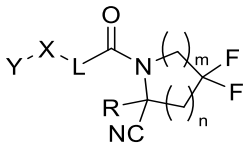
[0008a] In one aspect of the present disclosure, there is provided a compound of formula (I):



(I)

a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein:

R is hydrogen, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R are independently optionally substituted by R^d;

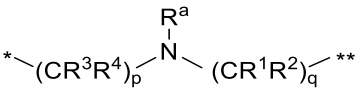
m is 0, 1, 2, 3, or 4;

n is 0, 1, 2, 3, or 4,

wherein m + n is 1, 2, 3, or 4;

X is -C(=O)-, -O-, -CH(OH)-, -S-, -S(=O)-, or -S(=O)$_2$-;

L is



, wherein

* represents the point of attachment to the Y-X- moiety,

** represents the point of attachment to the remainder of the molecule,

R^a is hydrogen, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^a are independently optionally substituted by R^e,

R^1 and R^2, independently of each other and independently at each occurrence, are hydrogen, C_1-C_2 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^1 and R^2 are independently optionally substituted by R^f,

or R^1 and R^2 are taken together with the carbon atom or atoms to which they are attached to form a 3- to 8-membered cycloalkylene optionally substituted by R^f,

q is 1, 2, or 3,

R^3 and R^4, independently of each other and independently at each occurrence, are hydrogen, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^3 and R^4 are independently optionally substituted by R^g,

or R^3 and R^4 are taken together with the carbon atom to which they are attached to form a 3- to 8-membered cycloalkylene optionally substituted by R^g, and

p is 0, 1, or 2;

Y is 6- to 10-membered heteroaryl substituted by R^{12}, wherein

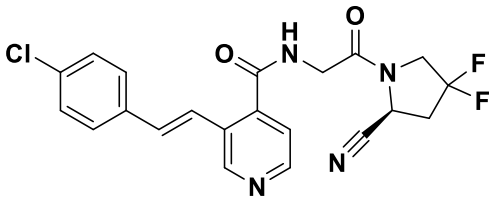
R^{12} is C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_4-C_8 cycloalkenyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_4-C_8 cycloalkenyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^{11}, R^{12}, and R^{13} are substituted by R^L;

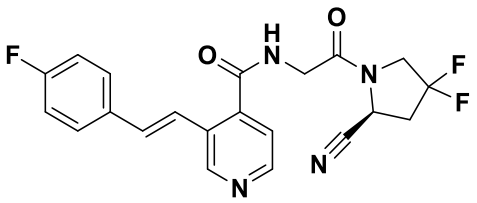
R^{14}, R^{15} and R^{16}, independently of each other and independently at each occurrence, are hydrogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, or 3- to 12-membered heterocyclyl, wherein the C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, and 3- to 12-membered heterocyclyl of R^{14}, R^{15} and R^{16} are independently substituted by C_1-C_6 alkoxy, C_1-C_6 perhaloalkoxy, C_6-C_{14} aryl or C_6-C_{14} aryloxy wherein the C_6-C_{14} aryl or C_6-C_{14} aryloxy is further optionally substituted by halogen, -OH, cyano, C_1-C_6 alkyl, C_1-C_6 perhaloalkyl, C_1-C_6 alkoxy, or C_1-C_6 perhaloalkoxy; and, wherein at least one of R^{14}, R^{15} and R^{16}, when present, is not hydrogen;

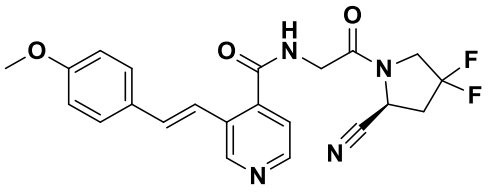
R^L is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, or 3- to 12-membered heterocyclyl, wherein the C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, or 3- to 12-membered heterocyclyl of R^L is substituted by halogen, -OH, cyano, C_1-C_6 alkyl, C_1-C_6 perhaloalkyl, C_1-C_6 alkoxy, C_1-C_6 perhaloalkoxy or C_6-C_{14} aryl, wherein

the C_6-C_{14} aryl is further optionally substituted by halogen, -OH, cyano, C_1-C_6 alkyl, C_1-C_6 perhaloalkyl, C_1-C_6 alkoxy, or C_1-C_6 perhaloalkoxy; and

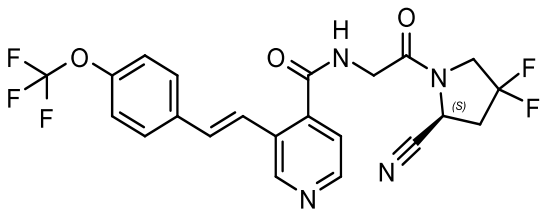
R^d, R^e, R^f, and R^g, independently of each other and independently at each occurrence, are halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, 3- to 12-membered heterocyclyl, -OR^{14}, -$NR^{15}R^{16}$, cyano, or nitro.

[0008b] In another aspect of the present disclosure, there is provided a compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

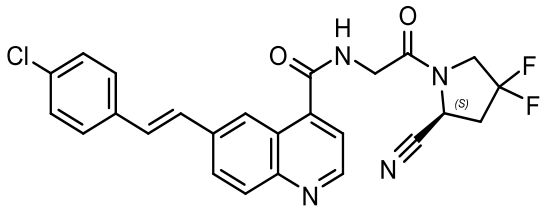
[0008c] In a further aspect of the present disclosure, there is provided a compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

[0008d] In another aspect of the present disclosure, there is provided a compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

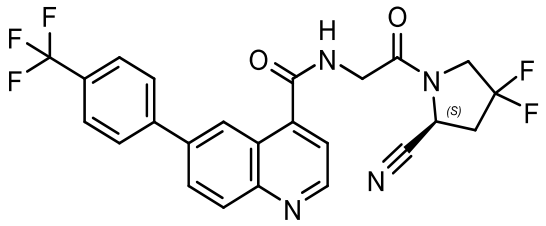
[0008e] In a further aspect of the present disclosure, there is provided a compound, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein the compound is selected from the group consisting of:


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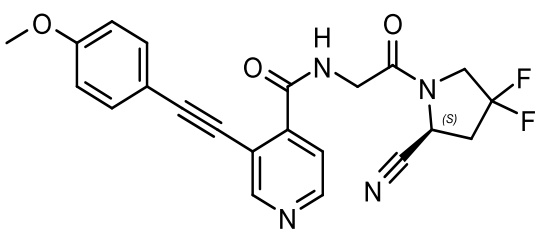
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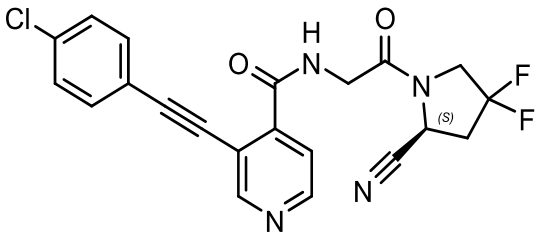
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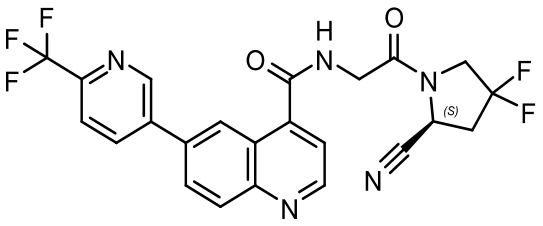
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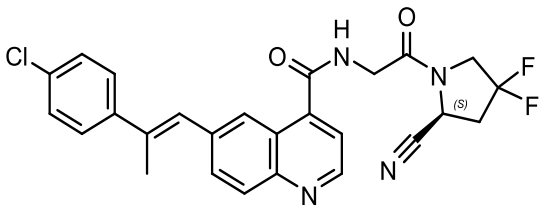
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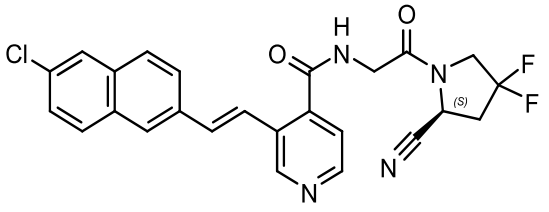
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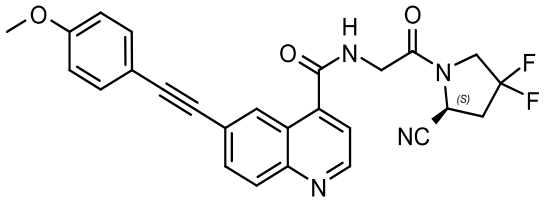
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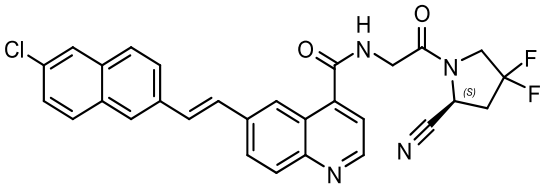
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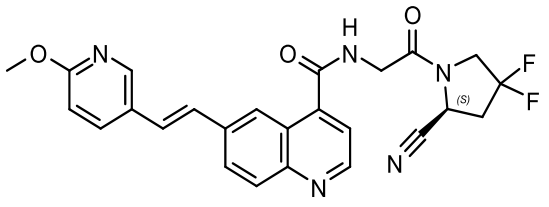

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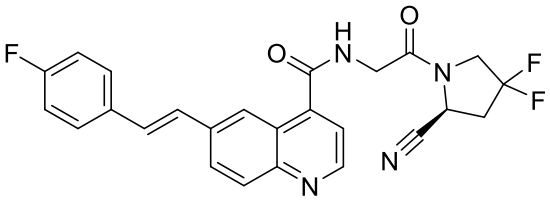
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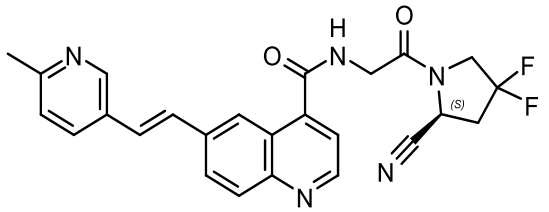

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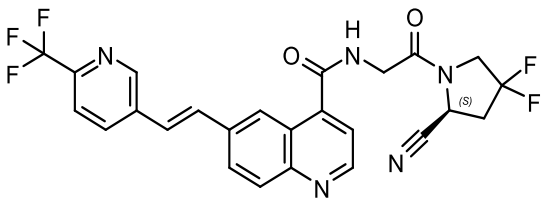
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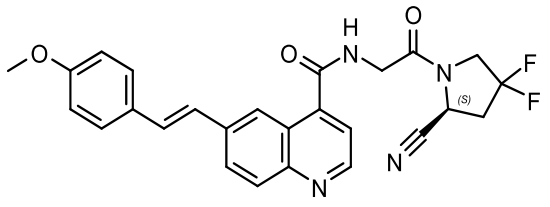

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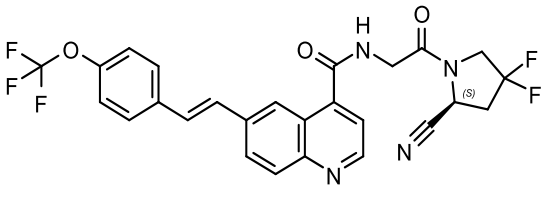

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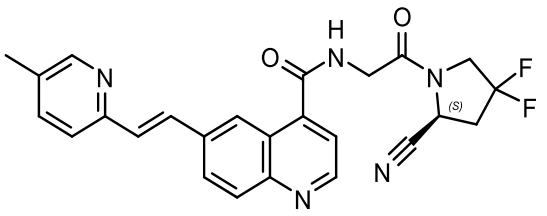
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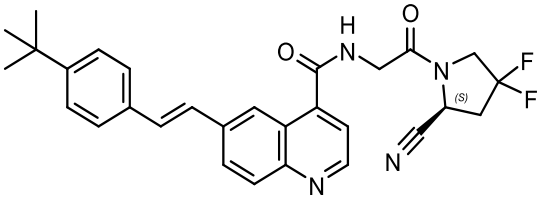
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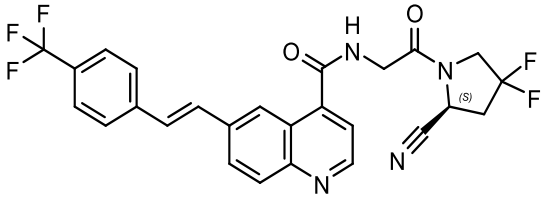
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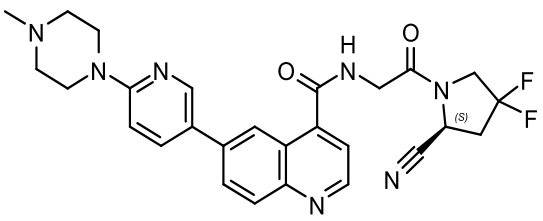
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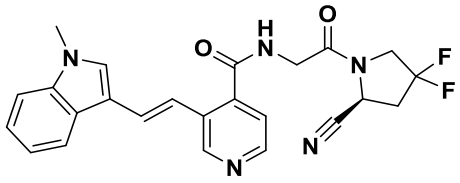

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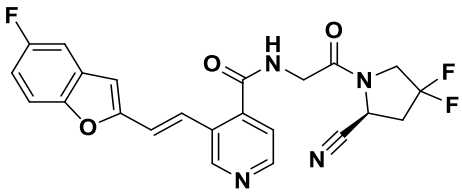
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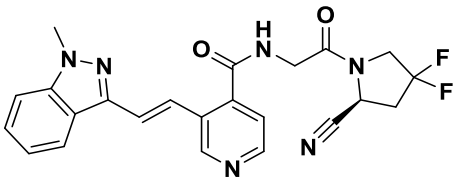

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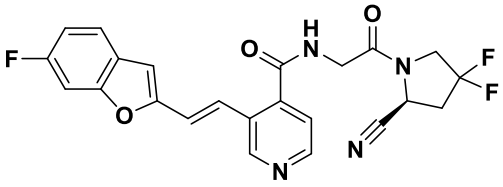
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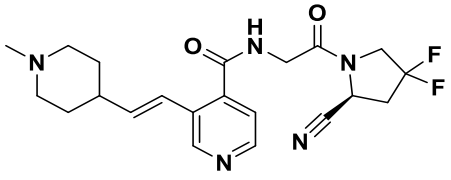

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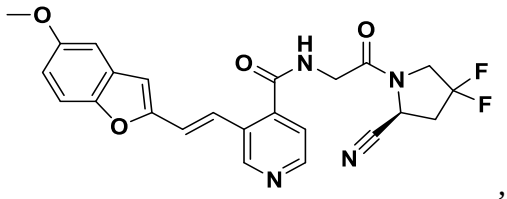
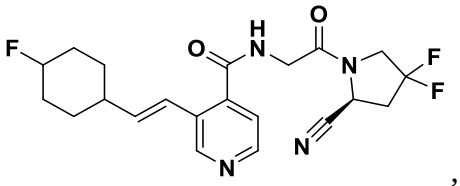
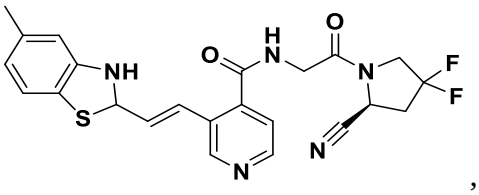
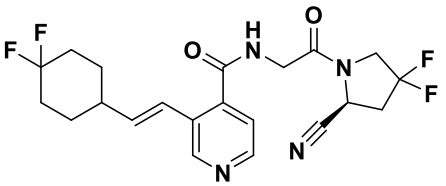
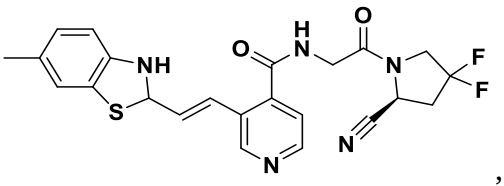
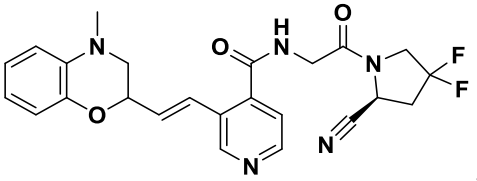
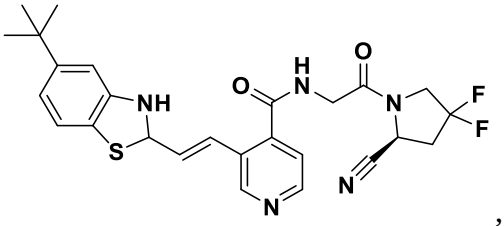

