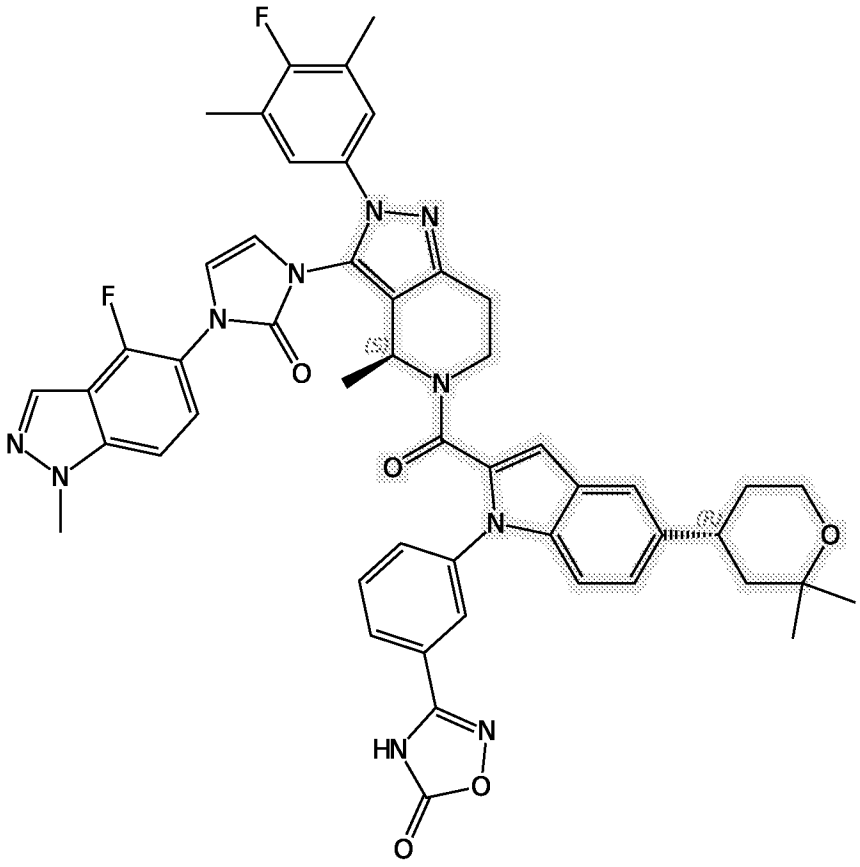
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CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-2-bicyclo[1.1.1]pent-1-yl-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-
(CA INDEX NAME)



Absolute stereochemistry shown

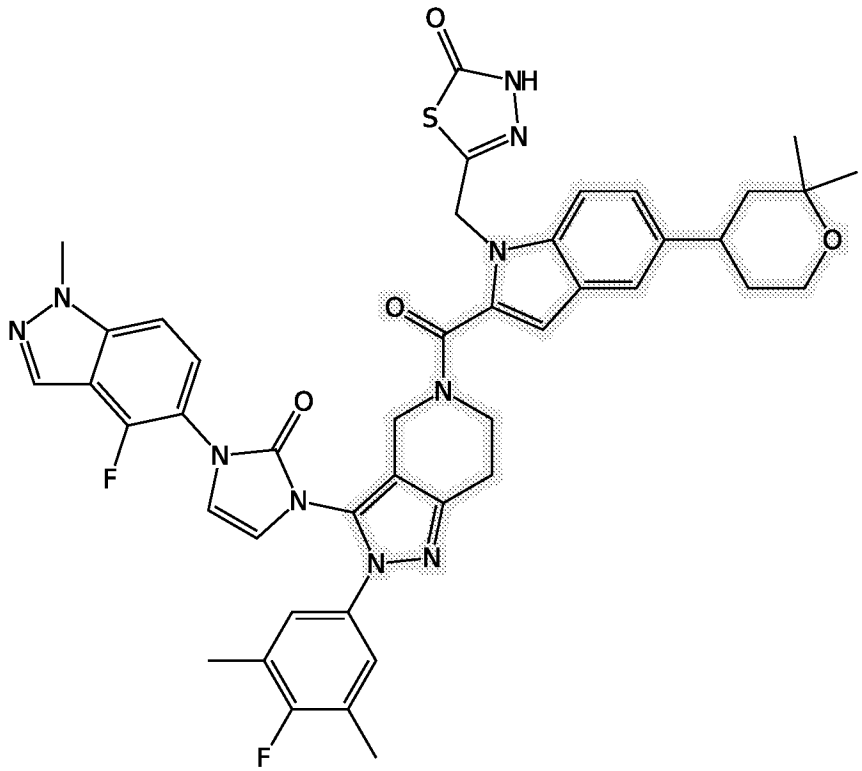
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2758658-14-7P 2758658-17-0P 2758658-20-5P
2758658-24-9P 2758658-27-2P 2758658-31-8P
2758658-34-1P 2758658-37-4P 2758658-40-9P
2758658-57-8P 2758658-63-6P 2758658-66-9P
2758658-72-7P 2758658-83-0P 3008600-59-4P
3008601-07-5P 3008601-78-0P 3008606-62-7P
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)

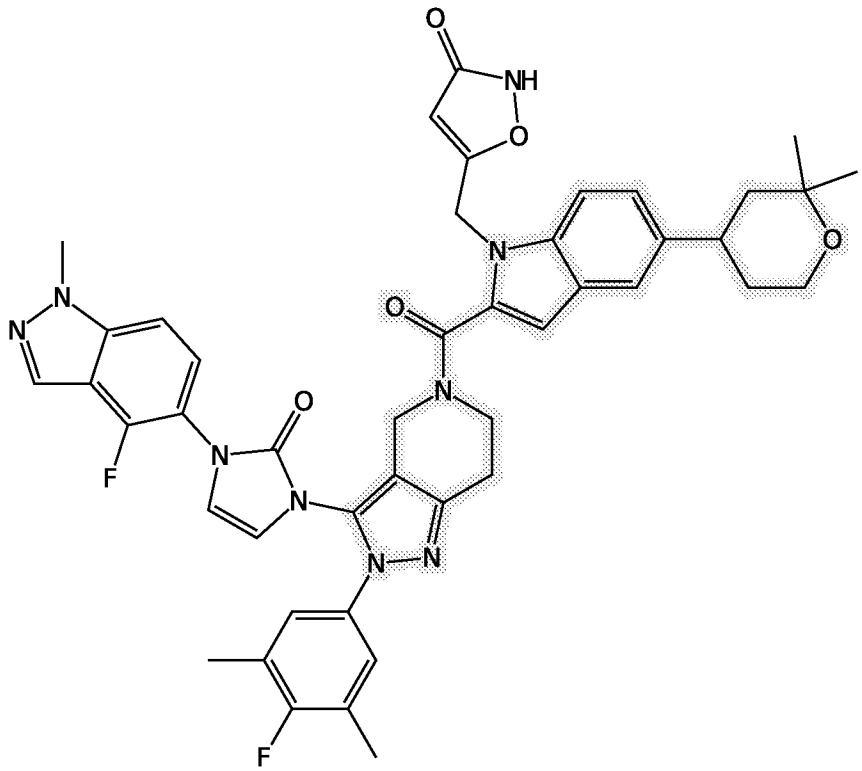



Absolute stereochemistry shown

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)

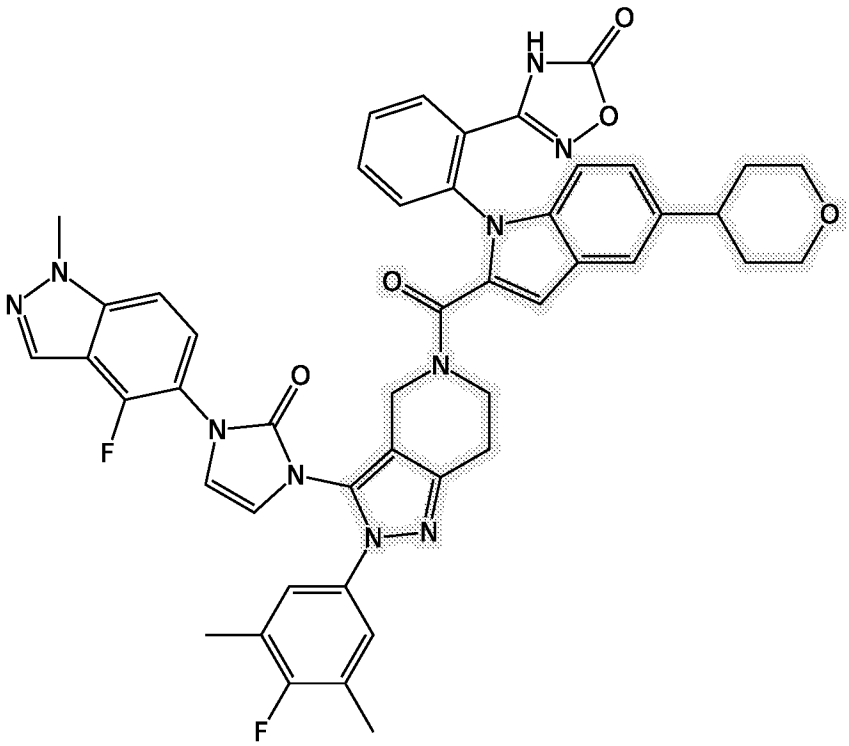


RN 2758658-00-1 CAPLUS
CN 3(2H)-Isoxazolone, 5-[[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]methyl]- (CA INDEX NAME)

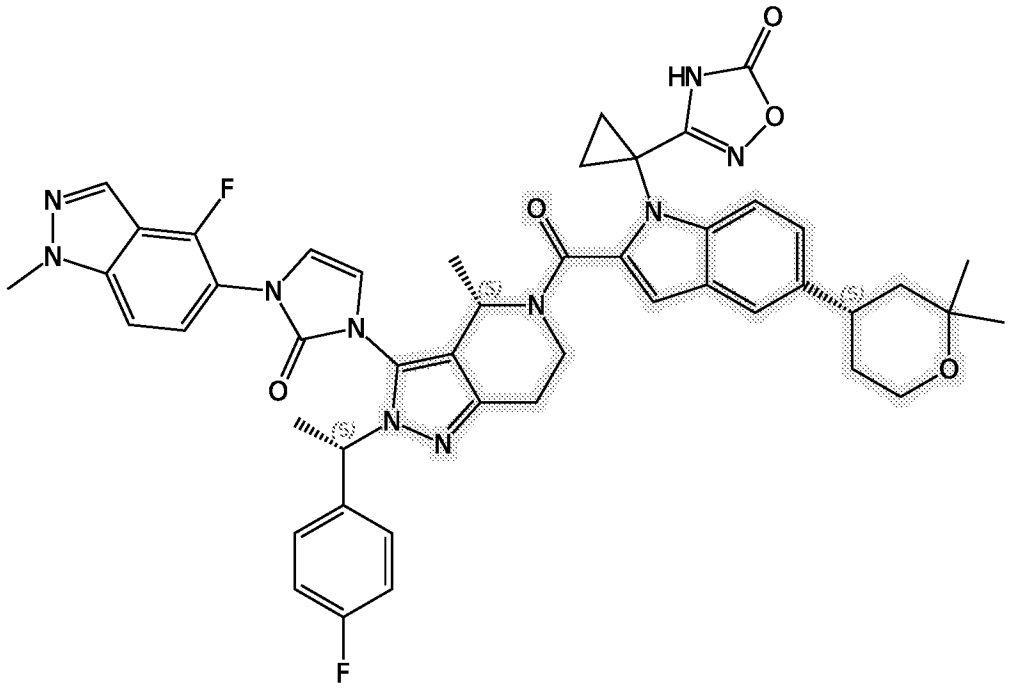


RN 2758658-04-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[2-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(

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)



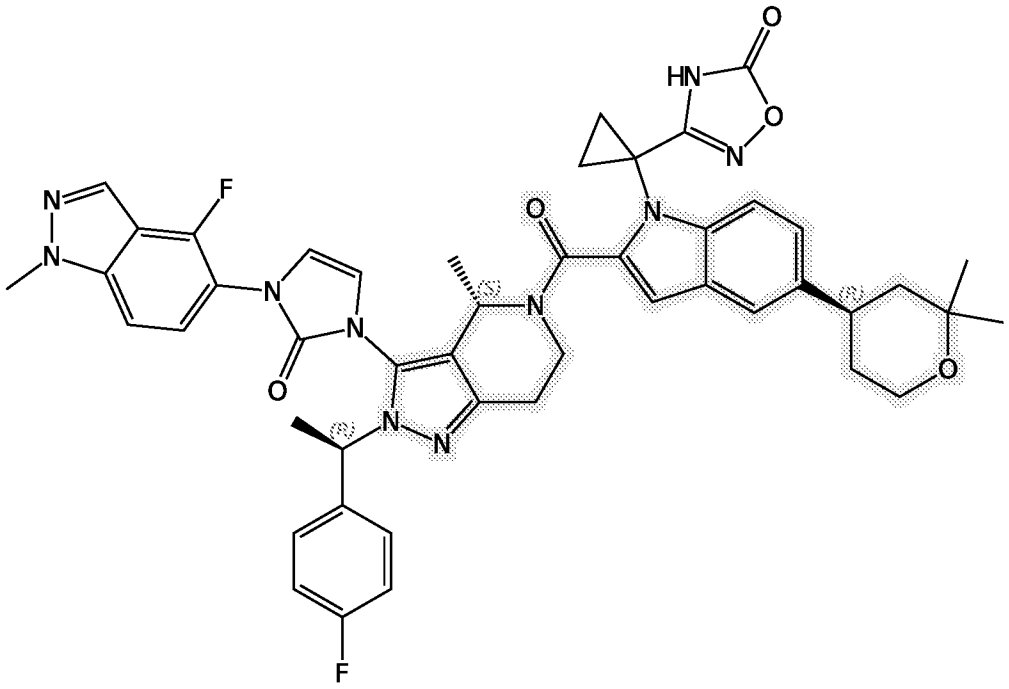
RN   2758658-07-8  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-  (CA INDEX NAME)



Absolute stereochemistry shown

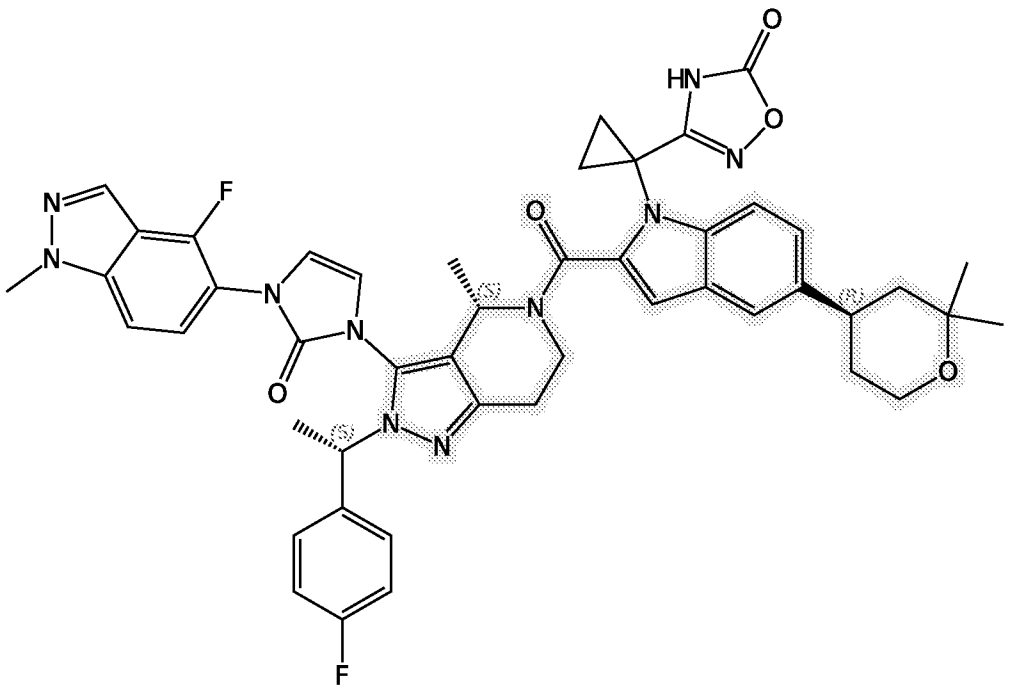
RN   2758658-10-3  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

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

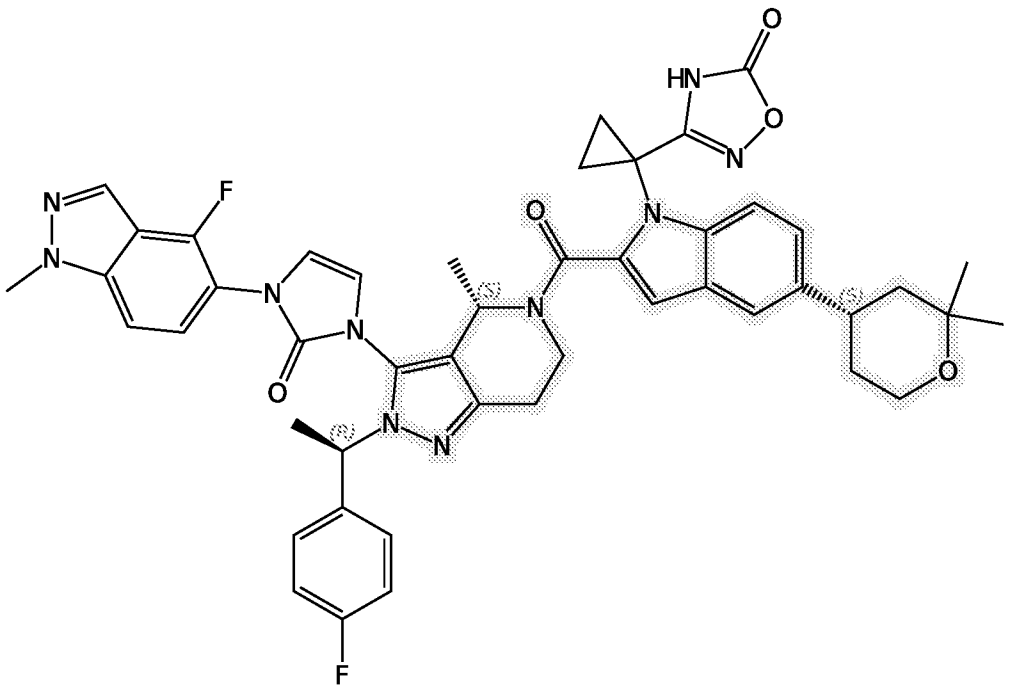
RN   2758658-14-7  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo
l-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-[(1S)-1-(4-fluorophenyl)ethyl]-2,4
,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrah
ydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]-  (CA INDEX NAME)



Absolute stereochemistry shown

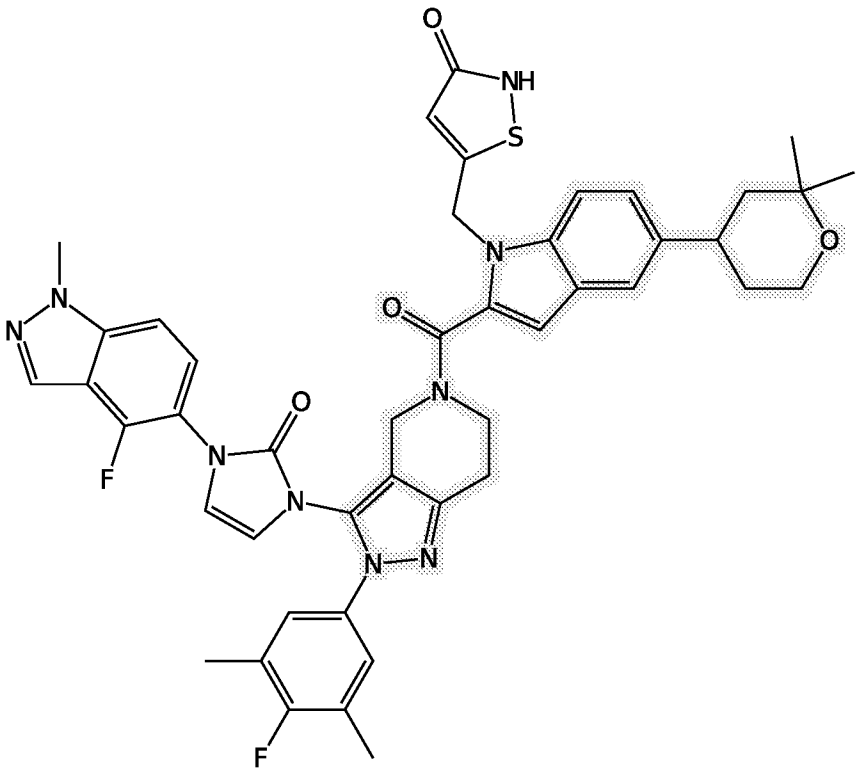
RN   2758658-17-0  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-(4-fluoro-1-methyl-1H-indazo

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)



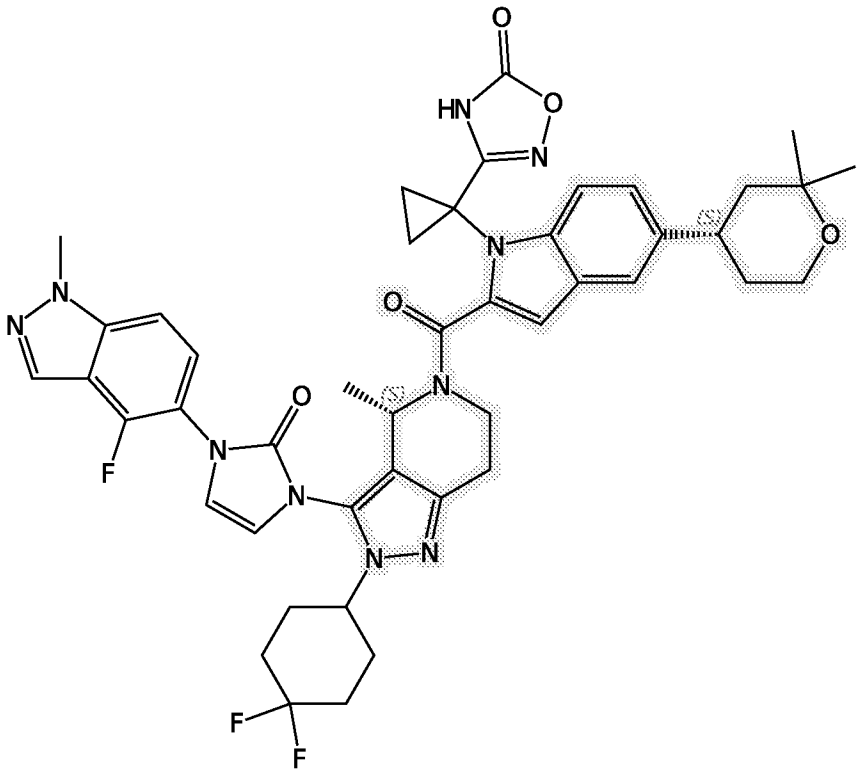
Absolute stereochemistry shown

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)



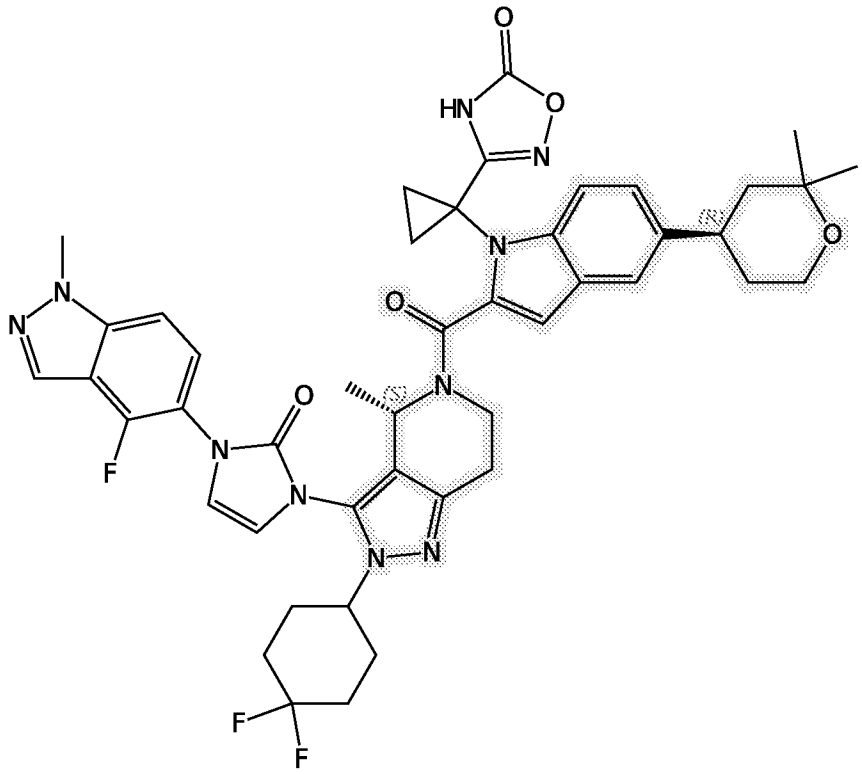
RN   2758658-24-9  CAPLUS

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-t
etrahydro-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)



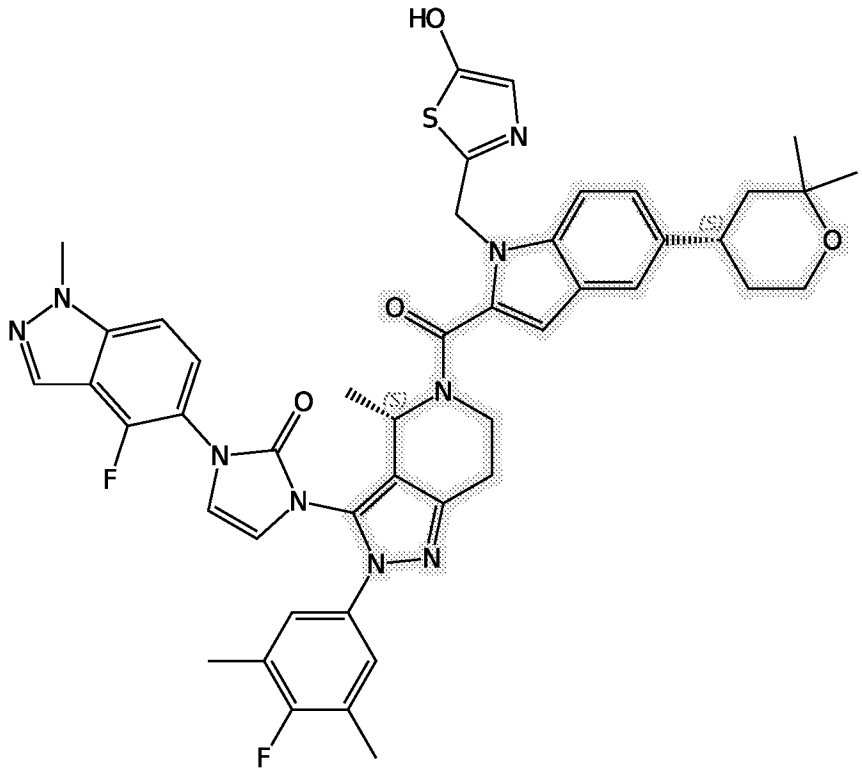
Absolute stereochemistry shown

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-t
etrahydro-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)



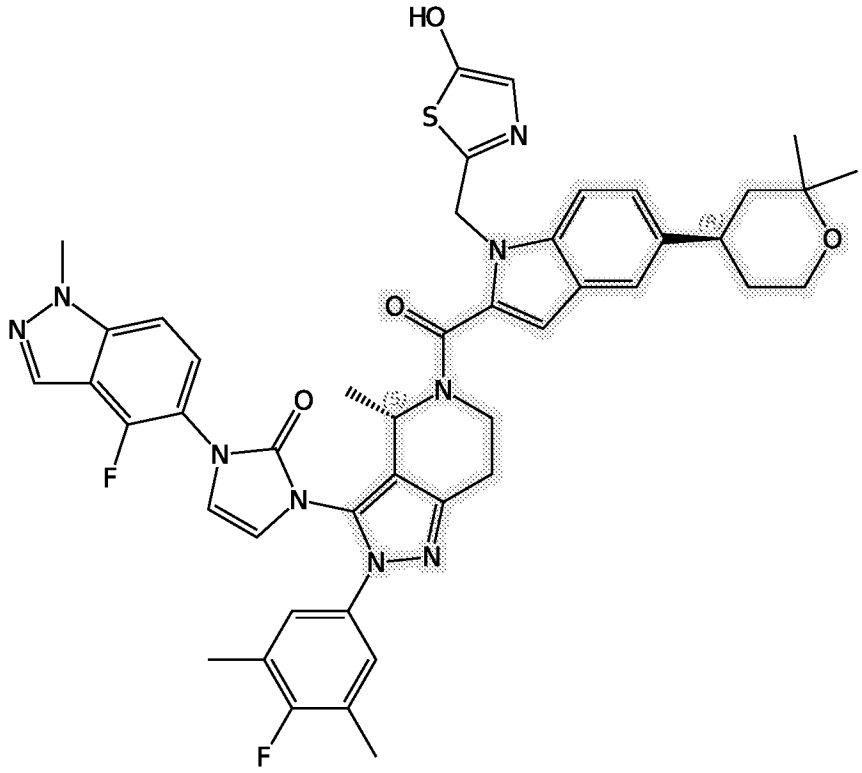
Absolute stereochemistry shown

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)



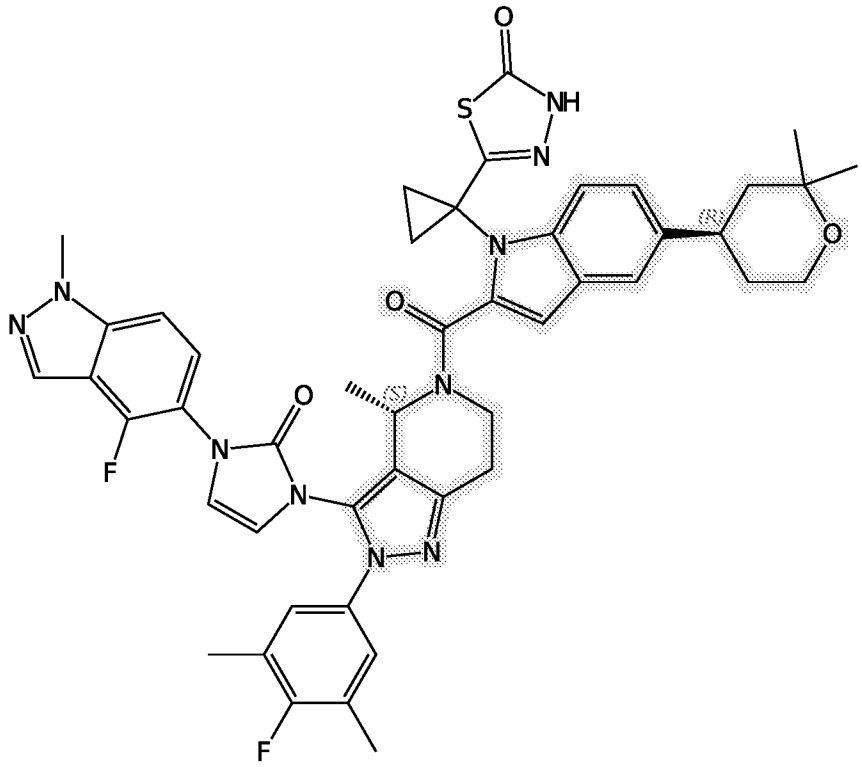
Absolute stereochemistry shown

RN 2758658-34-1 CAPLUS
CN 2H-Imidazol-2-one, 1-[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[1-[(5-hydroxy-2-thiazolyl)methyl]-5-[(4R)-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)



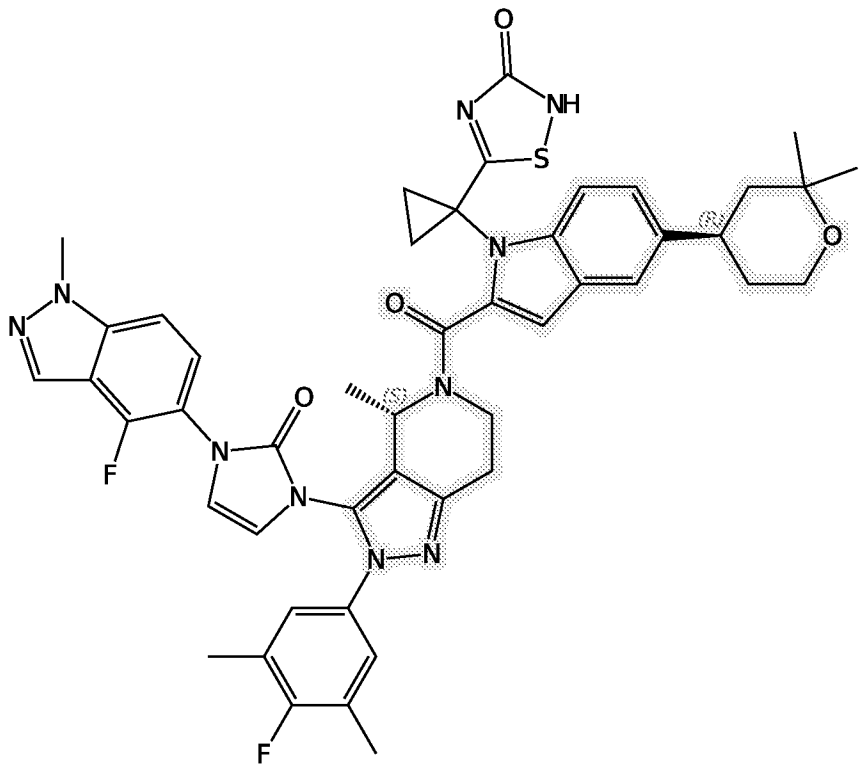

Absolute stereochemistry shown

RN 2758658-37-4 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)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



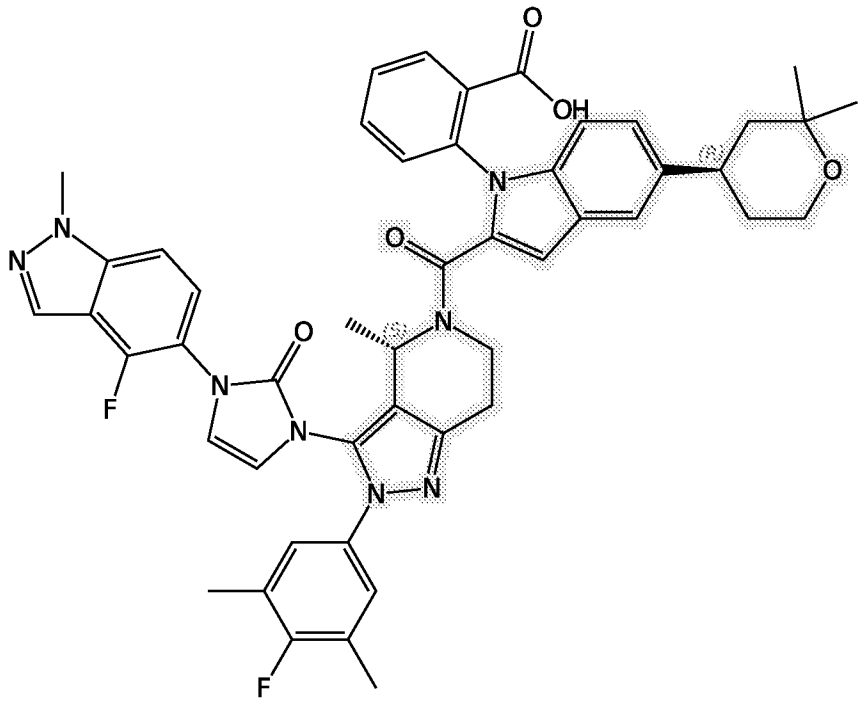
Absolute stereochemistry shown

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)



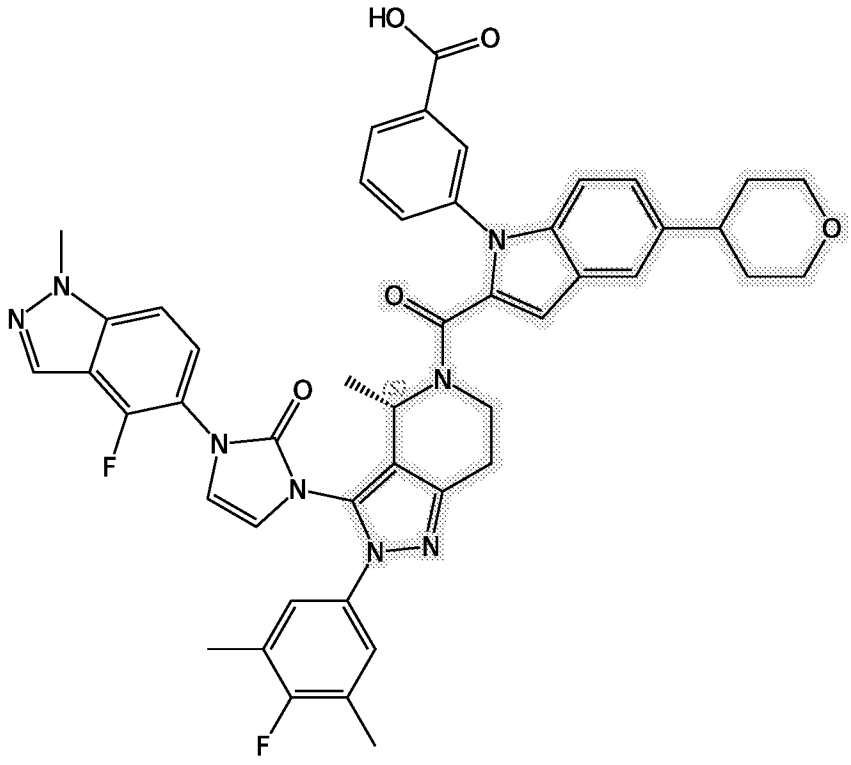
Absolute stereochemistry shown

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)



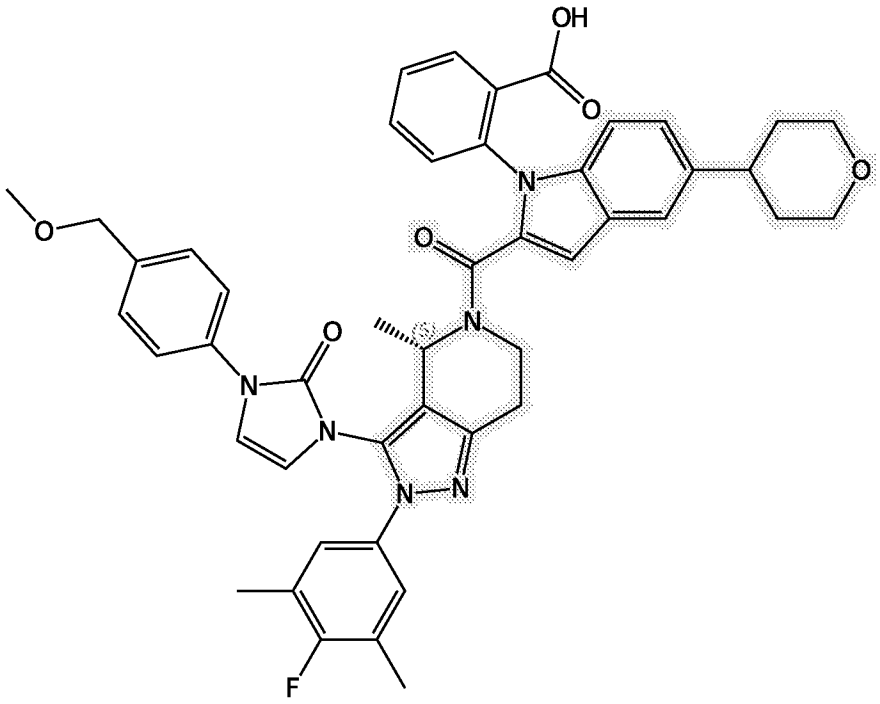
Absolute stereochemistry shown

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)



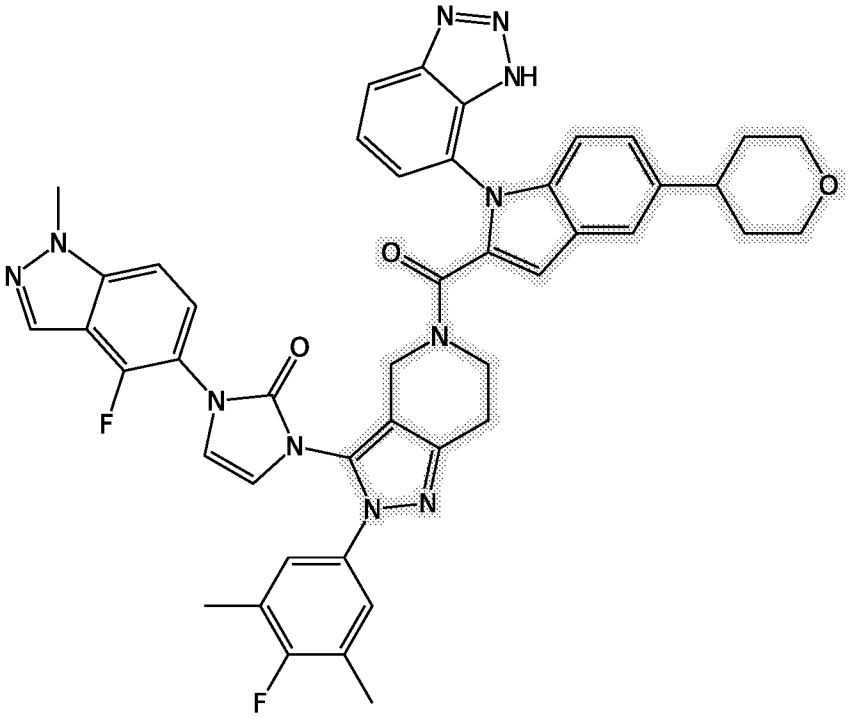
Absolute stereochemistry shown

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)

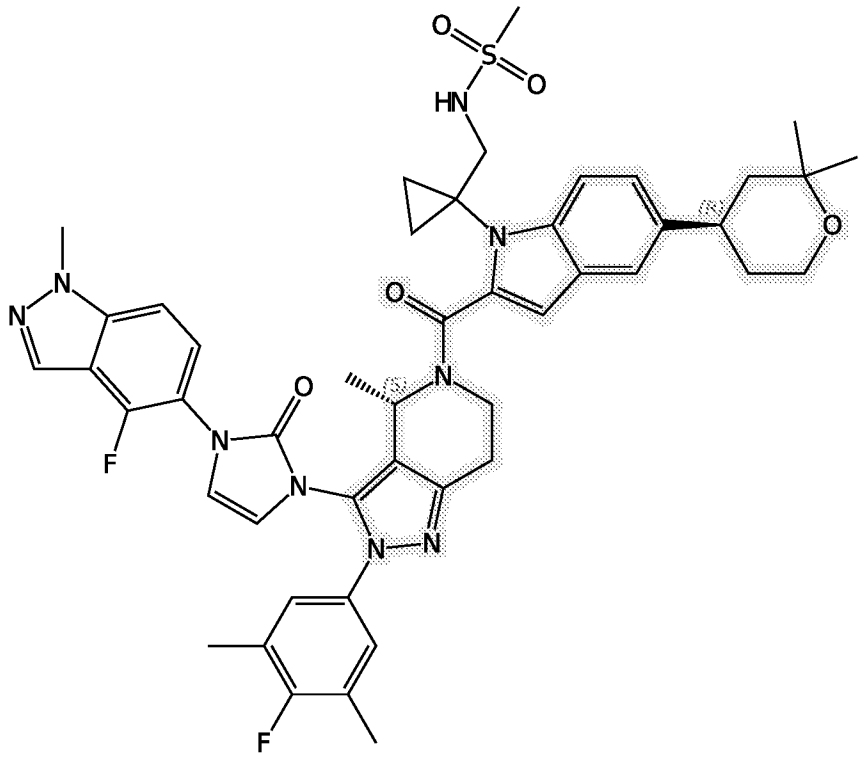


Absolute stereochemistry shown

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)

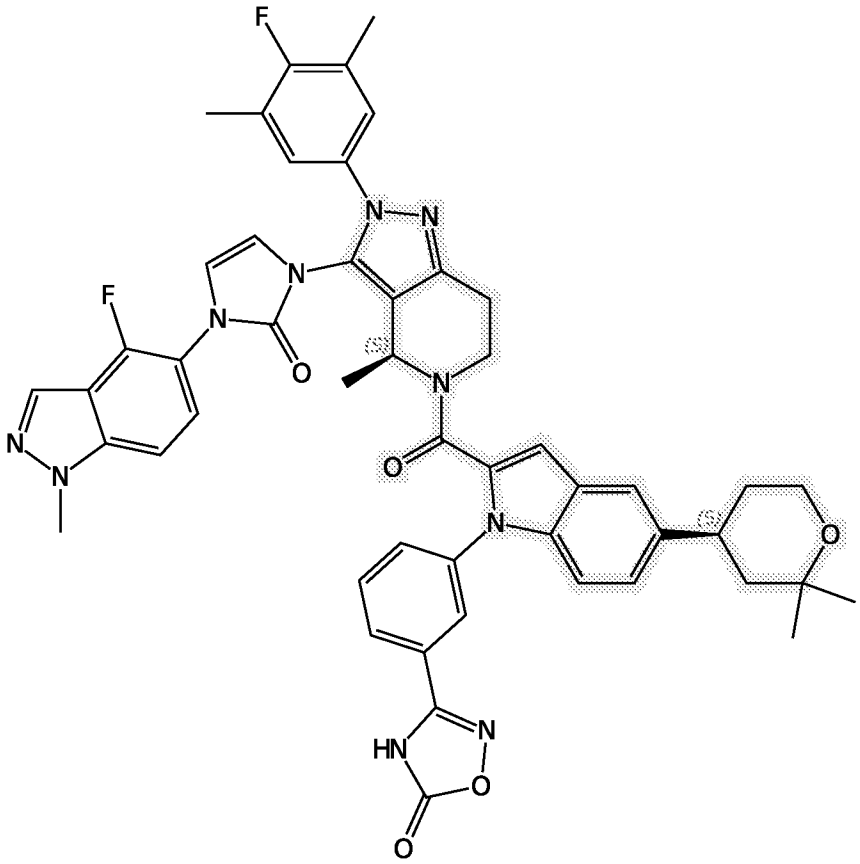


RN 2758658-83-0 CAPLUS
CN Methanesulfonamide, N-[[1-[2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-1-methyl-1H-indazol-5-yl)-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4R)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl]-1H-indol-1-yl]cyclopropyl]methyl]- (CA INDEX NAME)



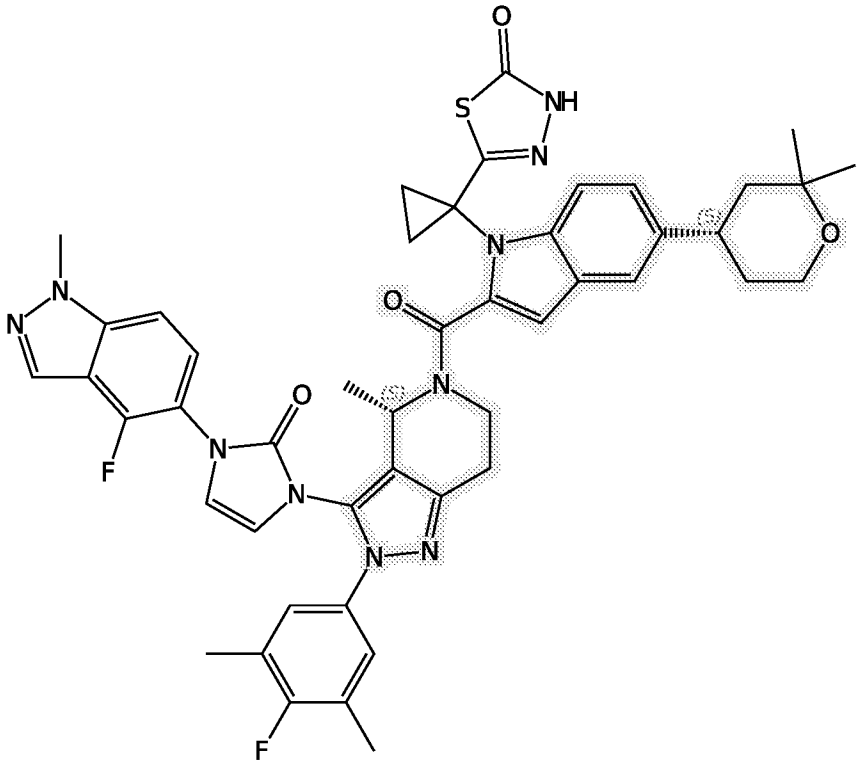
Absolute stereochemistry shown

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)



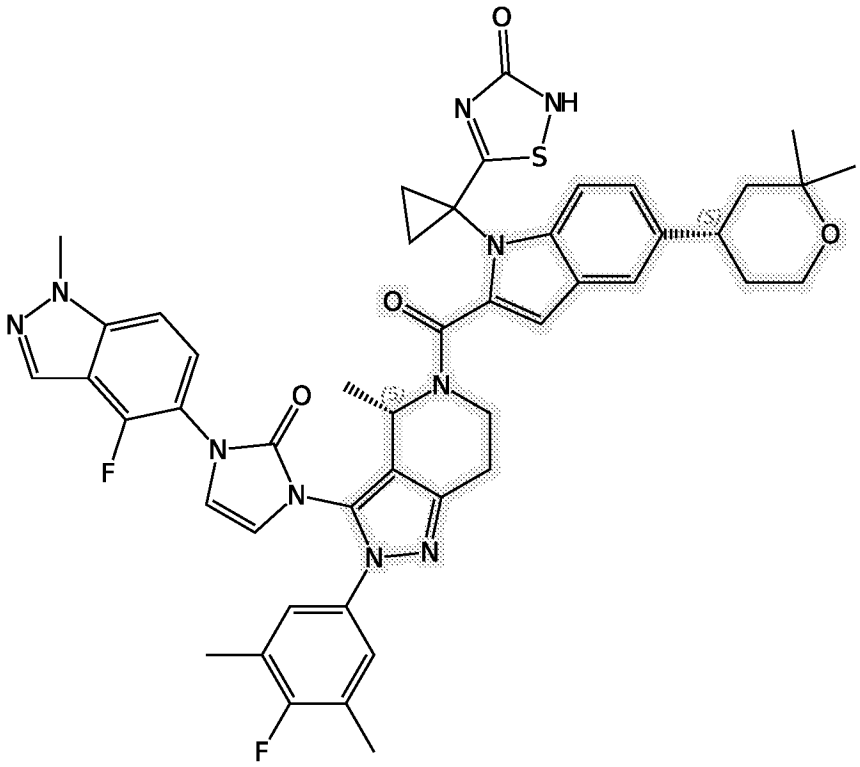
Absolute stereochemistry shown

RN 3008601-07-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



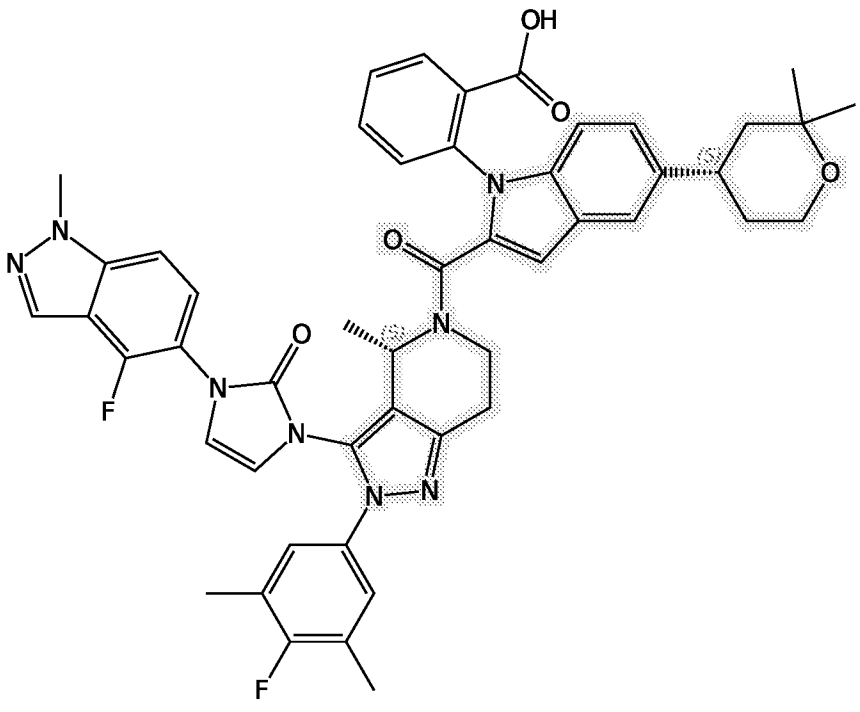
Absolute stereochemistry shown

RN 3008601-78-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



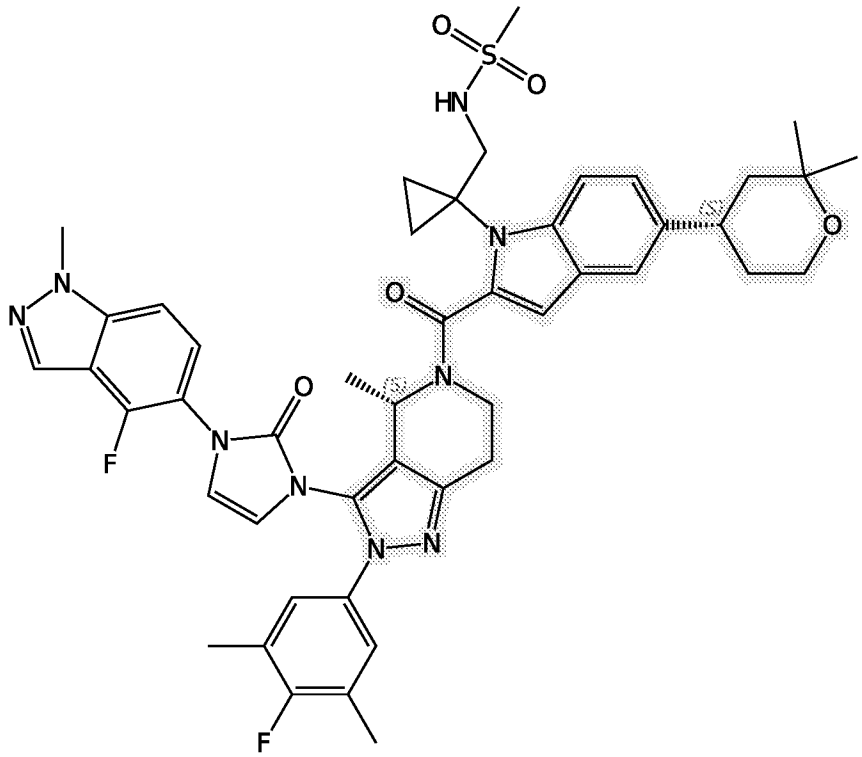
Absolute stereochemistry shown

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)



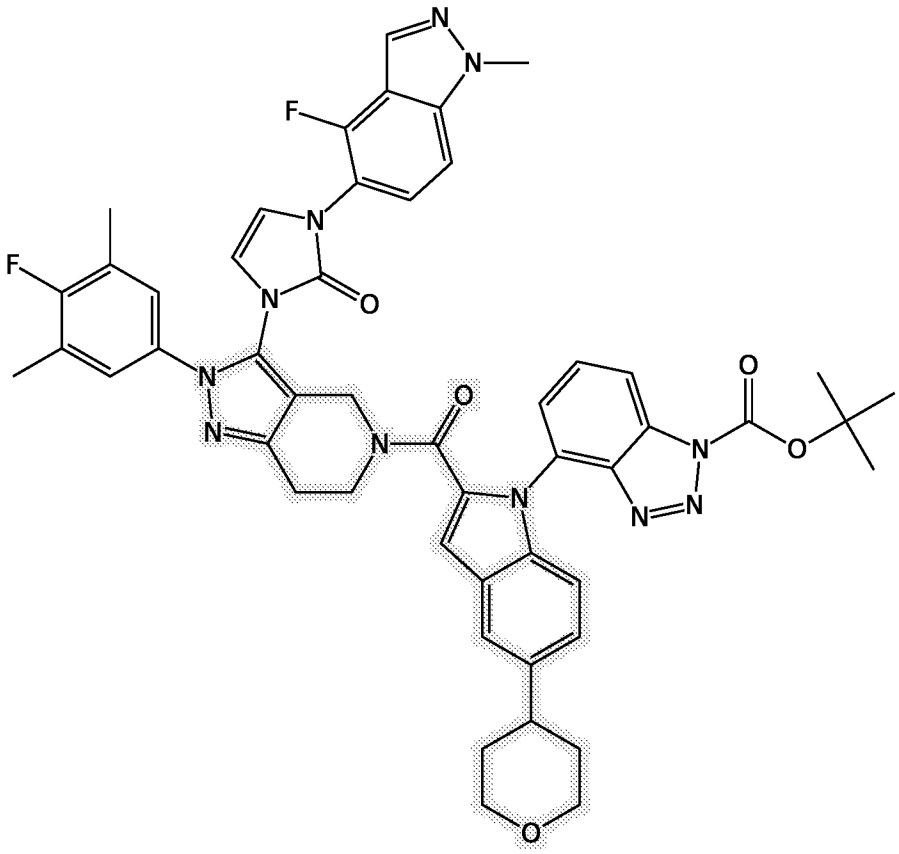
Absolute stereochemistry shown

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 |
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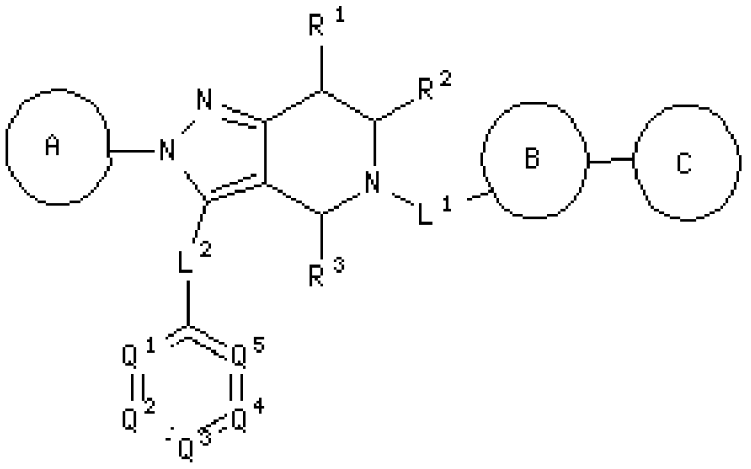
PRIORITY APPLN. INFO.:

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| WO 2020-CN74537 | A | 20200207 |
| WO 2020-CN109304 | A | 20200814 |
| WO 2021-CN75488 | W | 20210205 |
| US 2022-17571351 | A1 | 20220107 |

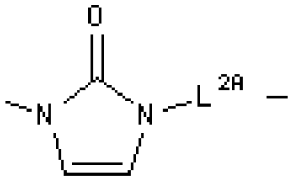
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| WO 2021155841 | A1 | Dead | 20241010 |
| CA 3169975 | A1 | Alive | 20220915 |
| AR 121279 | A1 | Alive | 20220728 |
| AU 2021216324 | A1 | Alive | 20220901 |
| KR 2022156535 | A | Alive | 20221215 |
| EP 4097099 | A1 | Indeterminate | 20240627 |
| EP 4097099 | B1 | Indeterminate | 20240627 |
| CN 115698003 | A | Alive | 20230209 |
| CN 115698003 | B | Alive | 20230209 |
| JP 2023513272 | T | Alive | 20230413 |
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| RS 65877 | B1 | Alive | 20241010 |
| US 20220213130 | A1 | Alive | 20220714 |
| US 11492365 | B2 | Alive | 20221117 |
| US 20230174565 | A1 | Alive | 20230615 |
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| CL 2022002105 | A1 | Alive | 20230420 |

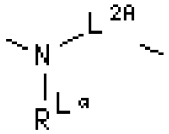
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 176:10828; MARPAT 176:10828
GI



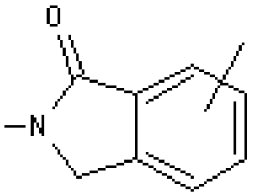
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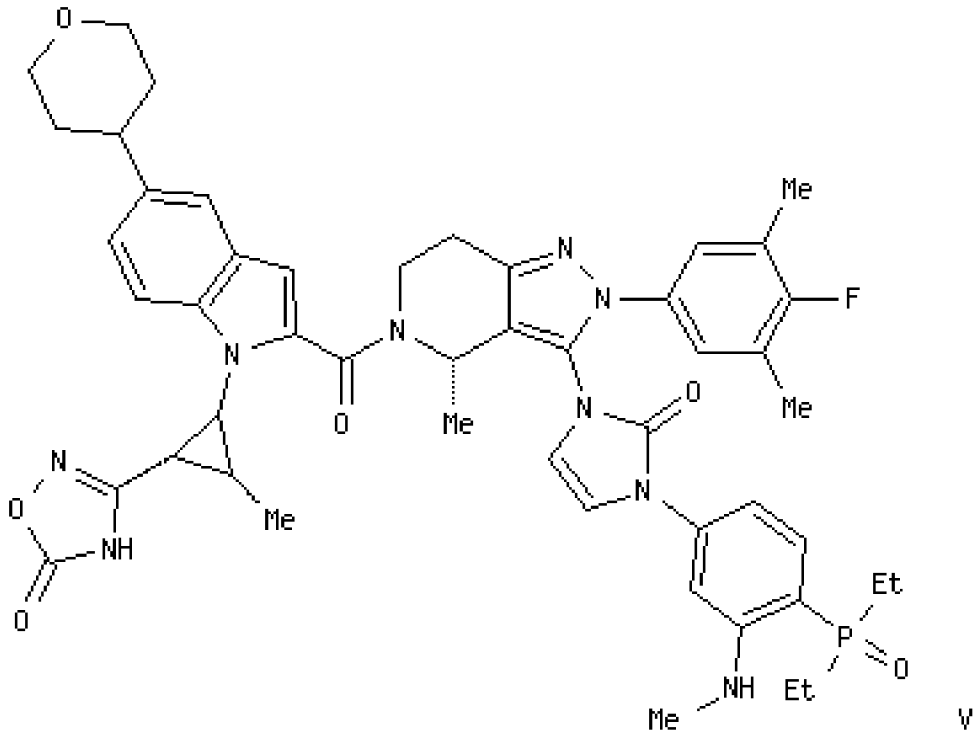
II



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;

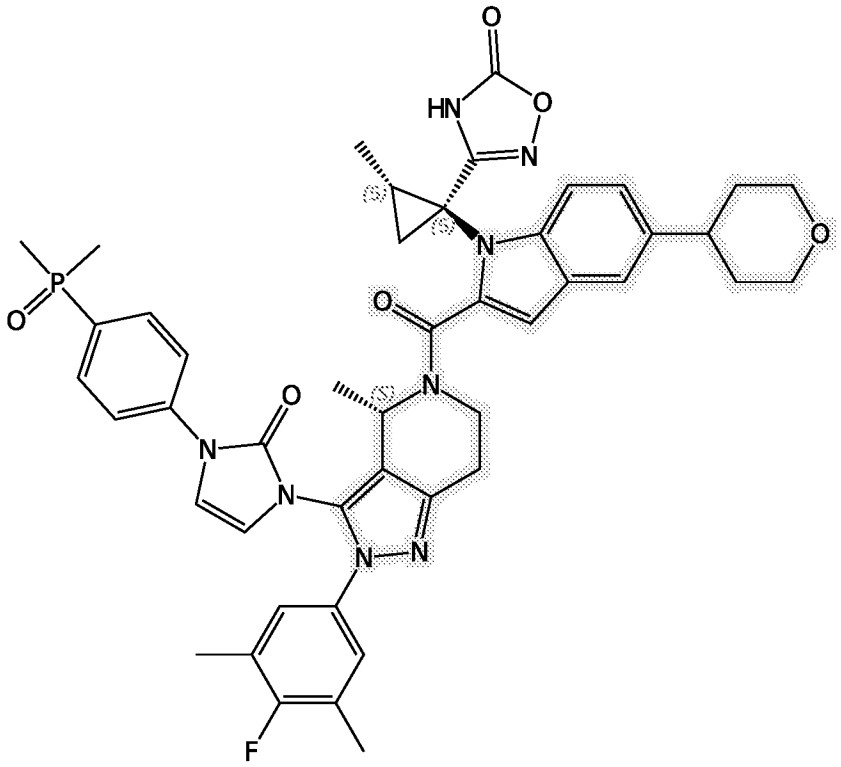
dotted lines = single or double bond, provided ring including Q1-Q5 is arom.; (BB) Q1 = bond, Q2, Q3, Q4, and Q5 independently = O, S, N, NH, CH, etc., with proviso; L2 = II, III, and IV, L2A = bond or C1-10alkylene; RLa = H, C1-6alkyl, and C(=O)(C1-6alkyl); Ring A = C6-10 aryl, C5-7 cycloalkyl, 5-7 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.

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
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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)

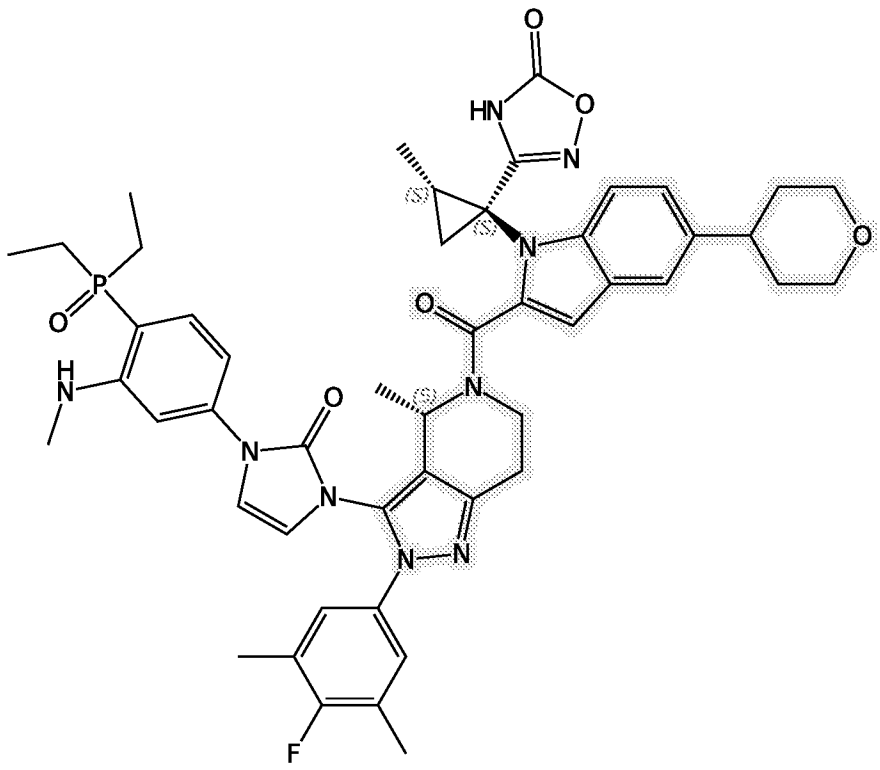
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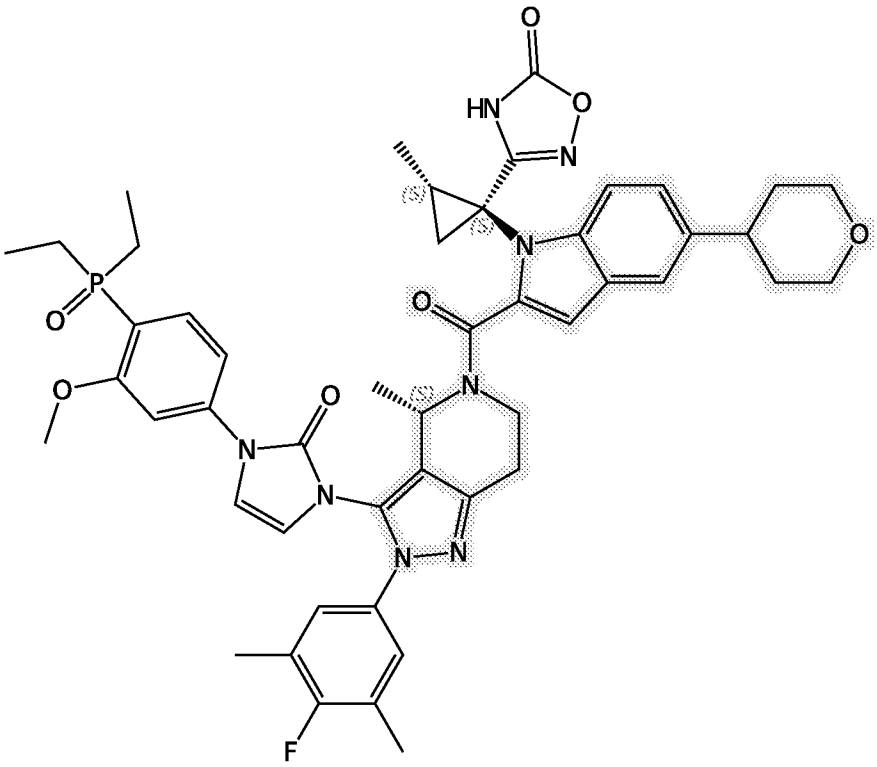
Absolute stereochemistry shown

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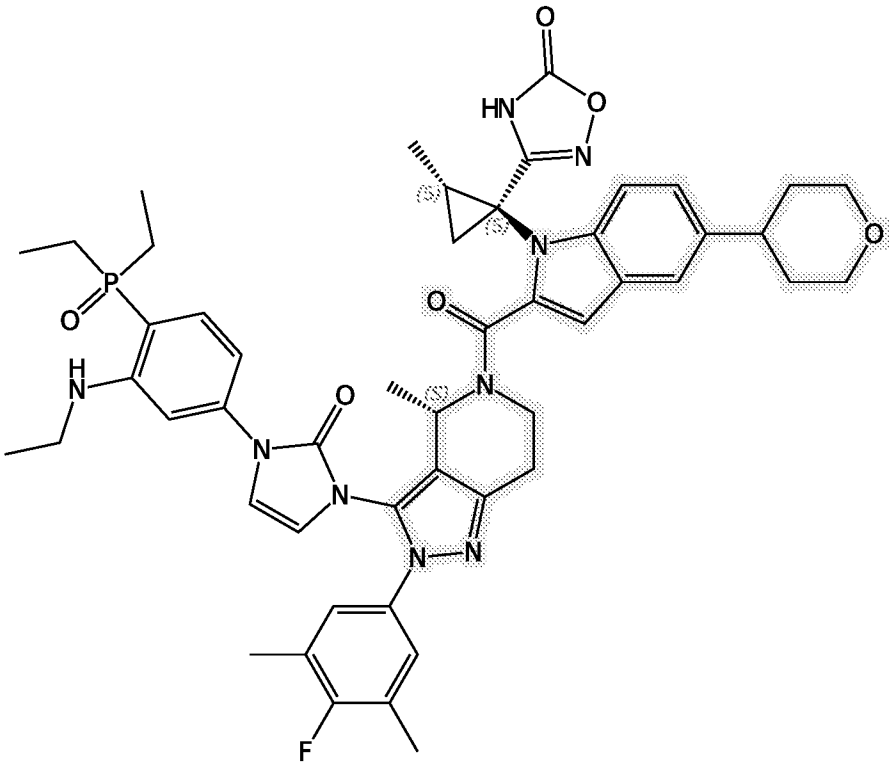
Absolute stereochemistry shown

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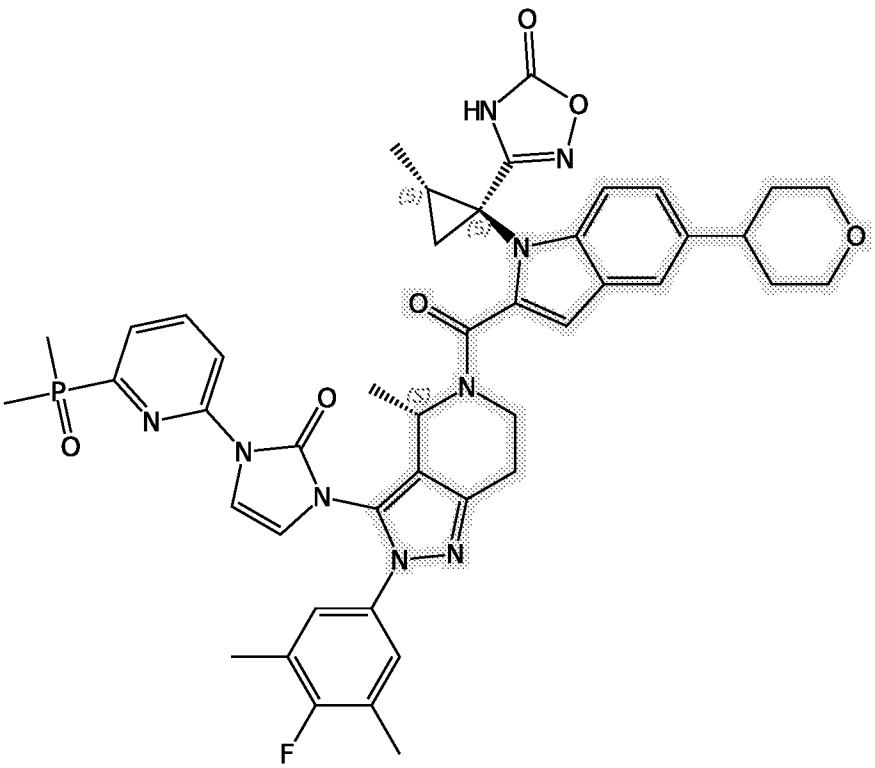
Absolute stereochemistry shown

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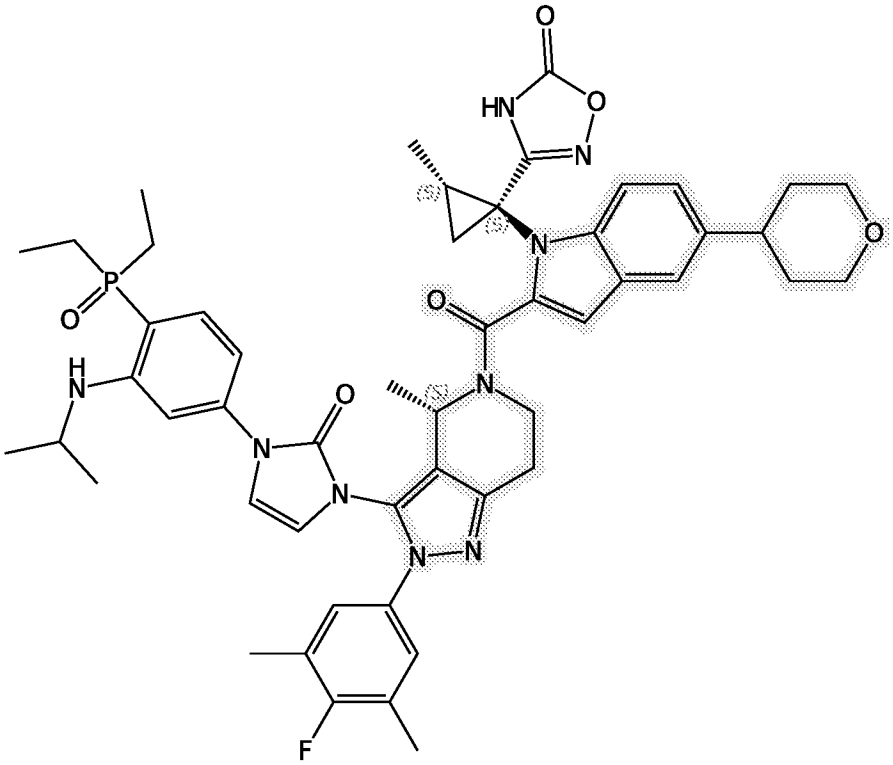
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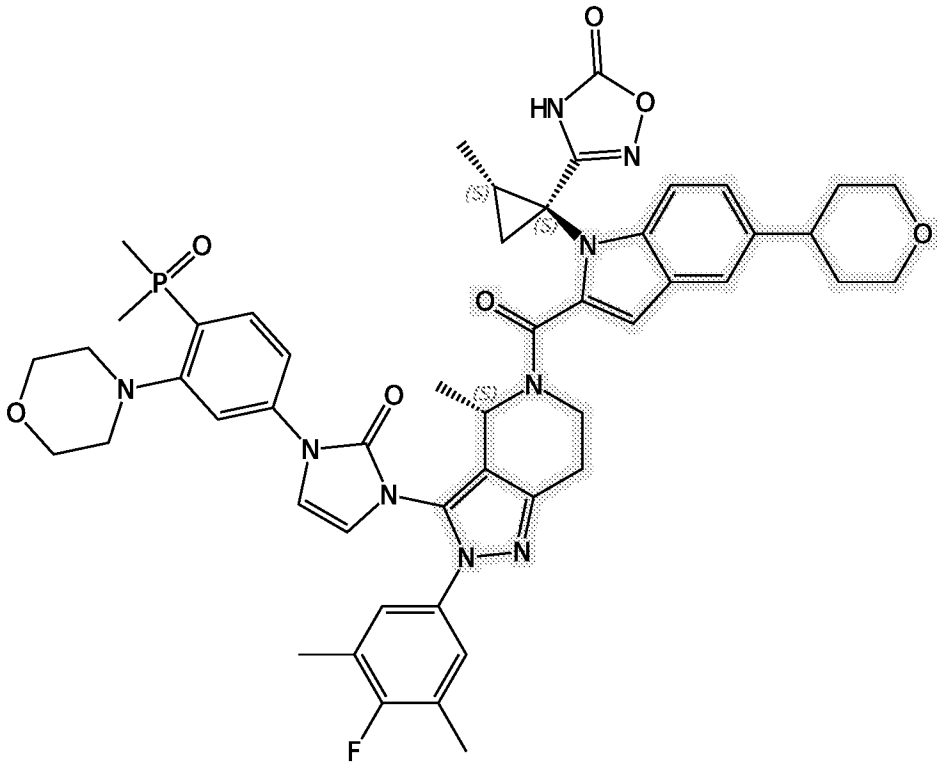
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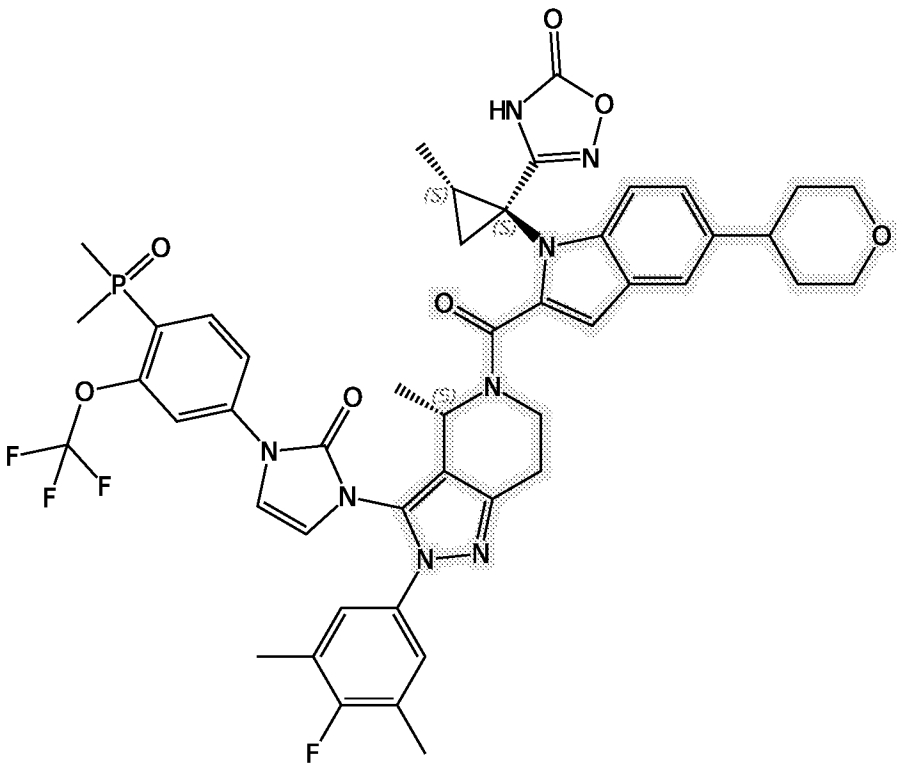
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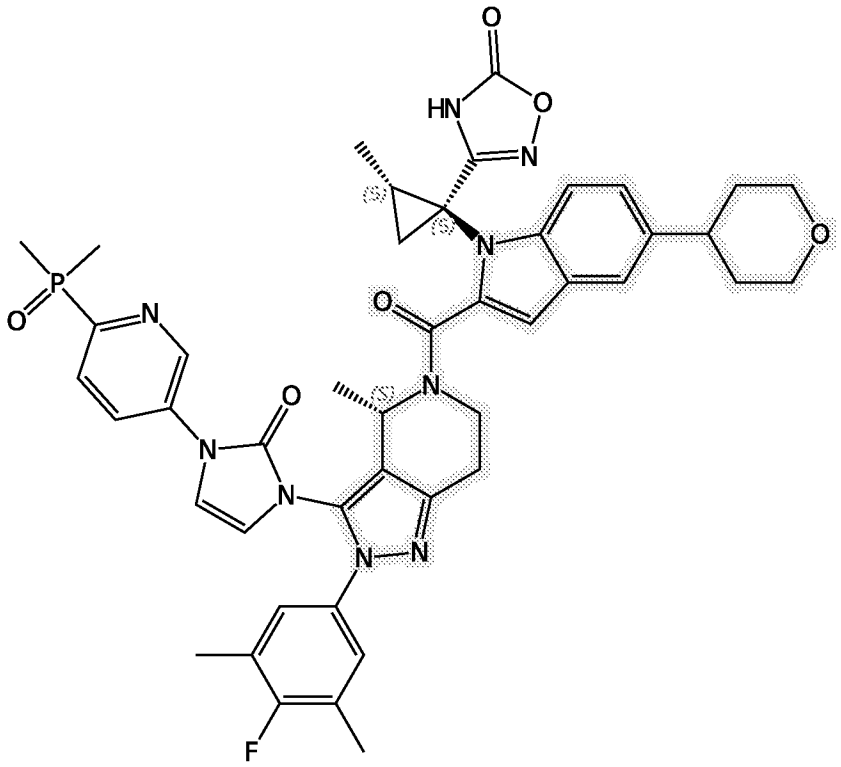
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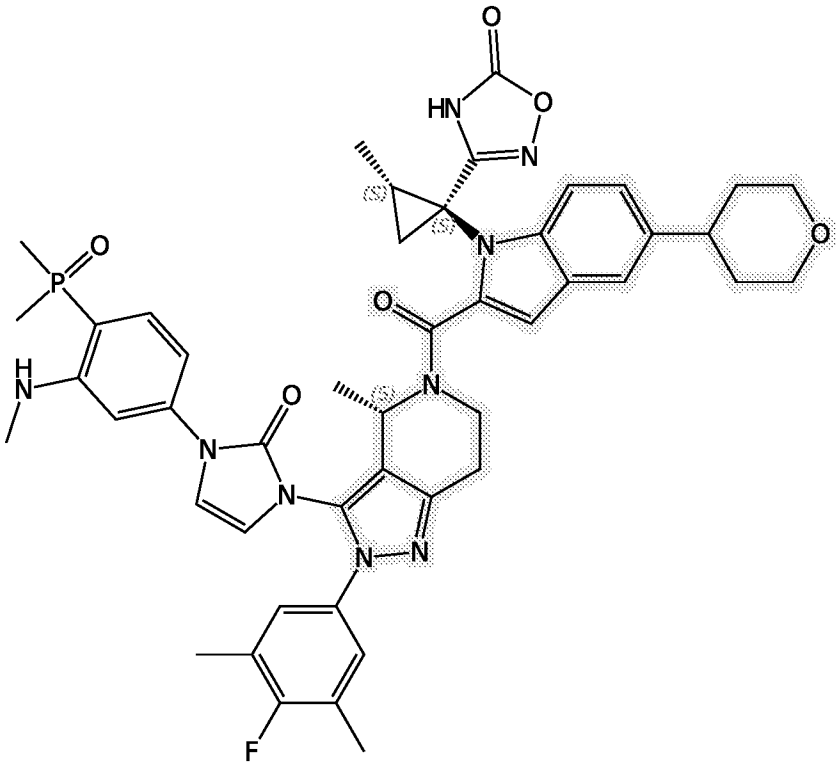
Absolute stereochemistry shown

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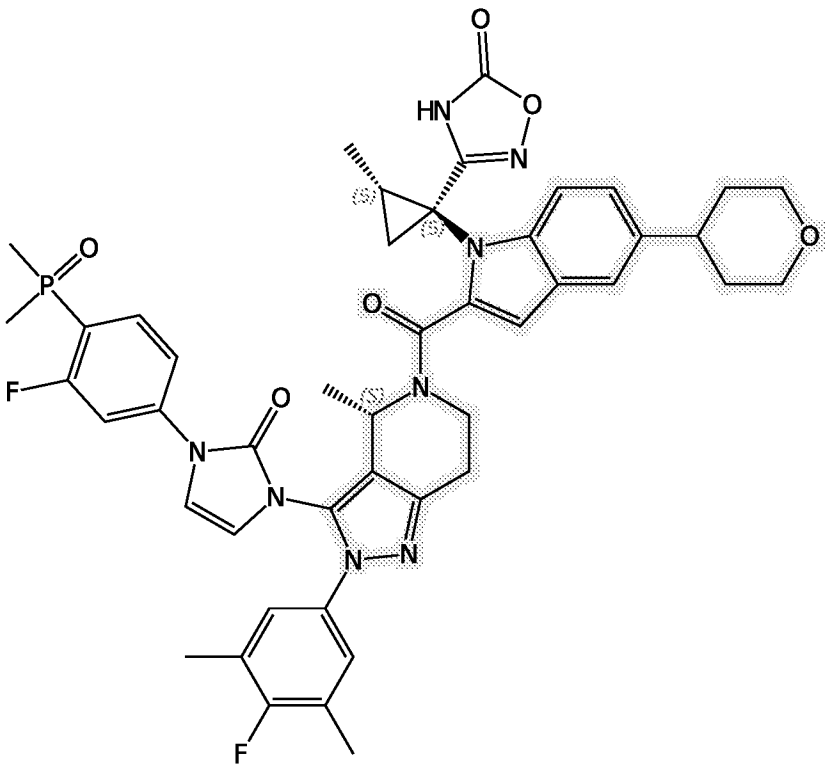
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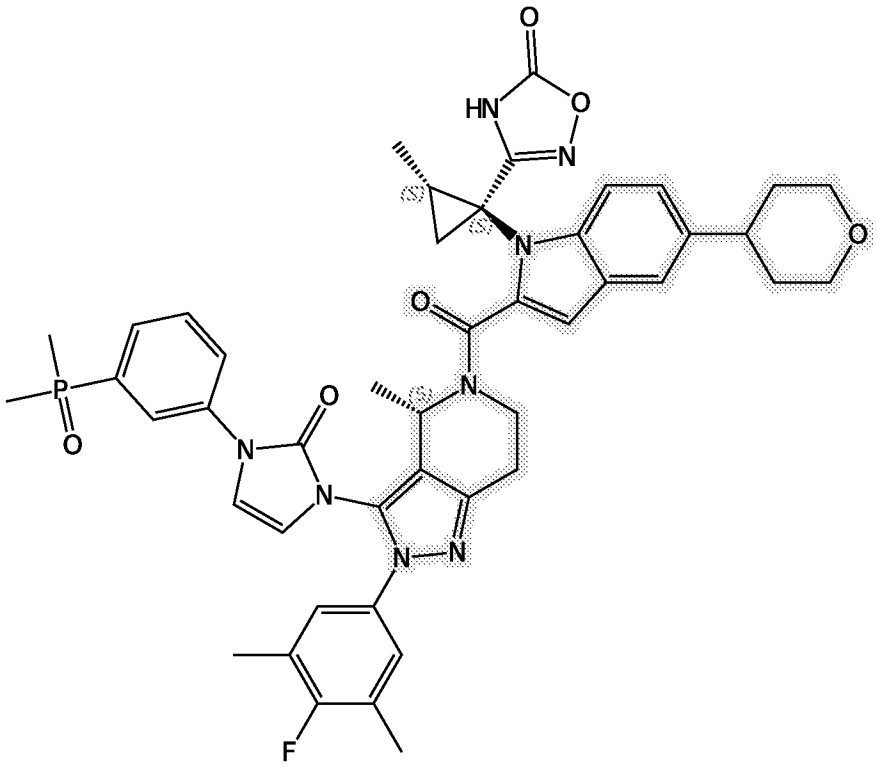
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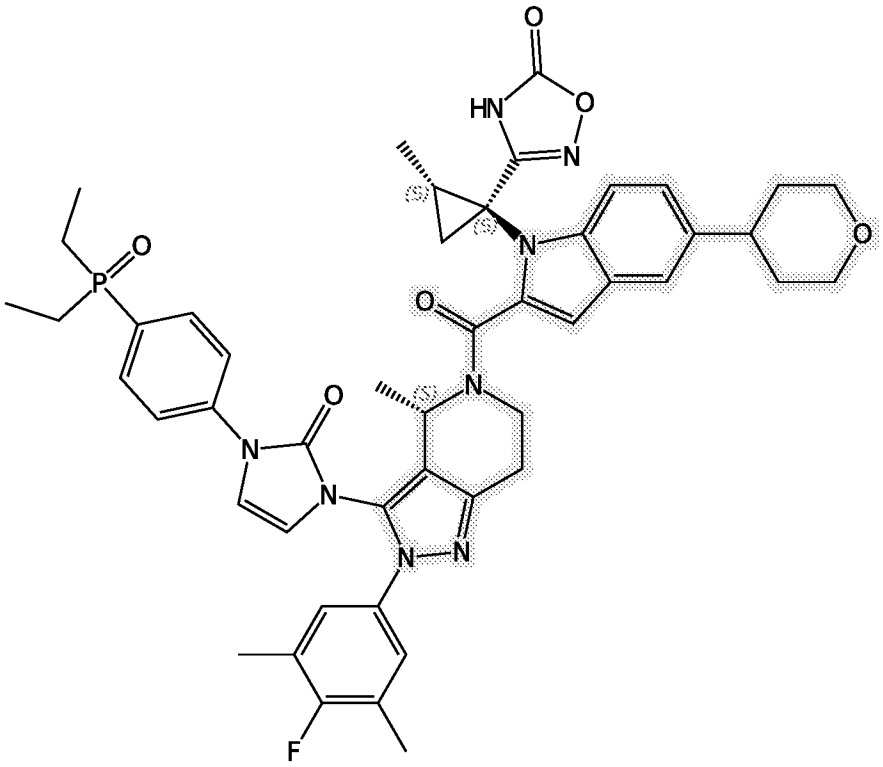
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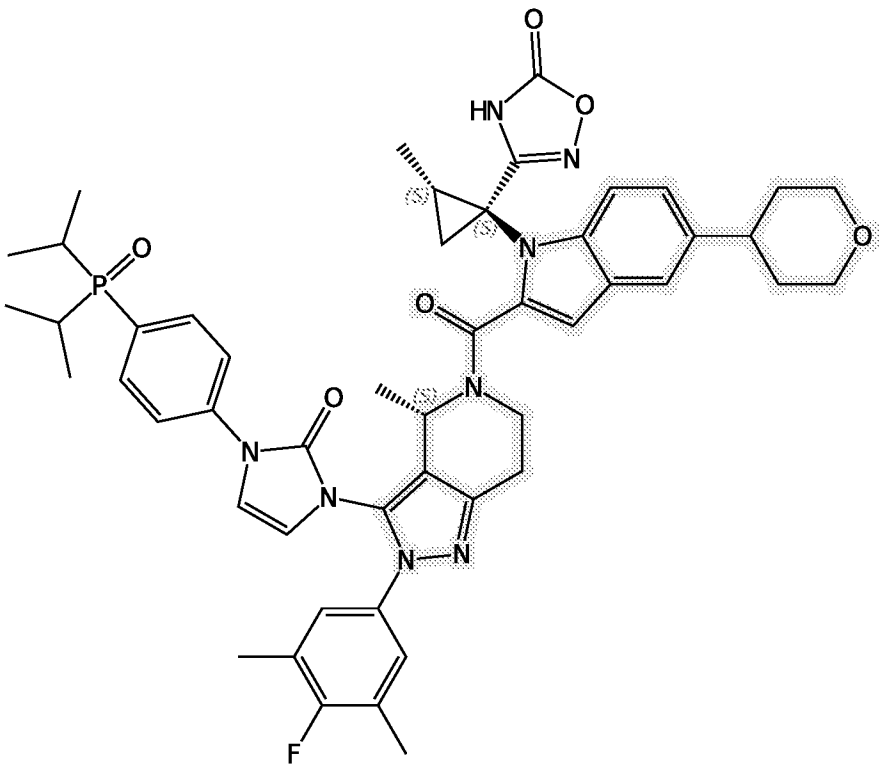
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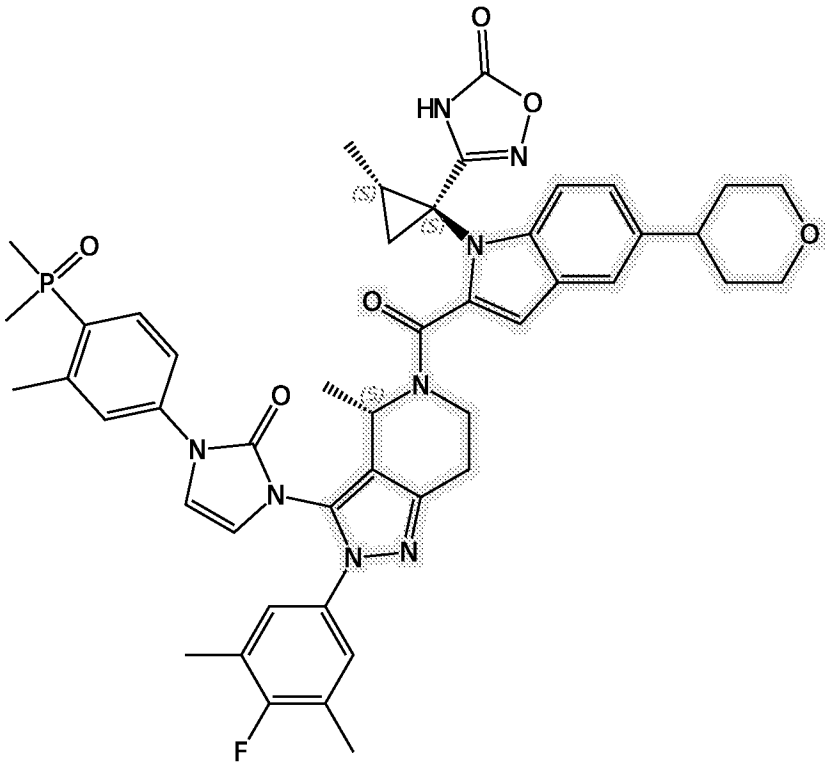
Absolute stereochemistry shown

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)



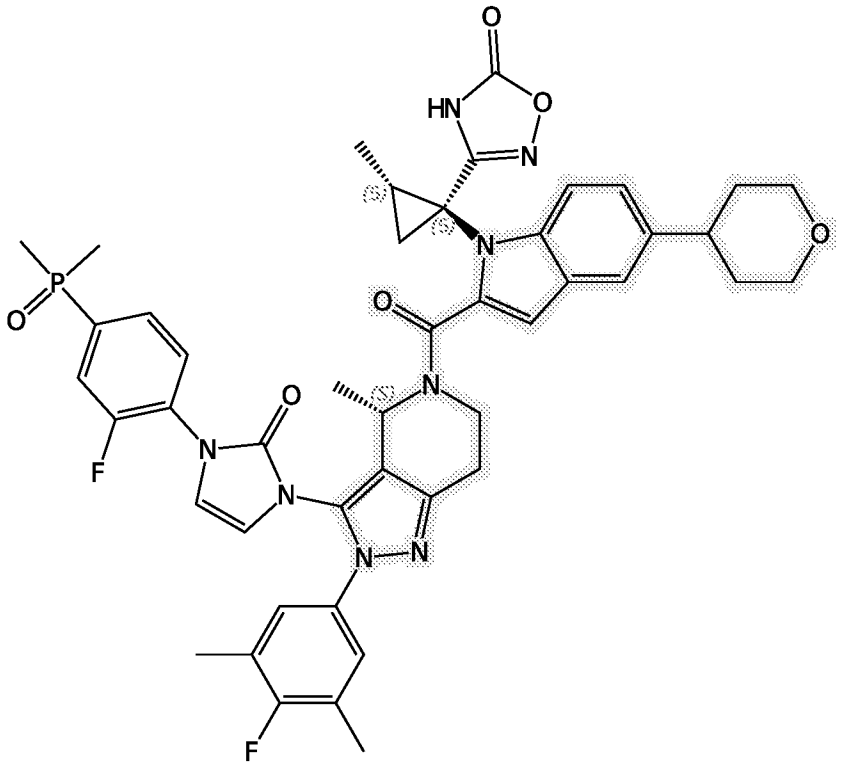
Absolute stereochemistry shown

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)



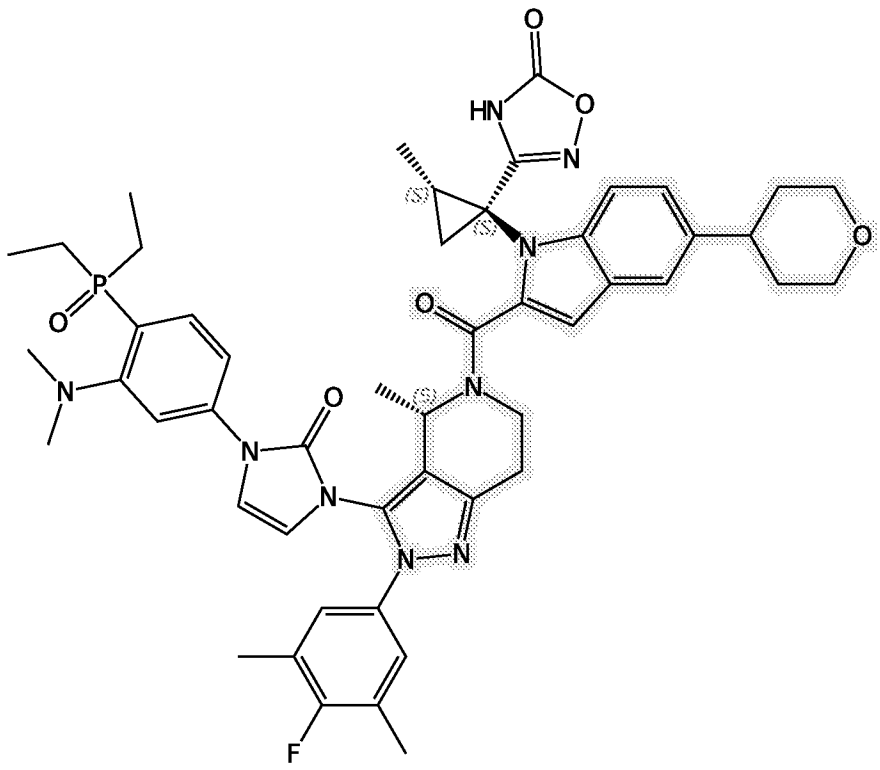
Absolute stereochemistry shown

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

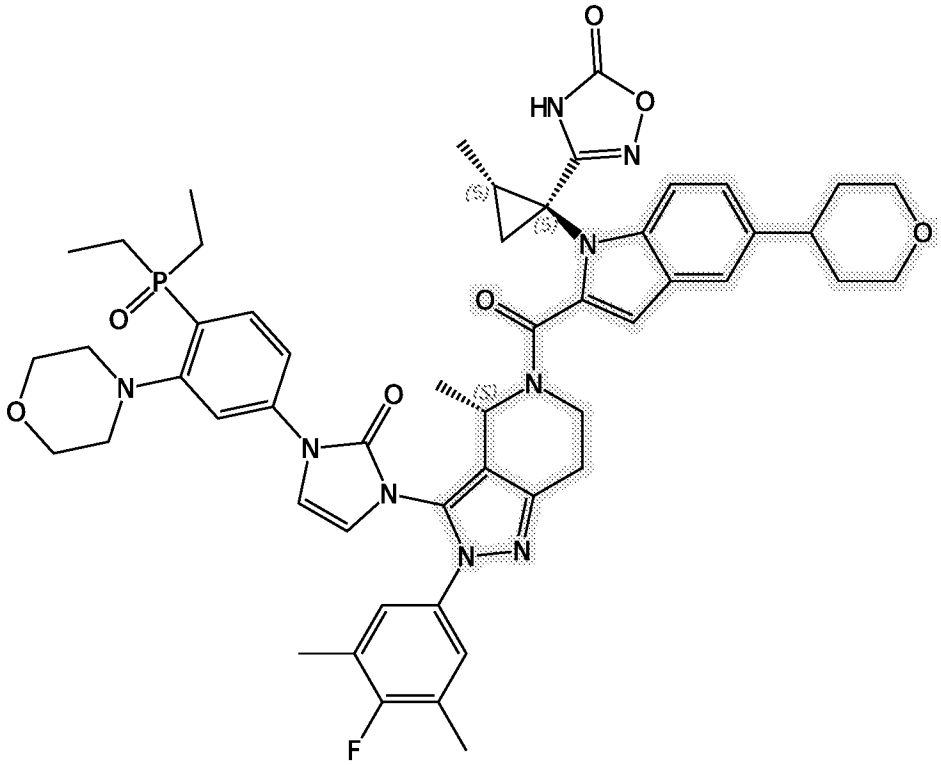
RN 2685823-42-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)



• HCl

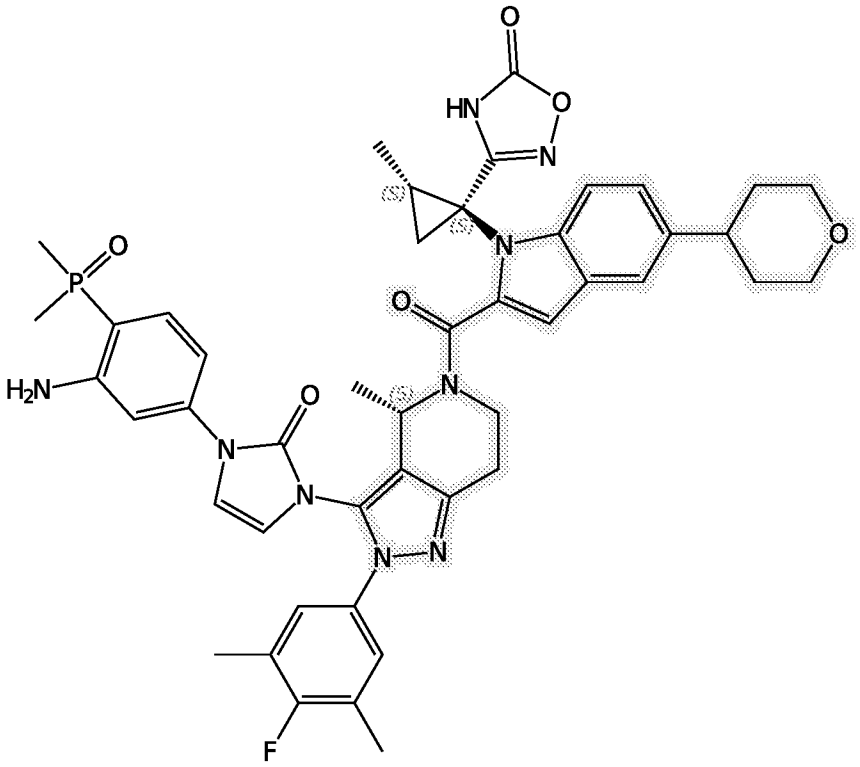
Absolute stereochemistry shown

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)



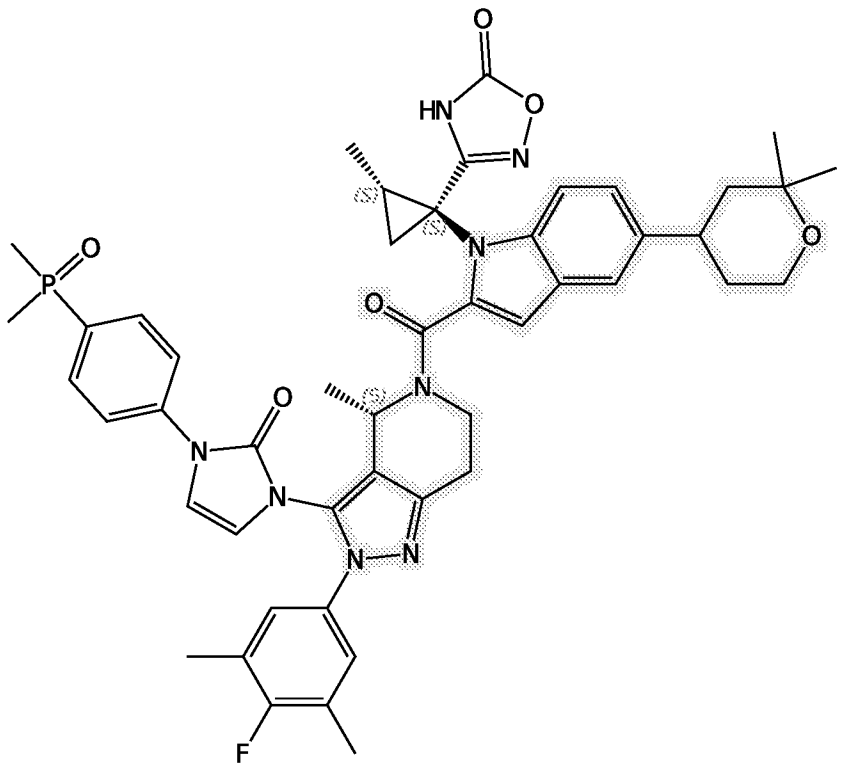
Absolute stereochemistry shown

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)



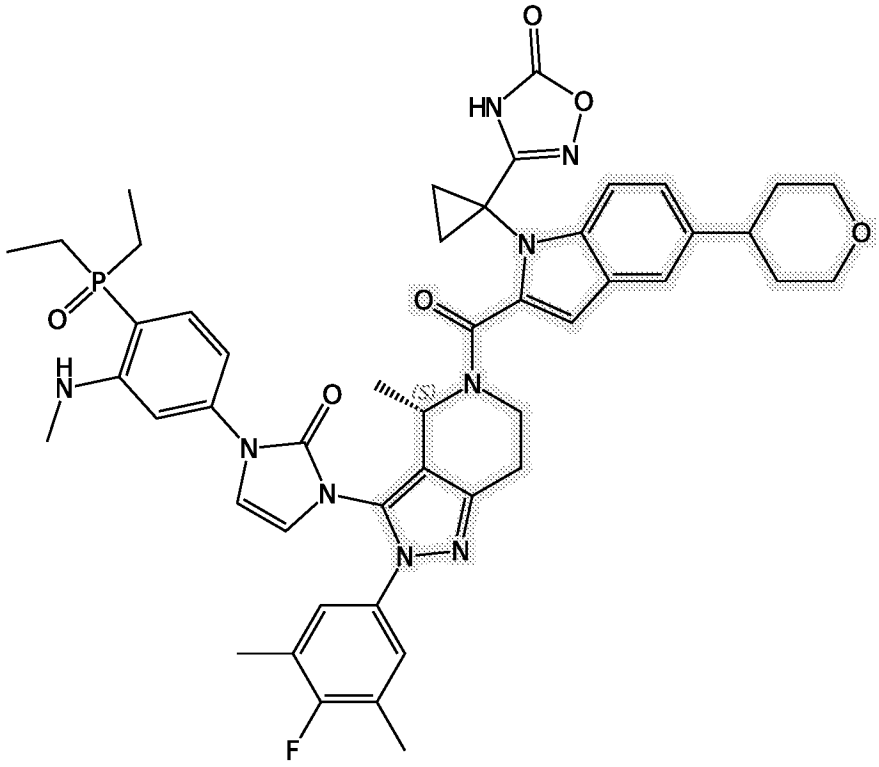
Absolute stereochemistry shown

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)



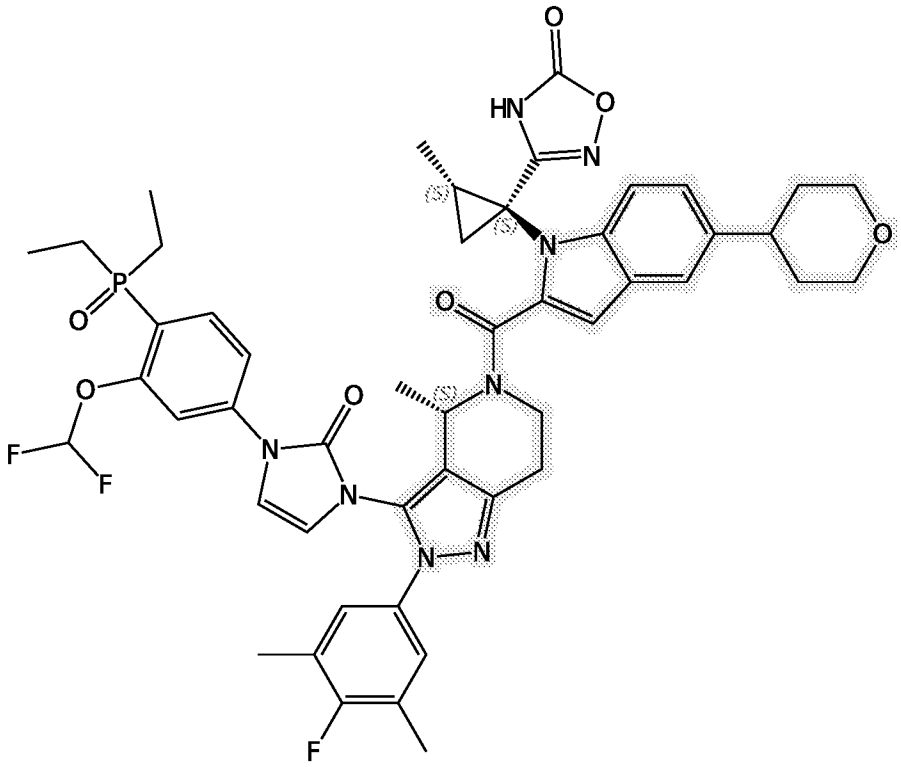
Absolute stereochemistry shown

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)



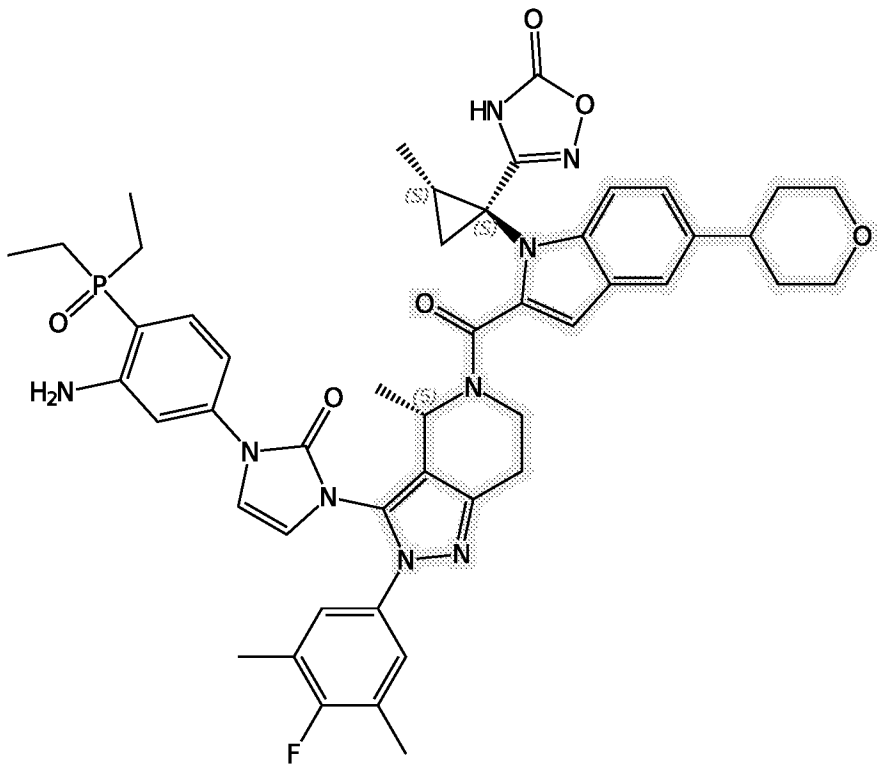
Absolute stereochemistry shown

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)



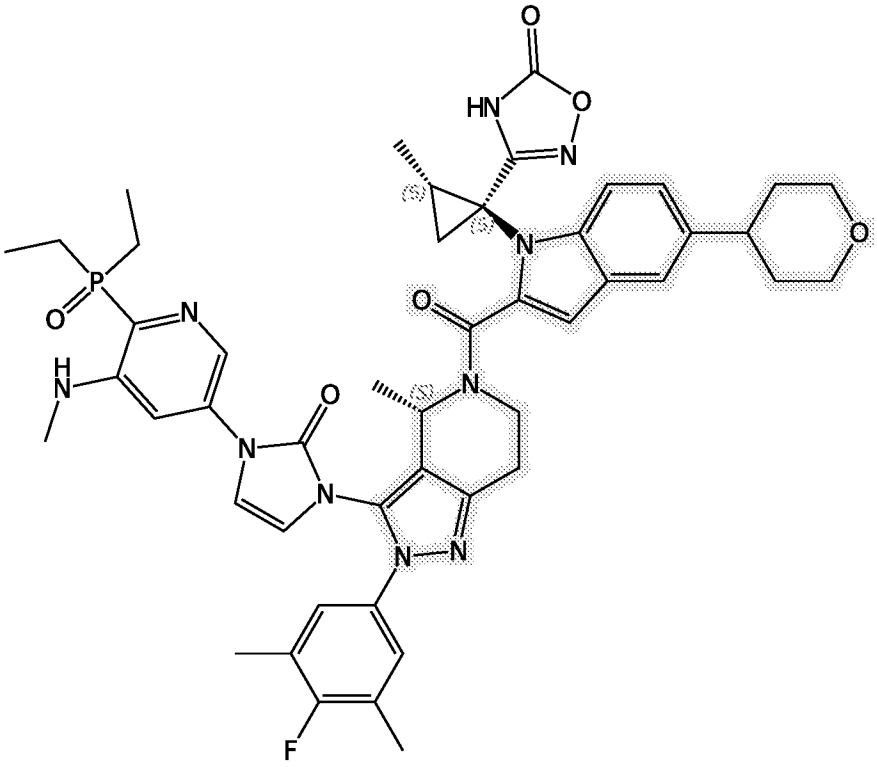
Absolute stereochemistry shown

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)



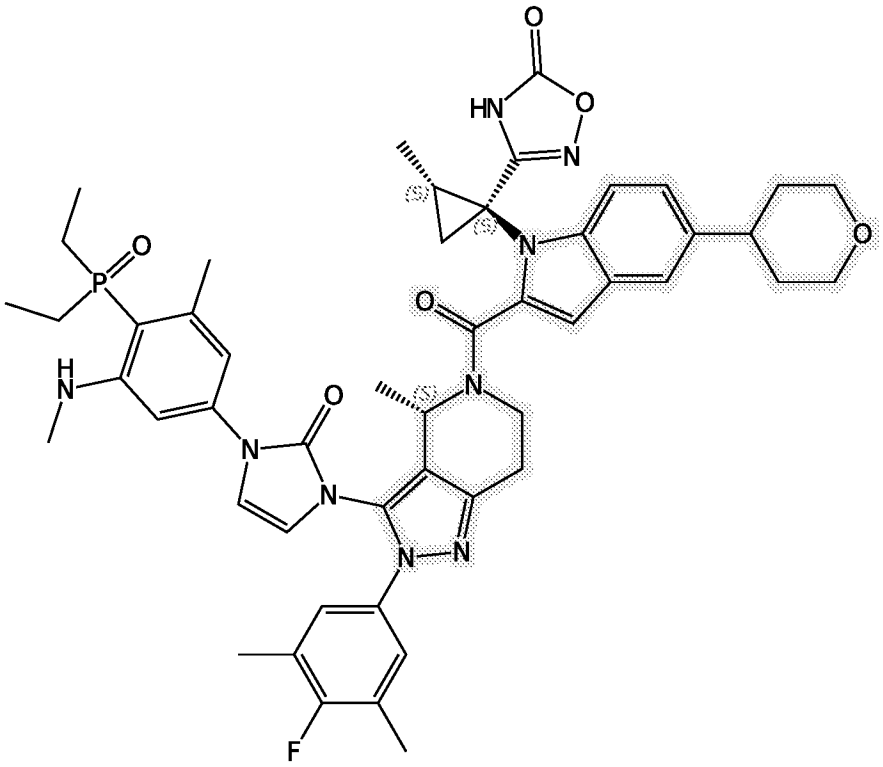
Absolute stereochemistry shown

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)



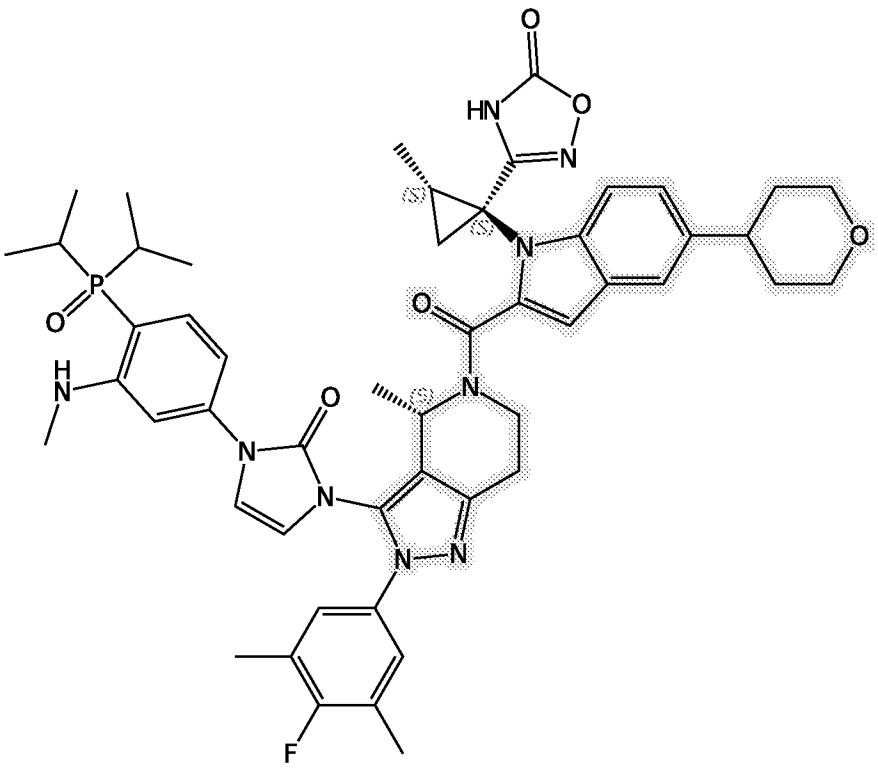
Absolute stereochemistry shown

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)



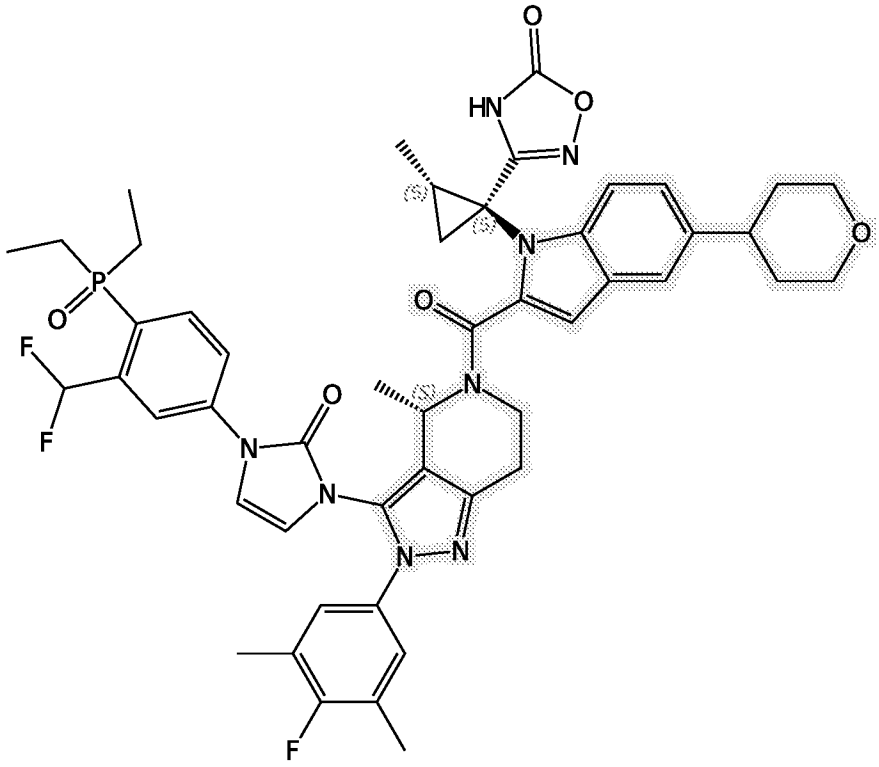
Absolute stereochemistry shown

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)



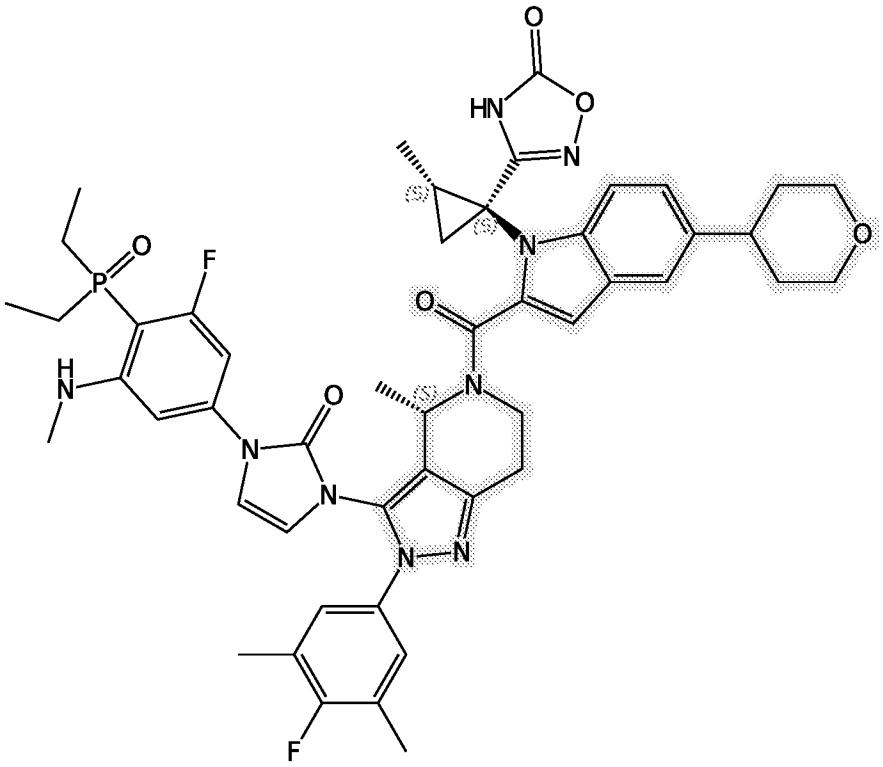
Absolute stereochemistry shown

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)



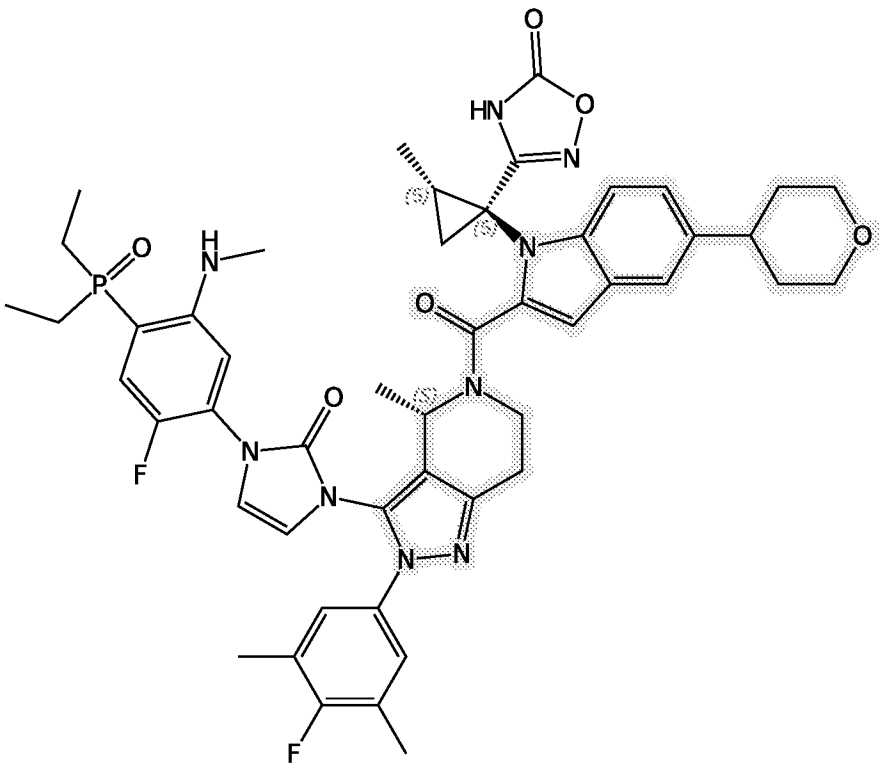
Absolute stereochemistry shown

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)



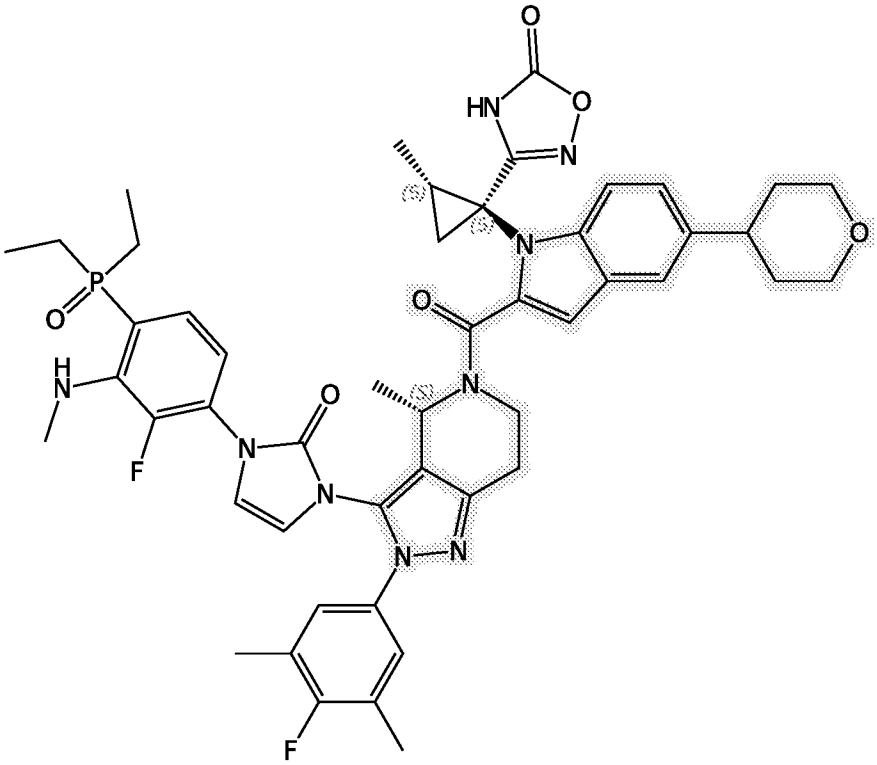
Absolute stereochemistry shown

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)



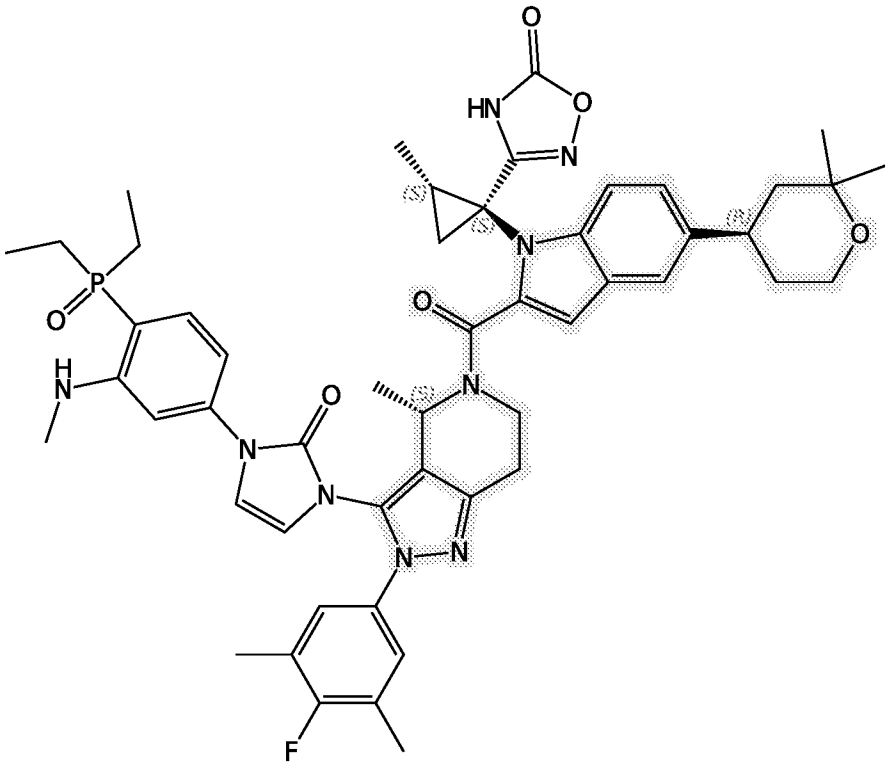
Absolute stereochemistry shown

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)



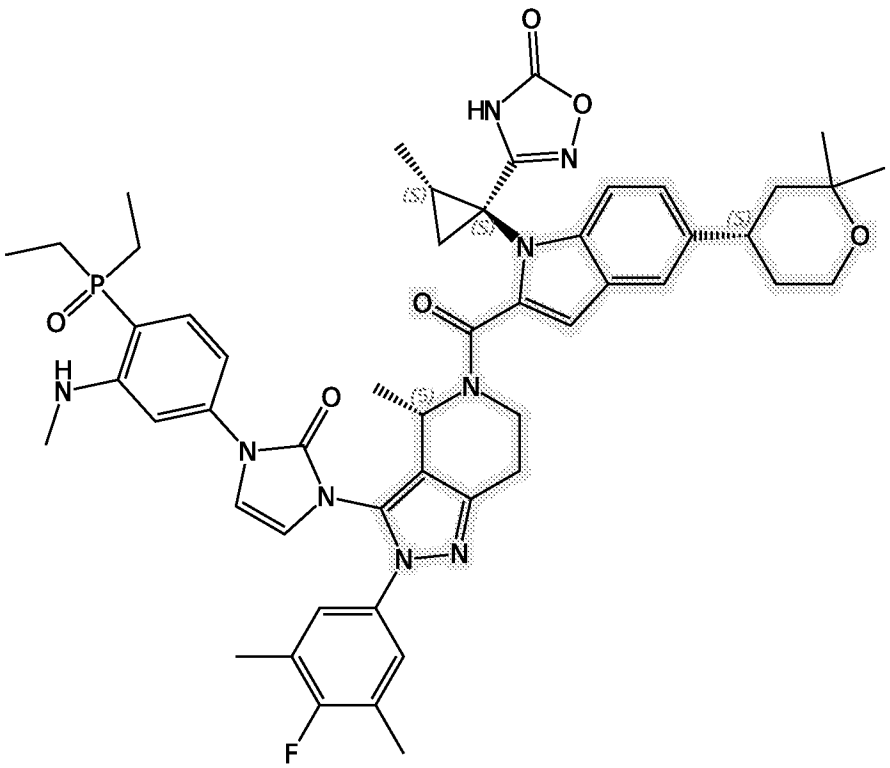
Absolute stereochemistry shown

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)



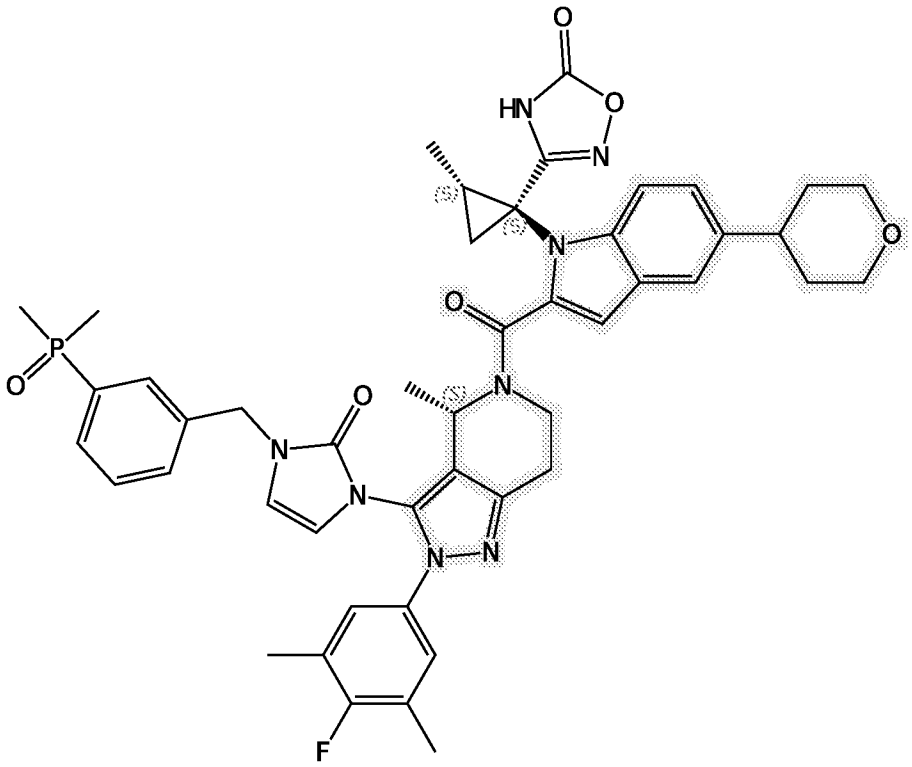
Absolute stereochemistry shown

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)



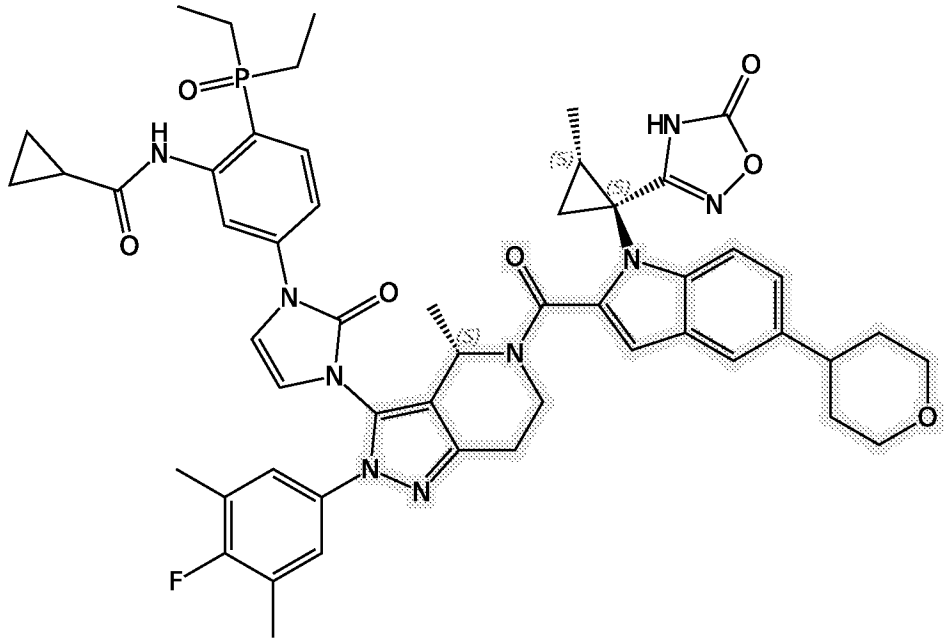
Absolute stereochemistry shown

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)



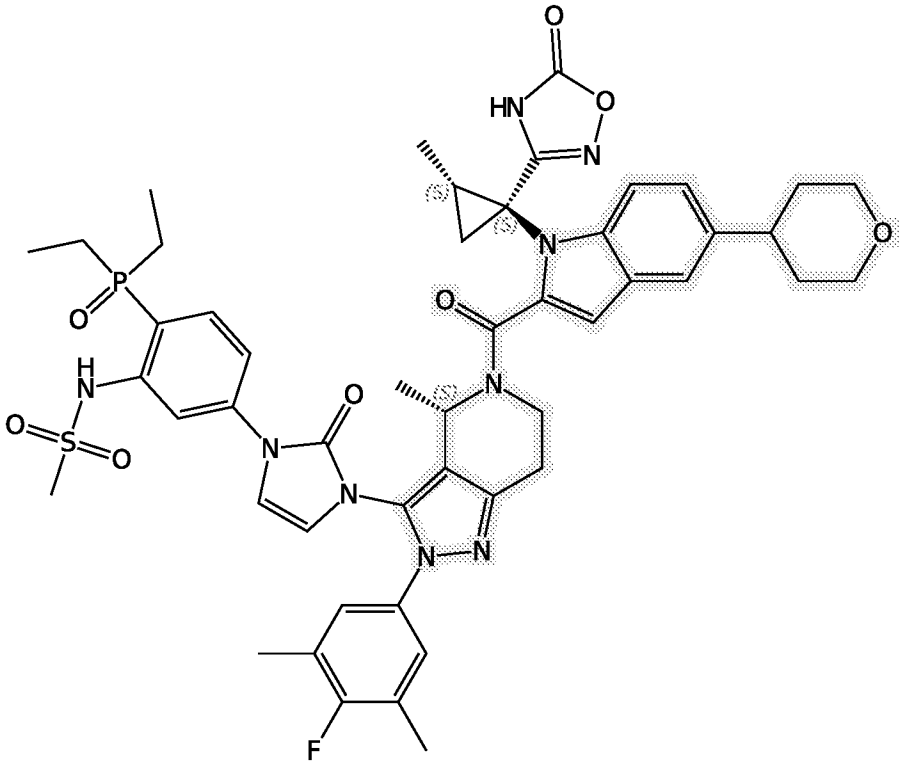
Absolute stereochemistry shown

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)



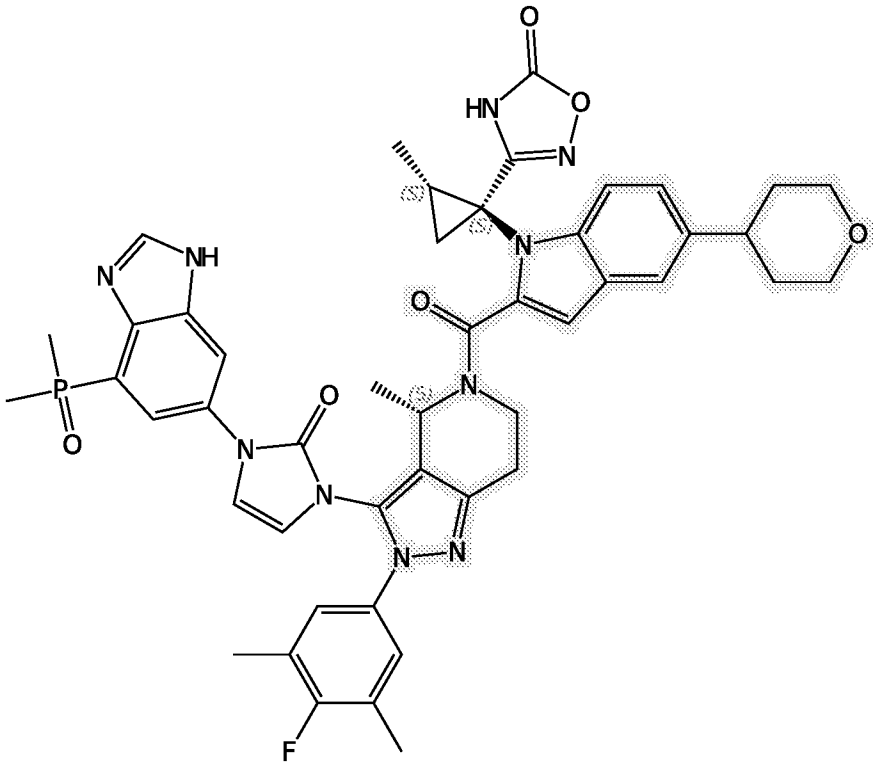
Absolute stereochemistry shown

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)



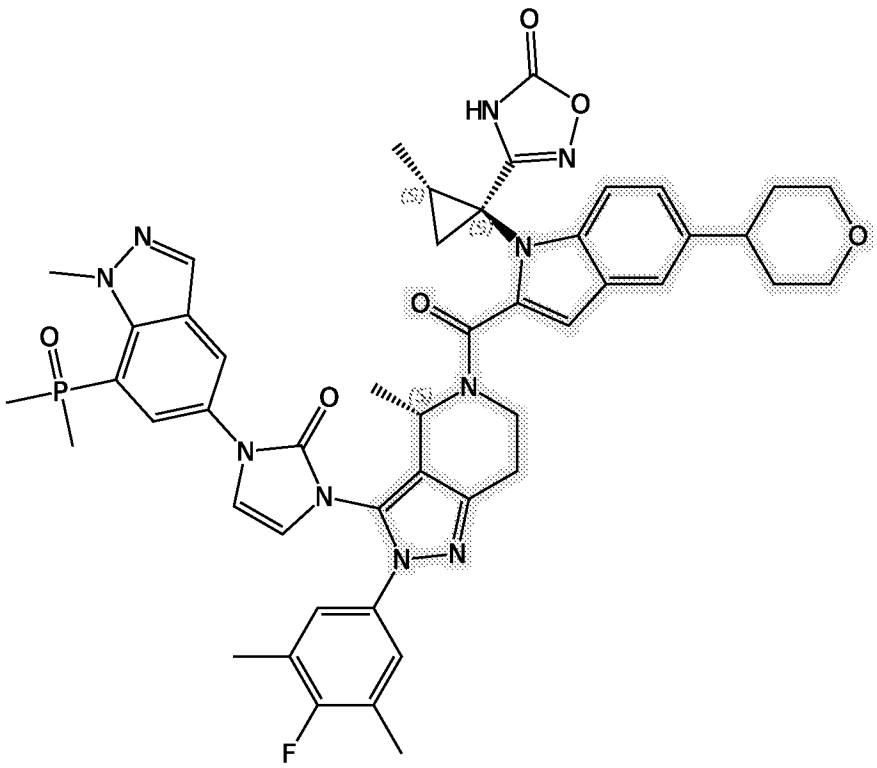
Absolute stereochemistry shown

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)



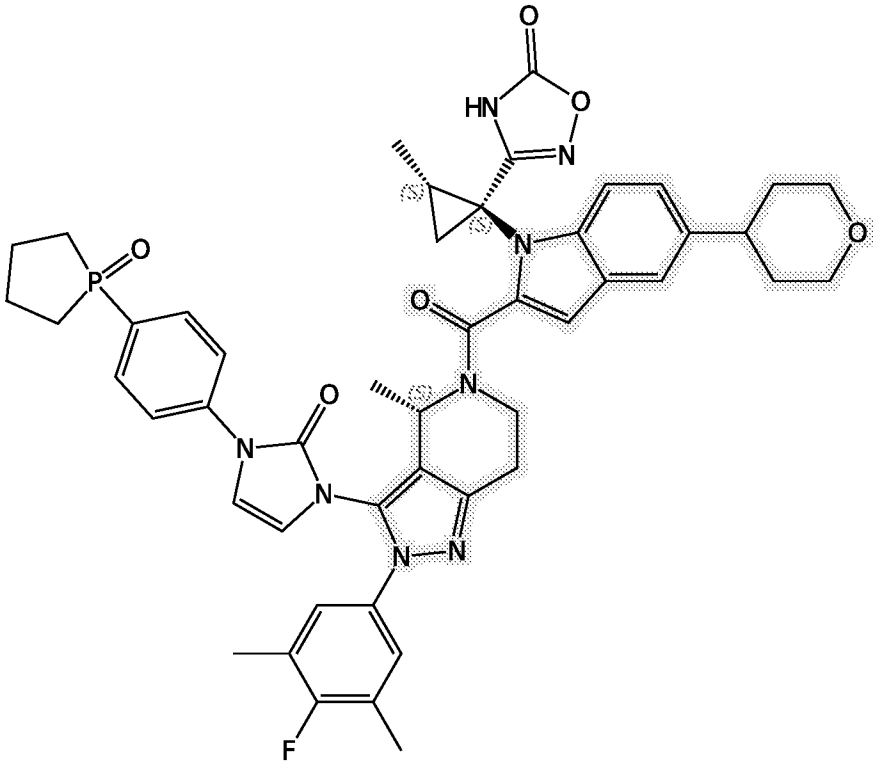
Absolute stereochemistry shown

RN 2685823-62-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[7-(dimethylphosphin
yl)-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]car
bonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA
INDEX NAME)



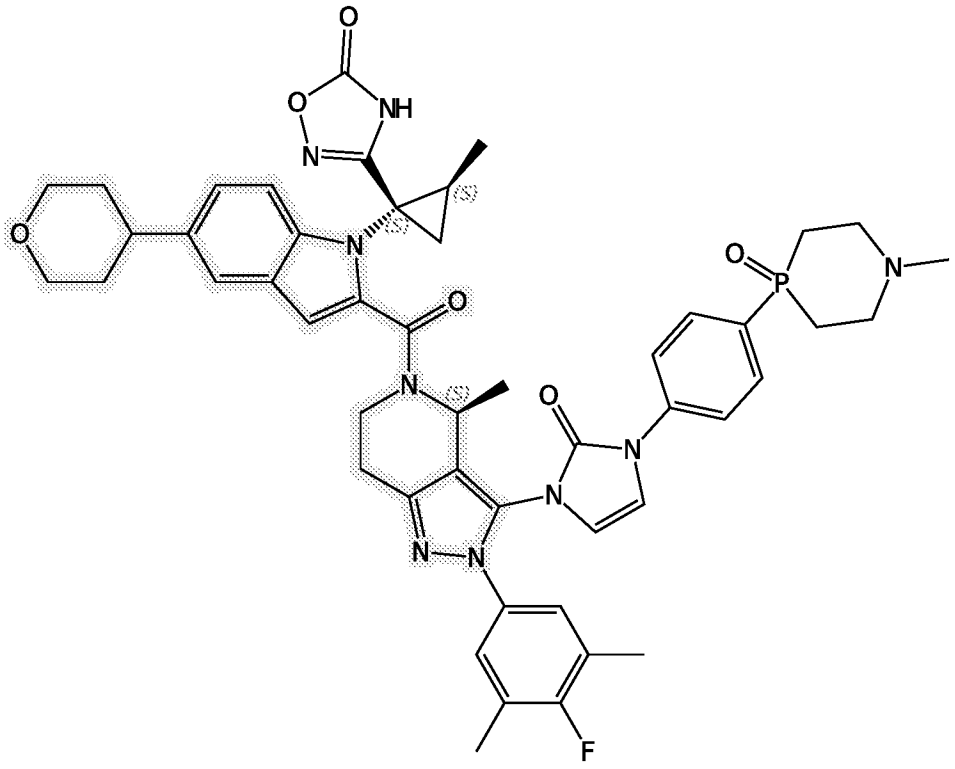
Absolute stereochemistry shown

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

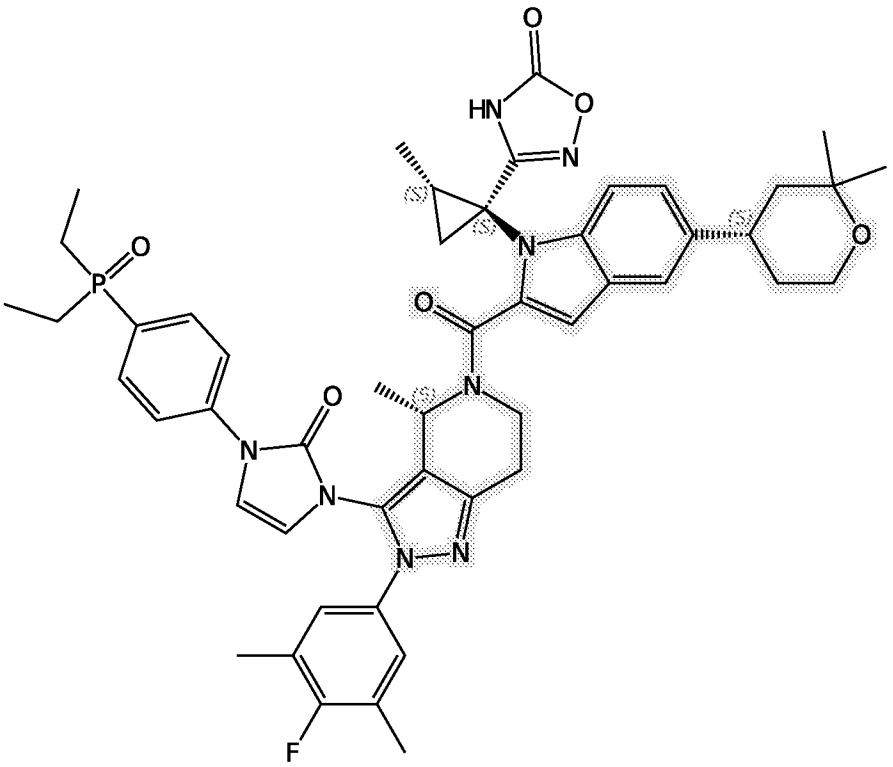
RN 2685823-65-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



• HCl

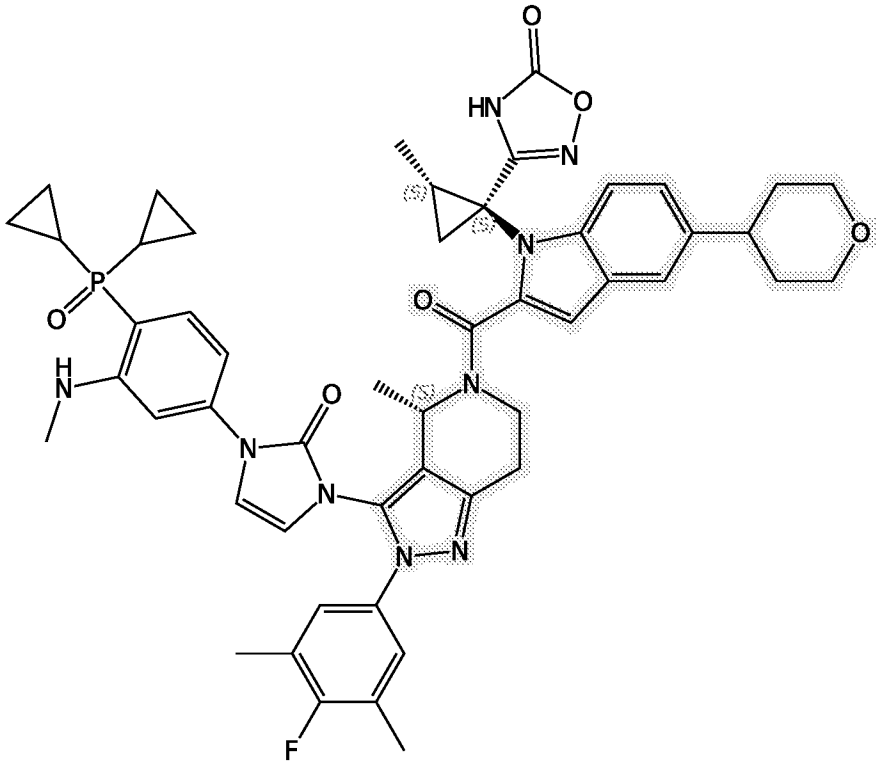
Absolute stereochemistry shown

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)



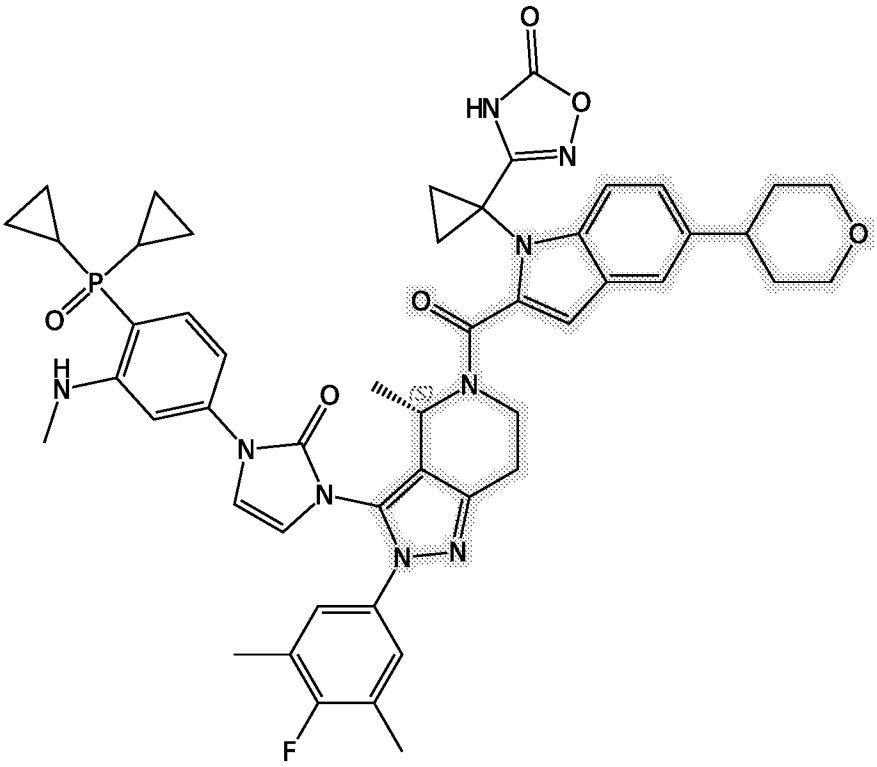
Absolute stereochemistry shown

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)



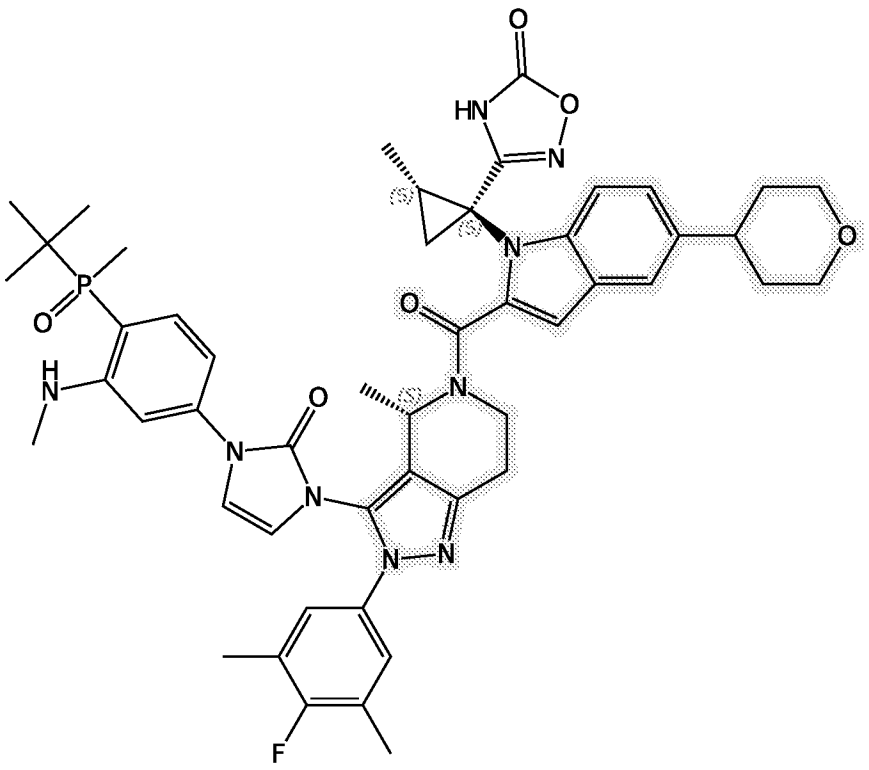

Absolute stereochemistry shown

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)



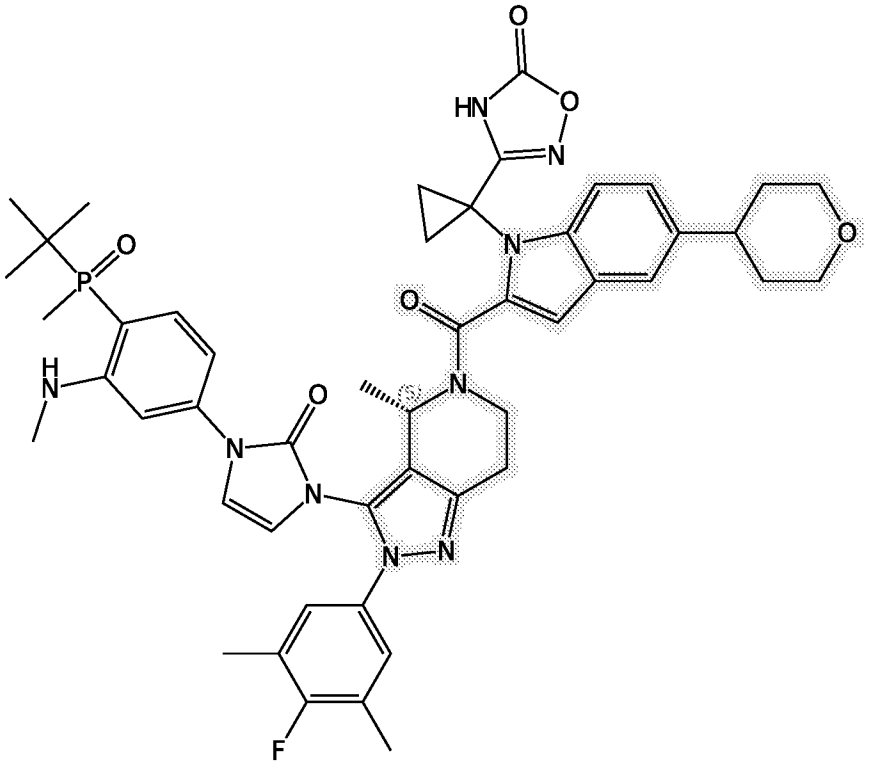
Absolute stereochemistry shown

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)



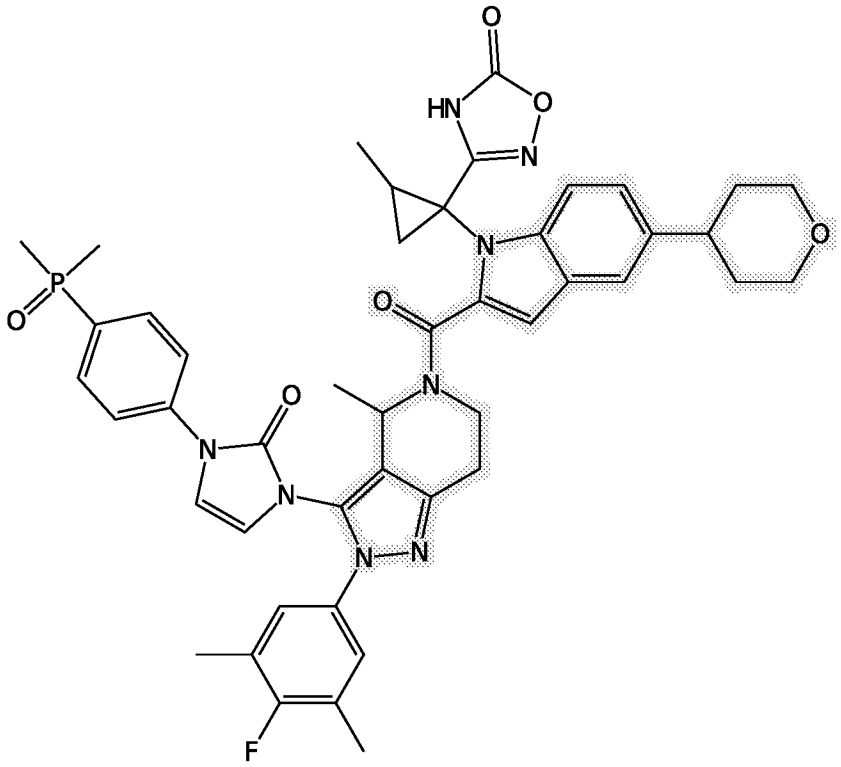
Absolute stereochemistry shown

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)

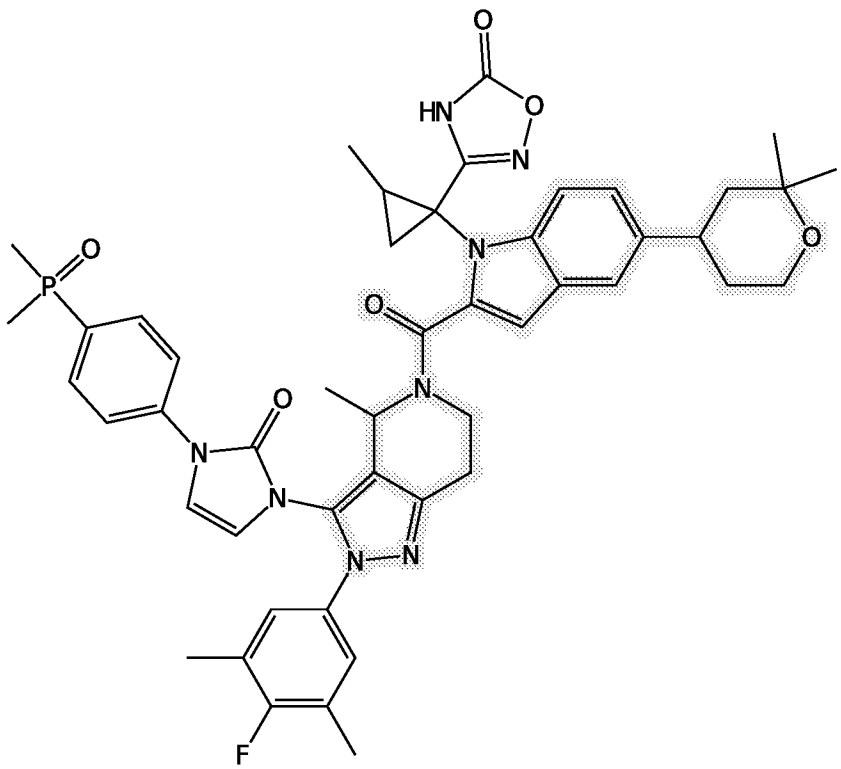


Absolute stereochemistry shown

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)

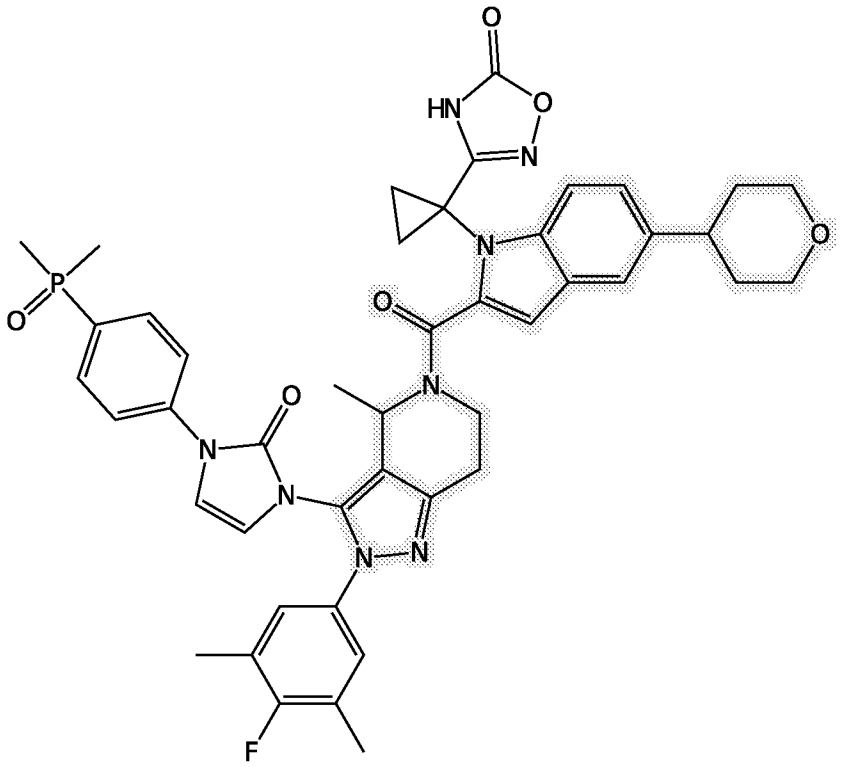


RN 2685823-81-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)

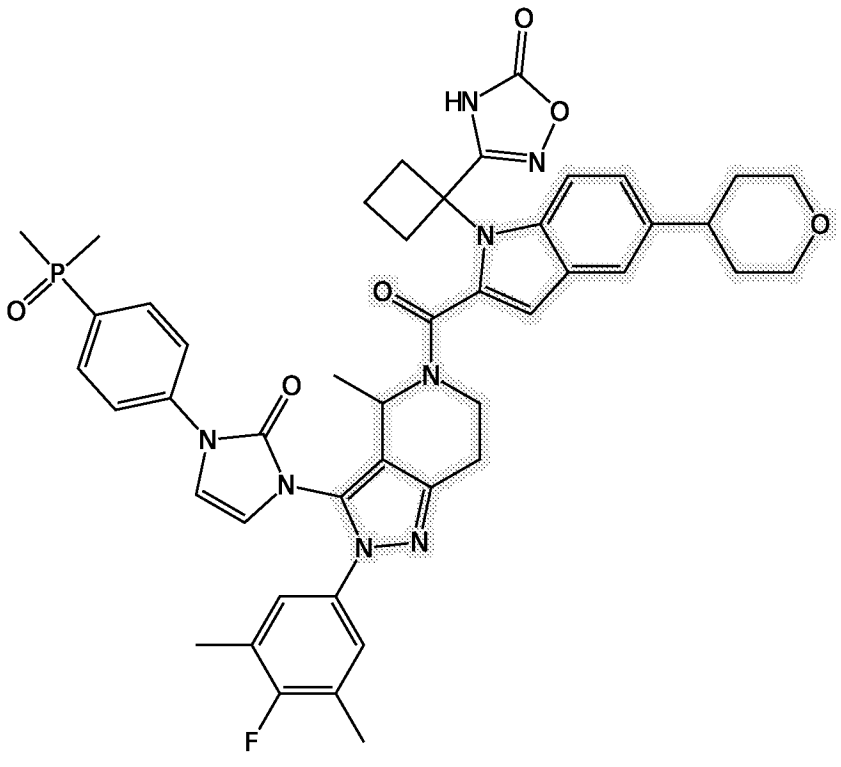


RN 2685823-83-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrah

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)

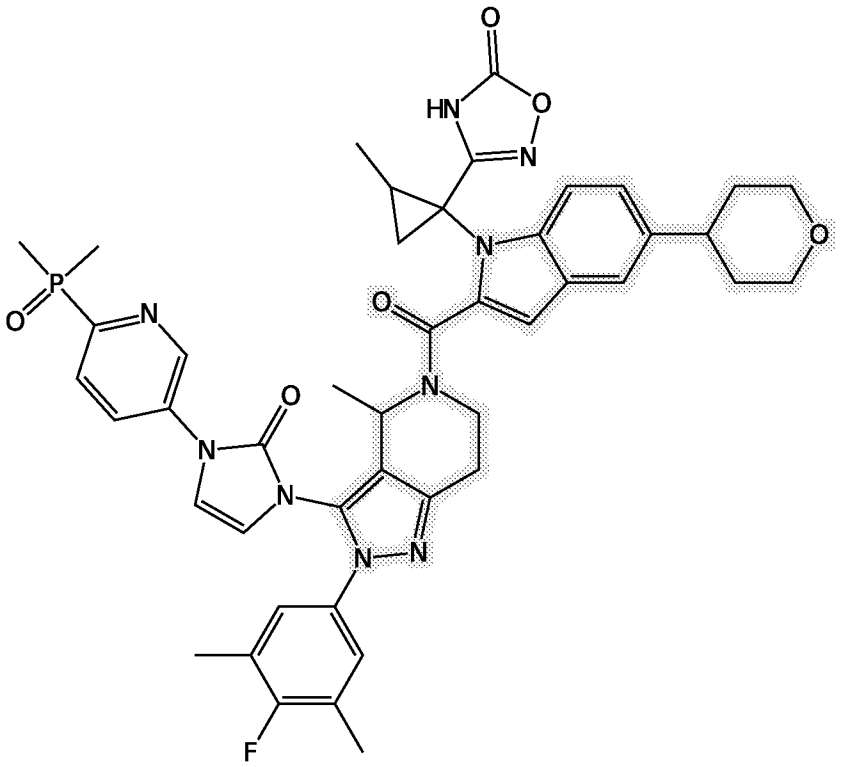


RN   2685823-85-0  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclobutyl]-  (CA INDEX NAME)

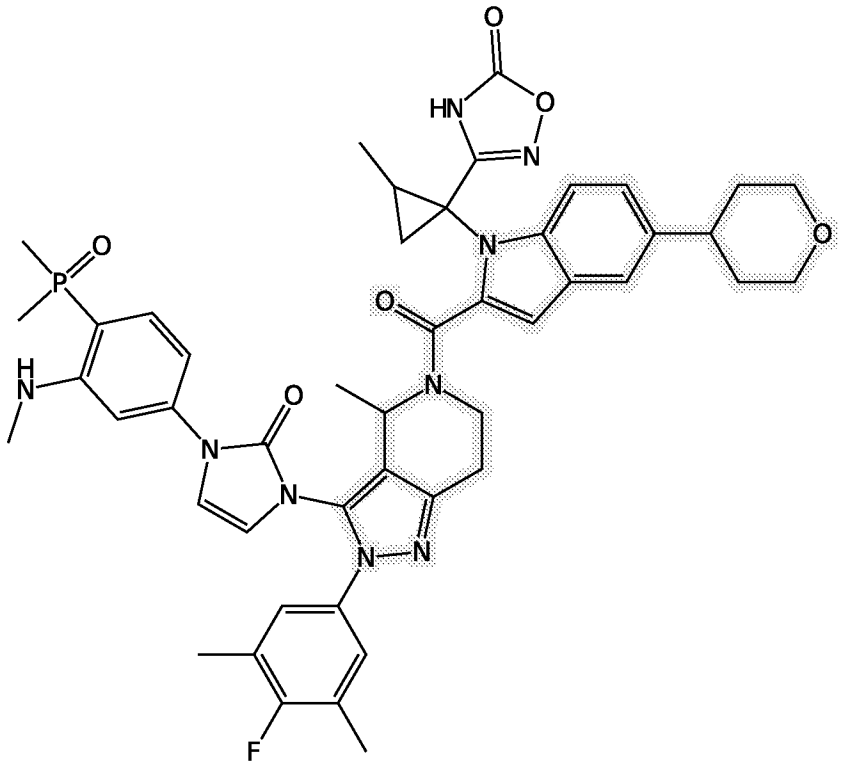


RN   2685823-87-2  CAPLUS

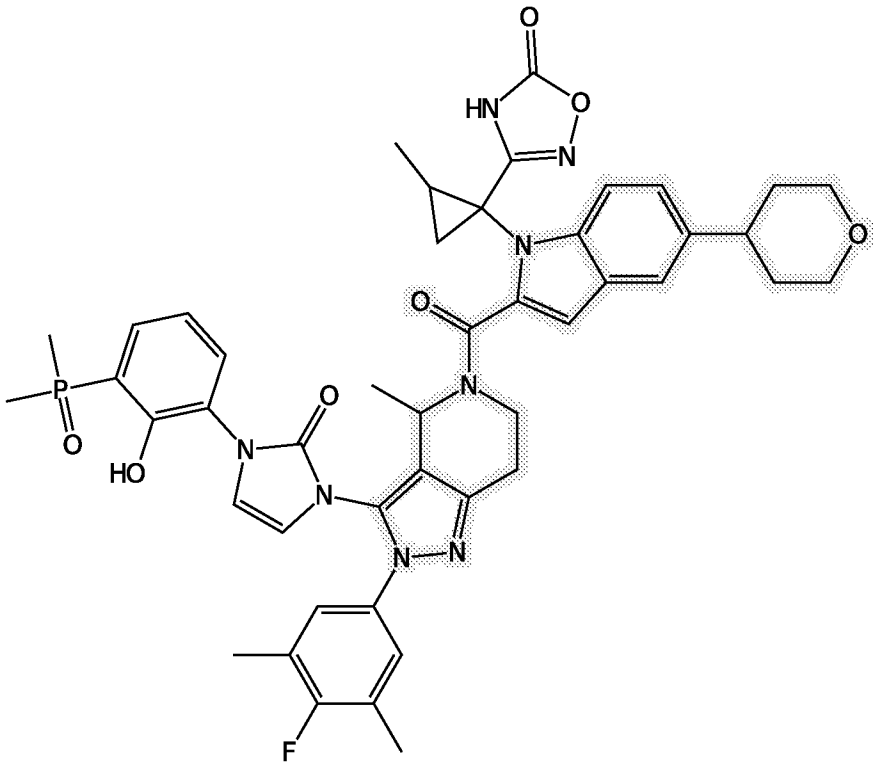
CN  1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-3-pyridin
yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-
tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-py
ran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX NAME)



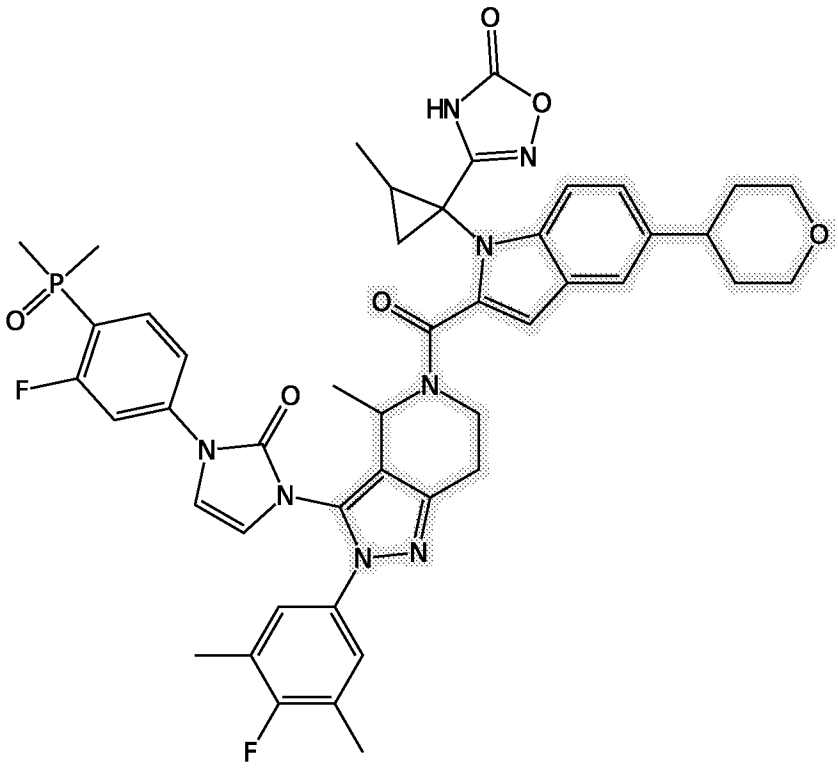
RN  2685823-89-4  CAPLUS
CN  1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(methyl
amino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl
)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrah
ydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX NAME)



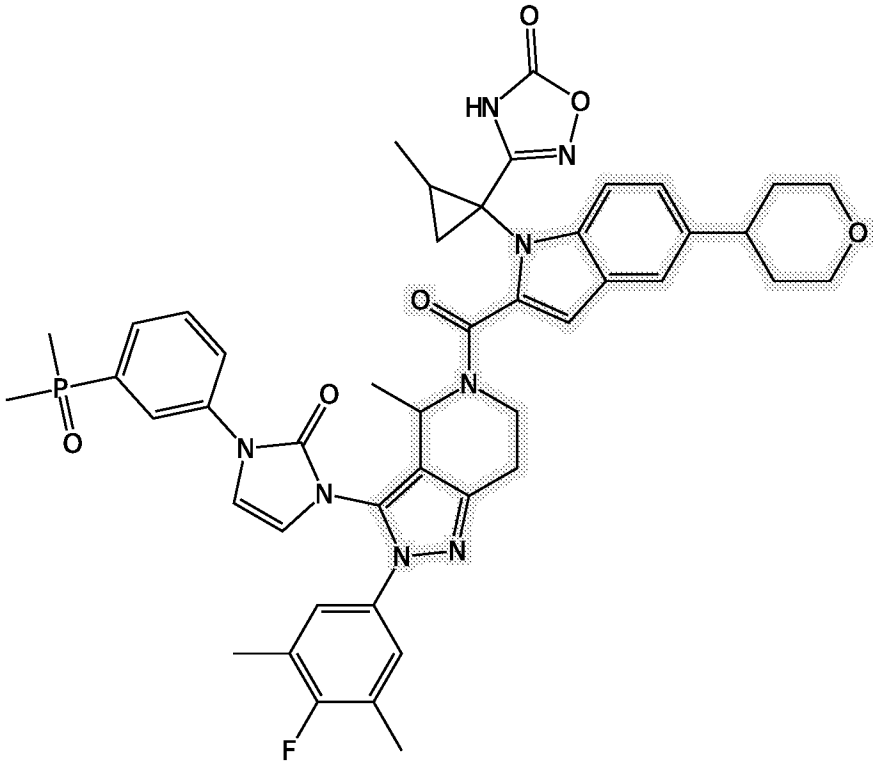
RN 2685823-91-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)-2-hydroxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



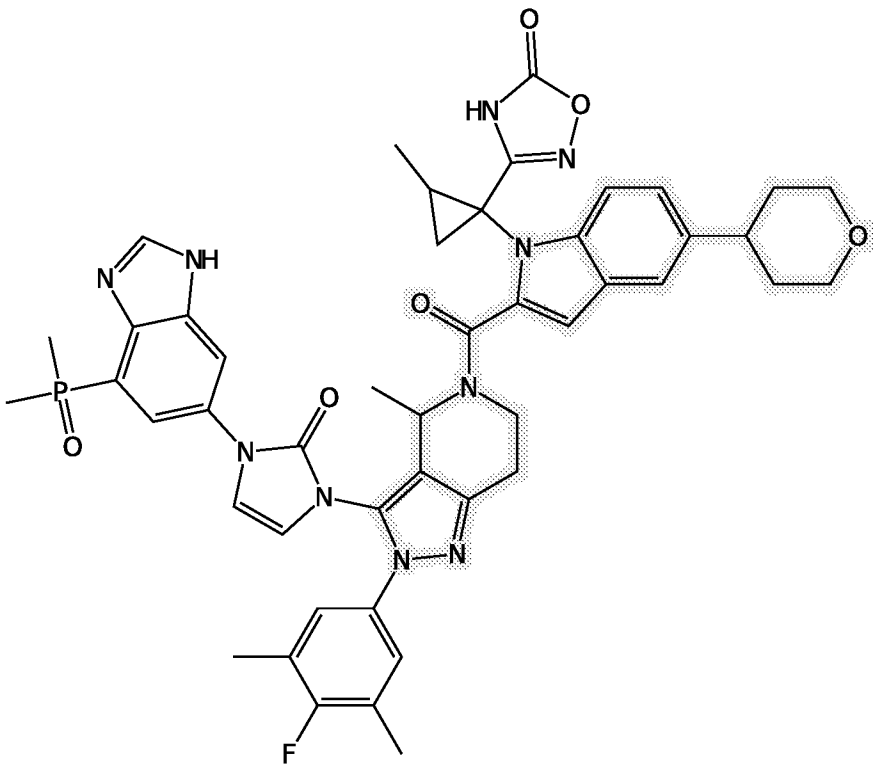
RN 2685823-93-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



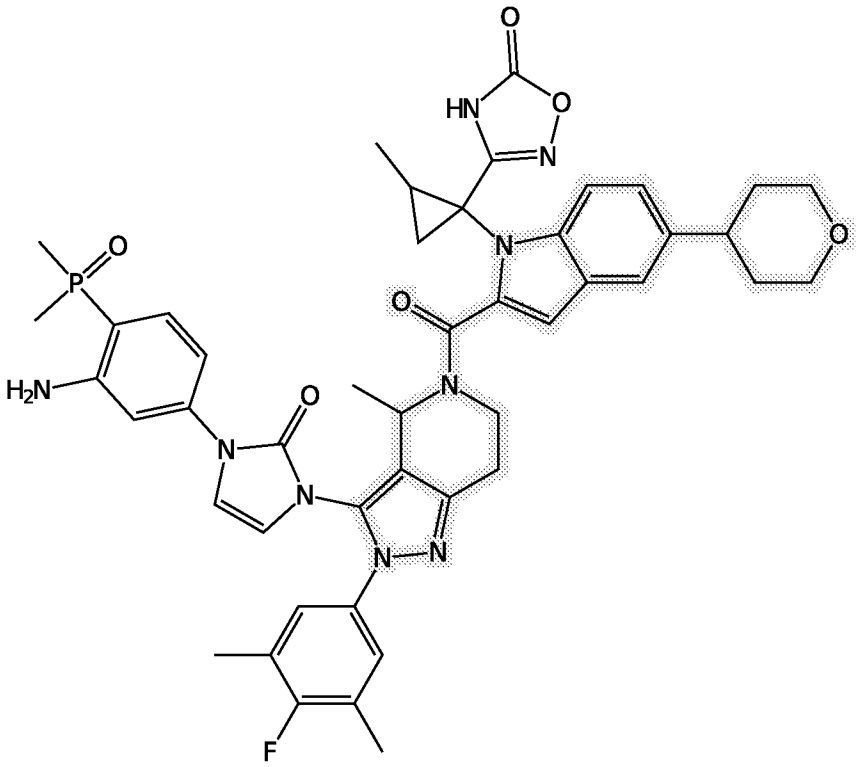
RN 2685823-95-2 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



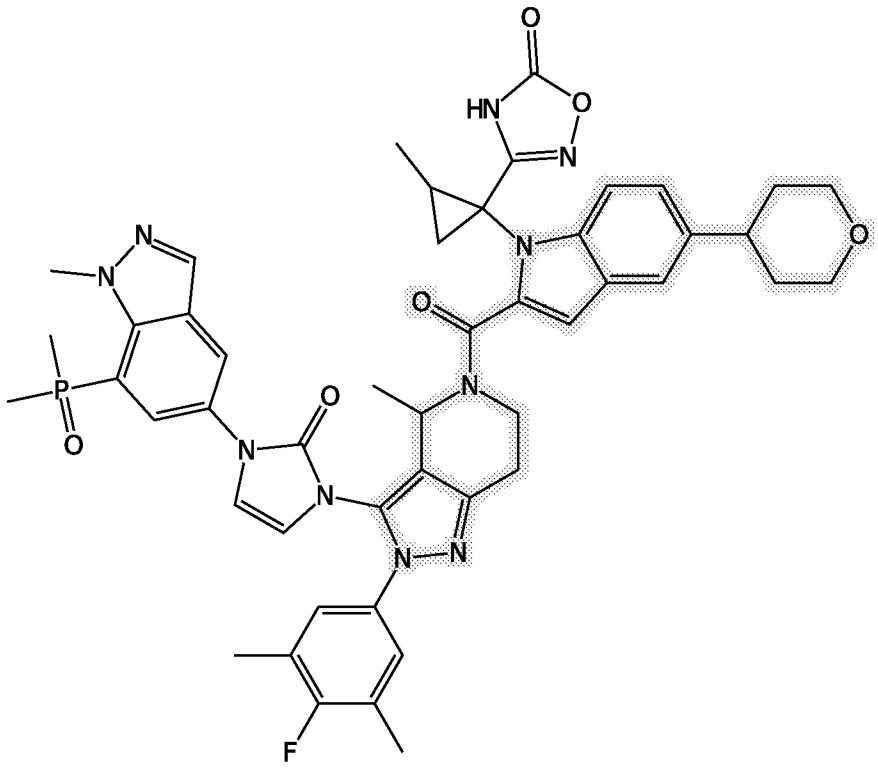
RN 2685823-97-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1H-benzimidazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



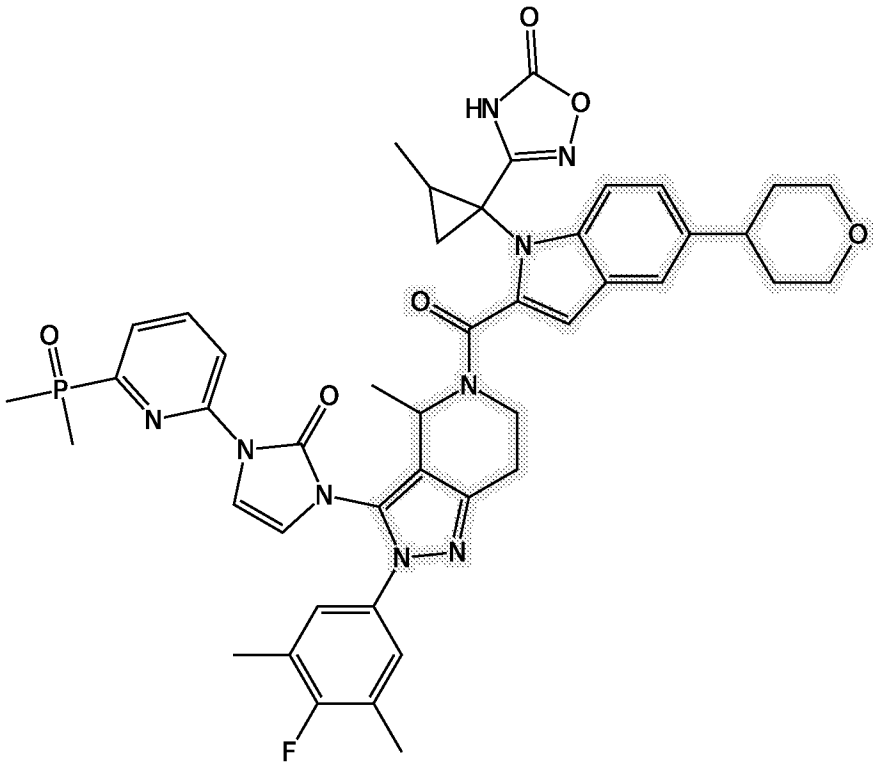
RN 2685823-99-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



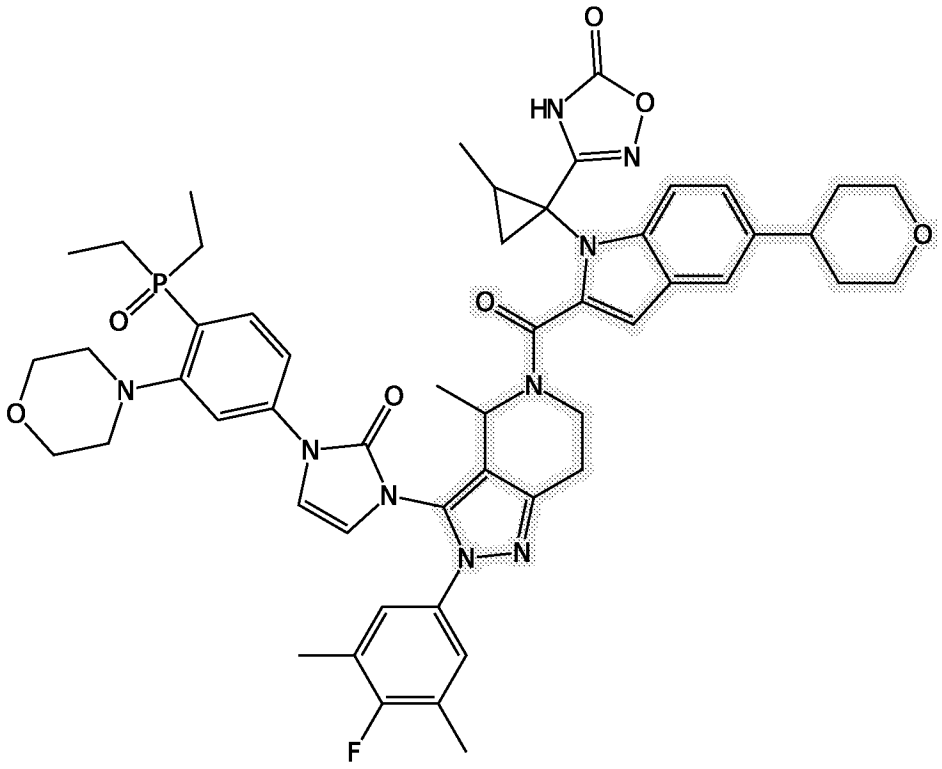
RN 2685824-01-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[7-(dimethylphosphinyl)-1-methyl-1H-indazol-5-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



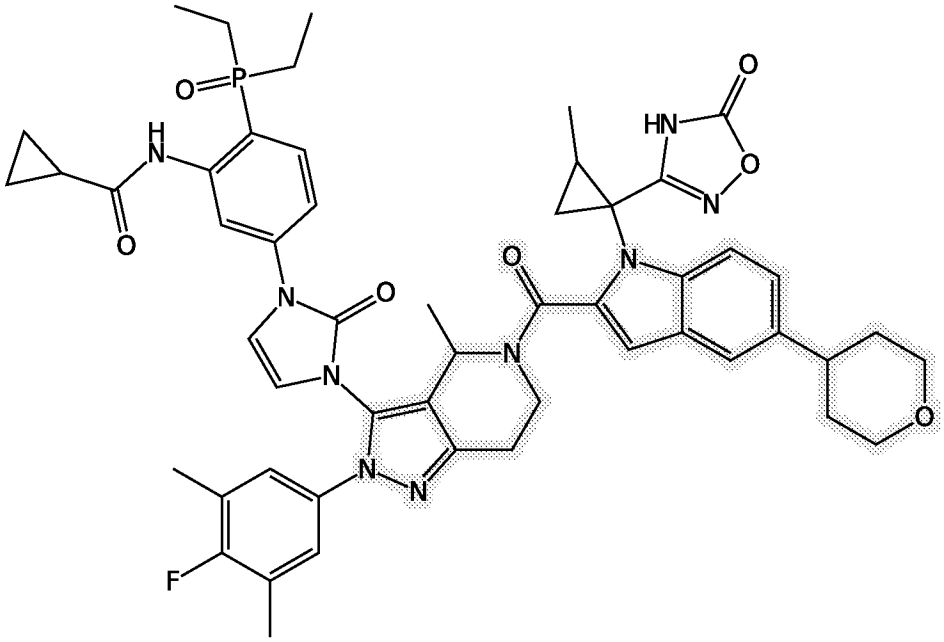
RN 2685824-03-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(dimethylphosphinyl)-2-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



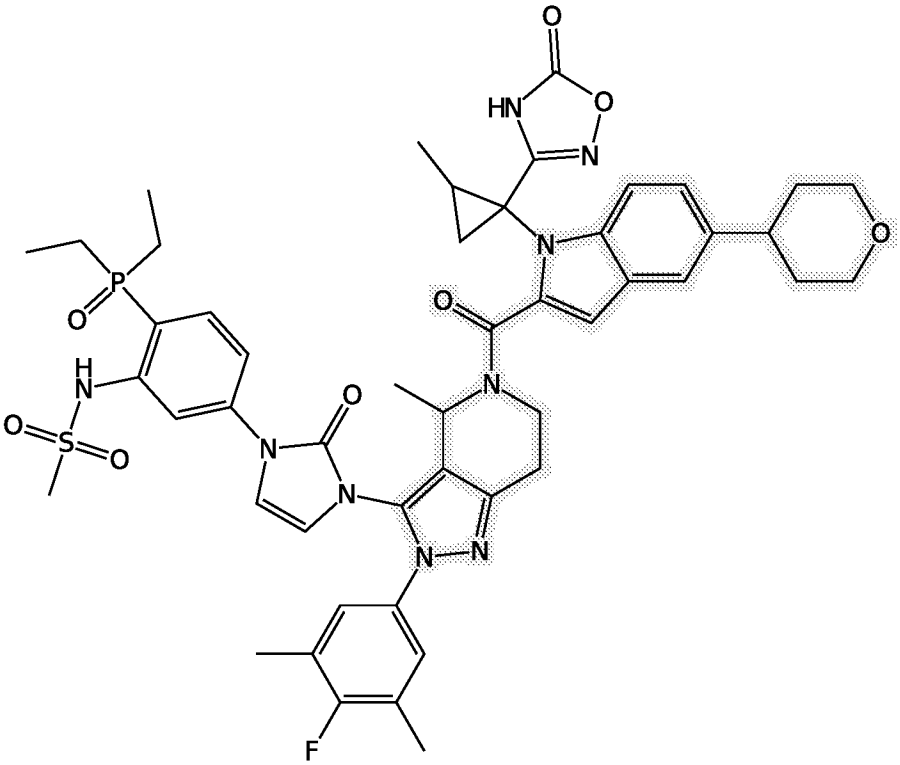
RN 2685824-05-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(4-morpholinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



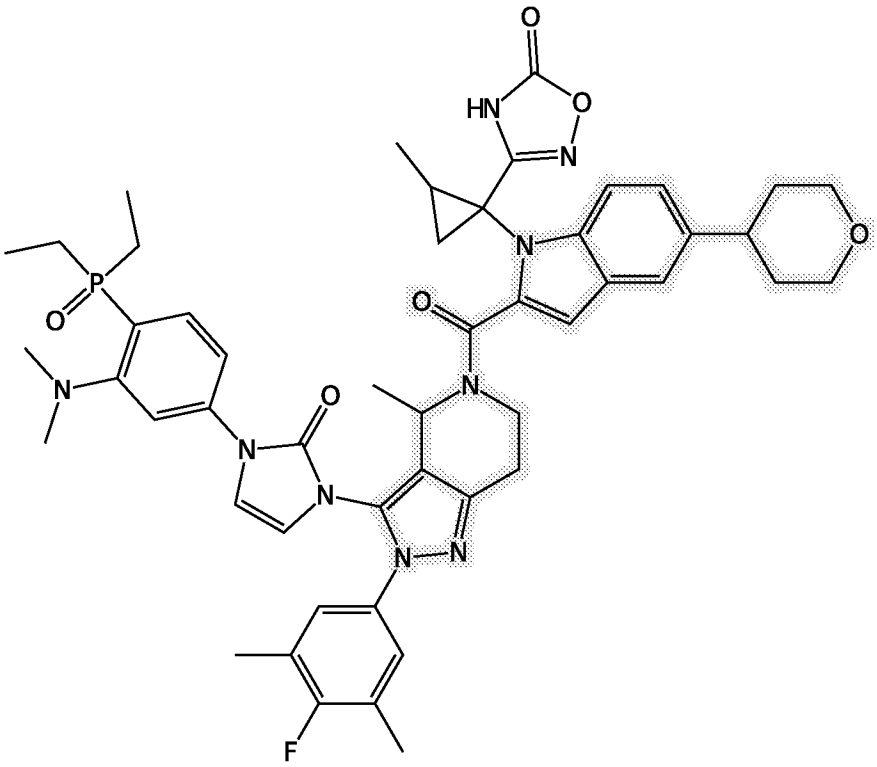
RN 2685824-07-9 CAPLUS
CN Cyclopropanecarboxamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihyd
ro-5-oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)
-1H-indol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-me
thyl-2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]-
(CA INDEX NAME)



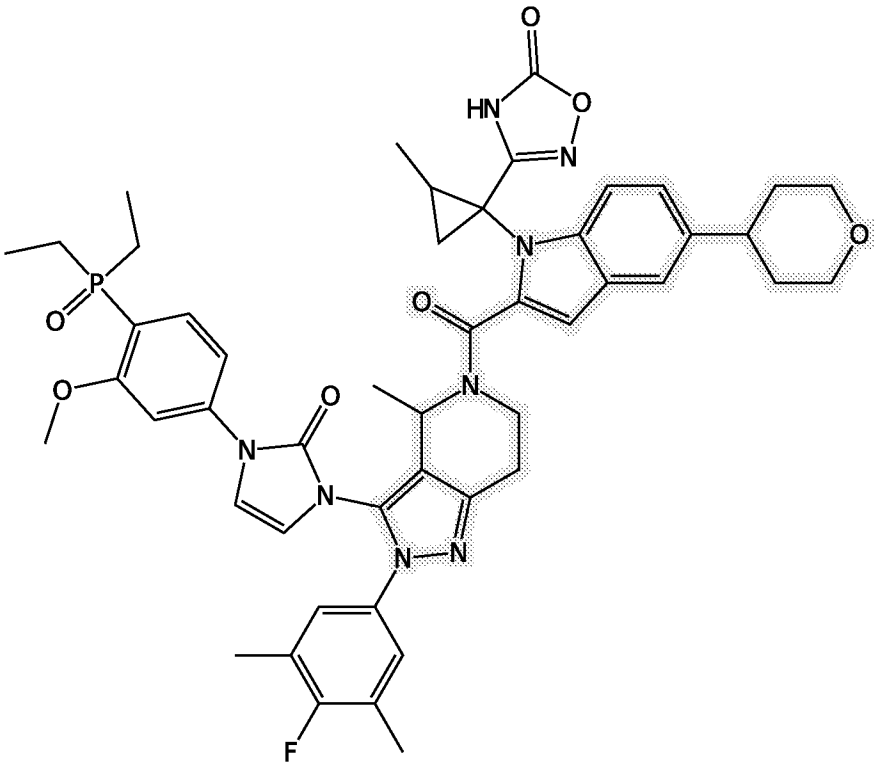
RN 2685824-09-1 CAPLUS
CN Methanesulfonamide, N-[2-(diethylphosphinyl)-5-[3-[5-[[1-[1-(2,5-dihydro-5-
oxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-i
ndol-2-yl]carbonyl]-2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-4-methyl-
2H-pyrazolo[4,3-c]pyridin-3-yl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]phenyl]- (CA
INDEX NAME)



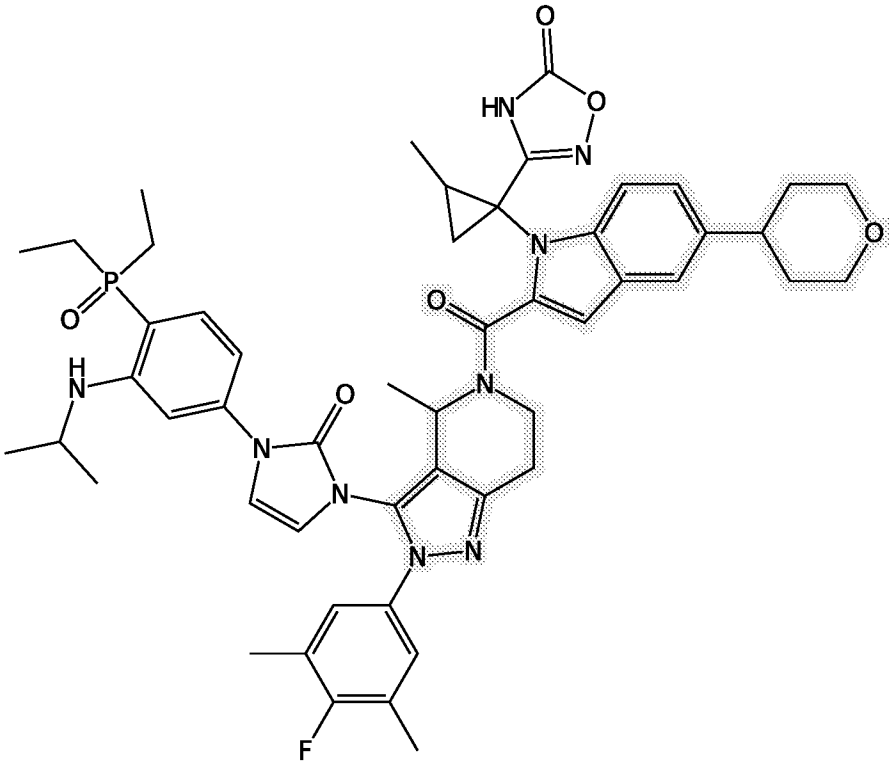
RN 2685824-11-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(dimethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



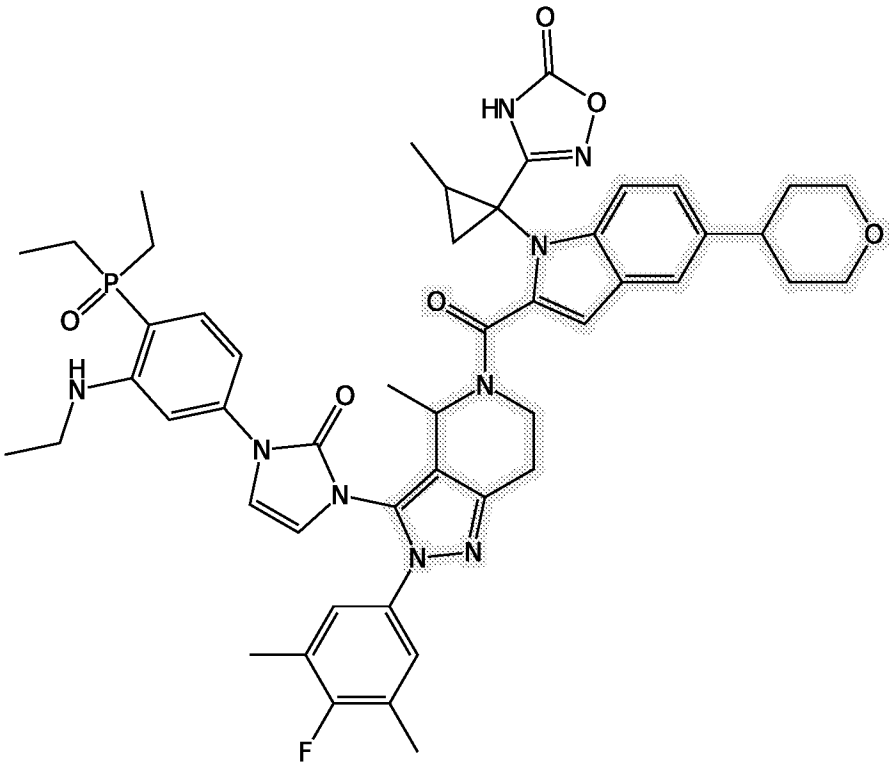
RN 2685824-13-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methoxyphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



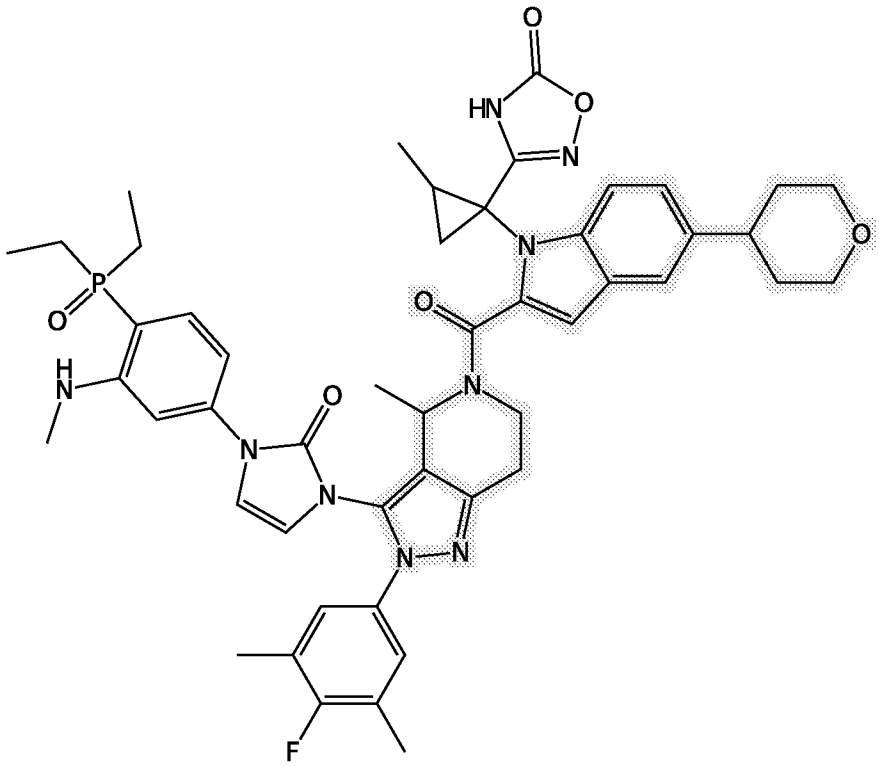
RN 2685824-15-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(1-methylethyl)amino]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