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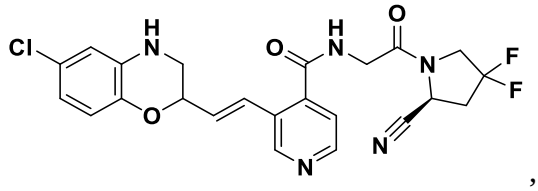
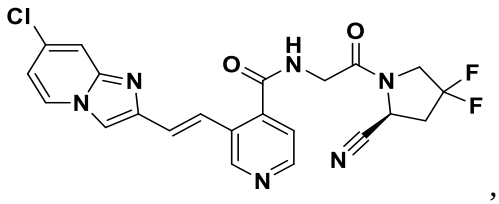
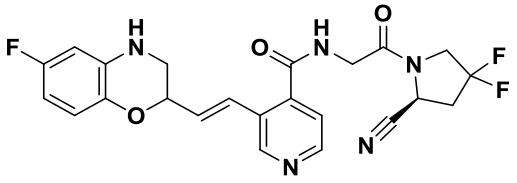
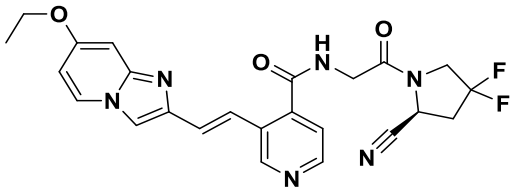
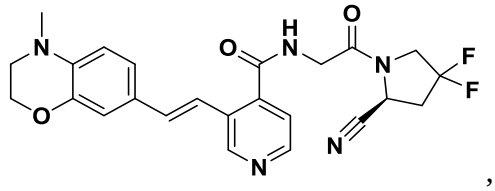
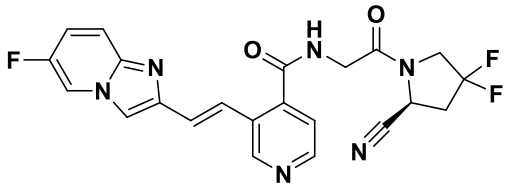
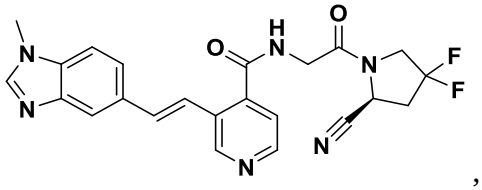

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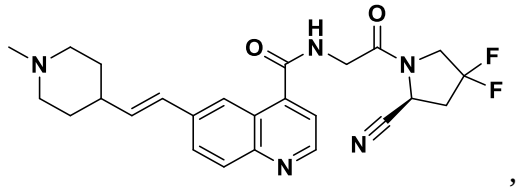
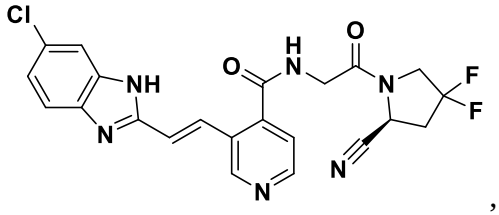
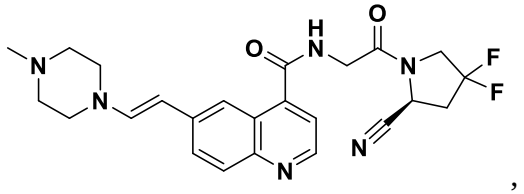
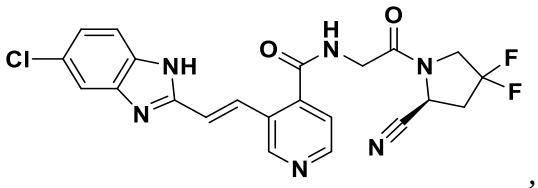
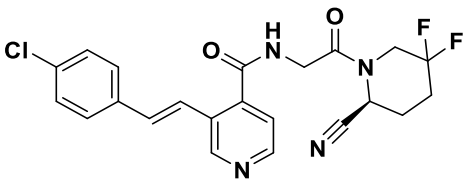
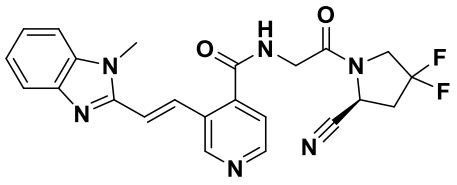
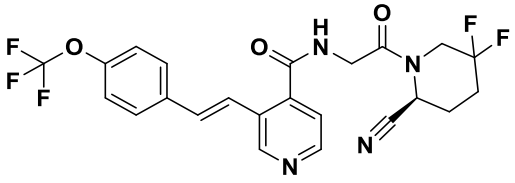

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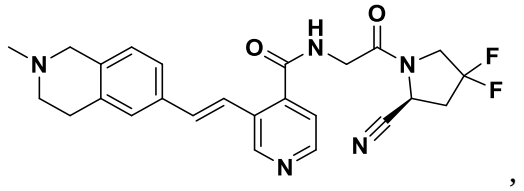
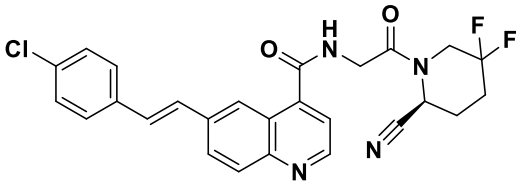
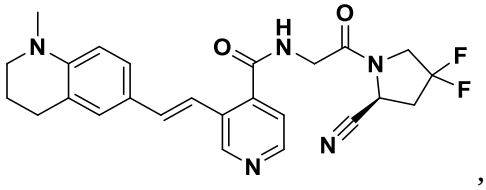
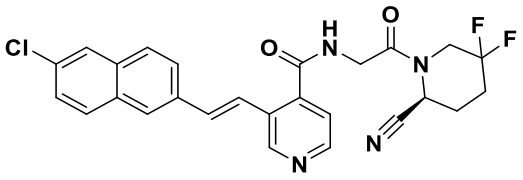
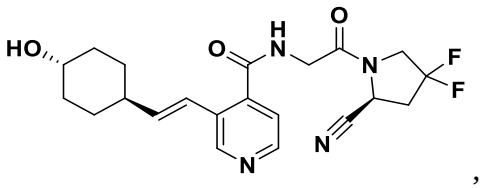
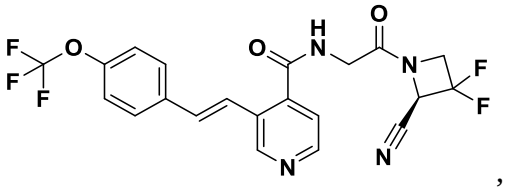
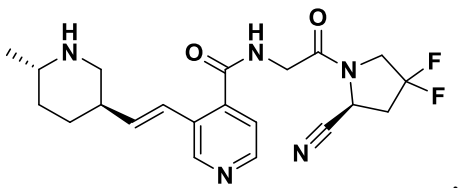

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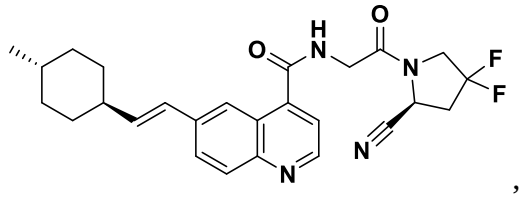

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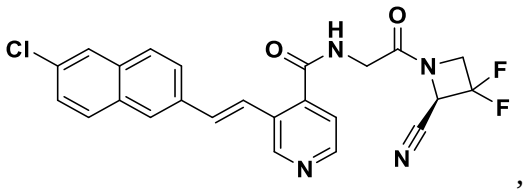
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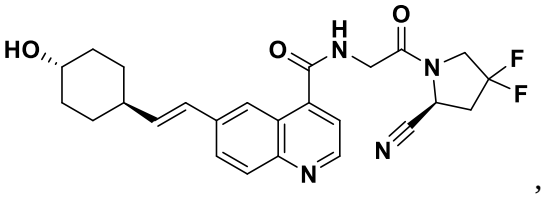
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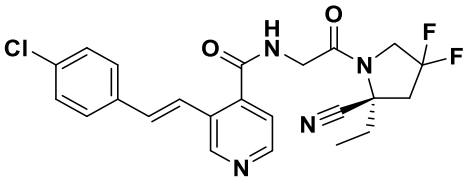
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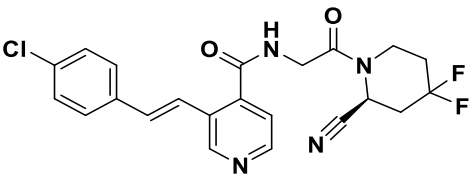
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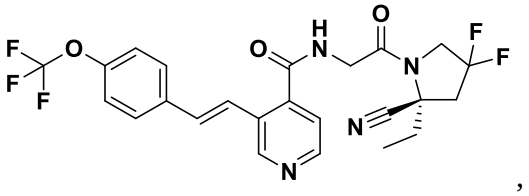

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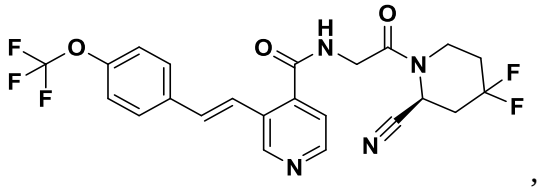

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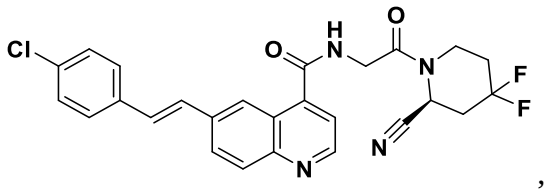

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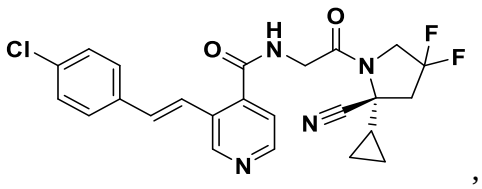

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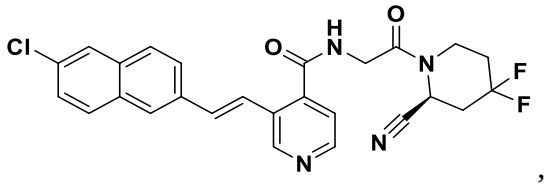

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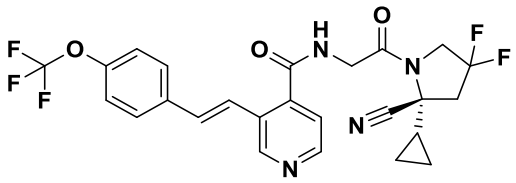

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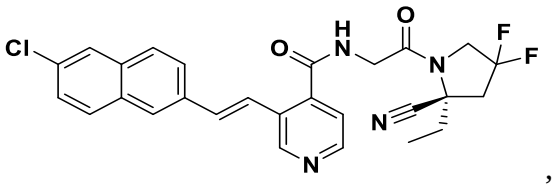

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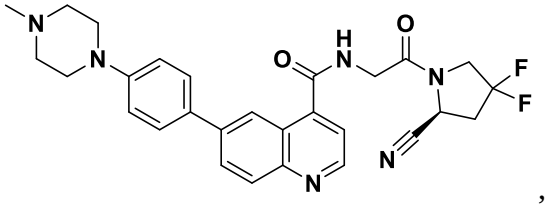

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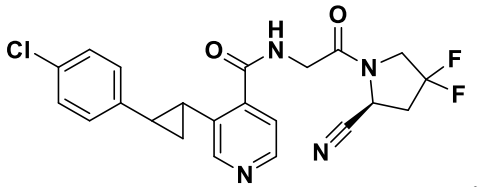

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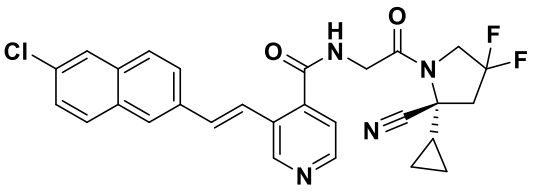

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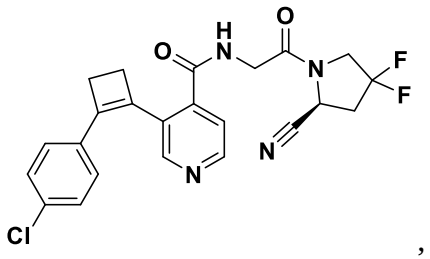

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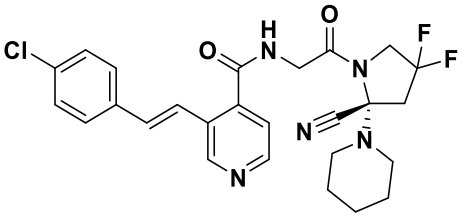

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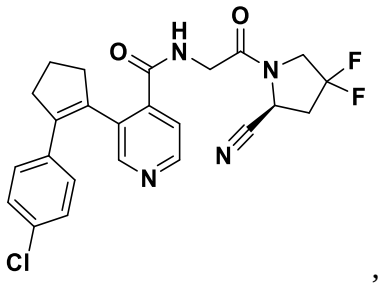

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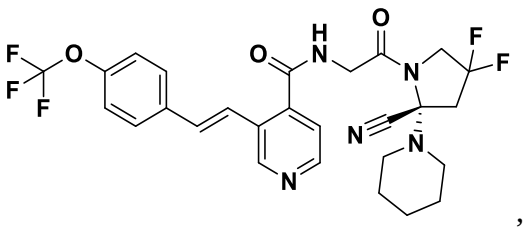
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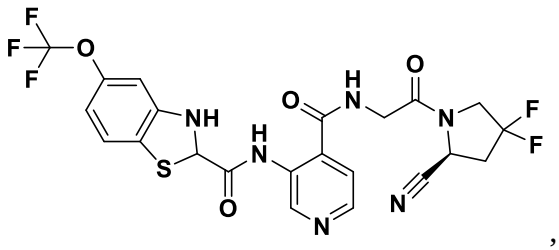

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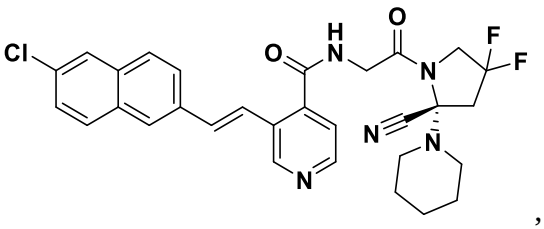

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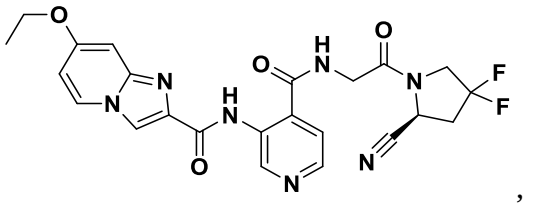

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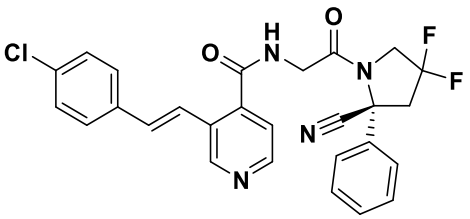

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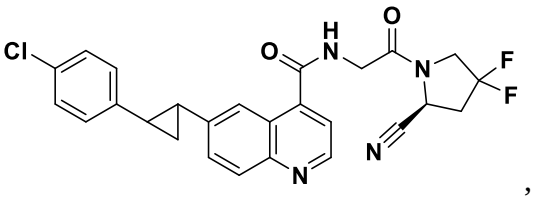