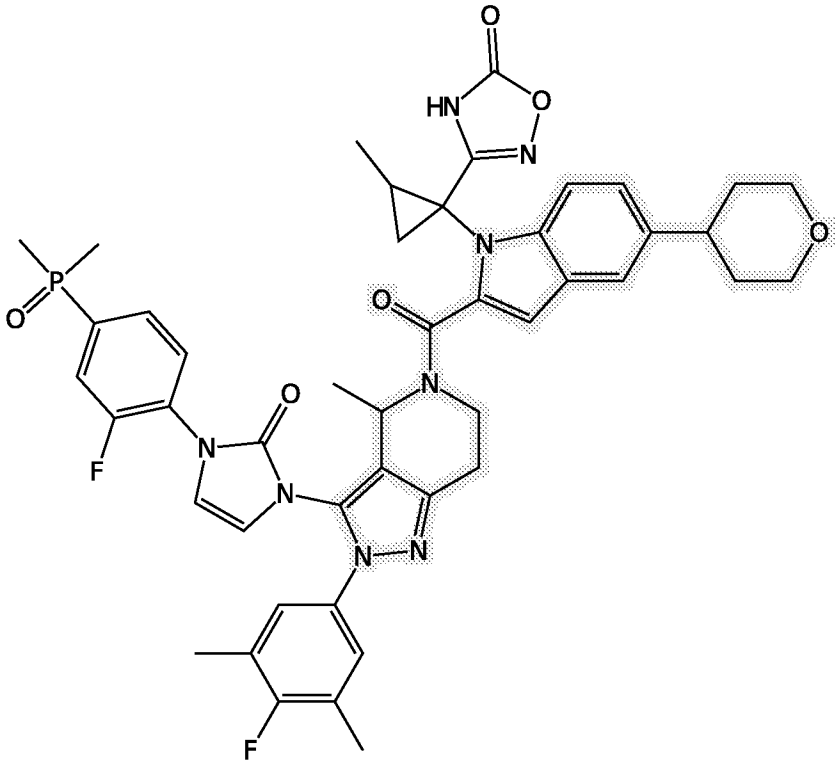
RN 2685824-17-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(ethylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



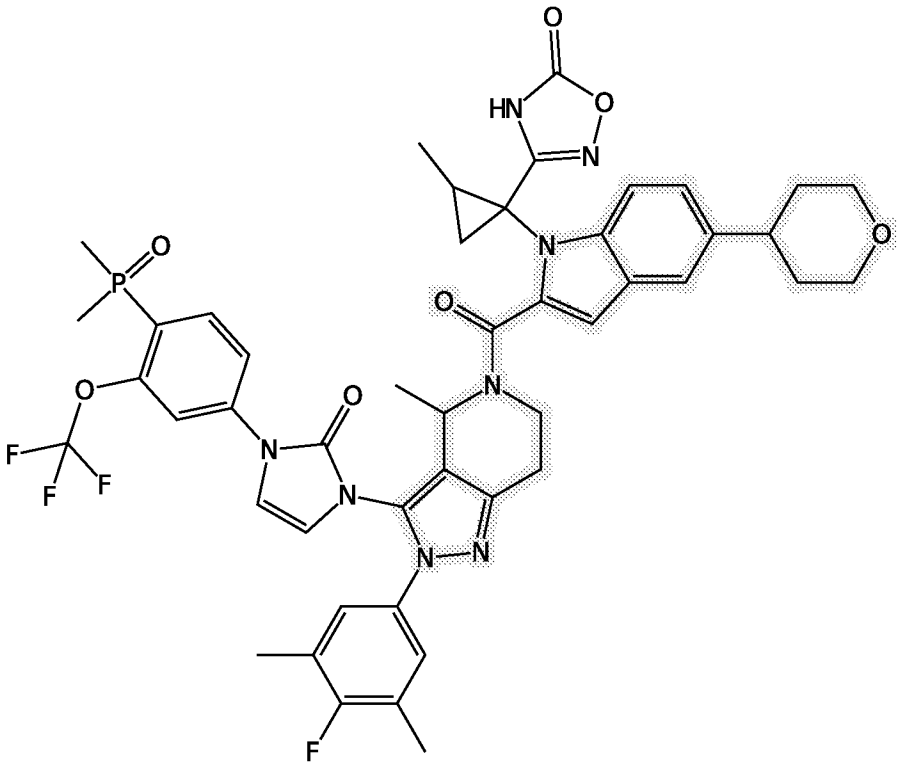
RN 2685824-19-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



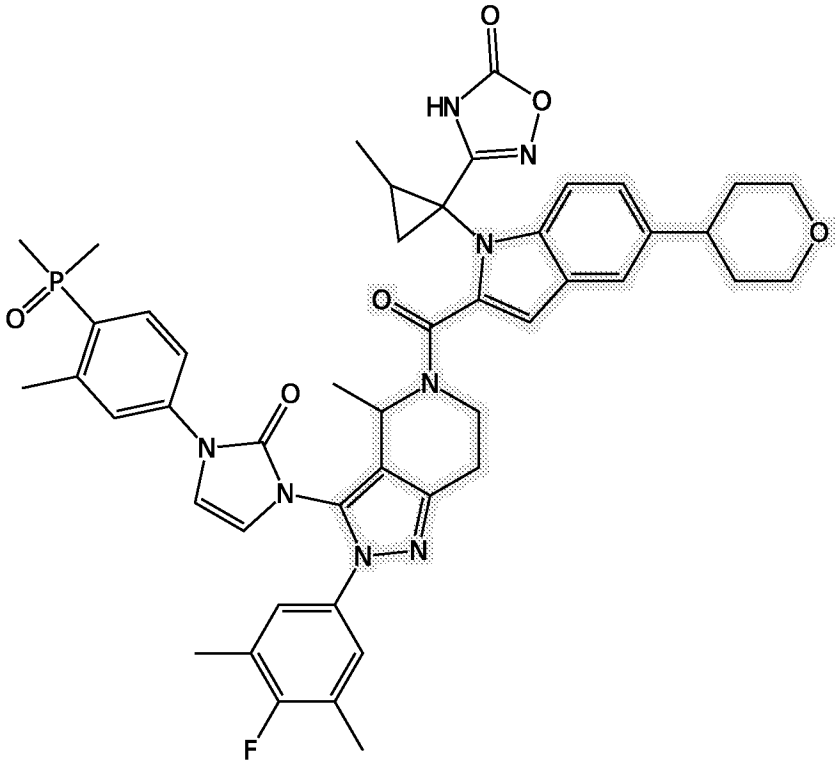
RN 2685824-21-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-2-fluorophenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



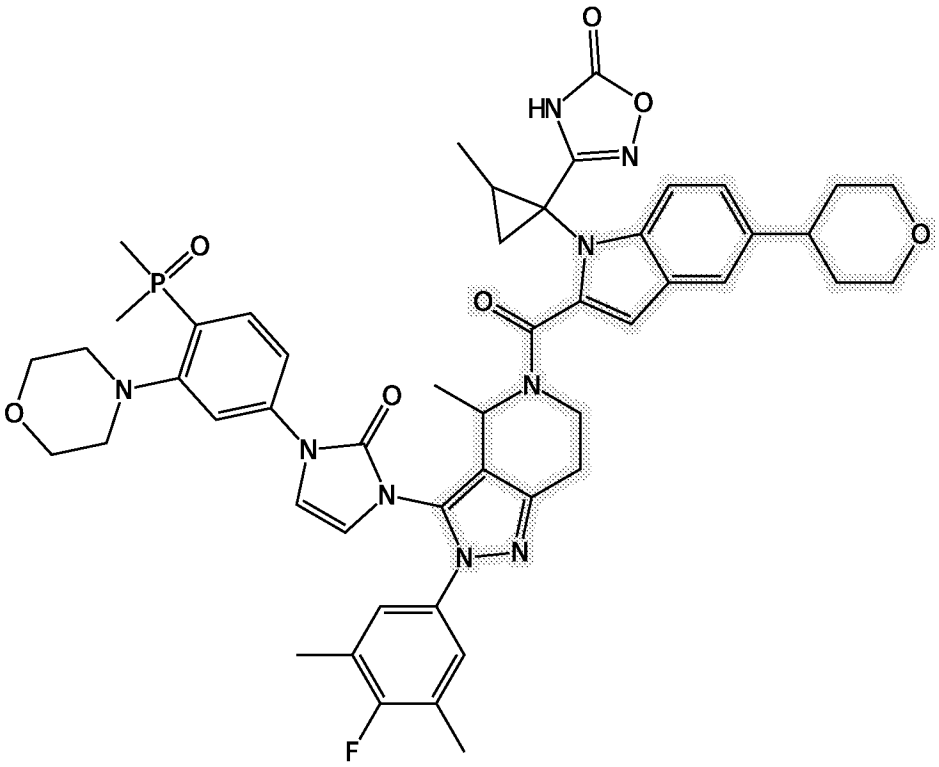
RN 2685824-23-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-(trifluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



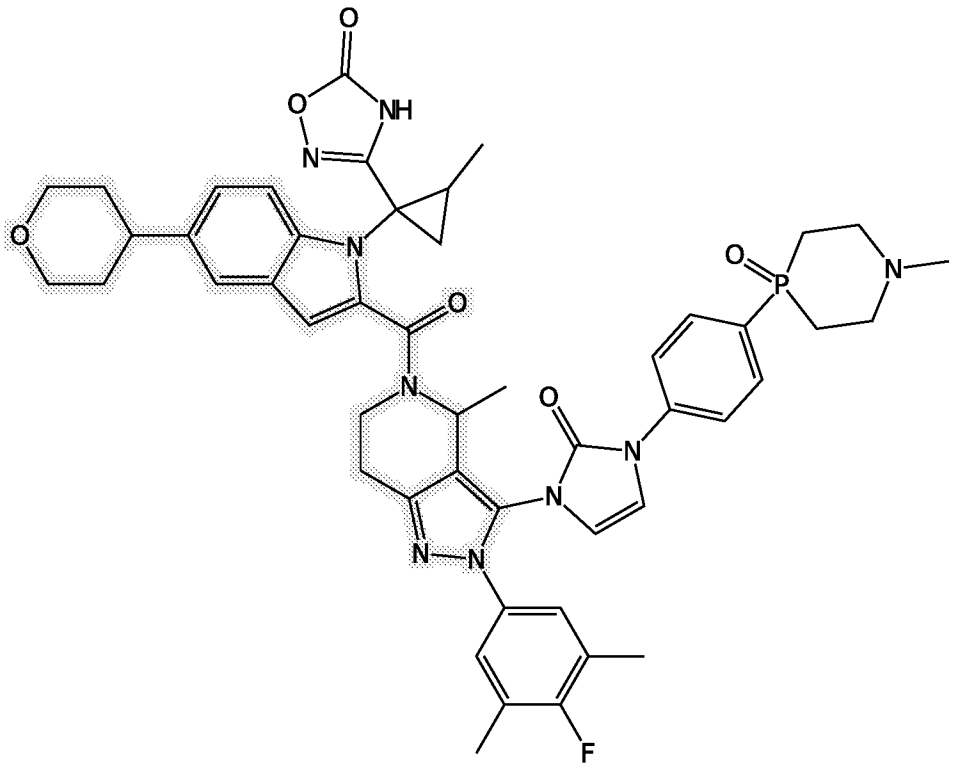
RN 2685824-25-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dimethylphosphinyl)-3-methylphenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (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)

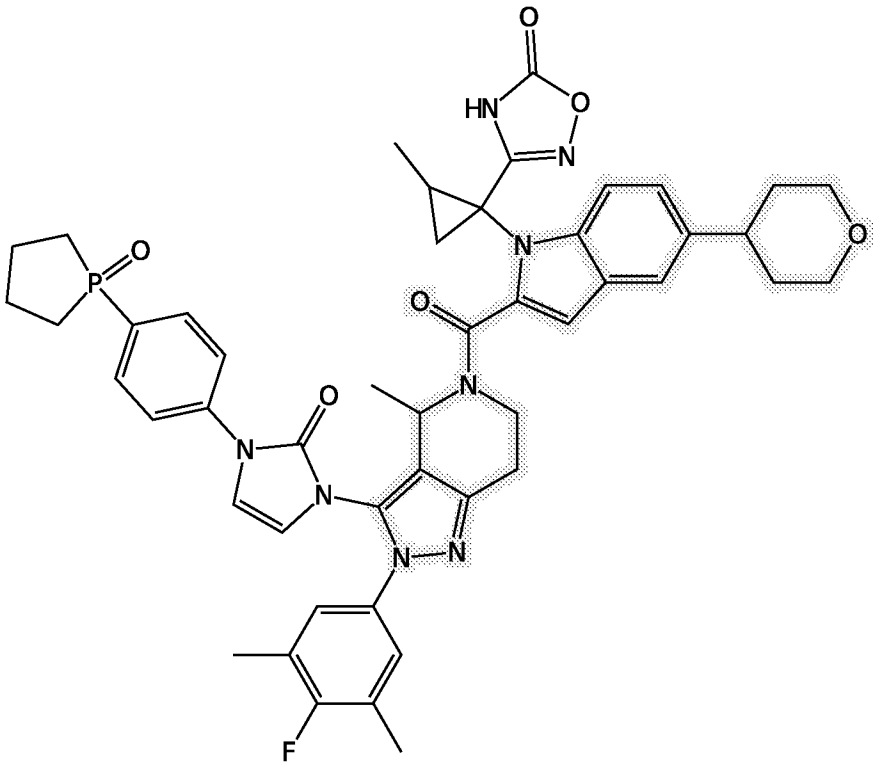


RN 2685824-29-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

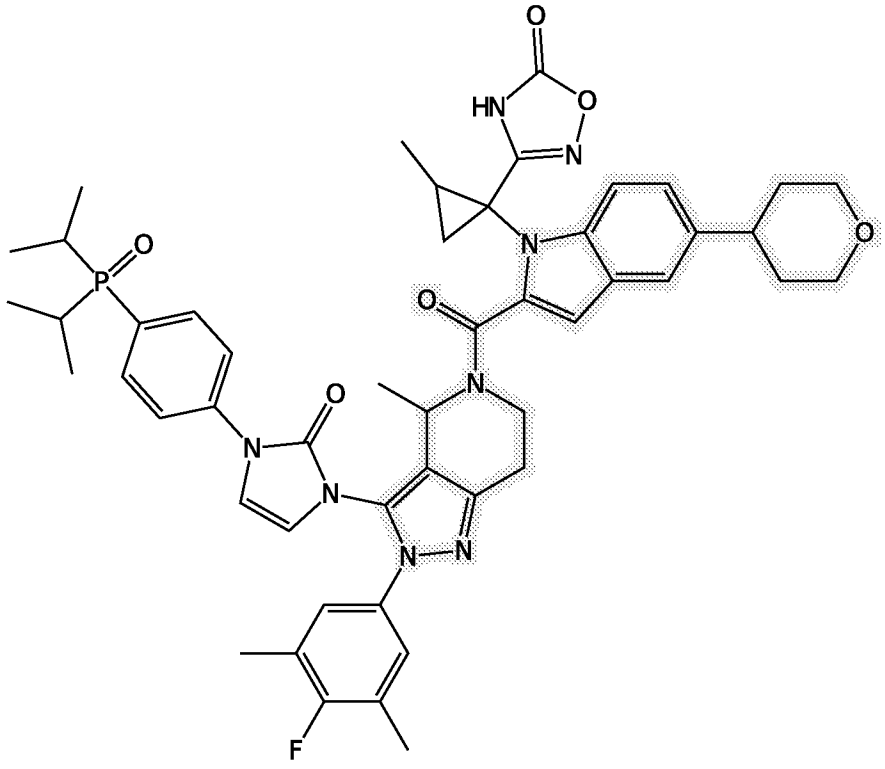


RN 2685824-31-9 CAPLUS

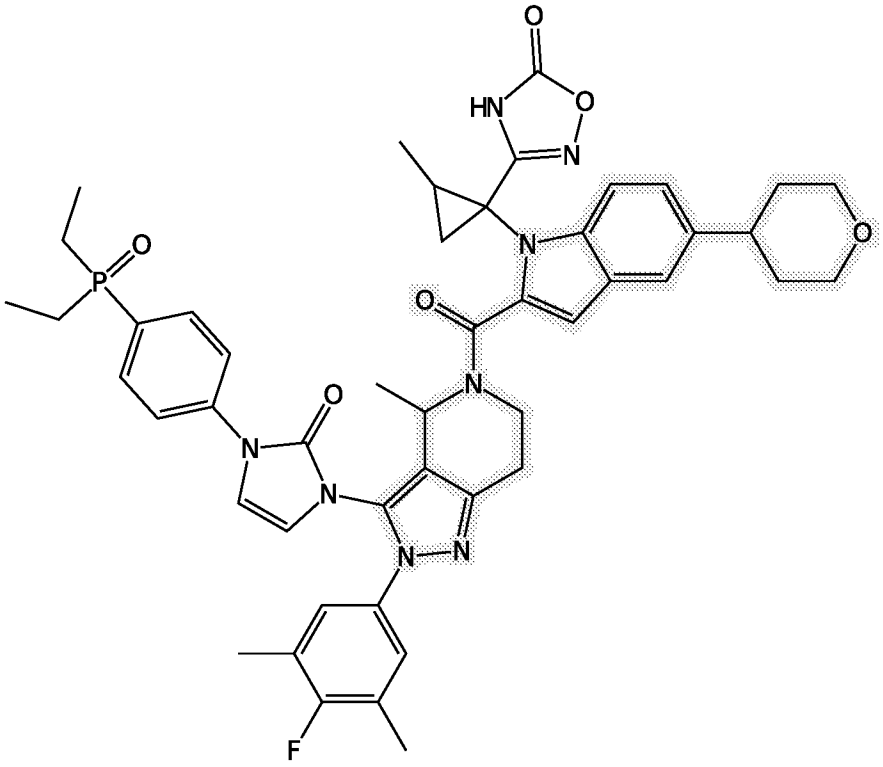
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[4-[(1-oxido-2-phosph
olanyl)(1-oxido-5-phospholanyl)phosphinyl]phenyl]-2-oxo-1H-imidazol-1-yl]-2-(4-f
luoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-
5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]-
(CA INDEX NAME)



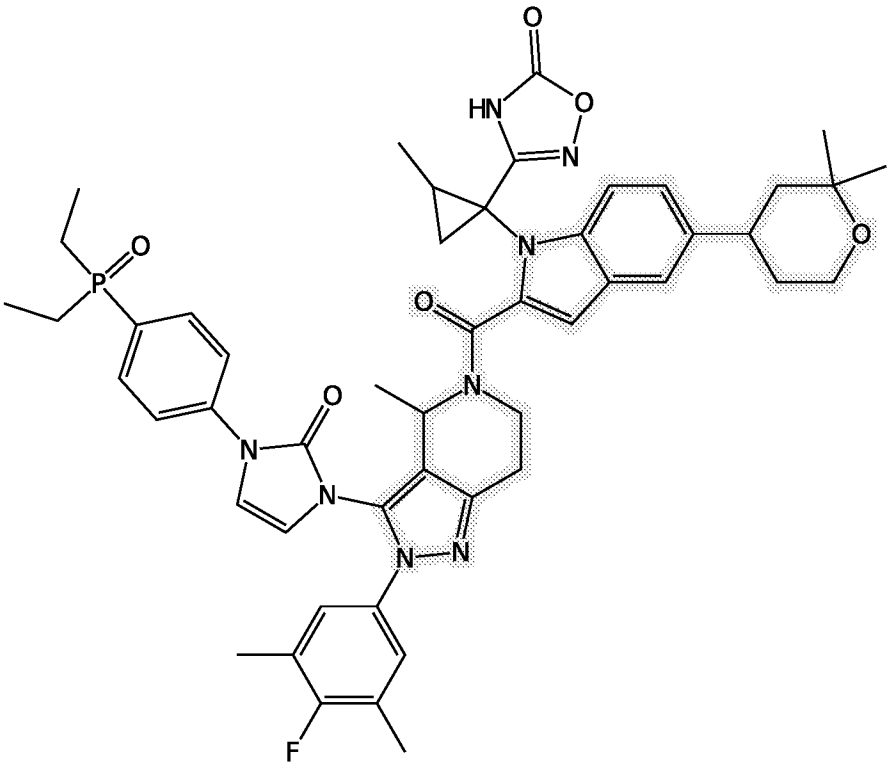
RN 2685824-33-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]
phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,
6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2
H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



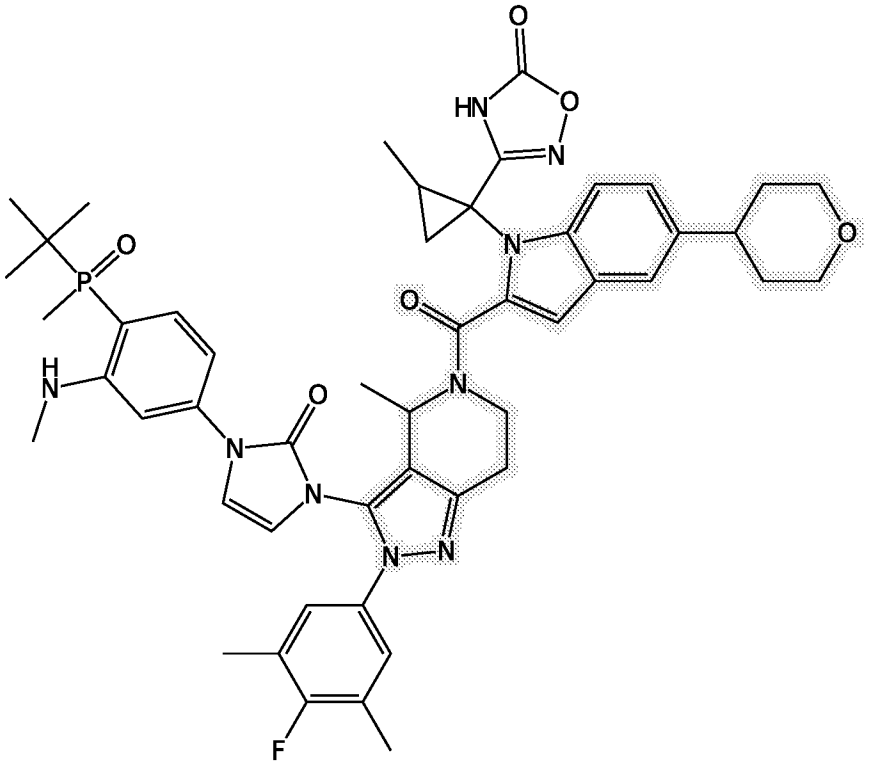
RN 2685824-35-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



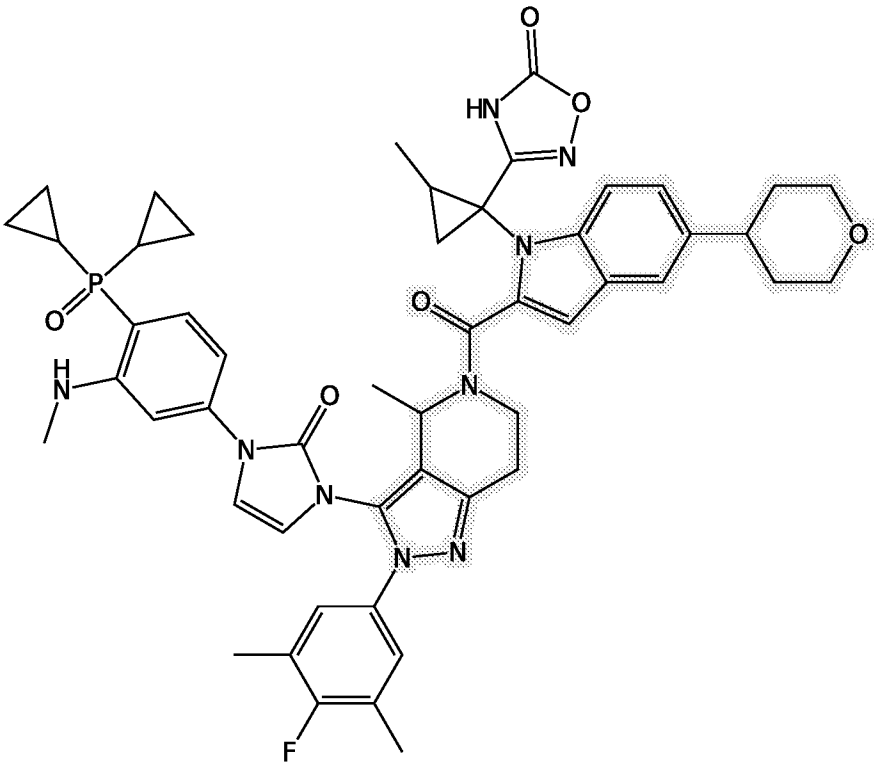
RN 2685824-37-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



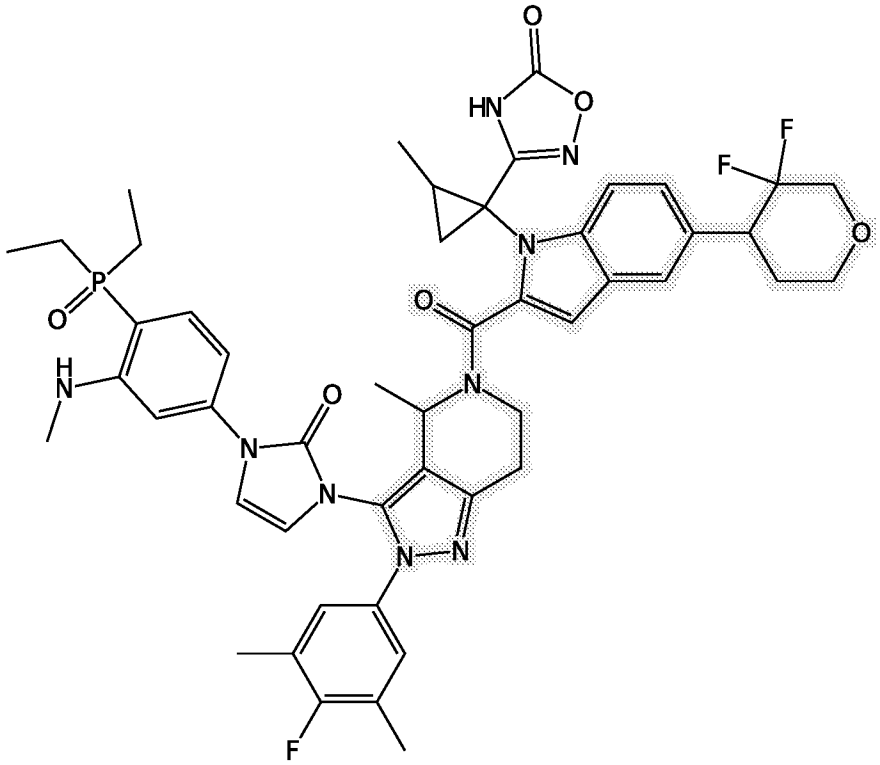
RN 2685824-39-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[(1,1-dimethylethyl)methylphosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



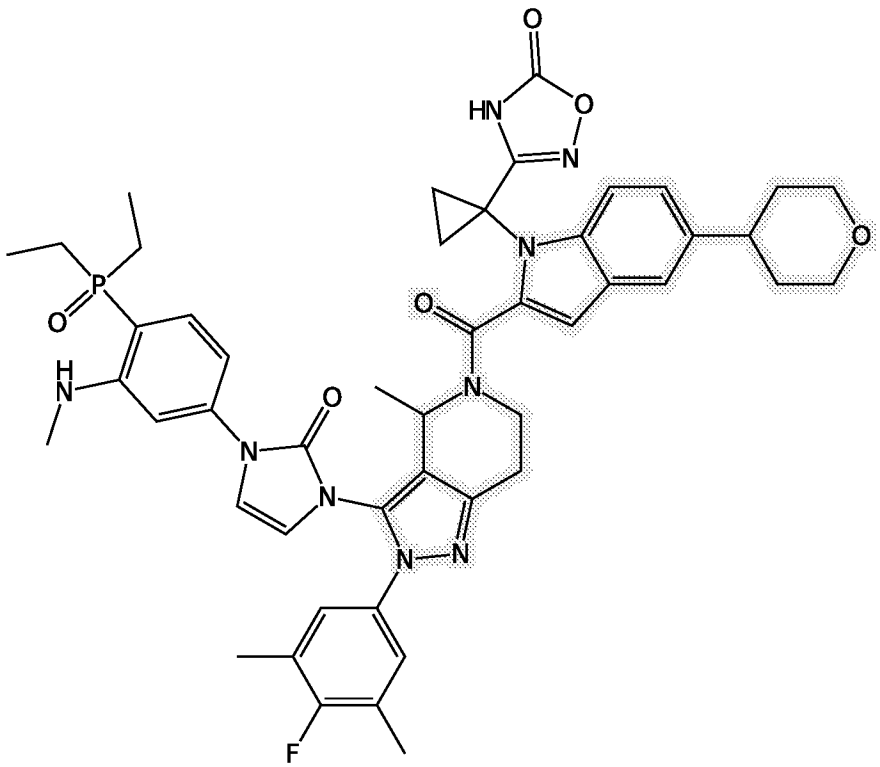
RN 2685824-41-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



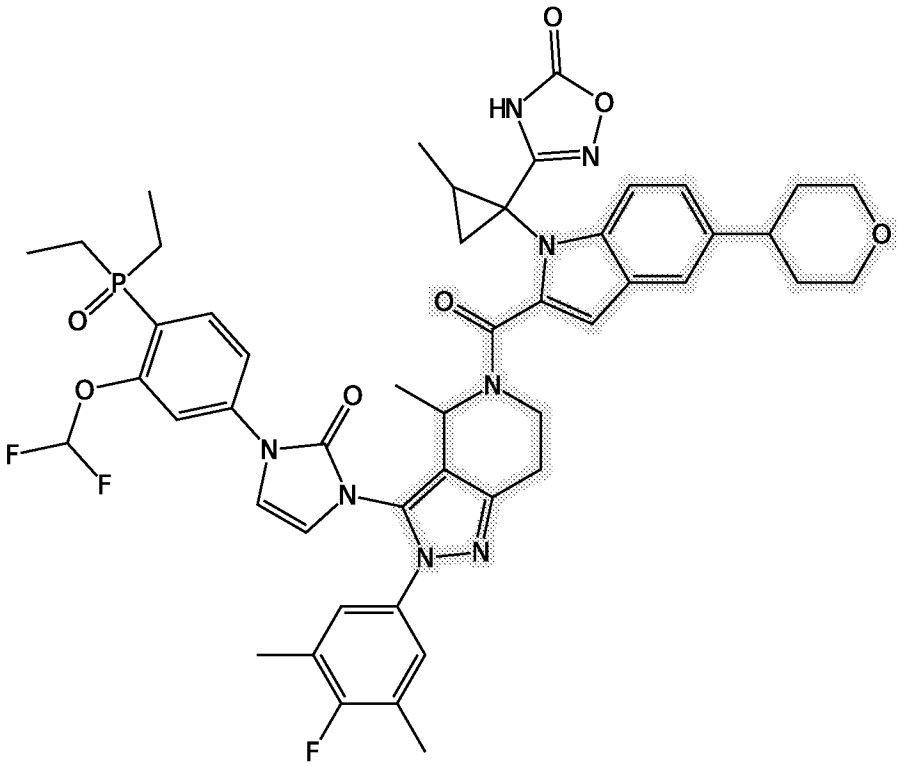
RN 2685824-45-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(3,3-difluorotetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



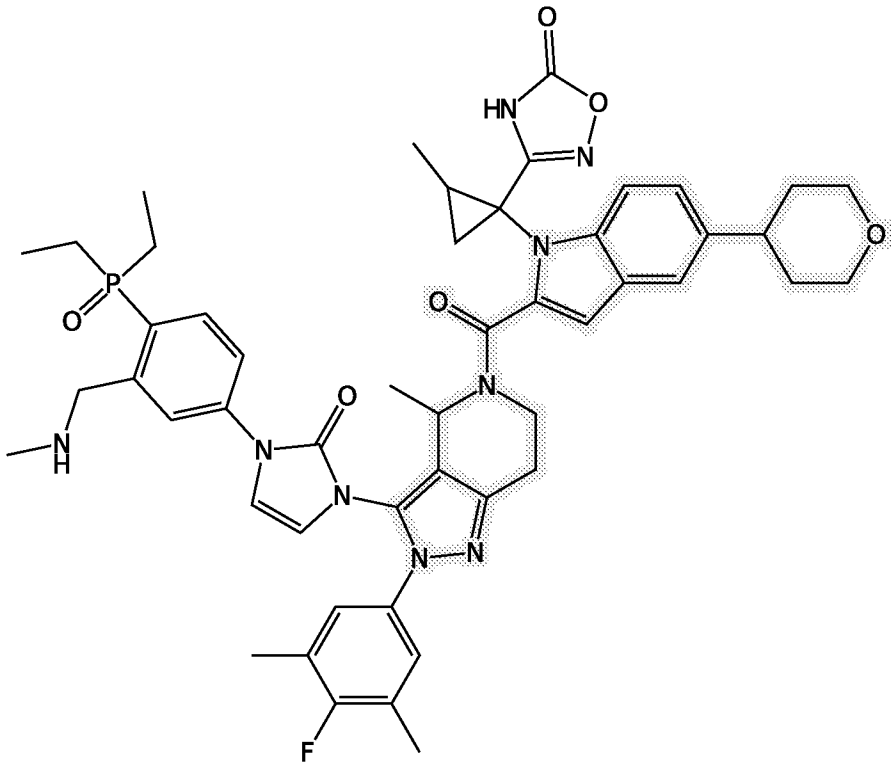
RN 2685824-47-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



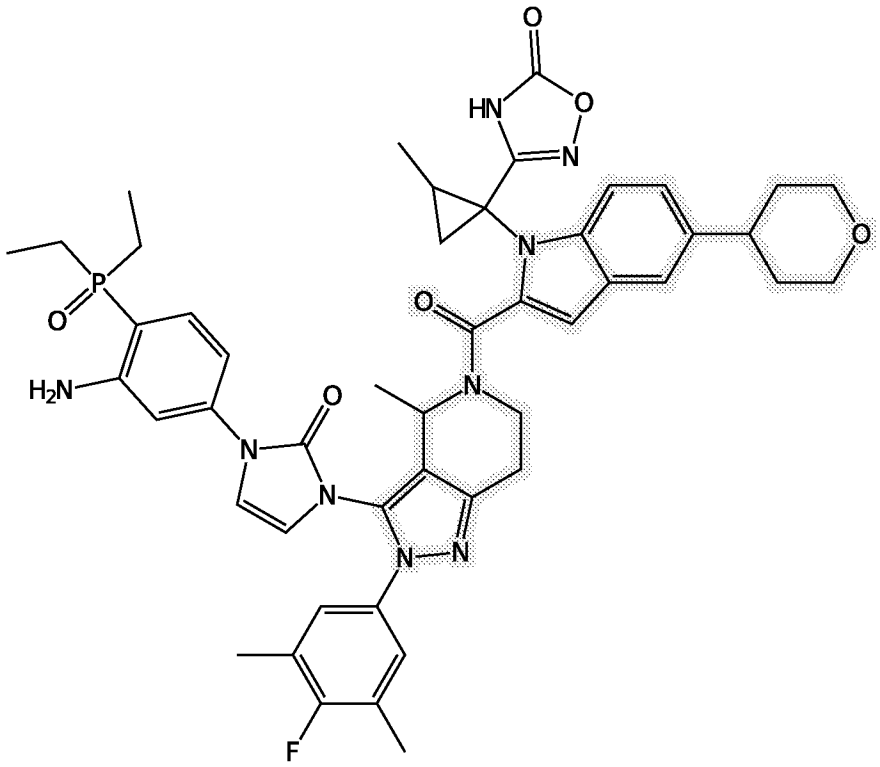
RN 2685824-49-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethoxy)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



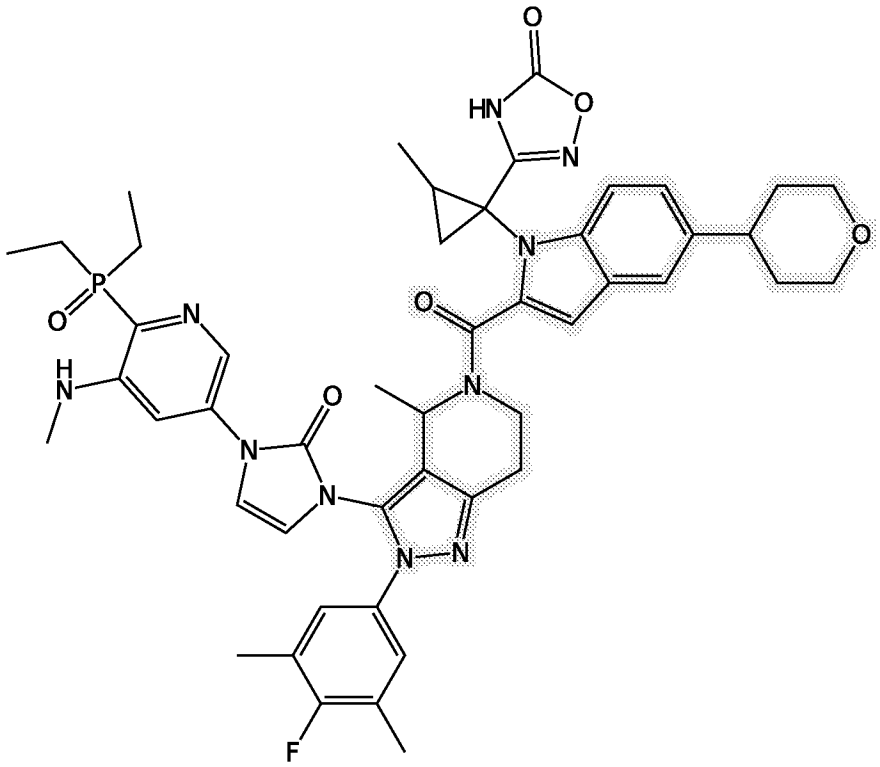
RN 2685824-51-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-[(methylamino)methyl]phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



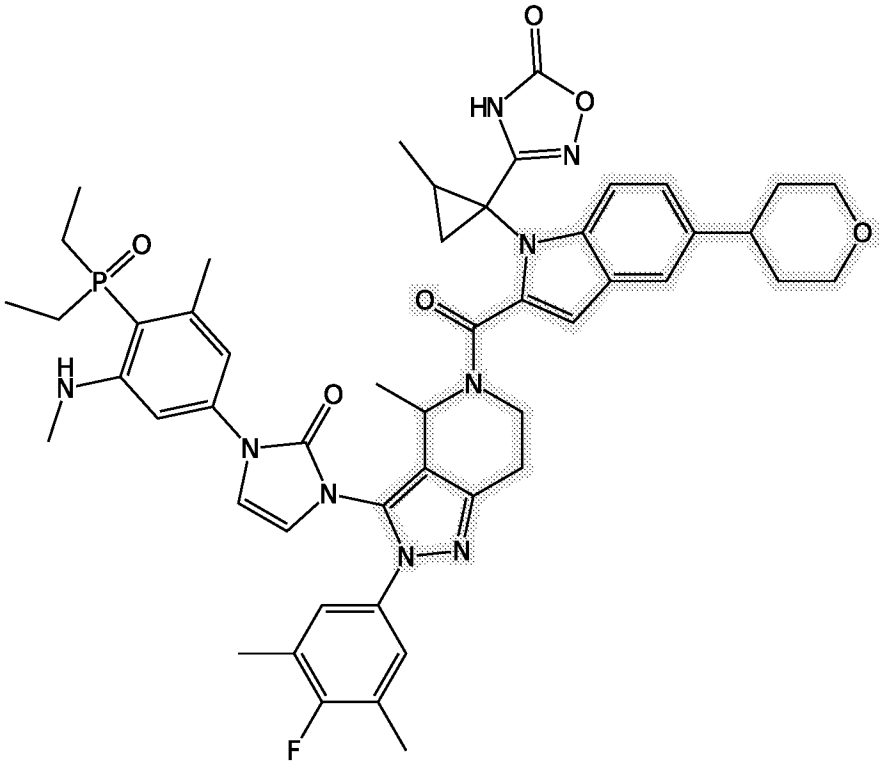
RN 2685824-53-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[3-amino-4-(diethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



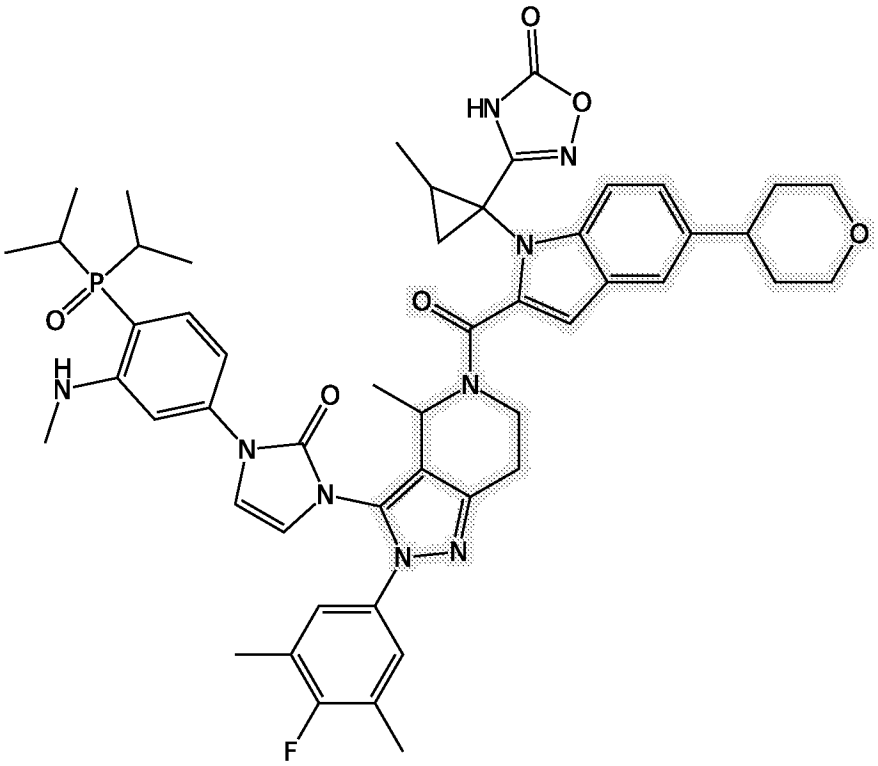
RN 2685824-55-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[6-(diethylphosphinyl)-5-(methylamino)-3-pyridinyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



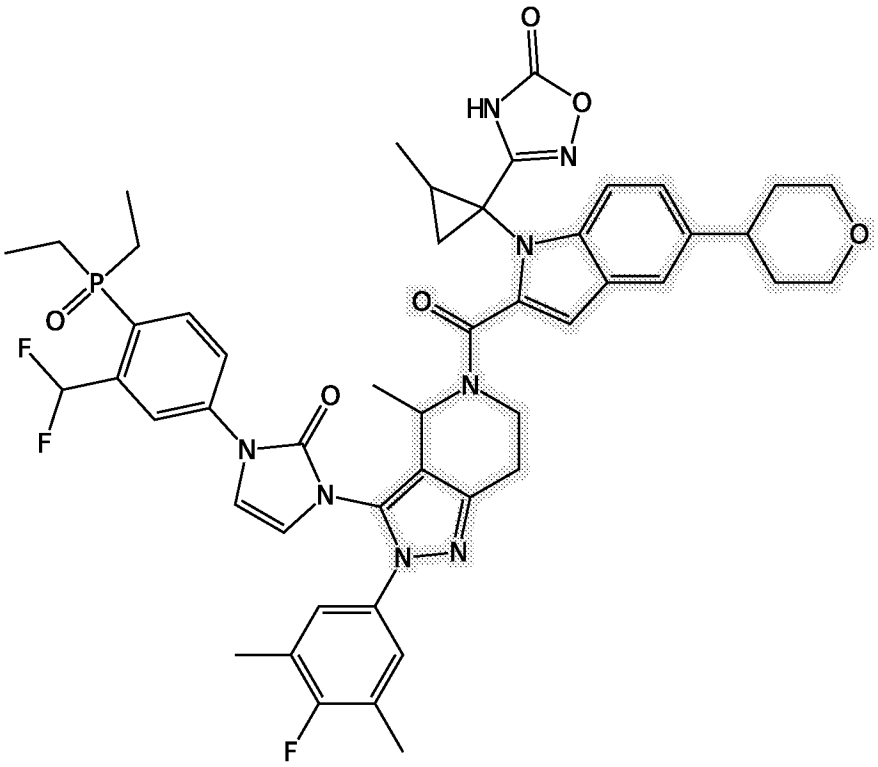
RN 2685824-57-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-methyl-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



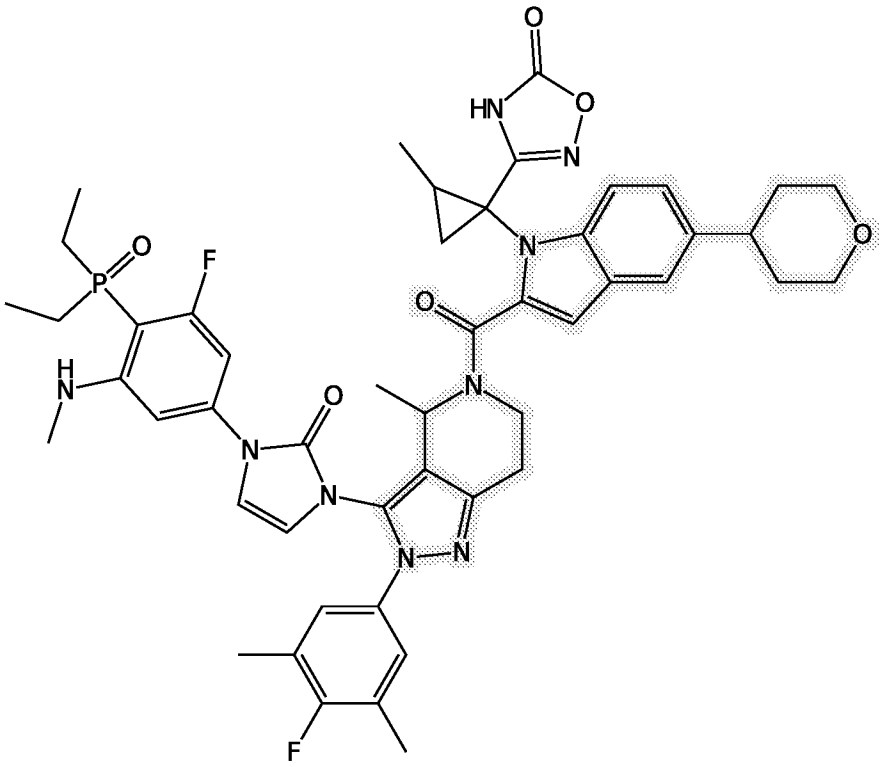
RN 2685824-59-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-[bis(1-methylethyl)phosphinyl]-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



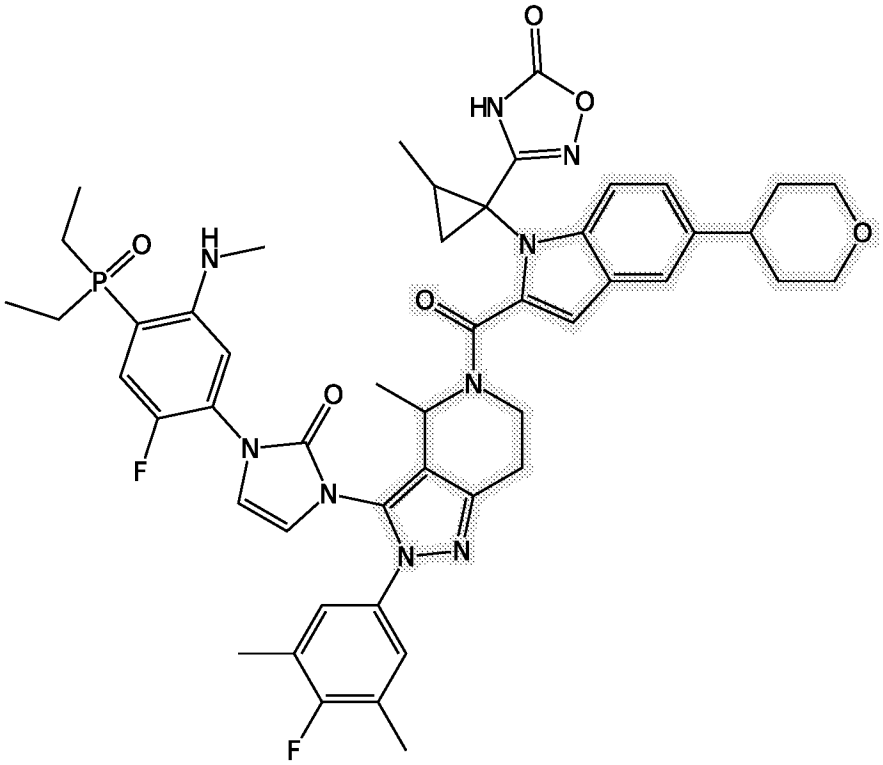
RN 2685824-61-5 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(difluoromethyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



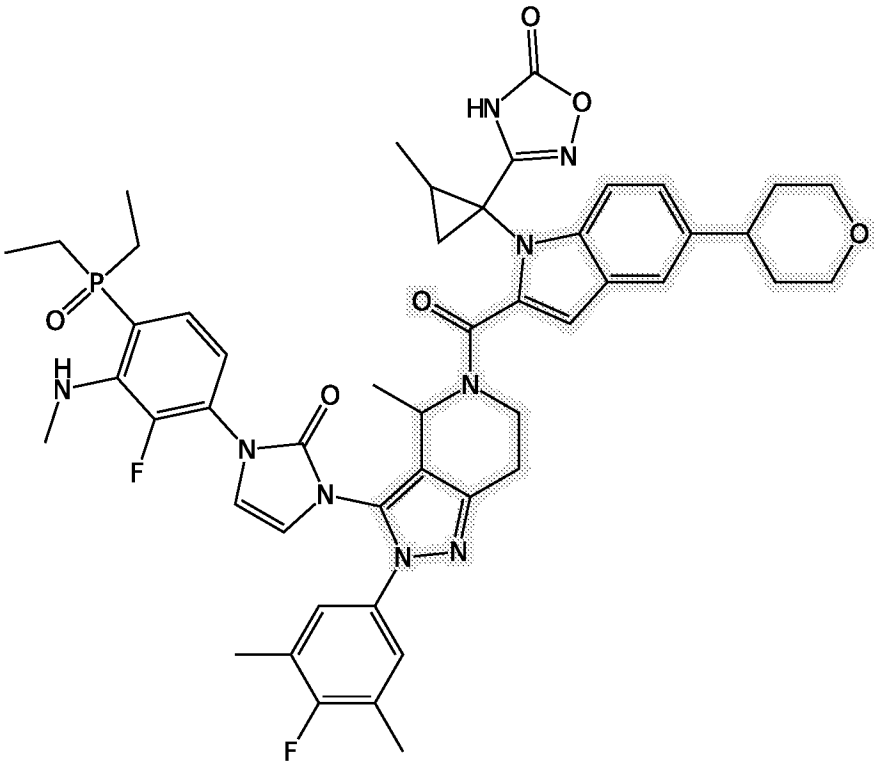
RN 2685824-63-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



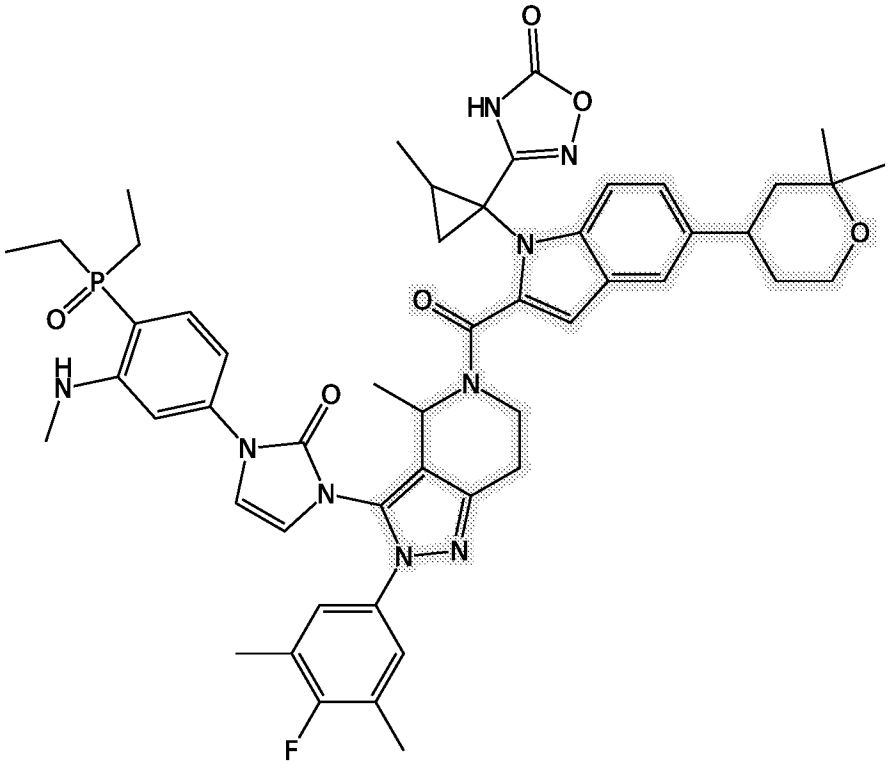
RN 2685824-65-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-2-fluoro-5-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



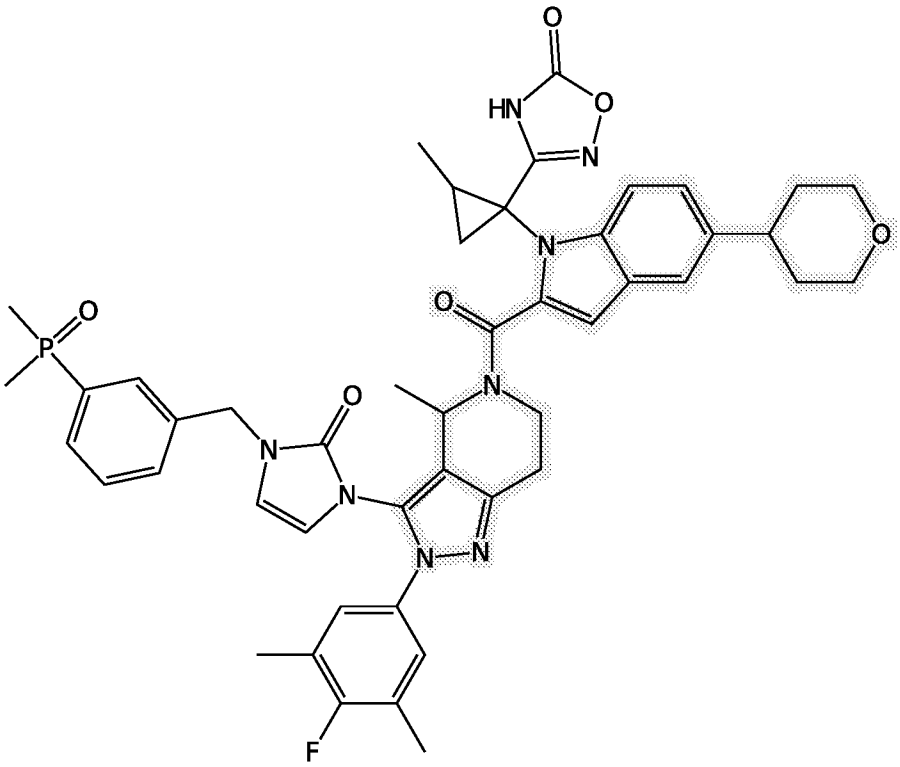
RN 2685824-67-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-2-fluoro-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



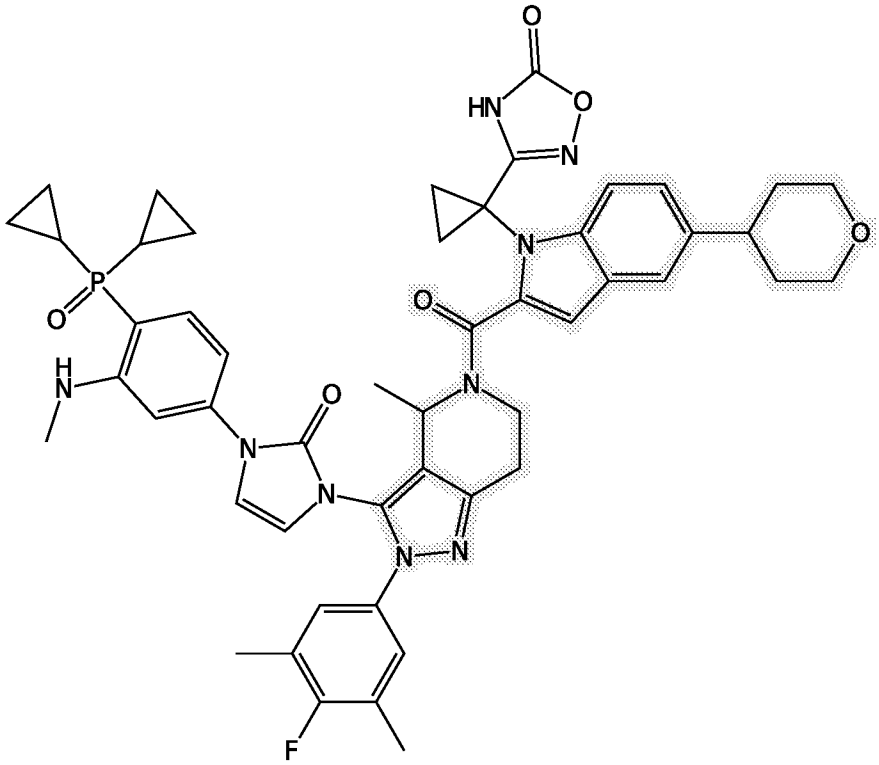
RN 2685824-69-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(diethylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



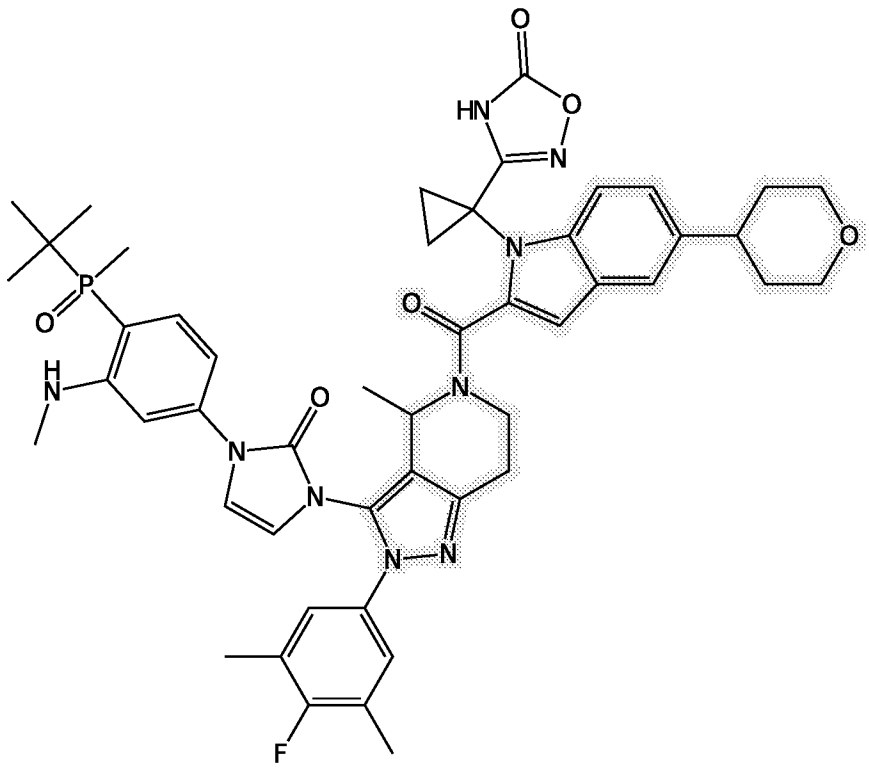
RN 2685824-71-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[[3-(dimethylphosphinyl)phenyl]methyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopropyl]- (CA INDEX NAME)



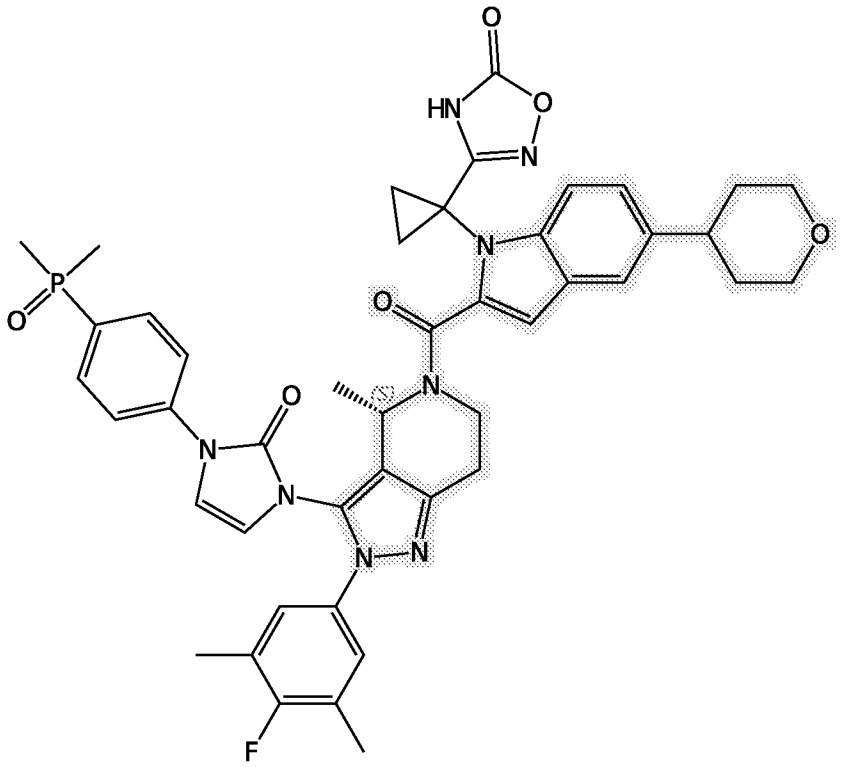
RN 2685824-73-9 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[3-[4-(dicyclopropylphosphinyl)-3-(methylamino)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (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)

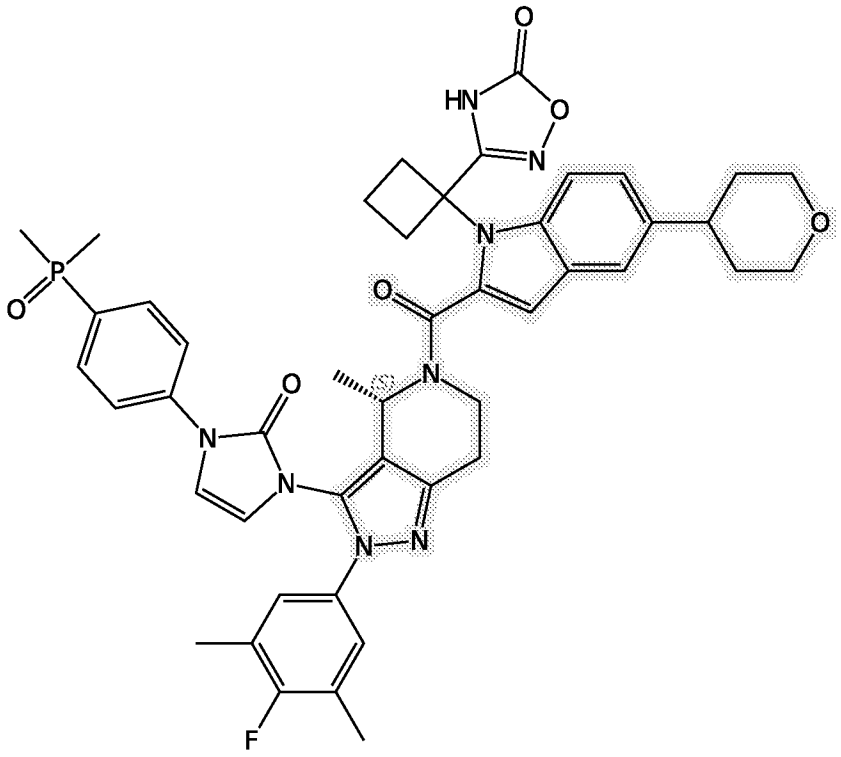


RN 2685824-80-8 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[(4S)-3-[3-[4-(dimethylphosphinyl)phenyl]-2,3-dihydro-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



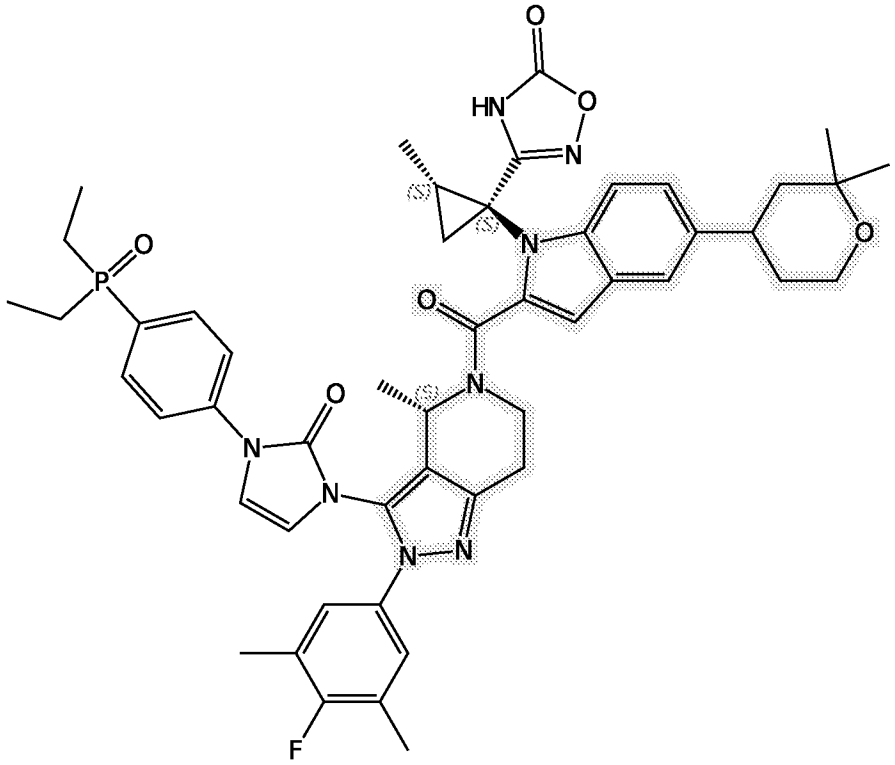
Absolute stereochemistry shown

RN 2685824-82-0 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[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]cyclobutyl]- (CA INDEX NAME)



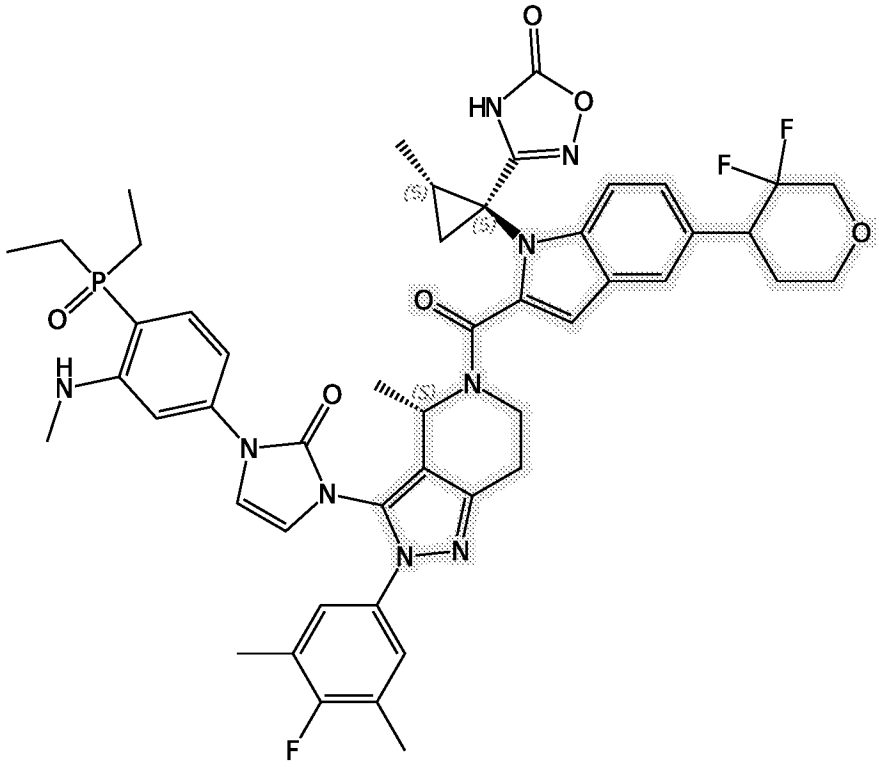
Absolute stereochemistry shown

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)



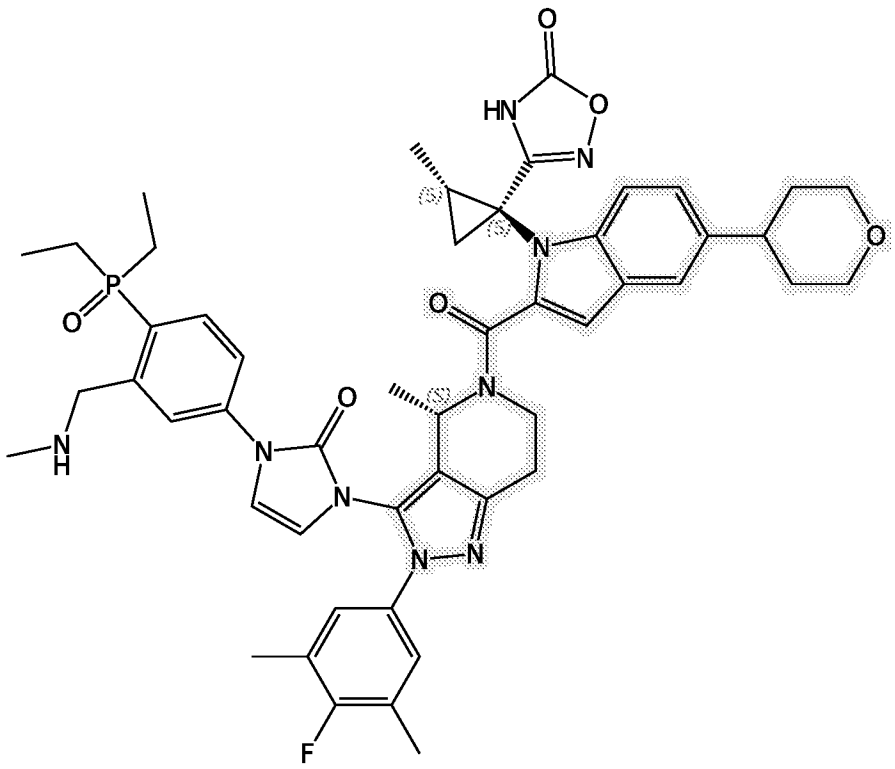
Absolute stereochemistry shown

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)



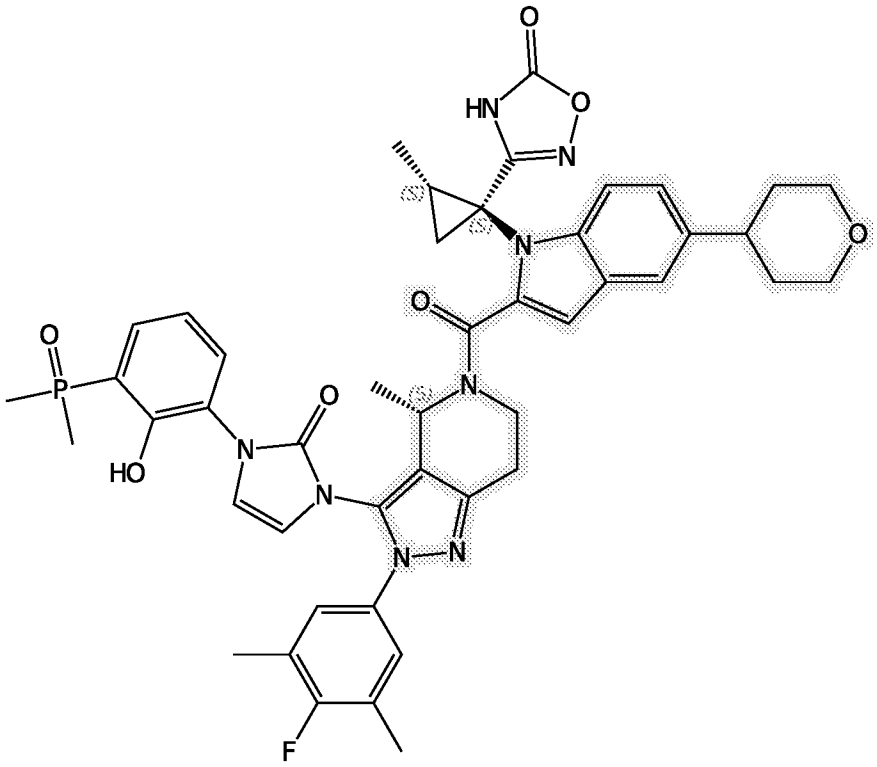
Absolute stereochemistry shown

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

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)



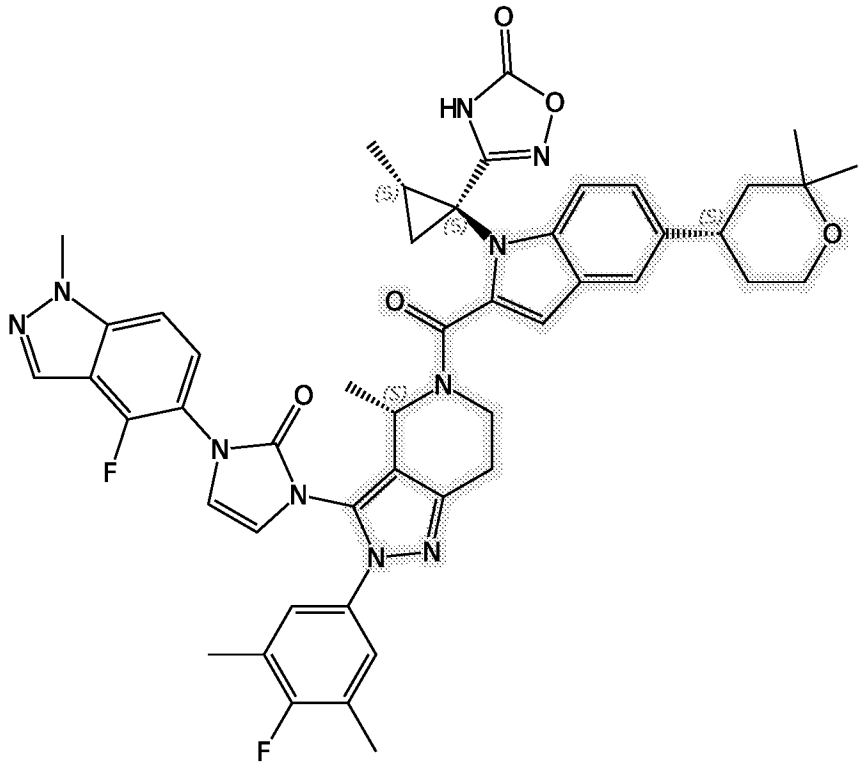
Absolute stereochemistry shown

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:

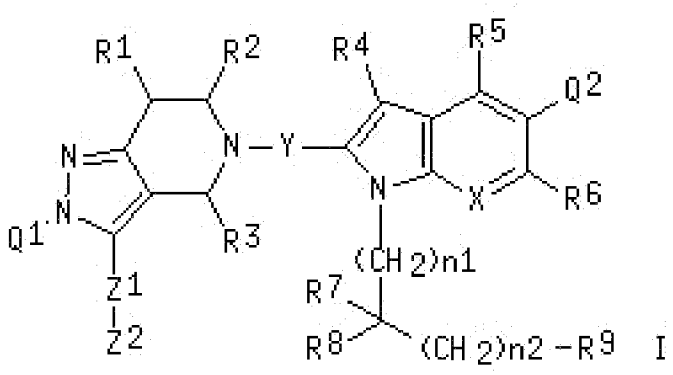
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2019099571 | A | 20190624 | JP 2018-223465 | 20181129 |
| JP 7461104 | B2 | 20240403 | | |
| JP 2024079762 | A | 20240611 | JP 2024-45935 | 20240322 |

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.

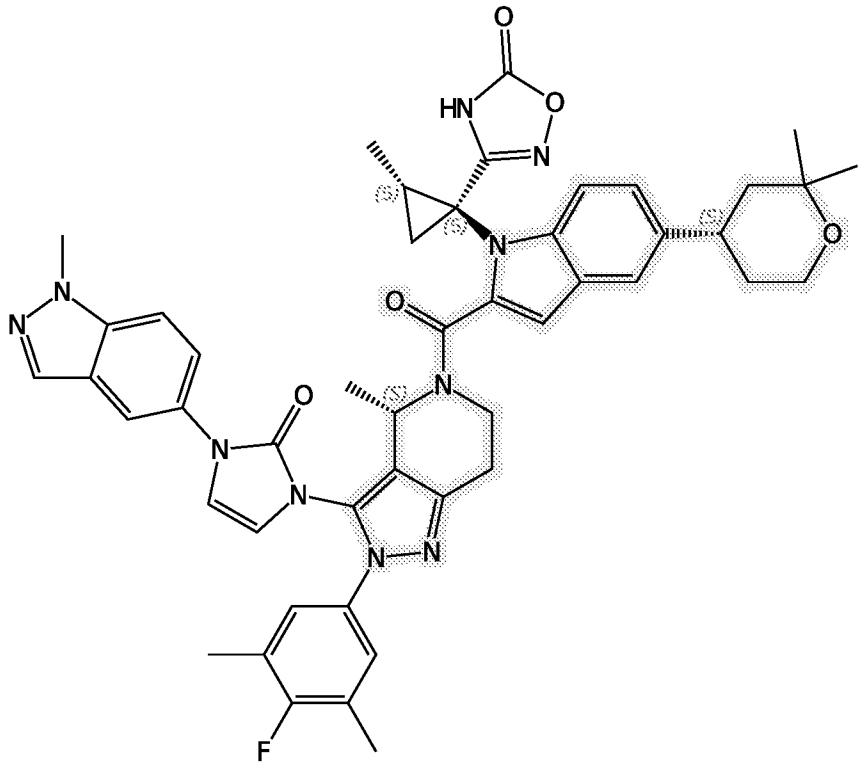
IT 2212020-51-2P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one

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)

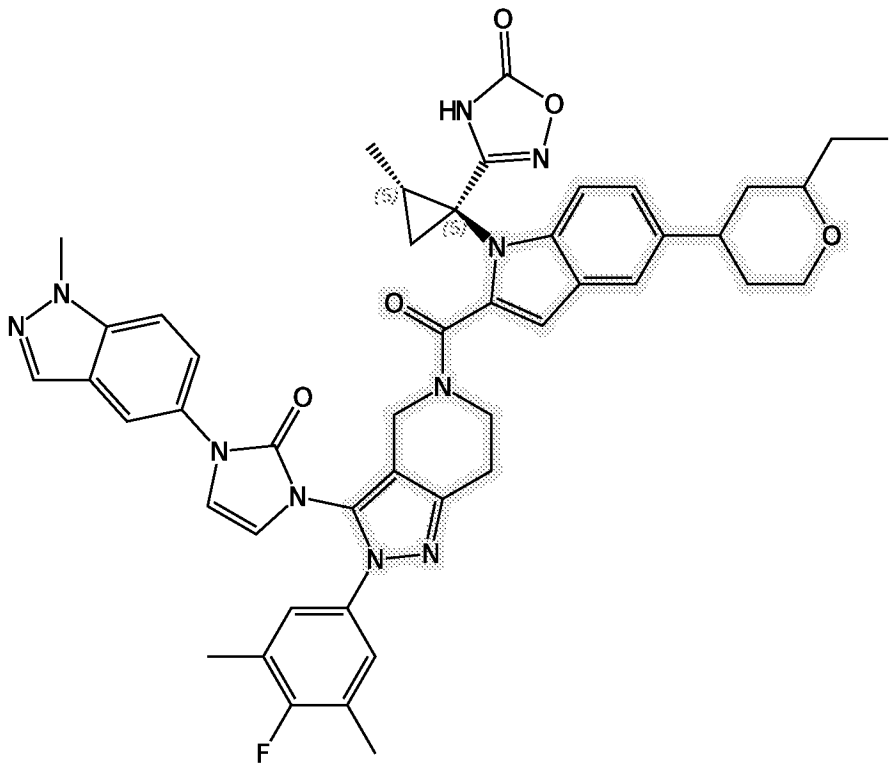
RN 2212020-51-2 CAPLUS

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)



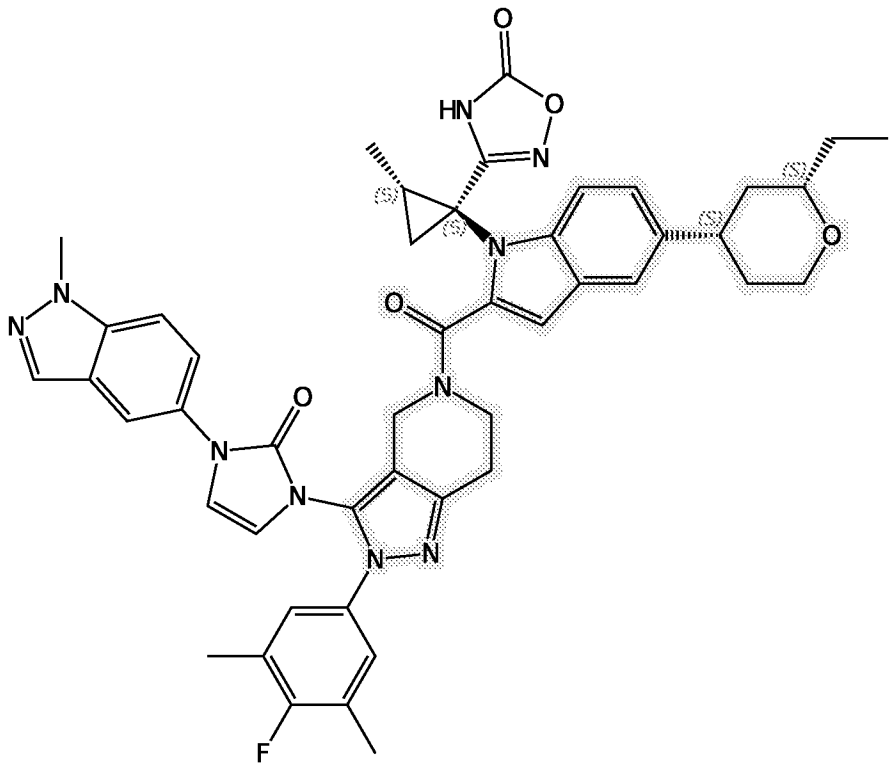
Absolute stereochemistry shown

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)



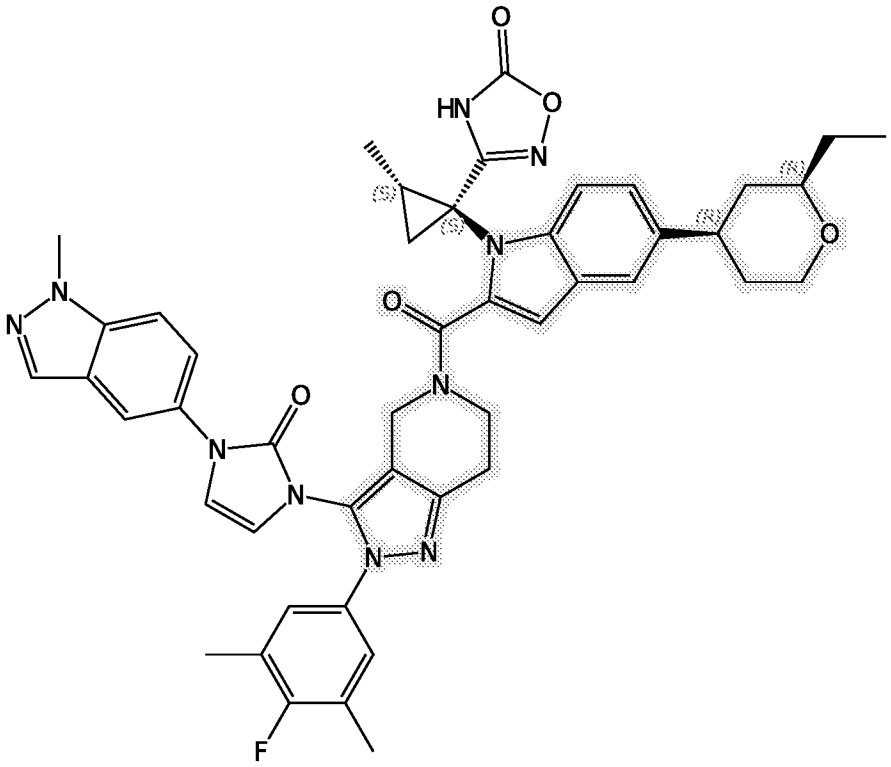
Absolute stereochemistry shown

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)

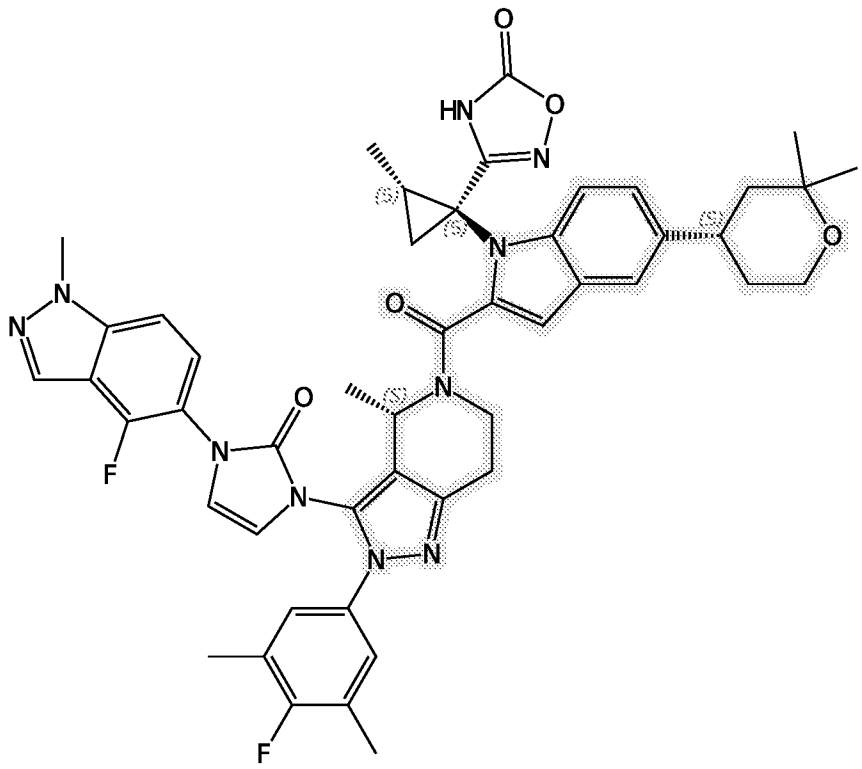


Absolute stereochemistry shown

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
2212020-12-8P, 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-methylindazol-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
2212020-53-4P, 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-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  2212020-54-5P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3-methylphenyl)-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
2212020-55-6P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(6-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
2212020-56-7P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[6-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-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]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-60-3P,
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-(6-oxaspiro[4.5]decan-9-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  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-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-63-6P,
3-[(1S,2S)-2-Ethyl-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(3-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  2212020-64-7P,
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-(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]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-74-9P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-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  2212020-78-3P,
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-(5-oxaspiro[3.5]nonan-8-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-81-8P,
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-(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-(oxan-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-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-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-85-2P,
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-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  2212020-86-3P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[3-methyl-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-methylcyclopropyl]-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

2212020-92-1P, 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

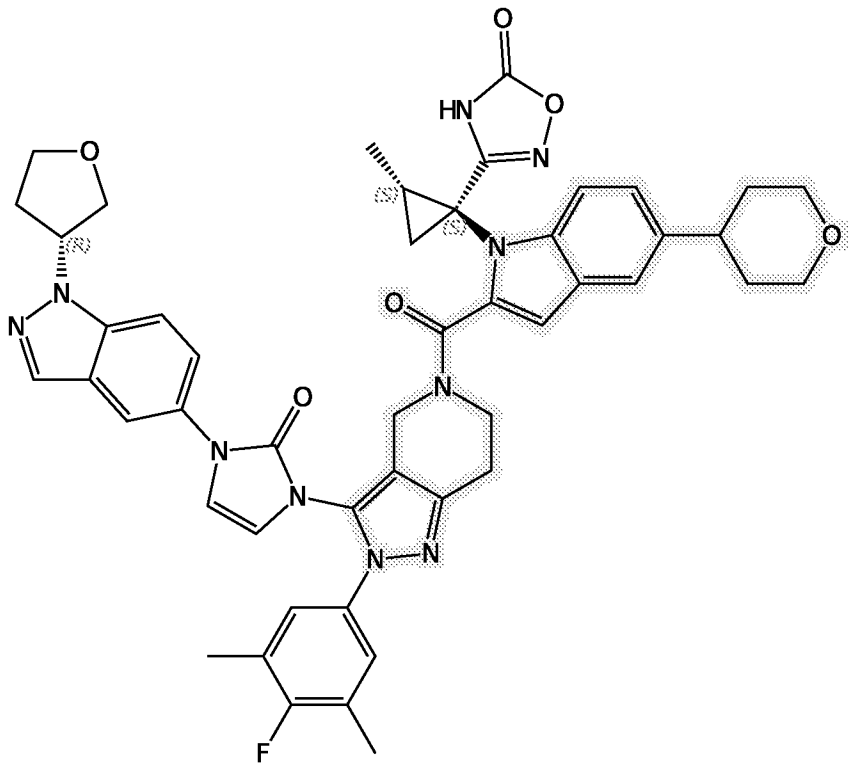
2212021-08-2P, 2-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]acetic acid

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

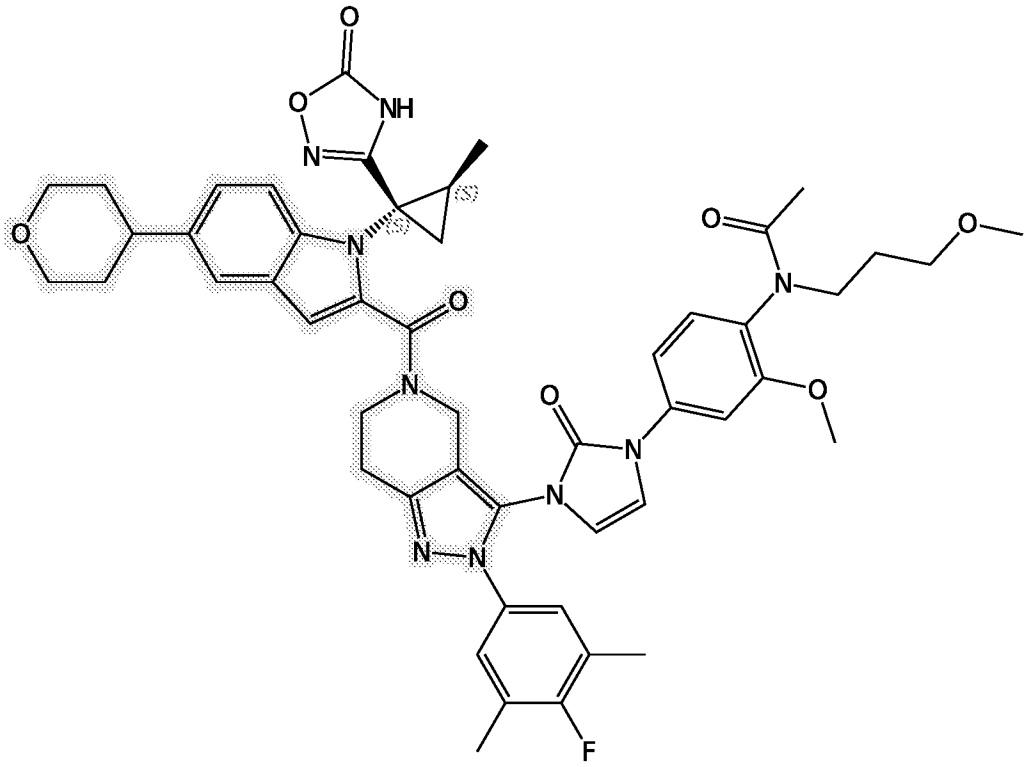
2212021-12-8P, 3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y

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)



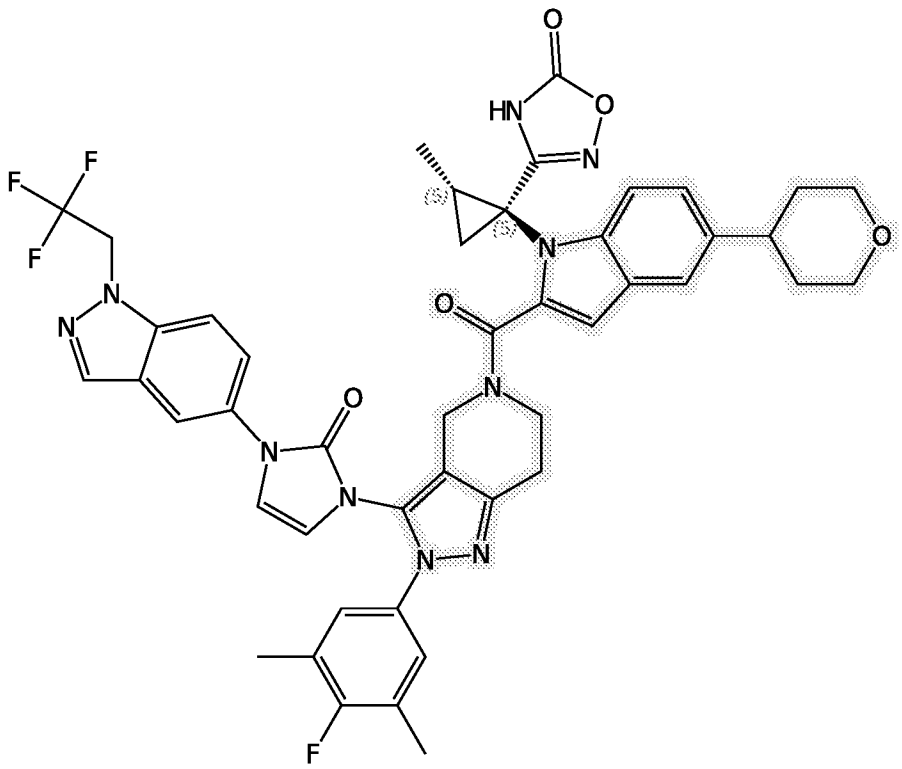

Absolute stereochemistry shown

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)



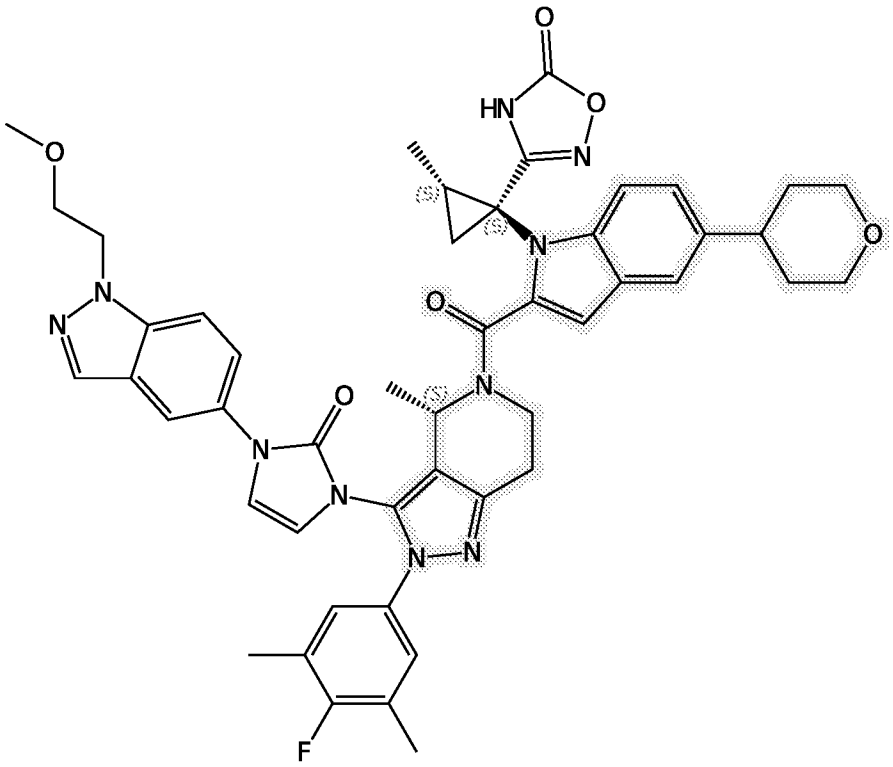
Absolute stereochemistry shown

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)



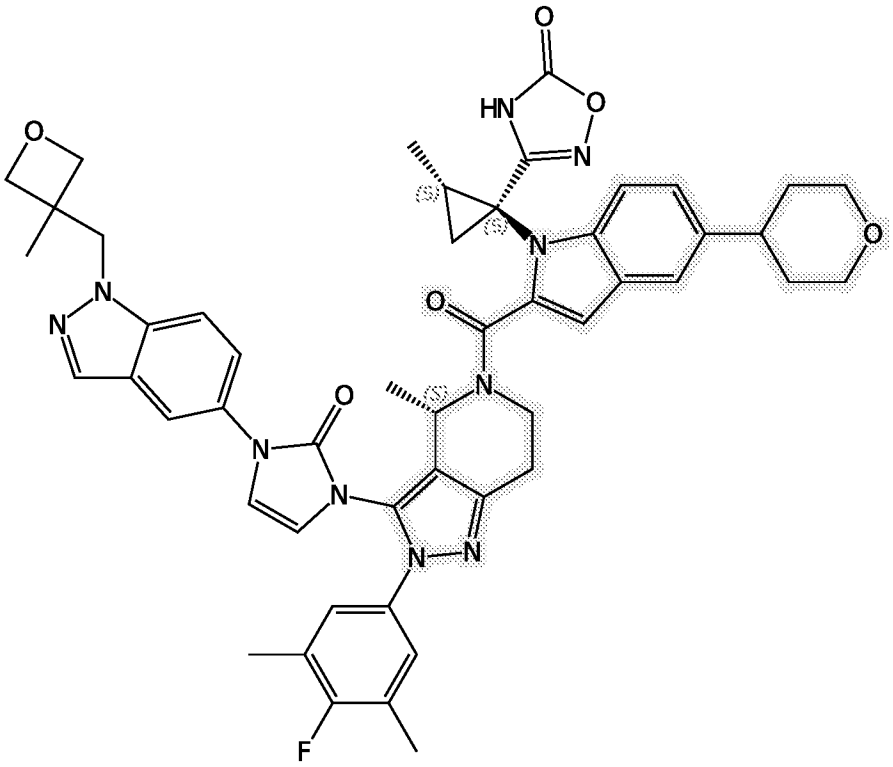
Absolute stereochemistry shown

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)



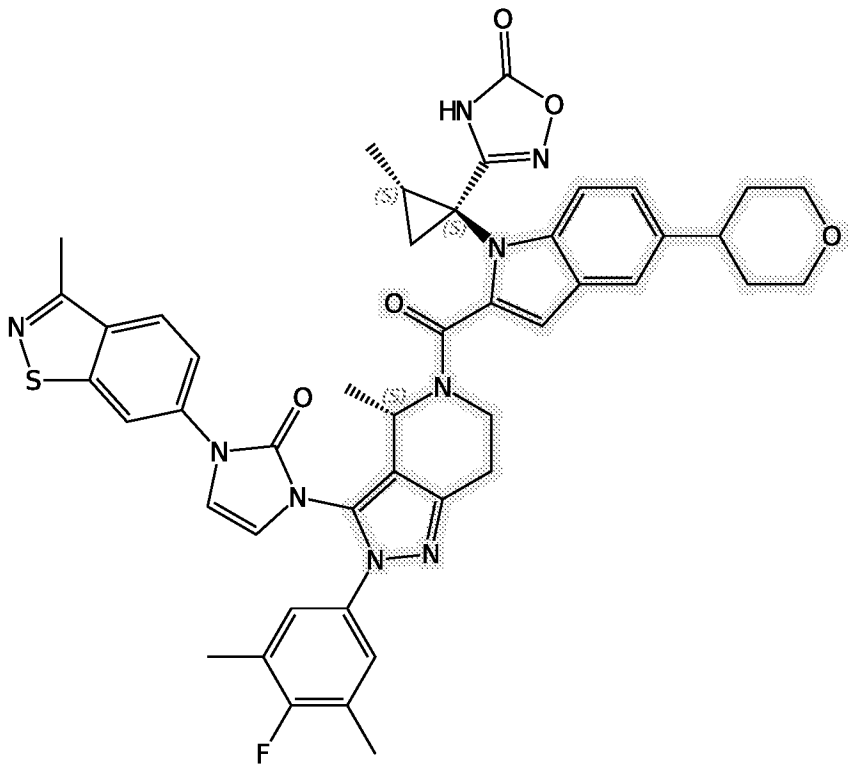

Absolute stereochemistry shown

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)



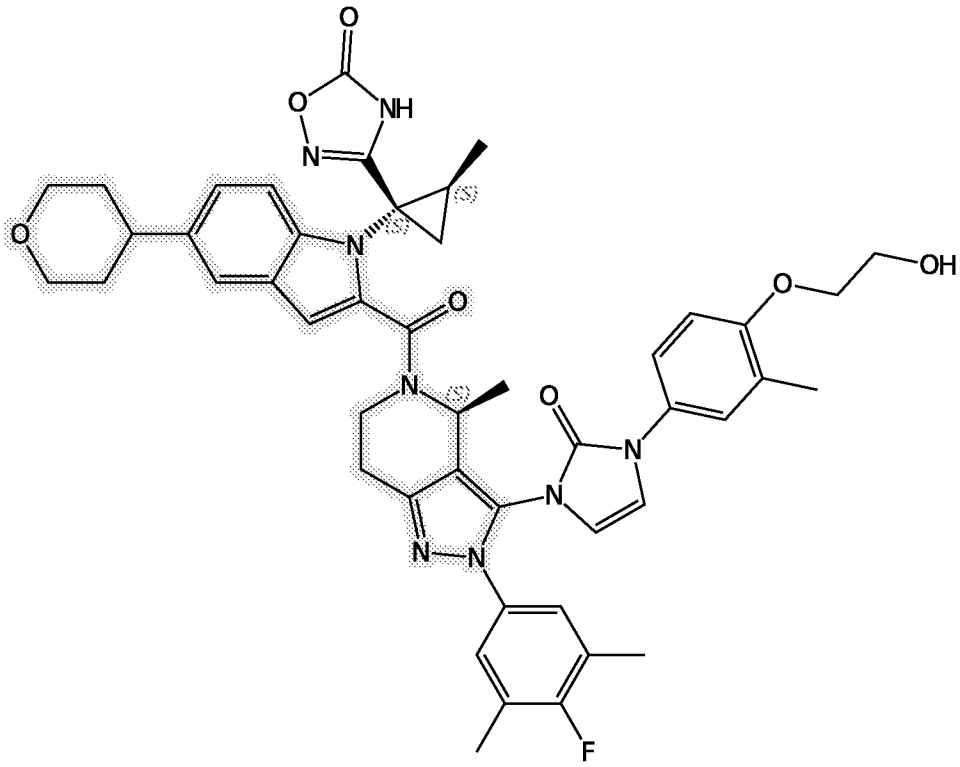
Absolute stereochemistry shown

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)



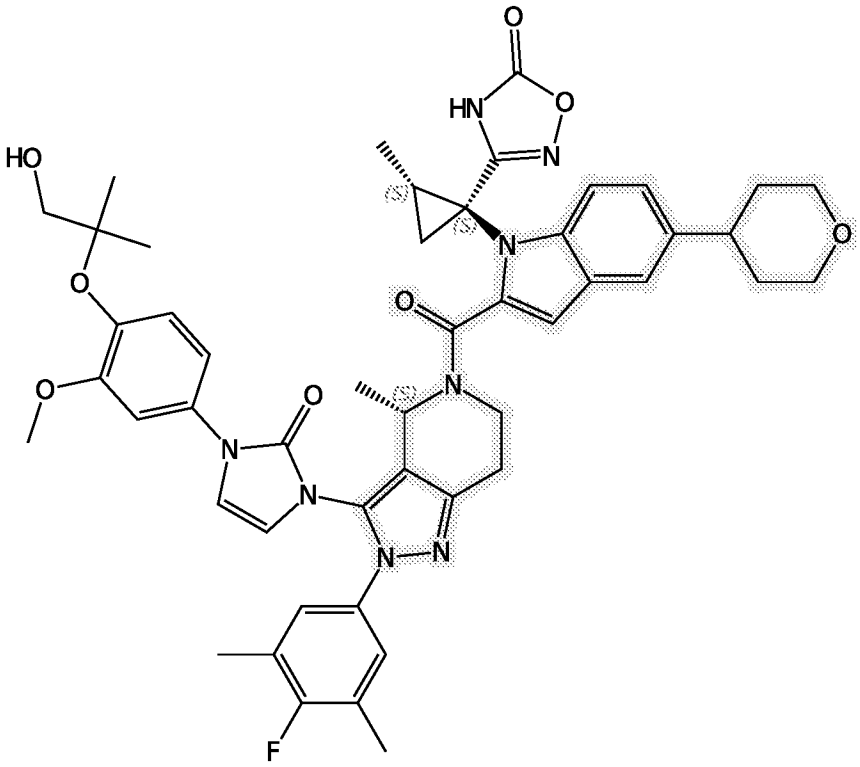
Absolute stereochemistry shown

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)



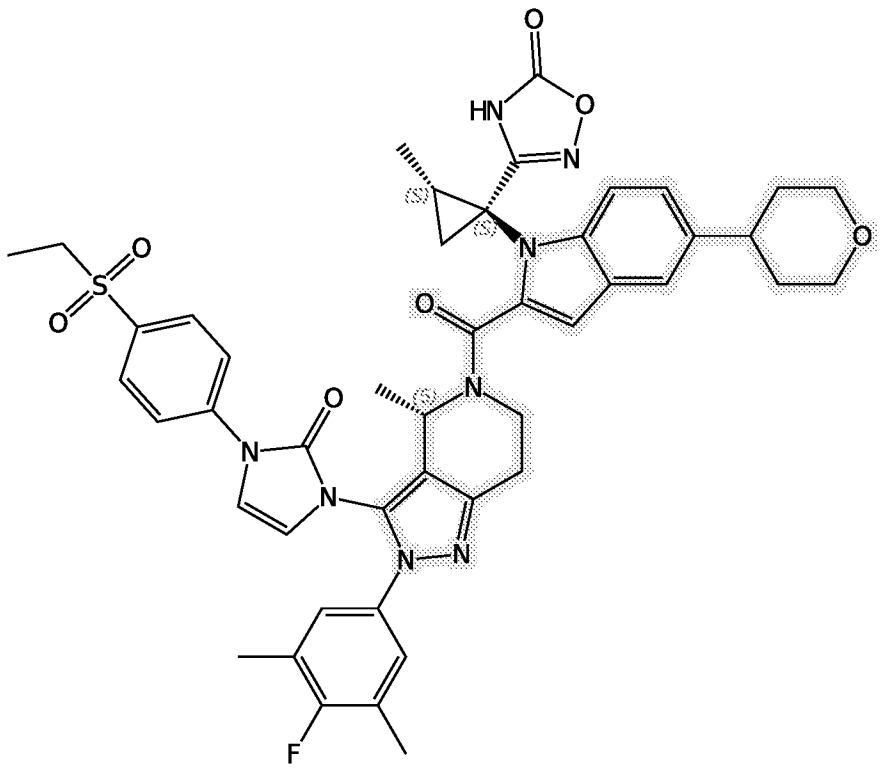

Absolute stereochemistry shown

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)



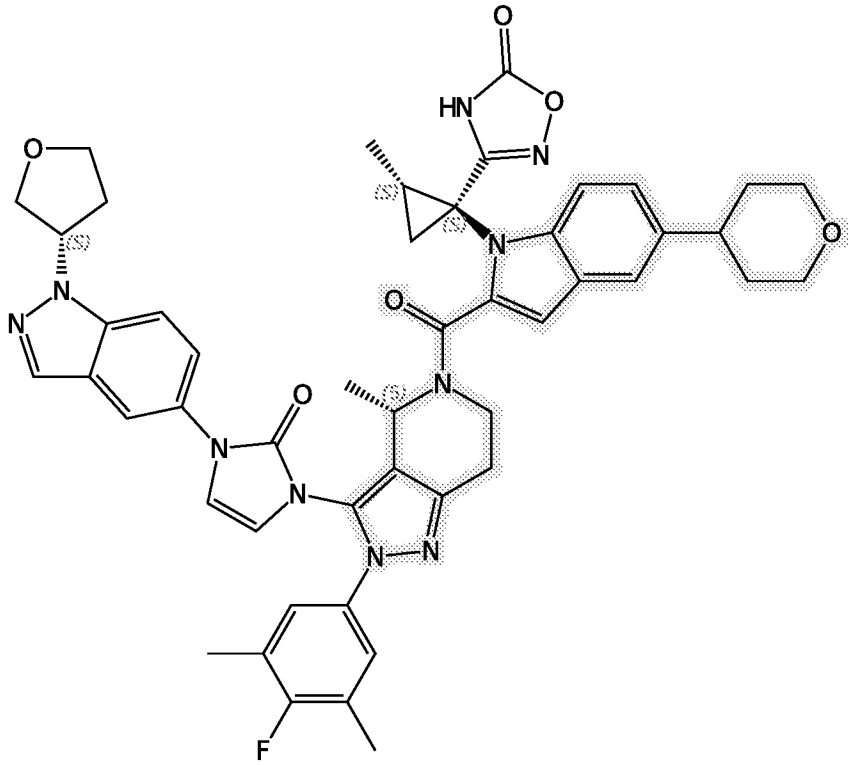
Absolute stereochemistry shown

RN 2212019-91-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(ethylsulfonyl)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)



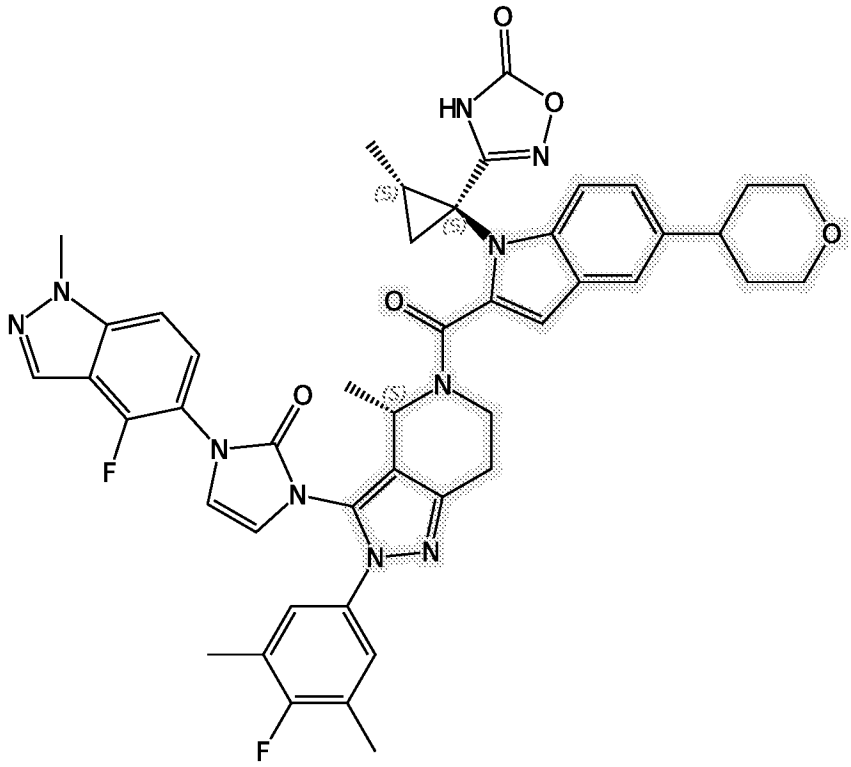
Absolute stereochemistry shown

RN 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)



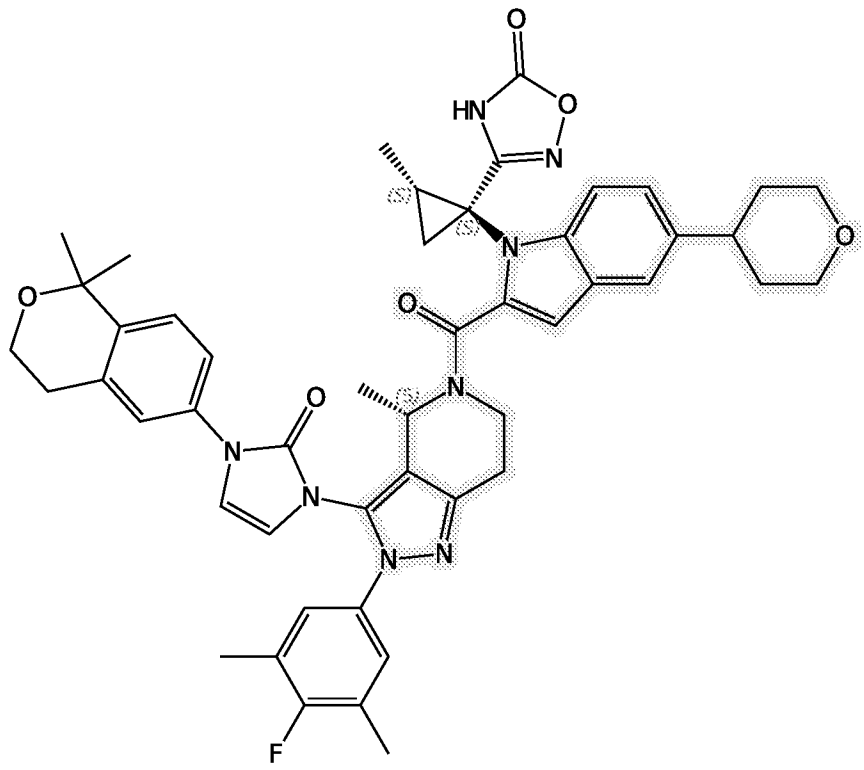
Absolute stereochemistry shown

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)



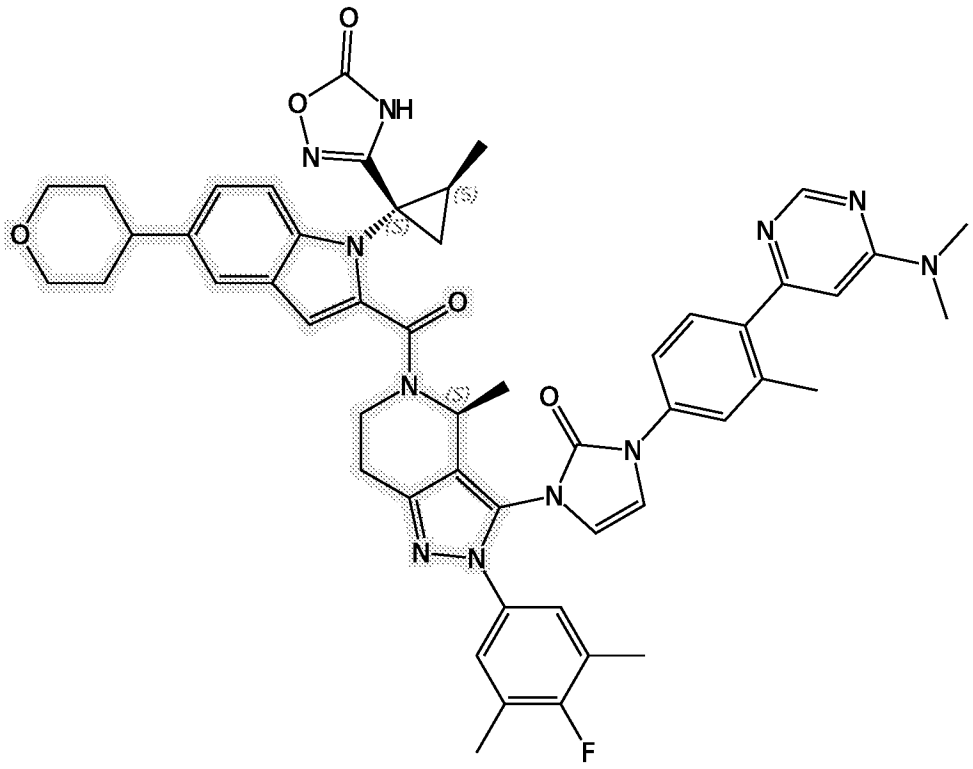
Absolute stereochemistry shown

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)



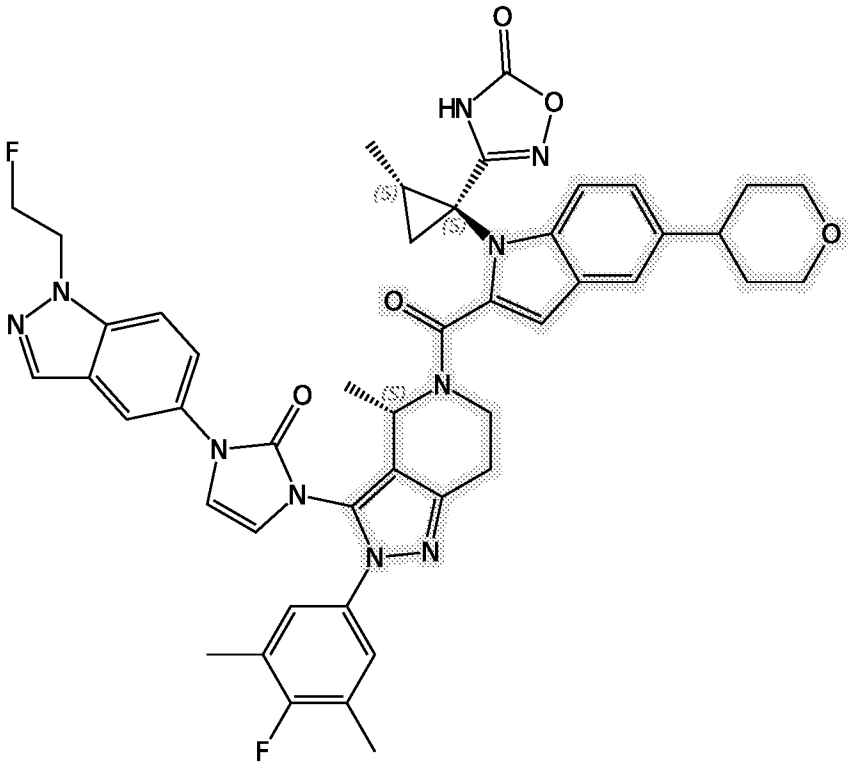
Absolute stereochemistry shown

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)



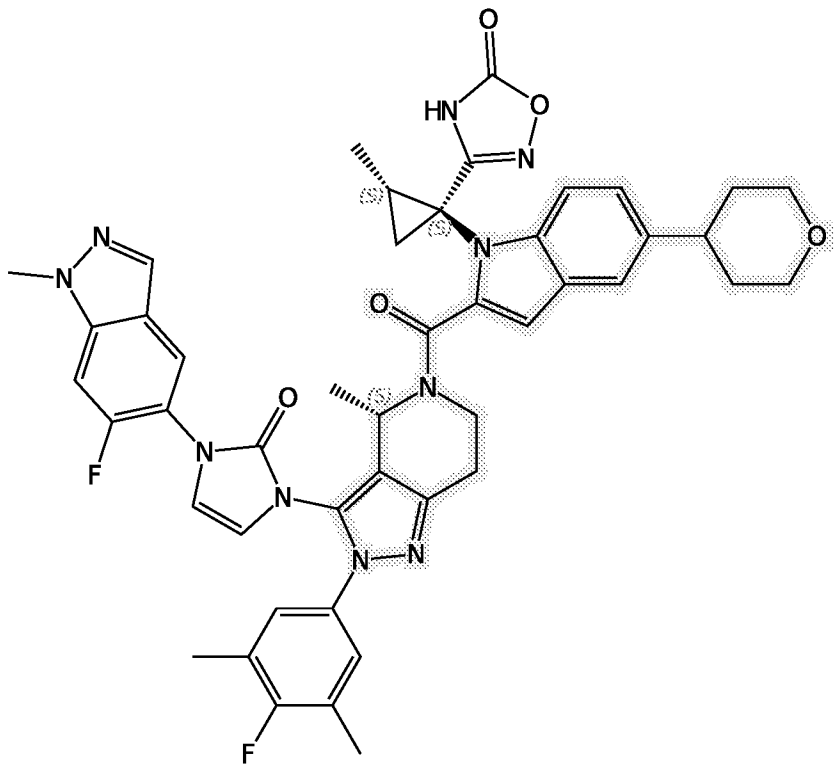
Absolute stereochemistry shown

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)



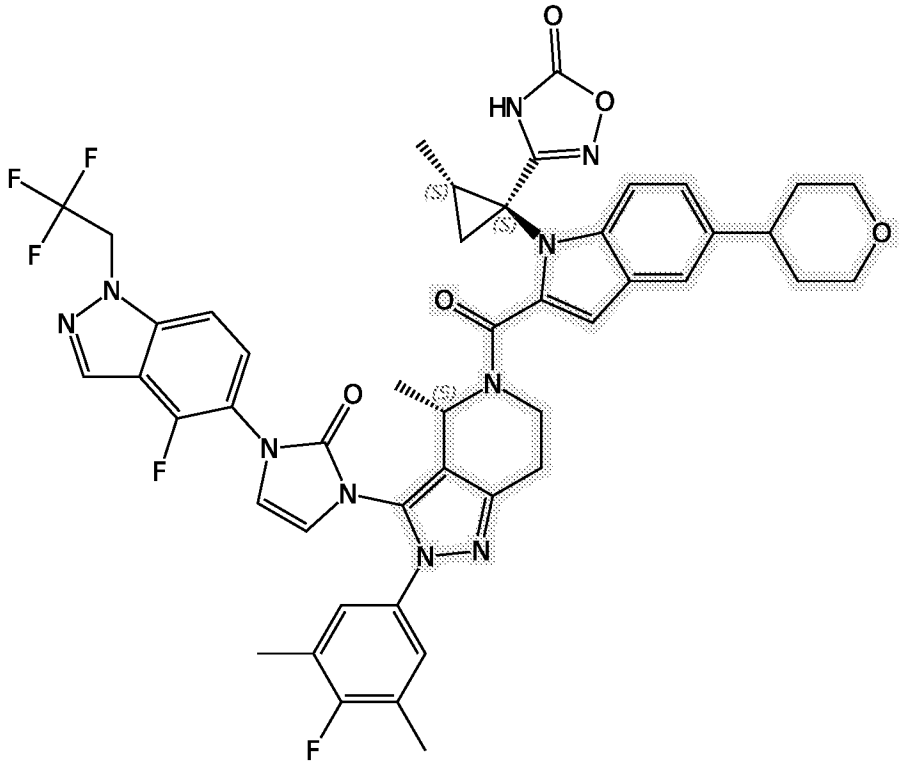
Absolute stereochemistry shown

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)



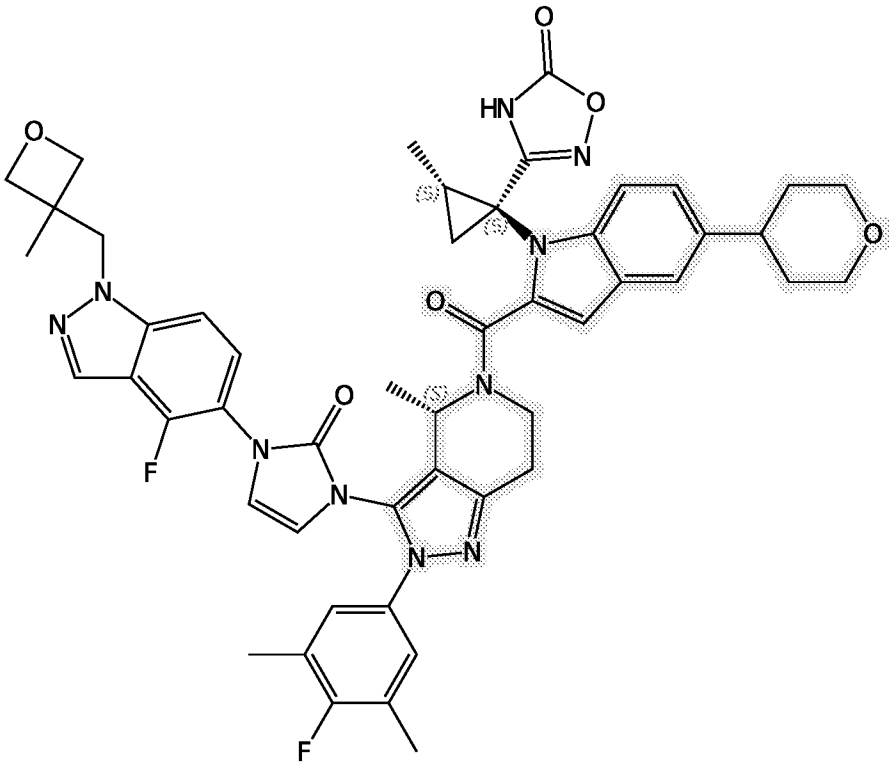
Absolute stereochemistry shown

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)



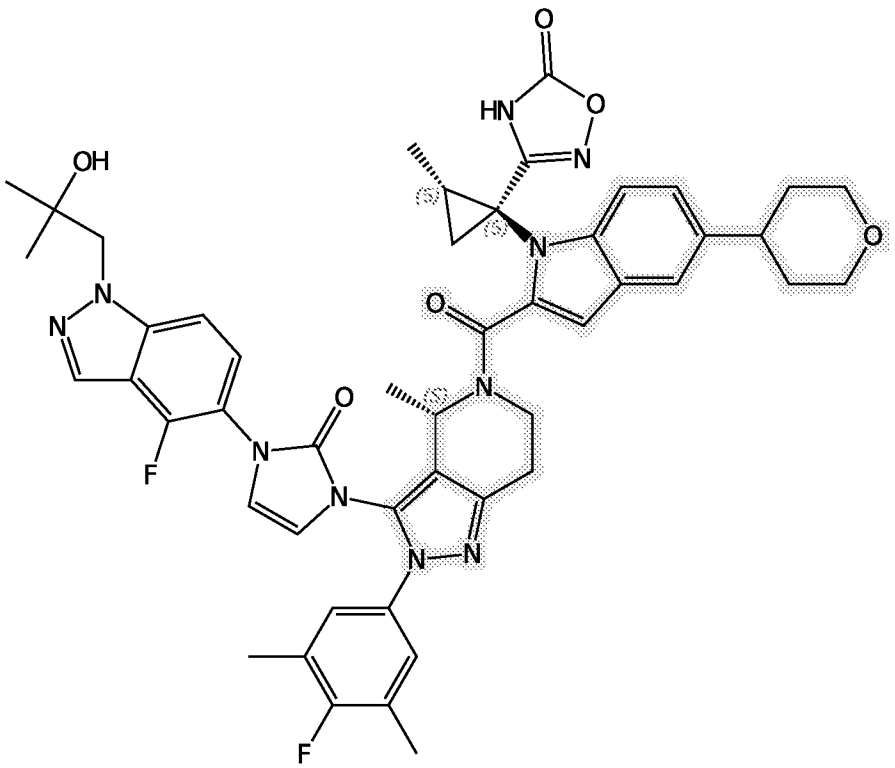
Absolute stereochemistry shown

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)



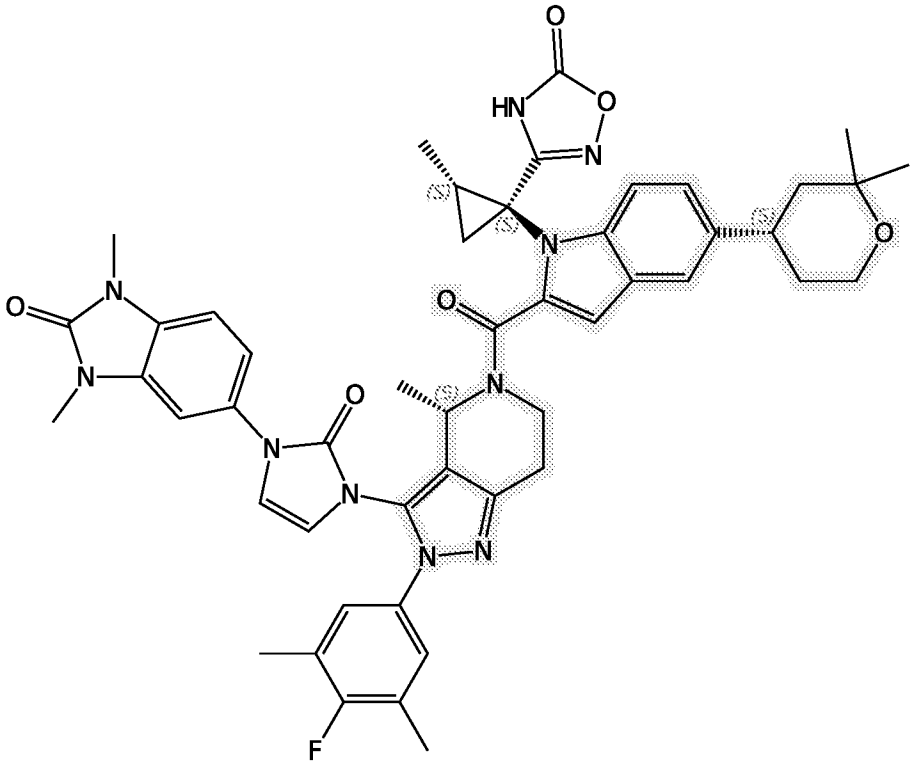
Absolute stereochemistry shown

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)



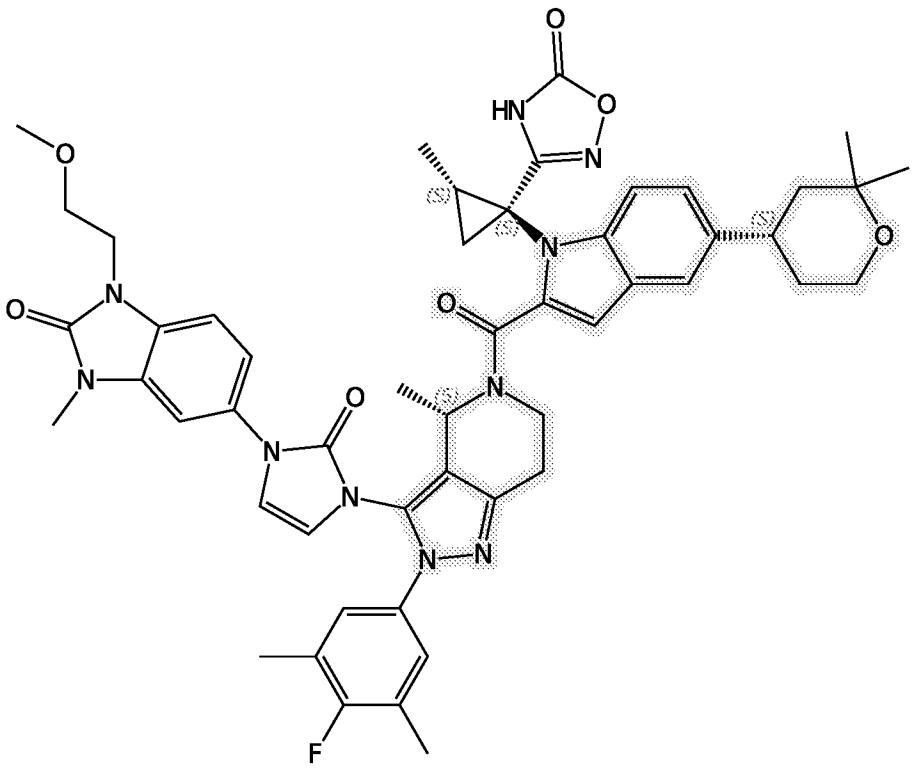
Absolute stereochemistry shown

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)



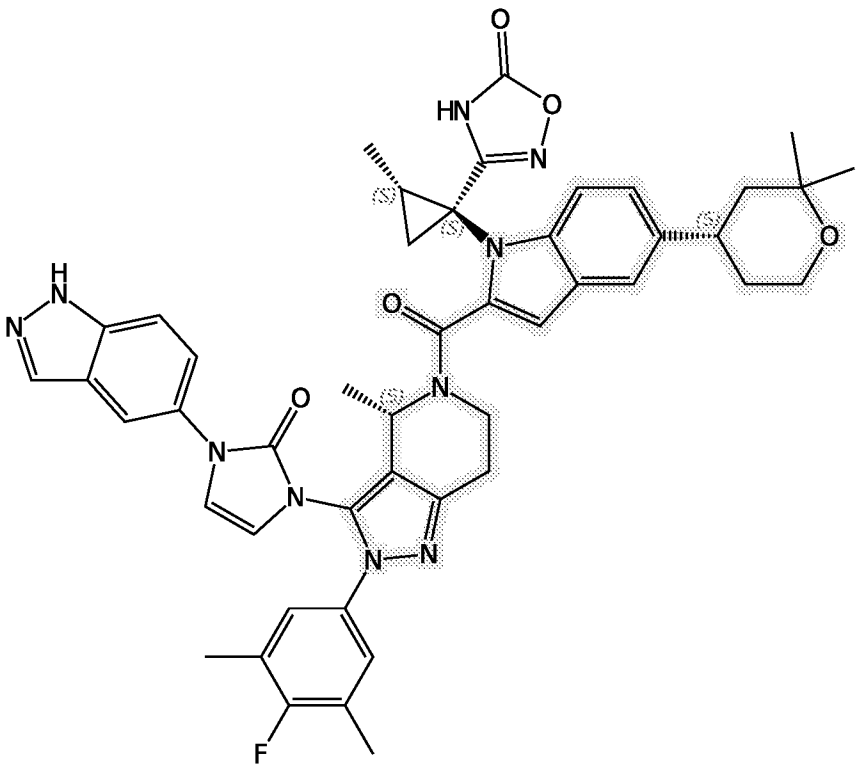
Absolute stereochemistry shown

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)



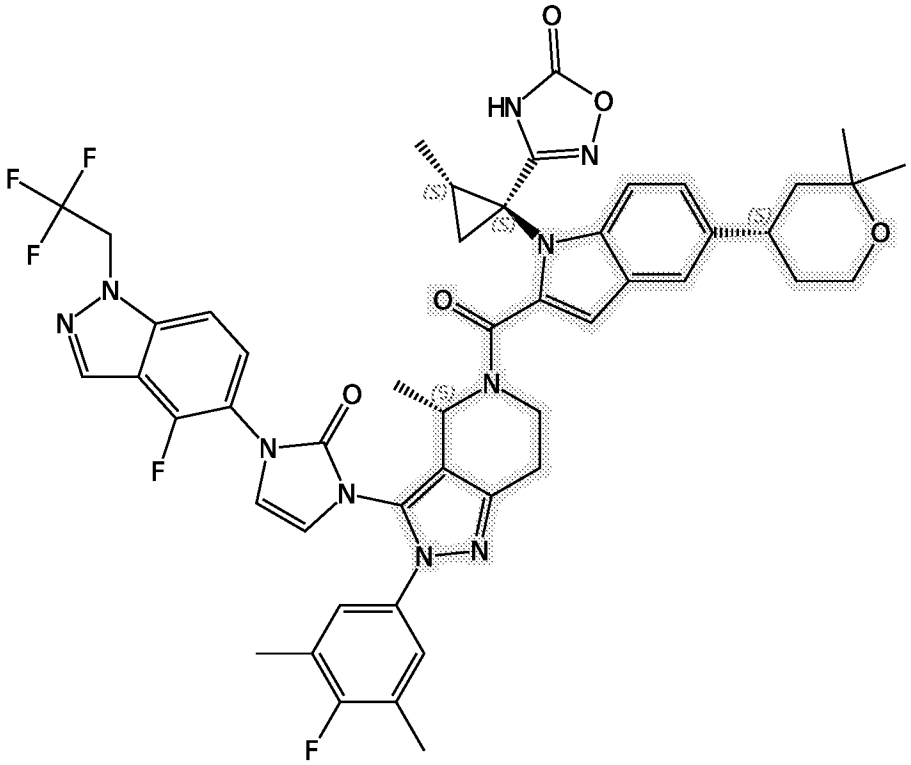
Absolute stereochemistry shown

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)



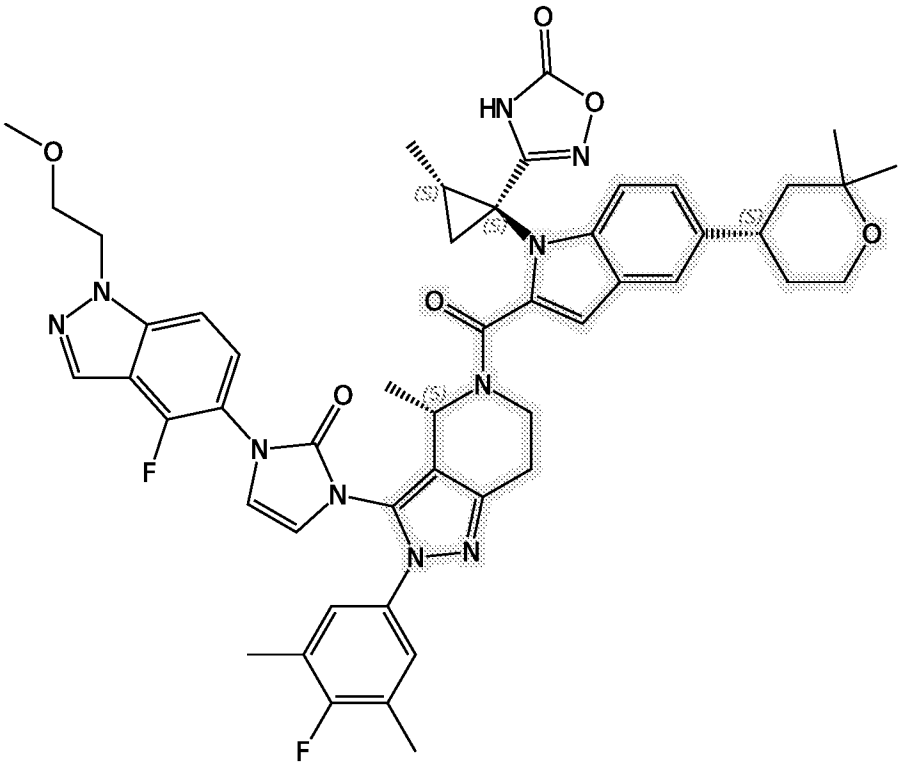
Absolute stereochemistry shown

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)



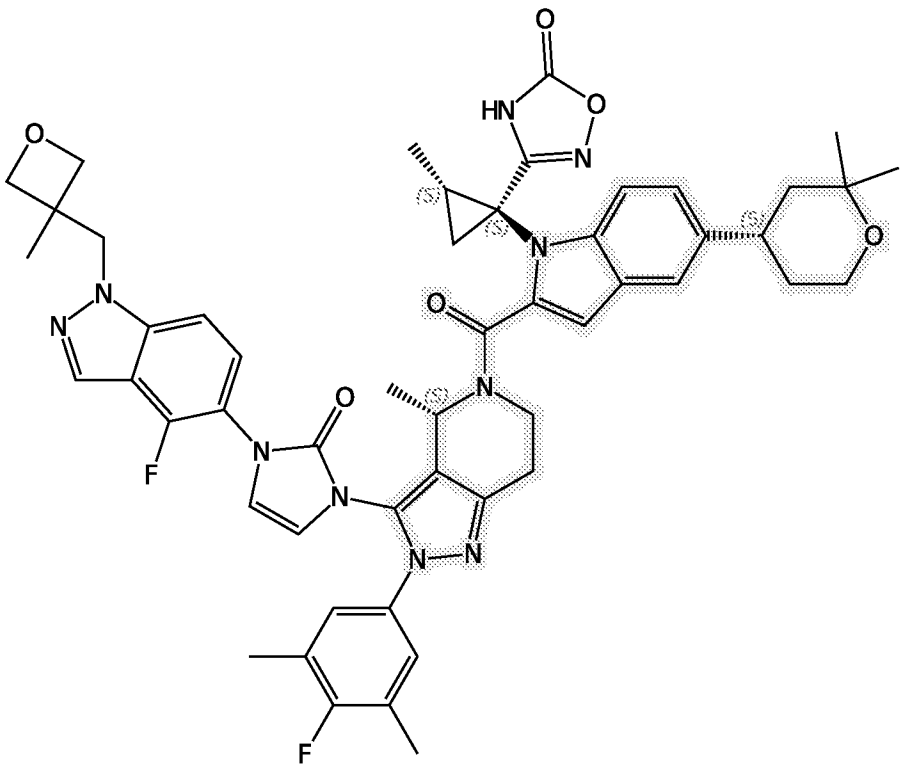
Absolute stereochemistry shown

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)



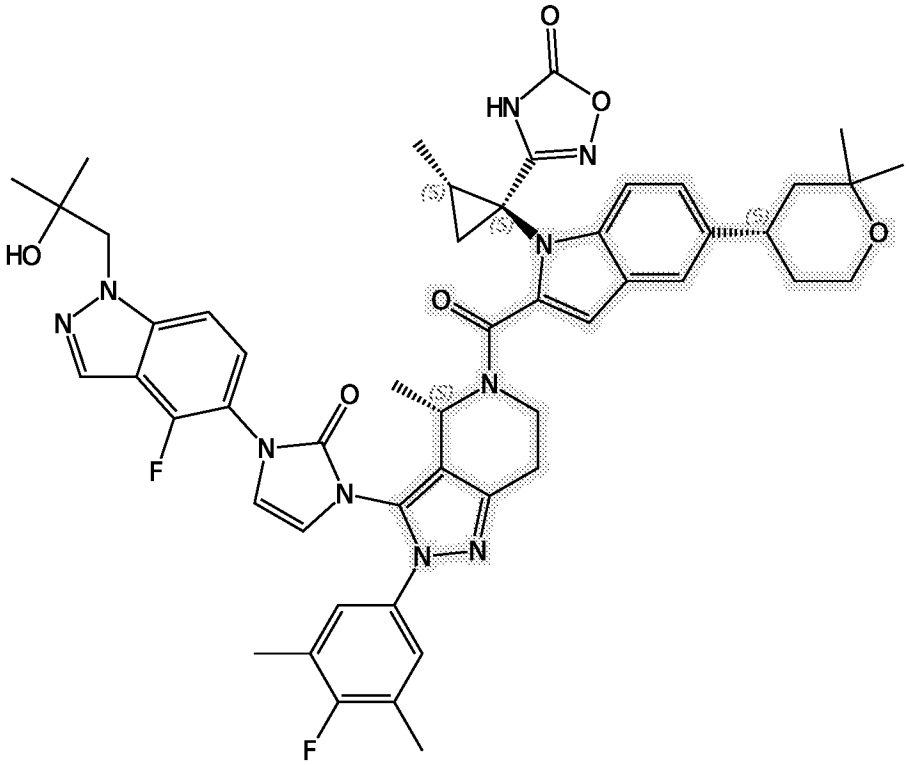
Absolute stereochemistry shown

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)



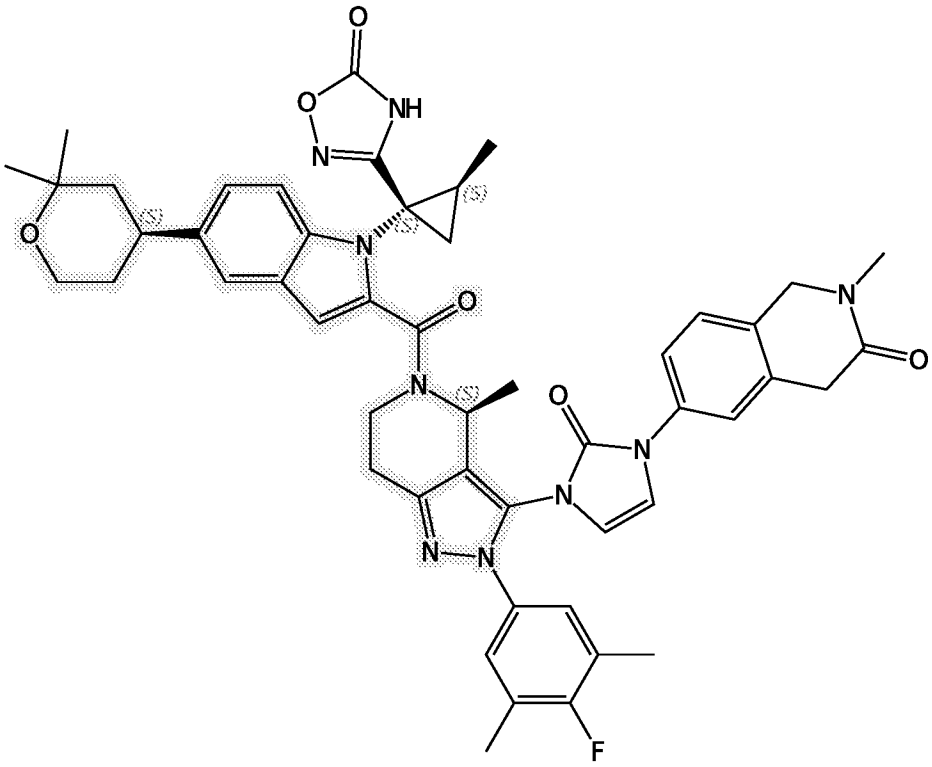
Absolute stereochemistry shown

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)



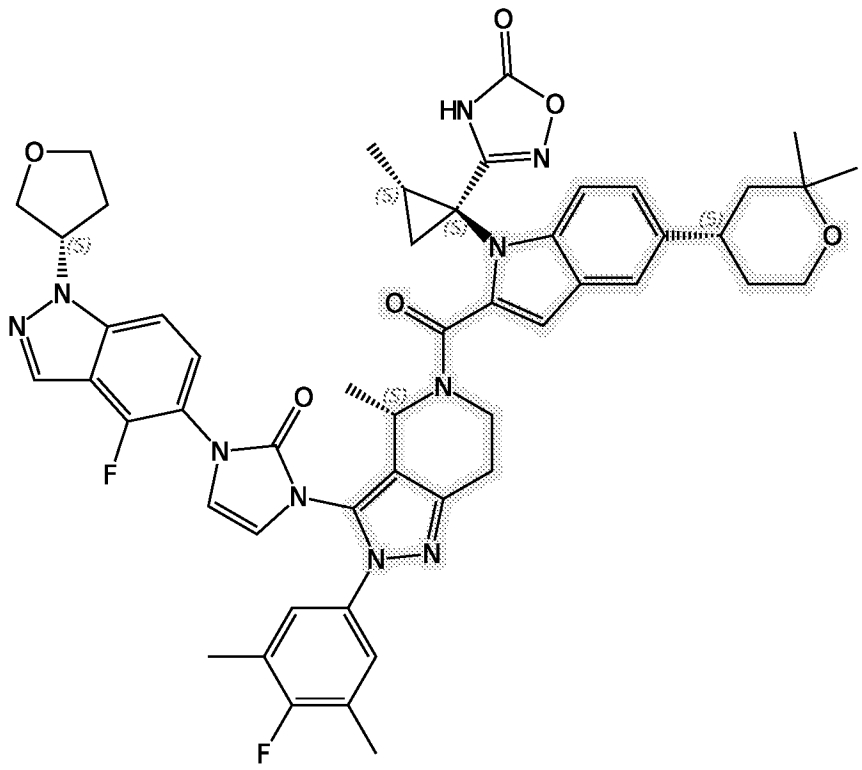
Absolute stereochemistry shown

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)



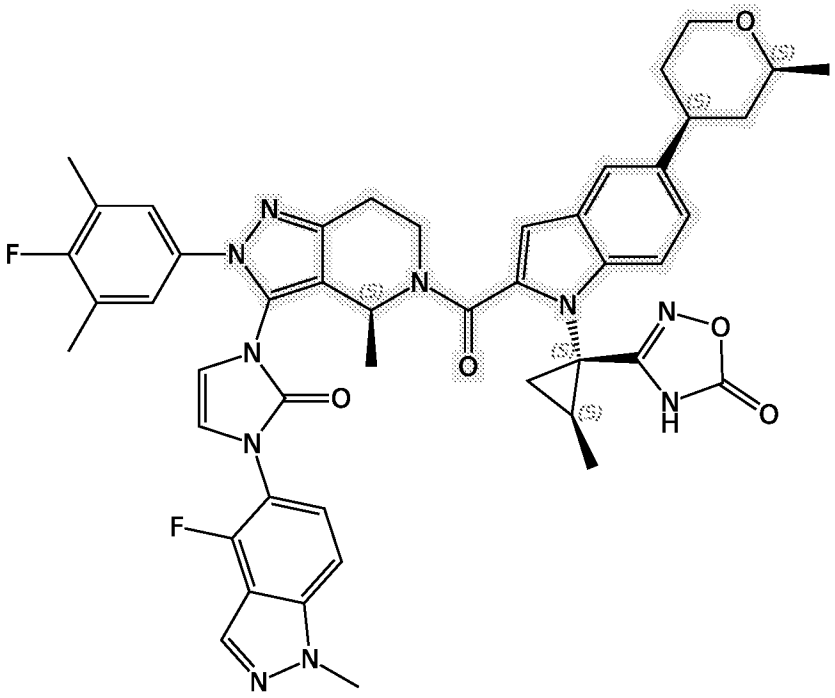
Absolute stereochemistry shown

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)



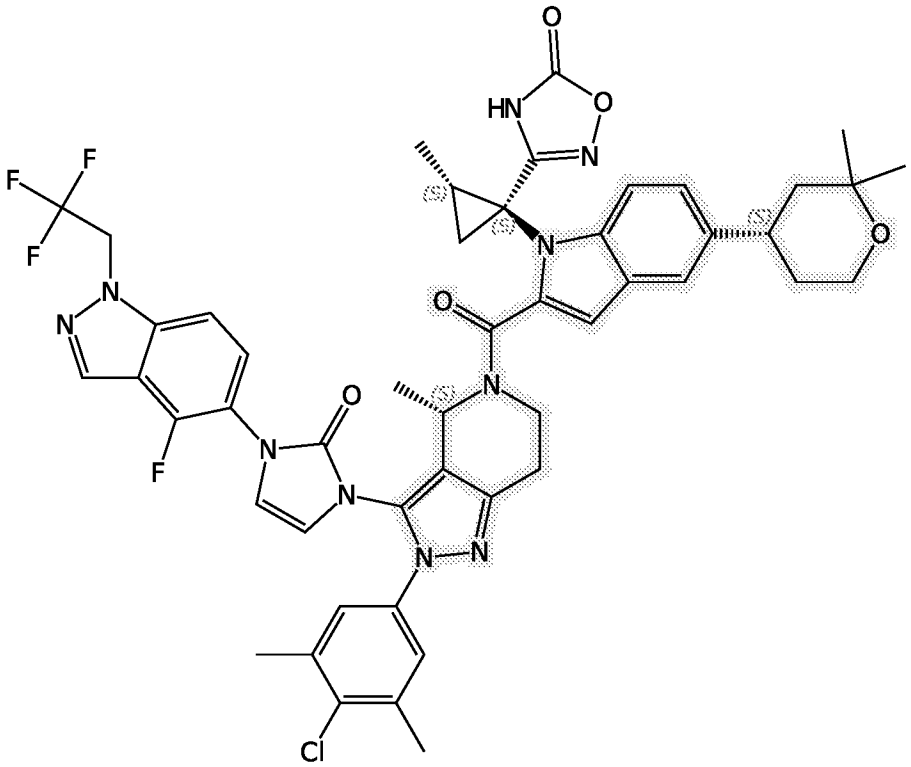
Absolute stereochemistry shown

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)



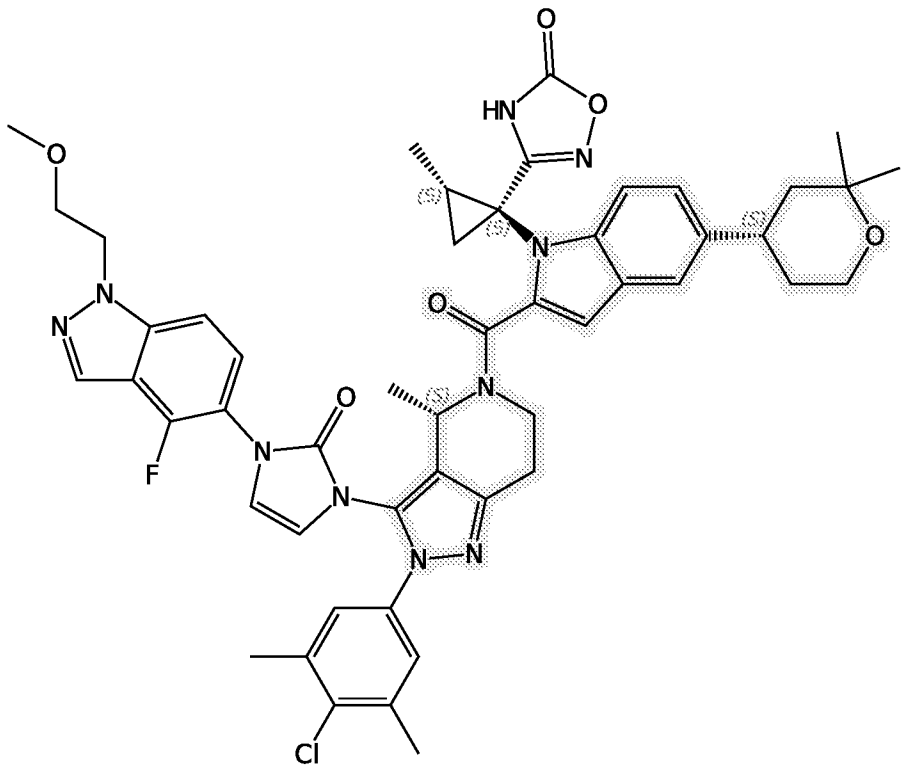
Absolute stereochemistry shown

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)



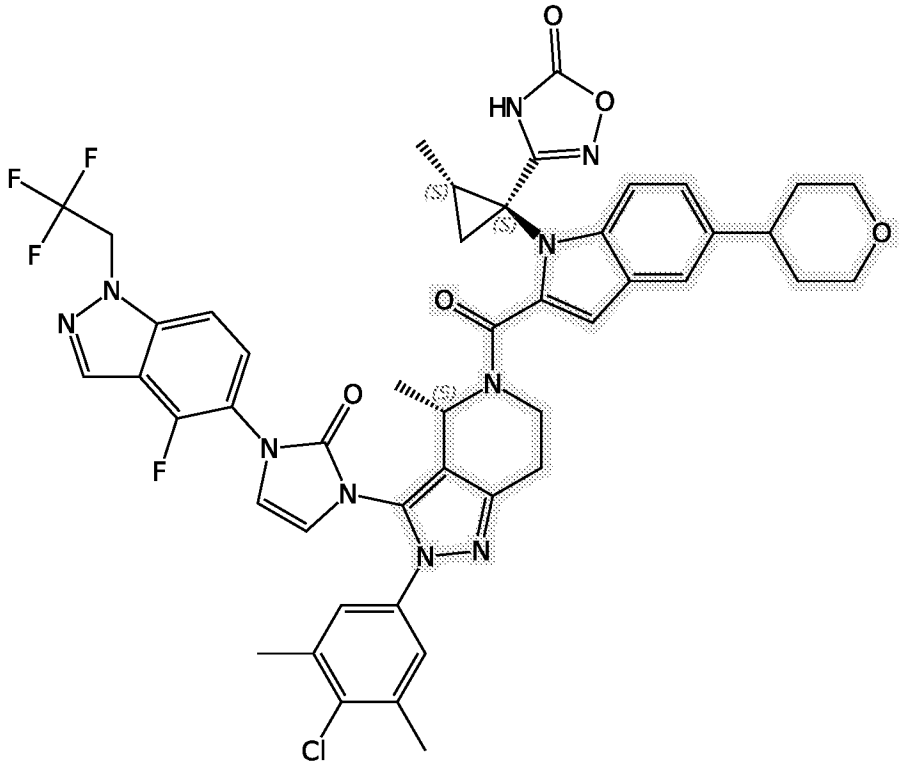
Absolute stereochemistry shown

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)



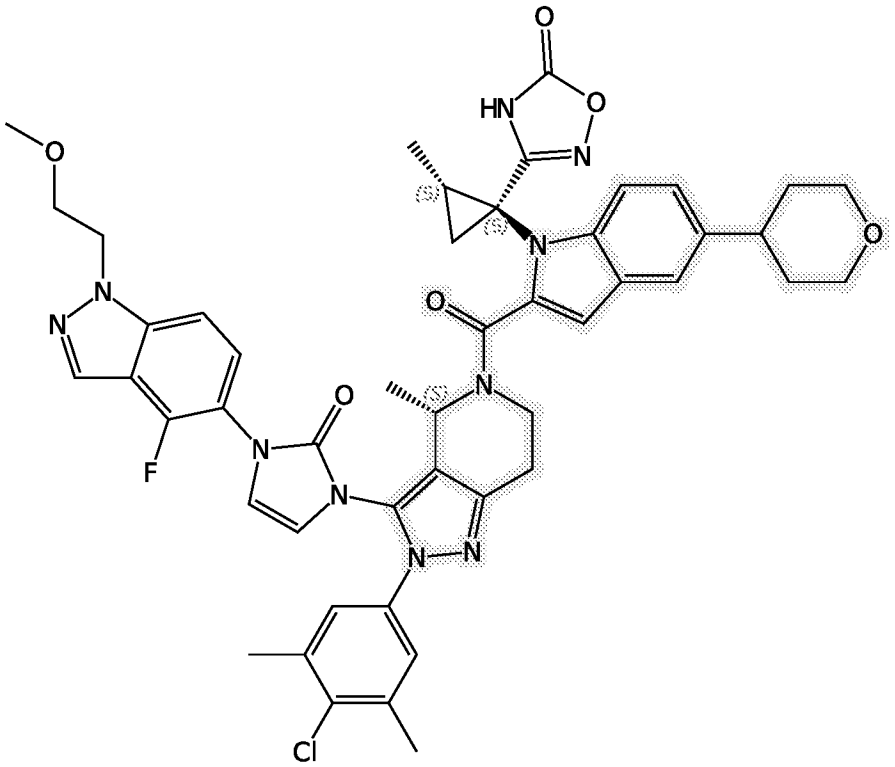
Absolute stereochemistry shown

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)



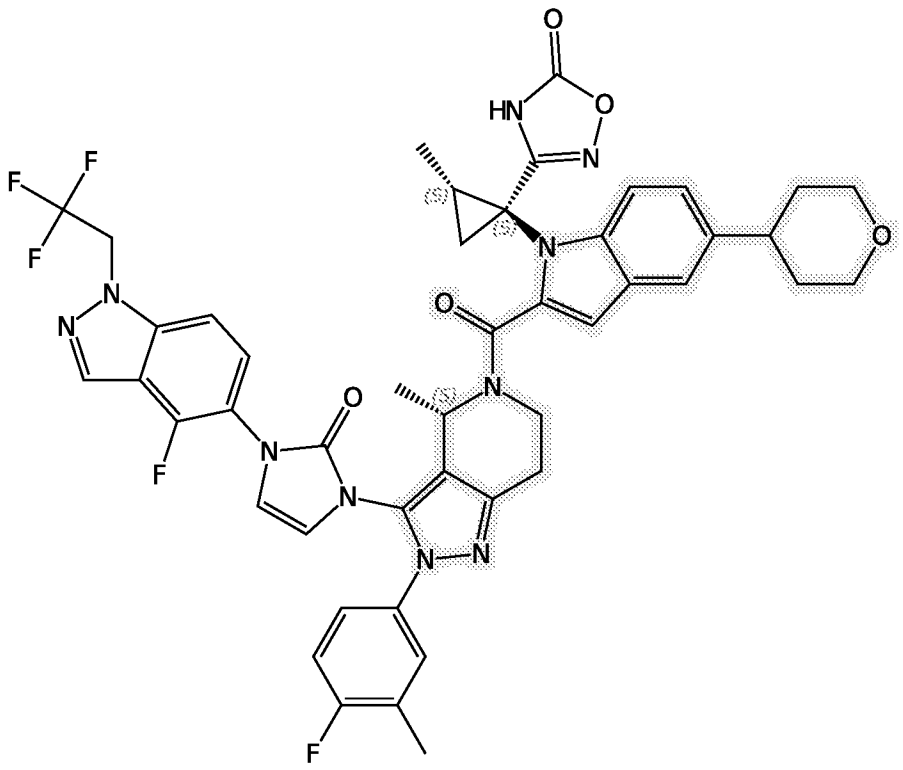

Absolute stereochemistry shown

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)



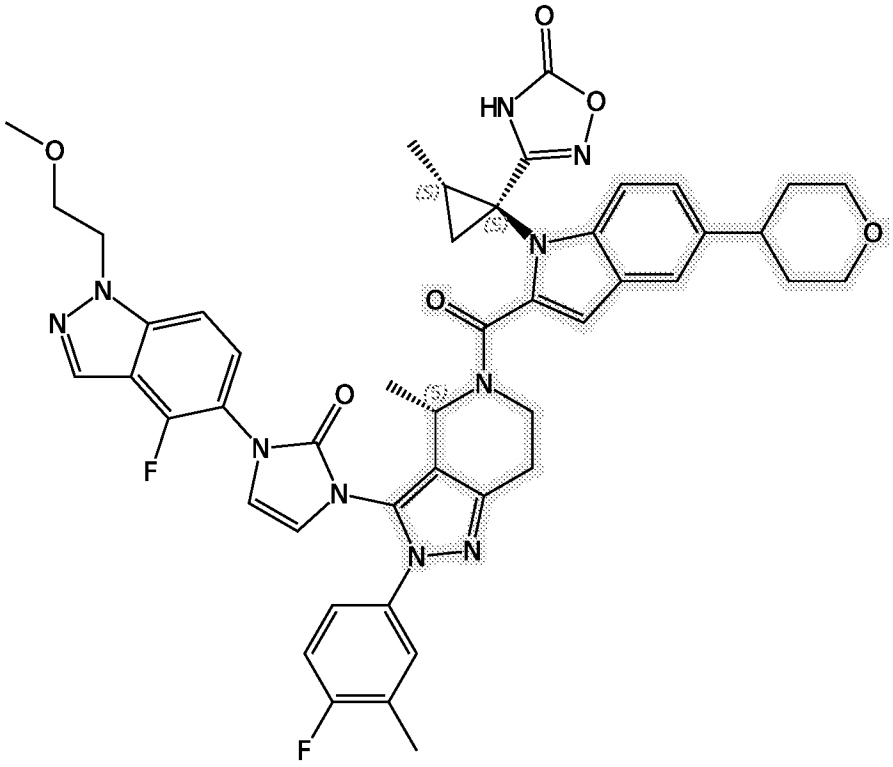
Absolute stereochemistry shown

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)



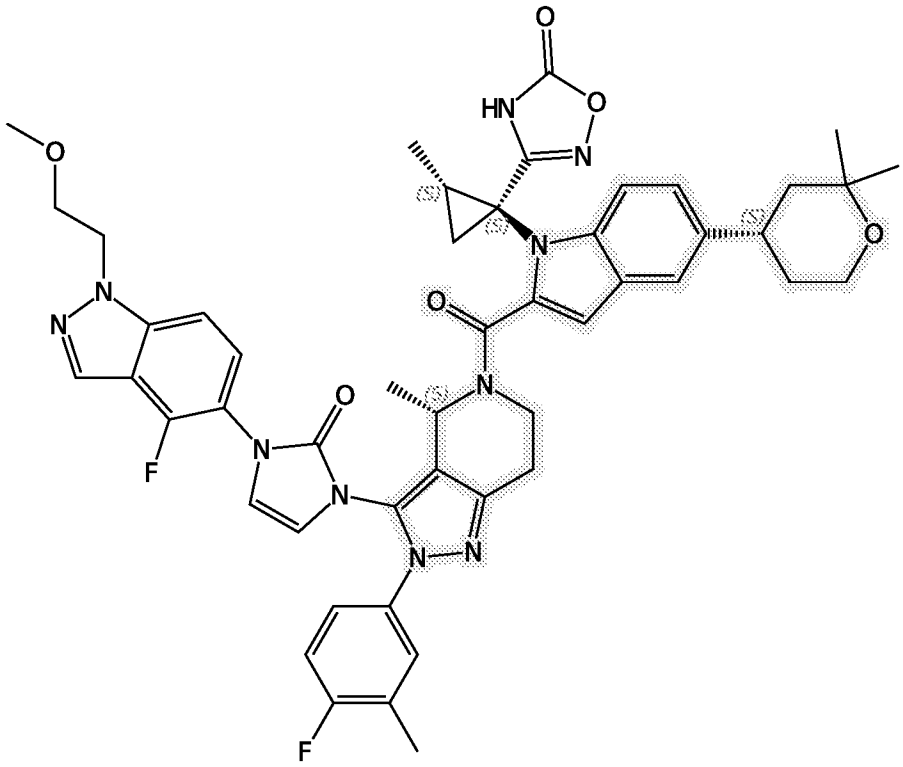
Absolute stereochemistry shown

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)



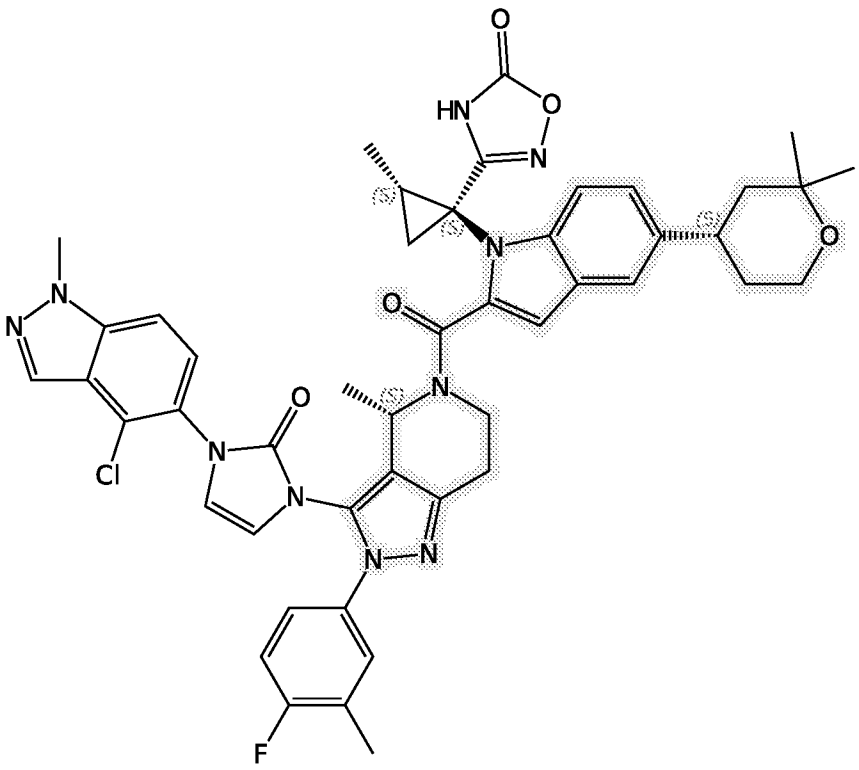
Absolute stereochemistry shown

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)



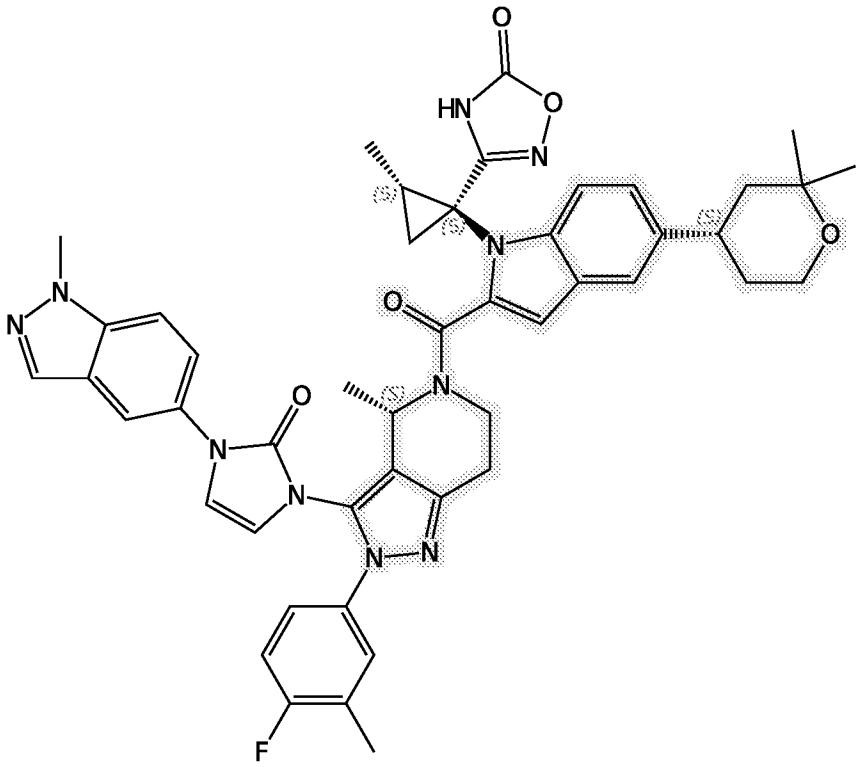
Absolute stereochemistry shown

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)



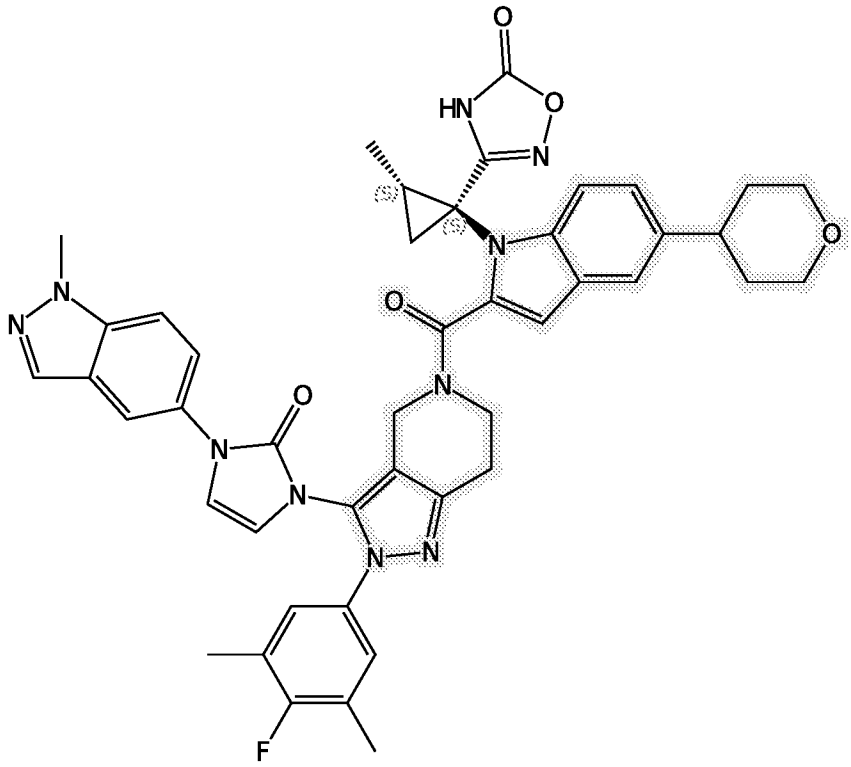
Absolute stereochemistry shown

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)



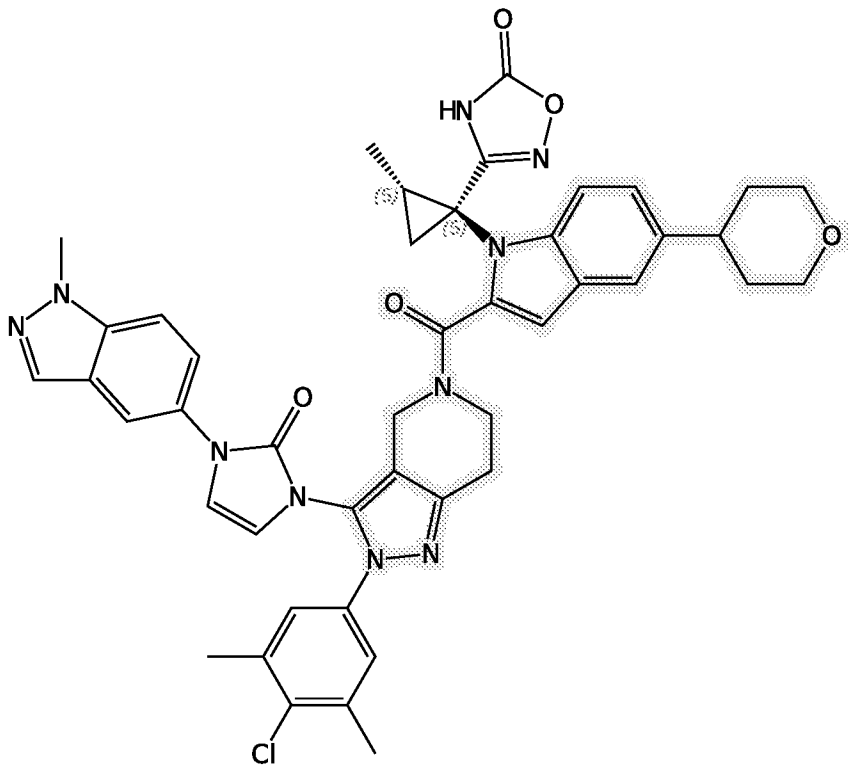
Absolute stereochemistry shown

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)



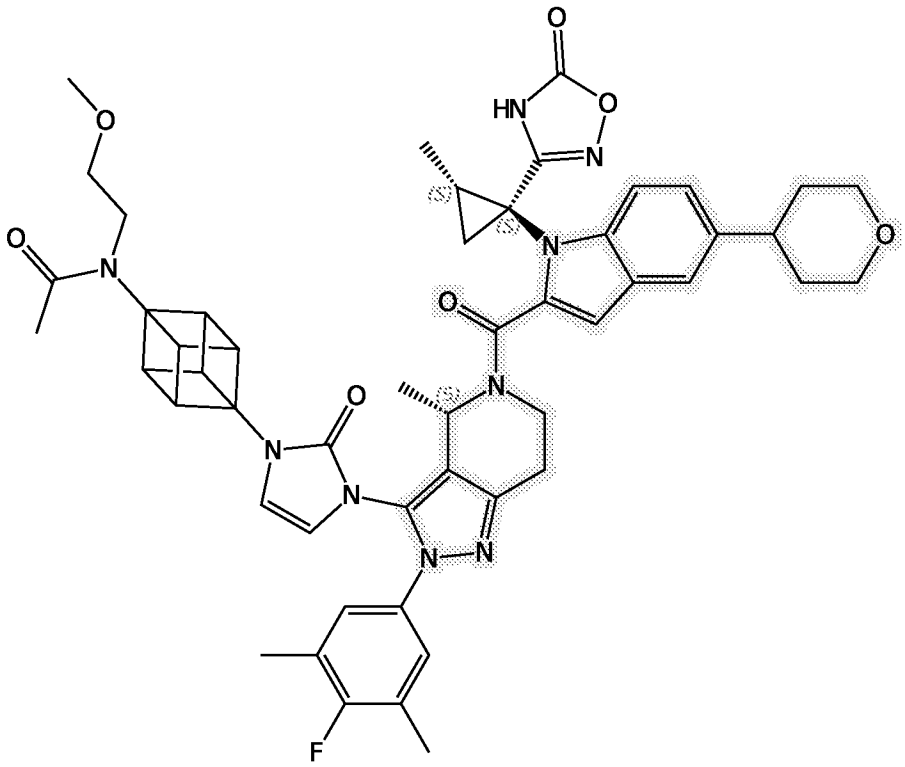
Absolute stereochemistry shown

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)



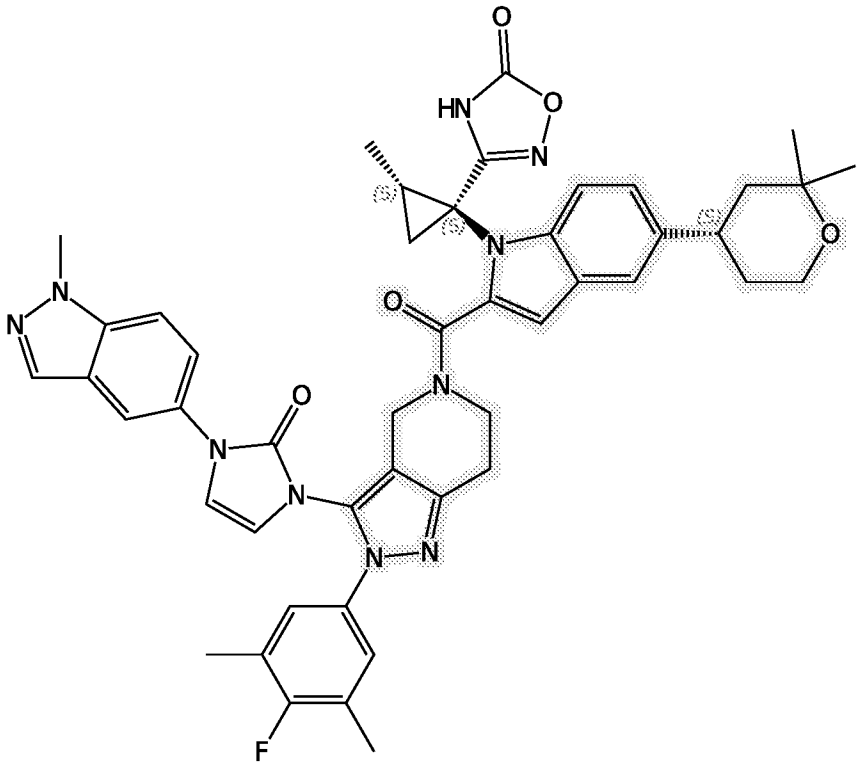
Absolute stereochemistry shown

RN 2212020-42-1 CAPLUS
CN Acetamide, N-[4-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-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]pentacyclo[4.2.0.02,5.03,8.04,7]octyl]-N-(2-methoxyethyl)- (CA INDEX NAME)



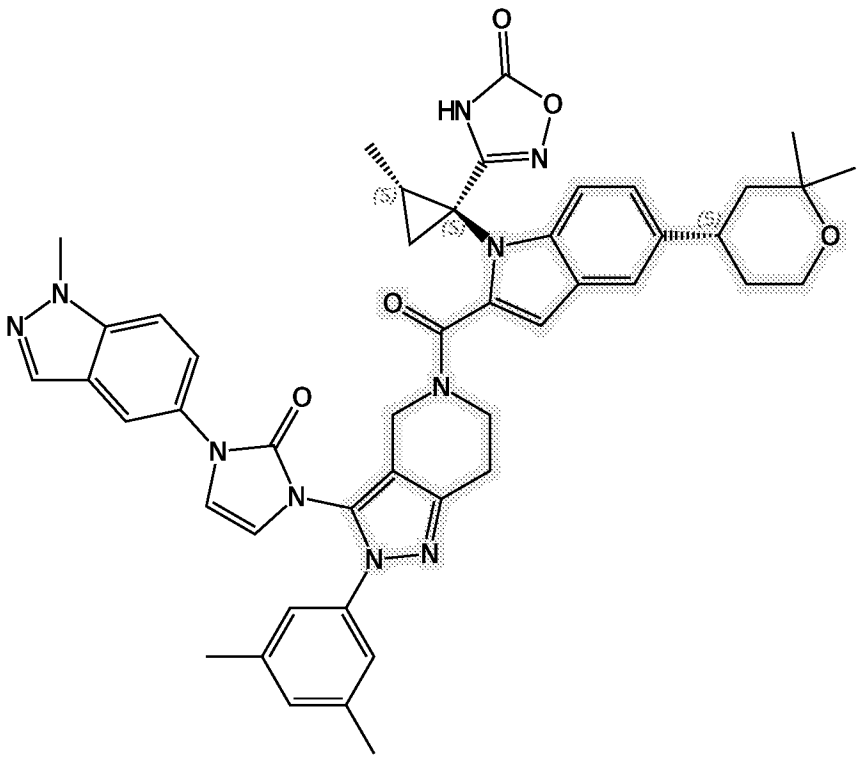
Absolute stereochemistry shown

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-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)



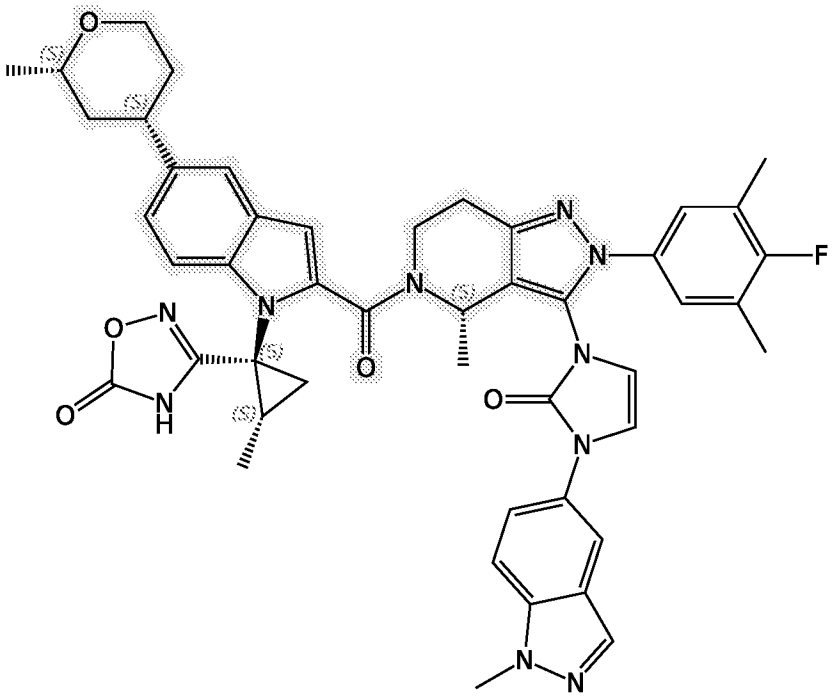
Absolute stereochemistry shown

RN 2212020-45-4 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)



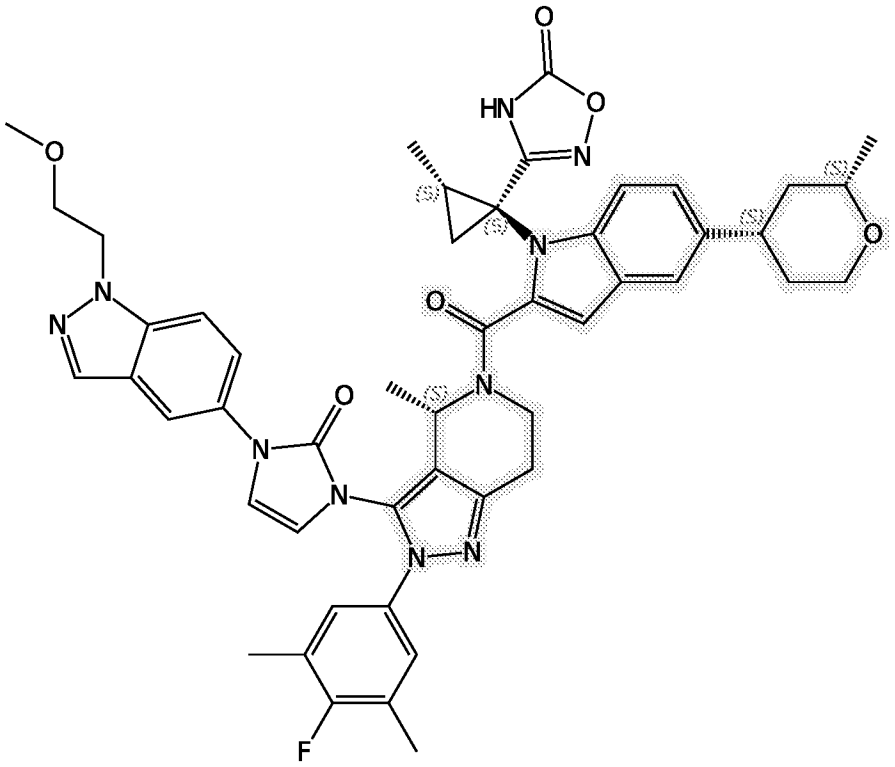
Absolute stereochemistry shown

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)



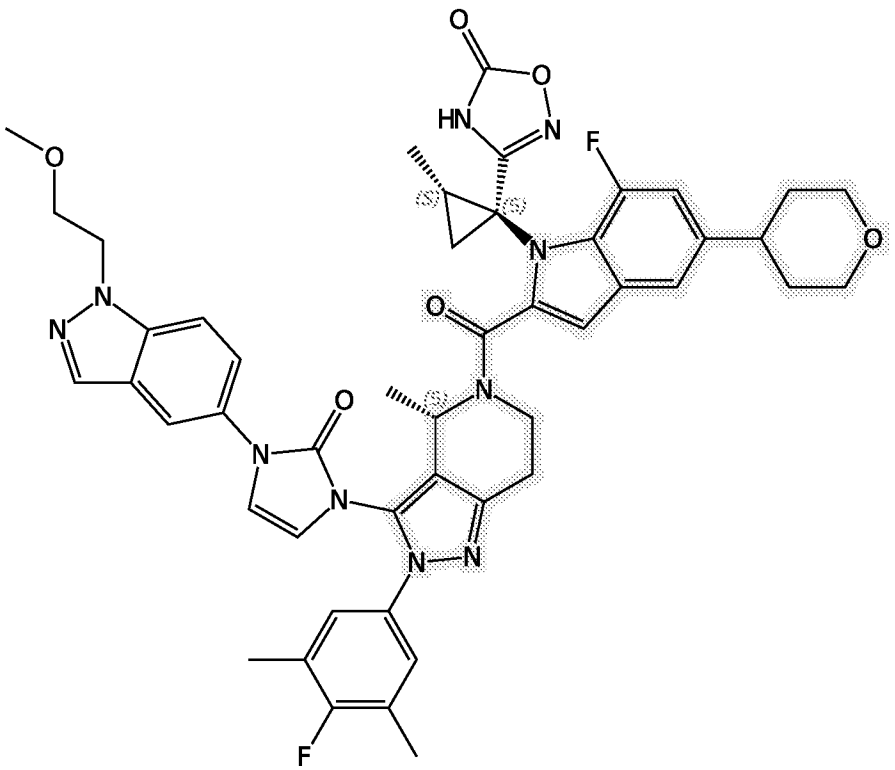
Absolute stereochemistry shown

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)



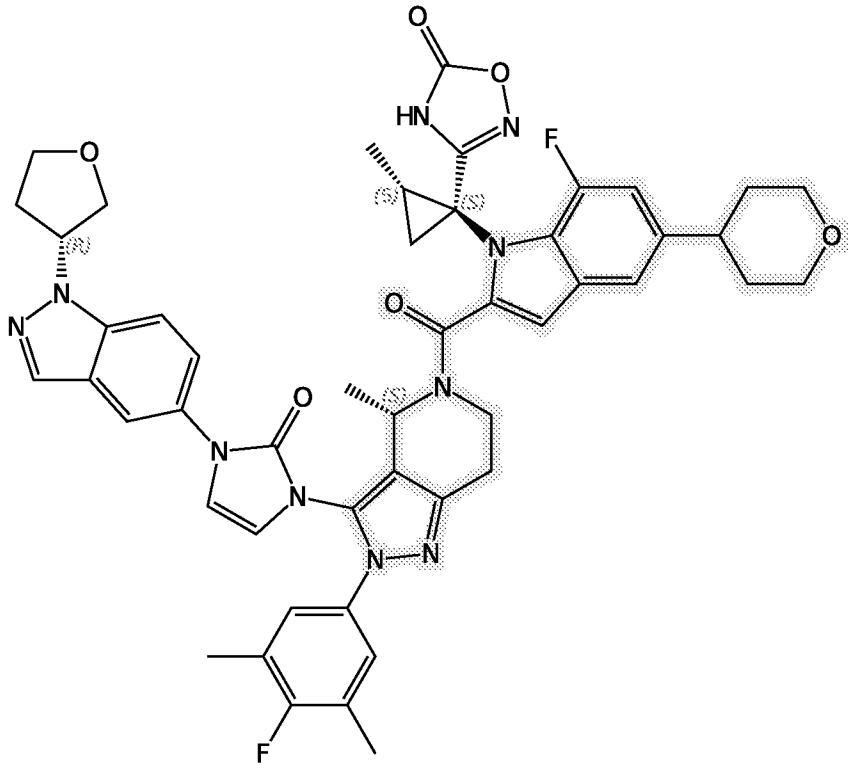
Absolute stereochemistry shown

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)



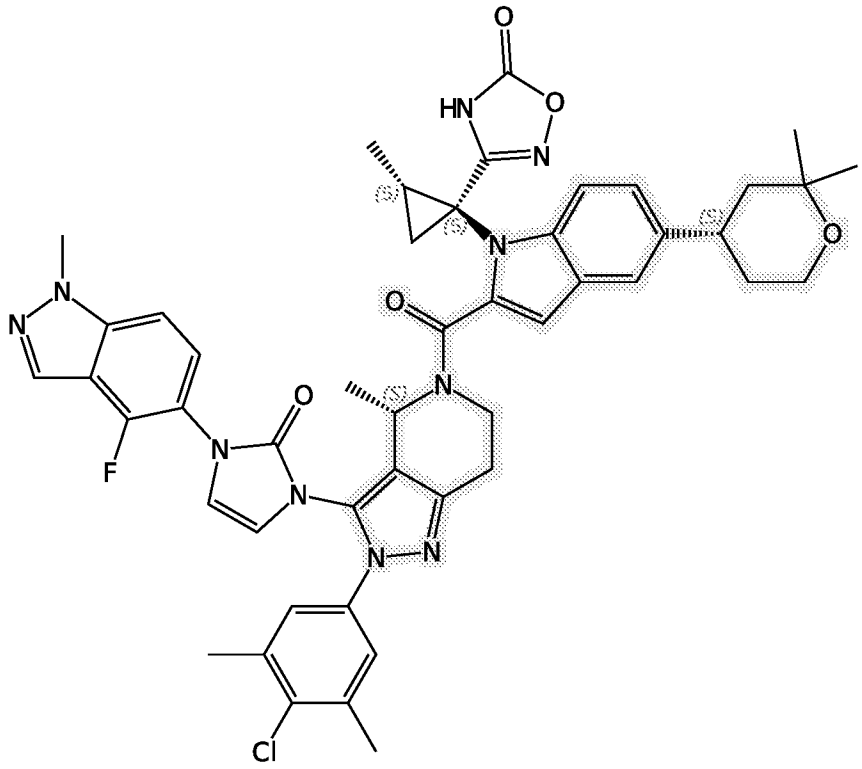
Absolute stereochemistry shown

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)



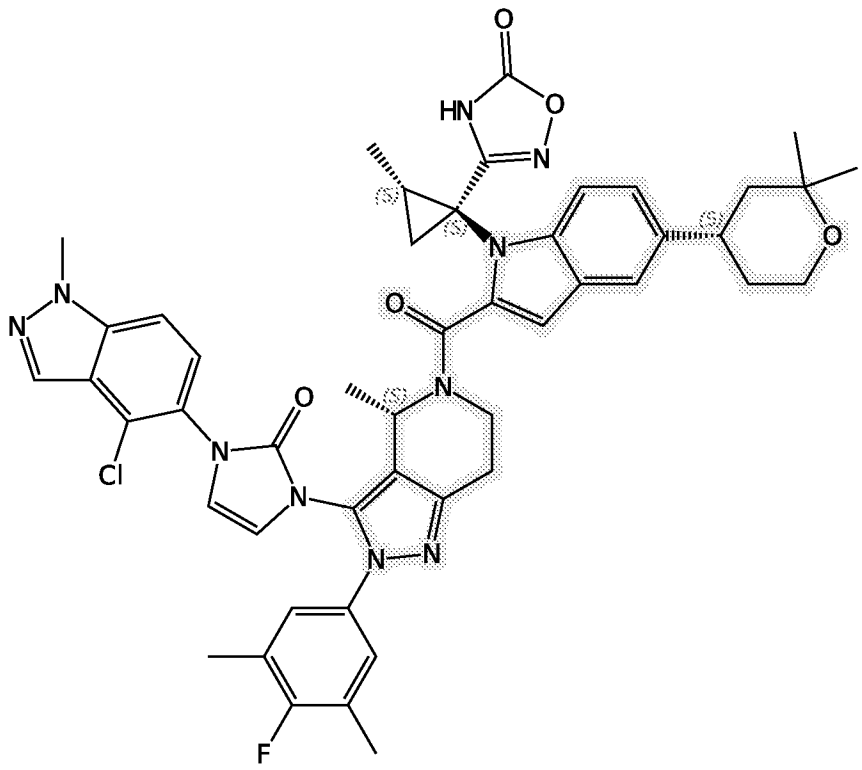
Absolute stereochemistry shown

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)



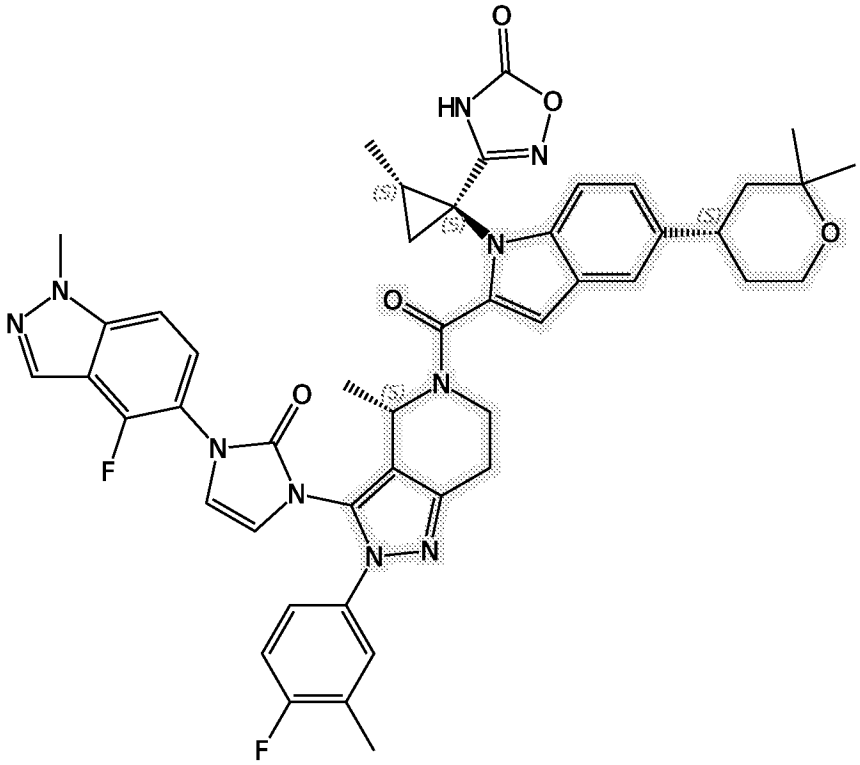
Absolute stereochemistry shown

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)



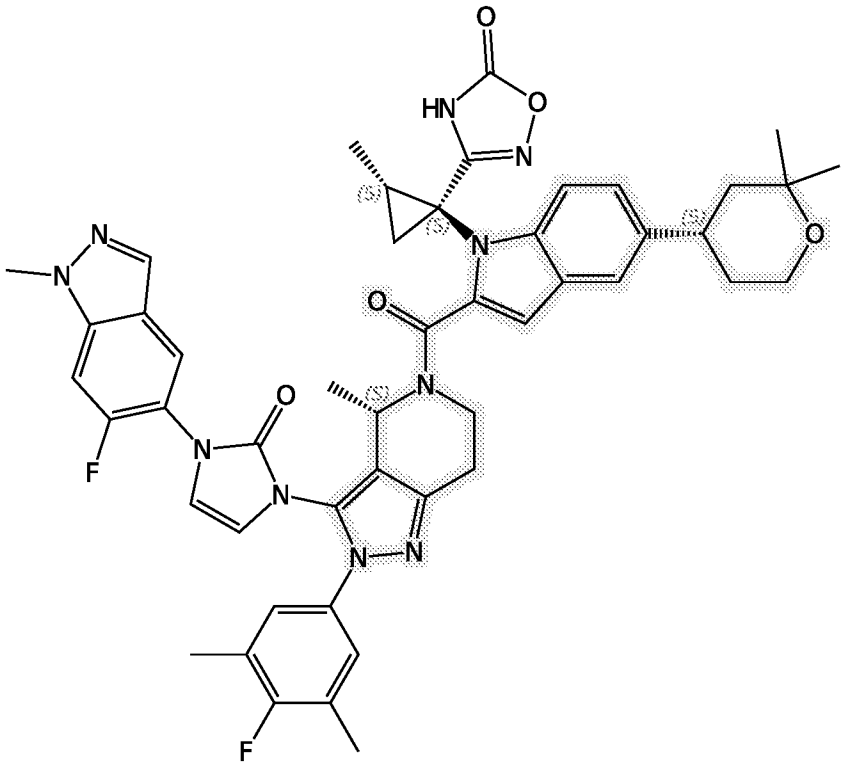
Absolute stereochemistry shown

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)



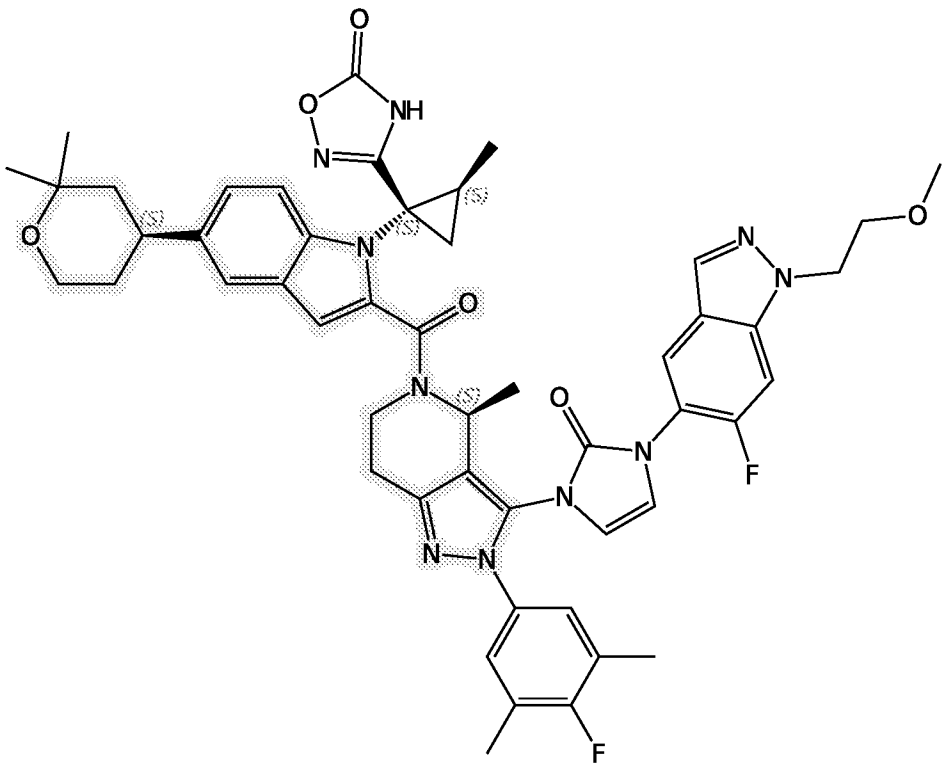
Absolute stereochemistry shown

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)



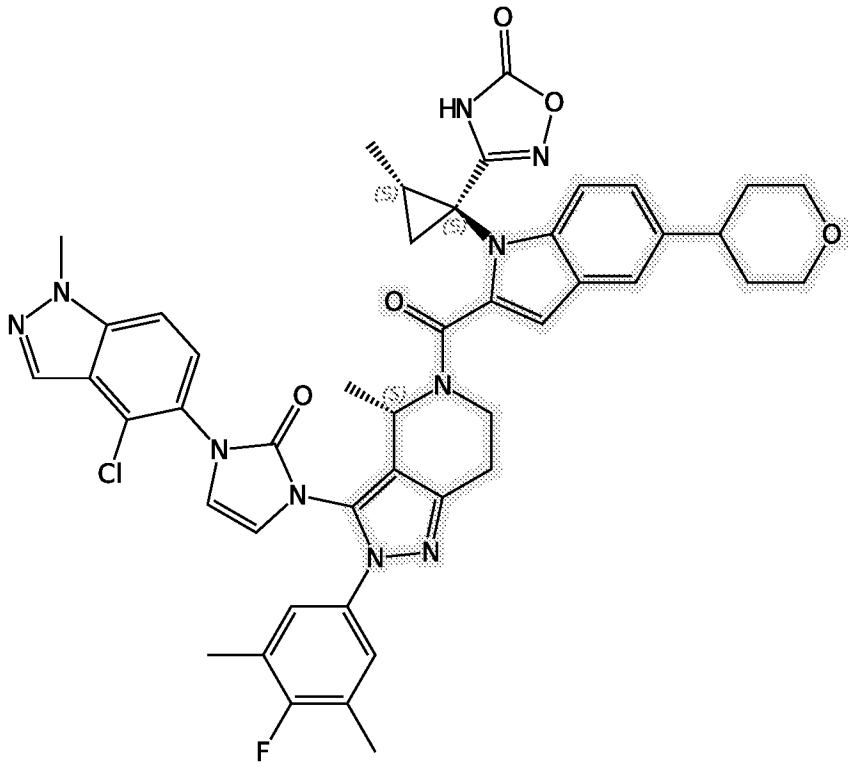
Absolute stereochemistry shown

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)



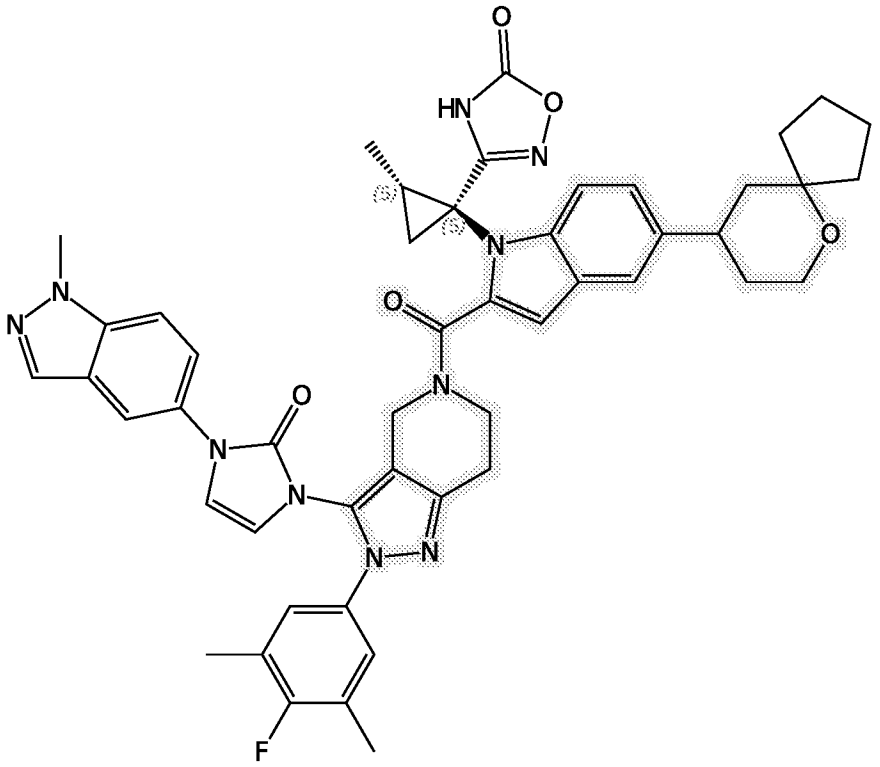
Absolute stereochemistry shown

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)



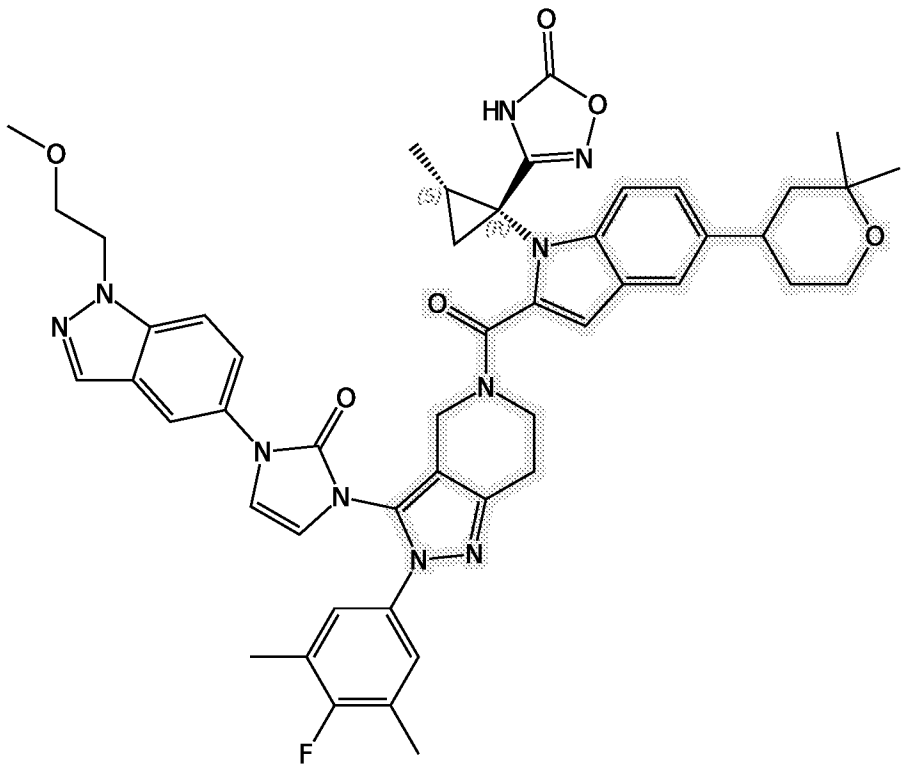
Absolute stereochemistry shown

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)