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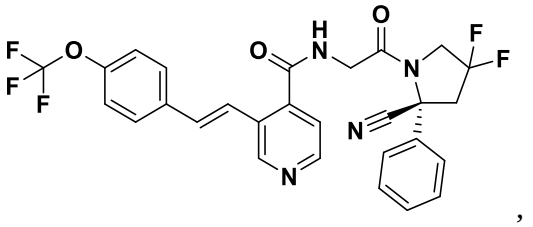

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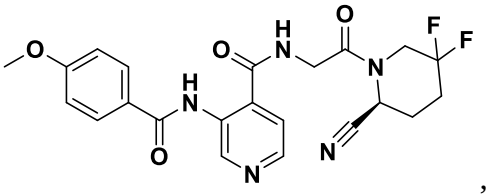

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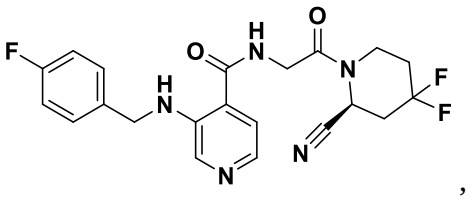

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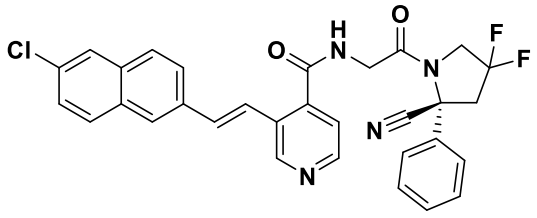
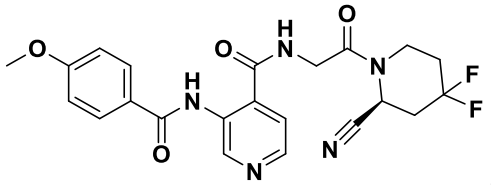
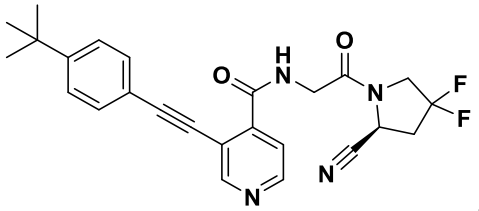
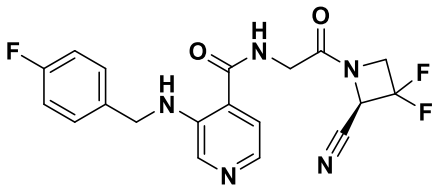
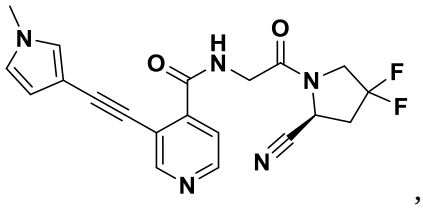
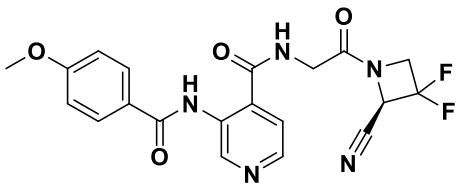
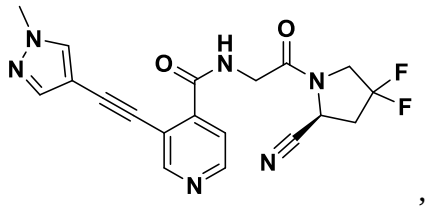

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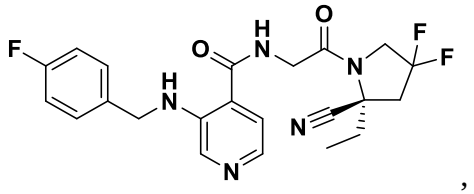
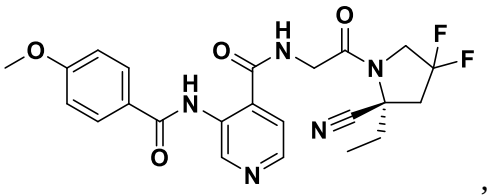
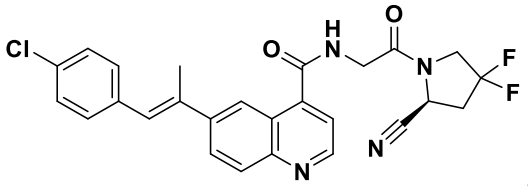
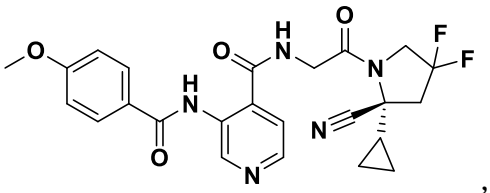
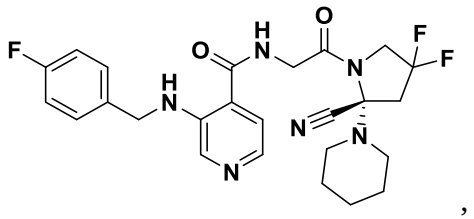
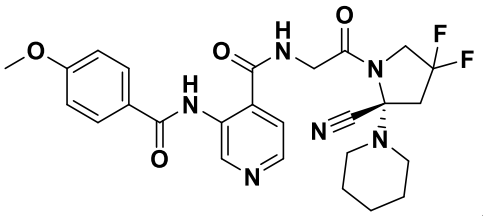
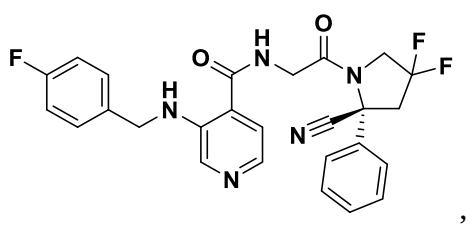

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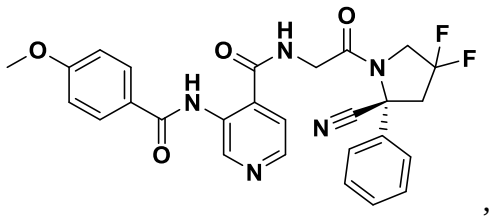
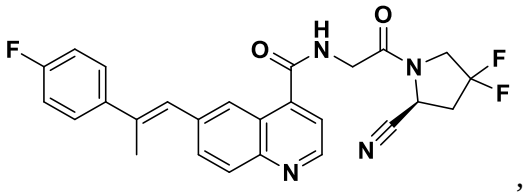
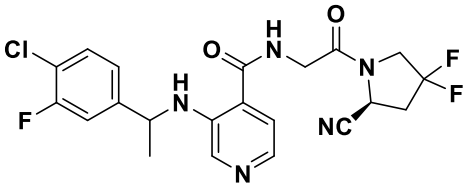
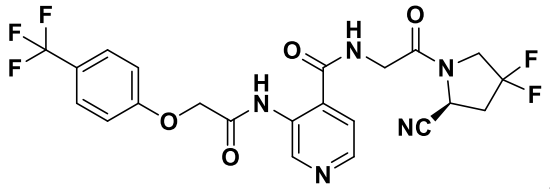
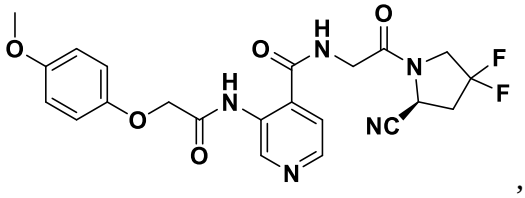
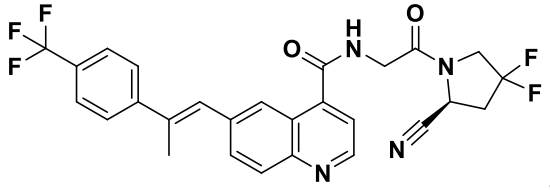
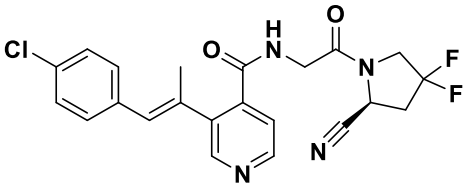

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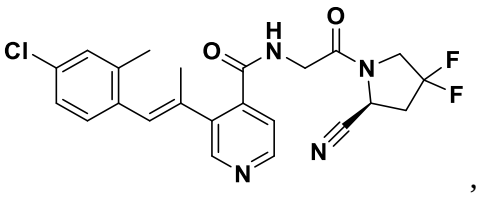

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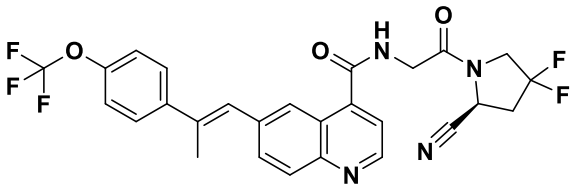

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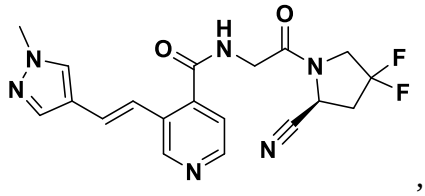
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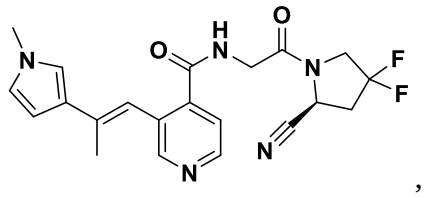
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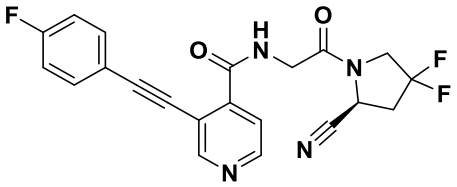
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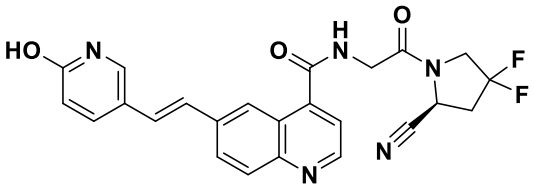

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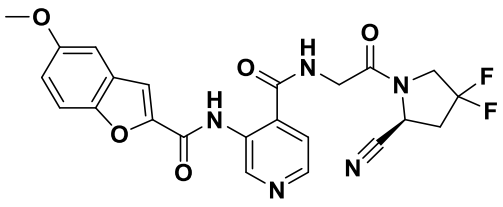

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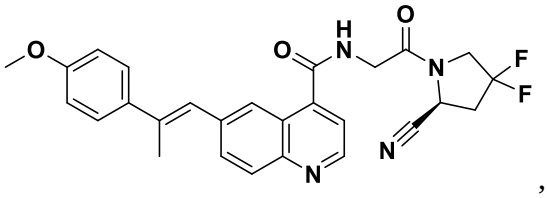
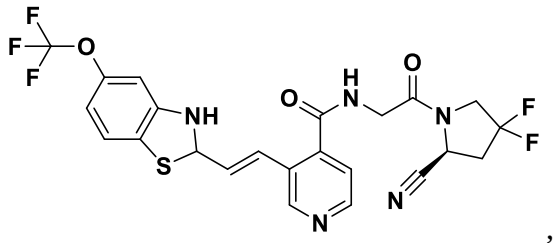
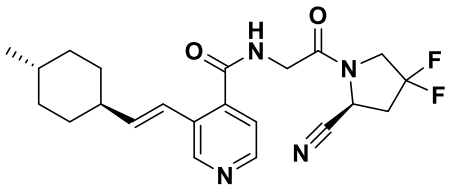
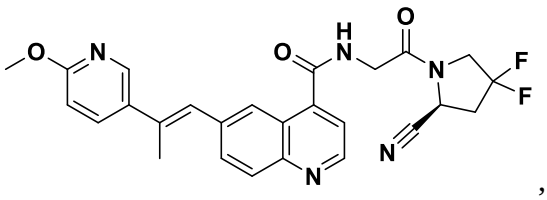
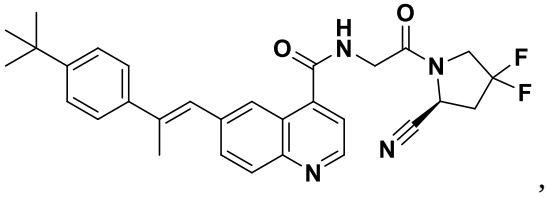
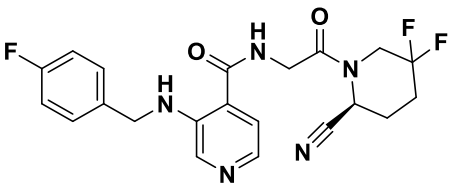
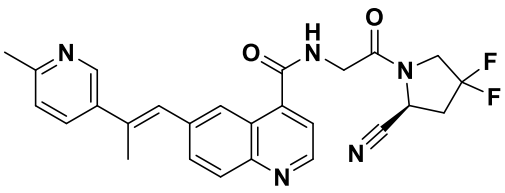

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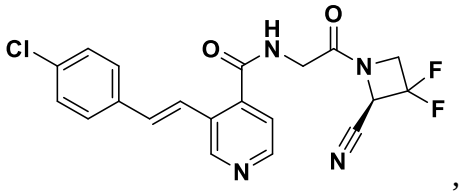
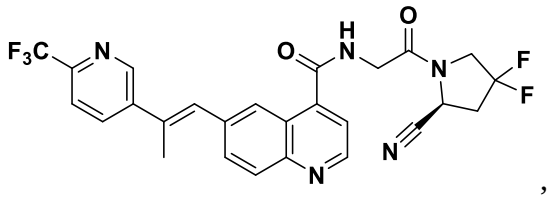
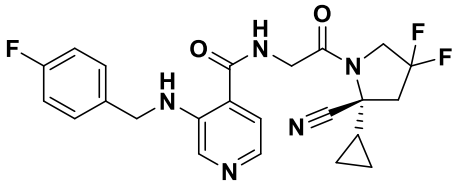
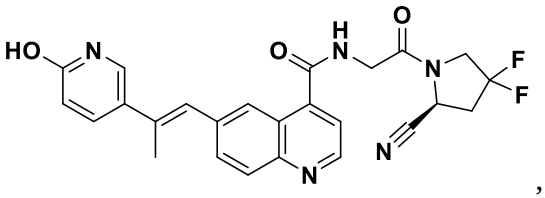
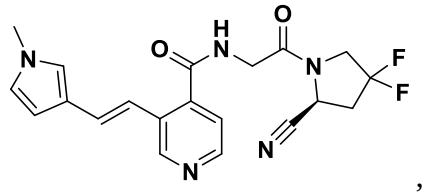
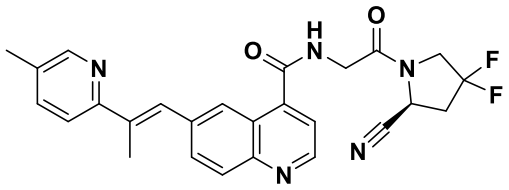
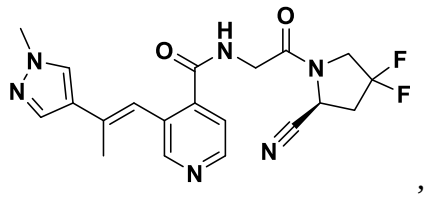