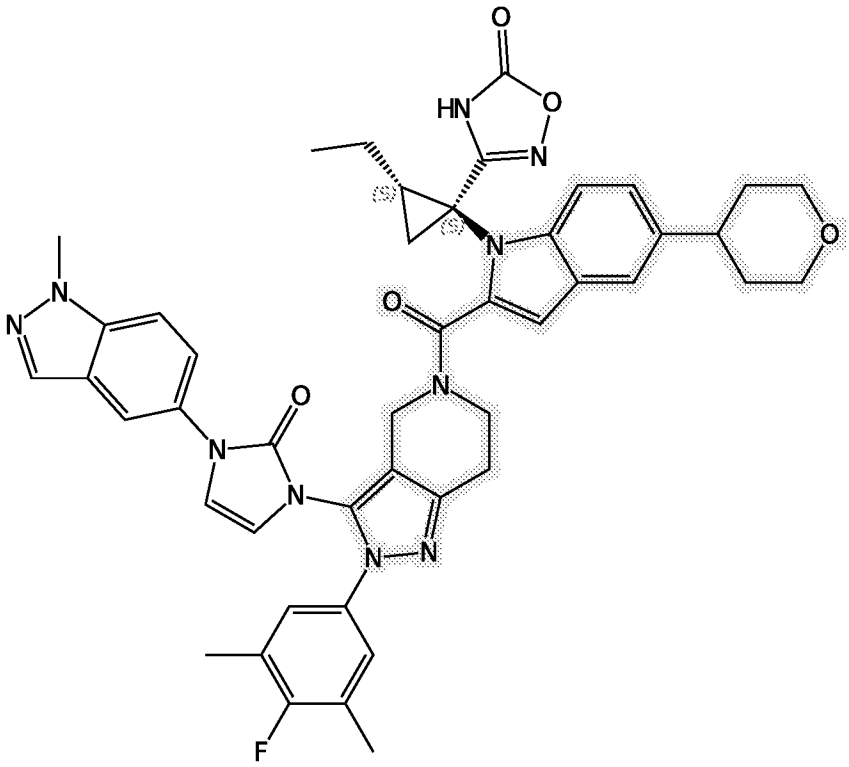
Absolute stereochemistry shown

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)



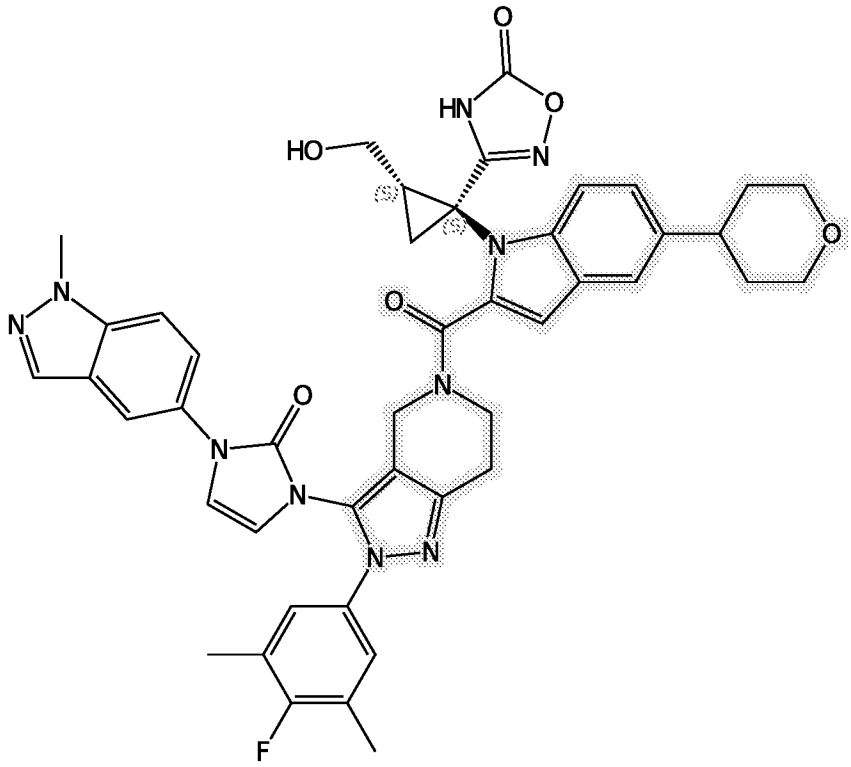
Absolute stereochemistry shown

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)



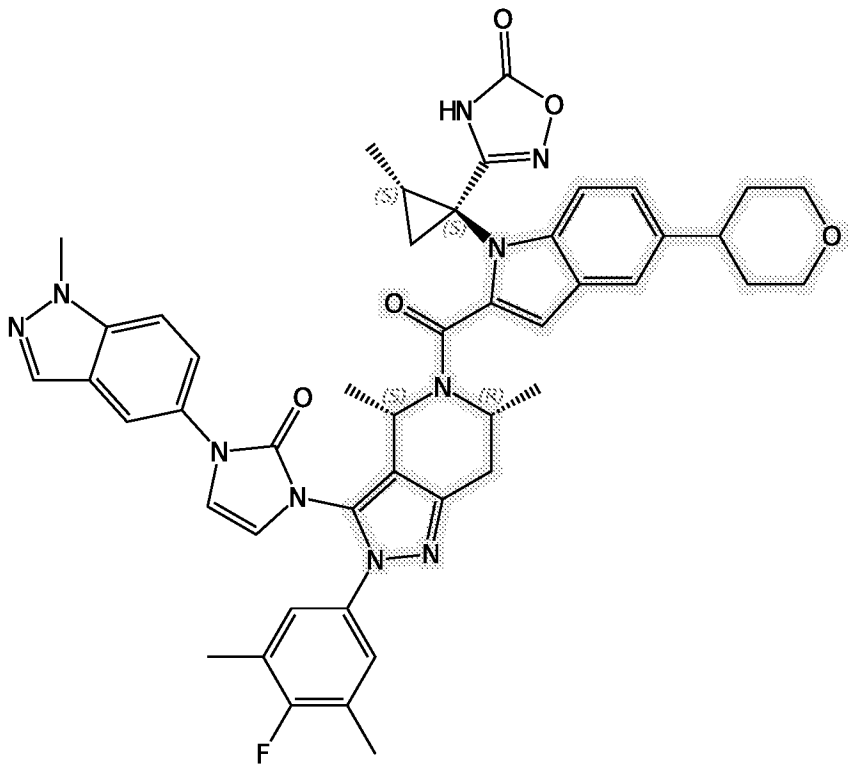
Absolute stereochemistry shown

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)



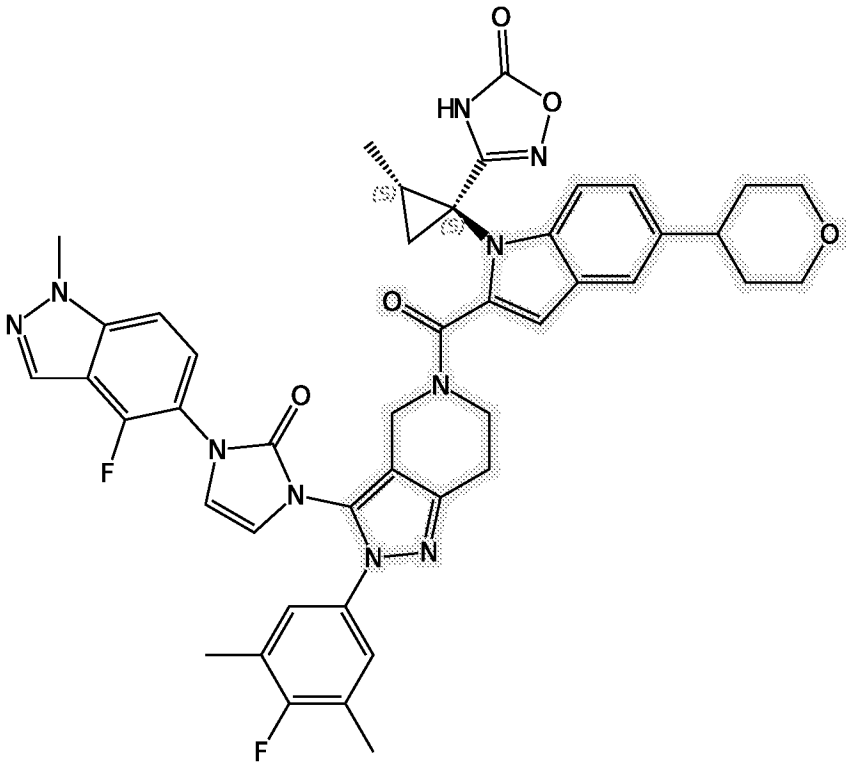
Absolute stereochemistry shown

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)



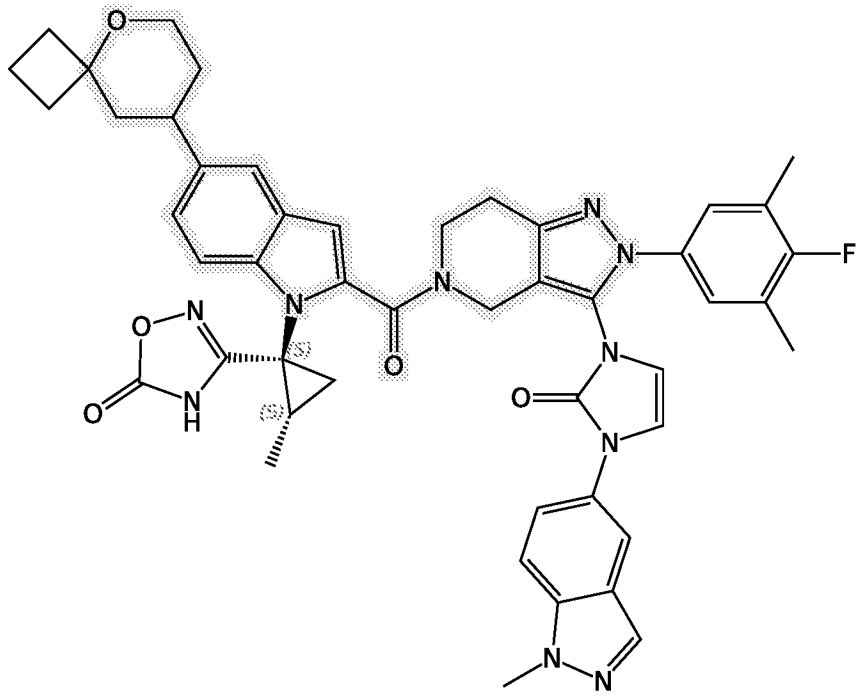
Absolute stereochemistry shown

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)



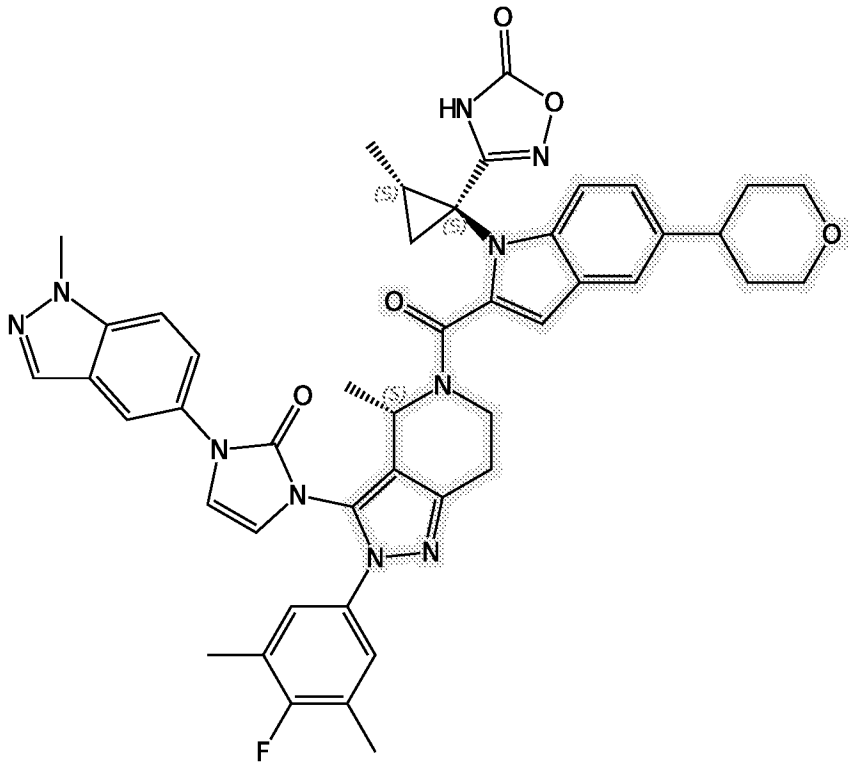
Absolute stereochemistry shown

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)



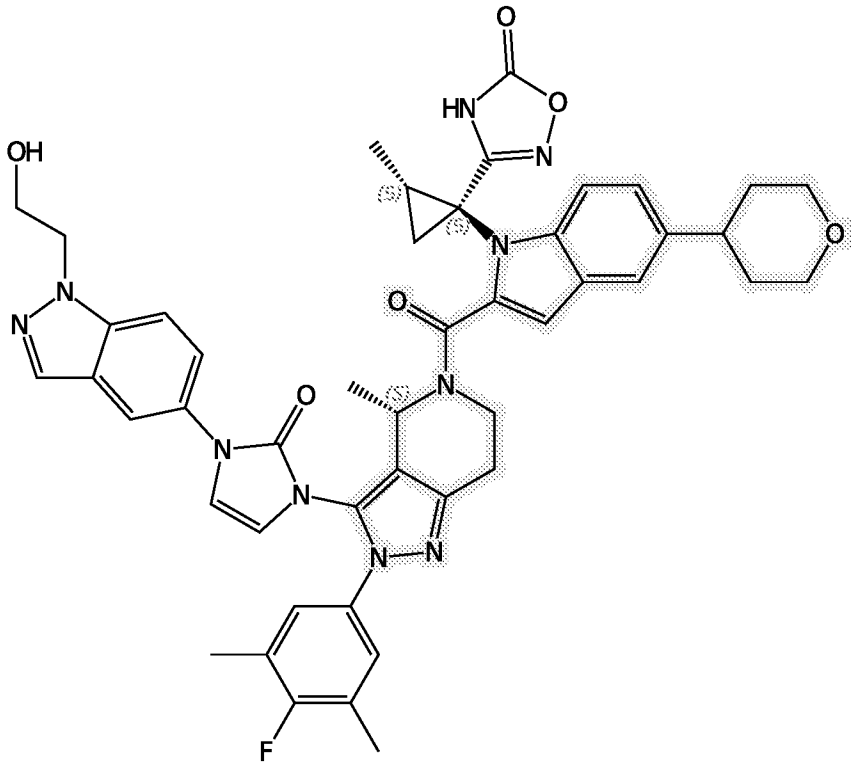
Absolute stereochemistry shown

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)



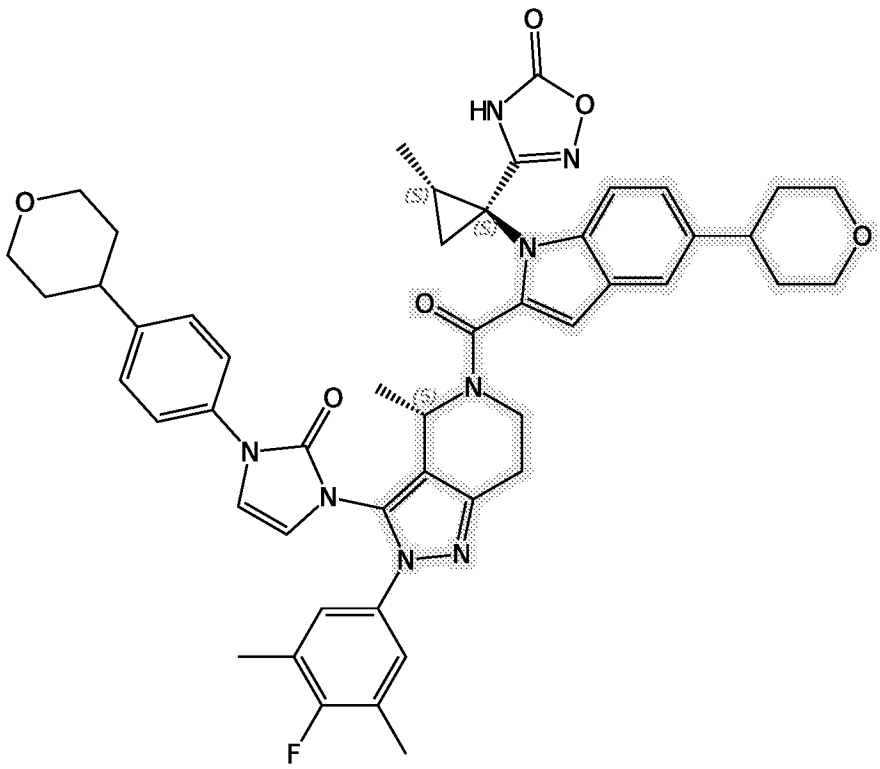
Absolute stereochemistry shown

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)



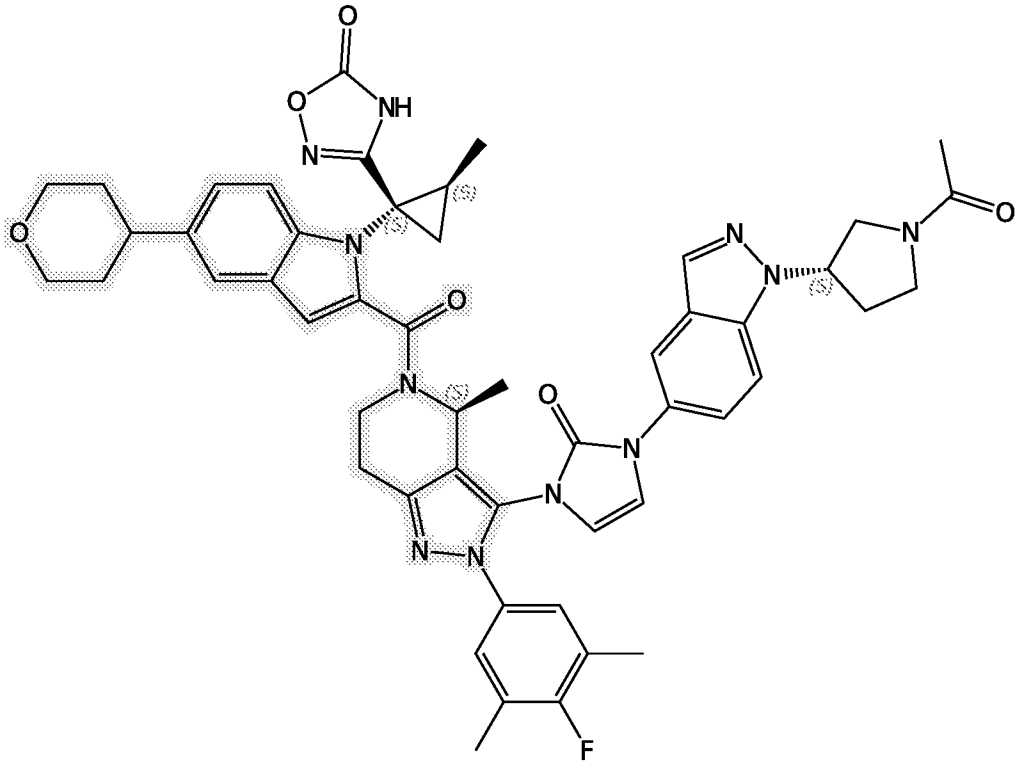
Absolute stereochemistry shown

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)



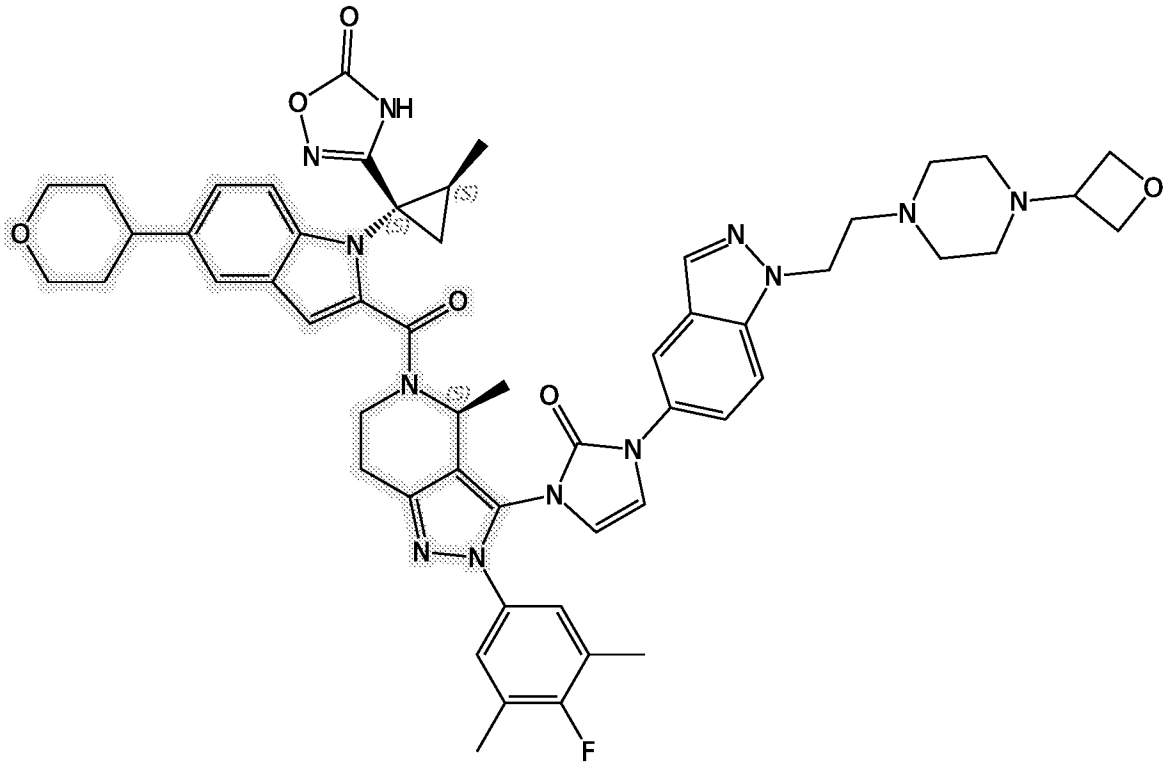
Absolute stereochemistry shown

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)



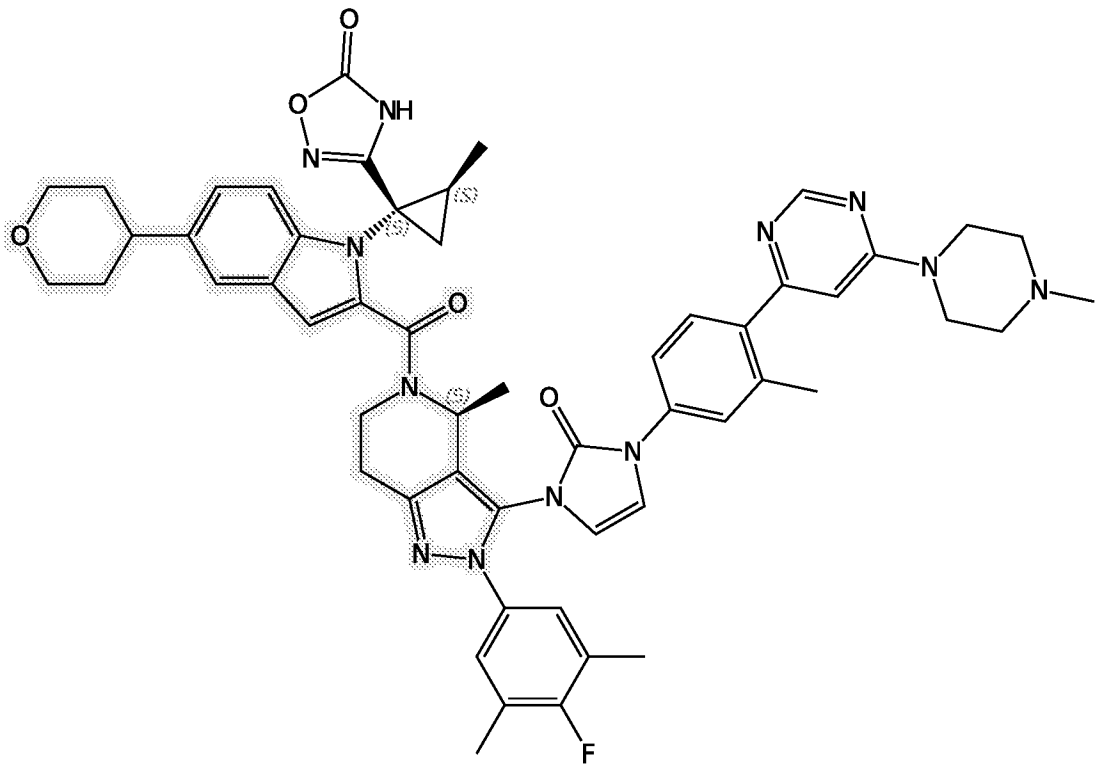
Absolute stereochemistry shown

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)



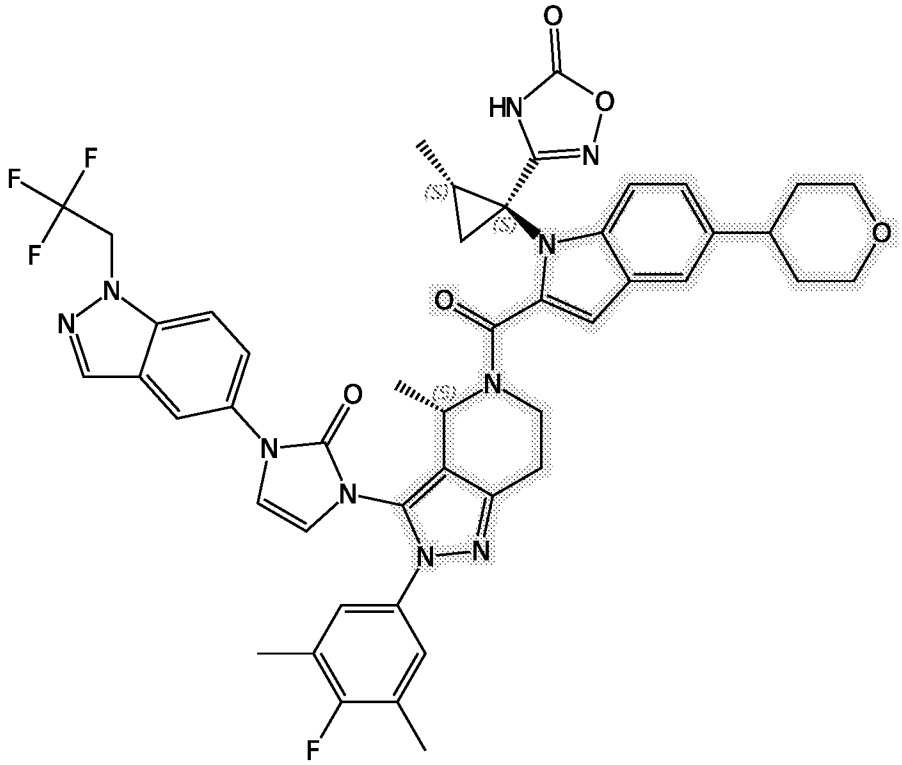
Absolute stereochemistry shown

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)



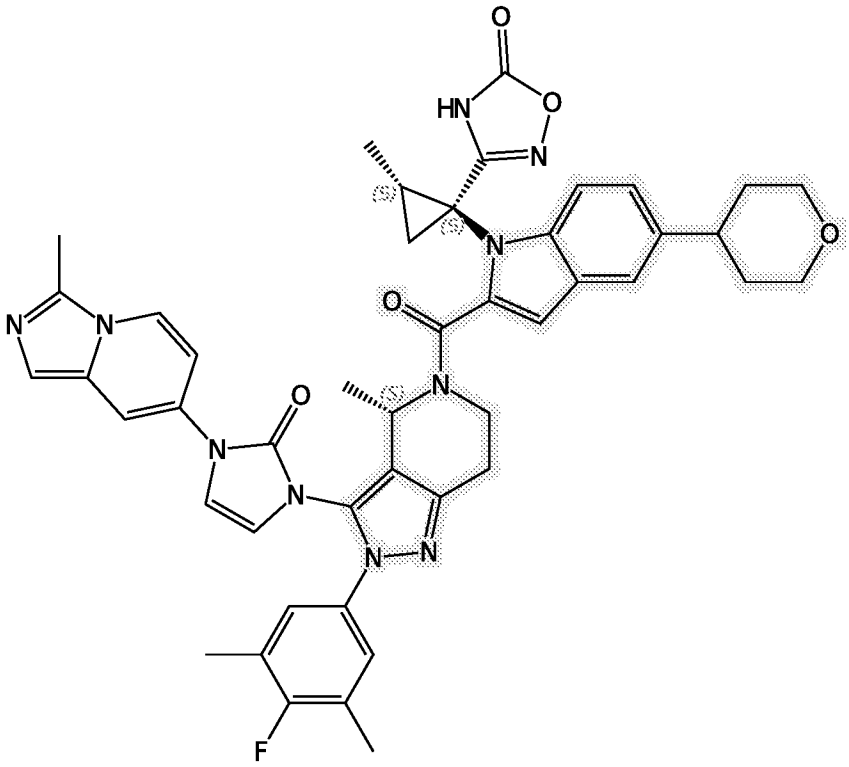
Absolute stereochemistry shown

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)



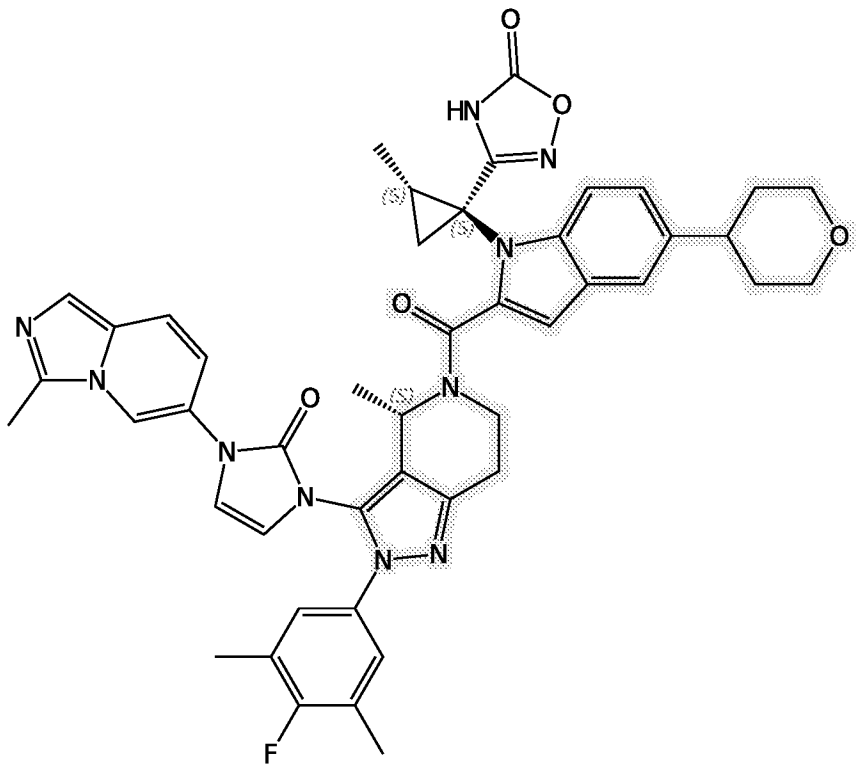
Absolute stereochemistry shown

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)



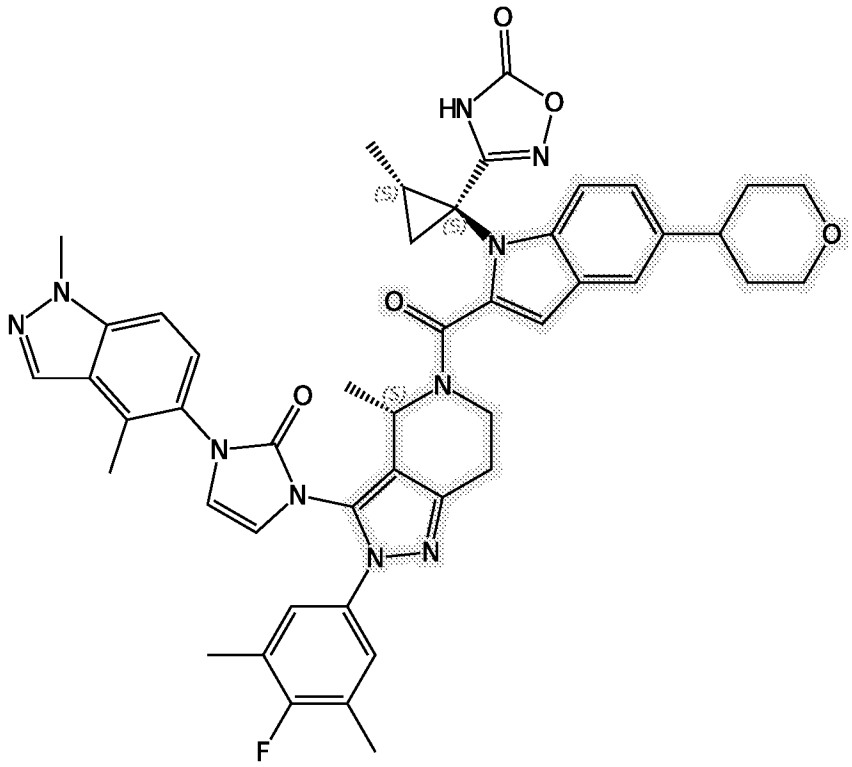
Absolute stereochemistry shown

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)



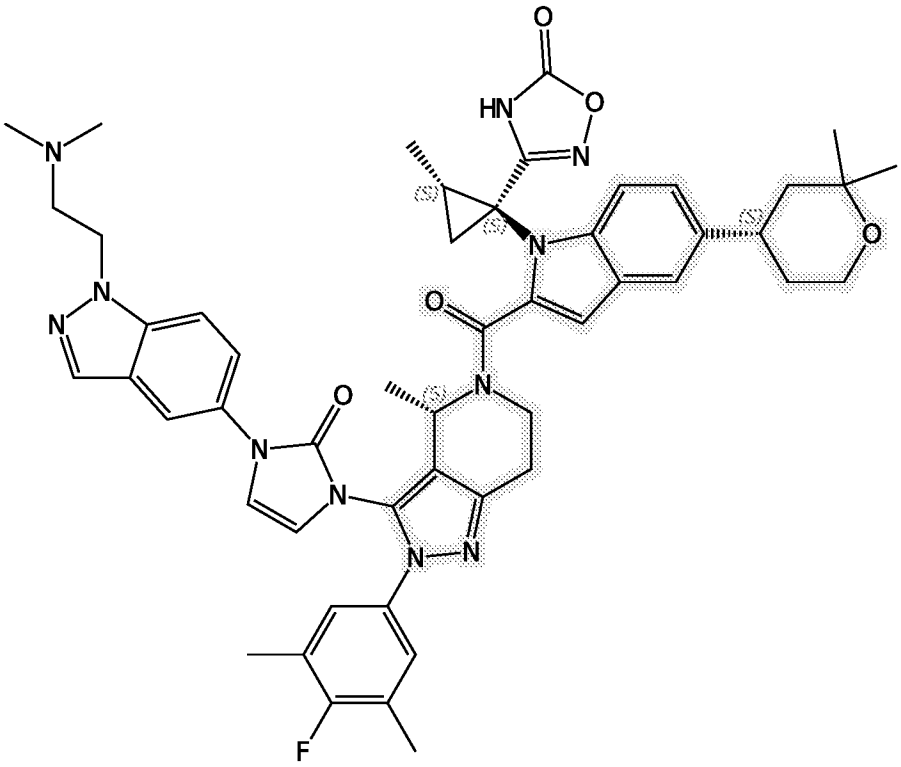
Absolute stereochemistry shown

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-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)



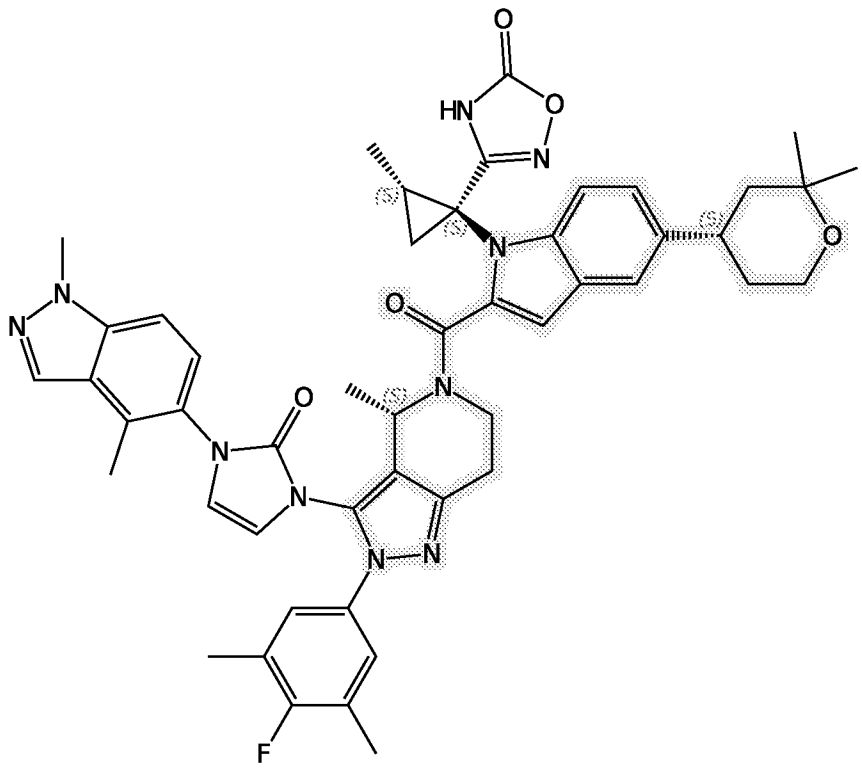
Absolute stereochemistry shown

RN 2212020-91-0 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-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)



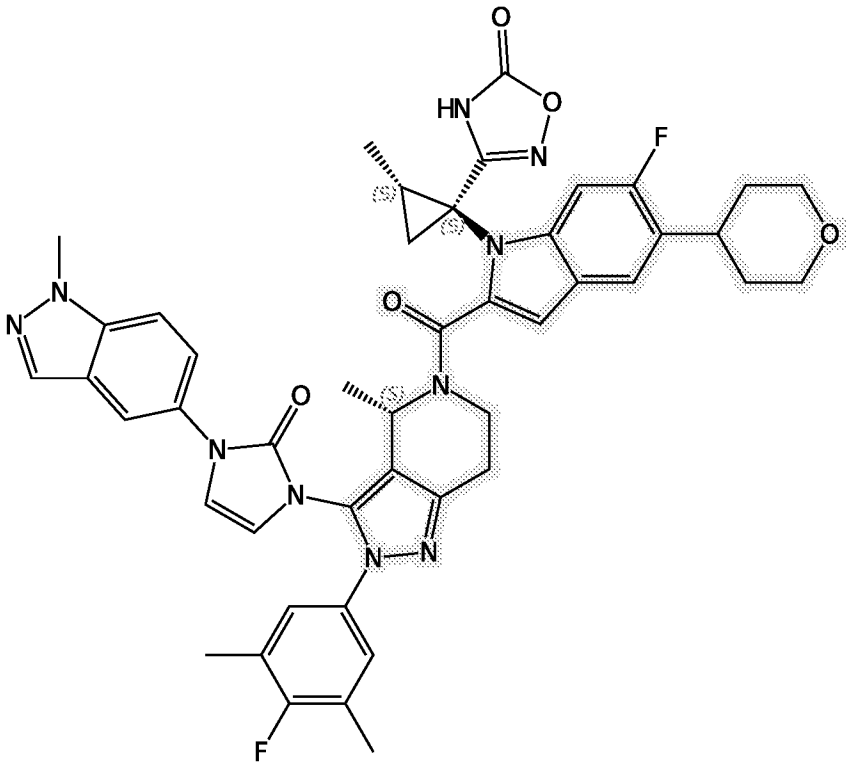
Absolute stereochemistry shown

RN 2212020-92-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-dimethyl-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)



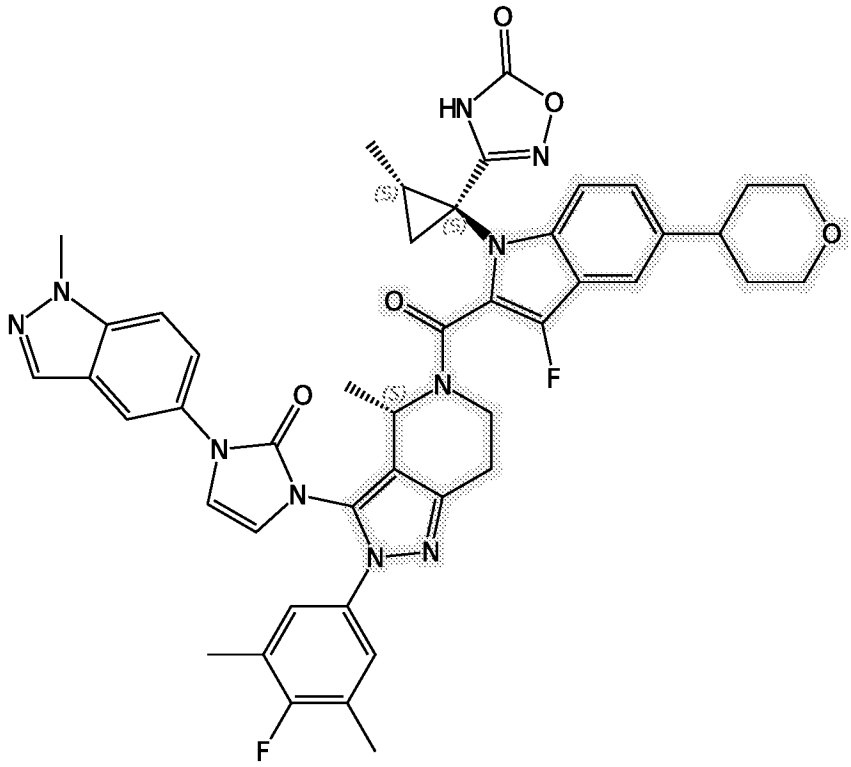
Absolute stereochemistry shown

RN 2212020-94-3 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)



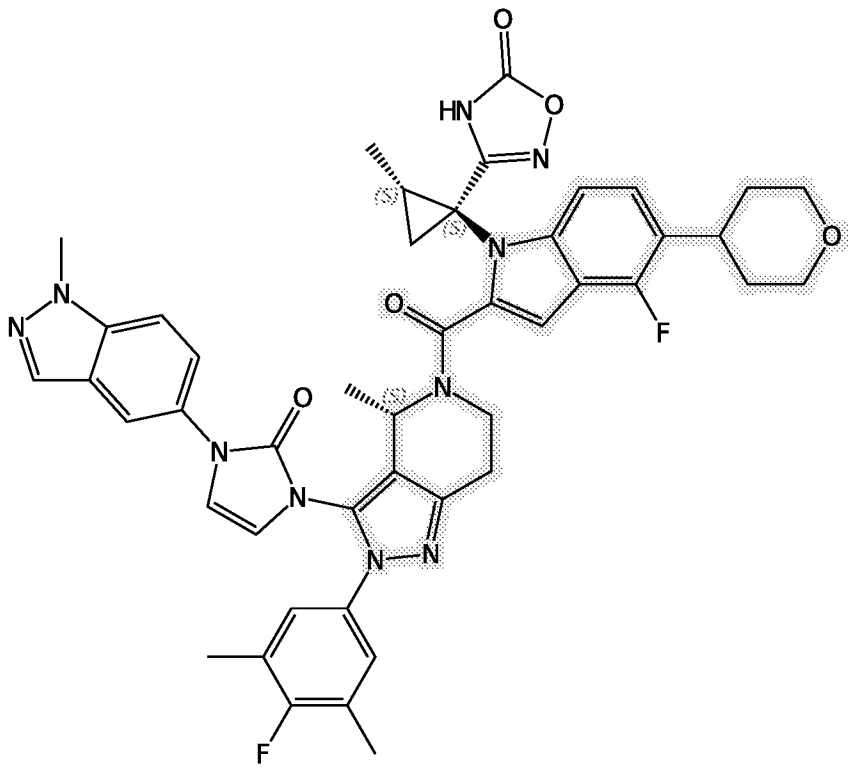
Absolute stereochemistry shown

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)



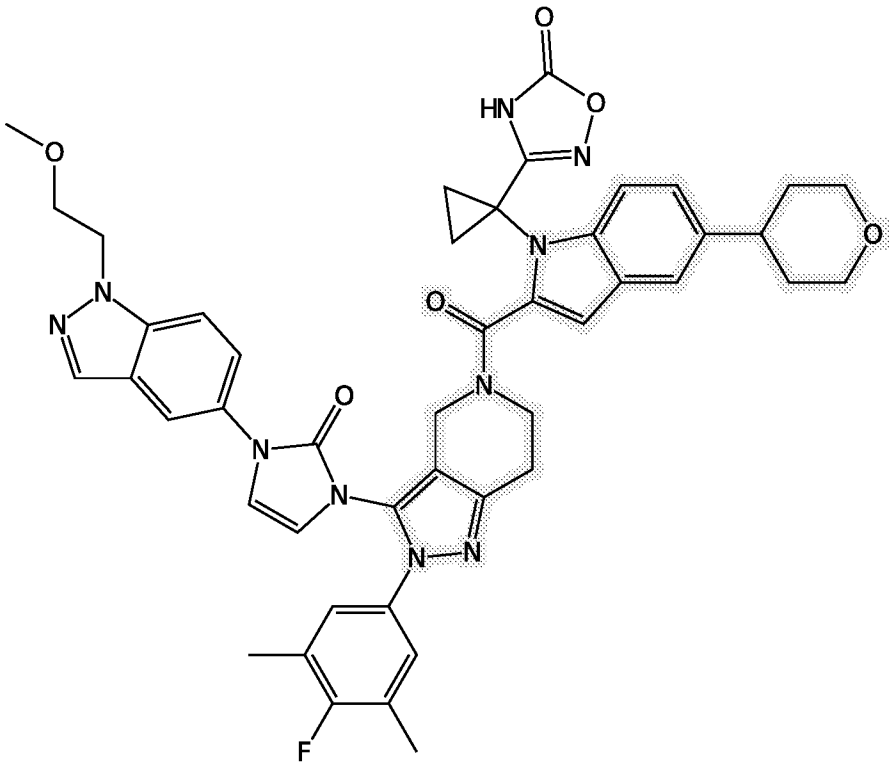
Absolute stereochemistry shown

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)

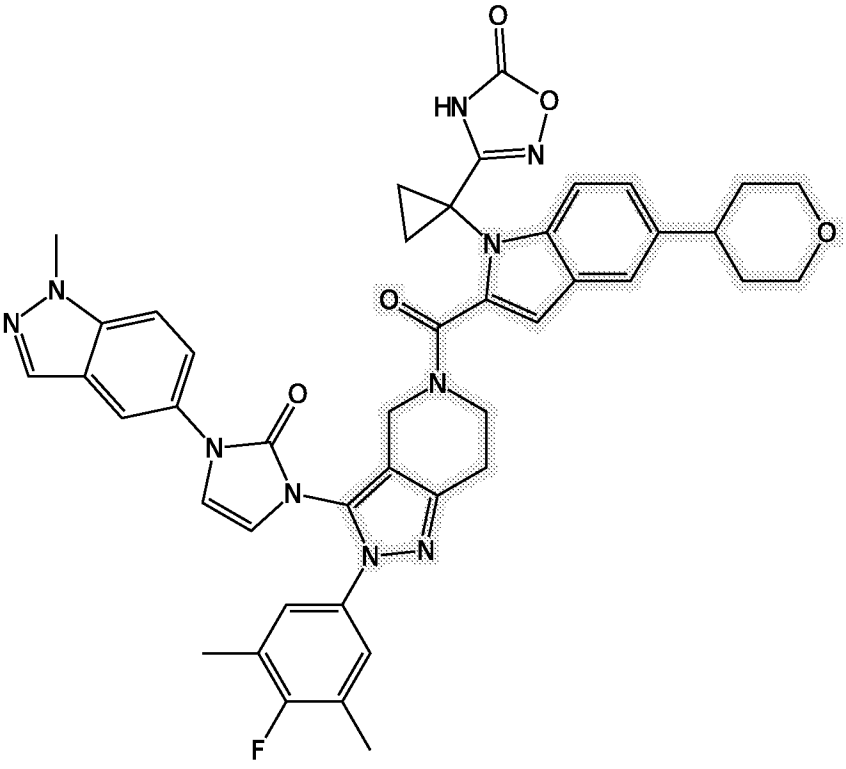


Absolute stereochemistry shown

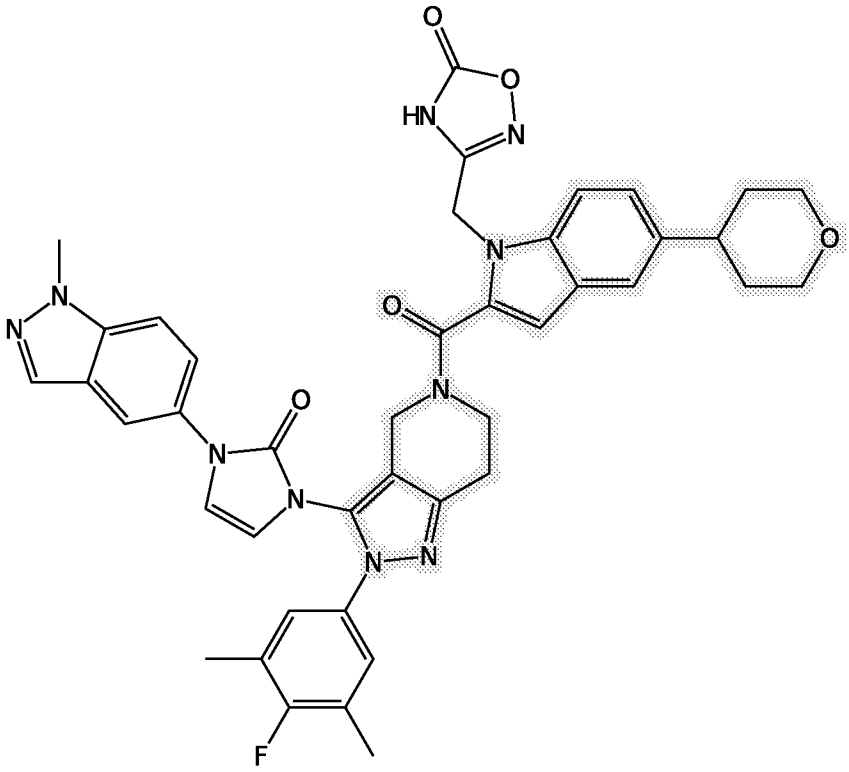
RN 2212020-97-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



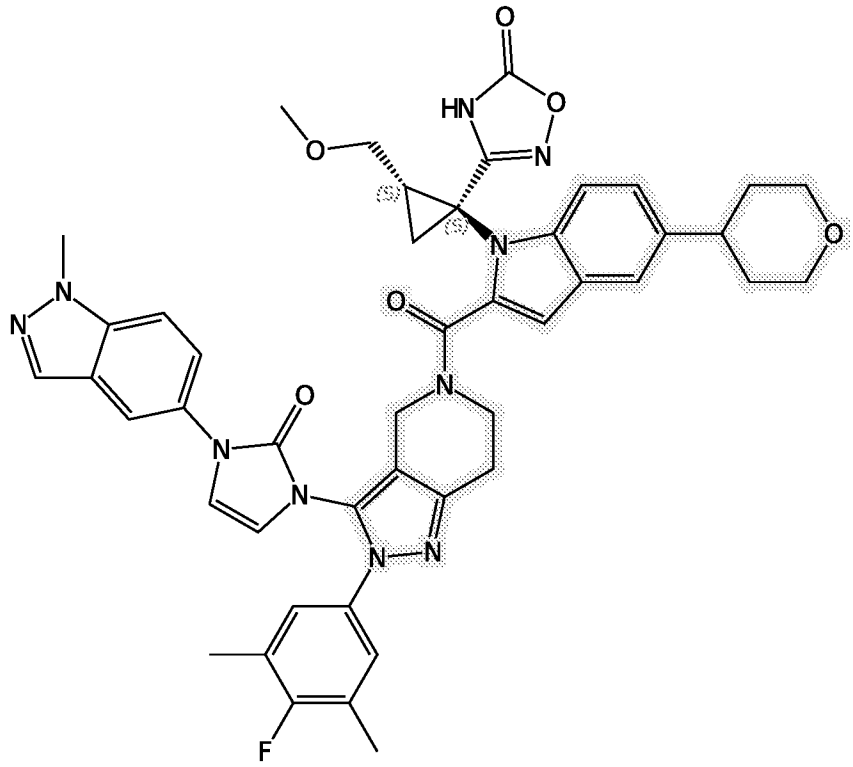
RN 2212020-98-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



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)



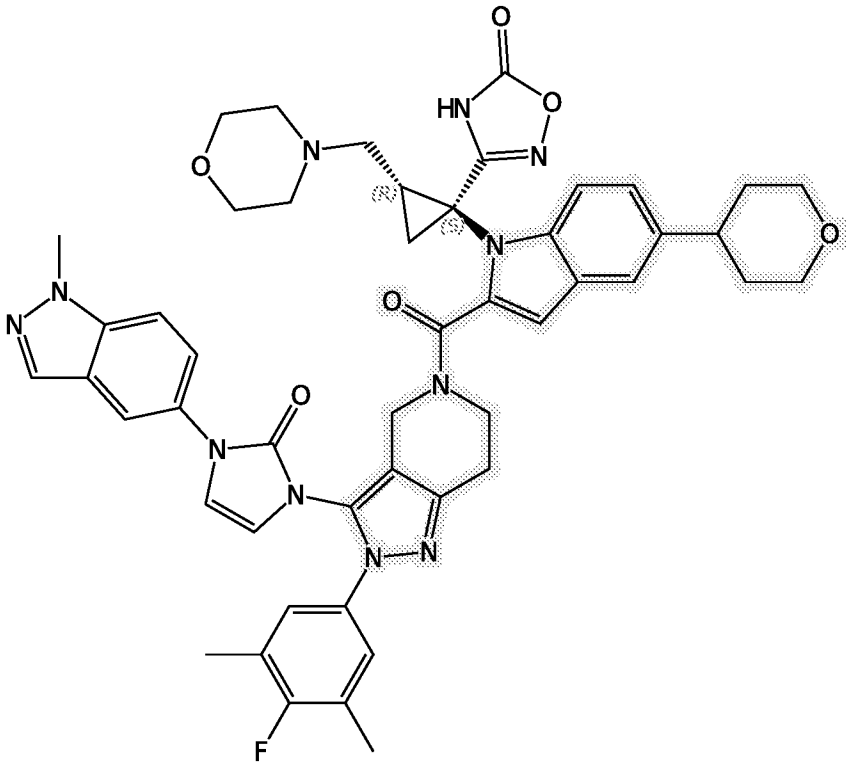
RN 2212021-00-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(methoxymethyl)cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

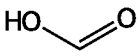
RN 2212021-02-6 CAPLUS
CN Formic acid, compd. with 3-[(1S,2R)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(4-morpholinylmethyl)cyclopropyl]-1,2,4-oxadiazol-5(2H)-one (1:1) (CA INDEX NAME)

CM 1
CRN 2212021-01-5
CMF C49 H50 F N11 O6

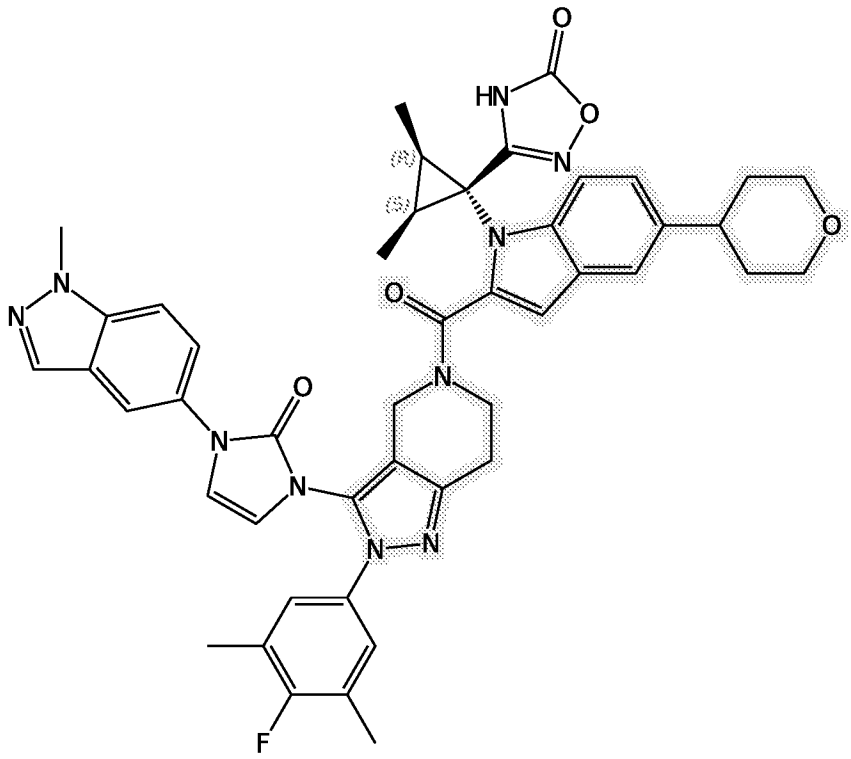


Absolute stereochemistry shown

CM 2
CRN 64-18-6
CMF C H2 O2

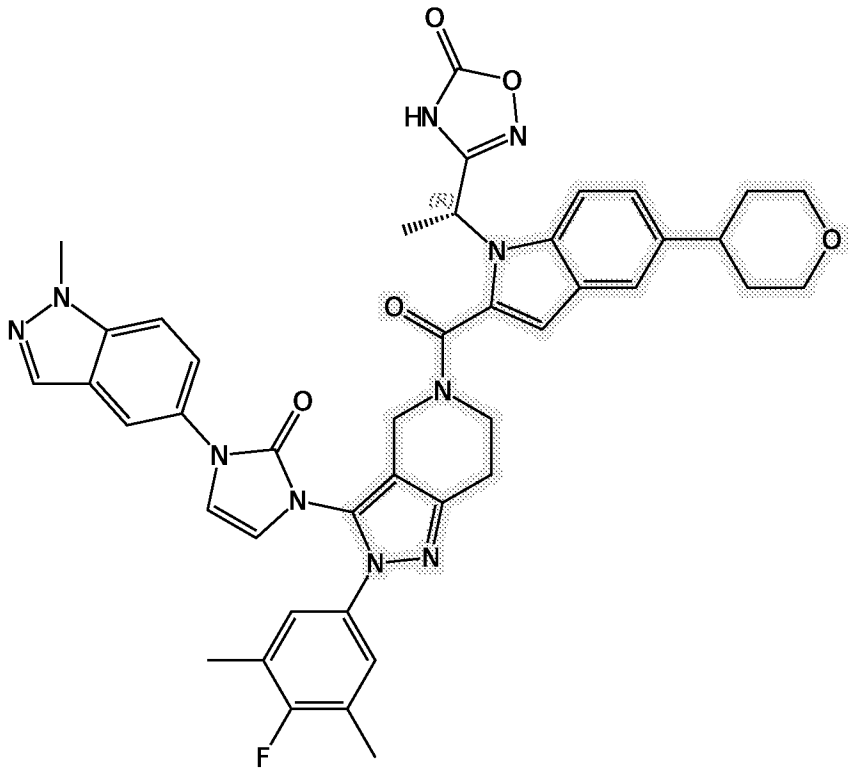


RN 2212021-03-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(2R,3S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2,3-dimethylcyclopropyl]- (CA INDEX NAME)



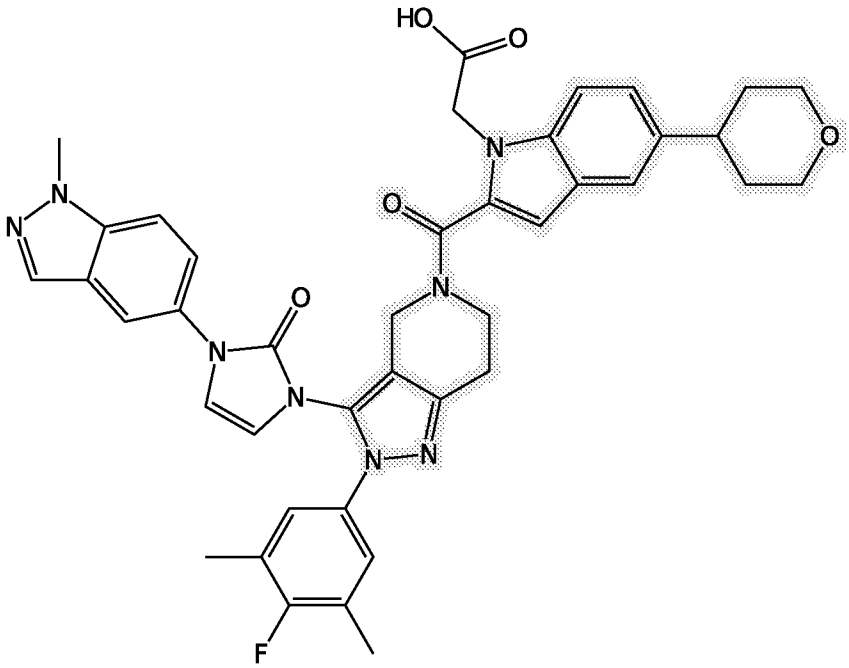
Absolute stereochemistry shown

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)

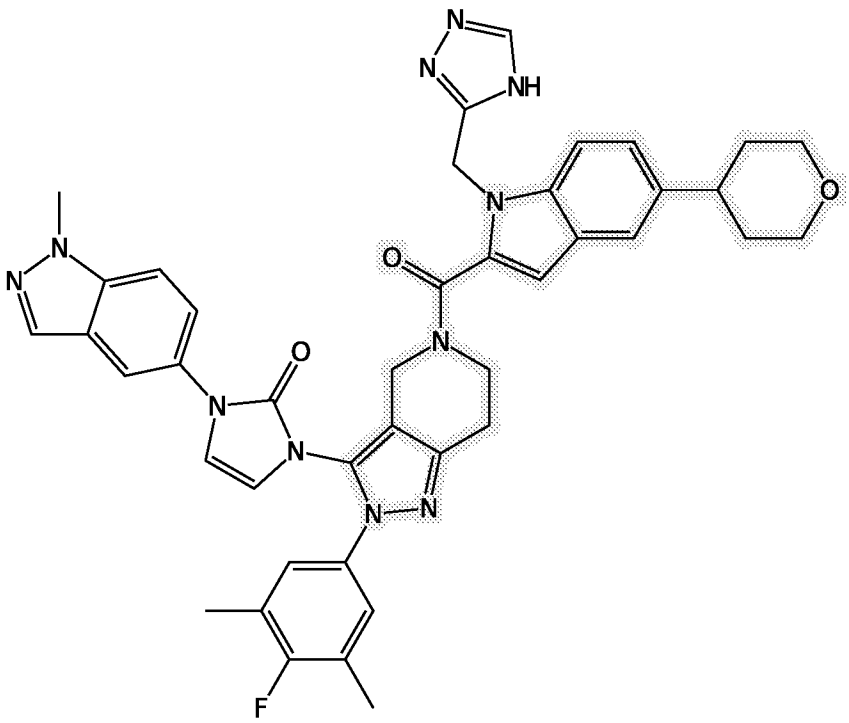


Absolute stereochemistry shown

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)

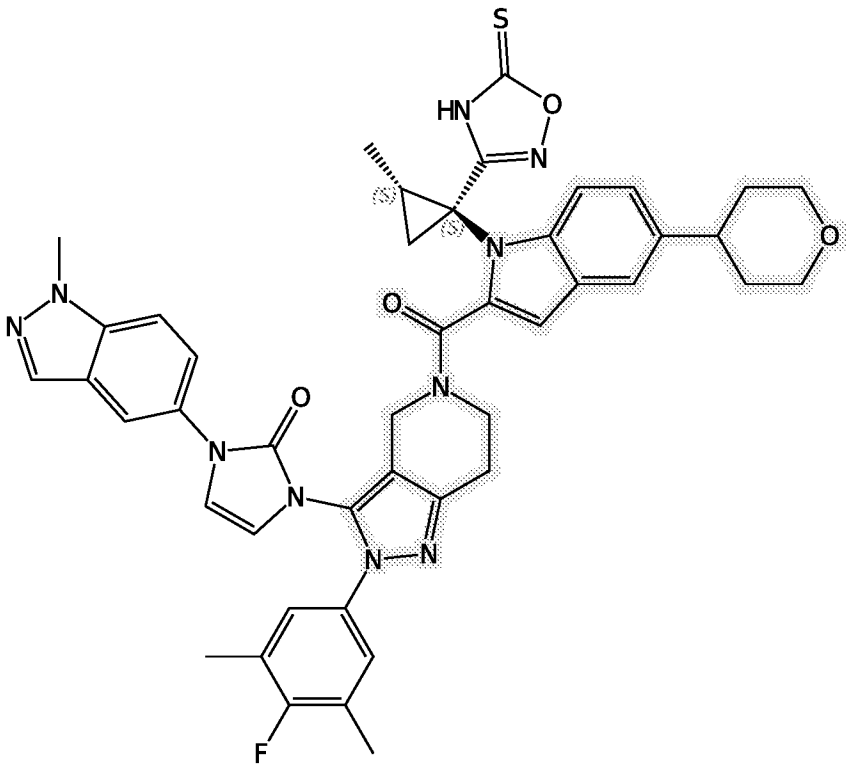


RN 2212021-10-6 CAPLUS
CN 2H-Imidazol-2-one, 1-[2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[5-(tetrahydro-2H-pyran-4-yl)-1-(1H-1,2,4-triazol-5-ylmethyl)-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-
(CA INDEX NAME)



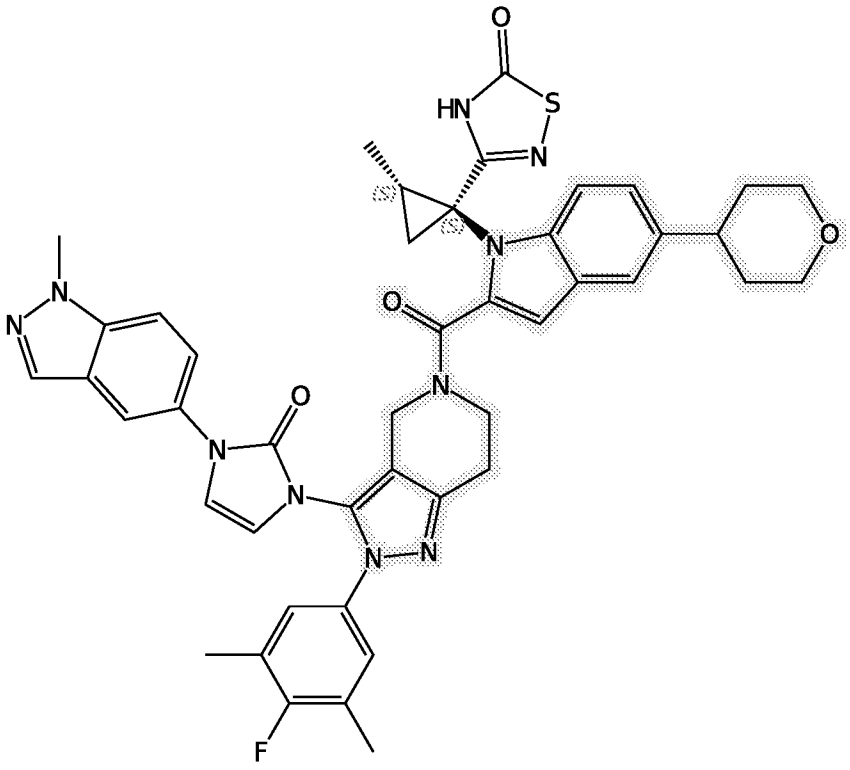
RN 2212021-11-7 CAPLUS
CN 2H-Imidazol-2-one, 1-[5-[[1-[(1S,2S)-1-(2,5-dihydro-5-thioxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl

]-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)



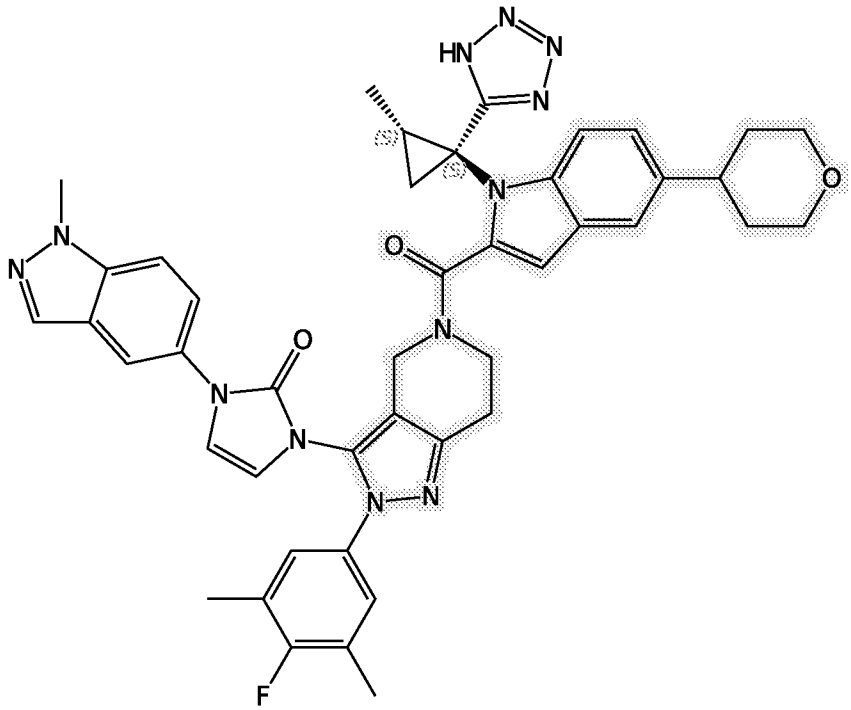
Absolute stereochemistry shown

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)



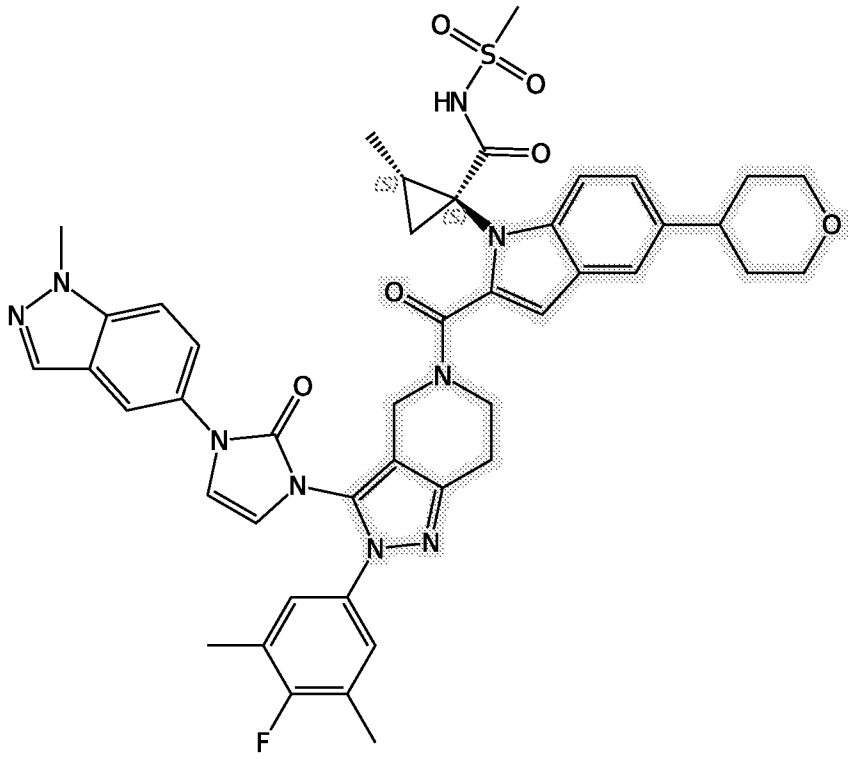
Absolute stereochemistry shown

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)



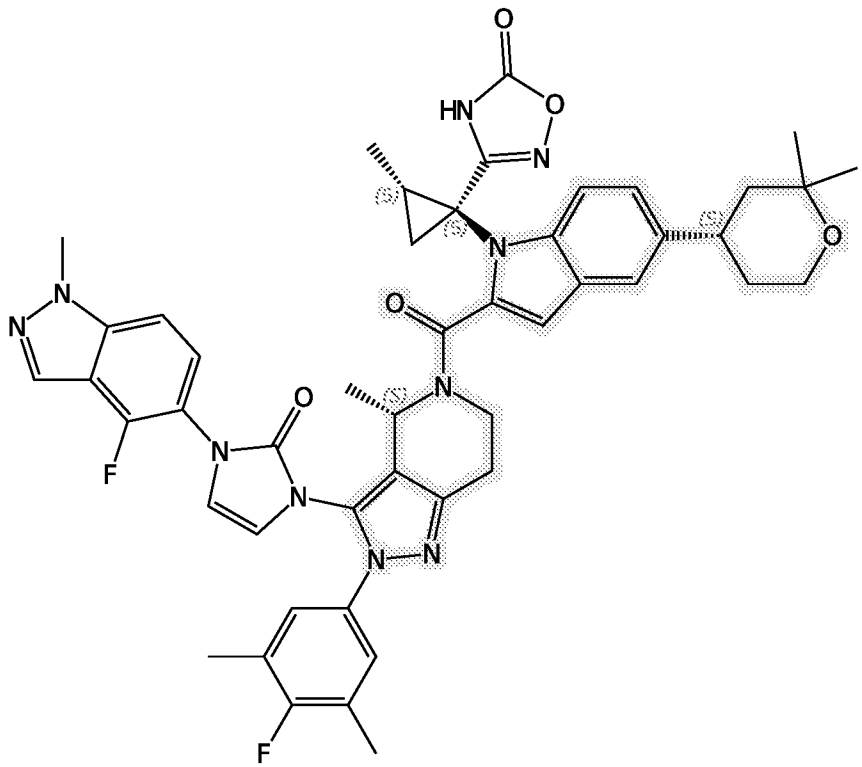
Absolute stereochemistry shown

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

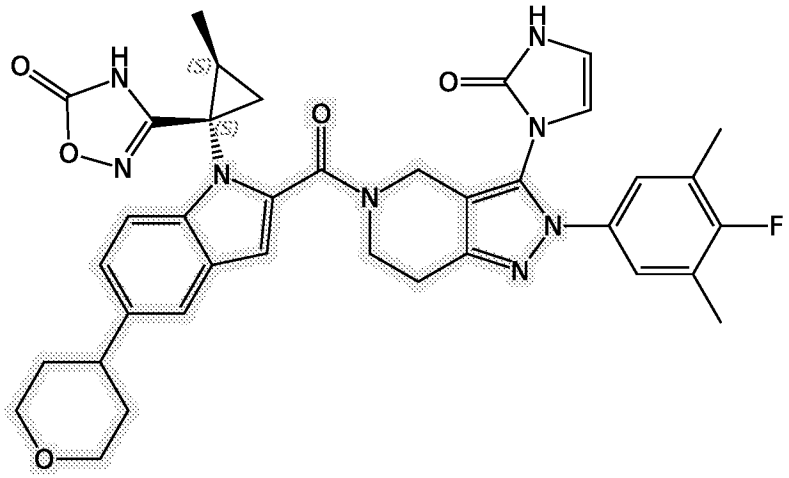
2212033-06-6P, 3-[(1S,2S)-2-[2-[[(4S,6R)-2-(4-Fluoro-3,5-dimethylphenyl)-4,
6-dimethyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazo
lo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4-
[(2-trimethylsilylethoxy)methyl]-1,2,4-oxadiazol-5-one

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

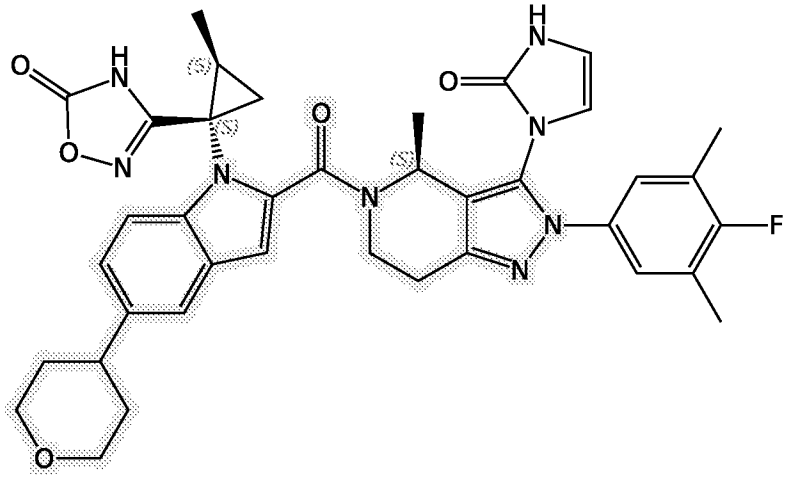
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-(2,3-dihydro-2-oxo-1H-imidaz
ol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]py
ridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-methylcyclopr
opyl]- (CA INDEX NAME)



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)

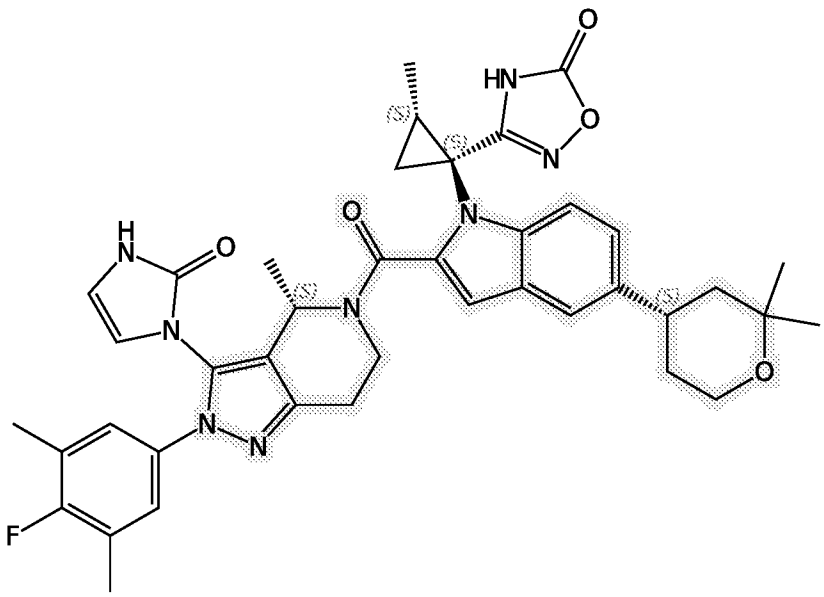


Absolute stereochemistry shown

RN 2212020-29-4 CAPLUS

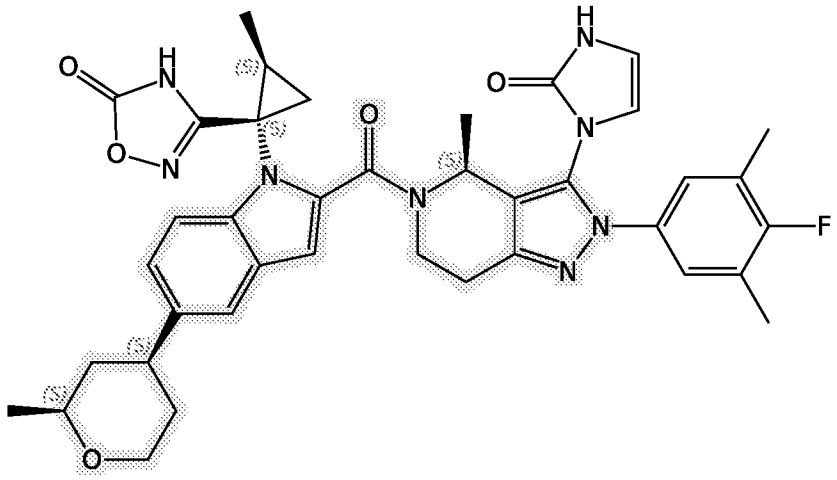
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl

]-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX NAME)



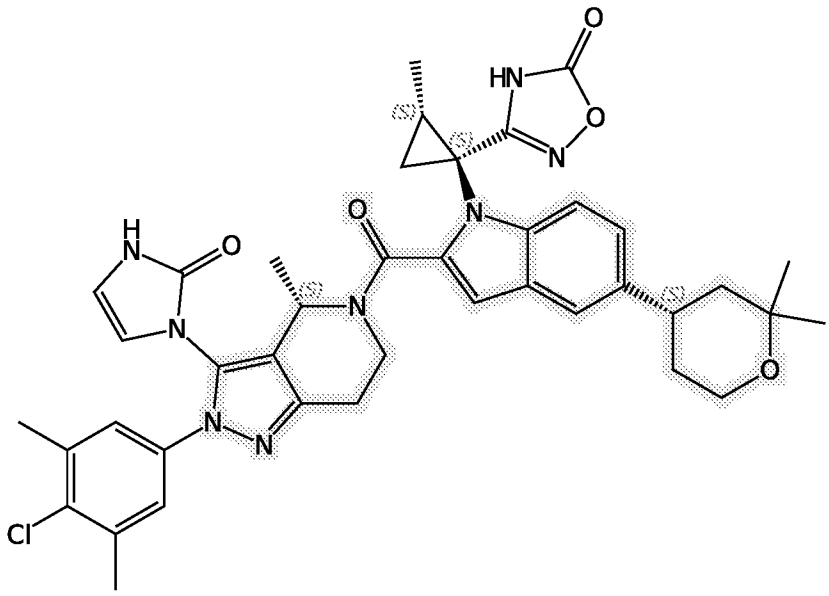
Absolute stereochemistry shown

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)



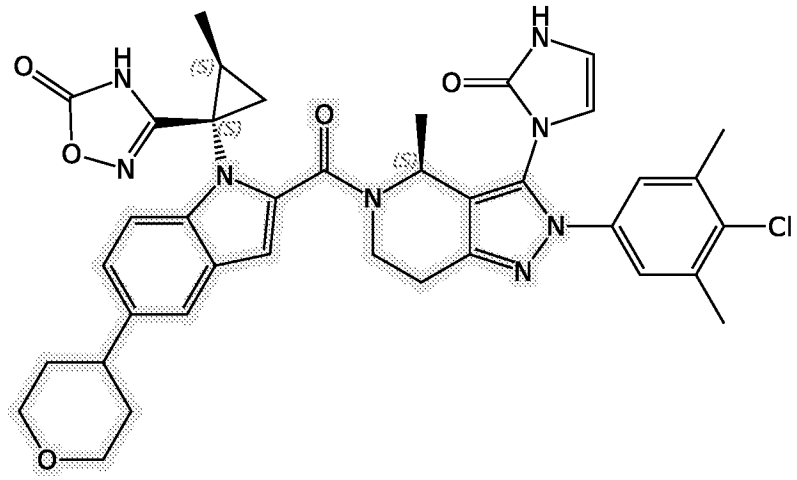
Absolute stereochemistry shown

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)



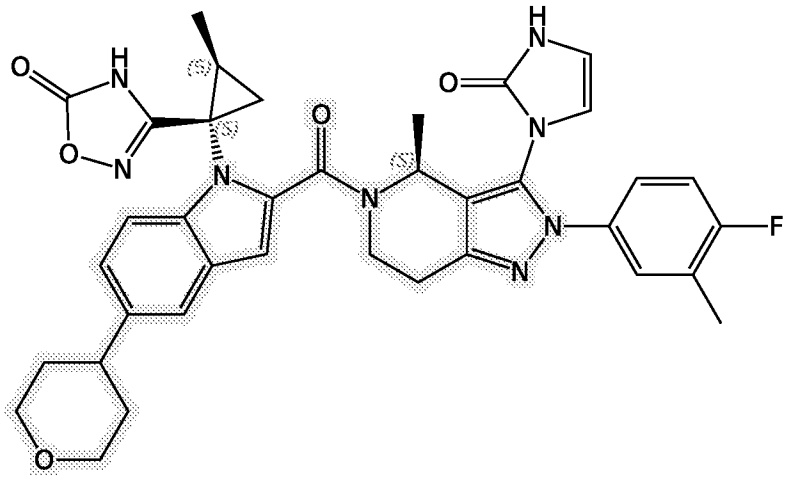
Absolute stereochemistry shown

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)



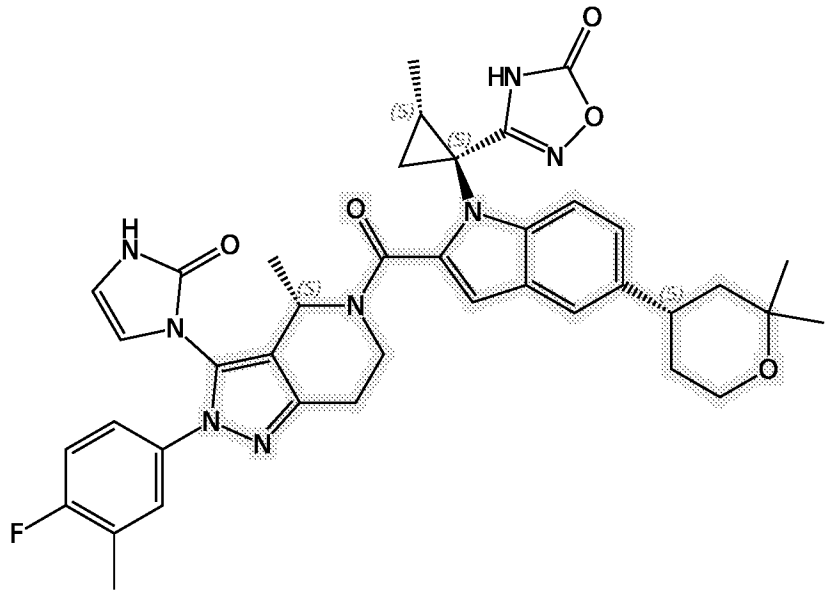
Absolute stereochemistry shown

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)



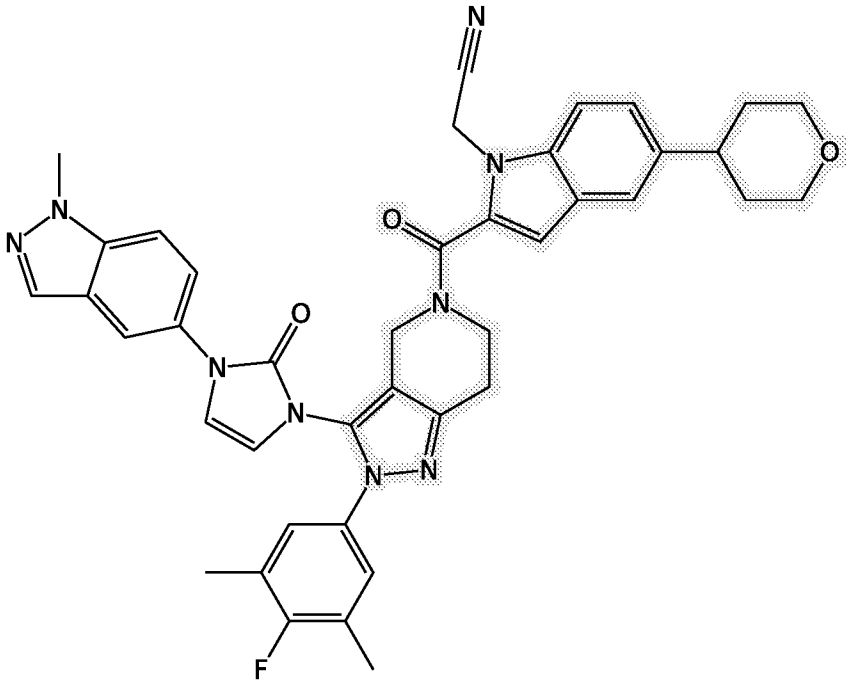
Absolute stereochemistry shown

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)

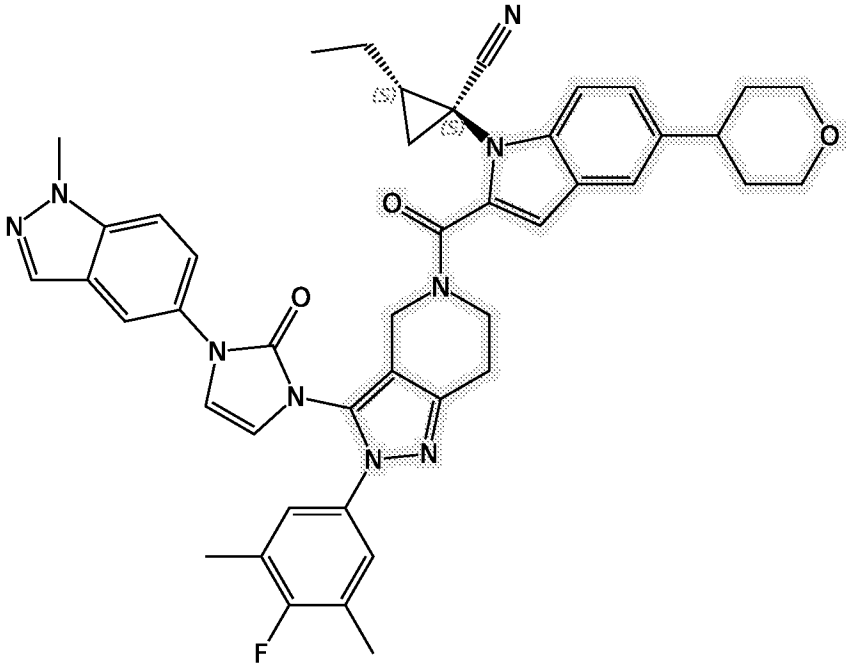


Absolute stereochemistry shown

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)

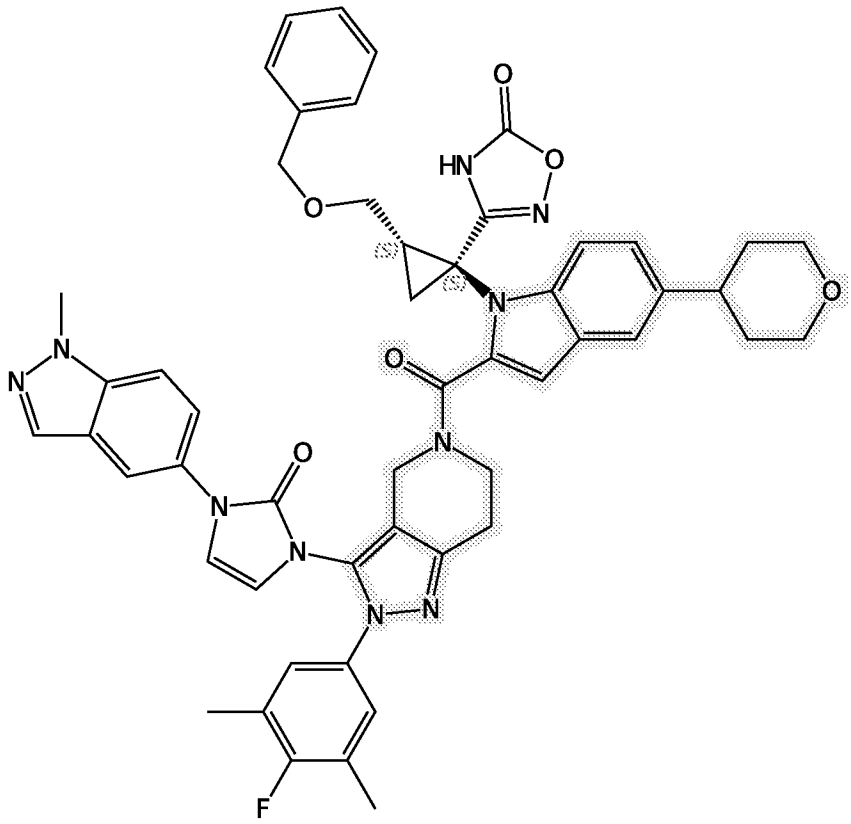


RN 2212022-87-0 CAPLUS
CN Cyclopropanecarbonitrile, 1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-ethyl-, (1S,2S)- (CA INDEX NAME)



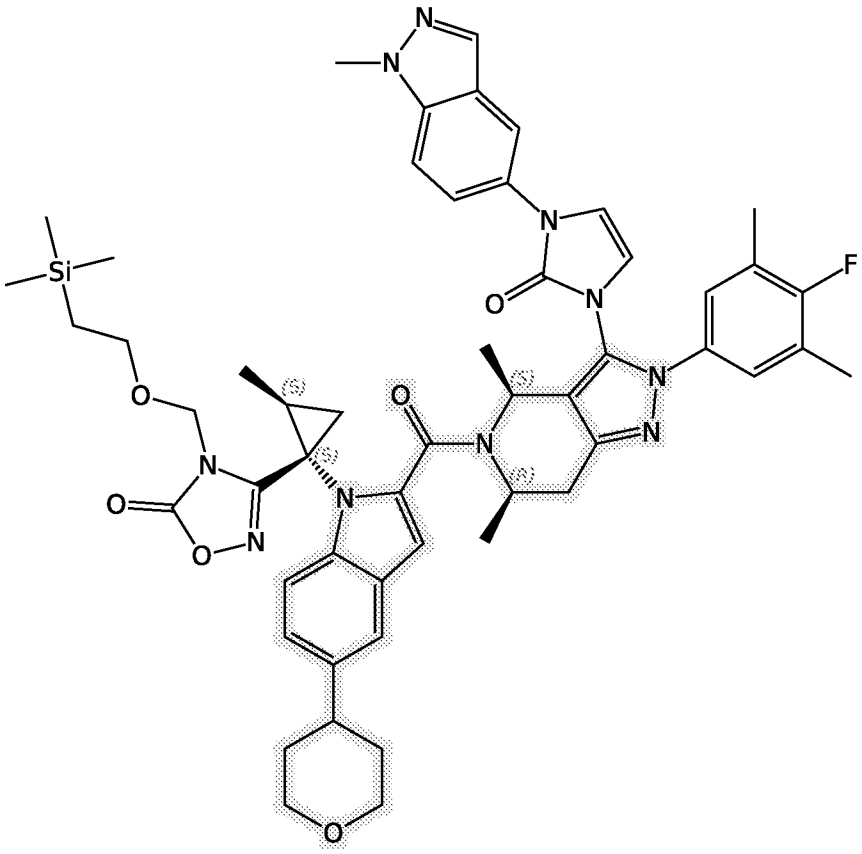
Absolute stereochemistry shown

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)

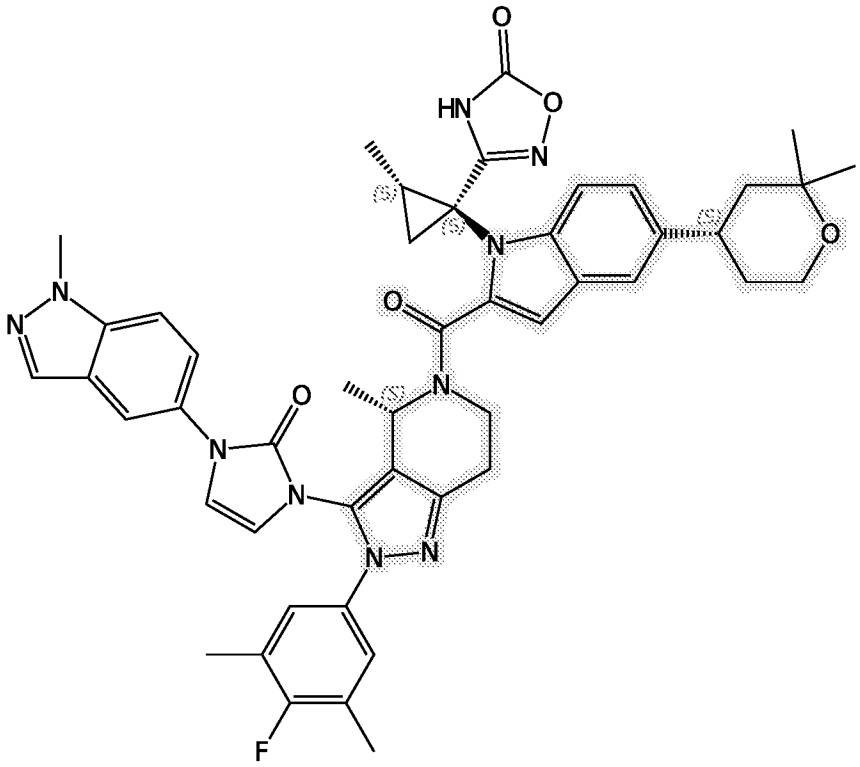


Absolute stereochemistry shown

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:

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| WO 2018056453 | A1 | 20180329 | WO 2017-JP34620 | 20170926 |

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| 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 |
| CN 109790161 | B | 20220311 | | | |
| UA 125586 | A | 20190610 | UA 2019-2662 | | 20170926 |
| UA 125586 | C2 | 20220427 | | | |
| BR 112019005322 | A2 | 20190702 | BR 2019-112019005322 | | 20170926 |
| EP 3517538 | A1 | 20190731 | EP 2017-853220 | | 20170926 |
| EP 3517538 | B1 | 20240313 | | | |
| MA 46286 | A | 20190731 | MA 2017-46286 | | 20170926 |
| MA 46286 | B1 | 20240628 | | | |
| CR 20190149 | A | 20190827 | CR 2019-149 | | 20170926 |
| JP 6567778 | B2 | 20190828 | JP 2018-540344 | | 20170926 |
| MX 2019003488 | A | 20191216 | MX 2019-3488 | | 20170926 |
| NZ 751725 | A | 20210326 | NZ 2017-751725 | | 20170926 |
| EA 37989 | B1 | 20210621 | EA 2019-90518 | | 20170926 |
| TW I753946 | B | 20220201 | TW 2017-132936 | | 20170926 |
| TT 2022000021 | B | 20220615 | TT 2019-16 | | 20170926 |
| EP 4134367 | A1 | 20230215 | EP 2022-180270 | | 20170926 |
| VN 10036072 | B | 20230626 | VN 2019-2091 | | 20170926 |
| SG 10202301892 | A | 20230831 | SG 2023-10202301892 | | 20170926 |
| EP 4349840 | A2 | 20240410 | EP 2024-154118 | | 20170926 |
| EP 4349840 | A3 | 20240710 | | | |
| RS 65398 | B1 | 20240430 | RS 2024-426 | | 20170926 |
| US 20190225604 | A1 | 20190725 | US 2018-15759872 | | 20180314 |
| US 10858356 | B2 | 20201208 | | | |
| ZA 2019001070 | A | 20210630 | ZA 2019-1070 | | 20190219 |
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| CL 61795 | B | 20210330 | | | |
| HN 2023000028 | B | 20230424 | HN 2019-708 | | 20190319 |
| CO 2019002595 | A2 | 20190329 | CO 2019-2595 | | 20190320 |
| PA 9258801 | A1 | 20190618 | PA 2019-9258801 | | 20190320 |
| PE 2019000709 | A1 | 20190517 | PE 2019-705 | | 20190321 |
| SA 519401409 | B1 | 20220407 | SA 2019-519401409 | | 20190325 |
| JP 2019203015 | A | 20191128 | JP 2019-141806 | | 20190731 |
| JP 6957564 | B2 | 20211102 | | | |
| AU 2020223687 | A1 | 20200910 | AU 2020-223687 | | 20200826 |
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| US 20210017176 | A1 | 20210121 | US 2020-17065122 | | 20201007 |
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| JP 2022003087 | A | 20220111 | JP 2021-164974 | | 20211006 |
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| US 20240246971 | A1 | 20240725 | US 2024-18584672 | | 20240222 |
| US 20240262824 | A1 | 20240808 | US 2024-18584177 | | 20240222 |
| AU 2024201884 | A1 | 20240411 | AU 2024-201884 | | 20240322 |
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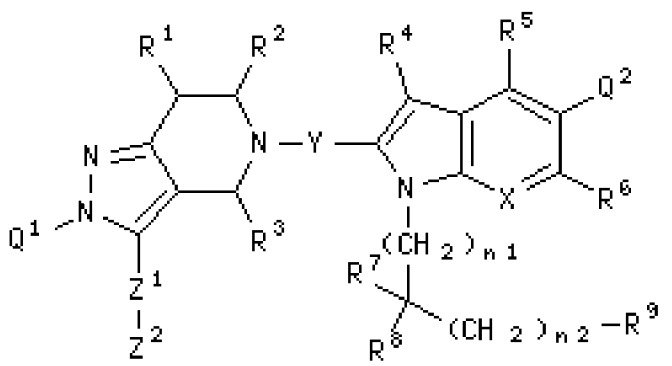
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| WO 2018056453 | A1 | Dead | 20210527 |
| JO 2019000060 | A1 | Alive | 20210430 |
| CA 3038479 | A1 | Alive | 20201121 |
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| US 20230382912 | A1 | Alive | 20231207 |
| US 20240246971 | A1 | Alive | 20240801 |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

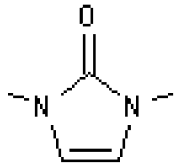
OTHER SOURCE(S): CASREACT 168:377246; MARPAT 168:377246; CASFORMULTNS 2018:619220

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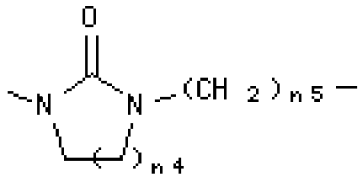


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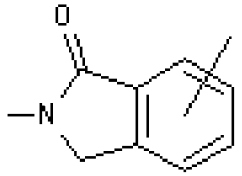
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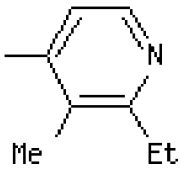
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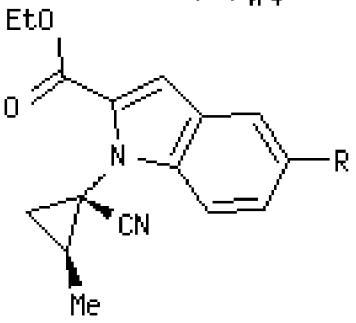


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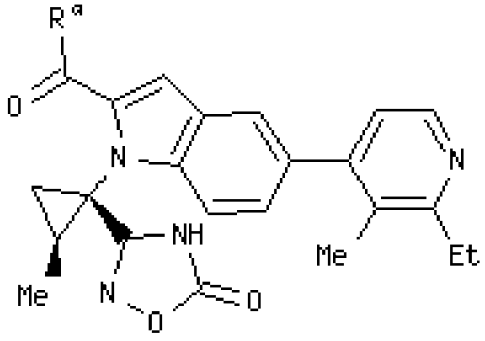