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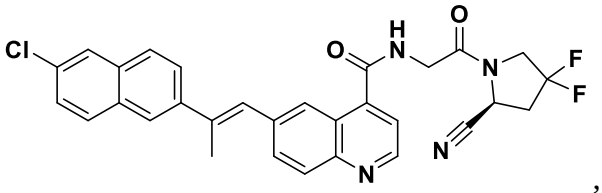
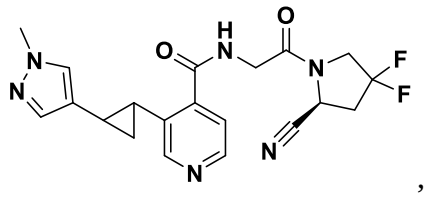
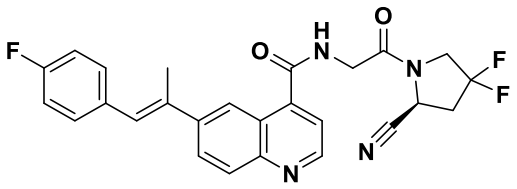
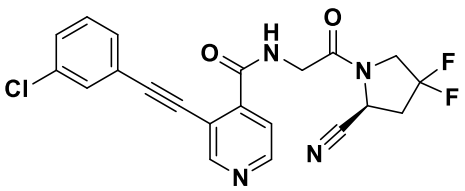
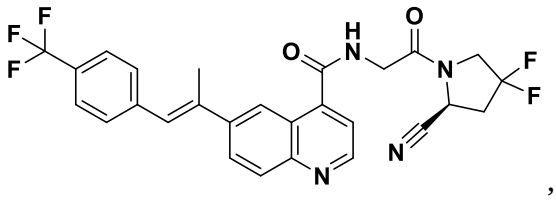
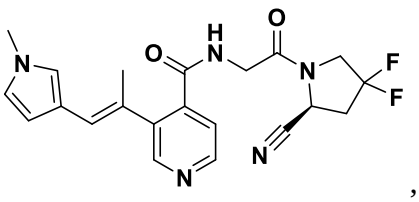
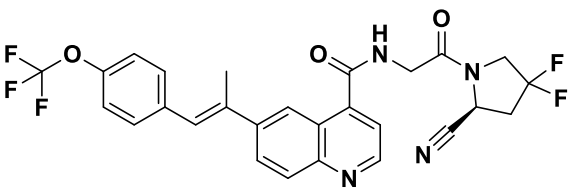

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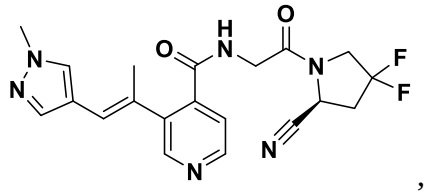
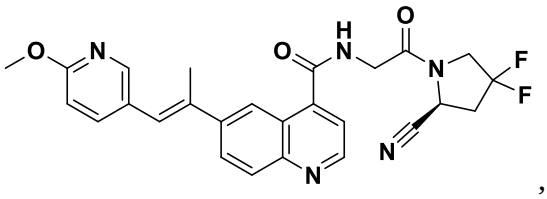
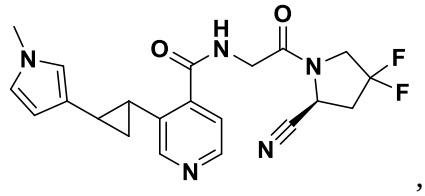
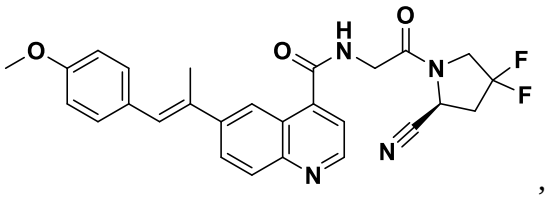
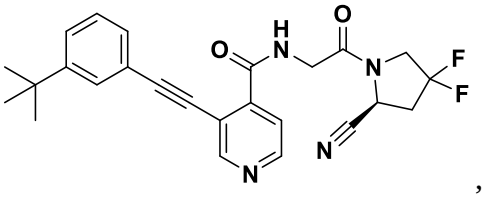
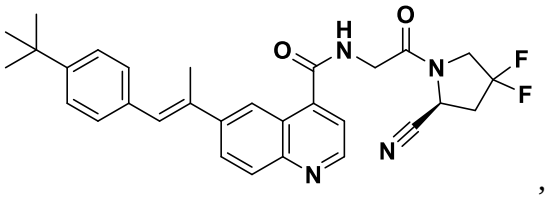
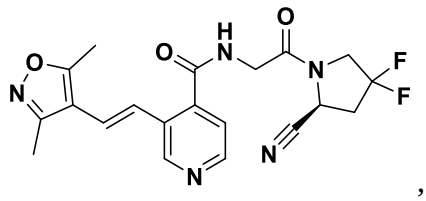

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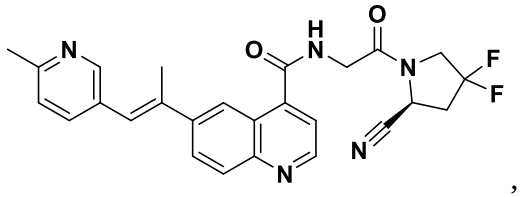
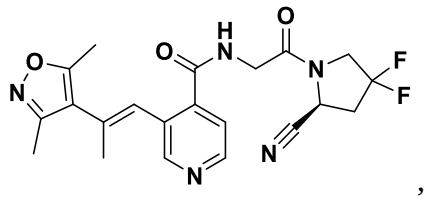
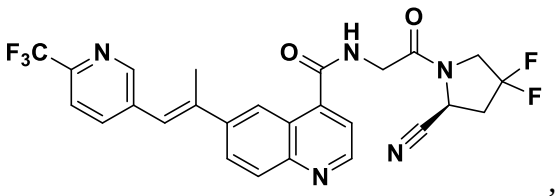
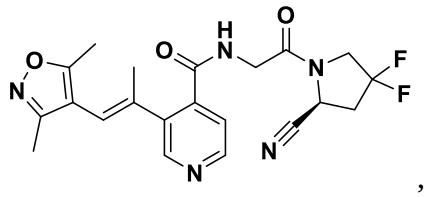
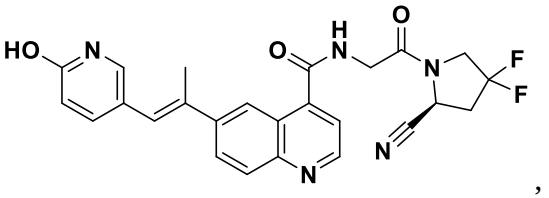
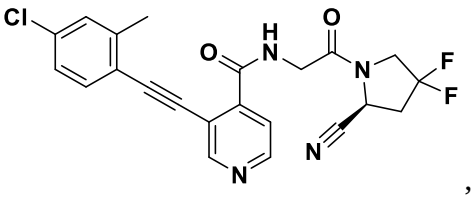
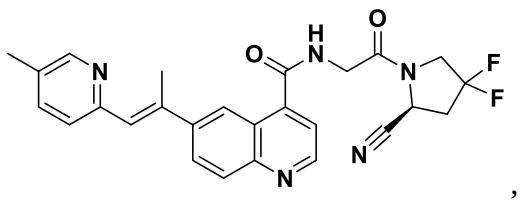

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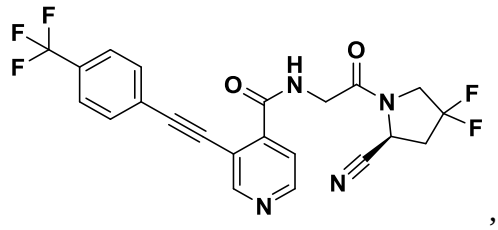
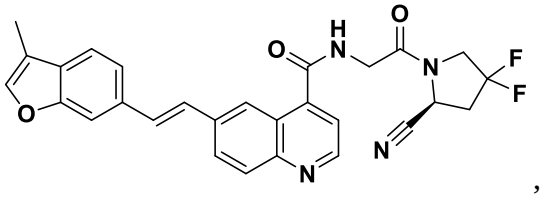
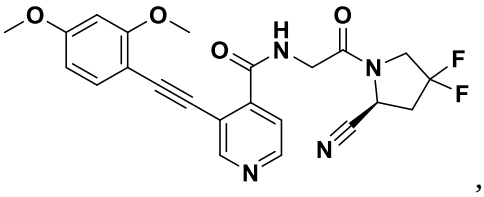
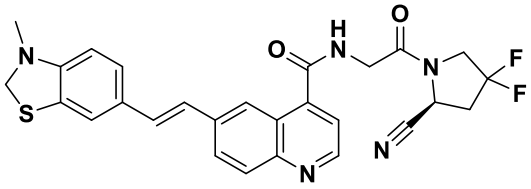
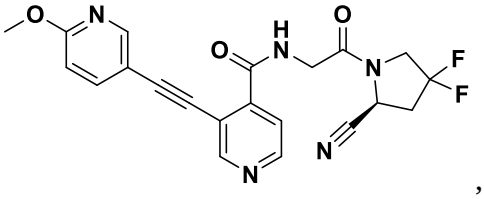
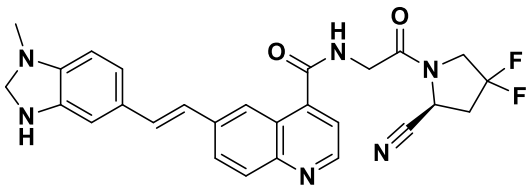
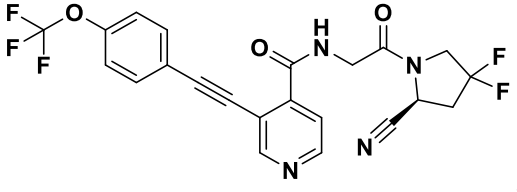
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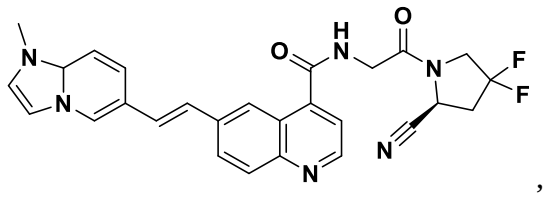
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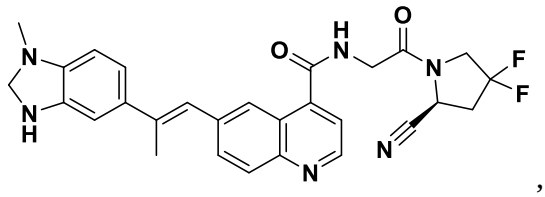
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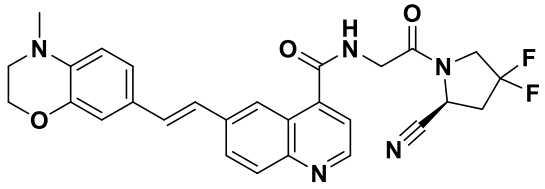
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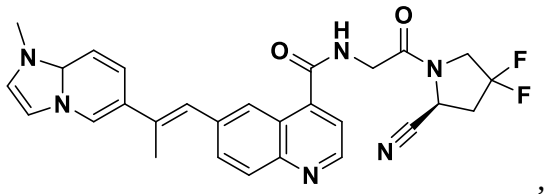
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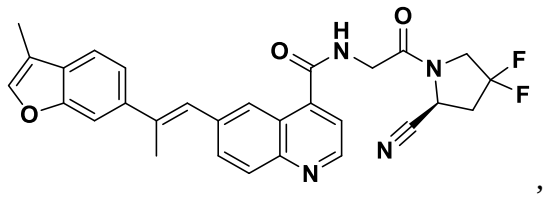
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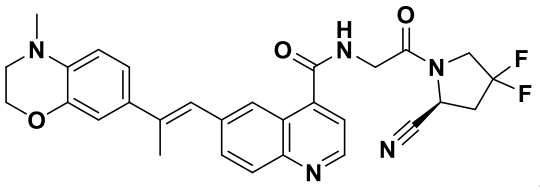

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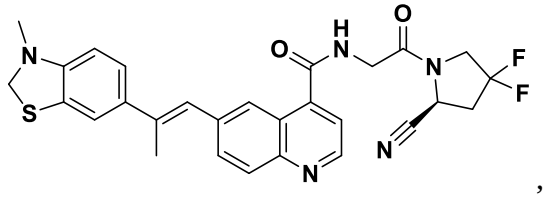

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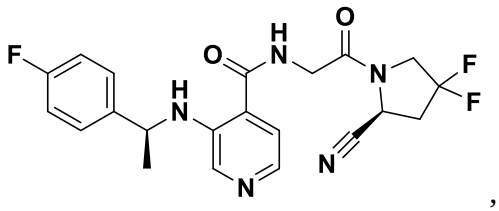
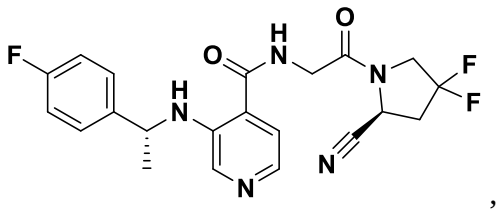
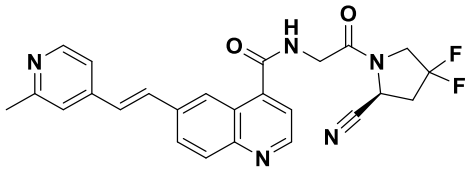
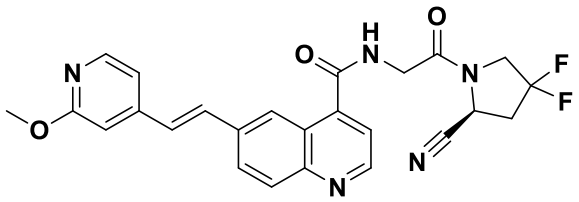
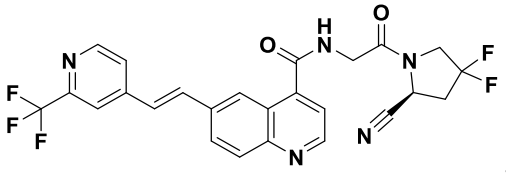
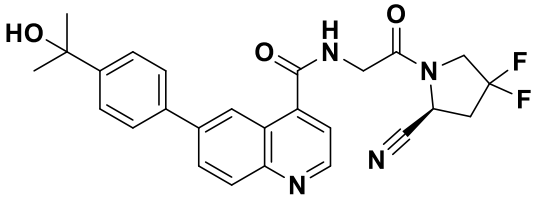
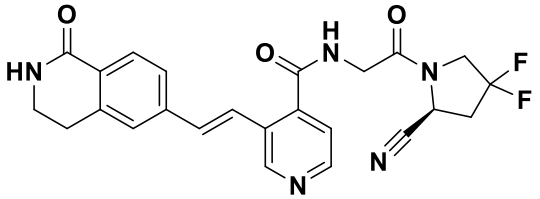

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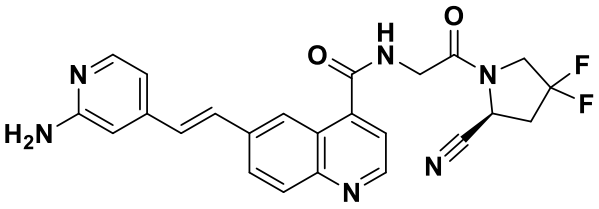

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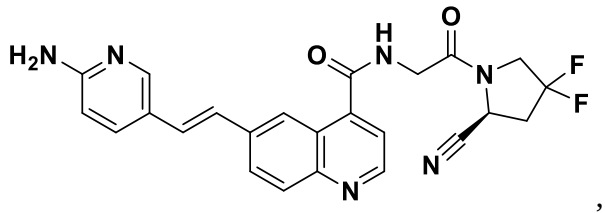

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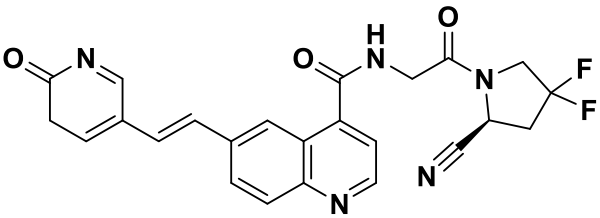

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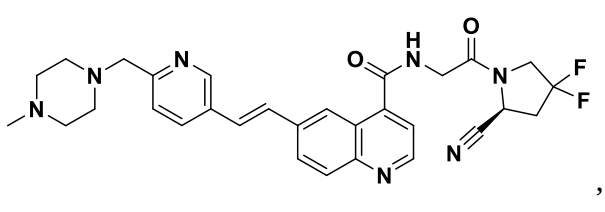

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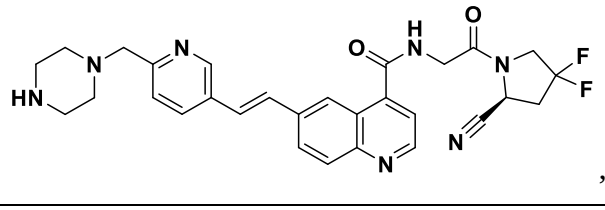
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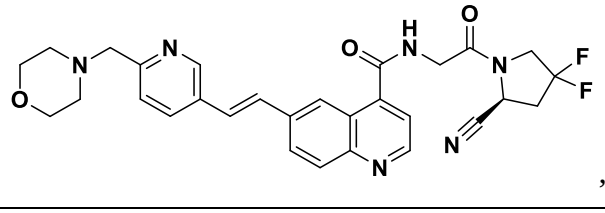

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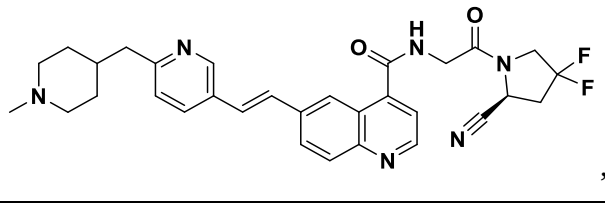

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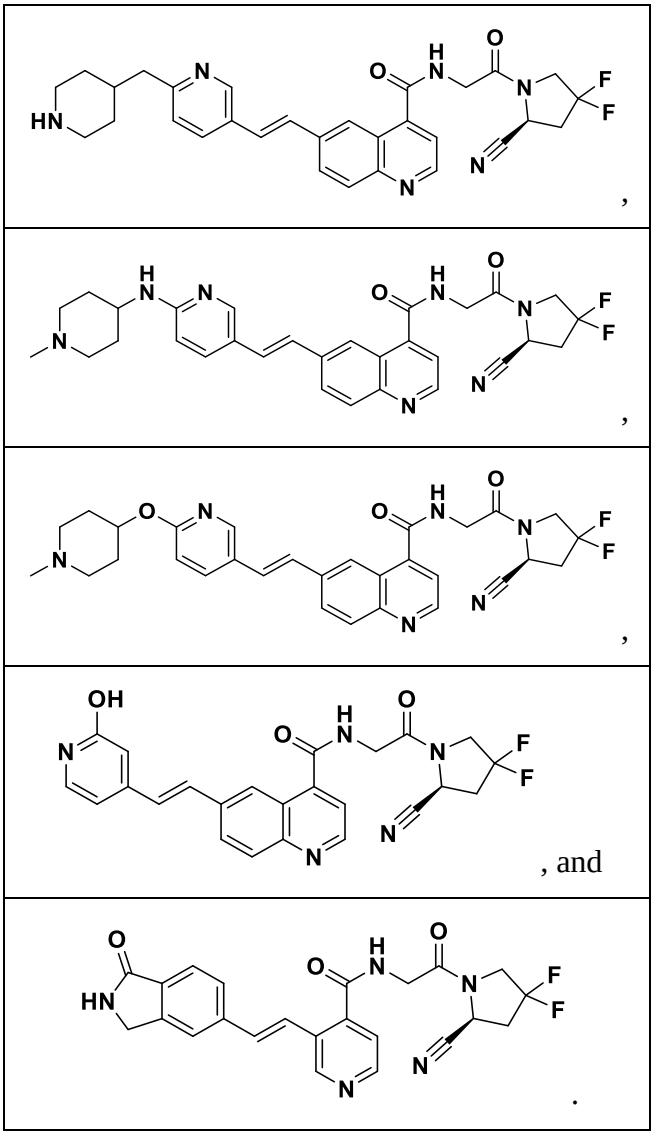

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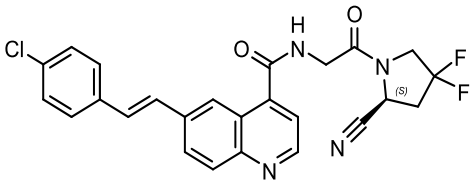

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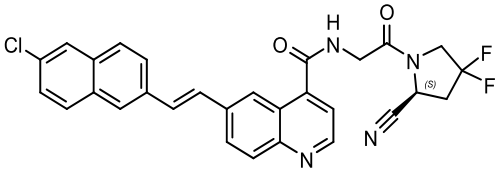

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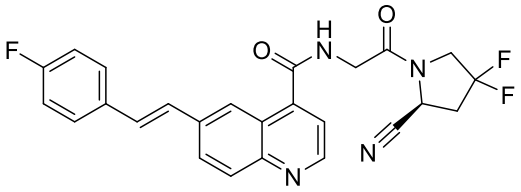

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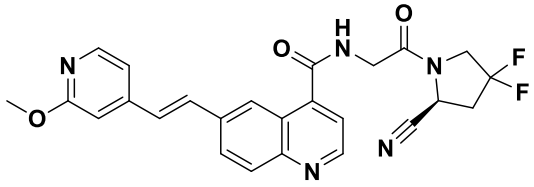

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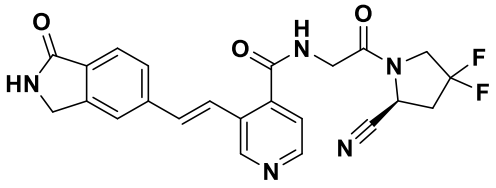


[0008f] In another aspect of the present disclosure, there is provided a compound, wherein the compound is  , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

[0008g] In a further aspect of the present disclosure, there is provided a compound, wherein the compound is  , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

[0008h] In another aspect of the present disclosure, there is provided a compound, wherein the compound is  , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

[0008i] In a further aspect of the present disclosure, there is provided a compound, wherein the compound is  , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

[0008j] In another aspect of the present disclosure, there is provided a compound, wherein the compound is  , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

[0008k] In a further aspect of the present disclosure, there is provided a pharmaceutical composition comprising a compound disclosed herein, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

[0008l] In another aspect of the present disclosure, there is provided a compound disclosed herein, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition disclosed herein, for use as a human or veterinary medicament.

[0008m] In a further aspect of the present disclosure, there is provided a compound disclosed herein, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition disclosed herein, for use in treating a disease or disorder mediated by fibroblast activation protein (FAP) in an individual, or a disease or disorder characterized by proliferation, tissue remodeling, chronic inflammation, obesity, glucose intolerance, or insulin insensitivity in an individual;

wherein either:

a) the disease or disorder is breast cancer, colorectal cancer, ovarian cancer, prostate cancer, pancreatic cancer, kidney cancer, lung cancer, melanoma, fibrosarcoma, bone sarcoma, connective tissue sarcoma, renal cell carcinoma, giant cell carcinoma, squamous cell carcinoma, leukemia, skin cancer, soft tissue cancer, liver cancer, gastrointestinal carcinoma, or adenocarcinoma, wherein the disease or disorder is optionally metastatic kidney cancer, chronic lymphocytary leukemia, pancreatic adenocarcinoma, or non-small cell lung cancer;

or

the disease or disorder is fibrotic disease, wound healing disorder, keloid formation, osteoarthritis, rheumatoid arthritis and related disorders involving cartilage degradation, atherosclerotic disease, Crohn's disease, or Type II diabetes.

[0008n] In another aspect of the present disclosure, there is provided a use of a compound disclosed herein, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition disclosed herein, in the manufacture of a medicament for treating a disease or disorder mediated by fibroblast activation protein (FAP) in an individual, or a disease or disorder characterized by proliferation, tissue remodeling, chronic inflammation, obesity, glucose intolerance, or insulin insensitivity in an individual;

wherein either:

a) the disease or disorder is breast cancer, colorectal cancer, ovarian cancer, prostate cancer, pancreatic cancer, kidney cancer, lung cancer, melanoma, fibrosarcoma, bone sarcoma, connective tissue sarcoma, renal cell carcinoma, giant cell carcinoma, squamous cell carcinoma, leukemia, skin cancer, soft tissue cancer, liver cancer, gastrointestinal carcinoma, or adenocarcinoma, wherein the disease or disorder is optionally metastatic kidney cancer, chronic lymphocytary leukemia, pancreatic adenocarcinoma, or non-small cell lung cancer;

or

the disease or disorder is fibrotic disease, wound healing disorder, keloid formation, osteoarthritis, rheumatoid arthritis and related disorders involving cartilage degradation, atherosclerotic disease, Crohn's disease, or Type II diabetes.

[0008o] In a further aspect of the present disclosure, there is provided a method of either:

(a) inhibiting FAP in a cell *in vitro*, the method comprising administering or delivering to the cell a compound disclosed herein, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition disclosed herein, wherein optionally the cell is a fibroblast, wherein optionally the cell is a cancer associated fibroblast (CAF) or a reactive stromal fibroblast; or

(b) inhibiting FAP in a tumor *in vitro*, the method comprising administering or delivering to the tumor a compound disclosed herein, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition disclosed herein; or

(c) inhibiting FAP in plasma *in vitro*, the method comprising administering or delivering to the plasma a compound disclosed herein, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition disclosed herein;

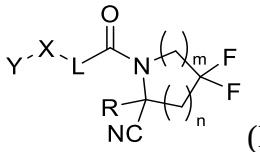
wherein inhibiting FAP optionally comprises inhibiting either an endopeptidase activity or an exopeptidase activity of FAP.

[0008p] In one example, provided is a compound of formula (I):

CLAIMS

What is claimed is:

1. A compound of formula (I):


(I)

a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein:

R is hydrogen, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R are independently optionally substituted by R^d;

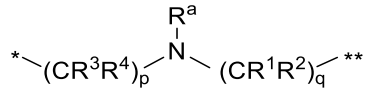
m is 0, 1, 2, 3, or 4;

n is 0, 1, 2, 3, or 4,

wherein m + n is 1, 2, 3, or 4;

X is -C(=O)-, -O-, -CH(OH)-, -S-, -S(=O)-, or -S(=O)$_2$-;

L is


, wherein

* represents the point of attachment to the Y-X- moiety,

** represents the point of attachment to the remainder of the molecule,

R^a is hydrogen, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_1-C_6 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^a are independently optionally substituted by R^e,

R^1 and R^2, independently of each other and independently at each occurrence, are hydrogen, C_1-C_2 alkyl, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^1 and R^2 are independently optionally substituted by R^f,

or R^1 and R^2 are taken together with the carbon atom or atoms to which they are attached to form a 3- to 8-membered cycloalkylene optionally substituted by R^f,

q is 1, 2, or 3,

R^3 and R^4, independently of each other and independently at each occurrence, are hydrogen, C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_3-C_8 cycloalkyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^3 and R^4 are independently optionally substituted by R^g,

or R^3 and R^4 are taken together with the carbon atom to which they are attached to form a 3- to 8-membered cycloalkylene optionally substituted by R^g, and

p is 0, 1, or 2;

Y is 6- to 10-membered heteroaryl substituted by R^{12}, wherein

R^{12} is C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_4-C_8 cycloalkenyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, or C_6-C_{14} aryl, wherein the C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_4-C_8 cycloalkenyl, 3- to 12-membered heterocyclyl, 5- to 10-membered heteroaryl, and C_6-C_{14} aryl of R^{11}, R^{12}, and R^{13} are substituted by R^L;

R^{14}, R^{15} and R^{16}, independently of each other and independently at each occurrence, are hydrogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, or 3- to 12-membered heterocyclyl, wherein the C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, and 3- to 12-membered heterocyclyl of R^{14}, R^{15} and R^{16} are independently substituted by C_1-C_6 alkoxy, C_1-C_6 perhaloalkoxy, C_6-C_{14} aryl or C_6-C_{14} aryloxy wherein the C_6-C_{14} aryl or C_6-C_{14} aryloxy is further optionally substituted by halogen, -OH, cyano, C_1-C_6 alkyl, C_1-C_6 perhaloalkyl, C_1-C_6 alkoxy, or C_1-C_6 perhaloalkoxy; and, wherein at least one of R^{14}, R^{15} and R^{16}, when present, is not hydrogen;

R^L is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, or 3- to 12-membered heterocyclyl, wherein the C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, or 3- to 12-membered heterocyclyl of R^L is substituted by halogen, -OH, cyano, C_1-C_6 alkyl, C_1-C_6 perhaloalkyl, C_1-C_6 alkoxy, C_1-C_6 perhaloalkoxy or C_6-C_{14} aryl, wherein

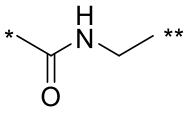
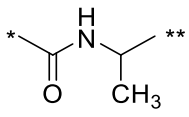
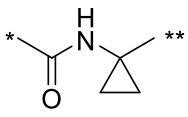
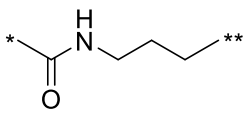
the C_6-C_{14} aryl is further optionally substituted by halogen, -OH, cyano, C_1-C_6 alkyl, C_1-C_6 perhaloalkyl, C_1-C_6 alkoxy, or C_1-C_6 perhaloalkoxy; and

R^d, R^e, R^f, and R^g, independently of each other and independently at each occurrence, are halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{14} aryl, 5- to 10-membered heteroaryl, 3- to 12-membered heterocyclyl, -OR^{14}, -$NR^{15}R^{16}$, cyano, or nitro.

2. The compound of claim 1, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein X is -C(=O)-, -O- or -CH(OH)-.

3. The compound of claim 1 or 2, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein L is -NH-CR^1R^2-, wherein L is optionally -NH-CH_2- or -NH-$CH(CH_3)$-.

4. The compound of claim 3, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein L is -NH-CR^1R^2-, wherein R^1 and R^2 are taken together with the carbon atom to which they are attached to form a 3- to 8-membered cycloalkylene, wherein the 3- to 8-membered cycloalkylene is optionally cyclopropylene.

5. The compound of claim 1, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein the -X-L- moiety is selected from the group consisting of , , , and ; wherein * represents the point of attachment to the Y moiety, and ** represents the point of attachment to the remainder of the molecule.

6. The compound of any one of claims 1 to 5, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein Y is 6- to 10-membered heteroaryl substituted by R^{12}, wherein optionally Y is pyridin-4-yl substituted by R^{12} in the 3-position;

wherein R^{12} is optionally one of:

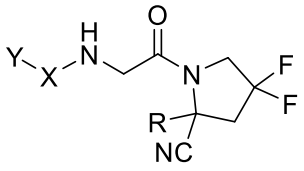
a) C_2-C_6 alkenyl substituted by R^L;

or

b) 3- to 12-membered heterocyclyl substituted by R^L;

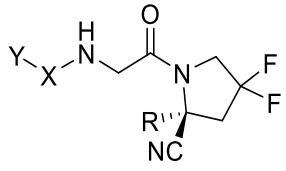
wherein, R^L is optionally C_6-C_{14} aryl substituted by halogen, -OH, cyano, C_1-C_6 alkyl, C_1-C_6 perhaloalkyl, C_1-C_6 alkoxy, C_1-C_6 perhaloalkoxy or C_6-C_{14} aryl.

7. The compound of claim 1, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein the compound is of formula (III):



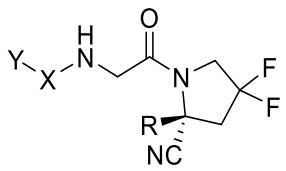
(III).

8. The compound of claim 1, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein the compound is of formula (IIIa):



(IIIa).

9. The compound of claim 1, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein the compound is of formula (IIIb):



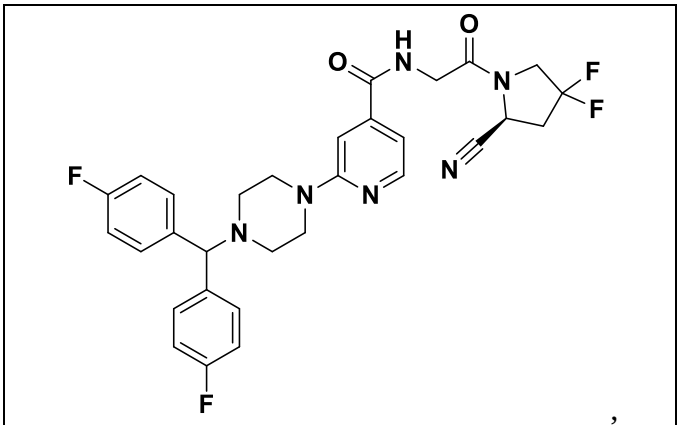
(IIIb).