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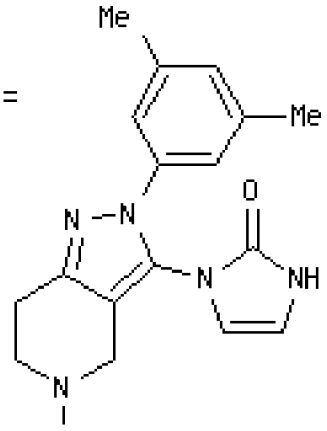


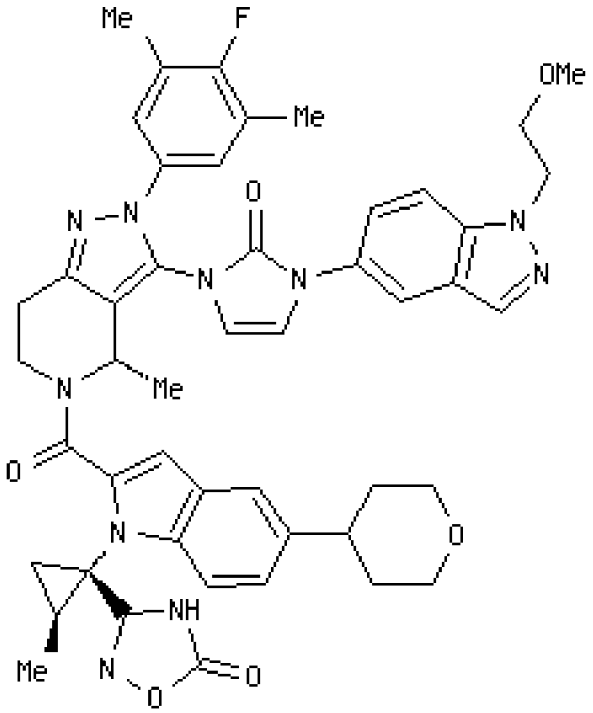
II



III

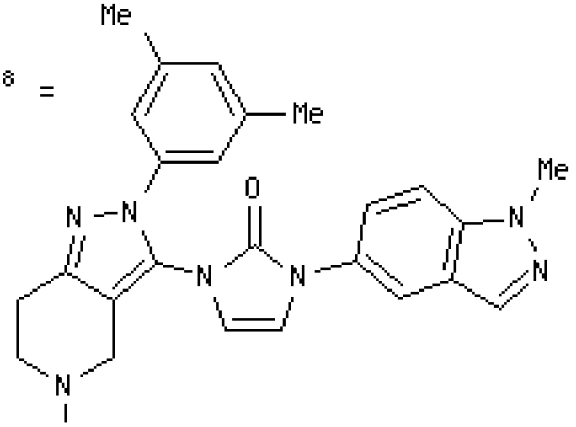
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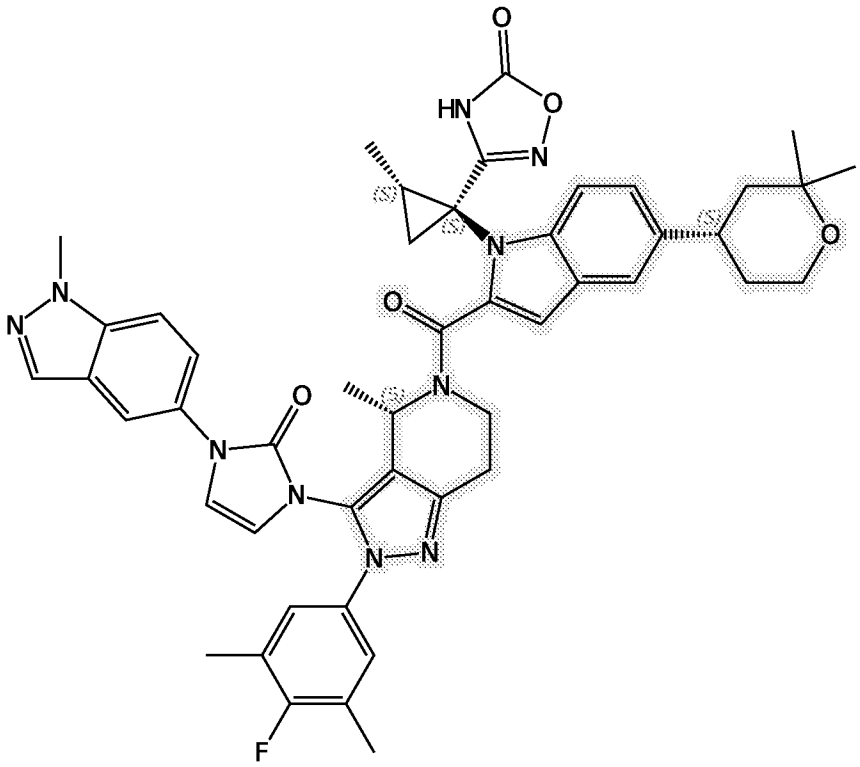
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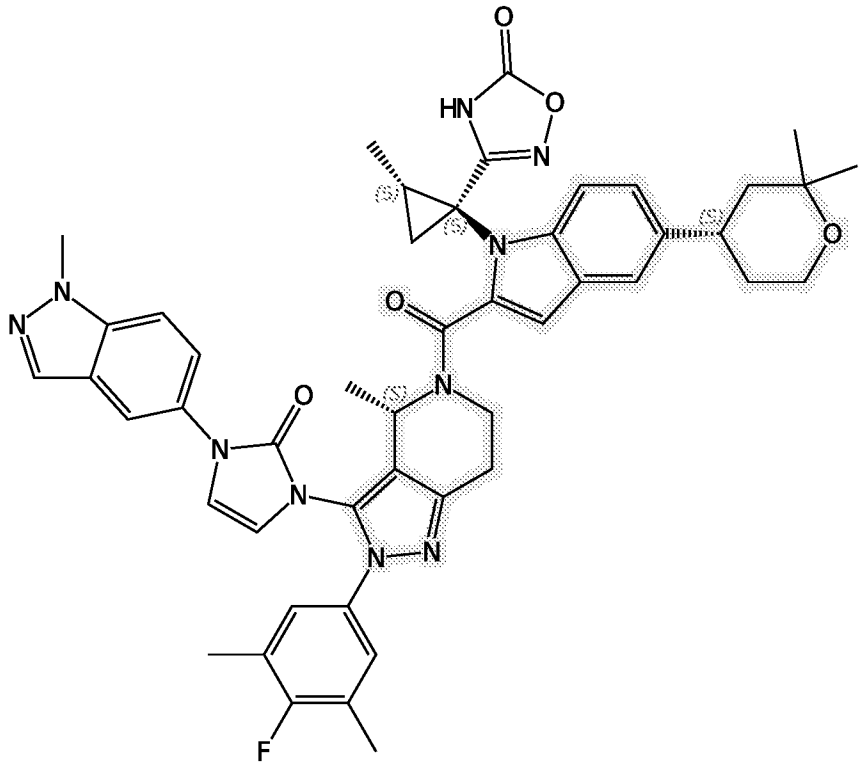
AB The present invention provides pyrrolo[2,3-b]pyridine compds. represented by formula I [X = N or (un)substituted CH; Y = C(O), C1-6 alkyl-(un)substituted CH2, or S(O)2; Q1 = each (un)substituted C6-10 aryl or 5- to 10-membered heteroaryl; Q2 = each (un)substituted 3- to 12-membered heterocyclyl or 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)

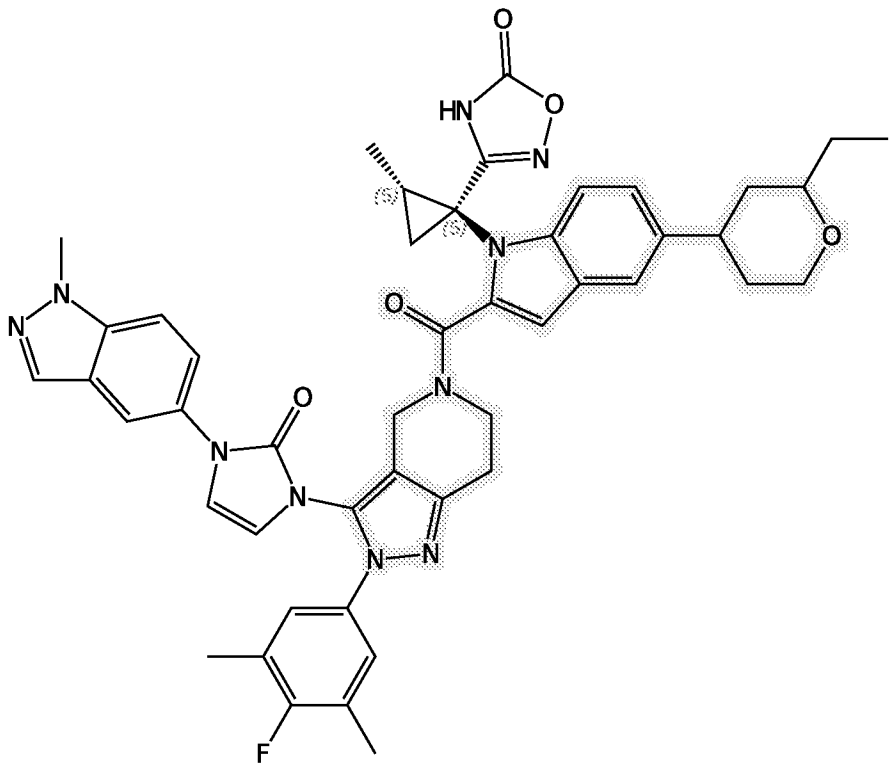



IT 2212020-51-2P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212022-83-6P, 3-[(1S,2S)-1-[5-(2-Ethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-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)
(prepn. of pyrazolopyridines derivs. as glucagon-like peptide-1 (GLP-1) receptor agonists)
RN 2212020-51-2 CAPLUS
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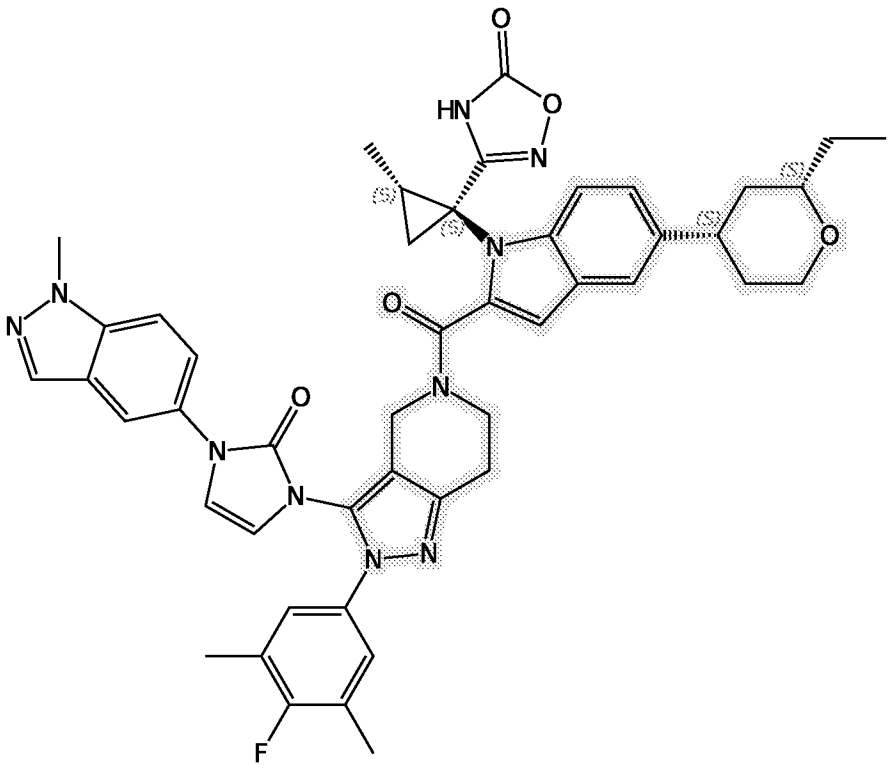
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Absolute stereochemistry shown

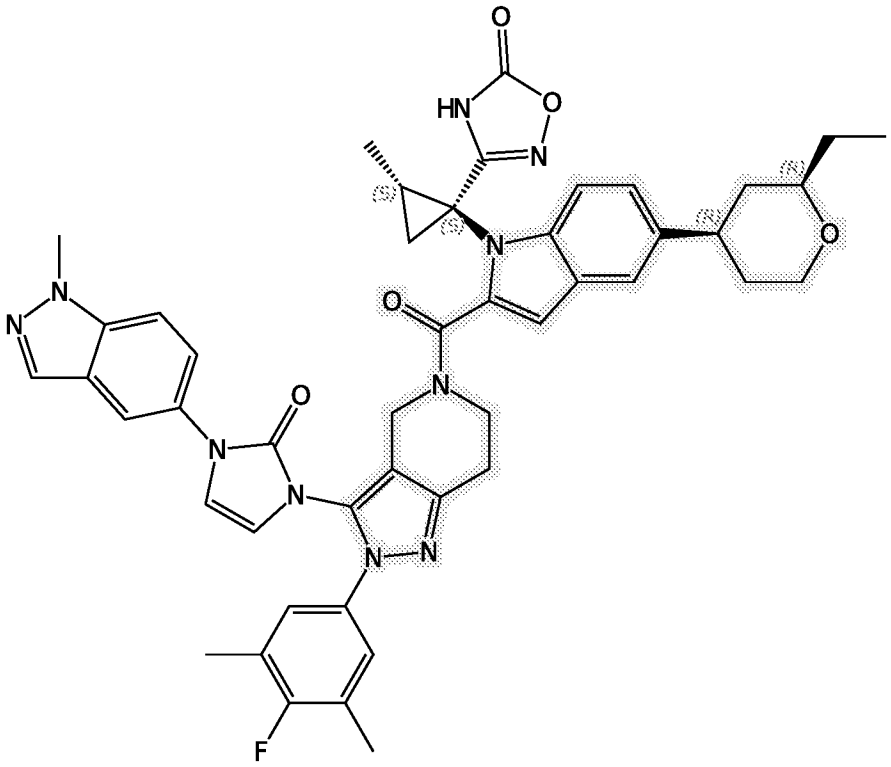
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3-[(1S,2S)-1-[5-((2R,4R)-2-Ethyloxan-4-yl)-2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
RL: PAC (Pharmacological 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)



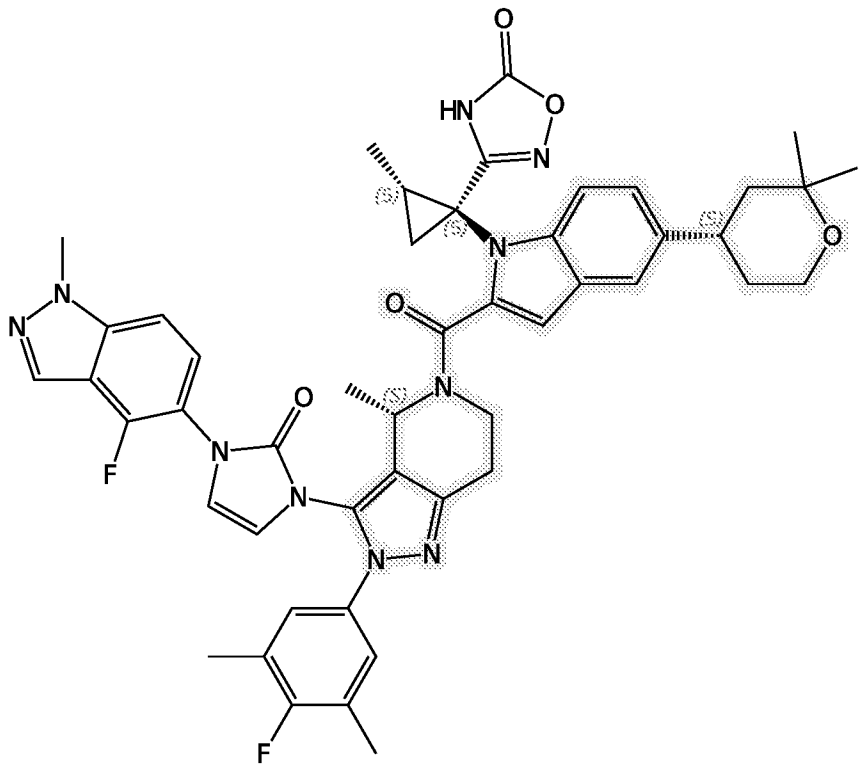
Absolute stereochemistry shown

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
2212020-12-8P, 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-methylindazol-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
2212020-53-4P, 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-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  2212020-54-5P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3-methylphenyl)-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
2212020-55-6P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(6-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
2212020-56-7P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-fluoro-3,5-dimethylphenyl)-3-[3-[6-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-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]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-60-3P,
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-(6-oxaspiro[4.5]decan-9-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  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-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-63-6P,
3-[(1S,2S)-2-Ethyl-1-[2-[[2-(4-fluoro-3,5-dimethylphenyl)-3-[3-(3-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  2212020-64-7P,
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-(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]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-74-9P,
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(4-fluoro-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  2212020-78-3P,
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-(5-oxaspiro[3.5]nonan-8-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-81-8P,
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-(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-(oxan-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-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-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one  2212020-85-2P,
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-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  2212020-86-3P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-[3-[3-methyl-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-methylcyclopropyl]-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

2212020-92-1P, 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

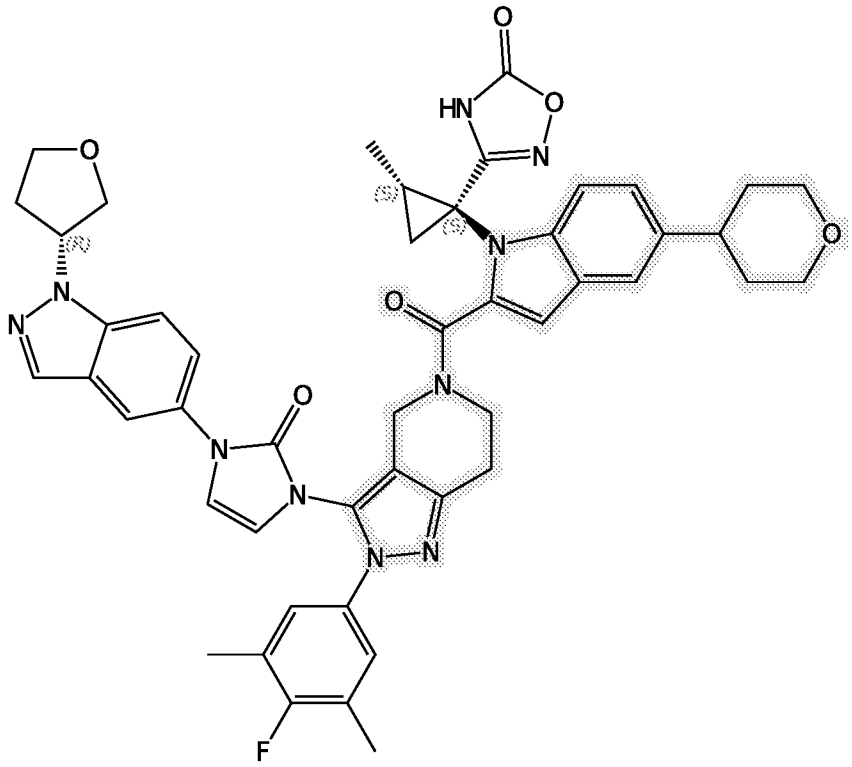
2212021-08-2P, 2-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-yl)-2-oxoimidazol-1-yl]-6,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-yl)indol-1-yl]acetic acid

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,

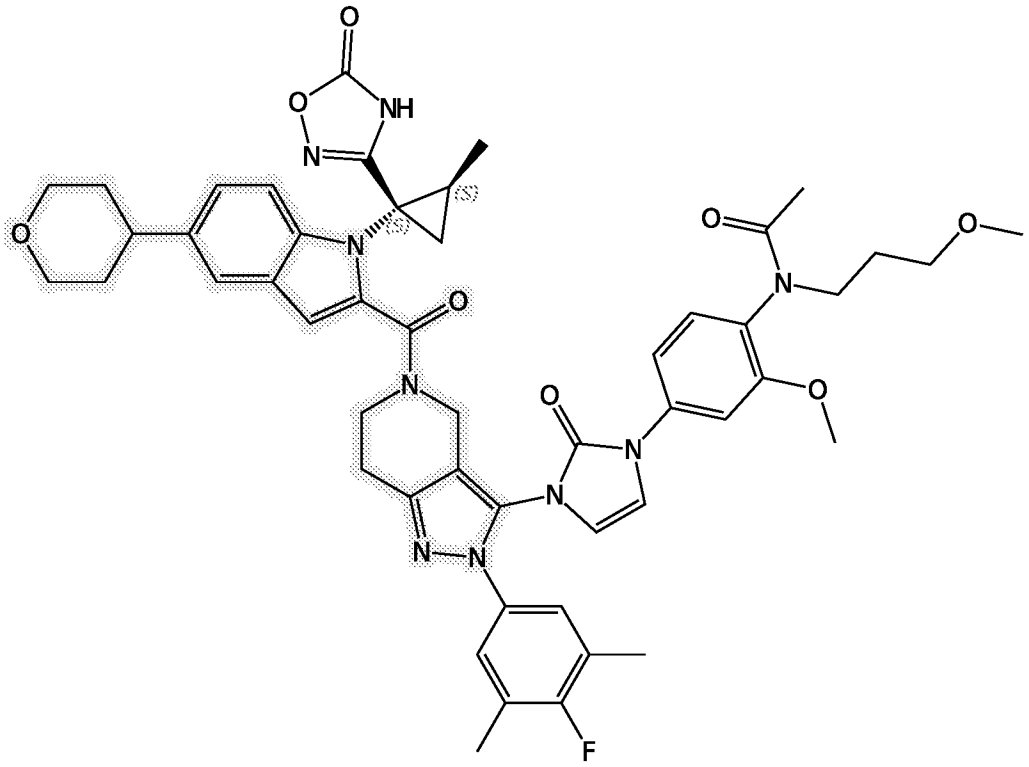
3-[(1S,2S)-1-[2-[[2-(4-Fluoro-3,5-dimethylphenyl)-3-[3-(1-methylindazol-5-y

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)



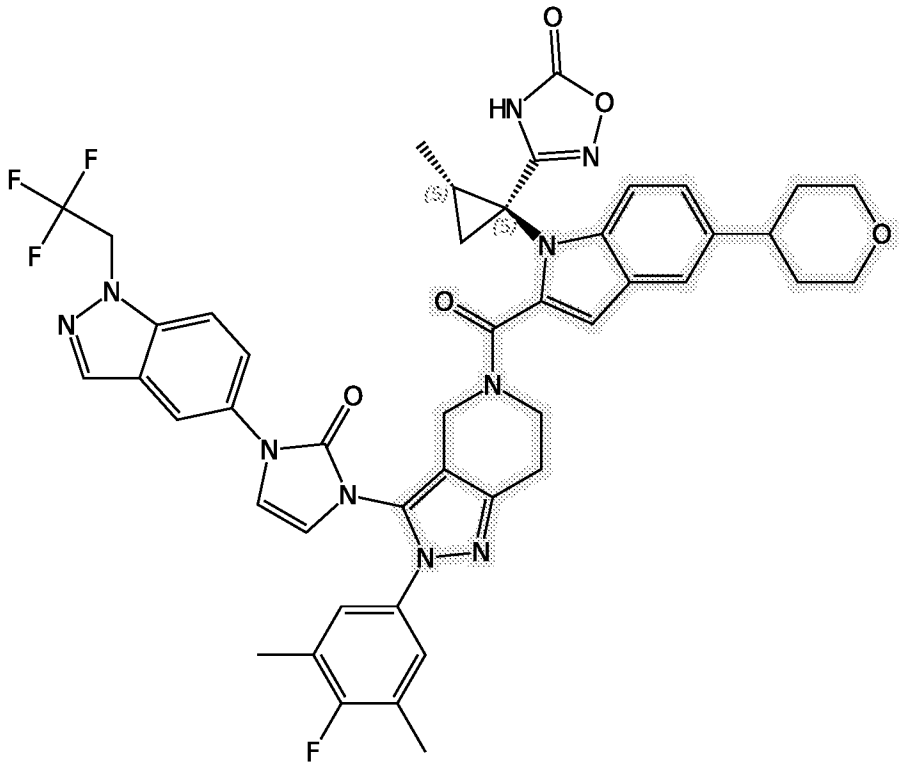
Absolute stereochemistry shown

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)



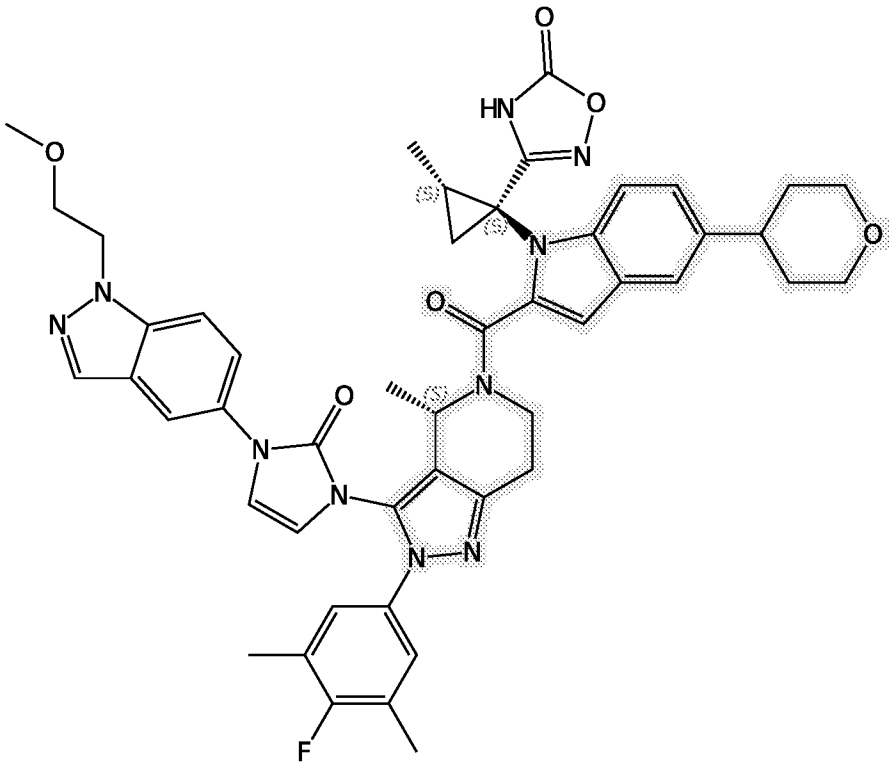
Absolute stereochemistry shown

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)



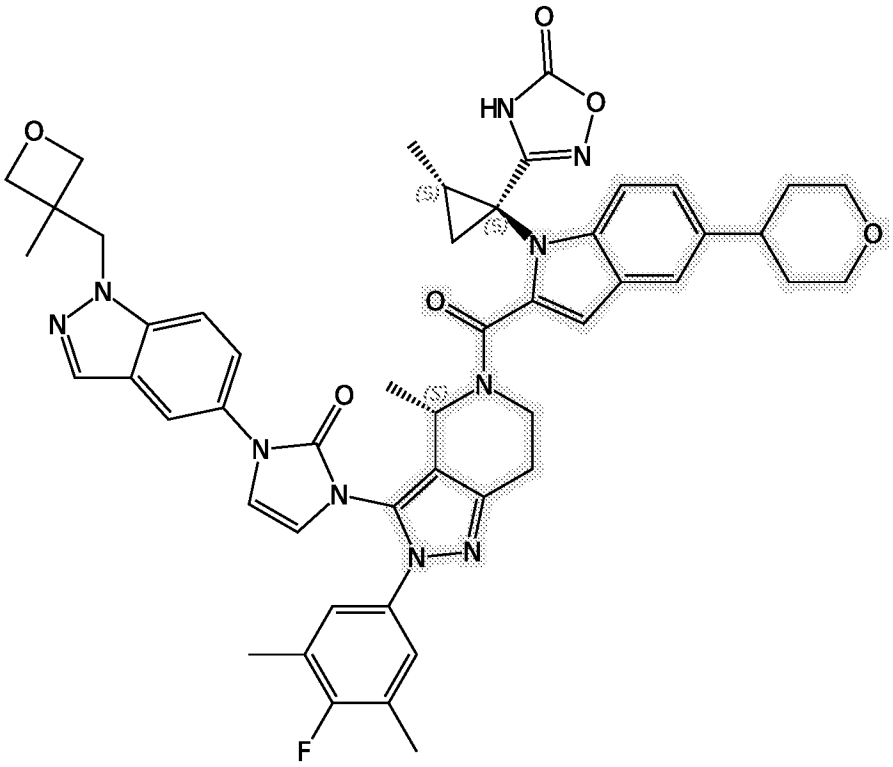
Absolute stereochemistry shown

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)



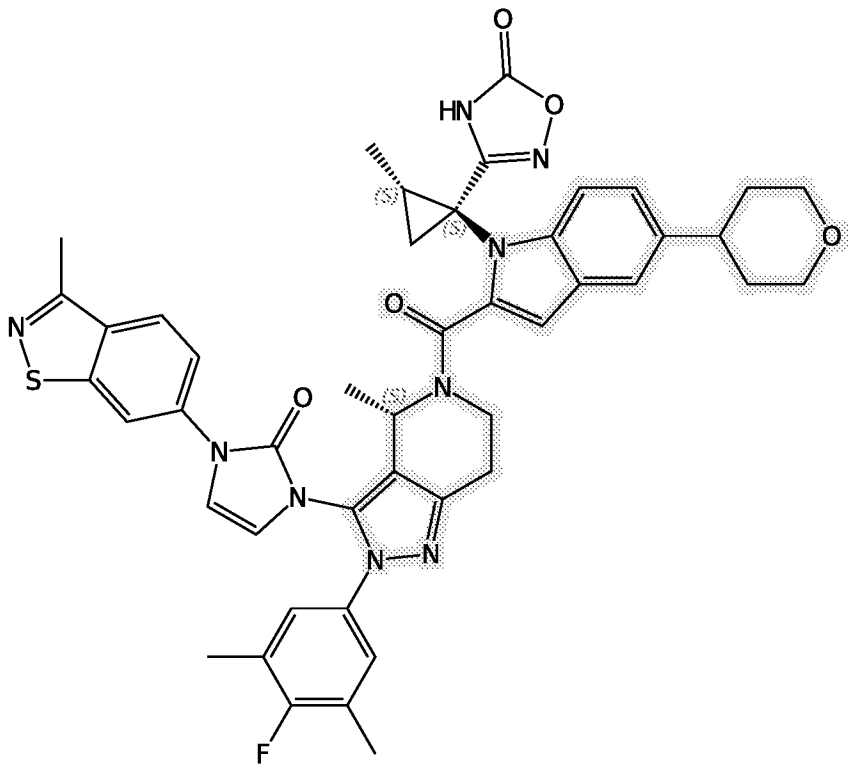

Absolute stereochemistry shown

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)



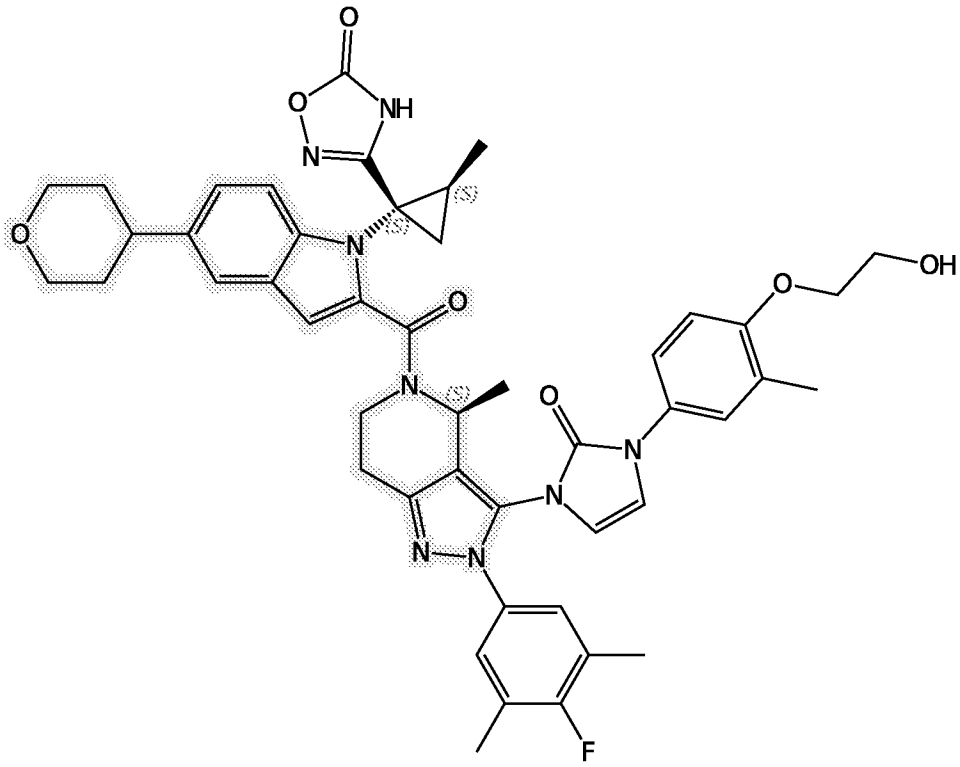
Absolute stereochemistry shown

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)



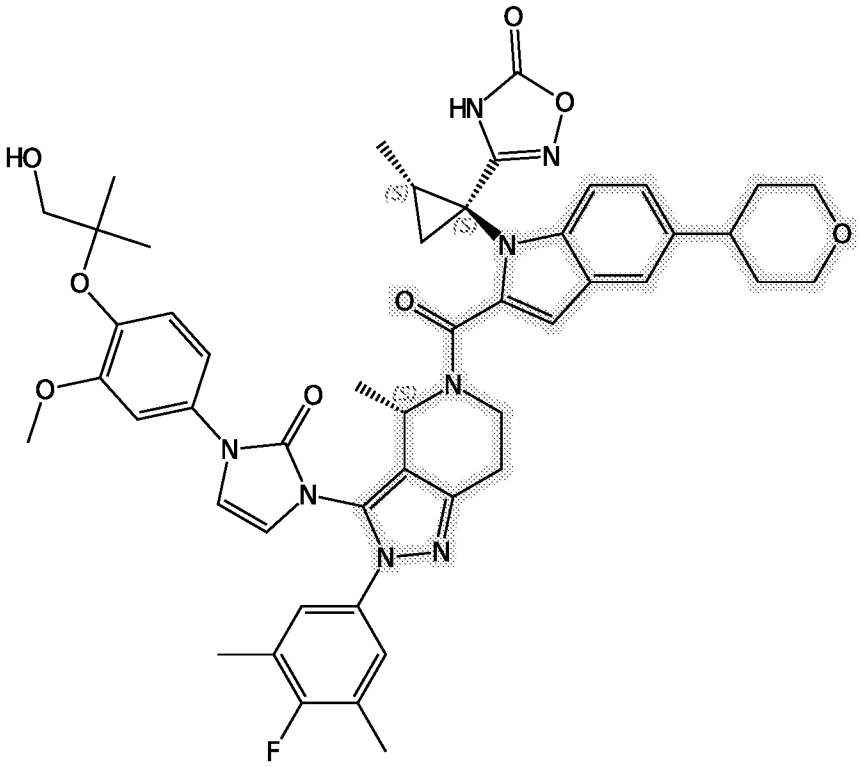
Absolute stereochemistry shown

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)



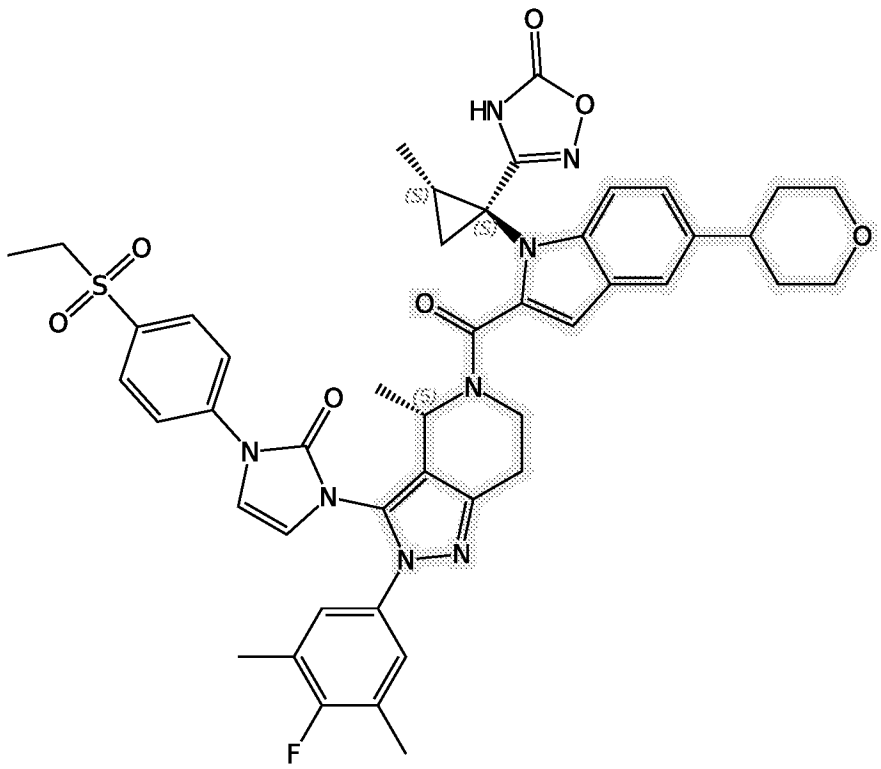

Absolute stereochemistry shown

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)



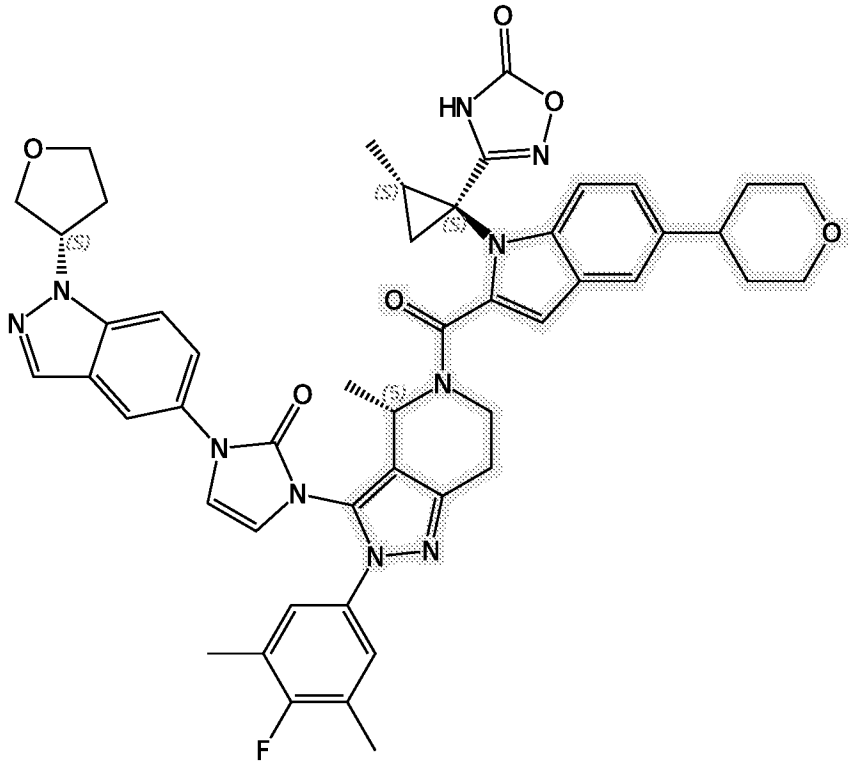
Absolute stereochemistry shown

RN 2212019-91-3 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-[4-(ethylsulfonyl)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)



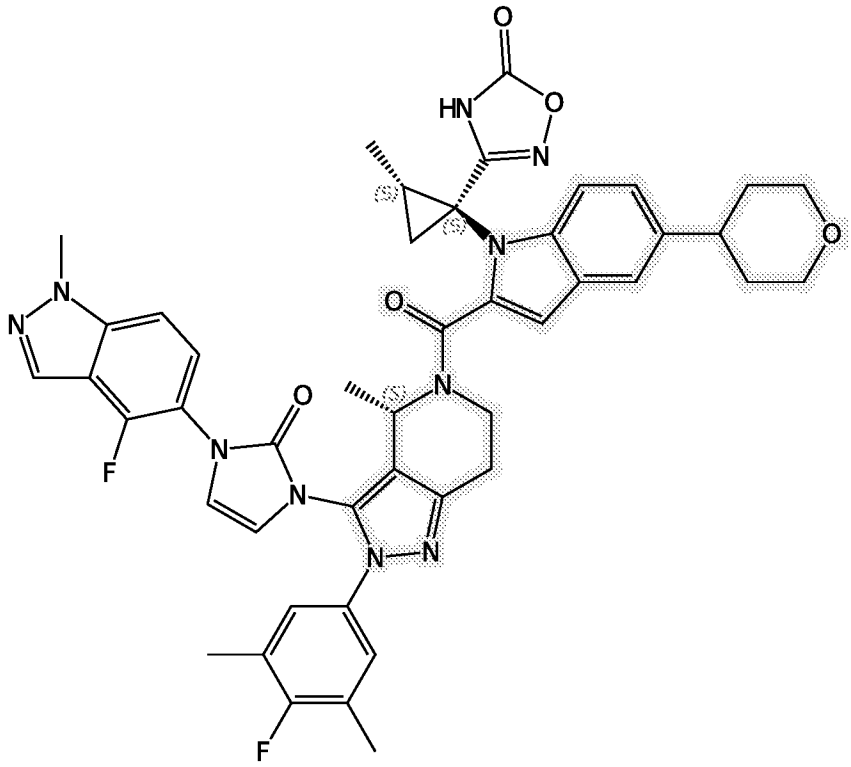
Absolute stereochemistry shown

RN 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)



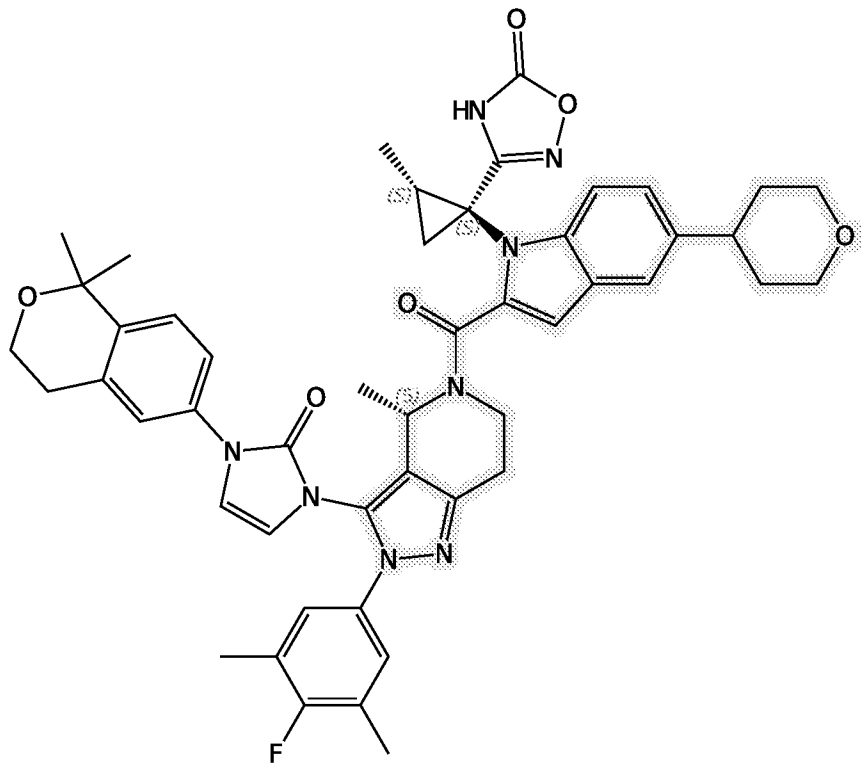
Absolute stereochemistry shown

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)



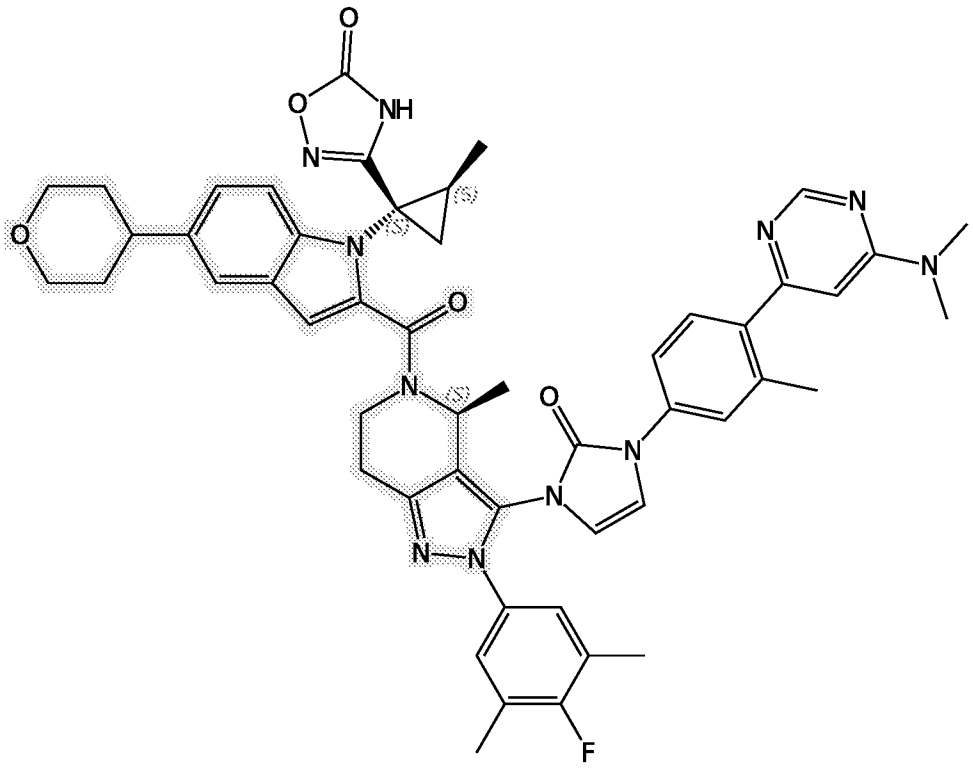
Absolute stereochemistry shown

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)



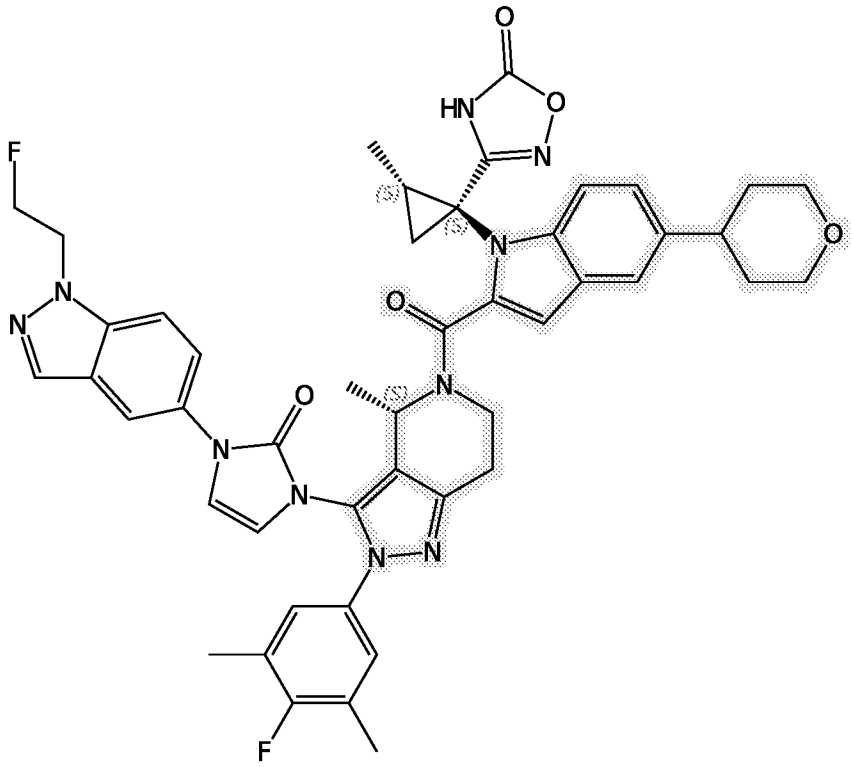
Absolute stereochemistry shown

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)



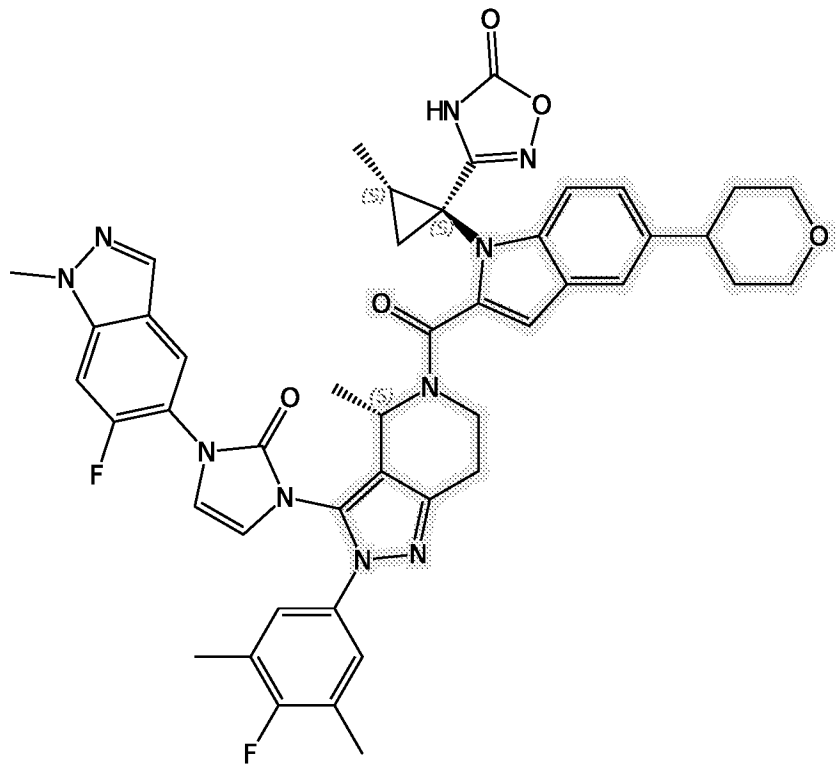
Absolute stereochemistry shown

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)



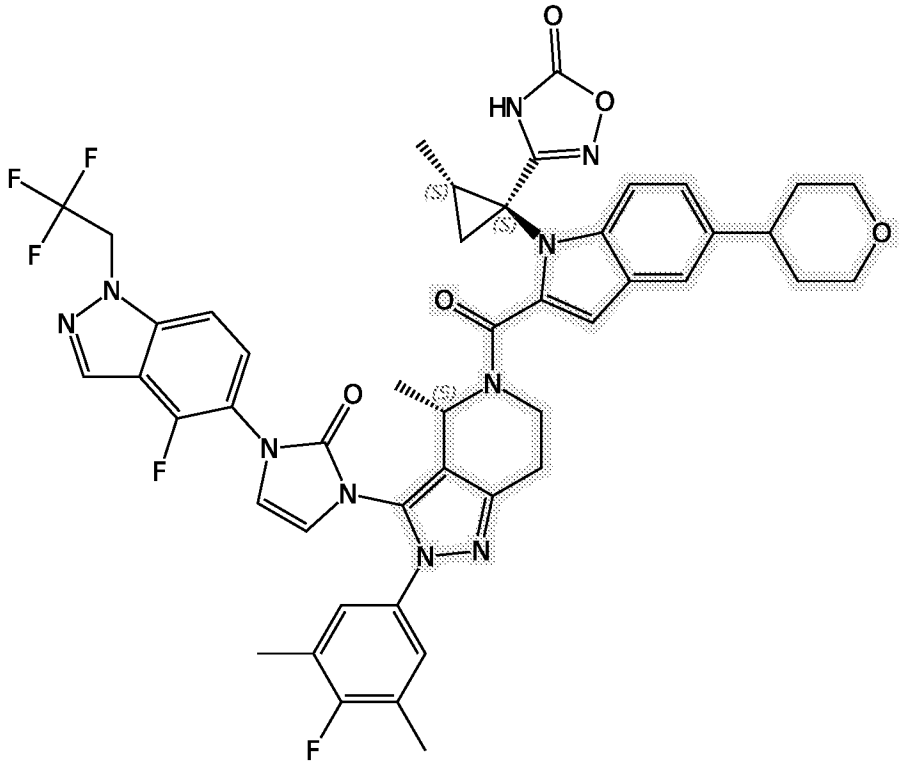
Absolute stereochemistry shown

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)



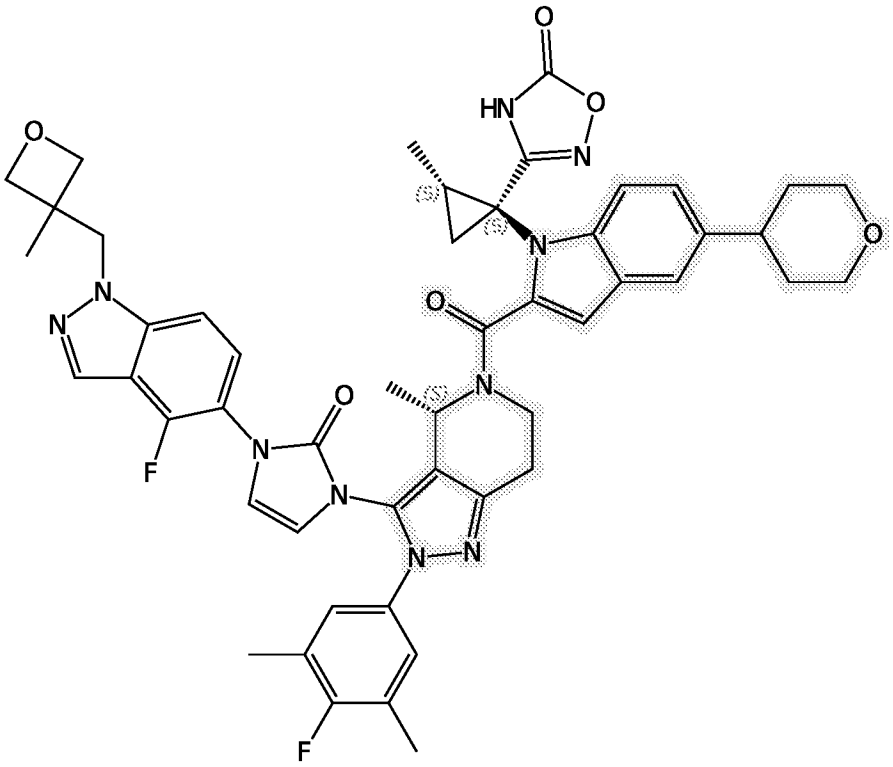
Absolute stereochemistry shown

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)



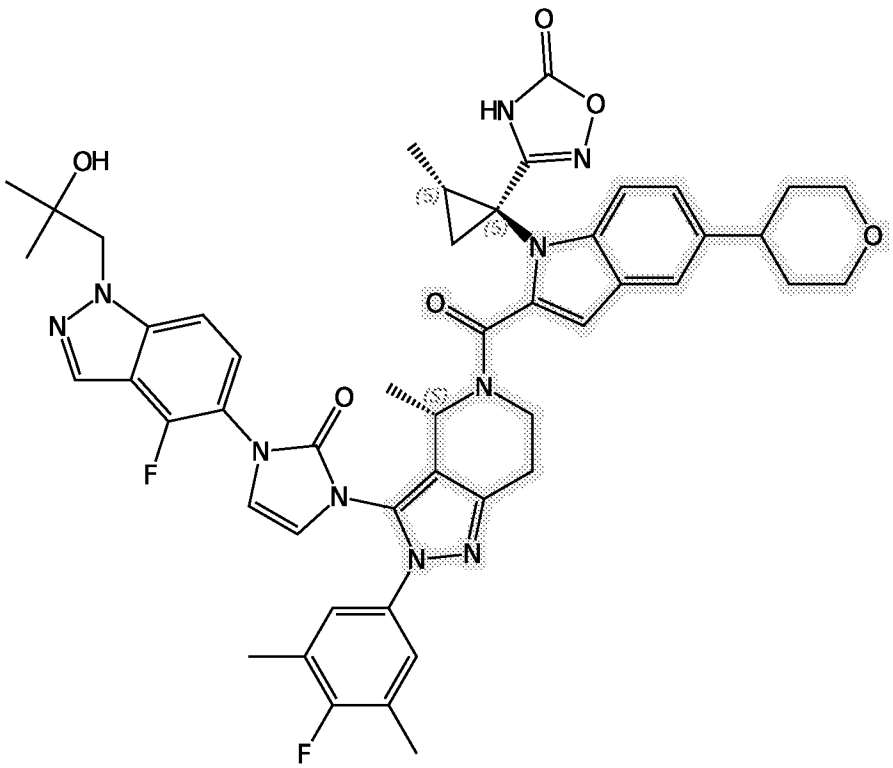
Absolute stereochemistry shown

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)



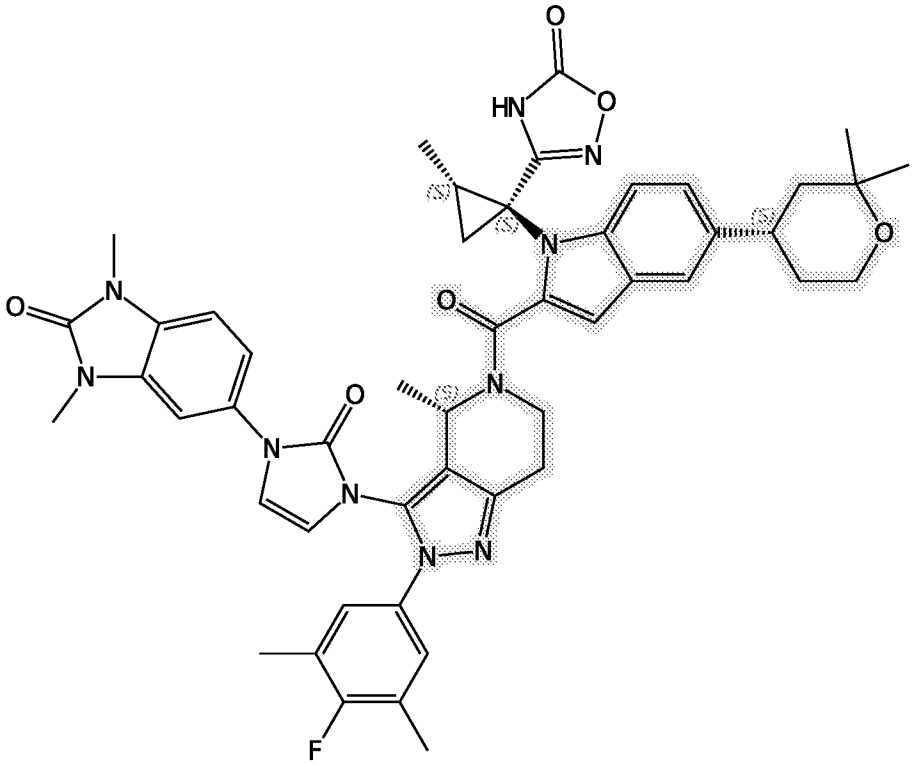
Absolute stereochemistry shown

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)



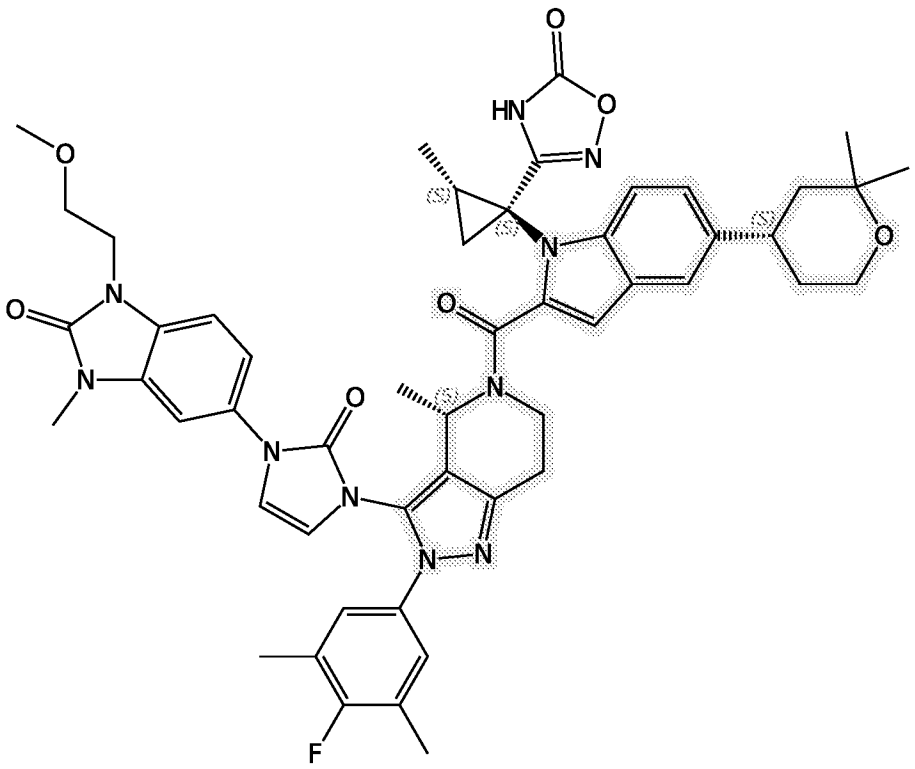
Absolute stereochemistry shown

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)



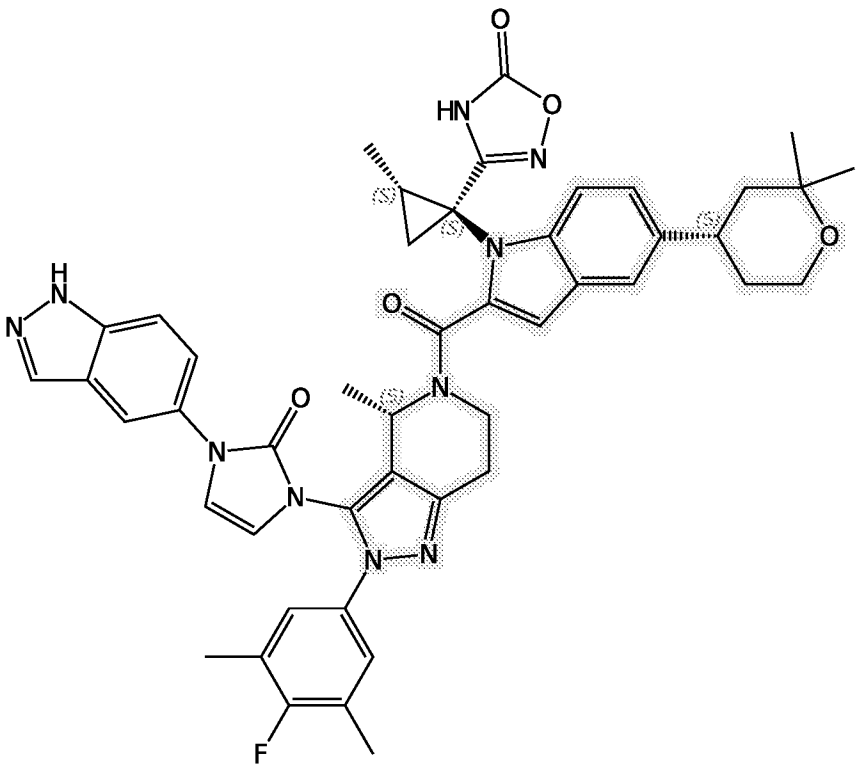
Absolute stereochemistry shown

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)



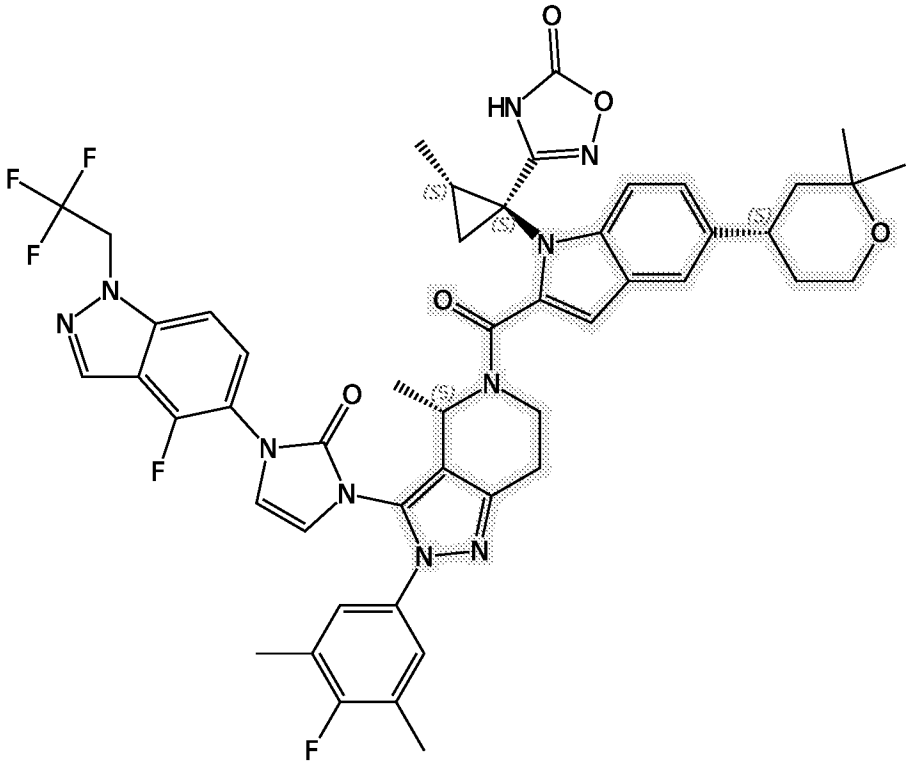
Absolute stereochemistry shown

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)



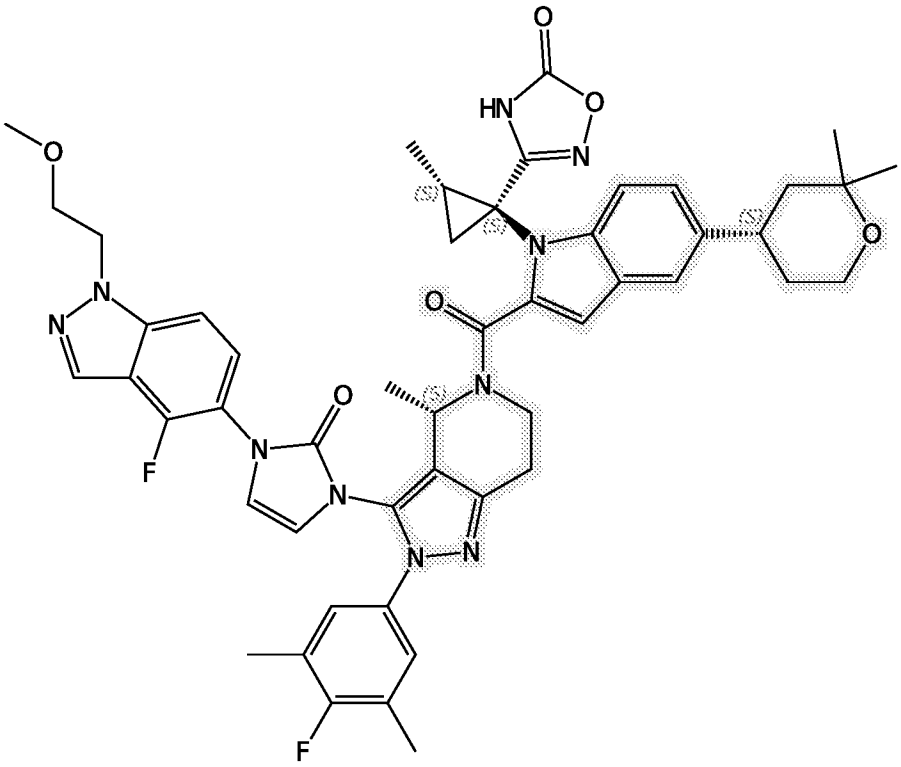
Absolute stereochemistry shown

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)



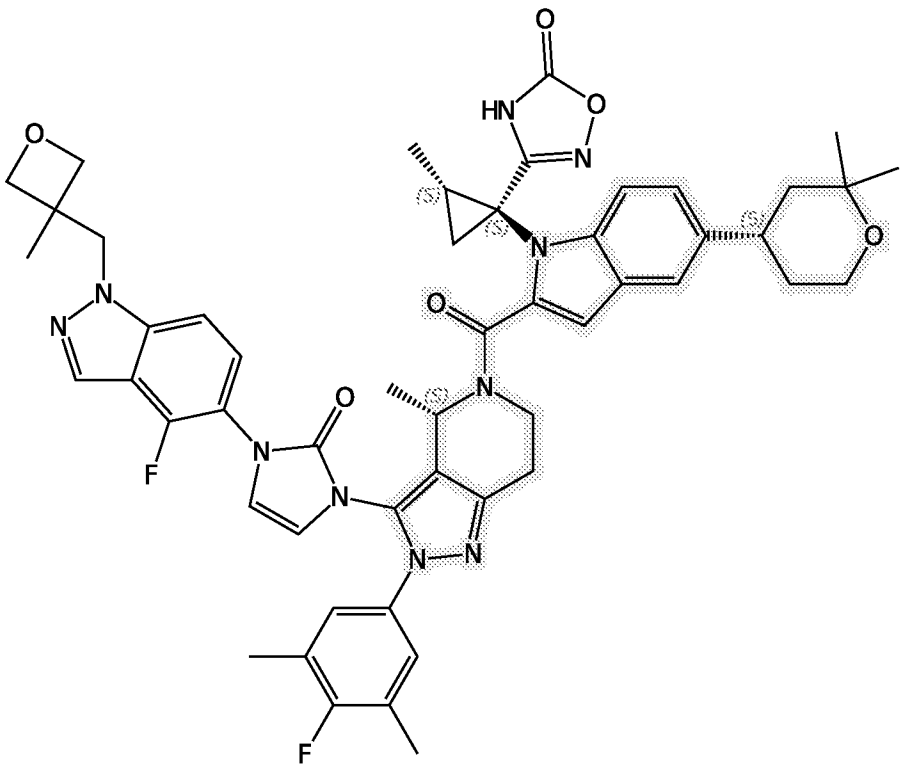
Absolute stereochemistry shown

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)



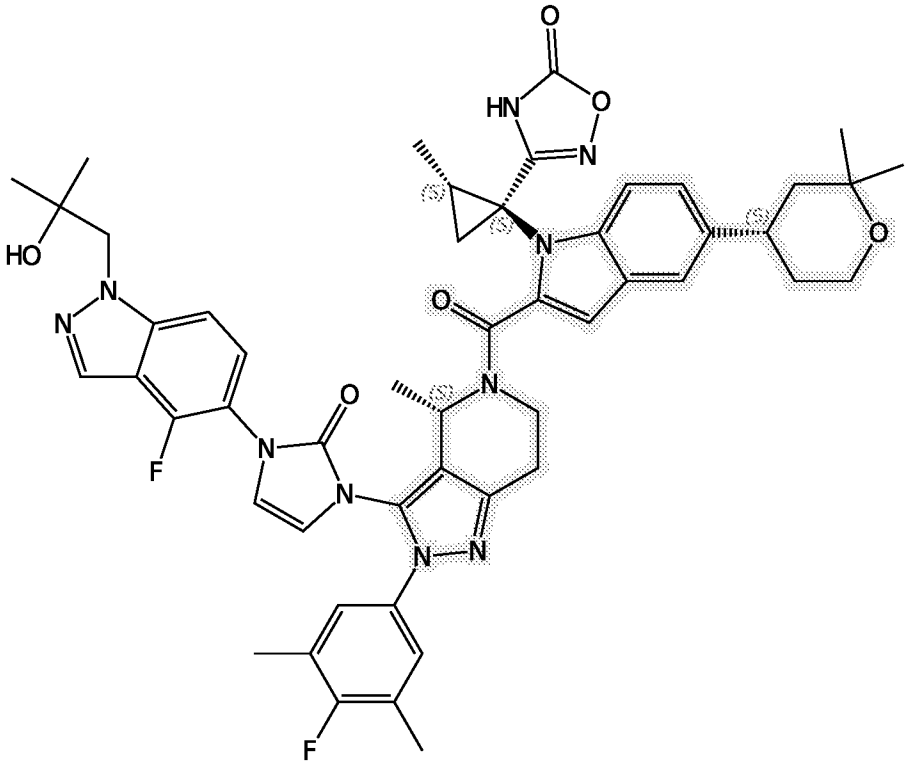
Absolute stereochemistry shown

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)



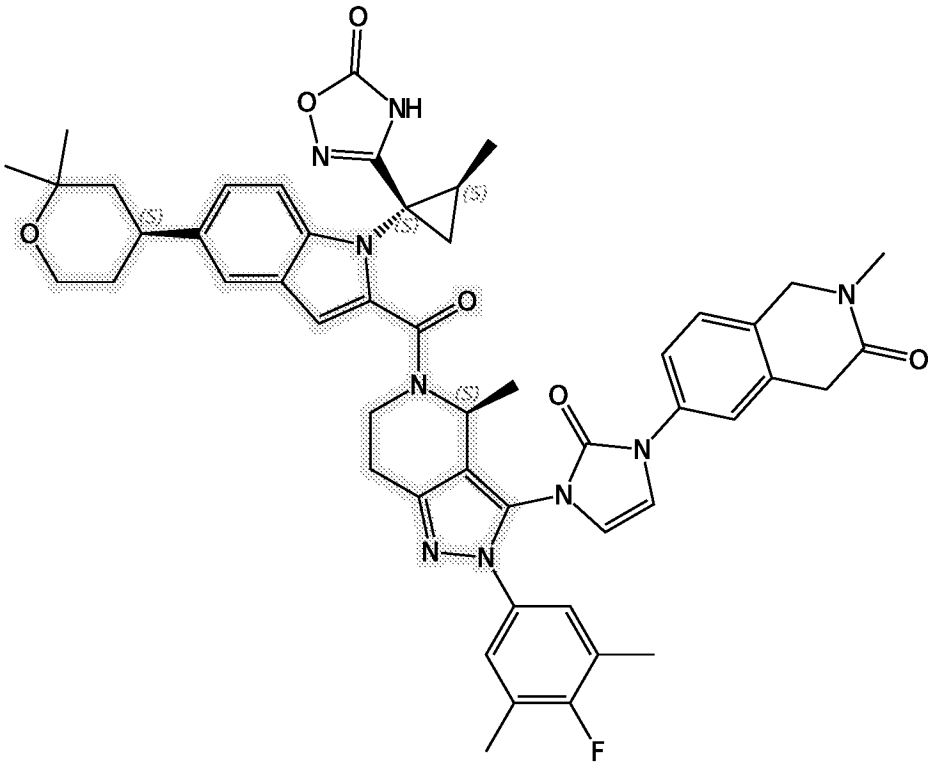
Absolute stereochemistry shown

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)



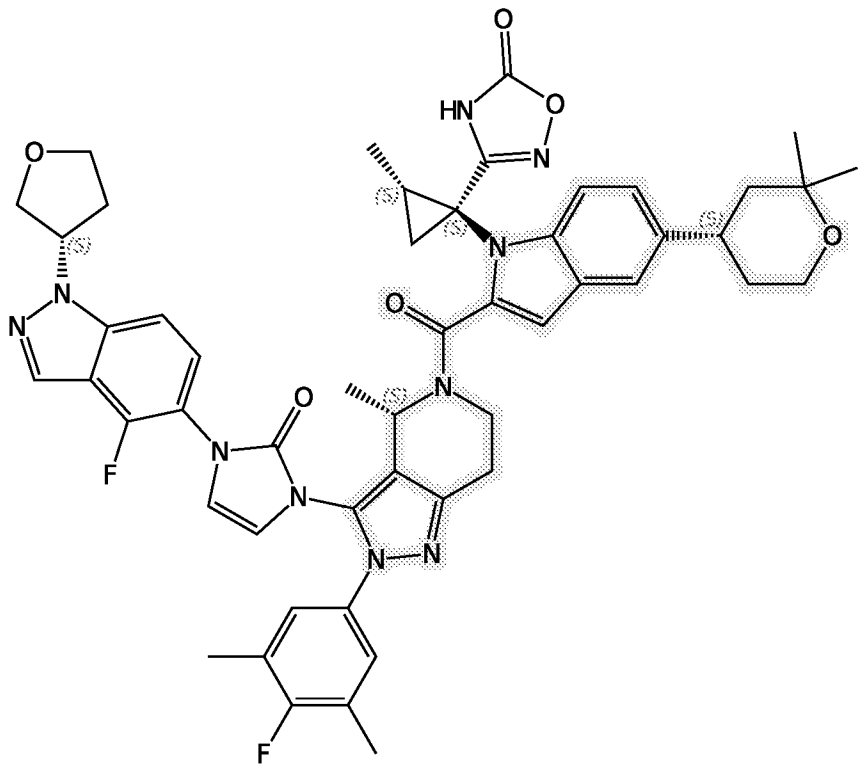
Absolute stereochemistry shown

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)



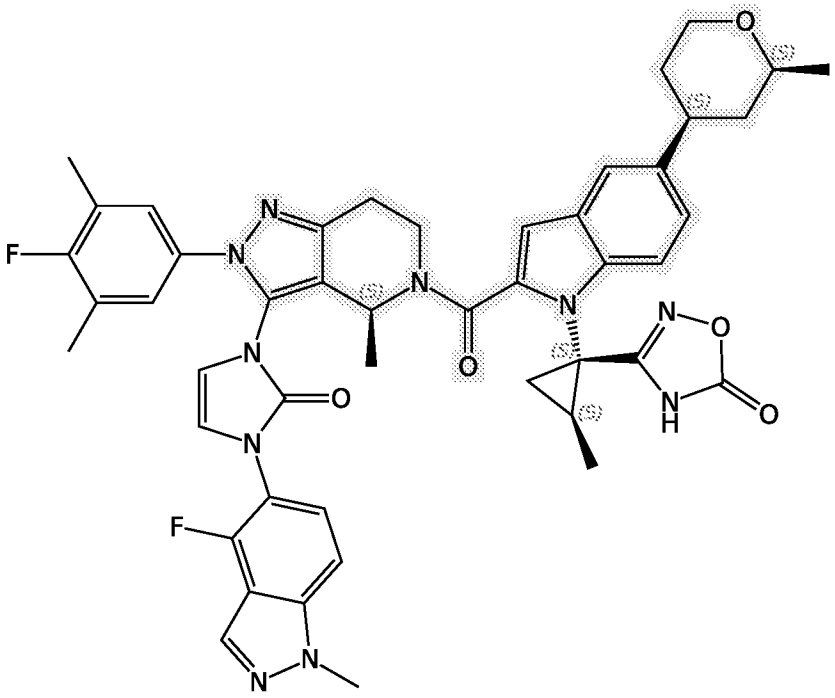
Absolute stereochemistry shown

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)



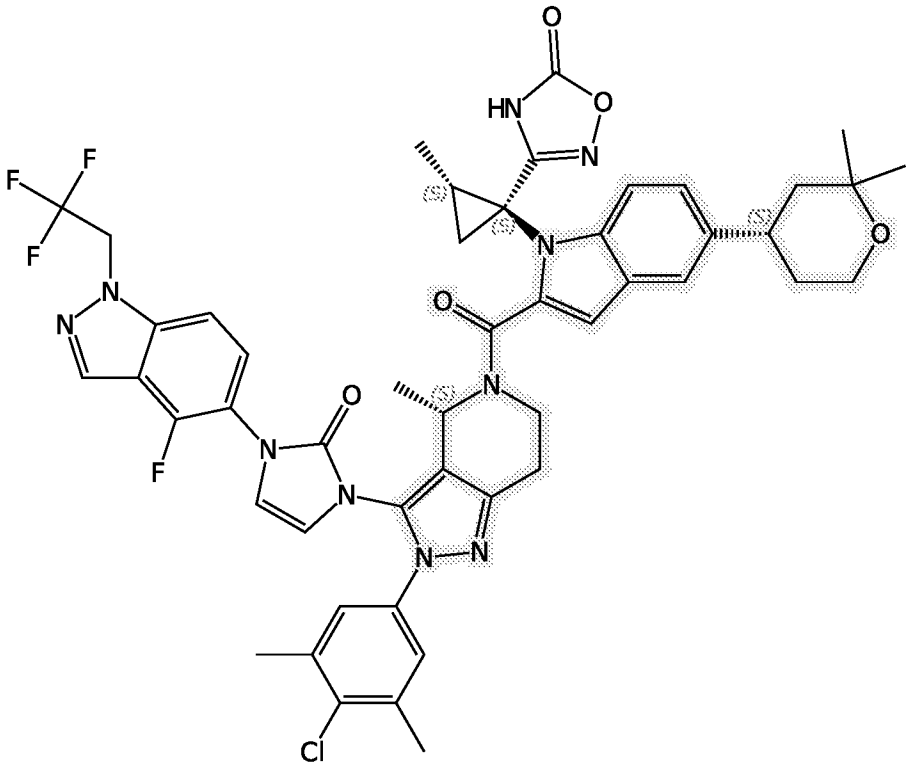
Absolute stereochemistry shown

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)



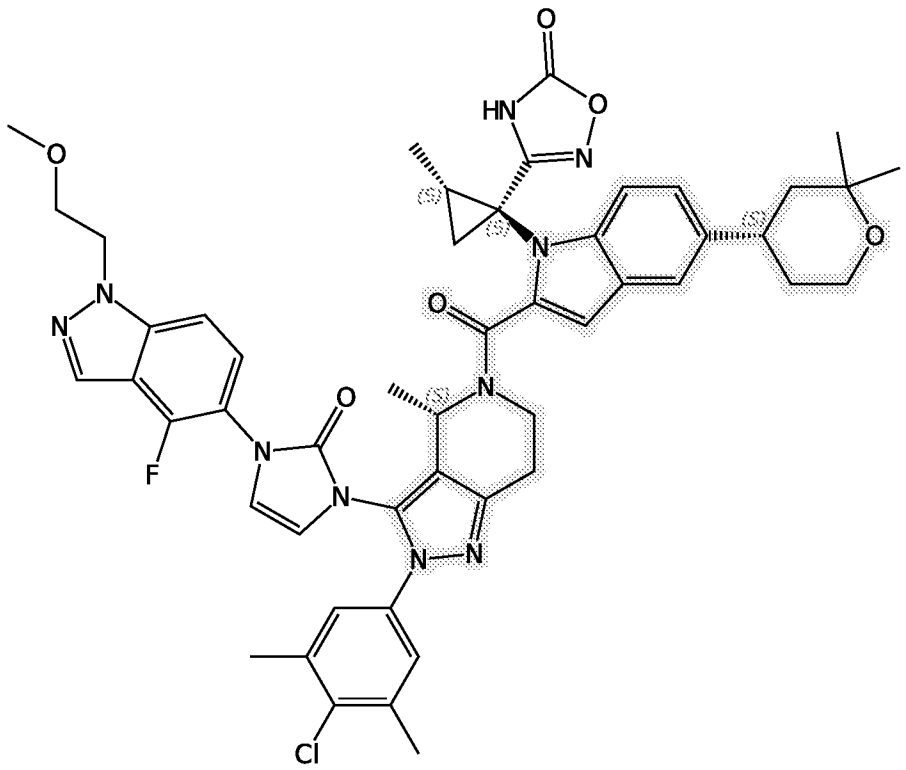
Absolute stereochemistry shown

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)



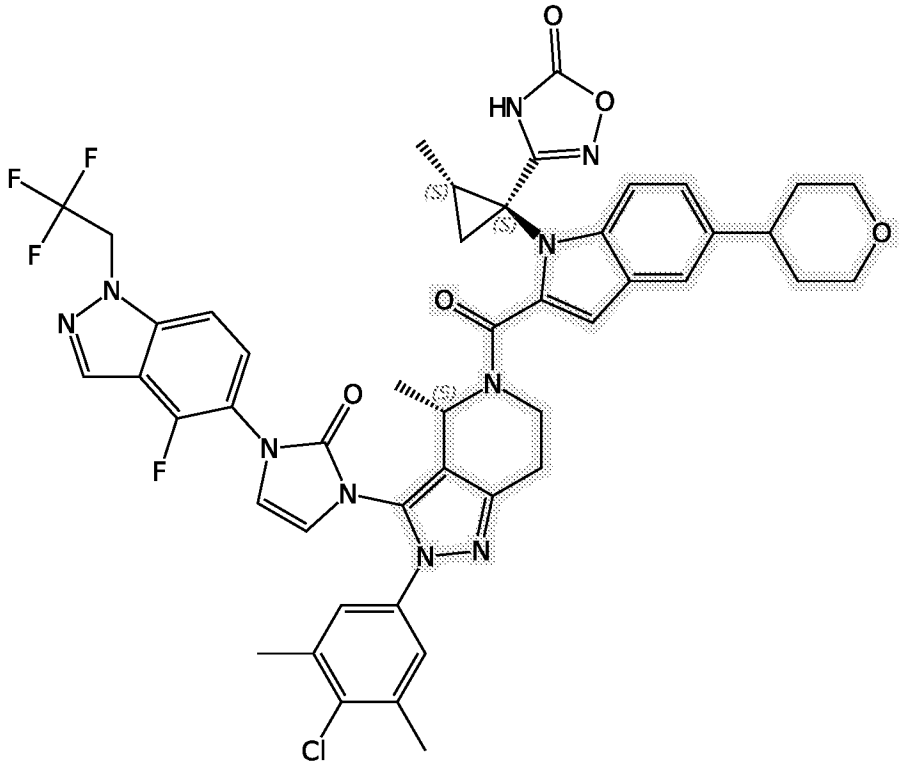
Absolute stereochemistry shown

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)



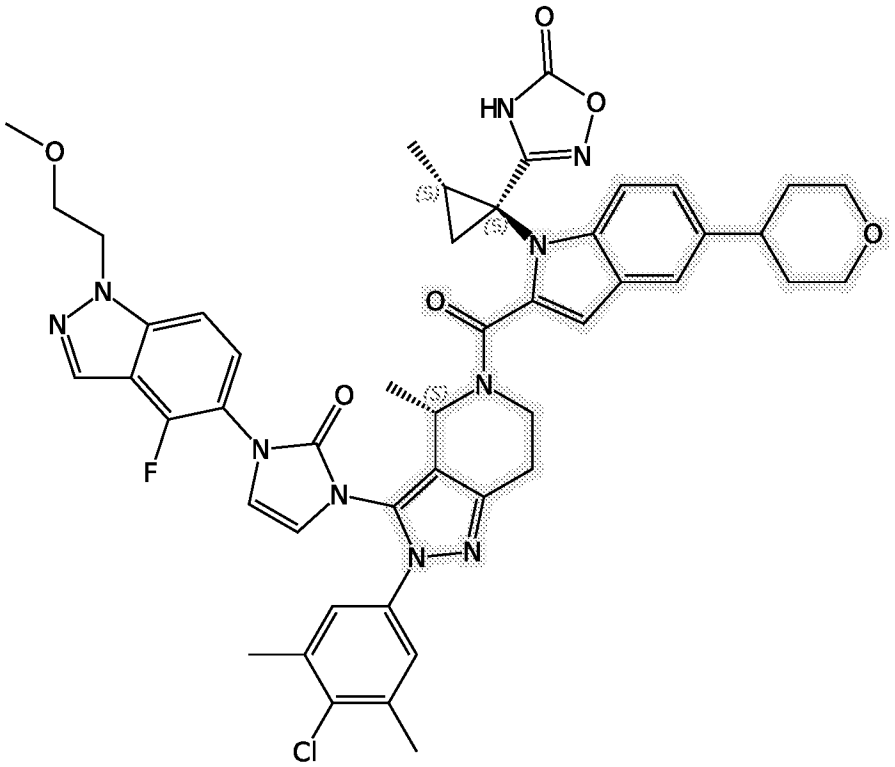
Absolute stereochemistry shown

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)



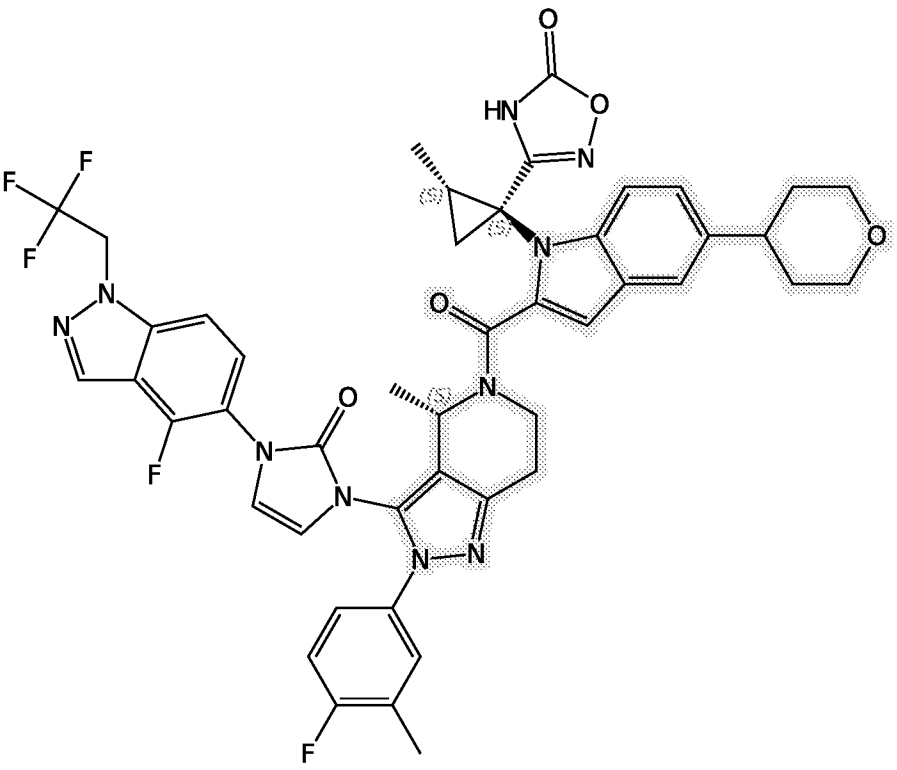
Absolute stereochemistry shown

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)



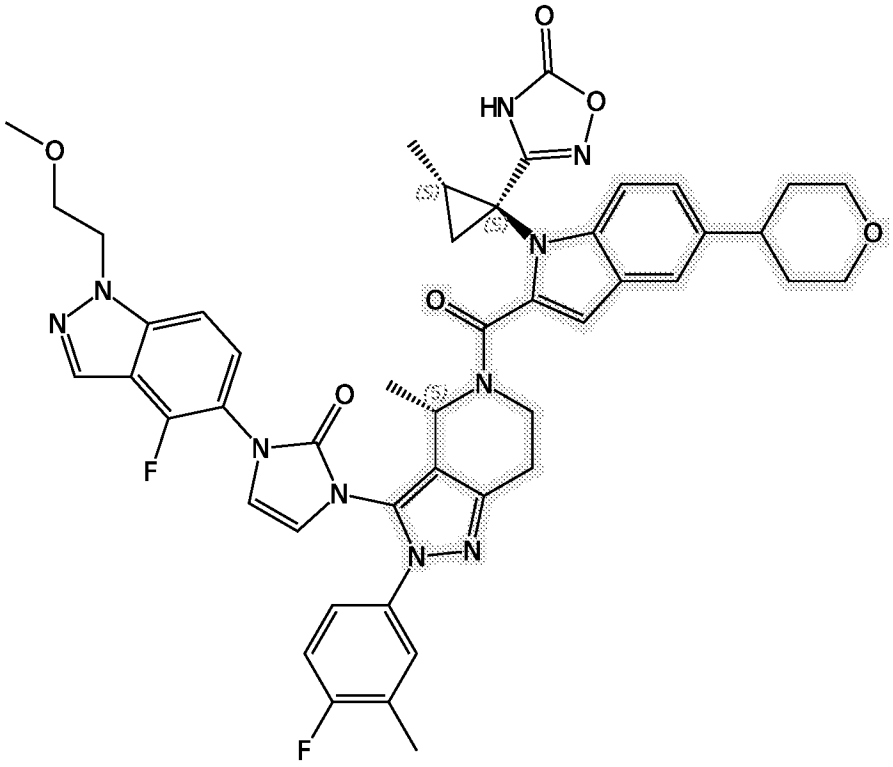
Absolute stereochemistry shown

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)



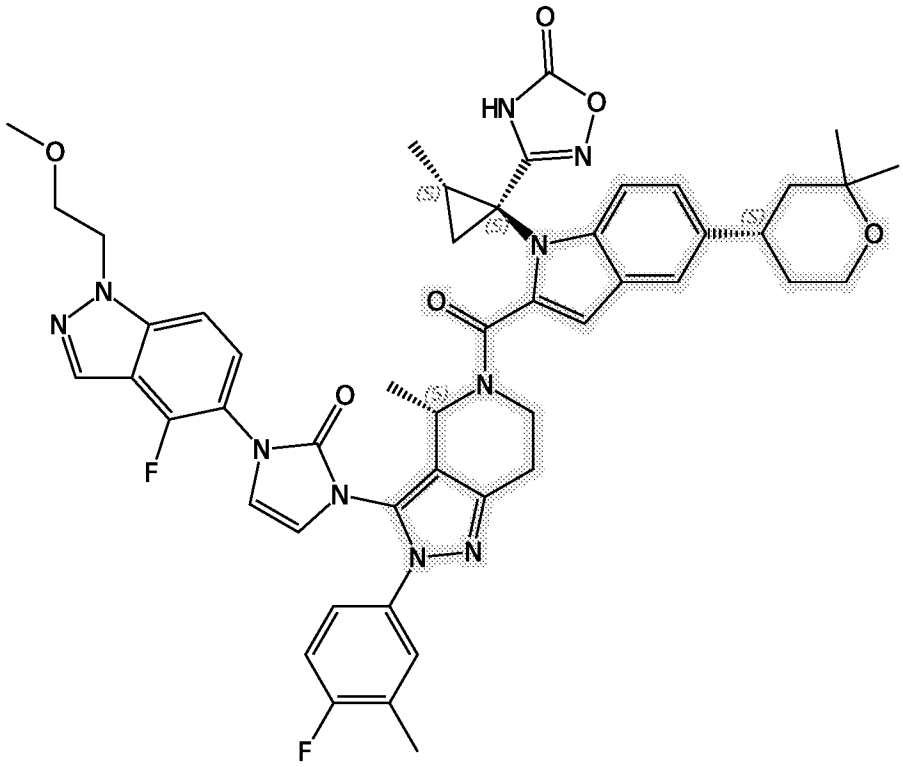
Absolute stereochemistry shown

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)



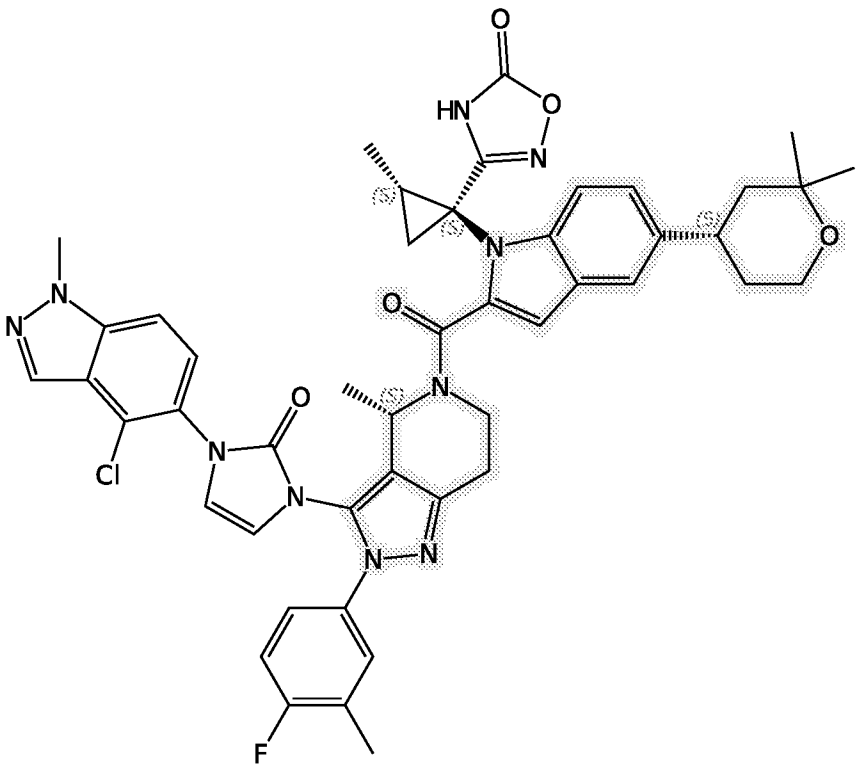
Absolute stereochemistry shown

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)



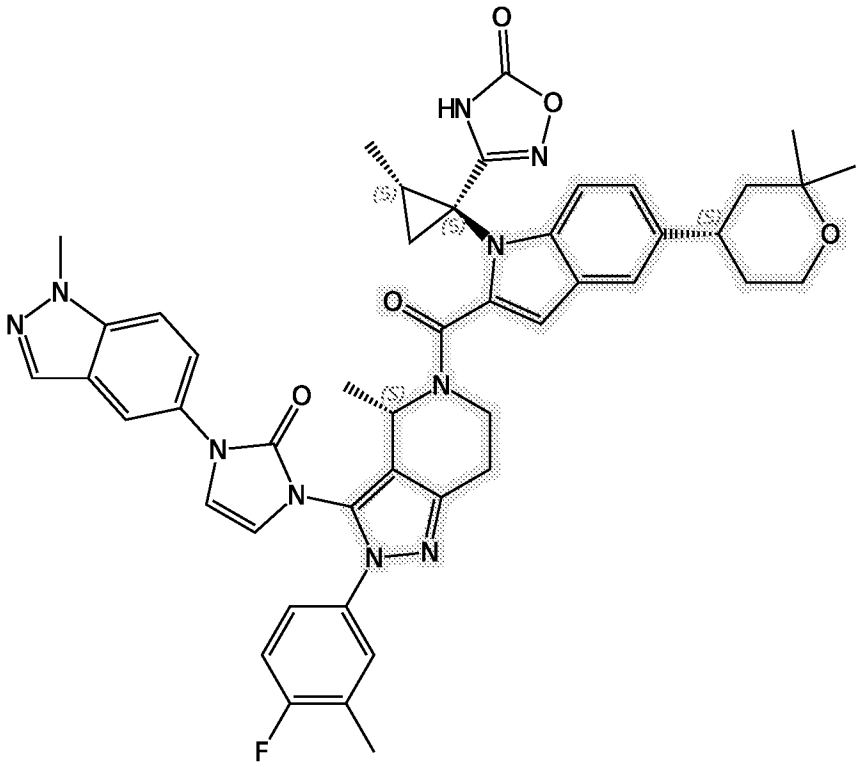
Absolute stereochemistry shown

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)



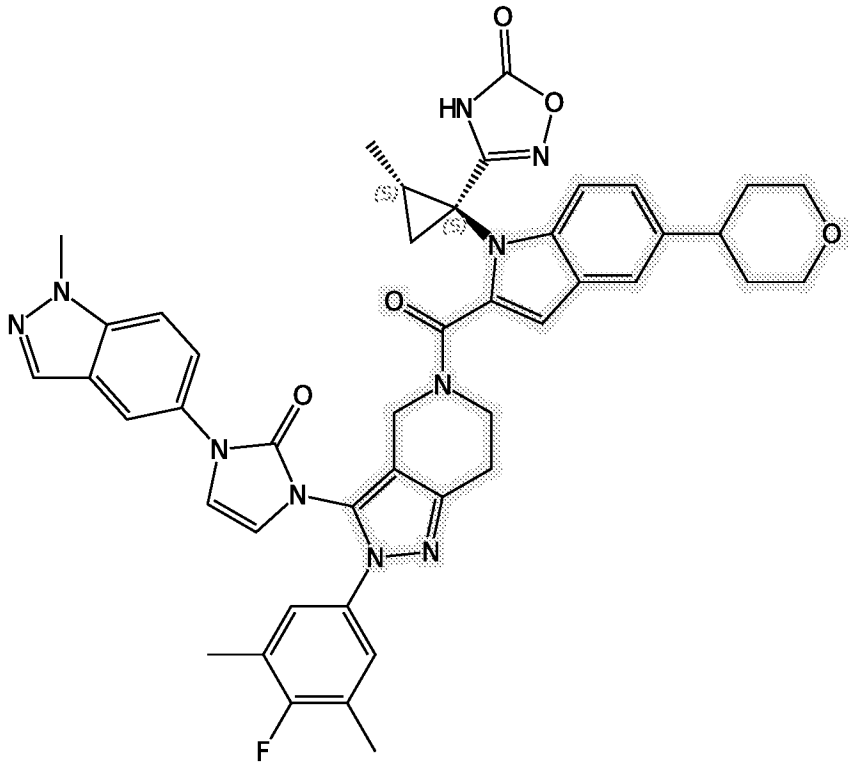
Absolute stereochemistry shown

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)



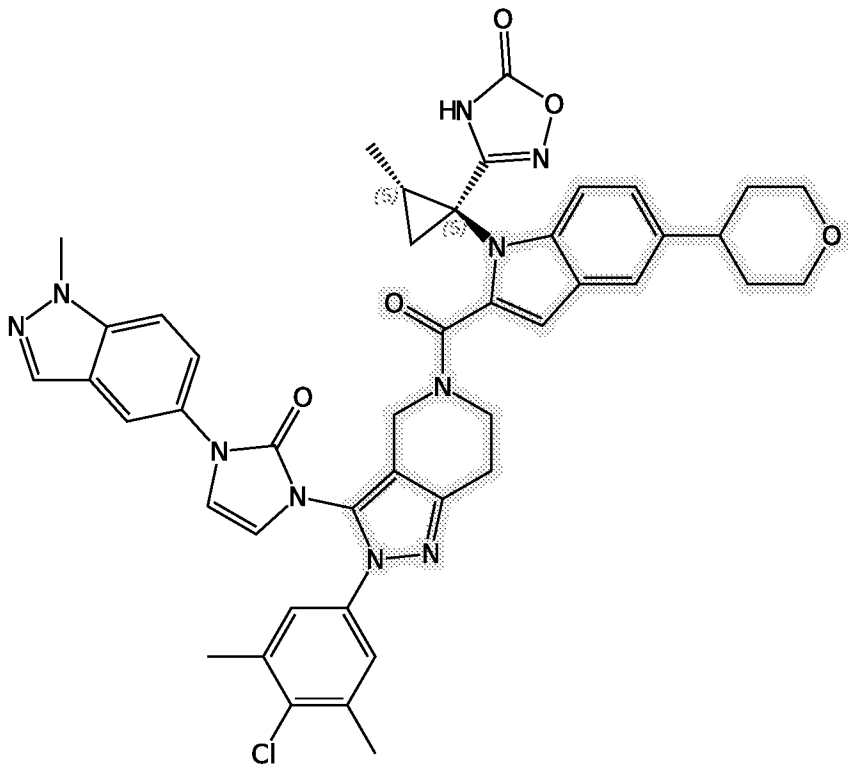
Absolute stereochemistry shown

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)