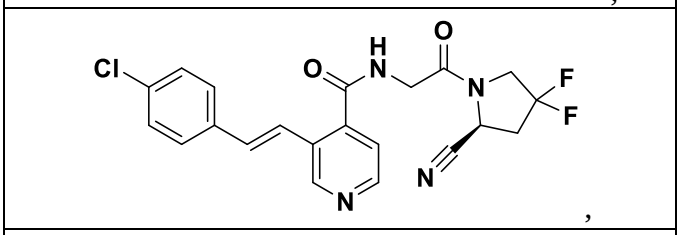
10. The compound of claim 1, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein Y is pyridinyl substituted by R^{12}.

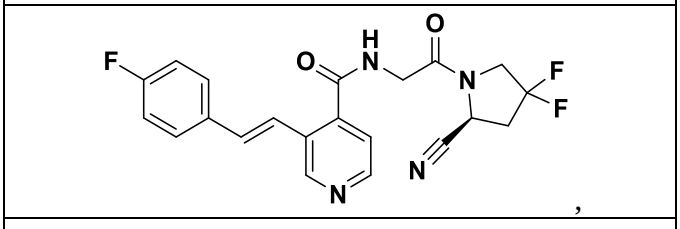
11. The compound of claim 1, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein Y is pyridin-4-yl substituted by R^{12} in the 3-position.

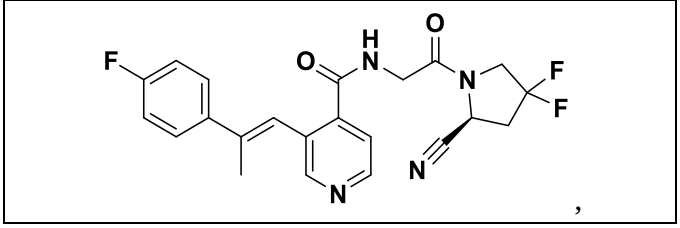
12. The compound of claim 1, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein R^L is C_6-C_{14} aryl substituted by halogen or C_1-C_6 alkoxy.

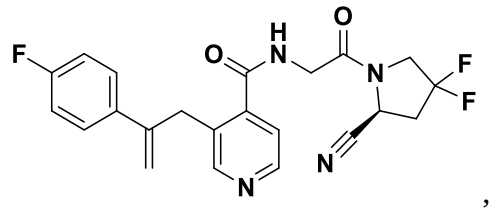
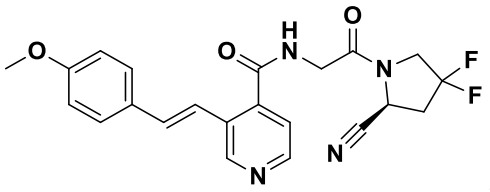
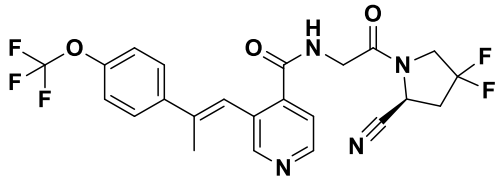
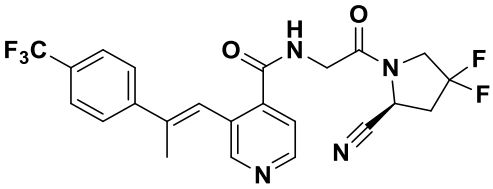
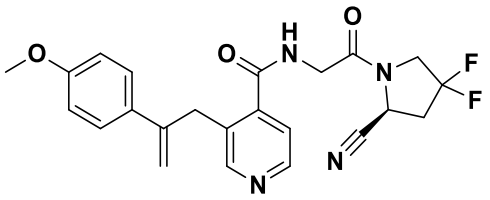
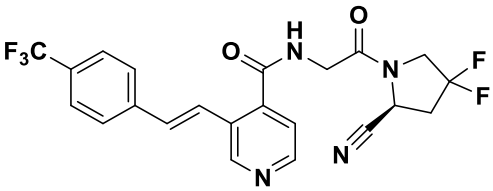
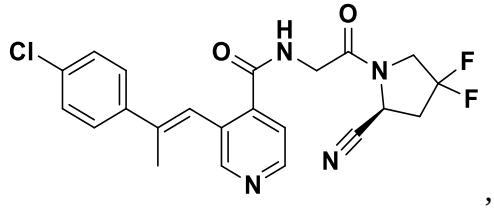
13. The compound of claim 1, a pharmaceutically acceptable salt, stereoisomer or tautomer thereof, wherein the compound is selected from the group consisting of:

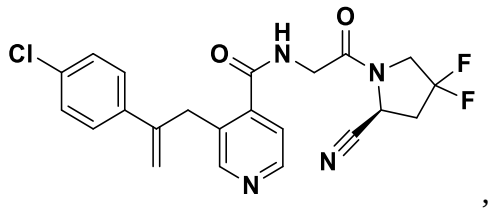

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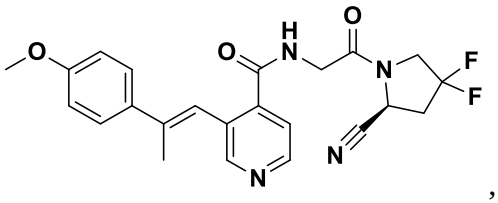

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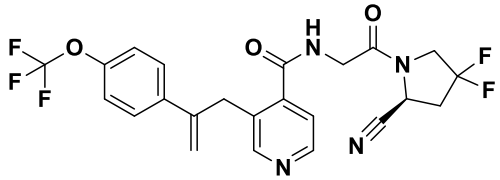

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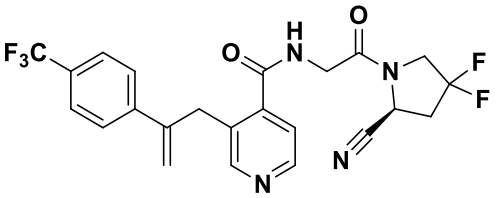

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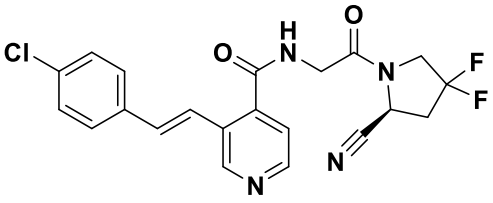
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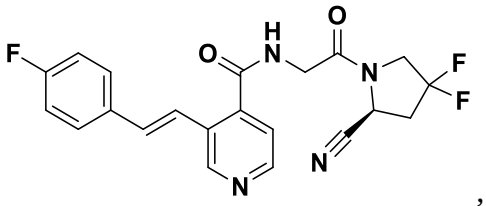

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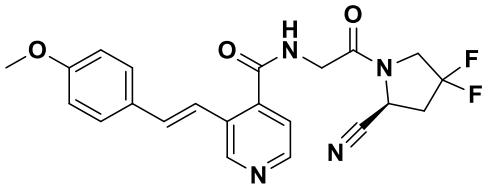

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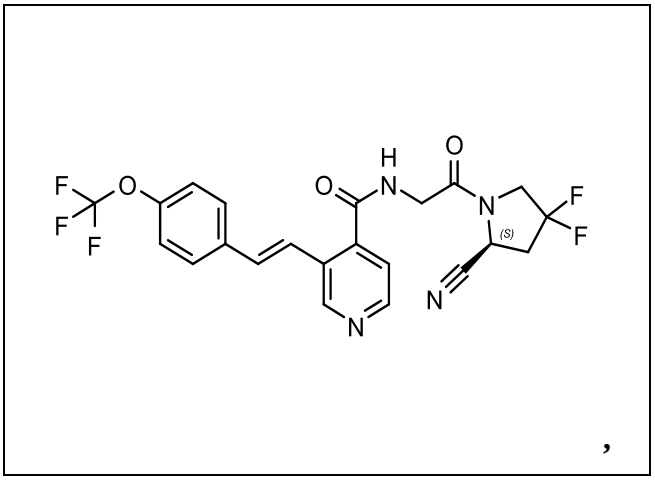

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14. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

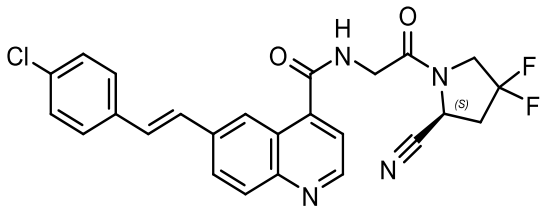
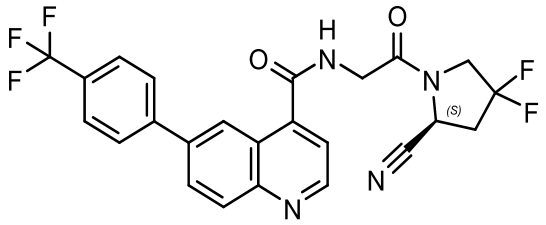
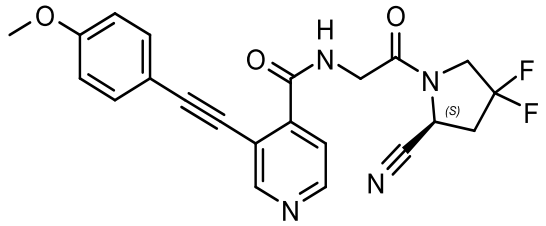
15. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

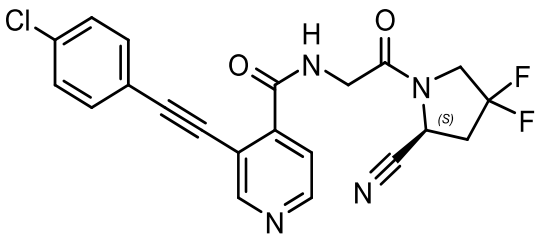
16. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

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

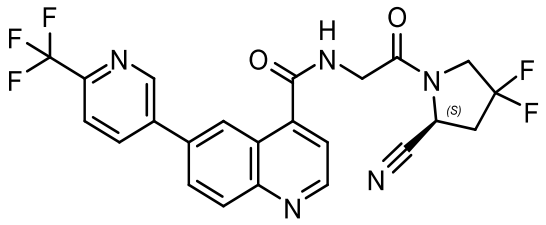


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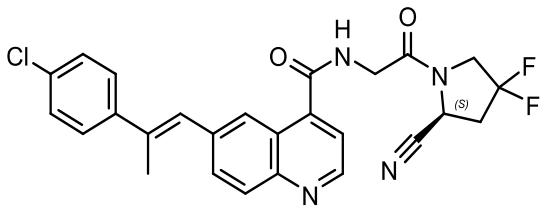
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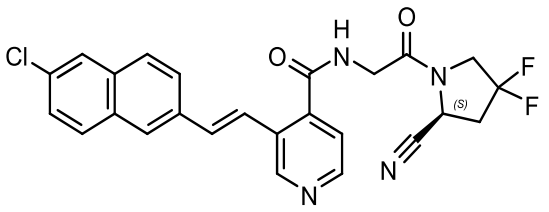
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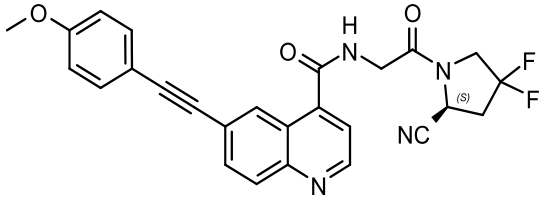
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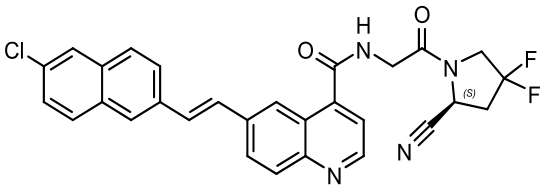
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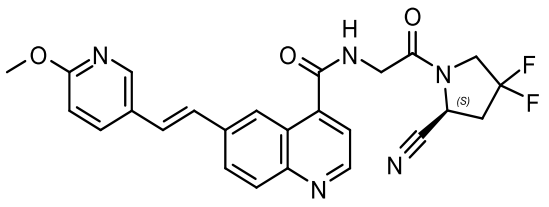
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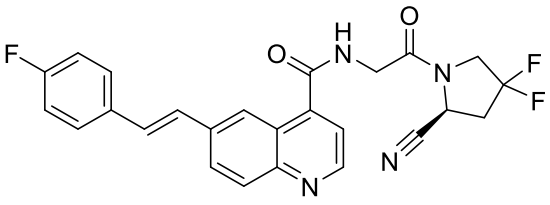

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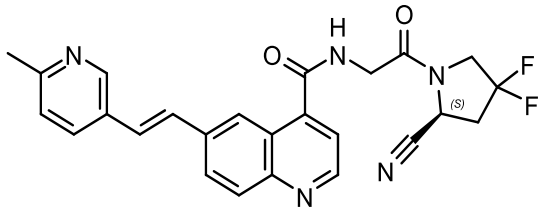
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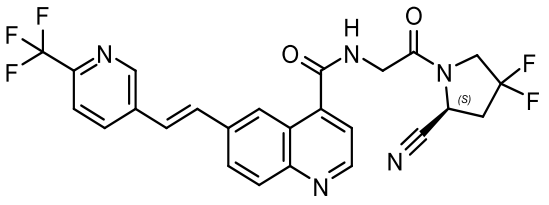

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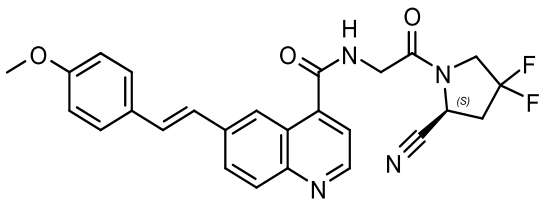
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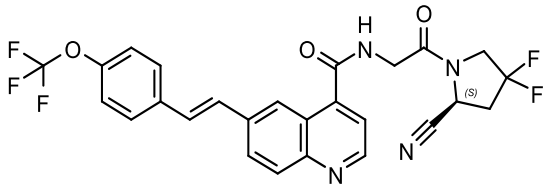

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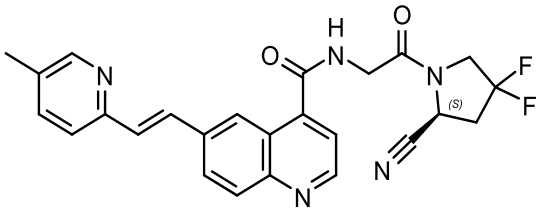

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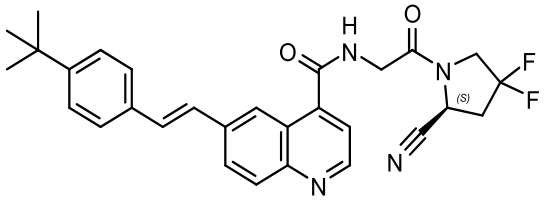
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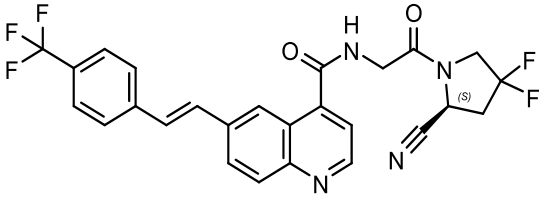

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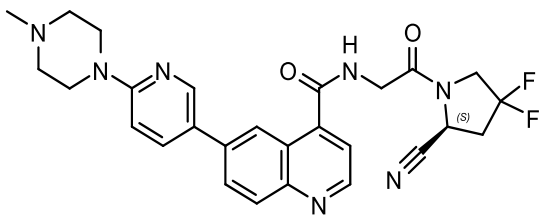
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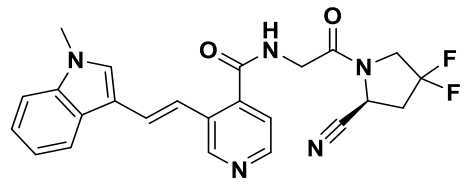