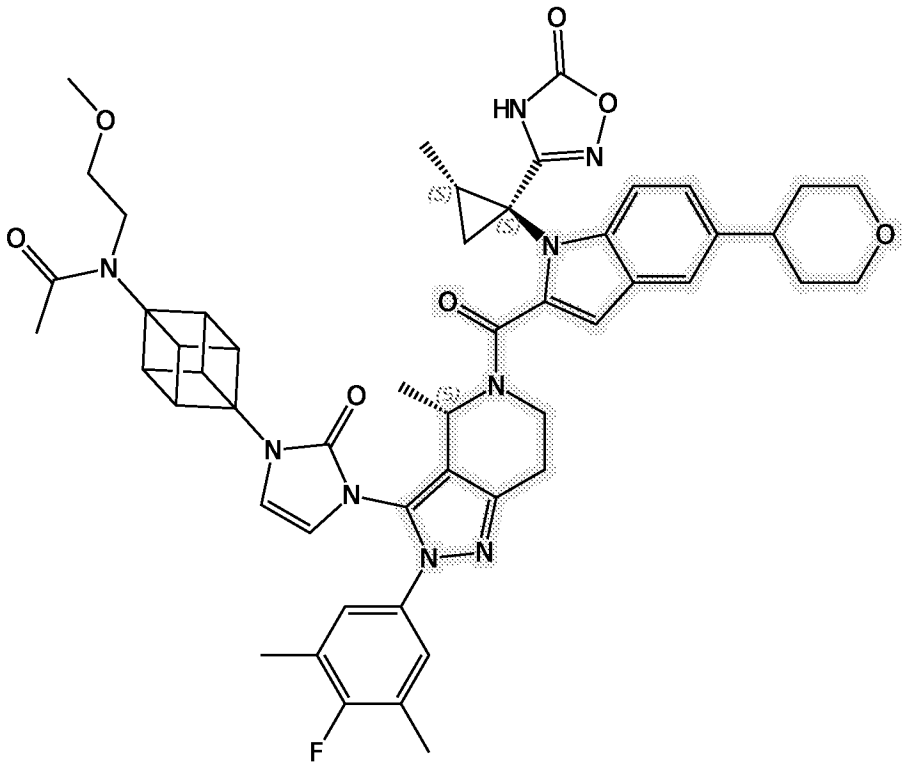
Absolute stereochemistry shown

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)



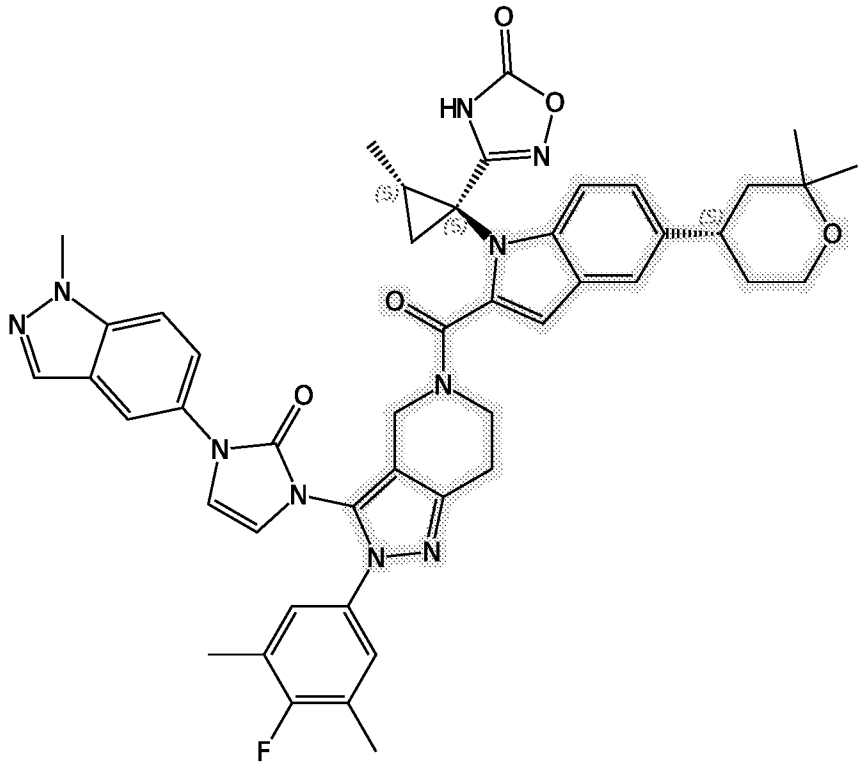
Absolute stereochemistry shown

RN 2212020-42-1 CAPLUS
CN Acetamide, N-[4-[3-[(4S)-5-[[1-[(1S,2S)-1-(2,5-dihydro-5-oxo-1,2,4-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]pentacyclo[4.2.0.02,5.03,8.04,7]octyl]-N-(2-methoxyethyl)- (CA INDEX NAME)



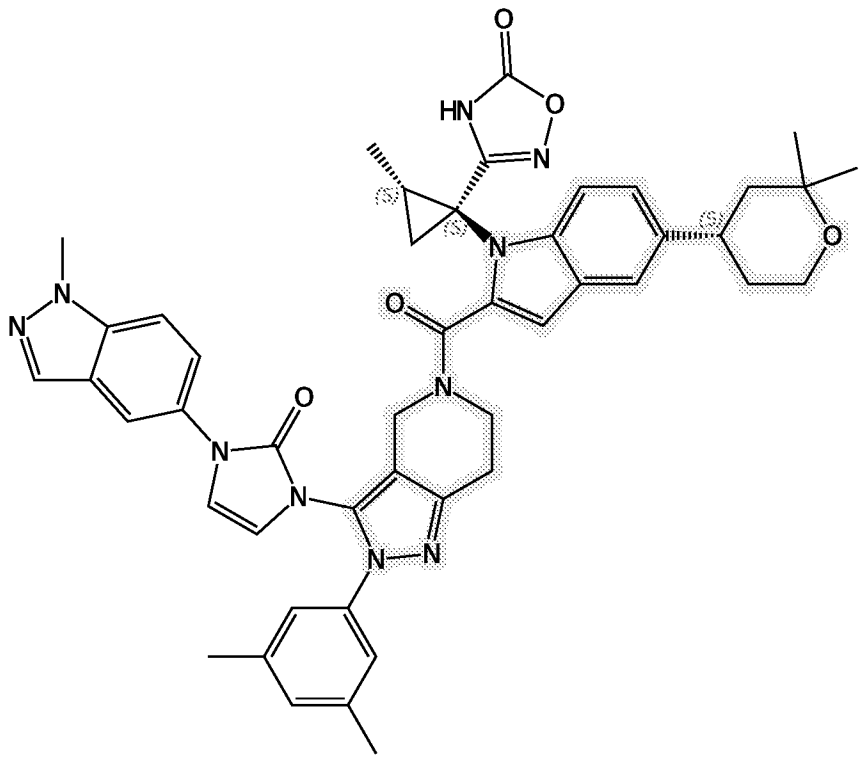
Absolute stereochemistry shown

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-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)



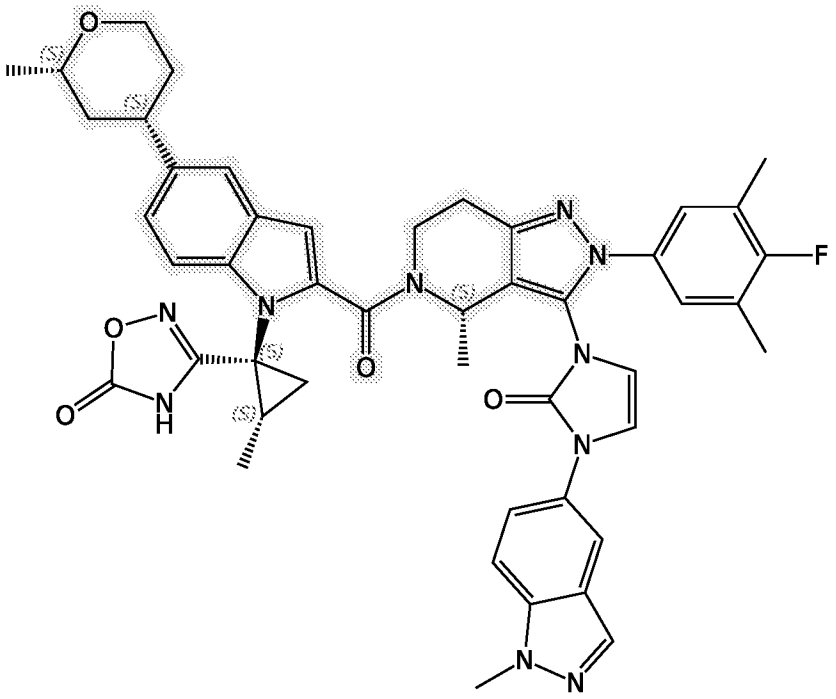
Absolute stereochemistry shown

RN 2212020-45-4 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)



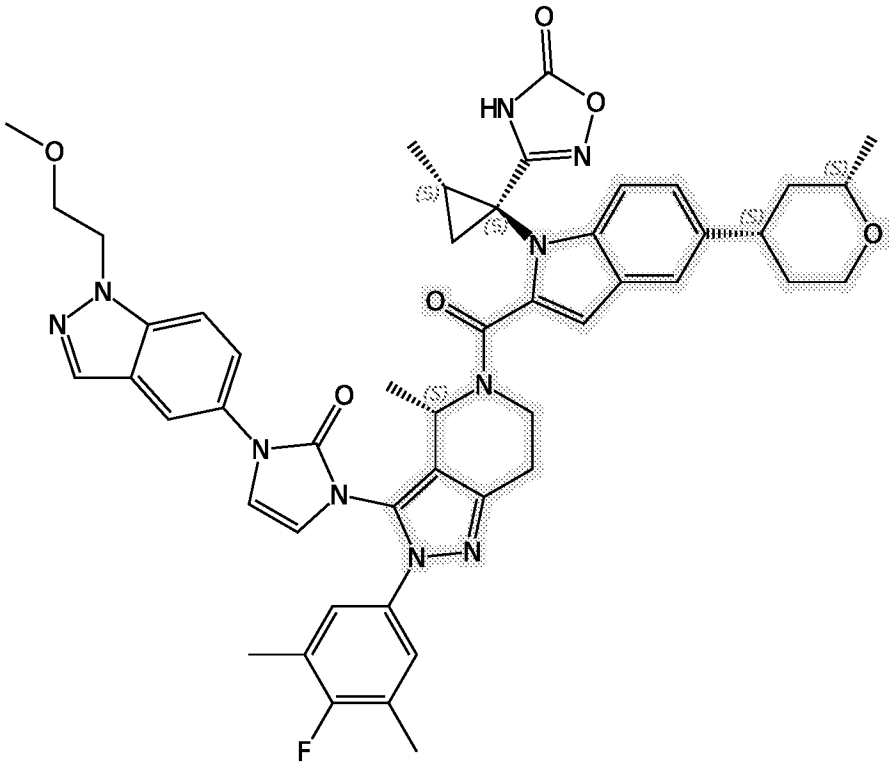
Absolute stereochemistry shown

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)



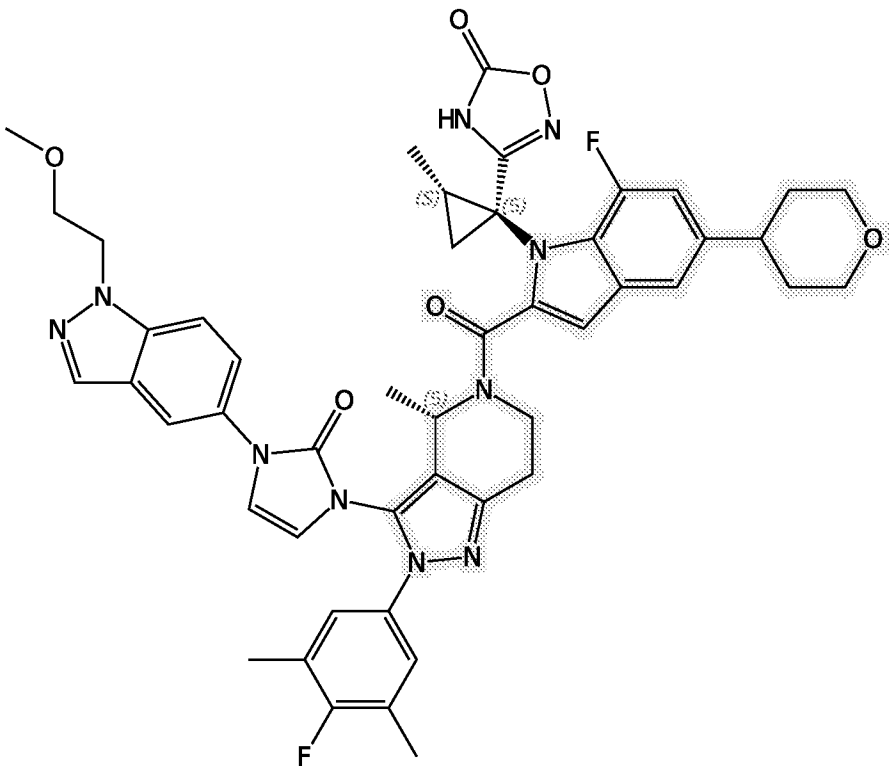
Absolute stereochemistry shown

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)



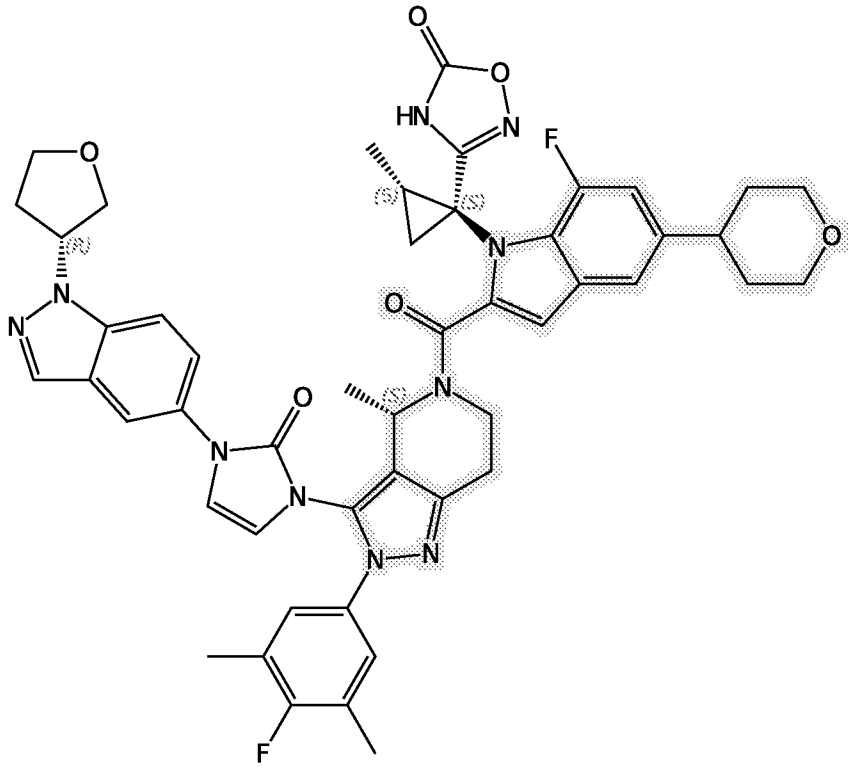
Absolute stereochemistry shown

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)



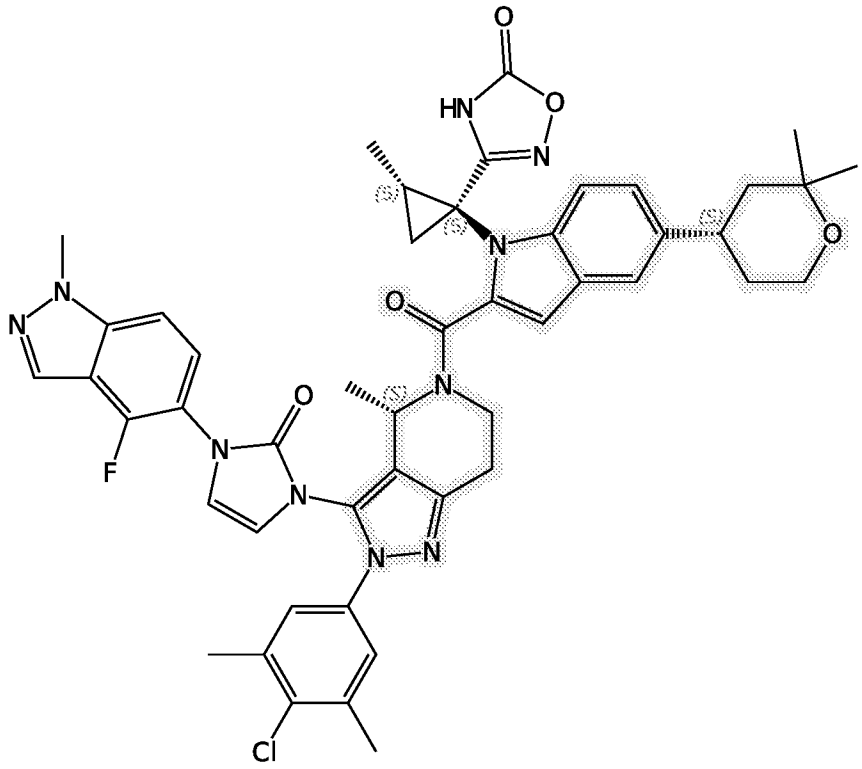
Absolute stereochemistry shown

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)



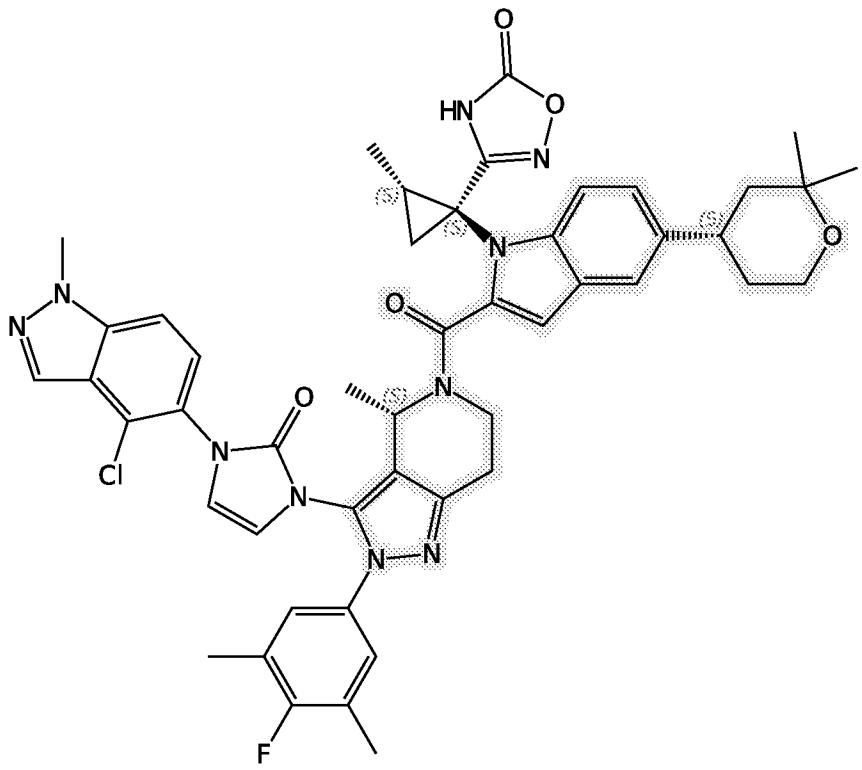
Absolute stereochemistry shown

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)



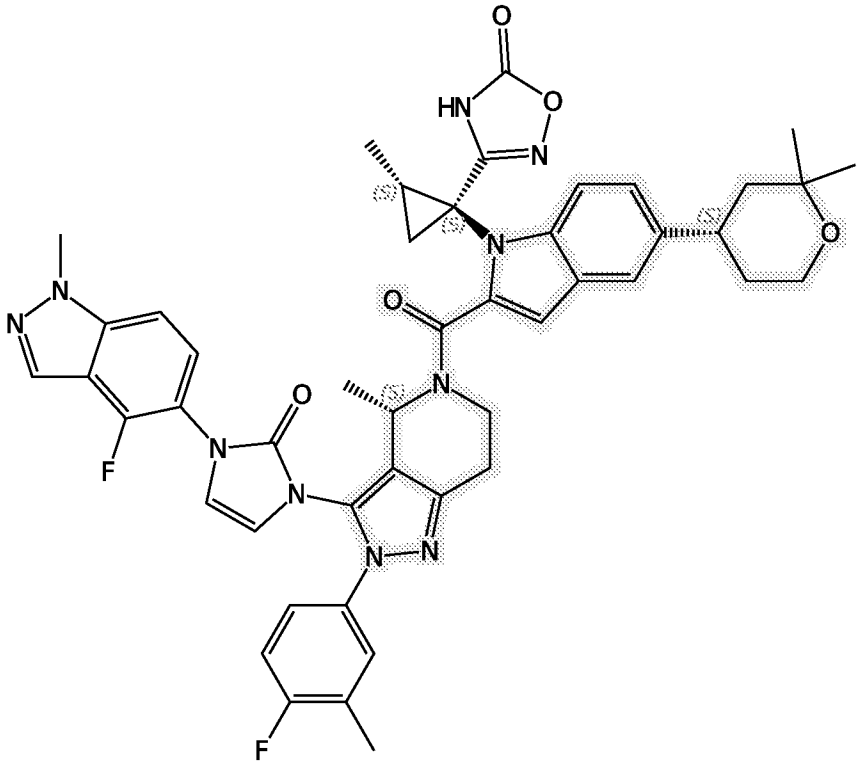
Absolute stereochemistry shown

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)



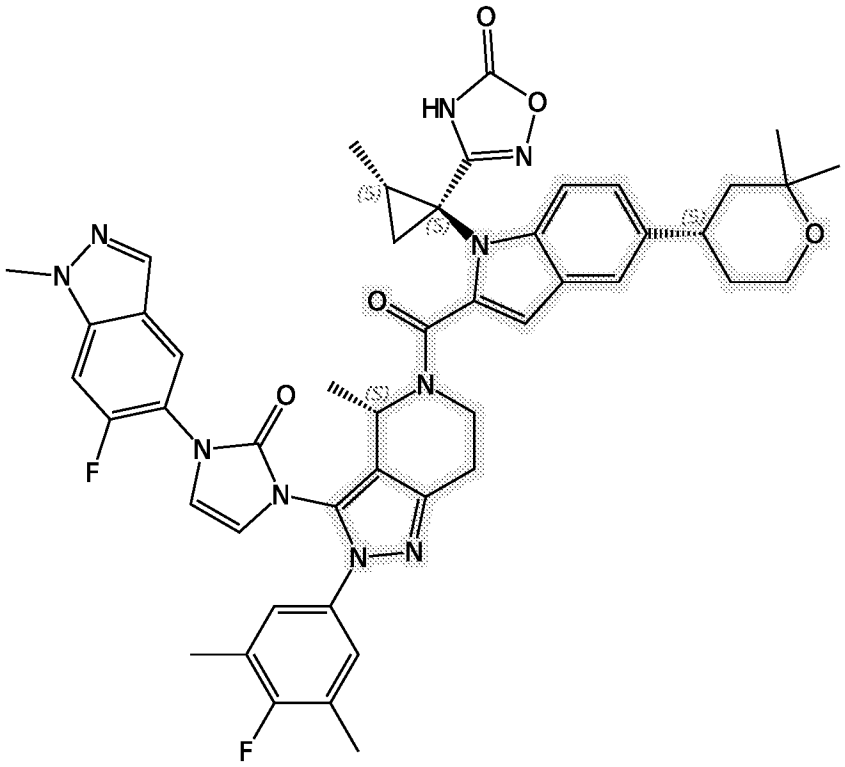
Absolute stereochemistry shown

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)



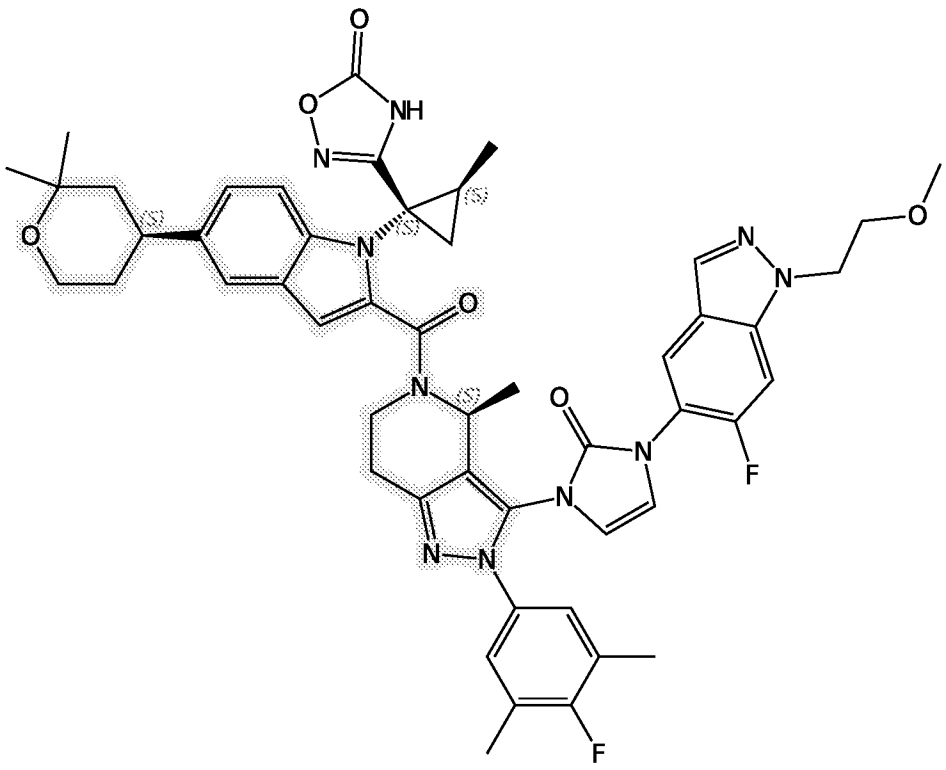
Absolute stereochemistry shown

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)



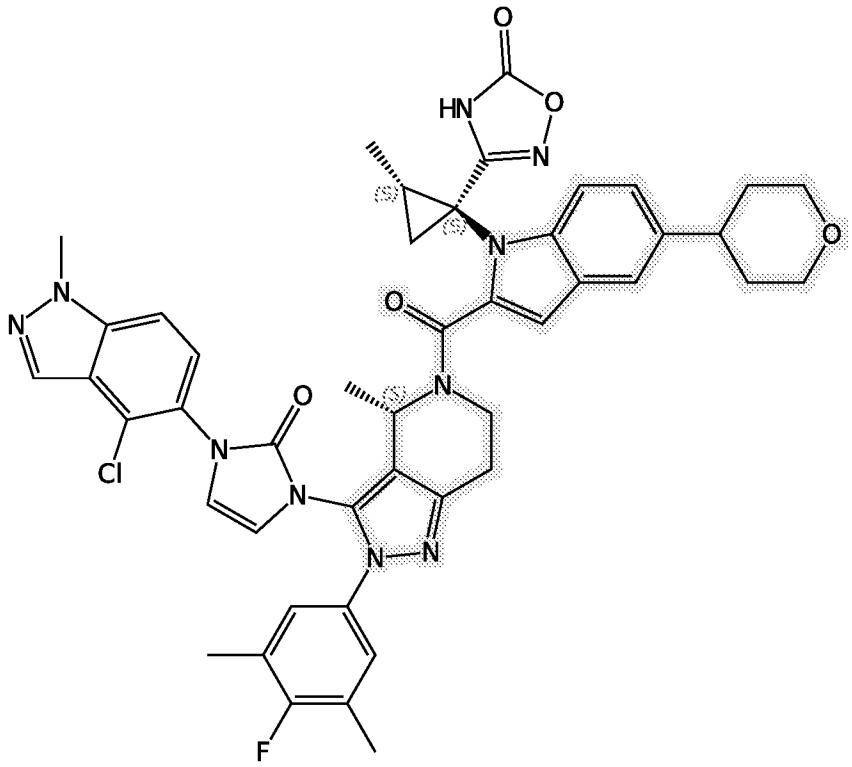
Absolute stereochemistry shown

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)



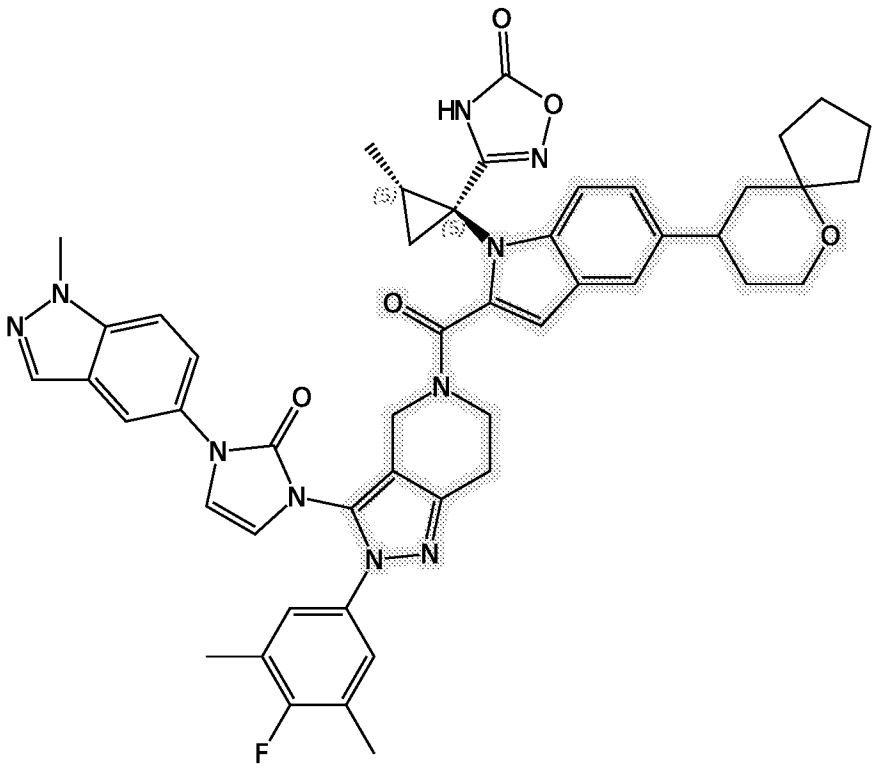
Absolute stereochemistry shown

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)



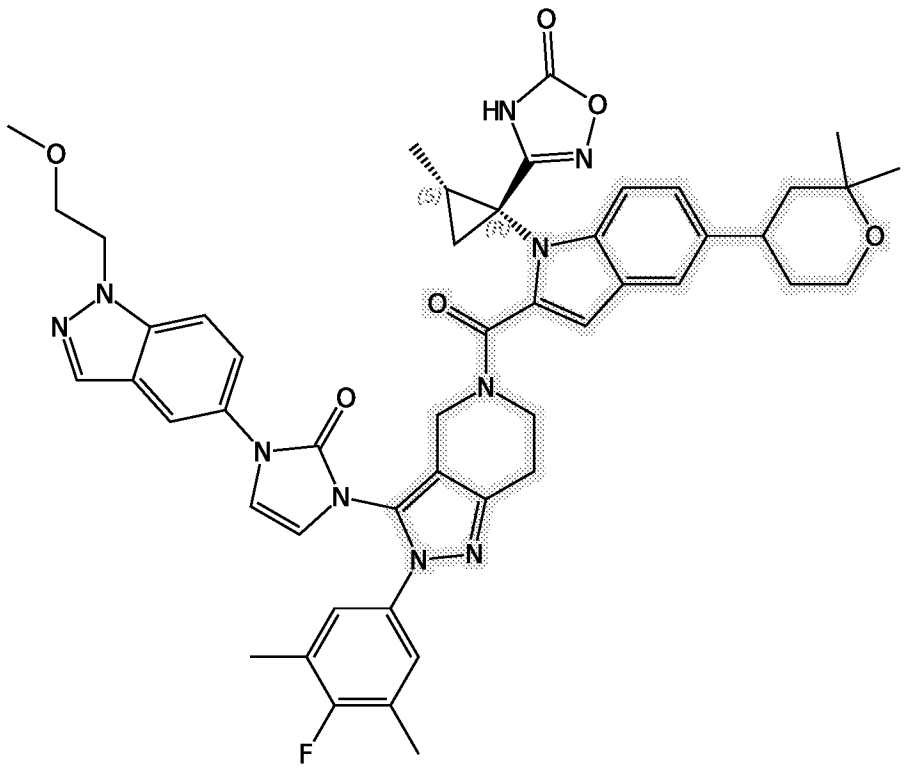
Absolute stereochemistry shown

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)



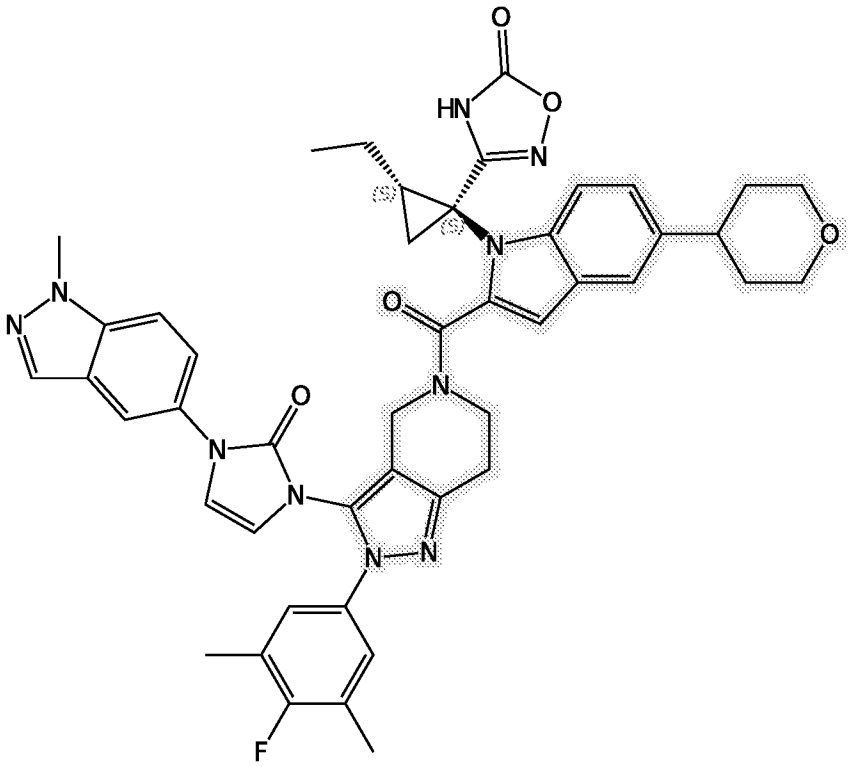
Absolute stereochemistry shown

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)



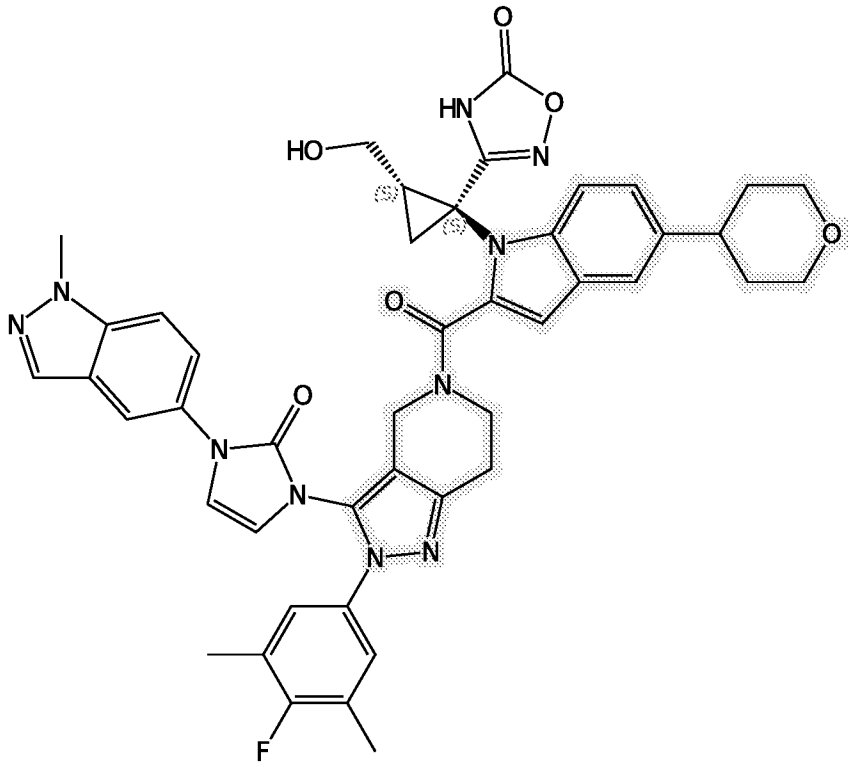
Absolute stereochemistry shown

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)



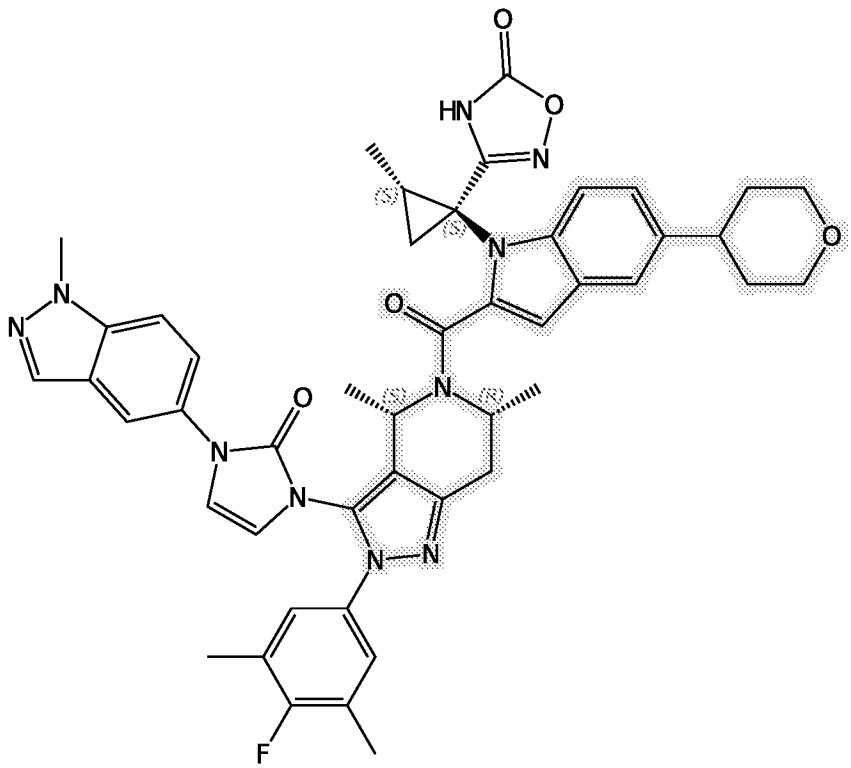
Absolute stereochemistry shown

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)



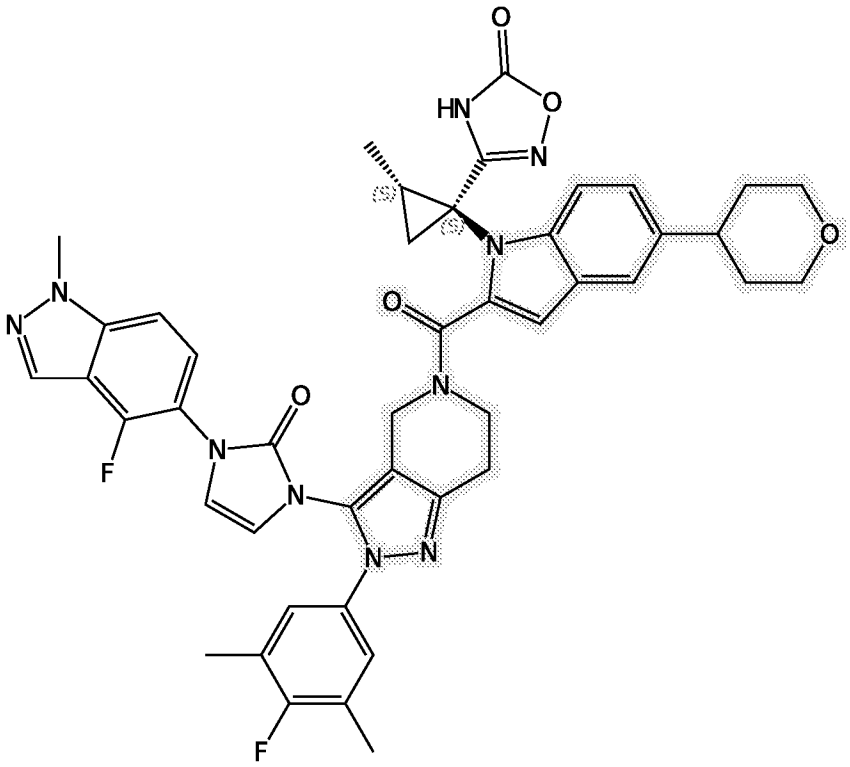
Absolute stereochemistry shown

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)



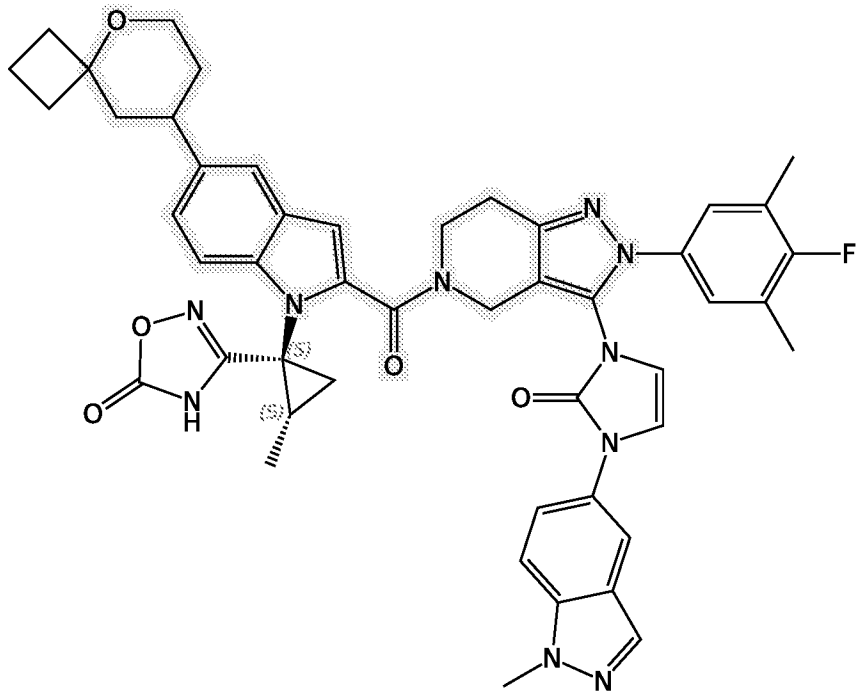
Absolute stereochemistry shown

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)



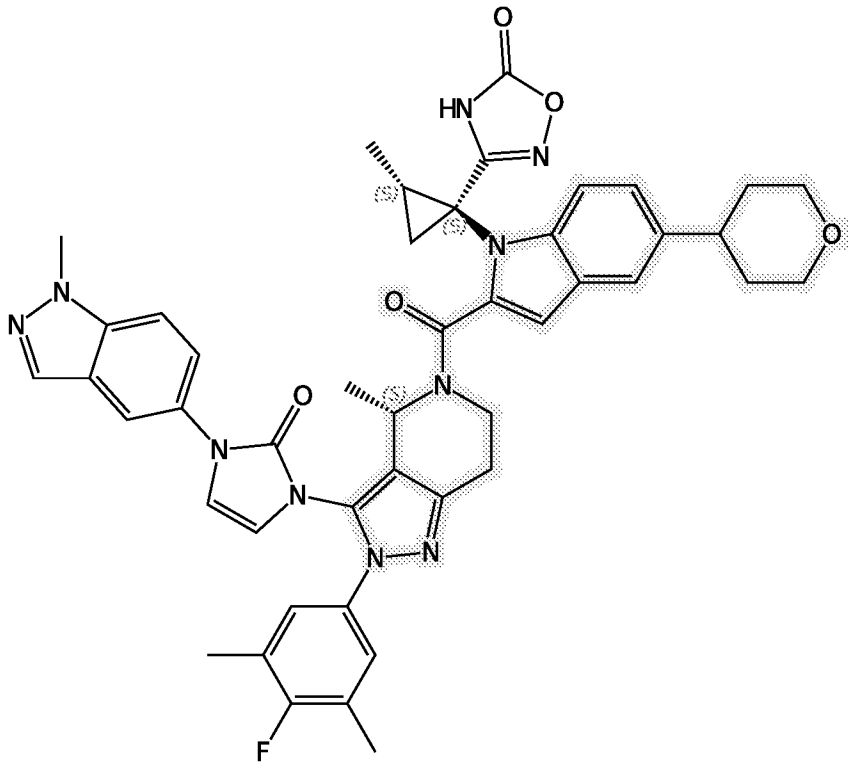
Absolute stereochemistry shown

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)



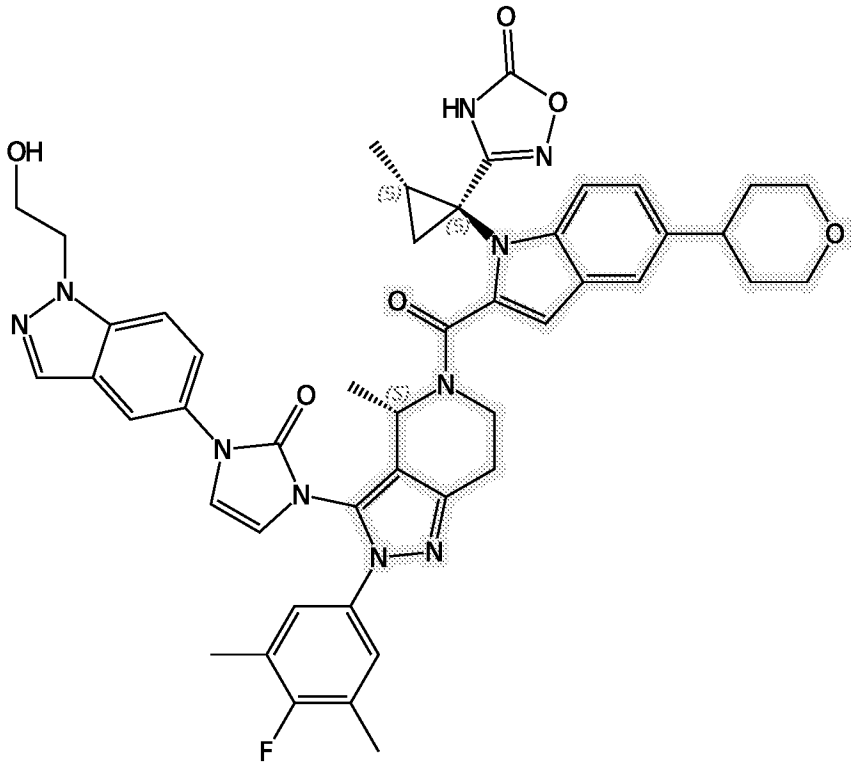
Absolute stereochemistry shown

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)



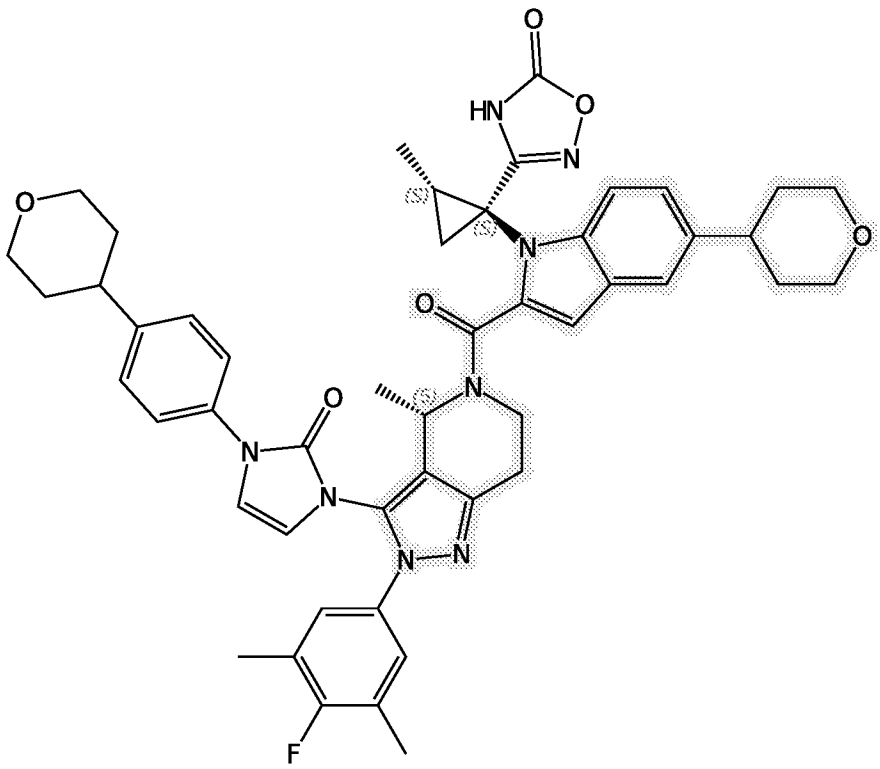
Absolute stereochemistry shown

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)



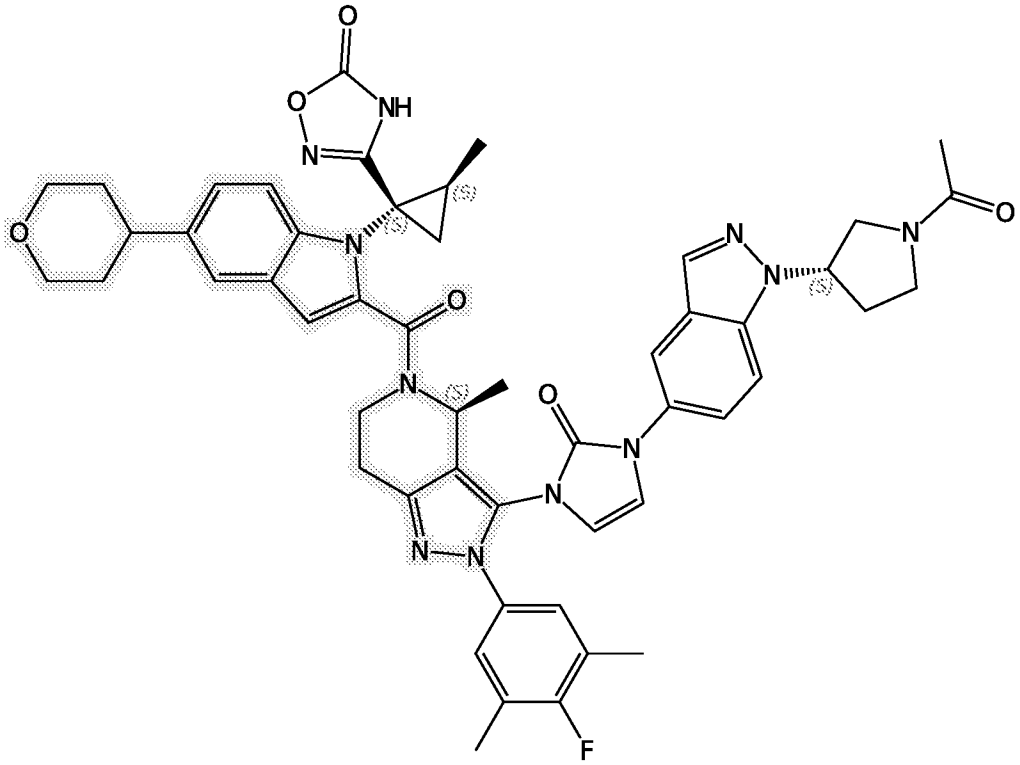
Absolute stereochemistry shown

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)



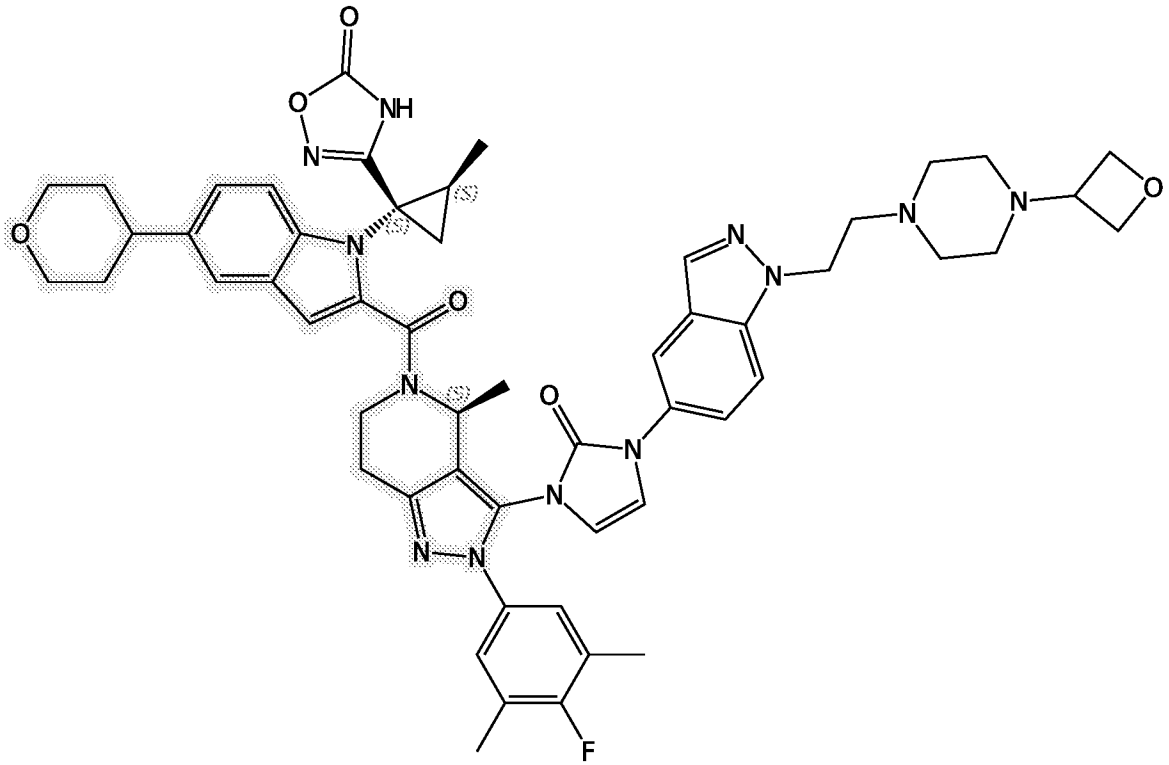
Absolute stereochemistry shown

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)



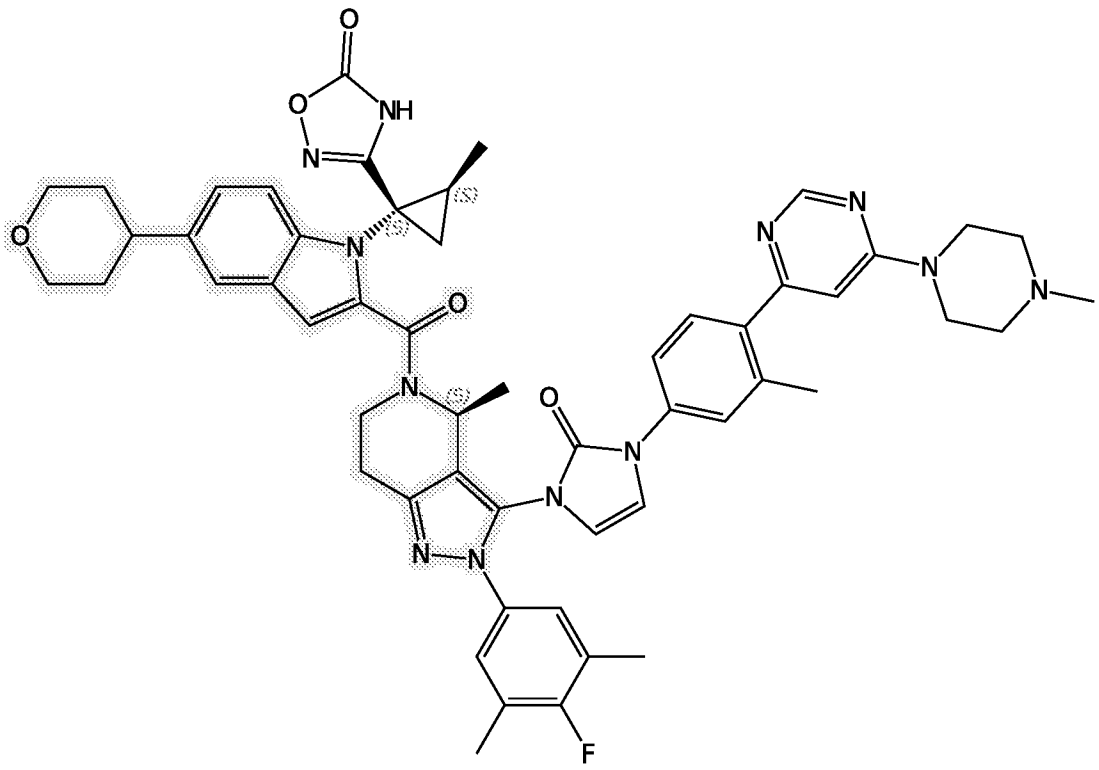
Absolute stereochemistry shown

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)



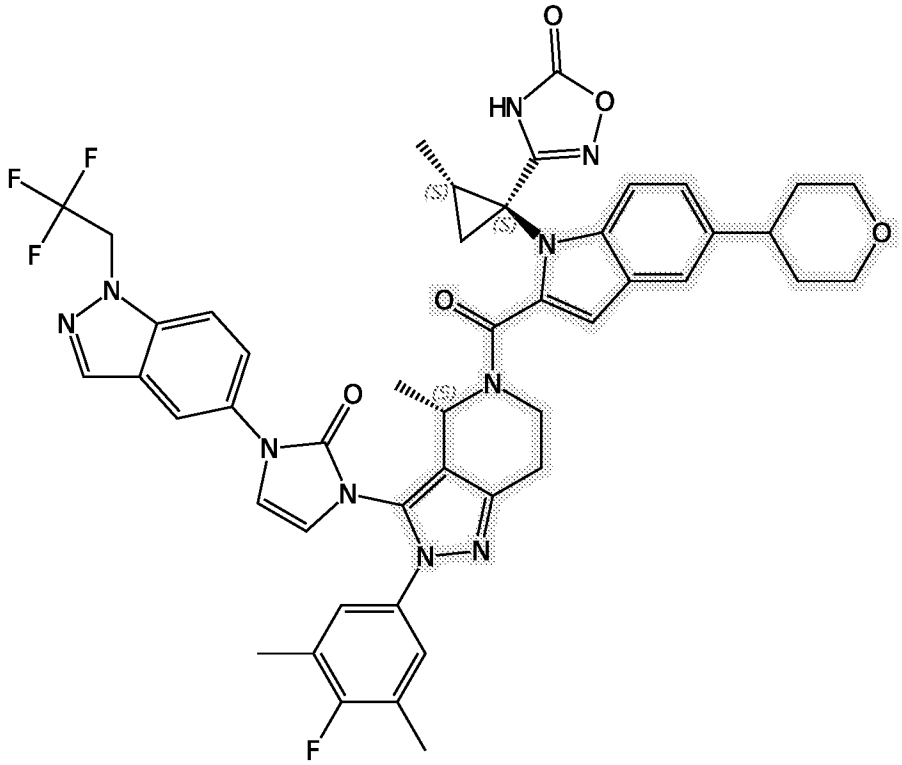
Absolute stereochemistry shown

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)



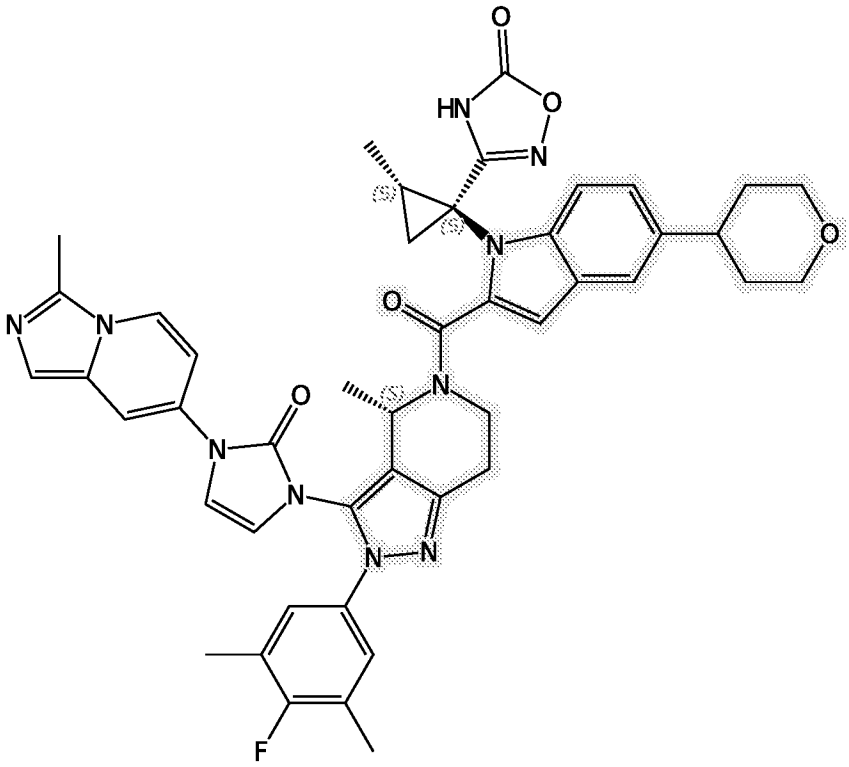
Absolute stereochemistry shown

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)



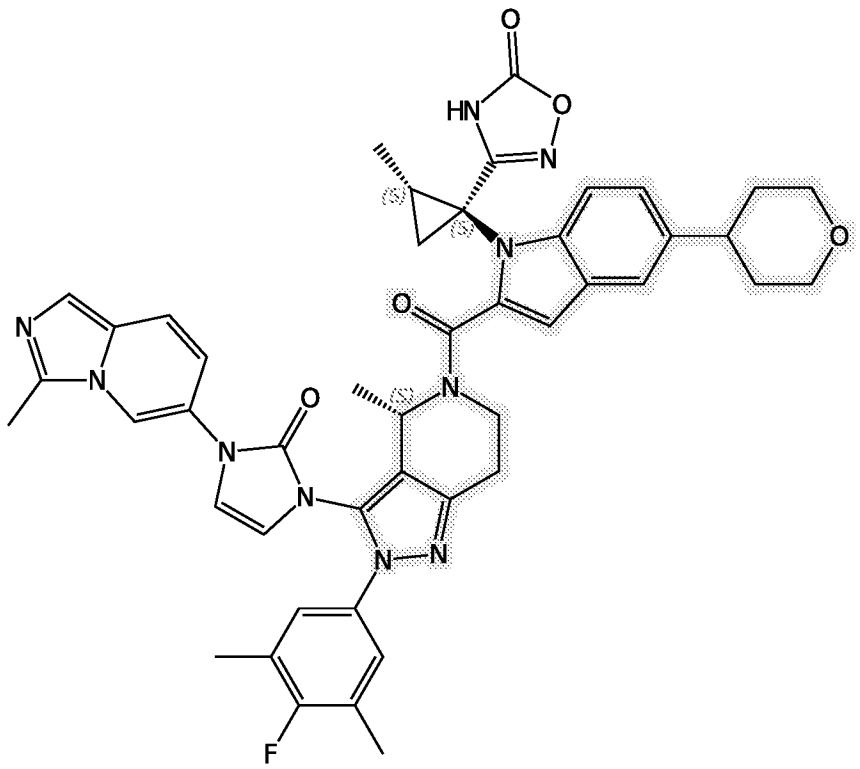
Absolute stereochemistry shown

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)



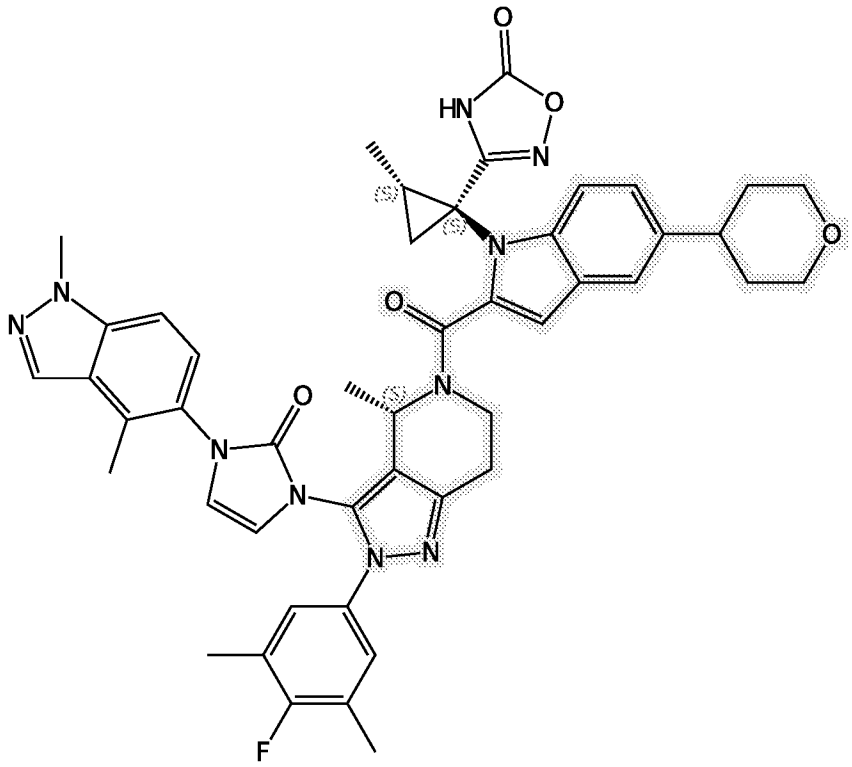
Absolute stereochemistry shown

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)



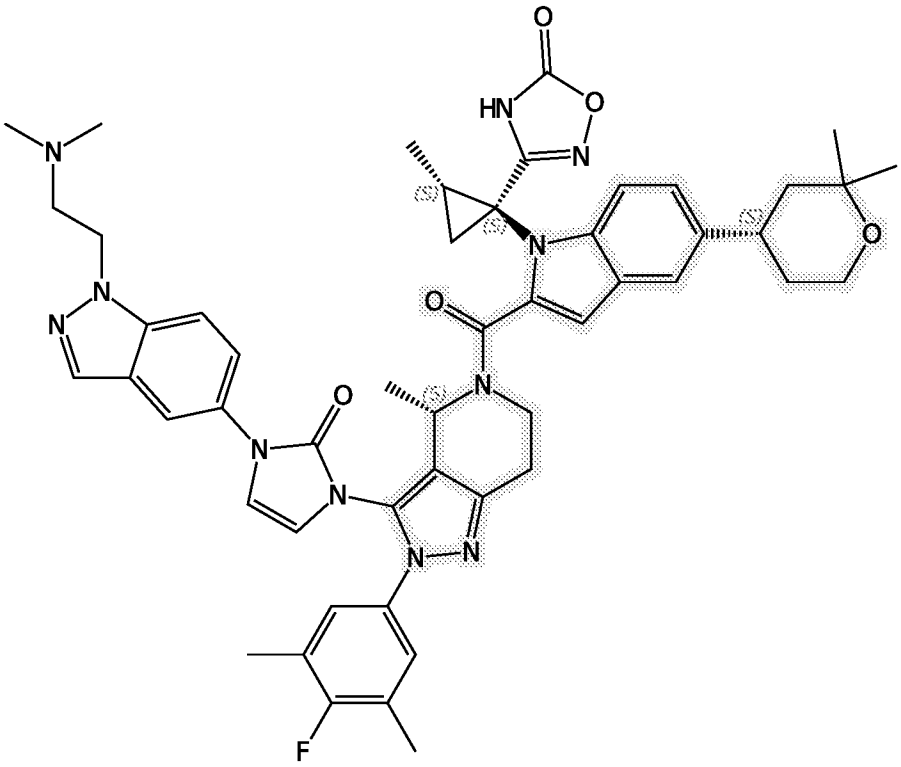
Absolute stereochemistry shown

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-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)



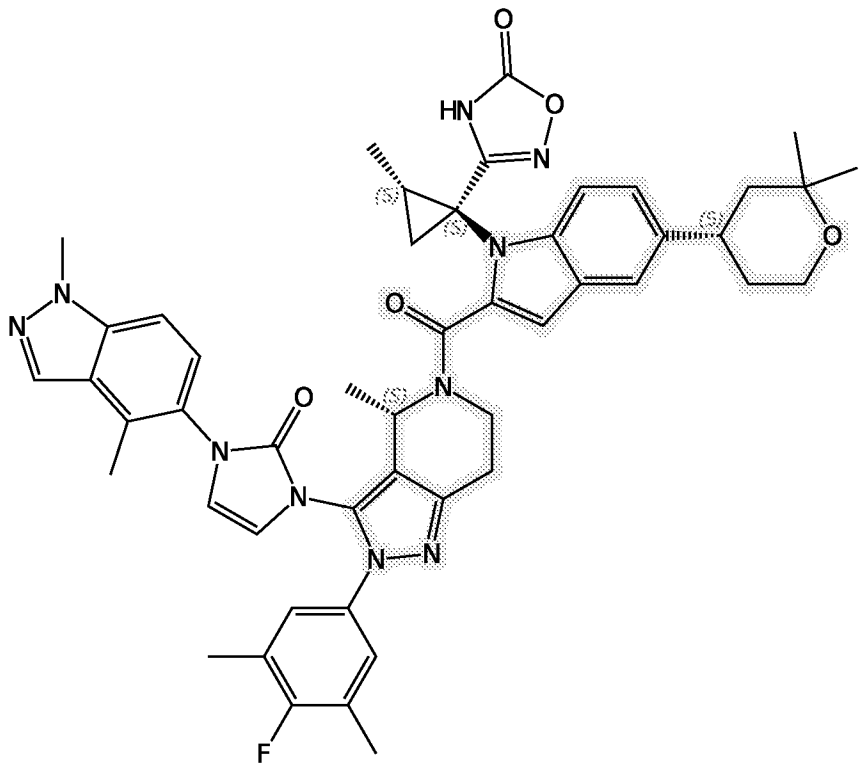
Absolute stereochemistry shown

RN 2212020-91-0 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-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)



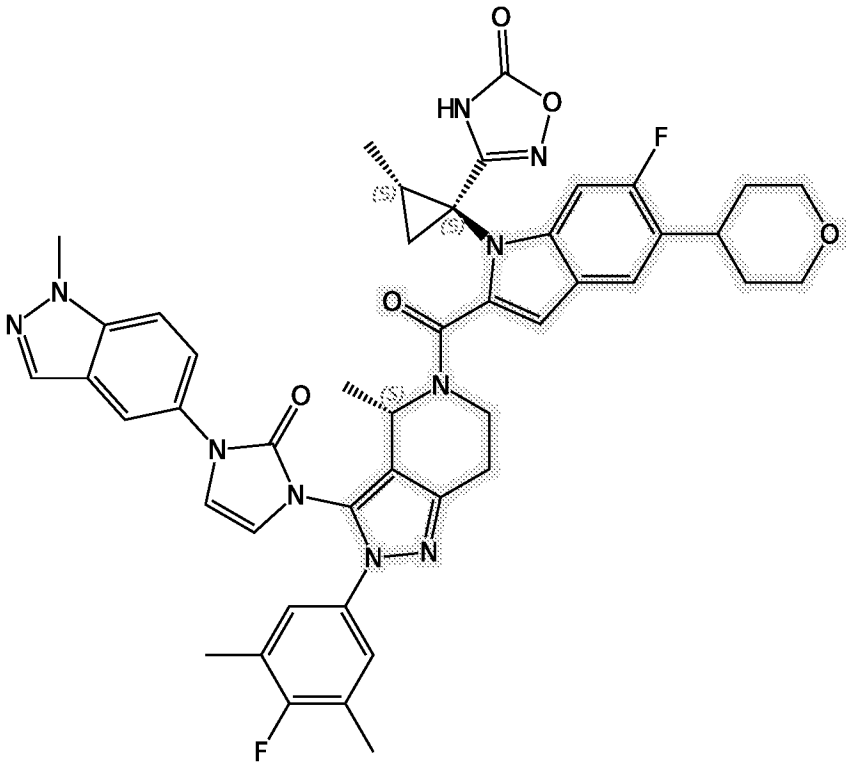
Absolute stereochemistry shown

RN 2212020-92-1 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-[3-(1,4-dimethyl-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)



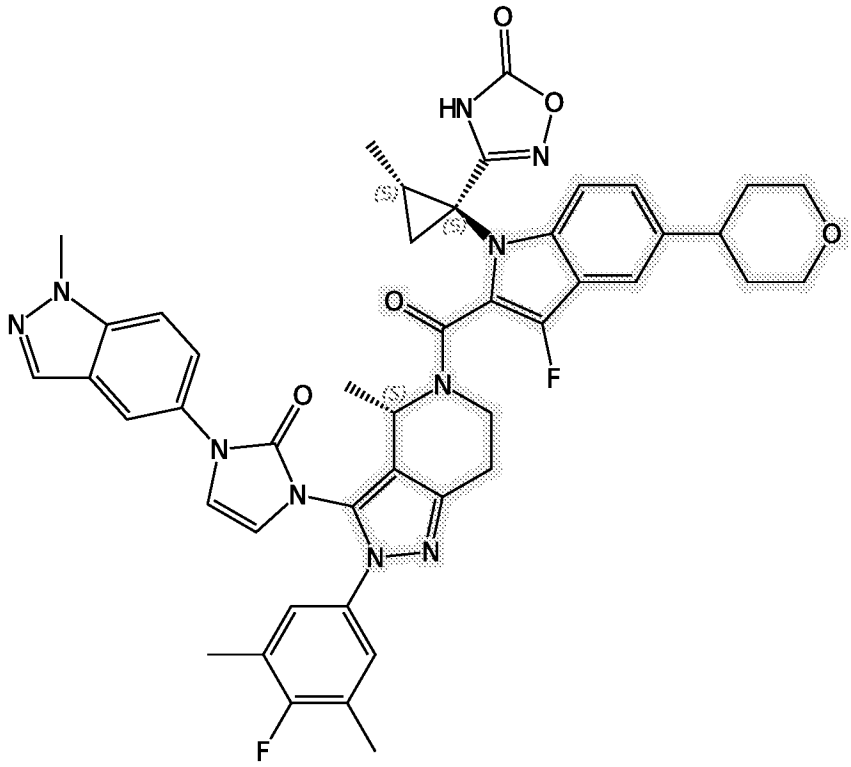
Absolute stereochemistry shown

RN 2212020-94-3 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)



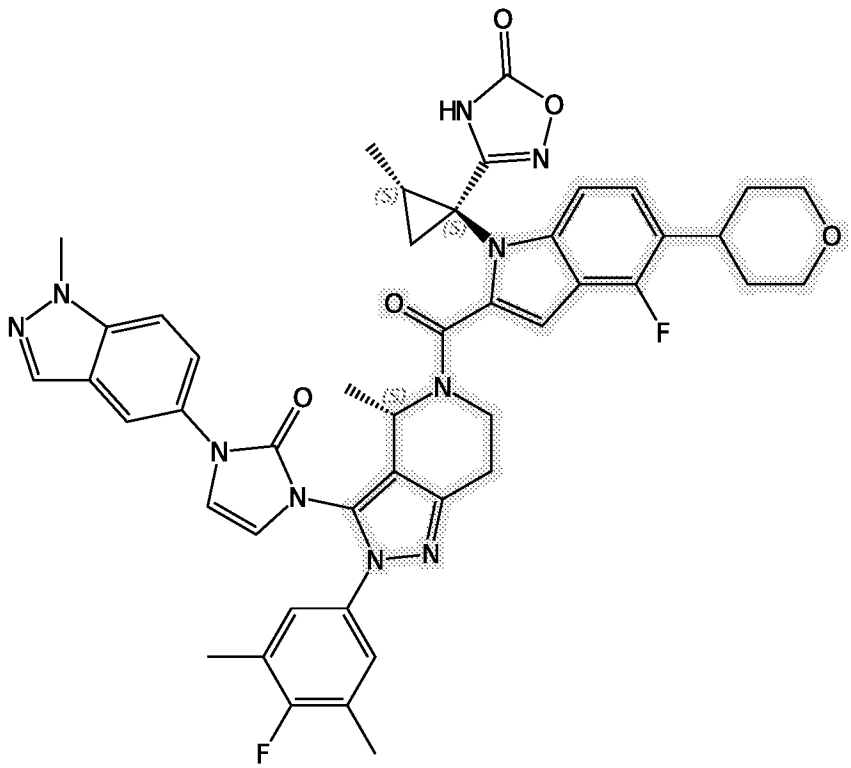
Absolute stereochemistry shown

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)



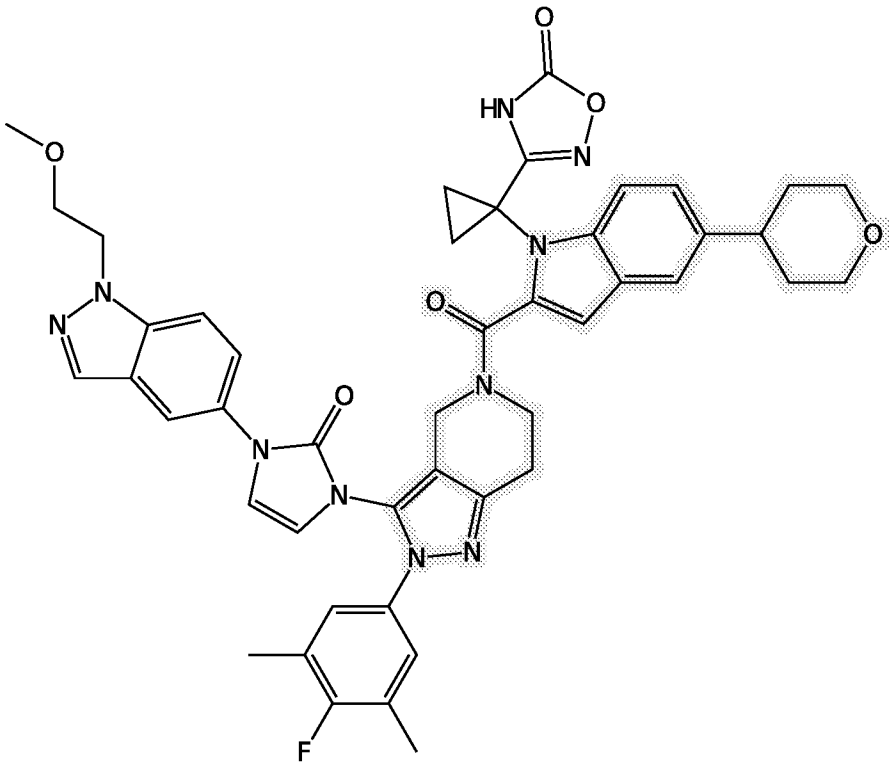
Absolute stereochemistry shown

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)

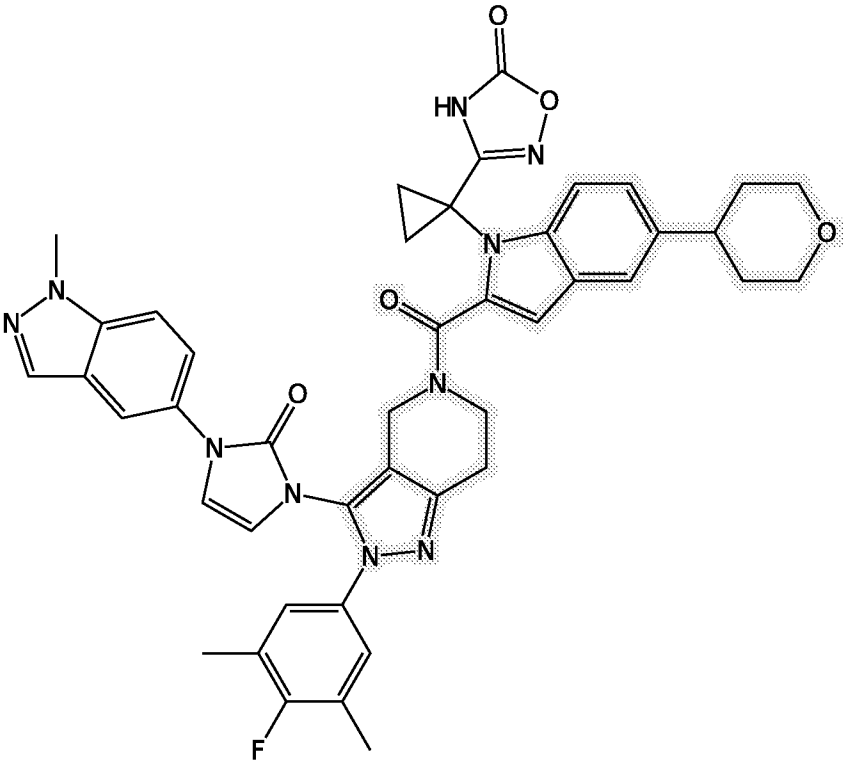


Absolute stereochemistry shown

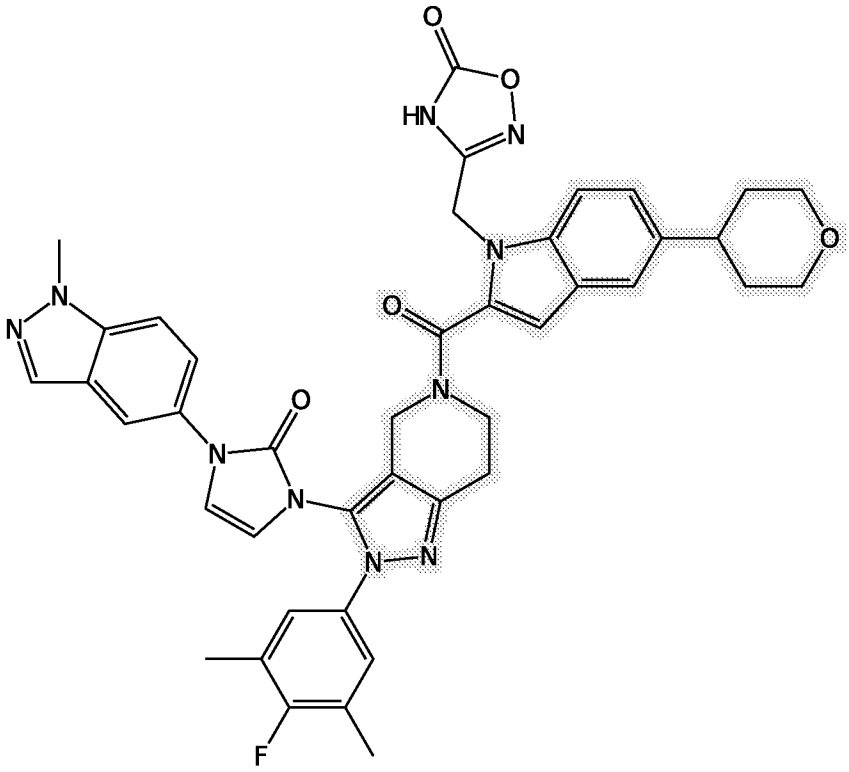
RN 2212020-97-6 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



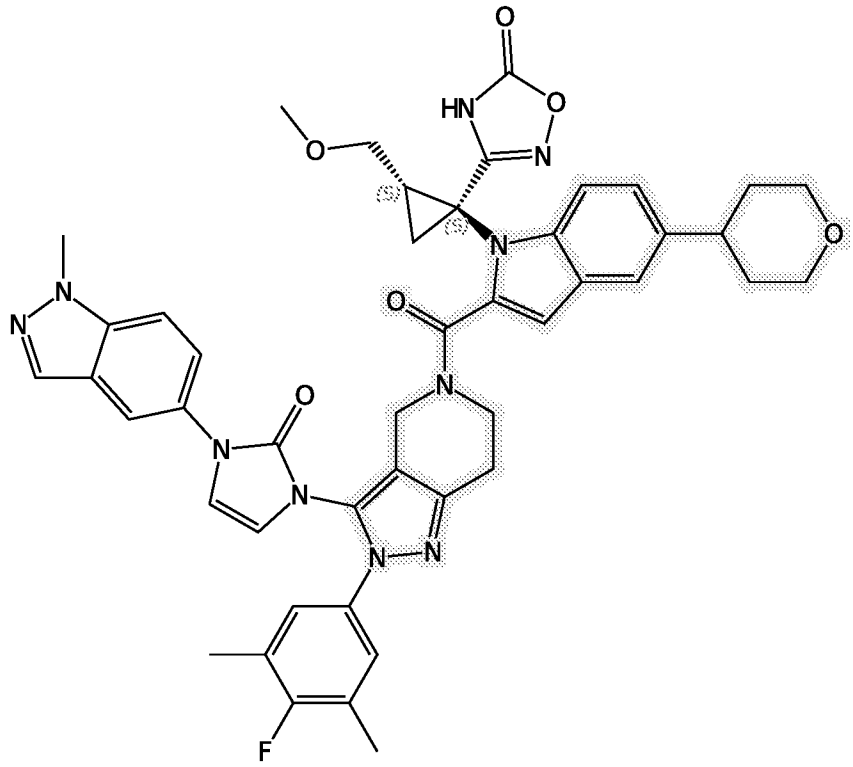
RN 2212020-98-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]cyclopropyl]- (CA INDEX NAME)



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)



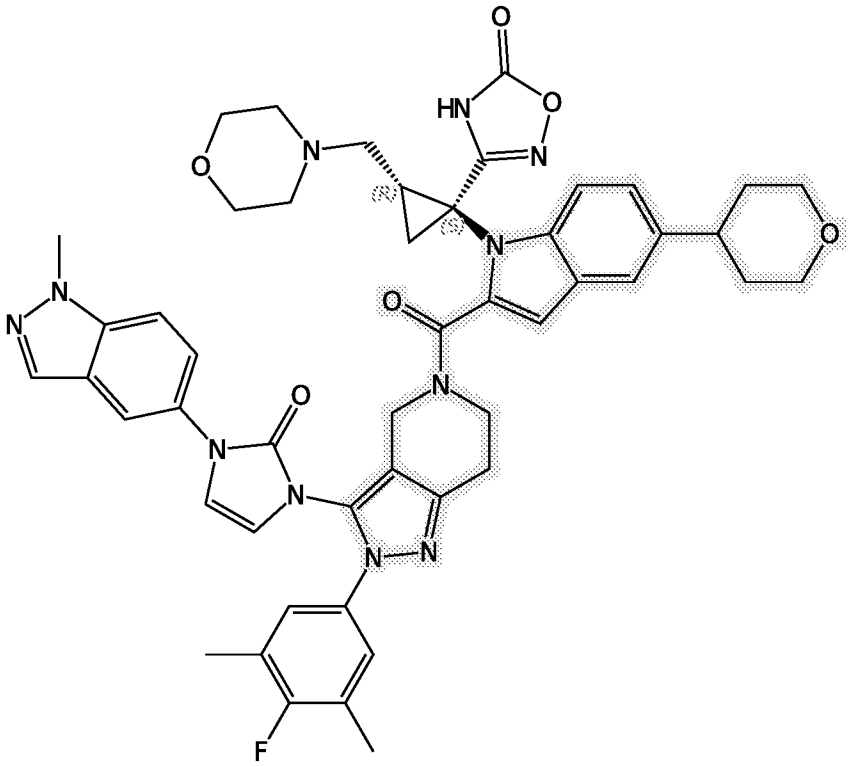
RN 2212021-00-4 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(methoxymethyl)cyclopropyl]- (CA INDEX NAME)



Absolute stereochemistry shown

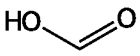
RN 2212021-02-6 CAPLUS
CN Formic acid, compd. with 3-[(1S,2R)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-(4-morpholinylmethyl)cyclopropyl]-1,2,4-oxadiazol-5(2H)-one (1:1) (CA INDEX NAME)

CM 1
CRN 2212021-01-5
CMF C49 H50 F N11 O6

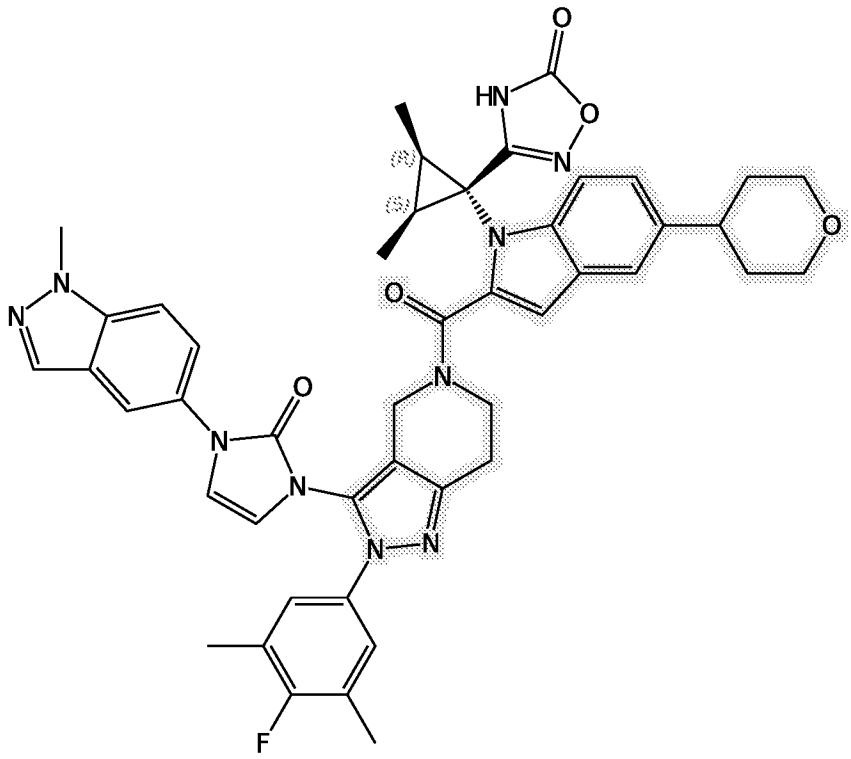


Absolute stereochemistry shown

CM 2
CRN 64-18-6
CMF C H2 O2

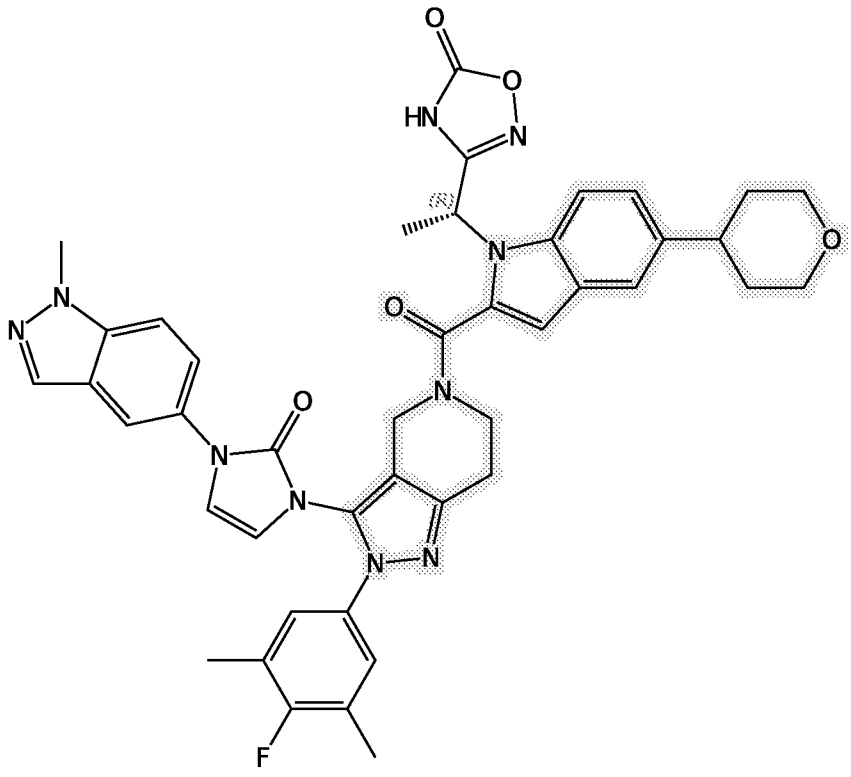


RN 2212021-03-7 CAPLUS
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(2R,3S)-1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2,3-dimethylcyclopropyl]- (CA INDEX NAME)



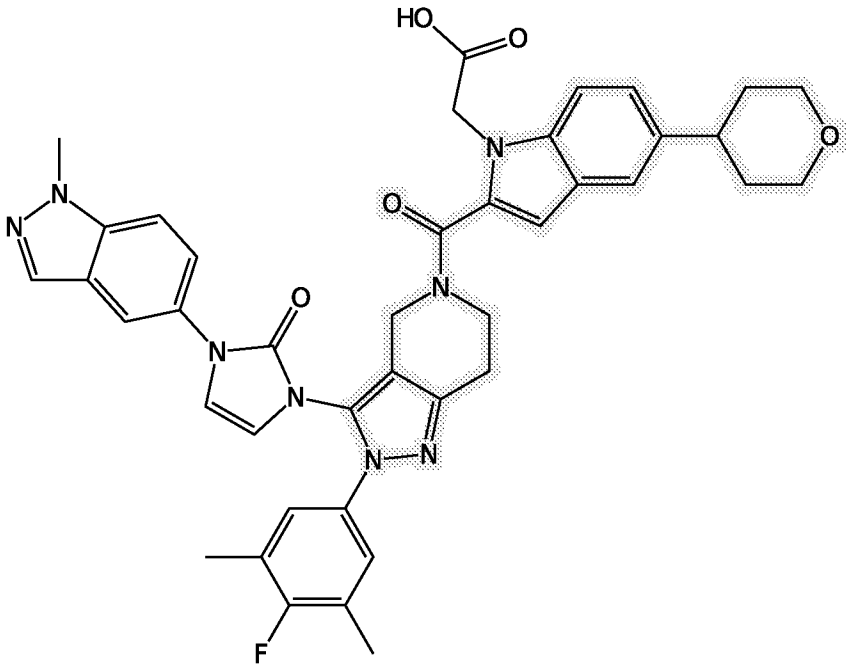
Absolute stereochemistry shown

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)

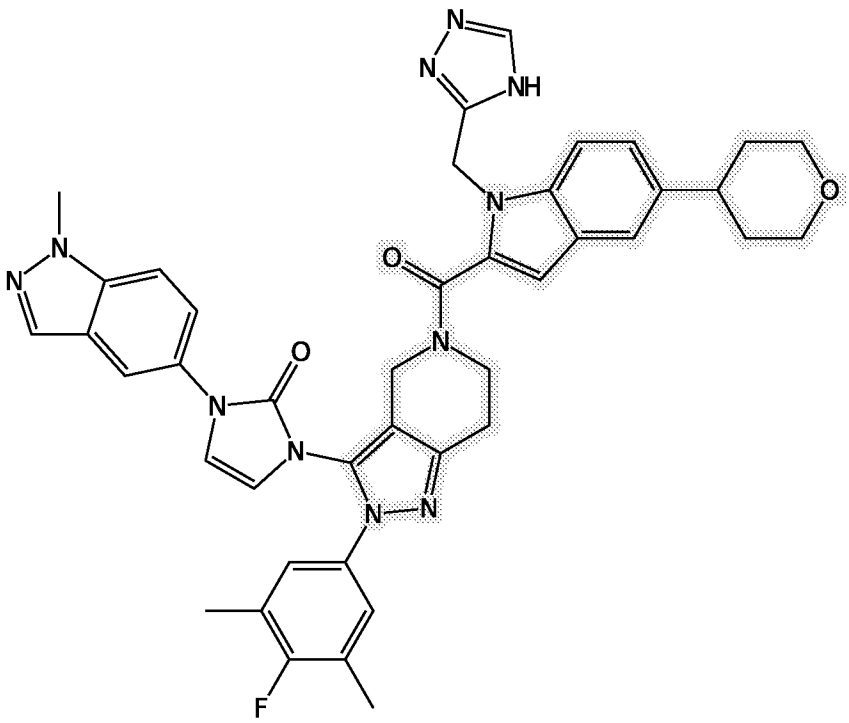


Absolute stereochemistry shown

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)

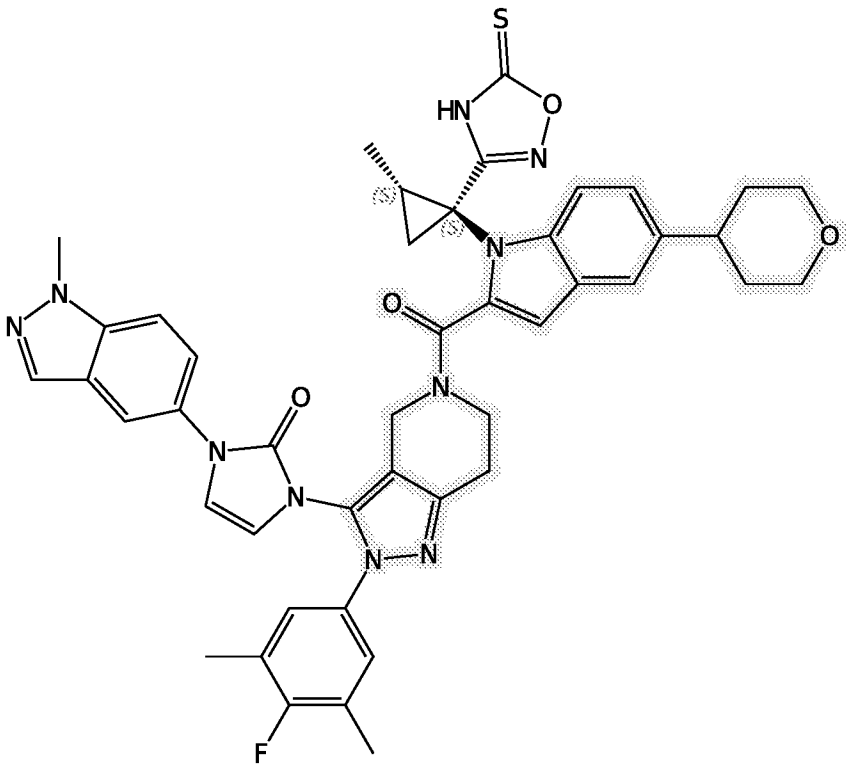


RN 2212021-10-6 CAPLUS
CN 2H-Imidazol-2-one, 1-[2-(4-fluoro-3,5-dimethylphenyl)-4,5,6,7-tetrahydro-5-[[5-(tetrahydro-2H-pyran-4-yl)-1-(1H-1,2,4-triazol-5-ylmethyl)-1H-indol-2-yl]carbonyl]-2H-pyrazolo[4,3-c]pyridin-3-yl]-1,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-
(CA INDEX NAME)



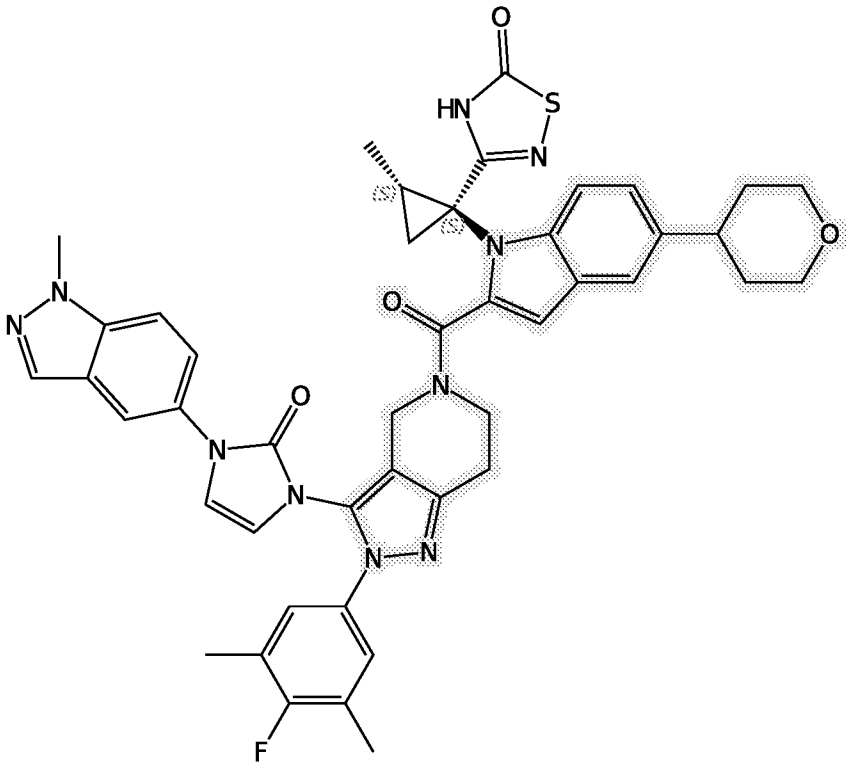
RN 2212021-11-7 CAPLUS
CN 2H-Imidazol-2-one, 1-[5-[[1-[(1S,2S)-1-(2,5-dihydro-5-thioxo-1,2,4-oxadiazol-3-yl)-2-methylcyclopropyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-2-yl]carbonyl

]-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)