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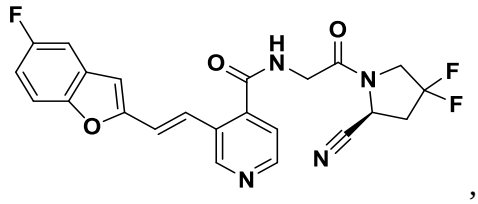
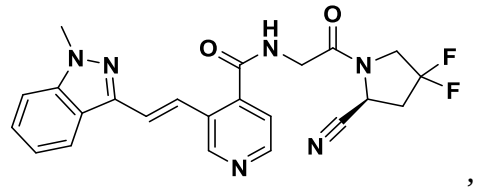
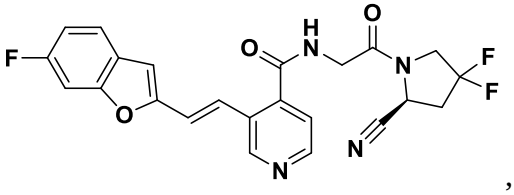
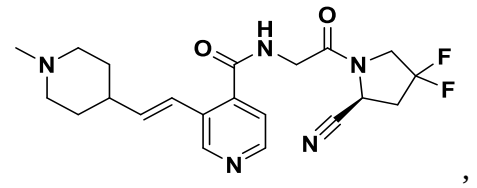
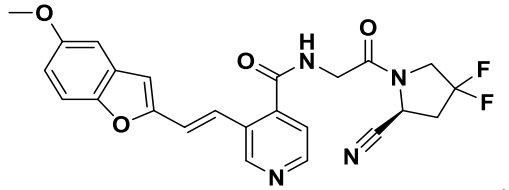
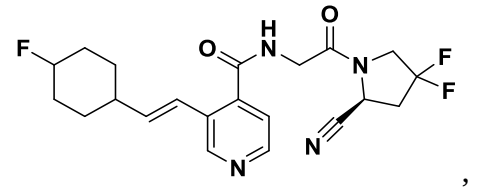
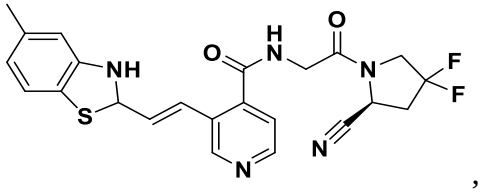
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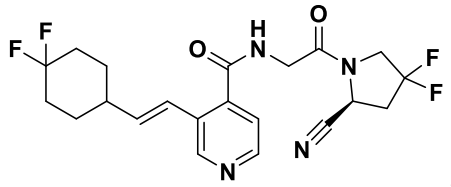
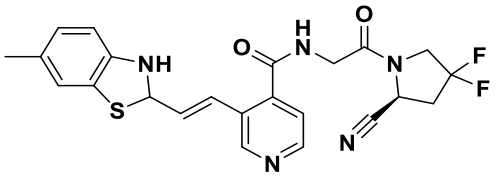
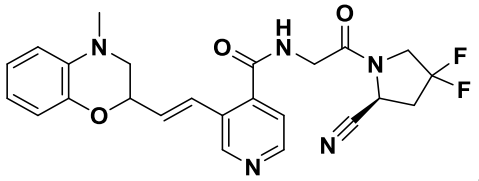
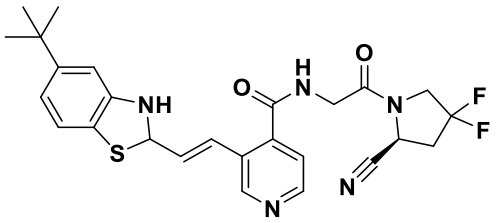
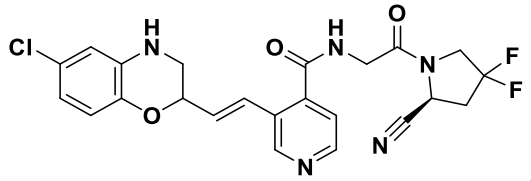
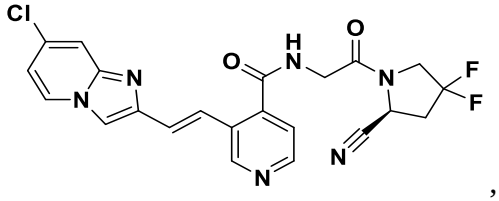
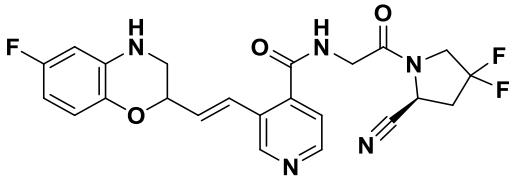

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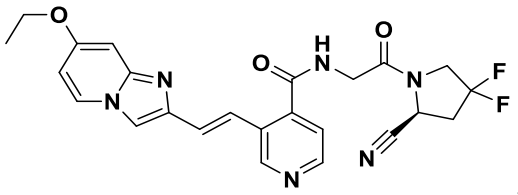
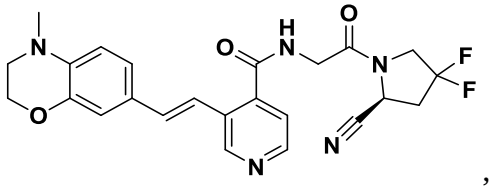
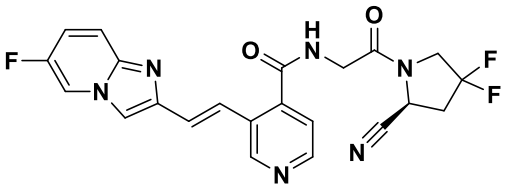
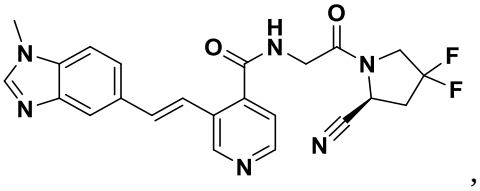
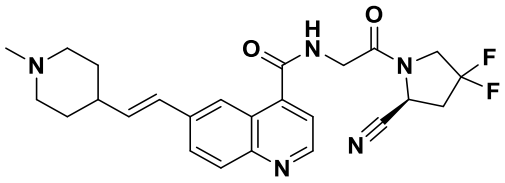
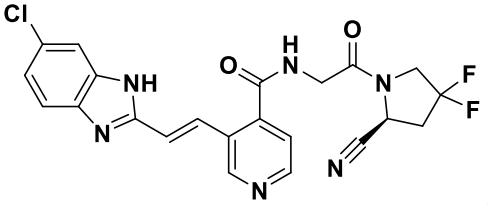
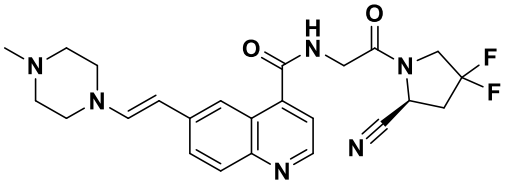

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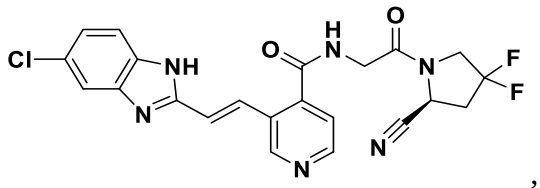
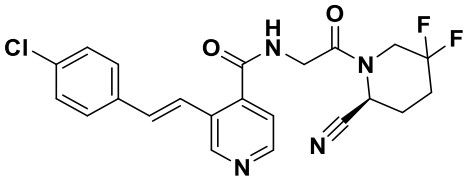
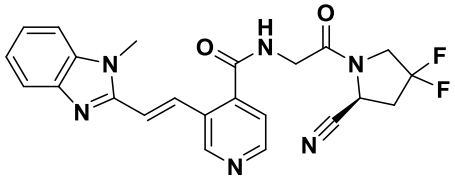
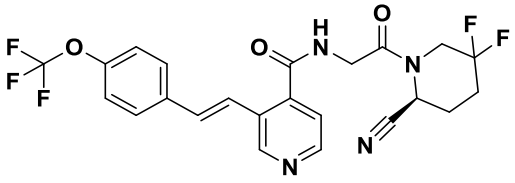
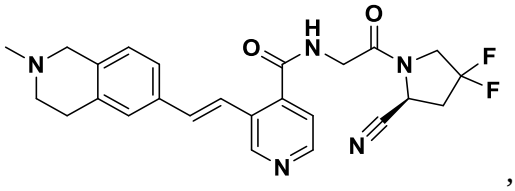
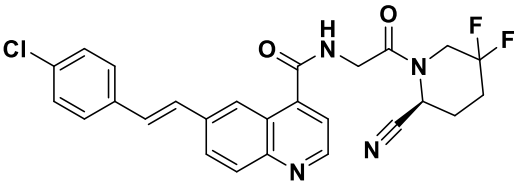
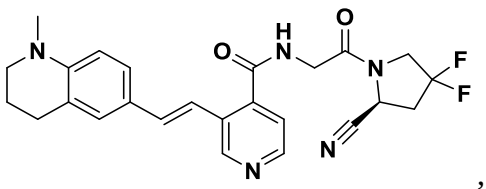

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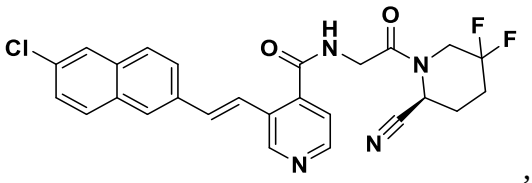

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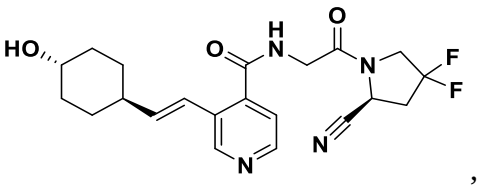
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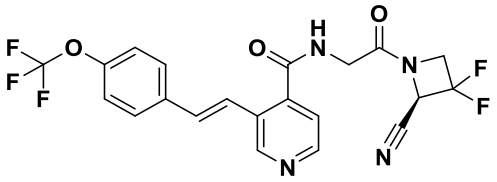
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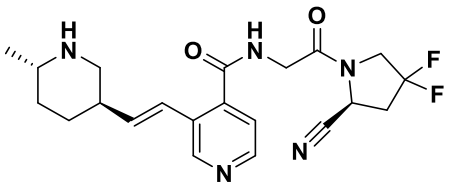
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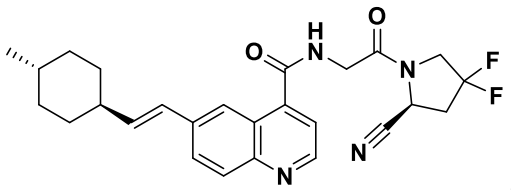
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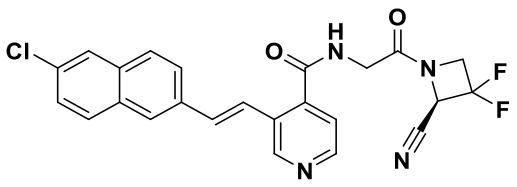

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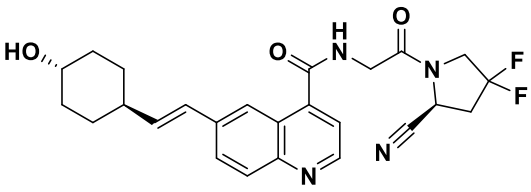

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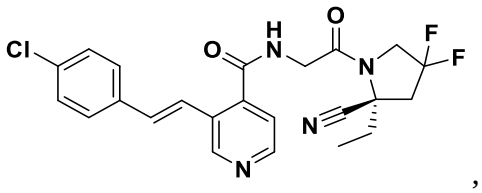
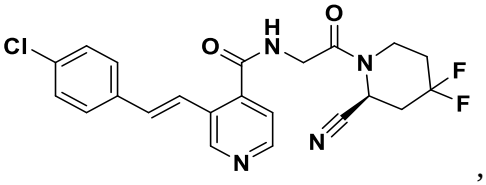
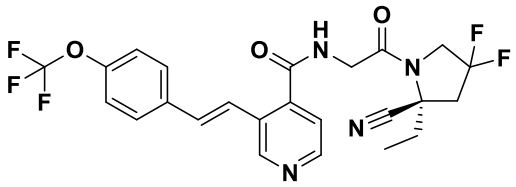
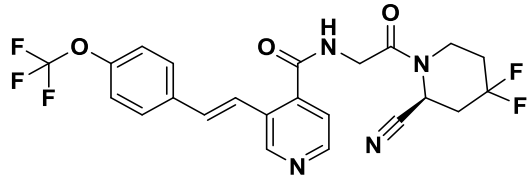
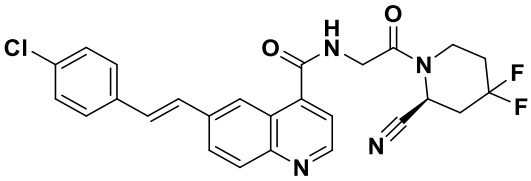
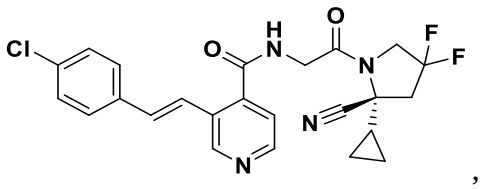
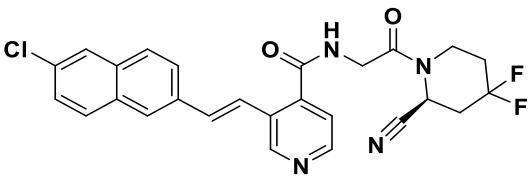

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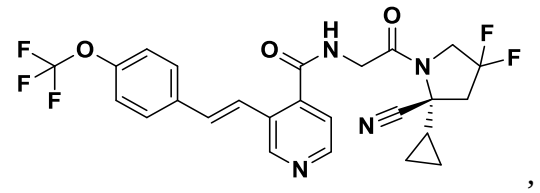

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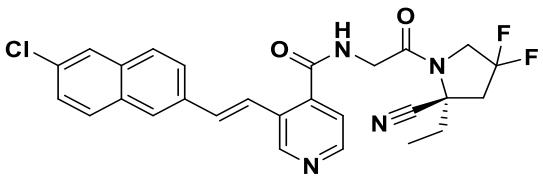

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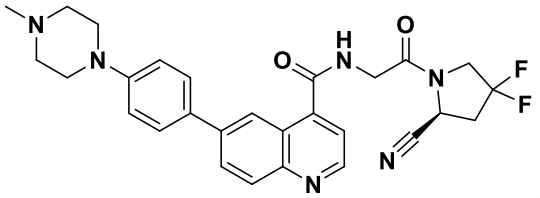

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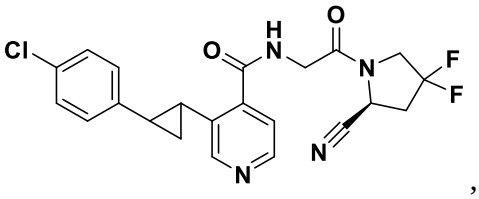

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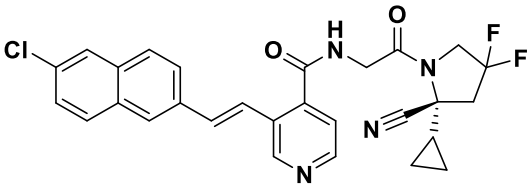
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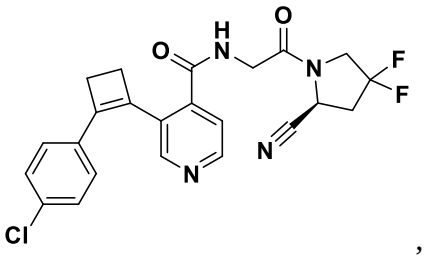

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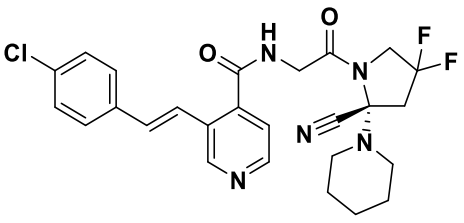

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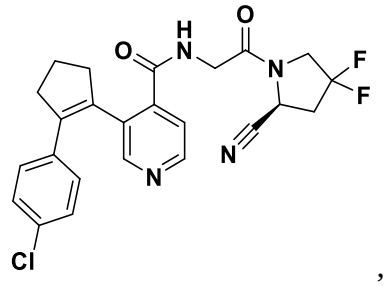