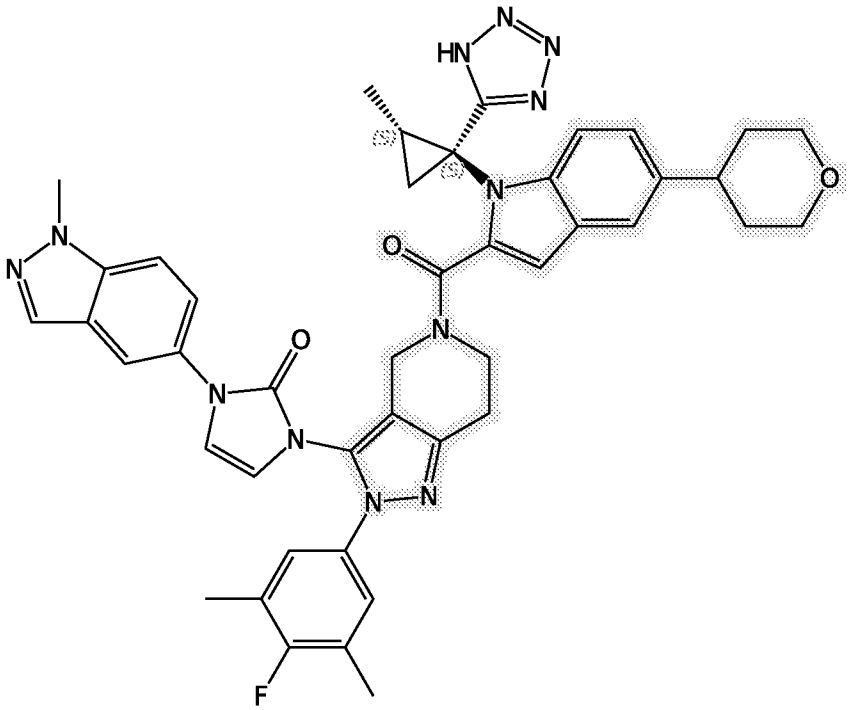
Absolute stereochemistry shown

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)



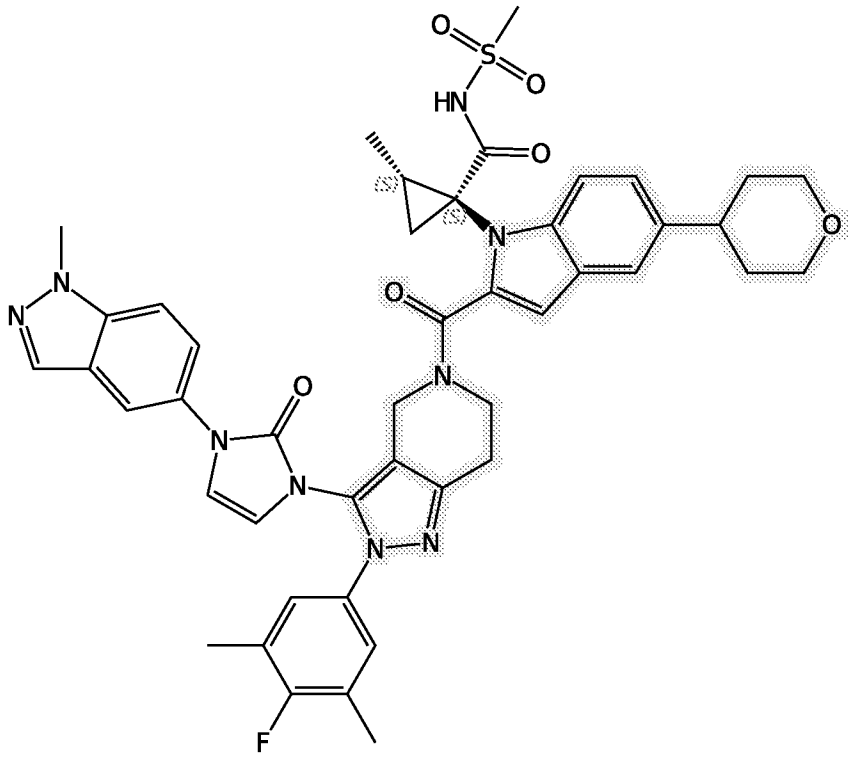
Absolute stereochemistry shown

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)



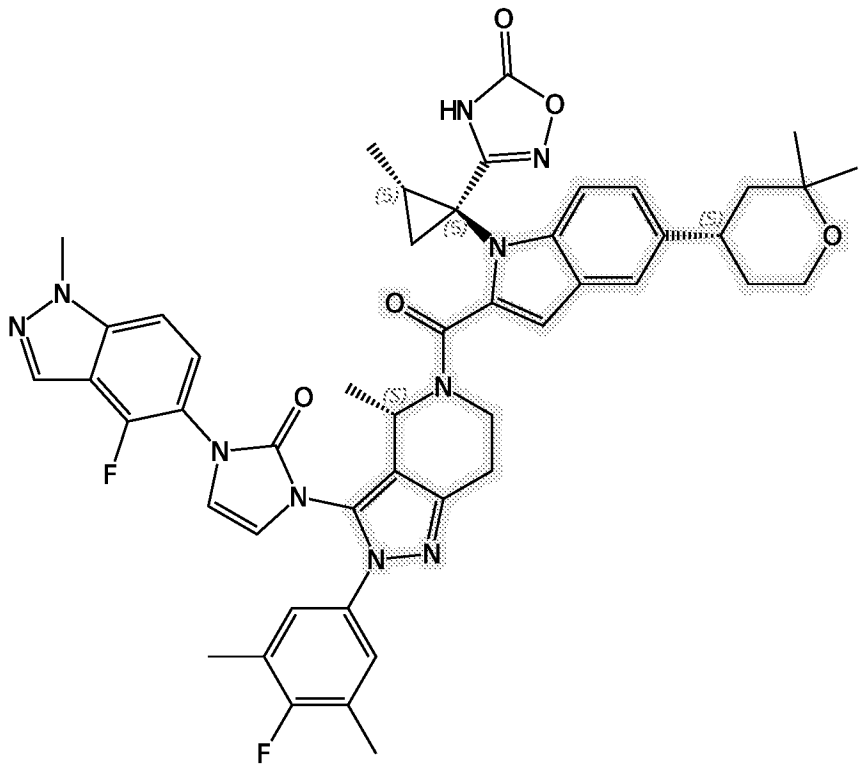
Absolute stereochemistry shown

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)



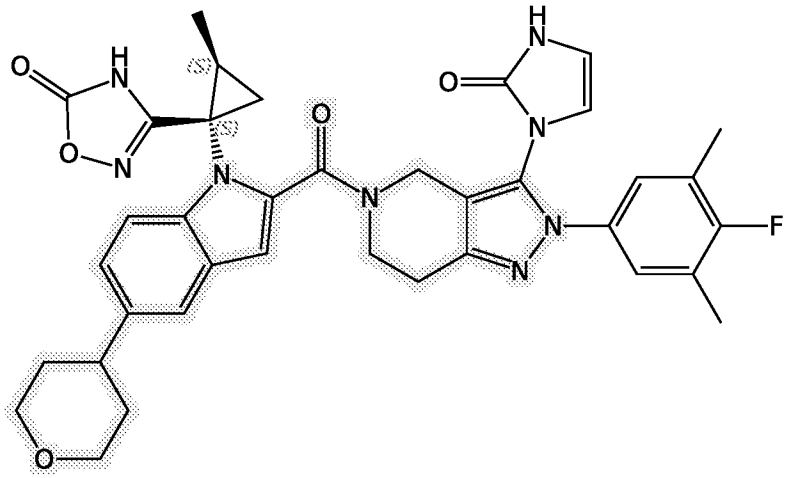
• Na

• $H_2O$

Absolute stereochemistry shown

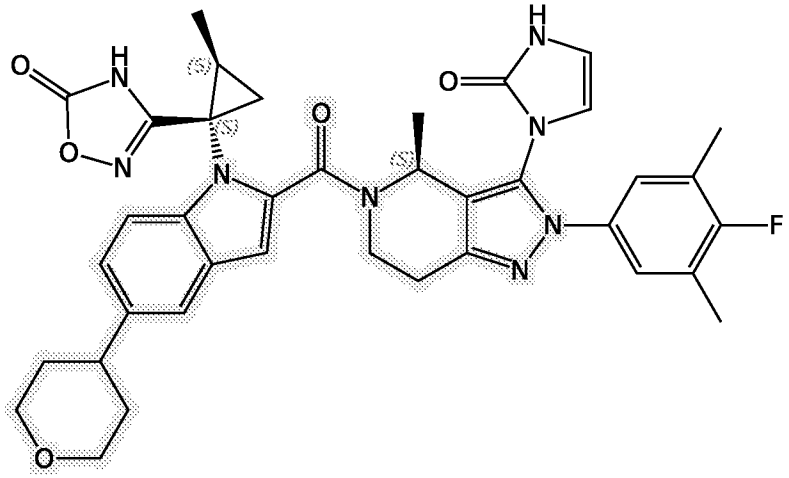
IT 2212020-21-6P 2212020-27-2P,
3-[(1S,2S)-1-[2-[[(4S)-2-(4-Fluoro-3,5-dimethylphenyl)-4-methyl-3-(2-oxo-1H
-imidazol-3-yl)-5,7-dihydro-4H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(oxan-4-y
l)indol-1-yl]-2-methylcyclopropyl]-4H-1,2,4-oxadiazol-5-one
2212020-29-4P, 3-[(1S,2S)-1-[5-((4S)-2,2-Dimethyloxan-4-yl)-2-[[(4S)-2-(4-f
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
     2212033-06-6P, 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

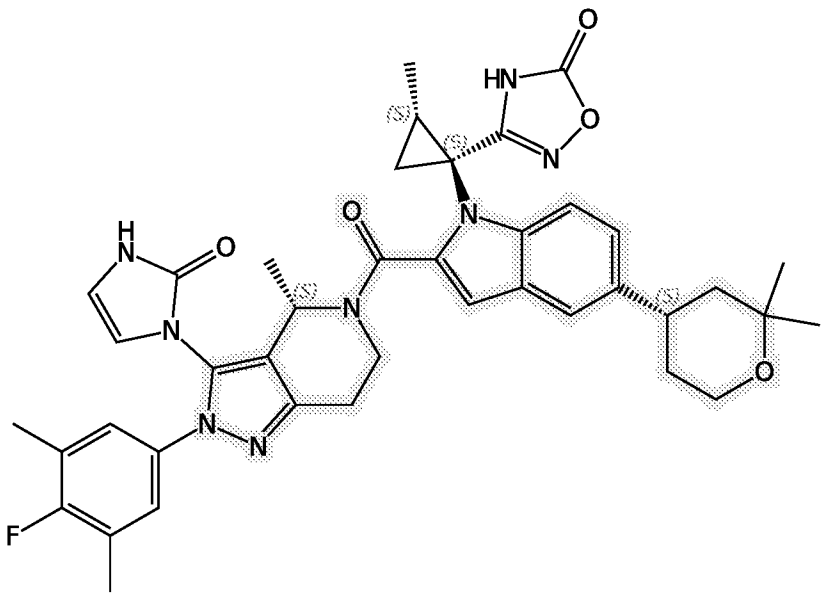
RN   2212020-27-2  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2
-methylcyclopropyl]-  (CA INDEX NAME)



Absolute stereochemistry shown

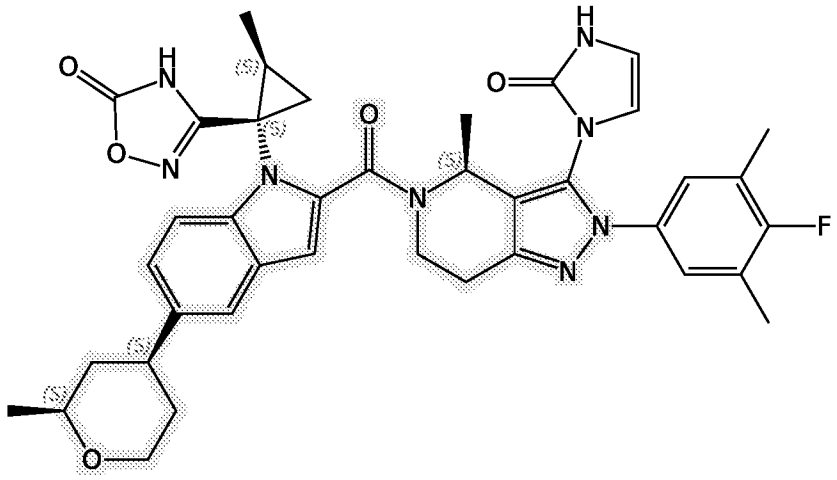
RN   2212020-29-4  CAPLUS
CN   1,2,4-Oxadiazol-5(2H)-one, 3-[(1S,2S)-1-[2-[[(4S)-3-(2,3-dihydro-2-oxo-1H-i
midazol-1-yl)-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-4-methyl-5H-pyr
azolo[4,3-c]pyridin-5-yl]carbonyl]-5-[(4S)-tetrahydro-2,2-dimethyl-2H-pyran-4-yl

]-1H-indol-1-yl]-2-methylcyclopropyl]-  (CA INDEX NAME)



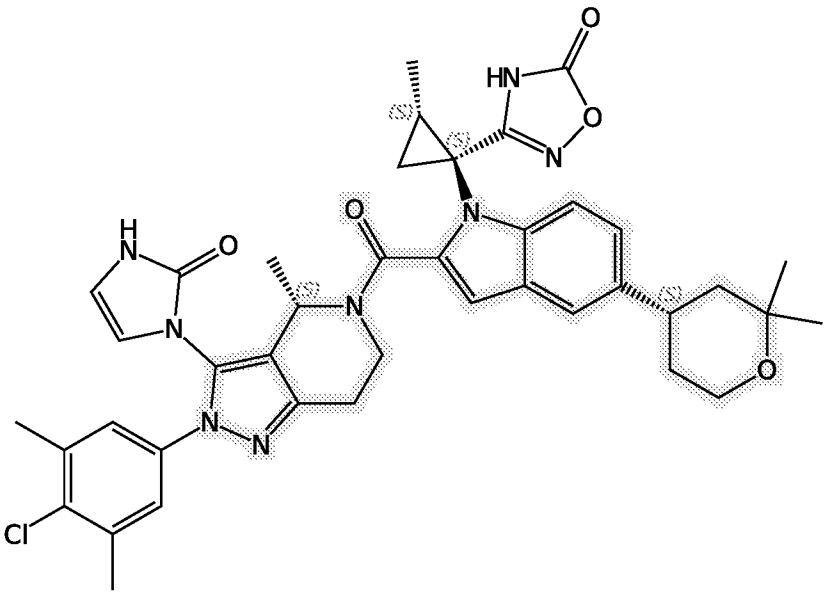
Absolute stereochemistry shown

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)



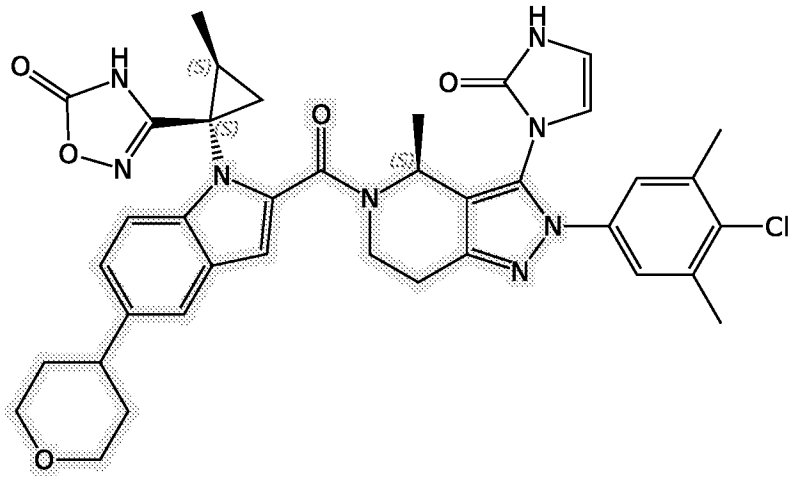
Absolute stereochemistry shown

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)



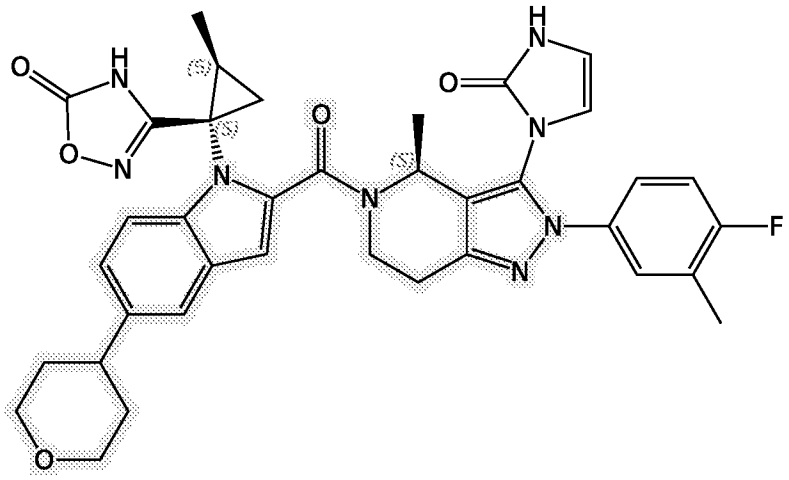
Absolute stereochemistry shown

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)



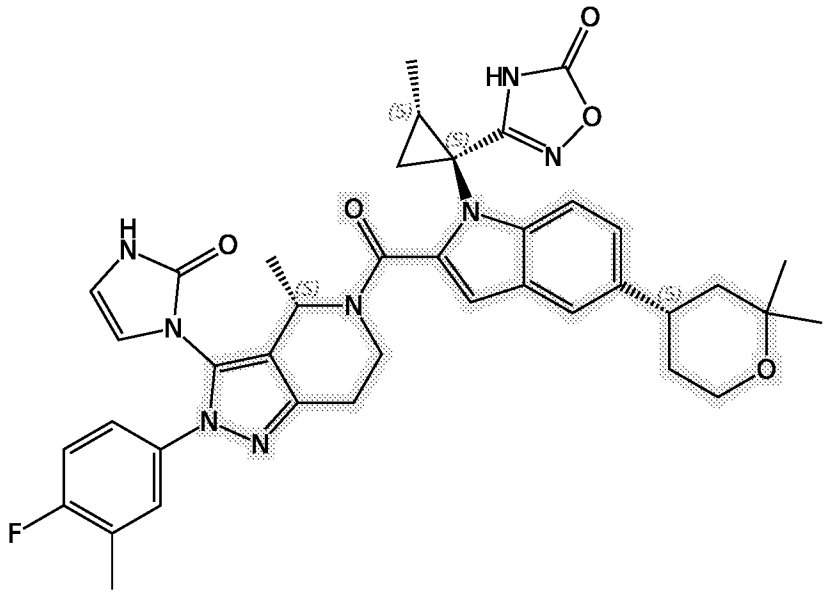
Absolute stereochemistry shown

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)



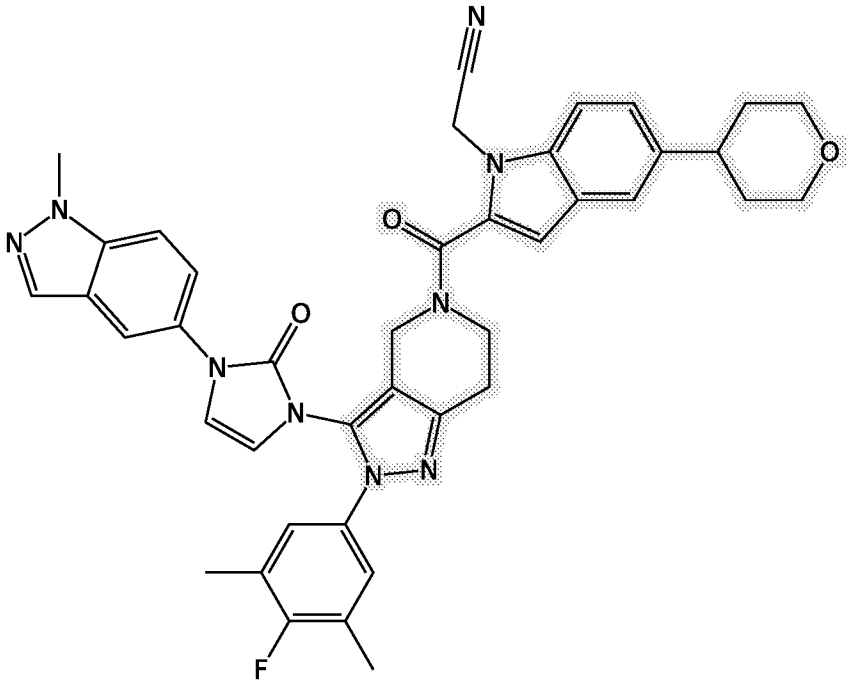
Absolute stereochemistry shown

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)

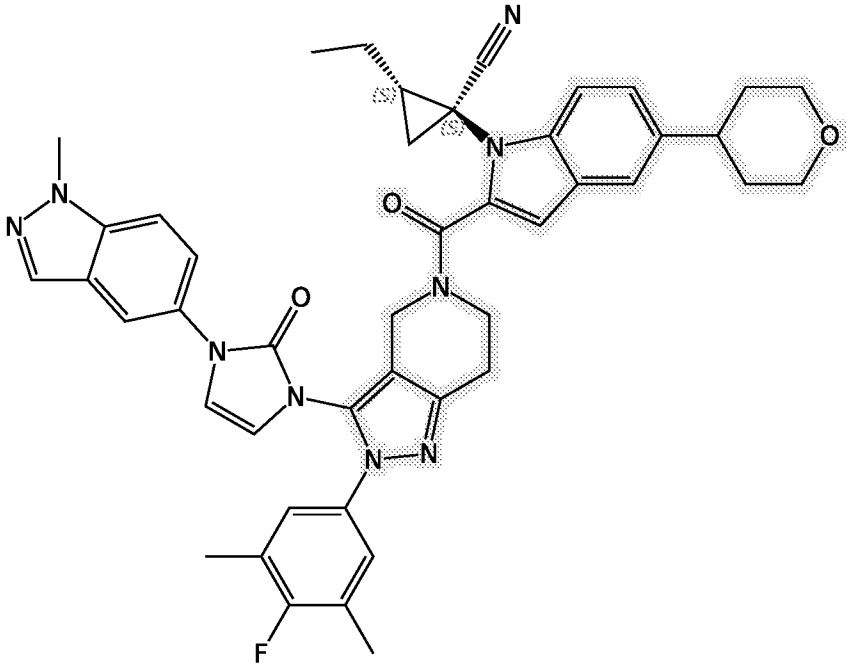


Absolute stereochemistry shown

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)

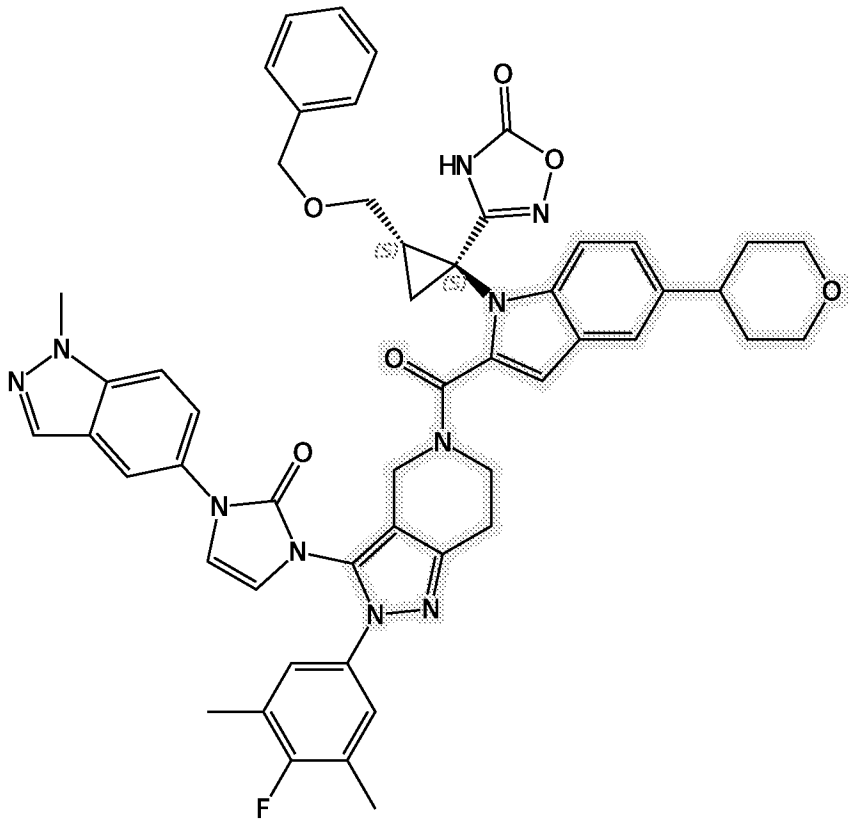


RN 2212022-87-0 CAPLUS
CN Cyclopropanecarbonitrile, 1-[2-[[3-[2,3-dihydro-3-(1-methyl-1H-indazol-5-yl)-2-oxo-1H-imidazol-1-yl]-2-(4-fluoro-3,5-dimethylphenyl)-2,4,6,7-tetrahydro-5H-pyrazolo[4,3-c]pyridin-5-yl]carbonyl]-5-(tetrahydro-2H-pyran-4-yl)-1H-indol-1-yl]-2-ethyl-, (1S,2S)- (CA INDEX NAME)



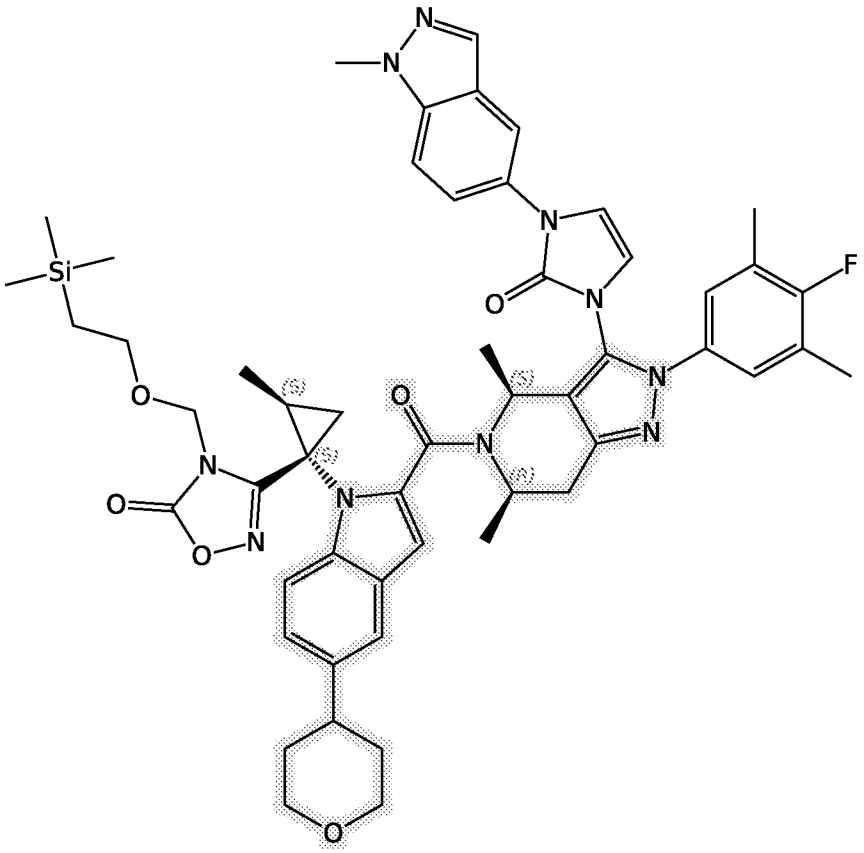
Absolute stereochemistry shown

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 |

```
 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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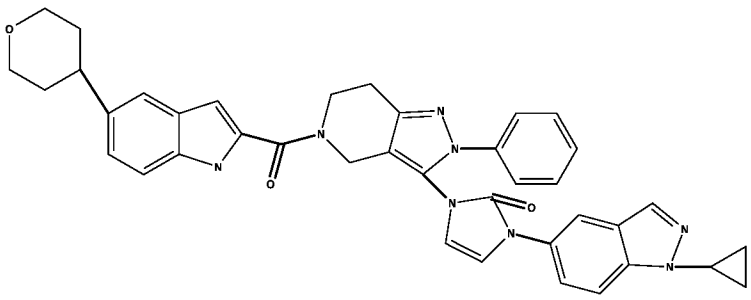
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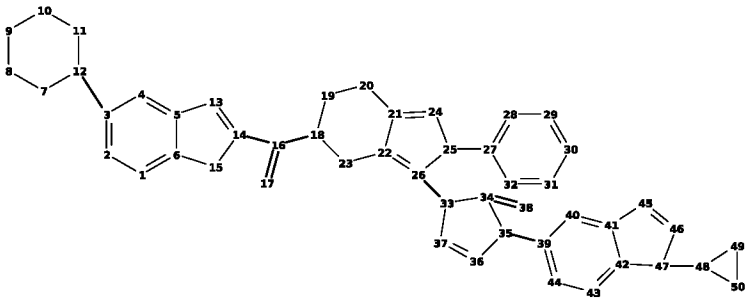
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Node Attributes
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43 44 45 46 47 48 49 50
Chain Nodes : 16 17 38
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| Application Number | 18884965 |
| Filing Date | 2024-09-13 |
| First Named Inventor | 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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| | 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 | | ☐ |
| | 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 | | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
|---|---|---|
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| | Art Unit | 1621 |
| | Examiner Name | NILOOFAR RAHMANI |
| | 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 | | ☐ |
| | 25 | 2021191812 | WO | A1 | 2021-09-30 | PFIZER | | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
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| | Attorney Docket Number | HRT-029US1 |

| | | | | | | | | |
|---|---|---|---|---|---|---|---|---|
| | 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 | | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
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| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

| | | | | | | | | |
|---|---|---|---|---|---|---|---|---|
| | 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. | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
|---|---|---|
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| | Attorney Docket Number | HRT-029US1 |

| | | | |
|---|---|---|---|
| | 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. | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
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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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| | 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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# INFORMATION DISCLOSURE STATEMENT BY APPLICANT

**( Not for submission under 37 CFR 1.99)**

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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 |

**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.

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|---|---|---|---|
| Signature | /Daniel J. Nevrivy/ | Date (YYYY-MM-DD) | 2024-11-01 |
| Name/Print | Daniel J. Nevrivy | Registration Number | 59,118 |

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# ELECTRONIC ACKNOWLEDGEMENT RECEIPT

| 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

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|---|---|---|---|
| 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 | - |

## Documents

**TOTAL DOCUMENTS: 83**

| DOCUMENT | PAGES | DESCRIPTION | SIZE (KB) |
|---|---|---|---|
| FP2.pdf | 38 | Foreign Reference | 6928 KB |
| FP4.pdf | 65 | Foreign Reference | 12877 KB |
| FP3.pdf | 247 | Foreign Reference | 13334 KB |
| FP7.pdf | 74 | Foreign Reference | 13986 KB |
| FP6.pdf | 74 | Foreign Reference | 13986 KB |
| FP18.pdf | 9 | Foreign Reference | 3778 KB |
| FP5.pdf | 95 | Foreign Reference | 19542 KB |
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| FP33.pdf | 45 | Foreign Reference | 2656 KB |
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| FP30.pdf | 80 | Foreign Reference | 17358 KB |
| FP36.pdf | 53 | Foreign Reference | 9199 KB |
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| FP12_compressed.pdf | 167 | Foreign Reference | 18995 KB |
| FP10_compressed_.pdf | 256 | Foreign Reference | 10425 KB |
| FP11_compressed__.pdf | 230 | Foreign Reference | 10844 KB |
| FP13_compressed.pdf | 445 | Foreign Reference | 20199 KB |
| FP27_compressed.pdf | 134 | Foreign Reference | 18165 KB |
| FP14_compressed.pdf | 310 | Foreign Reference | 14523 KB |
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| FP34_compressed.pdf | 357 | Foreign Reference | 14784 KB |
| FP40_compressed.pdf | 174 | Foreign Reference | 23162 KB |

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| FP45_compressed.pdf | 174 | Foreign Reference | 23156 KB |
| FP43_2_.pdf | 353 | Foreign Reference | 13218 KB |
| FP43_1_.pdf | 350 | Foreign Reference | 18510 KB |
| FP44_2_.pdf | 365 | Foreign Reference | 19343 KB |
| FP44_1_.pdf | 350 | Foreign Reference | 21461 KB |
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| FP48.pdf | 114 | Foreign Reference | 7343 KB |
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| FP41.pdf | 117 | Foreign Reference | 23851 KB |
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|---|---|---|---|
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| PleadingIDS1.pdf | 4 | Transmittal Letter | 31 KB |
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| NPL25.pdf | 9 | Non Patent Literature | 2930 KB |
| NPL29.pdf | 13 | Non Patent Literature | 1901 KB |
| NPL26.pdf | 16 | Non Patent Literature | 10007 KB |
| NPL1_.pdf | 31 | Non Patent Literature | 932 KB |

## Digest

| DOCUMENT | MESSAGE DIGEST(SHA-512) |
|---|---|
| FP2.pdf | 3D06BD7513B7C4BA32DC2E5562BA6F7BD255D30F8E9A38B9 8E73BE222F8AE41DEBD87C808E41524D8DDF99147C236D65 05B2468C143B3A23110F7B50EDD28E26 |
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| FP1_compressed.pdf | 07E457DAC66EE2E89E2E230DD97F2E3828BB07FF011285DC<br>27C39730BFD79B0DFC631F6108B8C37B35DCA4EB9E62A61C<br>B1246369C5341E97F6D971D10C6B85CE |
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| FP47.pdf | 2A18C238F23C2B158C7363F0A608739B9C2D784658E4160F30<br>81CD029758DFD0E3E8CAE0C0F7894409BA5C582ADDF1377A<br>41CB429E3FF34059EED45DC6AA9F79 |
| FP42.pdf | FA41DED1D1A2B8EFAE20FAF21C3ACE39464C9A0B717A715A<br>11DB49E8A91B1C961BBA63A28060C28605359D400C390BDF5<br>62C47A32C1F5784AF9C90A0F5CAD445 |
| FP41.pdf | FC01175F55BEC138E8CAA890E691A13B83ED2A8A13E0968E1<br>C1941E087320E87FDB939D09938047D995D283224FEAF61F0<br>B3290DDD449DB66BD16E5FF0625A94 |
| FP50_compressed.pdf | 8C31217B05729CA168F7FF0AC48581038A46C4BFF37F44BC9<br>5CA718A6DC28D3F32528E2E6A18ACC246F2F0CAC441B15AC<br>E5A7DCF32D50327DCB5772E961F71EC |
| FP49_compressed.pdf | 1EB35083C0D097A98D1772EC1A4D7548832D11C6CECBC58A<br>AAE02AA6A55BF0BA45F7DBAEB388A35BB583204EBA9E67AA<br>A59F2B99C806F3ACEEBB67ED276B6533 |
| FP38_compressed.pdf | F2CABF23FD555DDB990CC7CD600A78806C77463B9CF9A29C<br>1A169F7D8409632C4B35C7C669088CDD93BB54CB495ED28D<br>98C725E96492EE404805CBE4C9633449 |
| NPL5.pdf | BE410B6A32DEF651E8A474637E6F131E9524190C05B606CD0<br>DFE65BDC692A4F78559D77EDBED4D80D2A57A3C73D622B75<br>8C703ACD627637C42BBB9C153EE521F |
| NPL4.pdf | 0FB88F307CDF05820A0C949FA296F6B82E2CDB539FBCDAE1<br>DE0ADFE92AA1BC61B5F2558CC850DE41EC45894D6D612944<br>051DF8688687C8802E656E4675E8BD46 |
| NPL2.pdf | 129F443F6567D18D96976F3317AA466EFFF9959FB9C81480BA<br>3C8B424B00F53EFB2E97DF6367C58617C4B2E9358E7EEEBF7<br>49703ABF27BA12EA97F4A2E56A5DF |
| NPL3_compressed.pdf | 03F7FAFED04C715608F40EC87AA56486D42A71D6EDF568F96<br>1878CCA305674CE2977D4EF5E25B83A175DF6A3BDD64593C<br>8B7C167A4DDB49DF7DD3C11F3775EC1 |
| NPL8.pdf | 629ACDC6EB2EF0DA4E697E2014FB8E90BE8324878CD79FB3<br>ED269072737D285F011CF6929CD705D27CD7C115696A16487<br>89AB4FDC6AD75BE8D92320F49D90C74 |
| NPL11.pdf | 792766F2AB4CCF11AA7608E5BB74A190B512EBBC22A690039<br>9D99FE8534B9180158134B7267C4D4017BB5372DEAAECA8A5<br>EE3EBEB74412F0C3699A9B49D687B6 |
| NPL7.pdf | C54E8D04B2E990C607687B5A08706486672740A2C5C08FFAB<br>B4B225C5ED174E324C60197E1EAC55852493175B3F542CFFF |

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| | F5F1408BDE7B4FEC72BA96468AE54A |
| NPL12.pdf | 485FA18198C685A232EB58E5390914AAD626A1719A847DDB100193C4EE8FE83587F30B693D0D83DC1BF556D3F2F5A7CE027798AE0205EDEC7B3A419DCFF0B1B4 |
| NPL9.pdf | 65F54FBDBBCDB41835AAFD9CB4B64938CB3932EC74F4D40F6A5B952F3F22914ADDFF62F9C969AD37B3B30FEE4E42AE235CE83E49ED97DF17150E7648EA7E5538 |
| NPL13.pdf | F38161EF239B01DB86DBB999822A97357969CF9118DA6AEF6625884A98C29CAD3AD4C689C283B417A341B1AA13004E52598AA1664DA86A2F61D27867E9B5F79D |
| NPL6.pdf | AFEE852D076AAAFA96726E385E892B2A72A34EFDB03F7D8E5391B8E30D50445119FF232368F9B3525C071D6E8E1D2E08C08F5D5FAEE5A30D9CAB2353056E29CA |
| NPL16.pdf | 4801278E0055E40B9B636A5A5A95AABD6261FFD59138CAB17D4CD0AD8F6324F35A090681946634A2686BE461618D173633D1203EE583E700B1B52E743CCCED39 |
| NPL14.pdf | E1CC1A458A960F0AE4652408559935C20262854F9423A2483FA65A1A2E1014CF56E03E3AF3D3D74533068EEA17589E45E8AE255505C886BBF2112D519179F4C3 |
| NPL15.pdf | 2EF27B2EC75DBE976292A477B96F41DB5ABDC5E81A27D7862CEF3845E9FBE26E6C9EB7523103D5E5EA223BA2BCD6CA56463A5446EC19CE6EA72477A55AAF18FE |
| NPL19.pdf | C4D0C8ABFEF2EE6685FE4A19E7EAC0A4FC156B19D4578F274586FF38F630E90BF293C6AE99897A4EADB360132D2CD55CFFEA1BBD03D3AD29903F9A57F19780E9 |
| NPL20.pdf | EDC1482791A18A25B0700D7BC9EB1B8D5317D82328FCAB2D9BBC2C1A240534FE14EFEED49975C55A1B70162E76336C343B329A1D6B66D9A0F7267C781F78C525 |
| NPL17.pdf | CAE2259DBD63BC8ADE700936B33BB382AB81443EEE660C099DD990FC552597BFAB9464CBA6A51E950CA8FCBF129464AC191908B3008B5D8E675CFCC1D51CDF99 |
| NPL18.pdf | E311361B39D13368E0B03F5C4EEBAA617BA211B698140C04F232C79280BA634047BF5E5053DC022C222D6A351A6BA5097ED7F211FFEEB29DC51E79EB0CFA6E2E |
| NPL10.pdf | ABFB2F52747955430A3A9E022AE4EC0CB24818F1E75F08DA165A1E93CC6F28B061DDFBD652F02546DC7CA4AD5B6BC75700C6B797F85CA6278D93704C8F62496D |
| NPL24.pdf | 90584BBDDF7036218E03D670D089EE6D42794A2C5AB9AA0A1B9CDD62245EECE36E37C0C3D7749D657AED9FC17ADB0F047602DB7F3DEEE4DE93B467CD6BEED2A1 |

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| NPL23.pdf | 6F0ABC452498B522A041DA2A6818F559AAE67E038266652054 E35E93F49AA372A267428CDFCD8CEE80B959BED159F5447D D3F89997A5975884014A09E5DB39C4 |
| NPL22.pdf | 2C586763854CF71A54EA4FB2C0A733DD55F50F5E52C6D4754 39A9E861DF1AA591293B644280BF9D9809EBAB2DF47FF5563 6D1DD1EA221B40390462F21D47B7EE |
| NPL21.pdf | BB5B7DC954D874333D4AFFC04BF35A0BBD4573604B56F8C6 38FF2C26FC7E1FE3F8EAEE5918EAFC9C725D33A0DC488EE1 3A9C7E081EEE709F32EDAA700D54AD4C |
| IDS1.pdf | 5443888456EBE62880AFF54DB1321D52190FCA274785F96D79 D74576D16832641C243120E4526A59E894A699C8178A643F01 392C6C526E2D6971B3C3715A07C5 |
| NPL27.pdf | 979A5A9A2555D0841B3B3CBBEDE1AE0F4D442506B35B32EA A45551914A5EA25F57559A746EC800E97A23AB7FE1C66D0D4 915AB5AE20359194F200ED5F77B1ED1 |
| PleadingIDS1.pdf | CAB90DDA71CC2BD1BAC2558B8F5A511C797B97E6E792161C 9E08EA07641987DB9733C4C3F8016563A4B526A6AB11D90AF 3F1EF5BE35F979938E291BB60326062 |
| NPL28.pdf | 877555393BCBFC74C5F476124524E526897E3159EE5717612C 7376F382602FABEF592DC56173A29C59303BC6A47C47230A1 948B7F90EF03D5094991345408970 |
| NPL25.pdf | 951BAFF9BA72E75E48C3D5E4BCFE63C86989A149CA8ECDB2 AB7231C17024B0A6E28C11EA78020B6AED30AC85BF523D794 F43AB11E247E10939F9486EE1FD94EF |
| NPL29.pdf | F2D6FC4638EDE765875BB286D9D9E4C74D6CF0F7ACF276ED B60628EED5893262118C2AFCD1DC2DC3C55151466F8821B2 B5E4FC4CF06A39928F81CFEC85B6EB60 |
| NPL26.pdf | 67C522D2B5D1F1DDAE9898486AFB0B40DF9106D0B29BCD23 3DD2F7F1A6A6B5047D2B230A1EFB33CF3CBD535B4F1A1C9B E7398EEF238981D49FF34319329AFDA9 |
| NPL1_.pdf | E5EE4E83059C046DCE954A541CDBB8C00458D1DDEFFA9C6 A5AE0C2AC66695049E1EF21981C92E5D55D31009AEDA47770 A6B1A88E1E1CFCDF0BF01F044692460E |

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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

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|---|---|
| In re application of: Liang *et al.* | Confirmation No.: 9471 |
| Appl. No.: 18/884,965 | Art Unit: 1621 |
| | Examiner: NILOOFAR RAHMANI |
| Filed: September 13, 2024 | Atty. Docket: HRT-029US1 |
| For: **GLP-1R Agonist and Therapeutic Method Thereof** | |

## 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/

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PTO/SB/08a (01-22)
Approved for use through 05/31/2024. OMB 0651-0031
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# INFORMATION DISCLOSURE STATEMENT BY APPLICANT

**( Not for submission under 37 CFR 1.99)**

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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 | 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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| | Art Unit | 1621 |
| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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|---|---|---|---|---|---|---|---|---|
| | 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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| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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|---|---|---|---|---|---|---|---|---|
| | 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. | | ☐ |

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| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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|---|---|---|---|---|---|---|---|---|
| | 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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| | Art Unit | 1621 |
| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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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 | | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
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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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| | 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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| Examiner Signature | | Date Considered | |
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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**

☐ 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.**

# Privacy Act Statement

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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).

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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.

PTO/SB/08a (01-22)
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**( 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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|---|---|---|---|---|---|---|
| | 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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|---|---|---|---|---|---|---|---|---|
| | 1 | 116903588 | CN | A | 2023-10-20 | XIAMEN BORUILAI MEDICINE TECH CO LTD | | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
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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 |

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|---|---|---|---|---|---|---|---|---|
| | 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. | | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
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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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|---|---|---|---|---|---|---|---|---|
| | 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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| | 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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|---|---|---|---|---|---|---|---|---|
| | 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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| | 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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|---|---|---|---|---|---|---|---|---|
| | 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 | | ☐ |

| 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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|---|---|---|---|---|---|---|---|---|
| | 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 | | Date Considered | |
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**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) | 2024-11-01 |
| Name/Print | Daniel J. Nevrivy | Registration Number | 59,118 |

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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.

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

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| In re application of: | Confirmation No.: 9471 |
| Liang *et al.* | Art Unit: 1621 |
| Appl. No.: 18/884,965 | Examiner: NILOOFAR RAHMANI |
| Filed: September 13, 2024 | Atty. Docket: HRT-029US1 |
| For: **GLP-1R Agonist and Therapeutic Method Thereof** | |

## 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 FP3-FP14, FP17-FP27, FP35-FP40, FP42 and FP45-FP47 are in a foreign language.

Below are translated English language abstracts of FP3-FP14, FP17-FP27, FP35-FP40, FP42 and FP45-FP47 that are provided to provide a concise statement of the relevance thereof.

Document FP3 Abstract: The invention discloses a GLP-1R agonist as well as a synthesis method and application thereof, and belongs to the field of medicines. The structure of the GLP-1R agonist is shown as a formula (I), and the GLP-1R agonist can be used for treating human GLP-1R mediated diseases or symptoms, such as type 2 diabetes mellitus, prediabetes mellitus, obesity, non-alcoholic fatty liver diseases, non-alcoholic steatosis hepatitis and cardiovascular diseases.

Document FP4 Abstract: The invention provides a compound as shown in a formula (I), or a tautomer, a stereoisomer or a pharmaceutically acceptable salt thereof. The compound disclosed by the invention has a relatively strong agonistic effect on GLP-1R, can be used for treating diseases such as diabetes mellitus and the like or has an effect of reducing weight.

Document FP5 Abstract: The invention discloses an imidazo heteroaromatic derivative and application thereof.The imidazo heteroaromatic derivative has the structural general formula shown in the formula I. Compared with a polypeptide agonist, the imidazo heteroaromatic derivative has the advantages that the bioavailability of oral administration of small-molecule drugs is remarkable, and the imidazo heteroaromatic derivative is easier and more convenient to take and is not limited by food or taking time, so that the application range of the imidazo heteroaromatic derivative is widened, and the application range of the imidazo heteroaromatic derivative is widened. In addition, the imidazo

heteroaryl derivative as a small molecule agonist shows a good curative effect on the cellular level, and has a good drug application prospect.

Document FP6 Abstract: The invention provides a deuterated compound as well as a preparation method, a medicine and application thereof. The deuterated compound is a compound shown in a formula I or pharmaceutically acceptable salt thereof, wherein R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R11, R12, R13, R14, R15, R16, R17, R18, R19, R20, R21, R22, R23 and R24 are independently H or deuterium, R1, R2, R3, R4, R5, R6, R7, R8, R9, R10, R11, R12, R13, R14, R15, R16, R17, R18, R19, R20, R21, R22, R23 and R24 are not H at the same time, and n is equal to 2. The deuterated compound can be used as a GLP-1 receptor agonist, and is small in administration dosage and small in toxic and side effects.

Document FP7 Abstract: The invention discloses a selenium-containing compound and application thereof. The compound has a complete GLP-1R-cAMP signal pathway agonist effect (Emax), the GLP-1R-cAMP half effect concentration (EC50) of the compound reaches the nanomole level, the EC50 of part of the compound reaches the picomole level, and the compound is an excellent GLP-1 receptor agonist. Meanwhile, the compound is good in patent medicine property. Therefore, the selenium-containing compound disclosed by the invention can be used for preparing the GLP-1 receptor agonist and preparing the medicine for preventing and/or treating diseases related to agonizing the GLP-1 receptor.

Document FP8 Abstract: The invention discloses a 4-alkoxy benzimidazole-6-carboxylic acid derivative used as a GLP-1 receptor agonist, the structure of the 4-alkoxy benzimidazole-6-carboxylic acid derivative is shown in a general formula (II), and the

definition of each substituent is shown in the description and claims. The compound disclosed by the invention is used as a GLP-1 receptor agonist and can be used for preventing and/or treating diseases or symptoms related to GLP-1 receptor signaling pathway disorder.

Document FP9 Abstract: Disclosed in the present invention is a 4-alkoxy benzimidazole-6-carboxylic acid derivative serving as a GLP-1 receptor agonist. The structure of the 4-alkoxy benzimidazole-6-carboxylic acid derivative is represented by general formula (II), and the definition of each substituent is as recited in the description and the claims. The compound in the present invention serves as a GLP-1 receptor agonist, and can be used to prevent and/or treat diseases or symptoms associated with dysregulation of GLP-1 receptor signaling pathway.

Document FP10 Abstract: A salt and a crystal form of a cycloalkene compound, and a preparation method therefor and the use thereof. Specifically, a salt and a crystal form of a compound as represented by general formula (I), a preparation method, and a pharmaceutical composition comprising a therapeutically effective amount of the salt, and the use thereof as a regulator in the preparation of a drug for treating metabolic diseases and related diseases.

Document FP11 Abstract: The invention relates to a tromethamine salt crystal form of a cycloalkene compound as well as a preparation method and application of the tromethamine salt crystal form. The invention specifically relates to a salt and a crystal form of a compound represented by a general formula (I), a preparation method, a pharmaceutical composition containing a therapeutically effective amount of the salt form,

and an application of the pharmaceutical composition as a regulator in preparation of drugs for treating metabolic diseases and related diseases.

Document FP12 Abstract: The invention relates to an indazolinone GLP1 receptor agonist as shown in a formula I in the specification, wherein the definition of each substituent group is as shown in the specification; the invention also relates to a composition containing the compound or the salt thereof and application of the compound or the salt thereof in preparing a medicine for agonizing the GLP-1 receptor.

Document FP13 Abstract: The present invention relates to an indazolinone GLP1 receptor agonist as represented by formula I, the definitions of substituents being as stated in the present invention, a composition containing the compound or a salt thereof, and a use thereof in the preparation of a drug for GLP-1 receptor agonism.

Document FP14 Abstract: The azoanthracene derivatives that the present invention relates to replace or its pharmacy acceptable salt, it is regulated mankind's GLP-1 acceptor and can be used for the treatment of wherein useful disease, obstacle or the patient's condition of adjusting of mankind GLP-1 acceptor, such as diabetes B.The present invention also relates to comprise the pharmaceutical composition of these compounds, and relate to these compounds and the composition purposes in the adjusting for the treatment of mankind GLP-1 acceptor wherein useful described disease, obstacle or the patient's condition.

Document FP17 Abstract: The present invention addresses the problem of providing a drug to be used to prevent or treat obesity or to prevent or treat type 2 diabetes. The means for solution according to the present invention is a compound represented by general formula (I) or a pharmacologically acceptable salt thereof. [Abbreviated

description of each substituent. For example, R1: phenyl group or the like, R2: hydrogen atom or the like, R3: hydrogen atom or the like, R4: hydrogen atom or the like, R5: methyl group or the like, R6: hydrogen atom or the like, R7: heteroaryl group or the like, X: CH2 or the like, Y: CH2 or the like, Z: CH2 or the like]

Document FP18 Abstract: The present invention relates to a crystalline form A of a GLP-1 receptor agonist and a preparation method therefor, and in particular, to a crystalline form A of (S)-2-(3S,8S)-3-(4-(3,4-dicholorobenzyloxyl)phenyl-7-((S)-1-phenylpropyl)-2,3,6,7,8,9-hexahydro-[1,4]-dioxino[2,3-g]isoquinoline-8-formylamino)-3-(4-(2,3-lutidine-4-yl)phenyl)propanoic acid("OAD2") and a preparation method therefor. The crystalline form A can be used for treating various metabolic-related diseases and disorders, including but not limited to type 2 diabetes.

Document FP19 Abstract: The invention relates to a pharmaceutically acceptable acid salt of a GLP-1 receptor agonist free alkali and a preparation method thereof, in particular to a pharmaceutically acceptable acid salt of (S)-2-(3S, 8S)-3-(4-(3, 4-dichlorobenzyloxy) phenyl-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexene [2, 3-g] isoquinoline-8-formylamino)-3-(4-(2, 4-dichlorobenzyloxy)-3-(4-(3, 4-dichlorobenzyloxy) phenyl)-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexene [2, 3 The present invention relates to pharmaceutically acceptable acid salts of (2, 3-dimethylpyridin-4-yl) phenyl) propionic acid ("OAD2") and methods of making the same. The pharmaceutically acceptable acid salts of OAD2 are useful in the treatment of various metabolism-related diseases and conditions, including but not limited to type II diabetes.

Document FP20 Abstract: The invention relates to a pharmaceutically acceptable acid salt of a GLP-1 receptor agonist free alkali and a preparation method thereof, in particular to a pharmaceutically acceptable acid salt of (S)-2-(3S, 8S)-3-(4-(3, 4-dichlorobenzyloxy) phenyl-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexene [2, 3-g] isoquinoline-8-formylamino)-3-(4-(2, 4-dichlorobenzyloxy)-3-(4-(3, 4-dichlorobenzyloxy) phenyl)-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexene [2, 3 The present invention relates to pharmaceutically acceptable acid salts of (2, 3-dimethylpyridin-4-yl) phenyl) propionic acid ("OAD2") and methods of making the same. The pharmaceutically acceptable acid salts of OAD2 are useful in the treatment of various metabolism-related diseases and conditions, including but not limited to type II diabetes.

Document FP21 Abstract: The invention provides a preparation method of a key intermediate (S)-2-amino-3-[4-(2, 3, 4-dichlorobenzyloxy) phenyl-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexene [2, 3-g] isoquinoline-8-formylamino)-3-(4-(2, 3-dimethyl pyridine-4-yl) phenyl) propionic acid dihydrochloride, and a preparation method thereof. Compared with the prior art, the method has the advantages that chromatographic column separation and purification are not needed, the cost is low, the yield is high, and the method is more suitable for industrial production.

Document FP22 Abstract: The present invention relates to a method for preparing a GLP-1 receptor agonist, and more particularly, to a method for preparing a GLP-1 receptor agonist (S)-2-(3S, 8S)-3-(4-(3, 4-dichlorobenzyloxy) phenyl-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexeno [2, 3-g] isoquinoline-8-formylamino)-3-(4-(2, 4-dichlorobenzyloxy)-3-(4-(3, 4-dichlorobenzyloxy)-7-((S)-1-

phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexeno [2, the invention relates to an industrial preparation method of 2, 3-dimethyl pyridine-4-yl) phenyl) propionic acid dihydrochloride (compound I). A compound I is prepared by taking a compound 1 as a raw material through nucleophilic addition, hydrolysis, reductive ammoniation, cyclization, amide condensation, hydrolysis and salt forming reaction. The total yield of the compound I prepared by the method reaches more than 35%, and the purity reaches more than 98%.

Document FP23 Abstract: The invention provides a preparation method of a GLP-1 receptor agonist free alkali, and more particularly provides a preparation method of (S)-2-(3S, 8S)-3-(4-(3, 4-dichlorobenzyloxy) phenyl-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxacyclohexene [2, 3-g] isoquinoline-8-formylamino)-3-(4-(2, 3-dimethyl pyridine-4-yl) phenyl) propionic acid. The preparation method comprises the following steps: firstly, reacting a compound III with a condensing agent to form active ester, so that amino organic acid salt is free in situ and directly participates in an amide condensation reaction; the preparation method comprises the following steps: firstly, dissolving (S)-2-(3S, 8S)-3-(4-(3, 4-dichlorobenzyloxy) phenyl-7-((S)-1-phenylpropyl)-2, 3, 6, 7, 8, 9-hexahydro-[1, 4]-dioxino [2, 3-g] isoquinoline-8-formylamino)-3-(4-(2, 3-dimethyl pyridine-4-yl) phenyl) propionic acid in a proper solvent in a hydrolysis reaction by virtue of an acid-base neutralization post-treatment mode. According to the method, the highest yield of the two-step reaction can reach 80% or above, the purity reaches 98% or above, large-scale preparation can be achieved, and the method can be applied to industrial production.

Document FP24 Abstract: The invention provides a preparation method of 2, 3-dimethyl-4-(4, 4, 5, 5-tetramethyl-1, 3, 2-dioxoborane-2-yl) pyridine, which can reduce the dosage of boric acid ester, lower the cost and reduce three wastes, so that the effective content of the product is higher.

Document FP25 Abstract: The invention relates to an anthracene compound as shown in a formula A and a preparation method and medical application thereof. The anthracene compound has the effect of inhibiting growth of tumor cells and has the potential of serving as an anti-tumor drug. The invention also relates to a method for quality control of a sample.

Document FP26 Abstract: The invention provides a preparation method of (S, Z)-3-(2-(4-((3, 4-dichlorobenzyl) oxy) phenyl)-2, 3-dihydrobenzo [b] [1, 4] dioxin-6-yl)-2-hydroxyacrylic acid and (S)-3-((S)-2-(4-((3, 4-dichlorobenzyl) oxy) phenyl)-2, 3-dihydrobenzo [b] [1, 4] dioxin-6-yl)-2-(((S)-1-phenylpropyl) amino) propionic acid, and the preparation method has the advantages of low cost, high yield and controllable quality. The method is more suitable for industrial production.

Document FP27 Abstract: Provided in the present invention is a pharmaceutical composition of a small molecular GLP-1R receptor agonist suitable for oral administration. More specifically, the present invention relates to a pharmaceutical composition containing (S)-2-(3S,8S)-3-(4-(3,4-dichlorobenzyloxy)phenyl-7-((S)-1-phenylpropyl)-2,3,6,7,8,9-hexahydro-[1,4]-dioxino[2,3-g]isoquinoline-8-formylamino)-3-(4-(2,3-dimethylpyridin-4-yl)phenyl)propionic acid ("OAD2") and a pharmaceutically acceptable salt thereof, and a preparation method therefor. The composition may contain a low level of one or more oxidative degradation substances or may contain a low level of

reactive oxygen species. The pharmaceutical composition provided in the present invention has low content of oxidative degradation impurity B and a low total impurity content, so that the composition can be stably stored for 12 months or more under normal temperature and normal humidity. The present invention further relates to a method for treating type II diabetes and indications related to improper blood glucose control using such pharmaceutical compositions.

Document FP35 Abstract: The present invention provides the glucagon-like peptide-1 receptor agonists. It is indicated that the agonists have good binding capability to glucagon-like peptide-1 receptor by pharmacological tests. The present invention also provides the preparation of the agonists.

Document FP36 Abstract: The invention provides a compound with a substituted cyclobutane structure represented as the following formula I or II, a preparation method for the same, and an application of being glucagon-like peptide-1 receptor modulator to prevent and/or treat metabolic disorder (as diabetes, insulin resistance and obesity or the like), cardiovascular disease and neurodegenerative disease (as Alzheimer's) or the like.

Document FP37 Abstract: The invention provides ten novel diaryl heptane dimers shown in a structural formula (I), namely katsumadainol C1-C10 1-10, a pharmaceutical composition with the katsumadainol C1-C10 as an active ingredient, and a preparation method and application of the two , and belongs to the technical field of medicines. Thedimers can significantly promote GLP-1 secretion, has GPa, PTP1B and alpha-glucosidase inhibitory activity, can form a pharmaceutical composition with a pharmaceutically acceptable carrier or excipient, and can be used for preparing hypoglycemic drugs or health food.

Document FP38 Abstract: A kind of substituted five-membered heterocyclic compounds represented by the following formula I, pharmaceutically acceptable salts, esters, salvates, metal complex or prodrug with the same biological activity thereof. The uses of those compounds as GLP-1 receptor signaling transoluction positive modulators, and in the treatment and/or prophylaxis of diseases relating to metabolic diseases (including but not limited to type-2 diabetes, insulin resistance and obesity etc.), cardiovascular disease and neuro degenerative diseases(such as Alzheimer's Disease,AD, also said Alzheimer's dememtia).

Document FP39 Abstract: The invention discloses a benzamide compound, and a preparation method, a pharmaceutical composition and application thereof. The structure of the compound is shown in a general formula I, and R1 and R2 in the formula I are defined in the specification and claims. The compound or pharmaceutically acceptable salt, raceme, R-isomer or S-isomer or a mixture thereof, and a pharmaceutical composition containing the compound can be used as a GLP-1 agonist for treating diabetes mellitus.

Document FP40 Abstract: The invention provides sesquiterpenoids as well as a preparation method and application thereof, and belongs to the technical field of medicines. According to the present invention, seven sesquiterpenoids are separated from alpinia oxyphylla, and comprise 2-O-ethyl-beta-nootkol, alpinoxyphyll A, alpinoxyphyll B, 11-hydroxyisohanalpinone, 6S-hydroxyphyllone H, 6R-hydroxyphyllone H, and 6S-hydroxyphyllone D. The sesquiterpenoids can be adopted as a GLP-1 secretion promoting agent and a PTP1B and alpha-glucosidase inhibitor, can provide a blood sugar reducing effect on a plurality of targets, can be used for preparing the GLP-1 secretion promoting agent, and can be used for preparing the GLP-1 secretion promoting agent, the PTP1B and

the alpha-glucosidase inhibitor, such that the GLP-1 secretion promoting agent, the PTP1B and the alpha- The method has important significance on the application of alpinia oxyphylla and the development of new hypoglycemic drugs or functional foods and health foods.

Document FP42 Abstract: Provided herein is non-peptide GLP-1-1 receptor modulator compounds, non-peptide GLP-1-1 receptor modulator compounds of for example formula I, and the pharmaceutical composition that comprises this compounds, and preparation method thereof. Also provide the method that it is used for the treatment of metabolic disorder.

Document FP45 Abstract: The invention relates to compounds that modulate a glucagon-like peptide 1 (GLP-1) receptor, synthesis methods thereof, and methods thereof for therapeutic and/or prophylactic use. Such compounds are act as modulators or potentiators of GLP-1 receptor on their own, or with receptor ligands including GLP-1 peptides GLP-1(7-36) and GLP-1(9-36), or with peptide-based therapies, such as exenatide and liraglutide, and have the following general structure, wherein "wavy line" represents either or both the R and S form of the compound; and A, B, C, Y1, Y2, Z, R1, R2, R3, R4, R5, W1, n, p and q are as defined herein.

Document FP46 Abstract: The present invention provides compounds are provided that modulate the glucagon-like peptide 1 (GLP-1) receptor, as well as methods of their synthesis, and methods of their therapeutic and/or prophylactic use. Such compounds can act as modulators or potentiators of GLP-1 receptor on their own, or with incretin peptides such as GLP-1(7-36) and GLP-1(9-36), or with peptide-based therapies, such asexenatide and liraglutide, and have the following general structure (where the sign as shown in the

description represents either or both the R and S form of the compound): wherein A, B, C, Y1, Y2, Z,R1, R2, R3, R4, R5, W1, n, p and q are defined in the description.

Document FP47 Abstract: Compounds are provided that modulate the glucagon-like peptide 1 (GLP-1) receptor, as well as methods of their synthesis, and methods of their therapeutic and/or prophylactic use. Such compounds can act as modulators or potentiators of GLP-1 receptor on their own, or with incretin peptides such as GLP-1(7-36), GLP-1(9-36), and oxyntomodulin, or with peptide-based therapies, such as exenatide and liraglutide, and have the following general structure (where " " represents either or both the R and S form of the compound): where A, B, C, R1, R2, R3, R4, R5, n, p and q are as defined herein.

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,

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# ELECTRONIC ACKNOWLEDGEMENT RECEIPT

| 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 |
| FP1.pdf | 72 | Foreign Reference | 3291 KB |
| FP4.pdf | 74 | Foreign Reference | 4430 KB |
| FP2.pdf | 143 | Foreign Reference | 4457 KB |
| FP6.pdf | 13 | Foreign Reference | 589 KB |
| FP7.pdf | 31 | Foreign Reference | 1687 KB |
| FP11.pdf | 62 | Foreign Reference | 3232 KB |
| FP10.pdf | 109 | Foreign Reference | 4167 KB |
| FP12_compressed.pdf | 103 | Foreign Reference | 6233 KB |

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| FP9.pdf | 110 | Foreign Reference | 5432 KB |
| FP8.pdf | 106 | Foreign Reference | 6058 KB |
| FP15.pdf | 38 | Foreign Reference | 5567 KB |
| FP13_compressed.pdf | 130 | Foreign Reference | 18398 KB |
| FP14_compressed.pdf | 302 | Foreign Reference | 17274 KB |
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| FP19.pdf | 1 | Foreign Reference | 228 KB |
| FP20.pdf | 1 | Foreign Reference | 228 KB |
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| FP24.pdf | 1 | Foreign Reference | 178 KB |
| FP25.pdf | 1 | Foreign Reference | 230 KB |
| FP26.pdf | 1 | Foreign Reference | 203 KB |
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| FP16.pdf | 38 | Foreign Reference | 5739 KB |
| FP22.pdf | 14 | Foreign Reference | 6745 KB |
| FP28_2.pdf | 289 | Foreign Reference | 10404 KB |
| FP29_compressed.pdf | 305 | Foreign Reference | 12548 KB |
| FP17_compressed-1.pdf | 396 | Foreign Reference | 19979 KB |
| FP28_1.pdf | 400 | Foreign Reference | 23439 KB |
| FP27.pdf | 79 | Foreign Reference | 20291 KB |
| FP30_compressed.pdf | 404 | Foreign Reference | 23712 KB |
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| FP34.pdf | 60 | Foreign Reference | 3350 KB |
| FP36.pdf | 44 | Foreign Reference | 4223 KB |
| FP33.pdf | 98 | Foreign Reference | 5902 KB |
| FP38.pdf | 75 | Foreign Reference | 5229 KB |
| FP31_compressed.pdf | 719 | Foreign Reference | 10698 KB |
| FP40.pdf | 15 | Foreign Reference | 8383 KB |
| FP32_compressed-1.pdf | 428 | Foreign Reference | 15744 KB |

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| FP39.pdf | 26 | Foreign Reference | 14558 KB |
| FP43.pdf | 67 | Foreign Reference | 4402 KB |
| FP44.pdf | 81 | Foreign Reference | 5095 KB |
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| 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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| FP49.pdf | 106 | Foreign Reference | 6088 KB |
| FP50.pdf | 160 | Foreign Reference | 6281 KB |
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| FP48_compressed.pdf | 851 | Foreign Reference | 23783 KB |

## Digest

| DOCUMENT | MESSAGE DIGEST(SHA-512) |
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| FP21.pdf | B2478B3900AD8F123B217DCC6F2F0D80954F2EFDCCF5FDA9<br>FEE2706989D8835B9E7E6AC23BFBA438A3B6CD995C84553C<br>02FEDB0BD02F7F779DE4B1C1D924D956 |
| FP19.pdf | 493ACE73877EDB0C56E1CC7E3F535C9309A9FD718E2983FE<br>7D321A9EA484F5CD145A5A467CDE9779D9CFE808EBC1AEFF<br>3A85CADCE225CDDE7B8594E23B4B6525 |
| FP20.pdf | 51DF0A08184C1222209B0114F3780D00D028BC44A78E73F3A2<br>0CFF539F9C48C69D1B63F8D0CEE52F84C0A3E8413EA5B8E1<br>459C2EB41A5592DDC070B9E1036198 |
| FP23.pdf | 7FF2DBB4525AFCADEDC8C8730290FBC5DA494E3EC7AD2ED<br>F1DA2E5E643988E58E1E61C0D3ADA3EBE20E6FCC3ED8C88 |

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| | D8C0106F8A3FF983044EC65267B114CD86 |
| FP24.pdf | 594797692754BCB5E9A1419131F824E871B5358E69D324B9F7 4BDE93D141A6851467024F7EF9E5F8761EC71BB1FF15AB48B D97BA83B03347903BD8AC91AE0230 |
| FP25.pdf | 32203217FD9B3D164AE412CEB9FB00FFF8E751AEAD644F3F8 6393FB64523681D3C8DC6386EA4B5EF899D99CD28025C5D2 B9C1763A37D26D1A13B8B0B96B1FED1 |
| FP26.pdf | CFB7A4CE4B80060EA14DEE6A8FE72F23D28084FBA190D8D1 D92158762DD63BF76C360E8369DF0106E6017670A02F0A34A6 178022189ABDE41B656FBE74DA699A |
| FP18.pdf | C62DC7B8062F27B3F244FEAC970036D4548843E60E377AA65 7AFC2463F5D259349A719D3EF9793A9DF646C4740245CEB8F FBC225BE2BEA774C9E310BFD036A84 |
| FP16.pdf | 1C845CB6FA06433EC2BC7B62633B366B7ED3DD59152A9E30 FC9C6E4FB97D9D8D1ECFDAB6C6137E28A7912C1A7FC72402 8524A03D55A6B58C93D925F179456C31 |
| FP22.pdf | DD80B76006060E4D708999E7FF0652D614D019C6751D79E36 971D981C07B2538AB1BF0C5C33CFC97F9DB06CC22075E68D F1178D2023D51DF3137441B563AAF9C |
| FP28_2.pdf | 0BA633553062760091F2879E262569CF3874C54CE1A654873F 2B306D3B5ADC146DECA8E7189F282531E0D2898CD70132E7 5C3BEC2072AAB7453CE8720D245EEB |
| FP29_compressed.pdf | 61E59A65CB43C701F8E458EA82A6448E31168472CFB019921 E1E6C0CA5C9A06E797BF0516CC09AFDB2D3A5D64D1647B55 3F06CC1F18E8BC59AD6DF4530A6DBB2 |
| FP17_compressed-1.pdf | 01FA4C13F7422AD9A9171AB68D0530008D1C8B9C9C992DEA CFD3D6F43B521704E67970EF869348FEFDE110D2D9F78C813 07B2E0BD548B61CF5F62870CB918D01 |
| FP28_1.pdf | C0BF0016DC1FE5845438E45BE569F7CD8D94843B2E9542D57 0B1DB1554B9D37B52F78F901C43EC7314AD158449F947701B 4931598C39751EBB7CE616443B67DD |
| FP27.pdf | C51365327B20DB749D5905C94D587D6064AFE6DCAD8090E40 4E74A7B4971828E87B7965DC3A0330E015F911468DA8EF93C DB4587CAE7FCBFBEB63EE75584E133 |
| FP30_compressed.pdf | 4DD172E95485511EBBF3D529FC96E7C173A40C324536688B5 317B2D654EB8FE1AD81109D697AF61422FEE32925DE7226D0 EF68C4A324D165A8359C08B9C97873 |
| FP35.pdf | AD3C4712FCA853813BBCB8870701932CACA67DD42CF28282 FC93FE704007ACFE2E6BAA2772D1B9C66BE18D9C607CCA74 D87F78CFE5B79A2BD9FEA0F9B7542BF0 |

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| FP34.pdf | 61C3A8A12708CC948DE3C6ED048A4DBD42C7F6CA4D99AAF<br>0451684CC01D32217D69DAC902D8C2F39F0A5E14EB8F0F6E<br>A6BB94A77BECAAE4E8AC2257EE0FF83E5 |
| FP36.pdf | 6A4818C22E1490A58595937FA44A34398C47C20AED82B2B22<br>30A2611754C53BC5CFE9036CE140333D8E075C6FDB7B518A6<br>45D638CB6F2C4DC23BE7E939B6A3CC |
| FP33.pdf | 8CFF6FAC109C6737317738C997BD518EAF5D984E1D201B1B6<br>361282700A95E51CA5E75A1825775EAE961A83B0CA9B73D01<br>9179223AE10B5F793AA2E13DC32D1A |
| FP38.pdf | 28D748F34C978307FB154A3D9EFD99C01E14CABB5E87577E<br>A5E20A4E59BDC0BEAC0D7AD9FBB6EB6F80156440B0D757A<br>BEC0158307B4761A9D85C50FD3D99BA09 |
| FP31_compressed.pdf | AA8899B3AC337B2949B6ABE9E1F2339687763B2829E0ADFF6<br>834A85684003AF8ACF6CC66BB743555BD1B62983DC1FA18F9<br>8A365A6F3FC4D490198E56825E33A9 |
| FP40.pdf | D4A1B58938B890D425C93C5F403E25594AD9151766F5F7B3E<br>2571A40F5FE2F3BC8F2CA2AC1831C1D3BE903465D997FB01<br>A66214F0829791F4C85E270A8618593 |
| FP32_compressed-1.pdf | C504D799F4A22CF93DB79AE7502DB192CBAF31F65B4E788C<br>0ECC3C6166CEA2C3C745453D1C050247B1F523AF34AEA129<br>82927104C61C68FB9182CC21C5FB4B3D |
| FP37.pdf | EB7EB2058C6F88A72C596996DCC585E045027DDE958F22354<br>06D3B778F53E80DA0AF377D5FDA17F1BBF7A303992250CAA<br>C133625804D7DEF98399DD997B7CFB6 |
| FP39.pdf | A1C438DC4A60EE22D835794C565E10656DBD29EBC8D35ADA<br>174039D7C0CFE93A726CF79F5FDC8F4F4756B54E452CBD5C<br>0271FECE4BE90781A0D8C275F8435E09 |
| FP43.pdf | DCACCD762EA783F4E05E2B180026DBDBC352A3AEB4ECA0C<br>E5931D392137965A7FEE35E8283ADF8EF19FA73284F9616B74<br>4FDDEE1F19564EFF2A571DFA916017C |
| FP44.pdf | E11CB792D585B3FBF05FC2ADDB34461B3EAD17F7C6C53491<br>BFB0A6CBB21E6A775DC3BD7AE47126A31B84DFDCFF22A69<br>5FB043D153A4D6A8F16B55B996CF18938 |
| FP47_compressed.pdf | F2A97C8BE1BF07ED0C983D3CDF51282E22DCD59AB37C26A<br>B67CE2BCD4228306414743755455C6C3CEB2C3CA7A0843883A<br>1866A36CE26EA5987BD554ABC98EBFD7 |
| FP42.pdf | A1ED9AAE927ACE5C94C44D313108DB689C7E884311BEC9F2<br>A90633E55C7A8A8B450AE156E0F1EE4D3F55611F405161260<br>EA20FBC64E6AE54B24DADE259A6ACA6 |
| FP45.pdf | 9B5328A8C631346FC708BC1DECF9DC10C45296E4423633E09<br>5B61154C3A359A6CF7435627D7BD3258BF2728905ECDB390F |

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| | 1D370A337ADF94D0F9D45B4BF836C9 |
| IDS4_.pdf | 59AF23390E9518EFDEF2C597A3E2477D3E6036A014FC10721<br>3950FC03C5B15639AA182AF0691E30B69CB7501B726657C98<br>B7549A289050C4FDFA7A523A1A7517 |
| PleadingIDS4.pdf | EBA85CFB08D5391BC49FEFDE8542BA9F1CF8FF3392ACF3B5<br>340052369FBDA71A61354A0FBC2EF3A2F4E571376F2E7200B<br>934D60C9A8F493E9C513DBFE35E98A9 |
| FP41.pdf | 0FBF281F2E2FBB960E625404BBB54010A38B59CCDC9EB75B<br>F58570F21BA0A51751349E8B458248ECEDB73621EB98D5AEF<br>554A9B43C195134B35707B414DDAFE0 |
| FP49.pdf | 024A12CB6C4C4BA7D487B3BB5F54820470DBE5C64669DA4E<br>D0238ED518610453B90EB9A823260638A9CCE56F405EFE4EB<br>63AB717D875D9CAA82B0E11EFF7DCEF |
| FP50.pdf | D9C91A9B047FEE6748AC7E30F08C4ED3B842500DA4A7516B<br>08FCA538AA554B1EFF1F87DFD702C5FE5AD6E6573C0C7F6D<br>DB17A9C3545991201FAF494E781FA2A6 |
| FP46_compressed.pdf | 17CEB3028CC2D7DAAB3949413A1D61D90D6C75ACAB763C0<br>CF9F9EBAFA9B36152F491346D6B374C28A8FE1369B2EC7511<br>2469419053BE08904680334733280906 |
| FP48_compressed.pdf | 23DFD8B53E59BBB36A4CBCF4CDF0658679DC61170CA9EE1<br>B532CAE25ED02A5F4E5BEF558B83D792A62FFAF3E1FEEE8D<br>A57C39F3ED32B7A9B4F5F440BE954B299 |

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# INFORMATION DISCLOSURE STATEMENT BY APPLICANT

**( Not for submission under 37 CFR 1.99)**

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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 | 9150482 | B2 | 2015-10-06 | WU REY-YUH | |
| | 2 | 10392357 | B2 | 2019-08-27 | ACADEMIA SINICA | |

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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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| | Art Unit | 1621 |
| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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|---|---|---|---|---|---|---|---|---|
| | 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 | | ☐ |

| INFORMATION DISCLOSURE STATEMENT BY APPLICANT ( Not for submission under 37 CFR 1.99) | | |
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| | Art Unit | 1621 |
| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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|---|---|---|---|---|---|---|---|---|
| | 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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| | Art Unit | 1621 |
| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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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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| 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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☐ 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 |

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| 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

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| 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 |

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| FP15.pdf | 42 | Foreign Reference | 1349 KB |
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| FP16.pdf | 49 | Foreign Reference | 2126 KB |
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| FP20.pdf | 47 | Foreign Reference | 2097 KB |
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| FP19.pdf | 69 | Foreign Reference | 3862 KB |
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| FP12.pdf | 305 | Foreign Reference | 16120 KB |
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| FP22.pdf | 24 | Foreign Reference | 1231 KB |
| FP27.pdf | 20 | Foreign Reference | 1063 KB |
| 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

| DOCUMENT | MESSAGE DIGEST(SHA-512) |
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| FP1.pdf | 517C9C24F5CA5ED09FB6A6C144D933688C5CF2BD9CB33D562D274421C0F49E204AF74FB47786565B7657EC08D7FA05871CBC6F36F482BABEA824FE7808686C7E |
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| FP5.pdf | 9F0A3E2DD87D5AA4EB82BA036E10AF5AE9628FF1F3C339C7<br>6FAC5A65E7C602D421949A2F1B1C9DE3052E17FC58E98B6B<br>B0032BB45019D189B63642CA61CE5DFF |
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| PleadingIDS5.pdf | CD3A07A91DA861182F9B131FB1DBBE43D7FE50686CEF1710<br>6CD36DE2FD2DC2CD97BEDE892BE0D0309876CBAB147B2E3<br>386DAC08D74367A27ABCA54F2E2A3AFB4 |
| IDS5.pdf | EF648EE5294B02D3A127F374597DED6A01697A2E69D9CE091<br>A28C4E5F6A7BCE0884F8D5FD811307C99973993319E6330F7<br>A9D84EA4C384B20AAECC9D94ECC857 |
| FP23.pdf | 52F9E380D4A5E8158EB0E7DC989CF4C2F3A51CFEE8C33278<br>60CA9780114D9B40AE88F9016483BA49AAB5418B75C8089CE<br>55E3DE005CF4189AFD14DC38ED5E498 |
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| FP28.pdf | EEA91B0DF39E689B2A49C5FE088478369C1B80B82E77D6687<br>6ACA7D6A9E35078F6FE56A6B3F9FA92009797C46AB3A146F0 |

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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**

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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

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| In re application of: | Confirmation No.: 9471 |
| Liang *et al.* | Art Unit: 1621 |
| Appl. No.: 18/884,965 | Examiner: NILOOFAR RAHMANI |
| Filed: September 13, 2024 | Atty. Docket: HRT-029US1 |
| For: **GLP-1R Agonist and Therapeutic Method Thereof** | |

## 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 FP2-FP4, FP6, FP11, FP12, FP17, FP18, FP20-FP25 and FP27 are in a foreign language.

Below are translated English language abstracts of FP2-FP4, FP6, FP11, FP12, FP17, FP18, FP20-FP25 and FP27 that are provided to provide a concise statement of the relevance thereof.

Document FP2 Abstract: The invention discloses a kind of aromatic heterocyclic small molecular organic compounds as shown in structural formula (I) and derivative thereof, or hydrate or pharmacy acceptable salt, and the preparation method of respective compound, the invention also discloses this compound and the application of the pharmaceutical composition containing this compounds in the relative diseases such as the various metabolism syndromes for the treatment of.The aromatic heterocyclic small molecular organic compounds that the present invention proposes, have excellent therapeutic effect to metabolic syndrome, experimental result shows that this compounds may promote the secretion of endogenous GLP-1, is applicable to the candidate agent preparing anti-diabetic and fat-reducing.The technical problems such as the medicine for existing treatment diabetes is easily degraded, the transformation period is short, the present invention proposes the micromolecular compound of the endogenic GLP-1 secretion of the novel efficient promotion of a class, can be used for the Metabolic Syndrome such as treatment diabetes and obesity.

Document FP3 Abstract: The present invention relates to a compound represented by formula (I) or its pharmaceutically acceptable salts, esters or stereoisomers, wherein R1 in formula (I)is C1-C6 aldehyde group; C1-C6 carboxyl group; C6-C10 aryl amino group C1-C6 alkyl group, wherein the aryl group, depending on situation, is substituted by one or more hydroxyl groups, C1-C6 alkyl group, or C1-C6 alkoxy group; or C1-C6 alkyl carbonyl vinyl or C1-C6 alkoxy carbonyl vinyl; R2 in formula (I) is glucopyranose group

or H; the symbol (a solid line and an underneath parallel dotted line) in formula (I) represents a single bond or a double bond.

Document FP4 Abstract: The invention relates to a compound represented by the formula (I) or pharmaceutically acceptable salts, esters or stereoisomers, wherein R1 is C1-C6 aldehyde groups, C1-C6 carboxyl, C6-C10 aryl amino C1-C6 alkyl, C1-C6 alkyl carbonyl vinyl or C1-C6 alkoxy carbonyl vinyl, wherein the aryl is substituted by one or more hydroxyl, C1-C6 alkyl or C1-C6 alkoxy according to the conditions; R2 is pyran glucosyl or H; and a bond described in the specification represents a single bond or double bond. The compound represented by the formula (I) or the pharmaceutically acceptable salts, esters or stereoisomers can be used in preparing medicines for treating related diseases regulated by a GLP-1 receptor.

Document FP6 Abstract: The purpose of the invention is to provide a compound useful in the prevention or treatment of diabetes, obesity, and conditions related thereto. The present invention relates to an enhancing agent for glucagon-like peptide-1 receptor actions, the enhancing agent containing a compound represented by formula (I): [in the formula, each symbol is as defined in the specification.] or a salt thereof.

Document FP11 Abstract: The invention provides a micromolecular GLP-1R agonist. The micromolecular GLP-1R agonist is a compound shown as a general formula (I) or pharmaceutically acceptable salt, stereoisomer, ester, prodrug, solvate and deuterated compound. The compound, is a micromolecular GLP-1R agonist, can promote insulin secretion, thus can reduce hyperglycemia, and in addition, the compound can also reduce the occurrence of hypoglycemia due to the fact that the compound has a glucose concentration dependence characteristic when promoting insulin secretion. Besides, the

compound as shown in the general formula(I) can be taken orally as a micromolecular GLP-1R agonist, can improve the medication compliance and medication convenience of patients; and the compound has a wide clinical market prospect.

Document FP12 Abstract: The present disclosure relates to GLP-1 agonists of Formula (I), including pharmaceutically acceptable salts and solvates thereof; and a pharmaceutical composition comprising the same.

Document FP17 Abstract: The invention relates to anethomycin derivatives shown in a general formula (I) or pharmaceutically acceptable salts, solvates and stereoisomers of the anethomycin derivatives. The invention finds that the anise mycin and the derivative thereof have the effect of promoting insulin secretion under high blood sugar concentration, and can treat diabetes mellitus and prevent hypoglycemia. Research on the action mechanism of the compound shows that the compound is highly related to GLP-1R, which indicates that the compound is a micromolecular GLP-1R agonist, can effectively treat various GLP-1R-mediated diseases including obesity and diabetes, and has good commercial application prospects and scientific research values.

Document FP18 Abstract: The invention discloses a compound shown as a formula I and pharmaceutically acceptable salt, a deuterated compound, a solvate and a stereoisomer thereof. Furthermore, the invention discloses application of the compound ZL001 as a GLP-1 receptor agonist in preparation of medicines for preventing and/or treating obesity. Research results prove that the compound ZL001 has remarkable effects of reducing body weight and body fat, and has potential scientific research value and commercial value when being used as a novel medicine for treating obesity.

Document FP20 Abstract: The invention discloses a triazole compound and an application thereof. The invention specifically provides a compound as shown in a formula I or pharmaceutically acceptable salt thereof. The triazole compound disclosed by the invention has relatively good GLP-1R allosteric regulation activity.

Document FP21 Abstract: The present invention pertains to: a compound, or a pharmacologically acceptable salt thereof, having GLP-1 receptor agonist activity and offering utility as an agent for treating or preventing diseases involving GLP-1 receptors; and a pharmaceutical composition containing the compound and the pharmacologically acceptable salt. A compound or a pharmaceutically acceptable salt thereof, the compound being represented by formula (IA) (where: R1 is an optionally substituted alkyl group; R2 is a group represented by BB; X is N, etc.; L is a group represented by CC (where: R8 is a hydrogen atom, etc.; R9 is a halogen, etc.; each of Z1 and Z2 is independently N, etc.; the atom labelled a bonds to a group represented by DD; and the atom labelled b bonds to a group represented by EE); W is N, etc.; R11 is a hydrogen atom, etc.; each of R12 and R13 is independently a hydrogen atom, etc.; and each of R14 and R15 is independently a hydrogen atom, etc.).

Document FP22 Abstract: The present invention relates to a combination therapy for effectively preventing or treating obesity. More specifically, the objective of the present invention is to effectively prevent or treat obesity through a synergistic effect obtained when a melanocortin-4 receptor (MC4R) agonist and a glucagon-like peptide-1 (GLP-1) receptor agonist are administered in combination.

Document FP23 Abstract: The invention belongs to the technical field of medicinal chemistry, and relates to a heterocyclic compound used as a GLP-1 receptor agonist, and

a composition, a preparation and application thereof. Specifically, the structural general formula of the heterocyclic compound is as shown in formula I in the specification. The compound disclosed by the invention has excellent in-vitro agonistic activity on a GLP-1 receptor, good metabolic stability and high safety, so that the compound can be used as a GLP-1 receptor agonist, and can be used for preparing a novel GLP-1 receptor agonist. The pharmaceutical composition has a good effect on preventing and/or treating various diseases and/or symptoms of mammals (including human beings), including diabetes (particularly type II diabetes), obesity, liver diseases (particularly non-alcoholic steatohepatitis) and neurodegenerative diseases.

Document FP24 Abstract: The invention relates to a chiral purification method of a key intermediate of a GLP-1 receptor agonist, belongs to the field of organic chemical synthesis, and aims to solve the problem that a high-purity product is difficult to obtain from (S)-oxetane-2-methylamine prepared by a current process route. The technical scheme provided by the invention is as follows: 1) a compound shown in a formula V and acid are salified to form a compound VI; 2) dissociating the compound in the formula VI under an alkaline condition to obtain a compound in a formula VIII; the purification method for synthesizing (S)-oxetane-2-methylamine has the beneficial effects that after D-tartaric acid/D-mandelic acid is used for salifying, the product is good in stability and not easy to decompose and open a ring, and then alkali is used for dissociation to achieve a chiral resolution effect, so that the ee value of a final product VIII reaches 98% or above, the operation is convenient, the yield is high, and the method is suitable for industrial production. The use of 36% concentrated hydrochloric acid high-risk solvent is avoided, and the operability of the process is improved.

Document FP25 Abstract: The invention provides a compound for resisting type 2 diabetes mellitus and application thereof. The compound is a compound 3 or a compound 4. The compound provided by the invention can stimulate GLP-1R to generate a downstream signal, and can also be combined with DPP4 to prevent the DPP4 from degrading glucagonin-like polypeptide-1 (GLP-1). The synthesis method is further optimized, the time is shortened, the yield is improved, and a theoretical basis is provided for development of small-molecule oral medicines for type 2 diabetes mellitus.

Document FP27 Abstract: The invention relates to a human glucagon-like peptide-1 receptor (GLP-1R) activator and application thereof. The human glucagon-like peptide-1 receptor activator comprises a compound shown in a formula I which is described in the specification or a pharmaceutically acceptable salt of a compound, and R1, R2, R3, R4, R5 and R6 are respectively and independently selected from any one of H, C1-C4 alkyl, sulfydryl, phosphate group, vinyl or acetyl. It is found for the first time that the compound shown in the formula I or the pharmaceutically acceptable salt thereof can improve glycolipid metabolism of whole-body tissue cells, enhance oxidative degradation of lipid molecules, inhibit expression of adipogenic genes, inhibit activity of acetyl coenzyme A synthetase and reduce synthesis of triglyceride, glucose-induced insulin synthesis and secretion are improved, insulin antagonism is relieved, compensatory amplification of pancreatic beta cells is relieved, and the survival rate and functions of pancreatic cells in the II-type diabetes mellitus-like state are improved.

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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# ELECTRONIC ACKNOWLEDGEMENT RECEIPT

| APPLICATION # | RECEIPT DATE / TIME | ATTORNEY DOCKET # |
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| **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

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| 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

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| FP37_compressed.pdf | 56 | Foreign Reference | 10168 KB |
| PleadingIDS2.pdf | 12 | Transmittal Letter | 59 KB |
| IDS2.pdf | 8 | Information Disclosure Statement (IDS) Form (SB08) | 1317 KB |

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| DOCUMENT | MESSAGE DIGEST(SHA-512) |
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| FP1_compressed.pdf | 63EBA3C96F719E1B8214746D4353F832B06E4B09A91ADCD1D32BF787FE0D306D7F9F5E46D02274BDAFB25999774B623535D8FC6F1DAD9AAF072B5AF6357D47E0 |
| FP4_compressed.pdf | 66150830619A4728F792B1ACB4C4610E266025690BBD7CA51C81BEF99812499822DAED5B3A839FD89C5FE226560E423CDCF9E1447C1DCFF081108BA0FE1F49D4 |
| FP3_compressed-2.pdf | 562E153EDC4DE34FB269B14E869A420D303865D8325040693E4A7922A20980C9B64DBFB5EE4C390A530C9632280609A26DE4F4A124530FCDB34C1CA77636E851 |
| FP2_-1-.pdf | D682FD641300A50BAB6A71DEE90C6EA9A990E0AB808AD13F3AAE4141789787404235B9BF31BC1EE53E15EA1051C250CEAFD4A082C32D6CA5D72EFA63831FFEE1 |
| FP6_compressed.pdf | C2C023EF7854659C706EDC0C2AD795FEBA344C350A028170AD31DF8E97C737039E48D470FCC58CC12059A2E8F9D6338AAECB65788E2043E47AB497FCF5A1B60B |

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| FP2_-2-.pdf | DDF3CE888972CBFA27620A7251E53DA156C036E32DEEA768<br>2966331F29B87D6FAE182A8A00E1FDCD0DBBBAA0829D92BE<br>385CDCFBC9DF530743B392A9057BD935 |
| FP7_compressed.pdf | B1BD412CE1C52023F6ACFA9D7DE9E36924F23ED8D688AB67<br>1F07EA17B81608FAA81878D80C02CE5FE27750F61A7399BDC<br>2AB679FFE6B7F35F119EFB5E89D359E |
| FP10_compressed-2.pdf | 37A536034AEB1EDBC12F2F9BAE635BC8C36E0F9AA56D1A40<br>B2BA96636B9EFFE61B4A416EC892A48C95253173C45F5B13C<br>FFADCBE648332E9669C178DA69B2BED |
| FP8_compressed-1.pdf | 607963CBCACCDF4CA5CB21E1BDE13FF9B326260BD99C3D9<br>BA2324E43182753FA502FFA4F4035A417885E80AD66AB8F4D<br>642E2812E998A5789EBA06AD118C71CA |
| FP9.pdf | 8145E912D0800E6F790CC6681DBFE20ADD19597C2F82FDC1<br>1ED33296A8E9544351178B8A71CB1C5DDD539DEF02FE68E8<br>AE23DCDA6940BC95DF513578B31E8AE0 |
| FP5_compressed.pdf | CBC2EE2B05B9E2B9A165B16B03DF46A8E7E6D5B59C8AB93E<br>6B4F92C1525CA36B30BE5EB6C51538CE62DFC2AE5D50C287<br>1F63E484B7784BD688F073B5E2B3C670 |
| FP16.pdf | 719AD37213FB48334645E7FB6E448BFCBAAB29F7509B24CD<br>DE5F867144752BD46201A5A928369673255046789A0EF3277D<br>453DDEBFD0EBAF90D7A8D94DB4D88B |
| FP11.pdf | 0F81F0CAEB53D0FC3E7BDBF4D17E38E49C84E5A1DB20BE9<br>DA239B93A77602BD32A8950BF0A51B199850F1E70070A6E437<br>B98B01344E2D78B7E98A6DFC6A4A9FC |
| FP13.pdf | E7E464AADAD16730C92F695889CEC9F843C1F27429F082B5A<br>CBA229E98BBDF8ECFDB683BF0F922F7B628E4C3E7E3CD831<br>166EC18A8C9F3E611E2034275CF55B6 |
| FP12.pdf | B1B2C8E55B7A333CE84DFD205F03080AFE6E02C665AE59131<br>E8E35AA285A566D6A665B9EB3DDC6D904CC6661D0FFBD04<br>B03D3DAB11772D22E4CCD596B98AA53A |
| FP14.pdf | C3391986B4E9A6F6ACF7D36F84DE158D99EB3F3E6008526F3<br>575F41371D1E4C9A88DA04AF465DD7D10B4EE9A7D612922D<br>6A58C98EEB9AE9CDC29EA77C67E26F6 |
| FP15.pdf | 2F2AB8D87A7A304A988F930AB6ECD21045124B48DC7F609FA<br>E59A44B88A8E167747B288C61580E82C5EBB4AAAE5D350F33<br>C8B4B659D948F8E11EABD13040FBF8 |
| FP20.pdf | BFB68757AD7ABE942685BD00C9641C710B72C3F5C600AB211<br>DB157CBFCEF5E8123D6EF4C7CB897E7A98F1A585E06AB779<br>460474B38B3CE7A38C4B8804E2A71EC |
| FP19.pdf | 8F80D62627ACEC0527D39BE9681E0B25B920278D216C7DE95<br>57D098A0953F033A2F7449571F0EE840B33E9EAC2E8C70A48 |

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| | 1B338E872B2A520413F83E16FDF151 |
| FP18.pdf | 9953A0A74FEB75684C2F8E8A69708972BBF7FF4C1D61B6BA0<br>8170AA7EF304051251A296D3B9F1B403D7AC7CD50D896E857<br>3C5B689C71E3B252F14DA13A23A4BD |
| FP17_compressed.pdf | A5376BE047C638A031A9FFE5FCD072FF160DB47A04DC6DC8<br>92B42DB9F3EC2FAA543D389E6F9FC67E205B96655978C6565<br>E659D1ACEEF531524AF0B377E7A5CD1 |
| FP23_compressed.pdf | 65B85A16BC33894BC3EBD5C4F36365EE35FB2F7D37B688CE<br>DD92E9FF2546DA6BE8F1B1A6F8ADDDFFD774A96486773BAC<br>516C1D19C1859FA77AC9ED6BB8E8E424 |
| FP21.pdf | A1AF745BD923D35EF3DDDED6327F01B028125A1F30E4592C<br>F536AF9C416CC91DD1FA1DFC73571B37E652B0E416AFCD77<br>183BF6BA751D06F17340B3A3C6D2AEF6 |
| FP24.pdf | B90A133C1E93AF45704445862C583D38A030BE9B9AC6E90B3<br>46CB2464C2489FA63A7938E84A5EB2DB600E121E5506EC619<br>18241DC797123707650CD7A6B4054E |
| FP22.pdf | 744A938E0A8BB7282415D4DBA5A5A581E9F37210AEFDE28E6<br>ADB514448799F4BBB4AE6BDAE047C8C480506FA4995839C42<br>04ABA686B2AF8CF3EBC82F3D968FD3 |
| FP27.pdf | AFB2BEA10F6FDE24C1522992A08A9AB0B761E7DCF69005147<br>383C014599DD80D90C0BD16F4B5F4BC28CDF7678C49615D8<br>26BD679E6F05C832A0ED85CF6A1E8D4 |
| FP25.pdf | EAB49238FA86B90CEB322898F8CA35C1777F04AE47C74A058<br>231ADFA6D5161D2A34BE9BEE910F13881A98E5E40CC4577C<br>2530F10E2C77777B2F214CCE9FA76E2 |
| FP28_compressed.pdf | B40D9309CDC0C91B881B81EAD477EECB1E327115AEF72588<br>D5D3C4EEB6E5BE04BE253EA6727D2E0FCC0EF335034430CF<br>6E16ABEA63B5DABFDD67FCC64C77BBDF |
| FP29_compressed.pdf | 39C173E2A941F07C75E18334E89B88D64124E9D72590C4255A<br>522816030B4A98379235C5BC4ED3E862B0C85ABA52D666AD2<br>9DE542FC37F3F3381E8A58A64E734 |
| FP30.pdf | 3463955864909C9FB504E34C9980A679EA9462D94215A0897F<br>3164B91F992E2B6358EC85DB87B69E57E38A67E31350594AB<br>25DEA4B9FE50377277CE4616F629A |
| FP26_compressed.pdf | CC907D00A89EB4CDF9FAE647AB876C8D08936A33DE0E71BA<br>DBB84F637C027D9581A41C040FD6B5DFE6FBBF34EDAA1C30<br>BDC68FB5B3748FC07B40EDED536F1B16 |
| FP38.pdf | 322E36B7930908ECF217002105AD3BA781F3DFAAAC81E3EE<br>CD306DCEB2A44A84EBF71A01D671585A6635651BB3C37EF3<br>CAE0E0832EA5374C458EF82646211A83 |

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| FP39.pdf | E78F71A38519CAACAAE771D15201BDB923DE6173C04A52ED 99C7B5396A67D47BF49B71FCEA014FFC42317920347753E1A D3574E5A9E877B899F5C16DCB705164 |
| FP36.pdf | E782454E077BB27F0E4E1F6E1AA70E7019A57142066023262A 23F5BED575C557664EAA9CED0D073E9A2FD6AB47E9A123C2 D9A616F942A552D5978406204F7553 |
| FP41.pdf | EDB4E55E4B2C1ED8AFEAF3F759F08ED06EF3A17C4B44452F CF856A96A7EB032C067BB38B39317A59A60E031F75A6F030B 427F4251D276B2FD3802B0D6B0BA011 |
| FP40.pdf | A74EC8AE5D1CEAF8594CC080CEE59A92A21FE25D5344A28B AF99ABEDD0DB48949B78FC838F4B8E0060245711608A04E8F BDA8ABC97F529671665D3C226DDFDCC |
| FP35.pdf | 828A41304C17B18E4DE3535FE5671BB2AC43841FF114E57924 F9BFC99F1CFD714BA8B5F1C8622930F9B1F78FE726A55BE8 CFF40D4E292FC30738208AB9176214 |
| FP43.pdf | 371B842E16BCDE800349F5FD0B2E62BC394894267CF95C3EE F19B8E98ACD54446CECD4E6C13C315E396A27C2A5D62BC31 6A262C5A791483D7E40FEAF8E4E7389 |
| FP33.pdf | 570FA3EEEFF5B05B8355974C771EDA505E9D235AB4E7727D1 32ADAA745FDE4BB95F680783173EEC395307B61B93C2E5FC4 B2CAF01CA0B57A98CBDC0480392C86 |
| FP44.pdf | 46A0F6D8E05F6C556F5FC541F0FB040D087649ED1DA9E787A 248F3DB636D700047483A68ED537B510F53711F76F6FC3CAF 8DF09A98E2AD88A0142EE2BC629002 |
| FP34.pdf | 514AFF79322ECE29B59E25F27109B78572AD346DBBE8E1166 C13A3F5DD780B5D4542C225A5361B2C799DCCCF9D2AC0E63 2A52C1D0BEFB78F26FF25DF6A6E1BE6 |
| FP46.pdf | 12DF3BDBADB1AC251CFAD1780CD1AA041C004FA3BCA476F 9378920C1A6B32832CA8F658E560A3522781CA33EAC6D61EE 5B21035F15FC242476FFD6F18FFFE2C4 |
| FP47.pdf | DE0928284EDB64DEEBB966F503485F394DC575C3D63326DE F15615C3D503DAC91A7FCE3F2F7D02CB25AD2AF218D702BE 61AB64F437B852CD86B4553D59B3C320 |
| FP42.pdf | 1854BD7FE816237BCEF8D62B72B7AAD45EB0FBD20866FE41 620879D4E808E523940772E07C22178C856245802BF1FD7530 CE12622A0A6FB7EEA955A753CA1B64 |
| FP45.pdf | 899100FDD43BAF15032657E18C70782B9BADDCE9BF8E6D93 B378A78CEDD80E149B906107CBB15EB01483774DB965E7FE0 6968525E3CEA9D7240850C0C8508F01 |
| FP49.pdf | EF415771DB8DDE58CB9679C7404FEEE65BD1402CEC0A0FA5 62D79C5A4E78938B1D5CC217ED4F4F69951642AAB2287E40A |

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| | 9CF0628B5ED8026B1DD95D9825C4F0A |
| FP48.pdf | 124C90580BDE582120A6B16241872ECBB059A741589CA83C0<br>6501455D7BCC26D3EA35CE1E24B2CC774547354A9DBBD959<br>BEC2FB882F4D006ABE70D6C7BA21DCF |
| FP32_compressed.pdf | 6B0E4508363CD3D1DF9AED17D80FBDDDC83EE494E07E55E<br>212E2E842D0C49CA4E9F8D909A650F153E142C947FBC1200C<br>44FDBDFABCDA492F1823821D1DAB0761 |
| FP50.pdf | 94D44FB950D534B6A856F4E24D5555CFC5C6FA5779A194D29<br>ADD27867810AE99F73ED437B2374D1C583EB25E4A624B959F<br>DFADE16F8D5FD6D0D0B6EF72114050 |
| FP31_compressed.pdf | E7883A9B707E5D9B6987B43175335B048839B21865A9E75895<br>FA4DAF69F0AAB689D59E8E66C18068923285B4C39676CA150<br>F8C8EA1965911E95D1A96544539DC |
| FP37_compressed.pdf | B873EAA64236141051AF521901117AE4D727A27E109FE9BC93<br>5D33C89FC4A7971991FE2DCDEADC6581DE453573AAFE2CE<br>9DD5691138D101FA99CB23B5BEDF0CF |
| PleadingIDS2.pdf | 7AACD2B01BFFFA4AC7DD3E0EDC2C4BB7ED8EA16614F1183<br>2AA493F3C5A64F103A5534ED4B1DF009B5C295821DCF3379F<br>AFD1327717C0843F929F6E773E7D8A41 |
| IDS2.pdf | 7B0247D15663F92F823815E27796EDB6EE2CC767E68FB2420<br>B1F6A70A59E52B60972D15DBDCD2EBD10CF34739C9174833<br>7ADDD1C56138070EF7A149881422B69 |

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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)
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# INFORMATION DISCLOSURE STATEMENT BY APPLICANT

**( Not for submission under 37 CFR 1.99)**

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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 | 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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| | 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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|---|---|---|---|---|---|---|---|---|
| | 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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| | 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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|---|---|---|---|---|---|---|---|---|
| | 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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| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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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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| | Art Unit | 1621 |
| | Examiner Name | NILOOFAR RAHMANI |
| | Attorney Docket Number | HRT-029US1 |

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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 | | ☐ |

| 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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|---|---|---|---|---|---|---|---|---|
| | 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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[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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| 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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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

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| In re application of: | Confirmation No.: 9471 |
| Liang *et al.* | Art Unit: 1621 |
| Appl. No.: 18/884,965 | Examiner: NILOOFAR RAHMANI |
| Filed: September 13, 2024 | Atty. Docket: HRT-029US1 |
| For: **GLP-1R Agonist and Therapeutic Method Thereof** | |

## 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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