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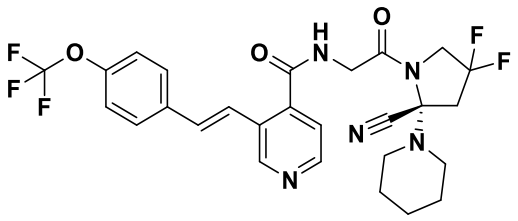

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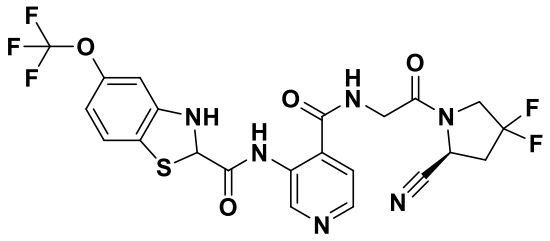

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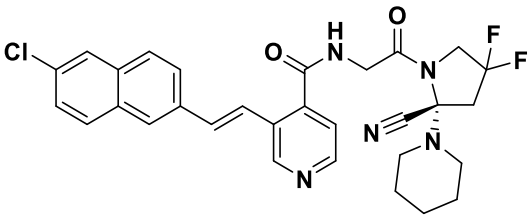

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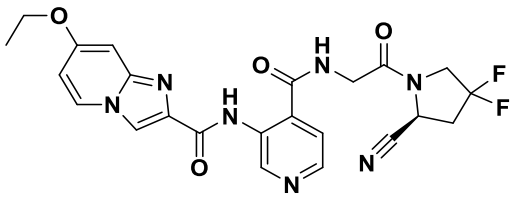

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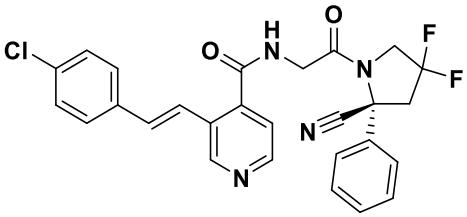

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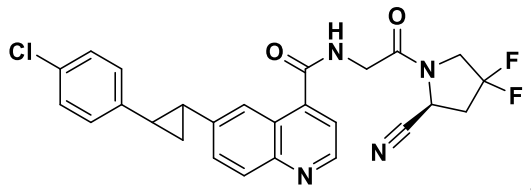
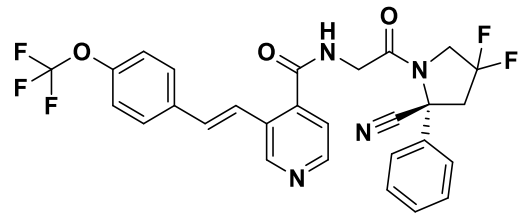
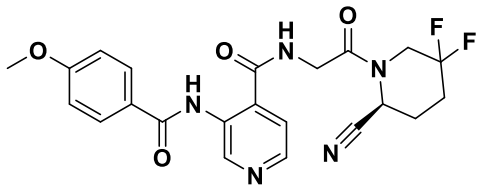
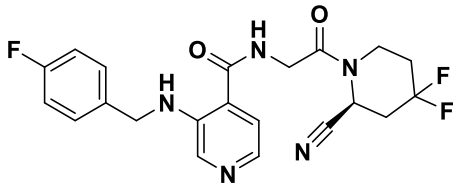
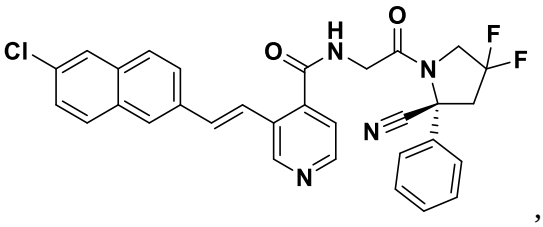
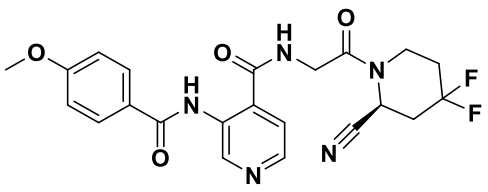
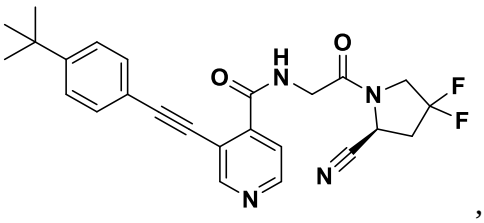

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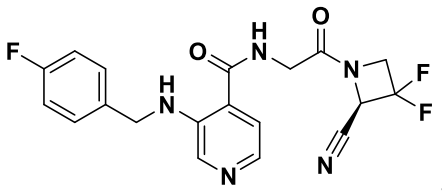

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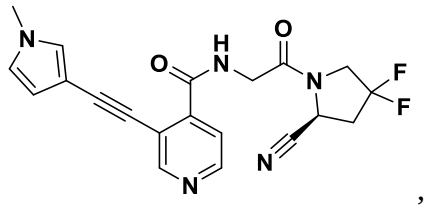

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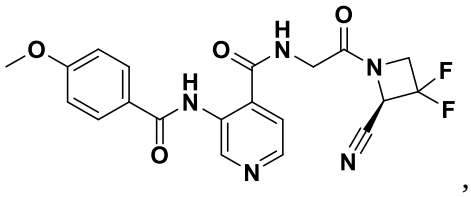

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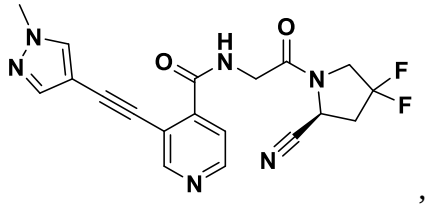

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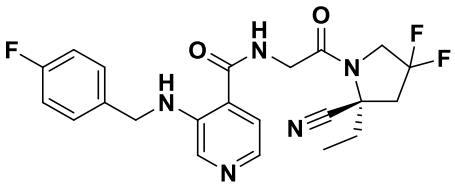
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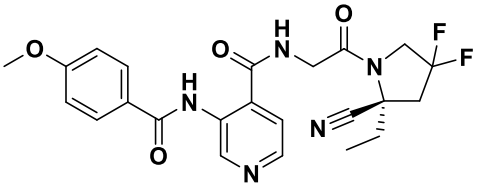

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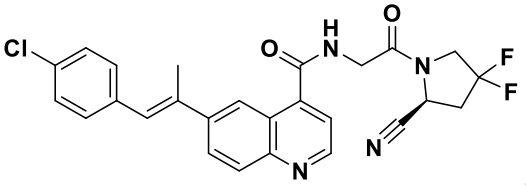

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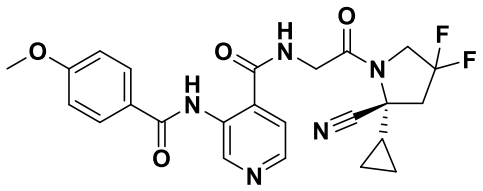
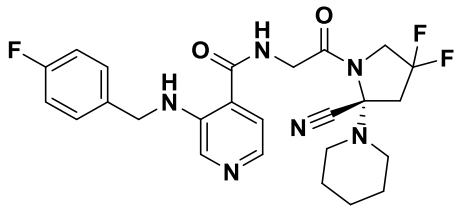
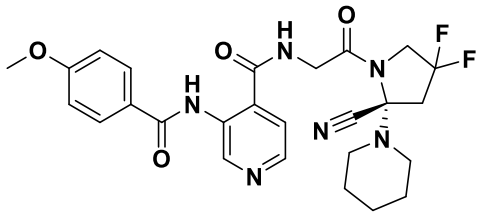
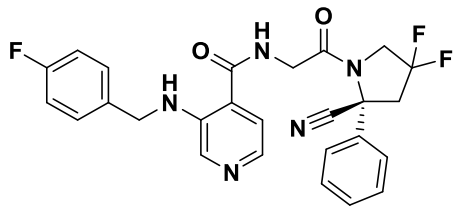
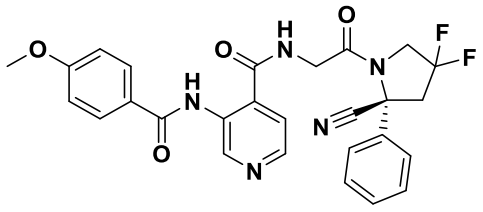
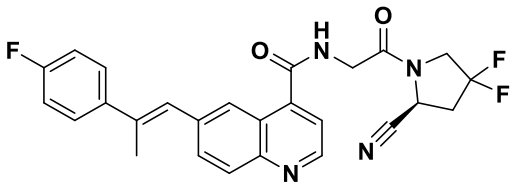
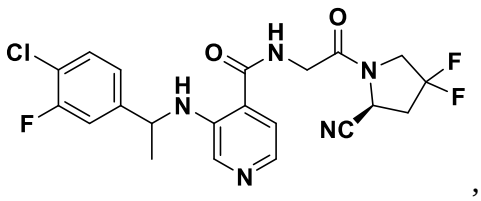

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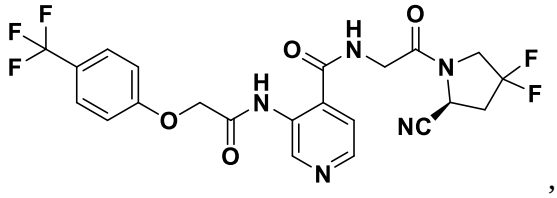

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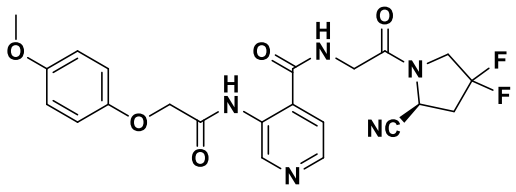

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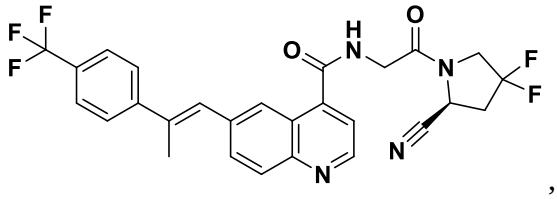

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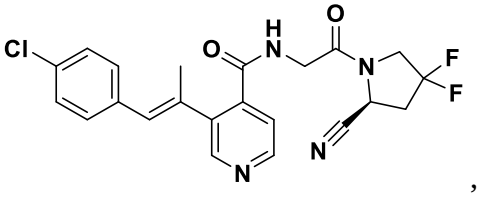

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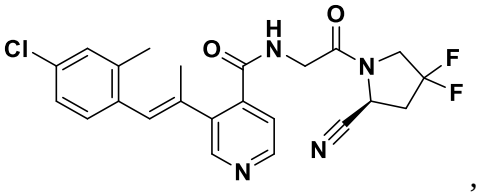
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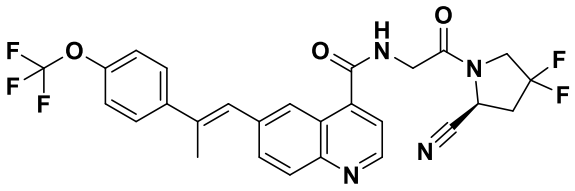

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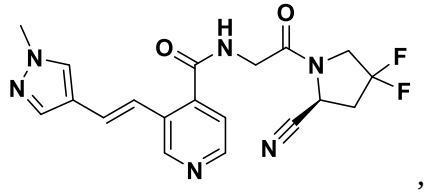

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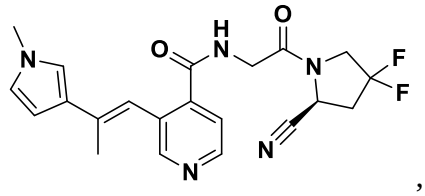

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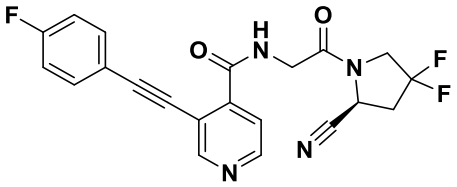

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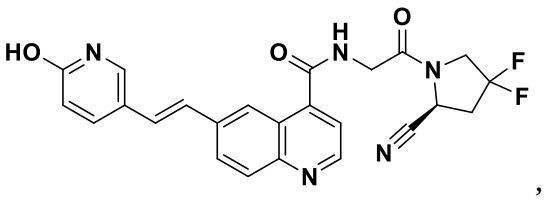

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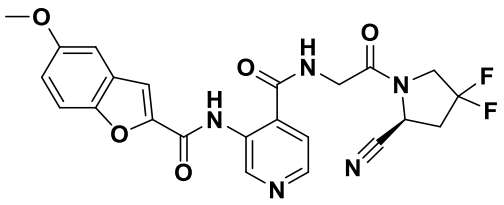

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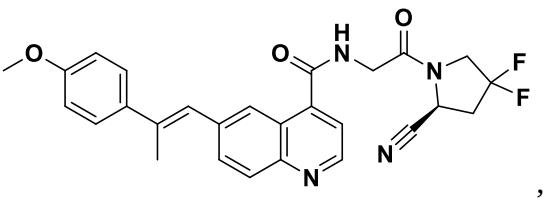

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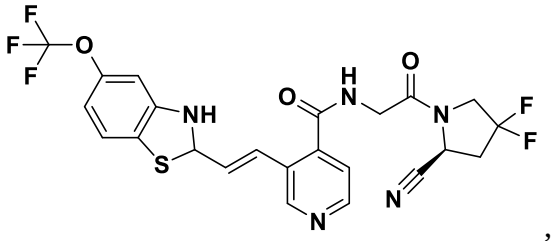

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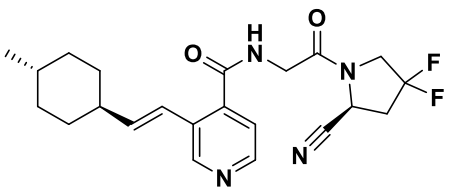

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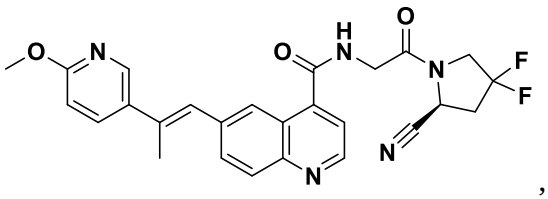
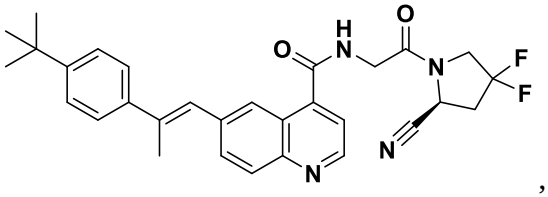
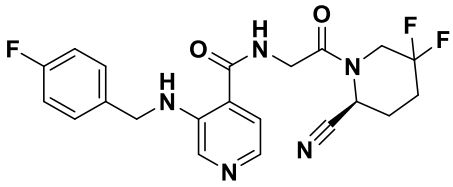
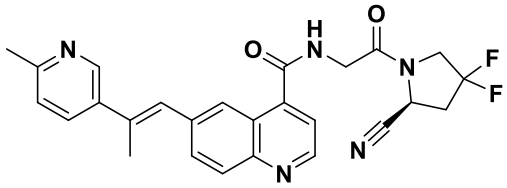
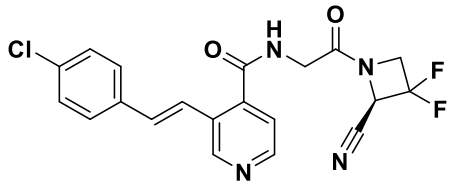
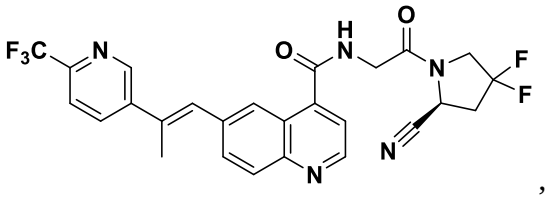
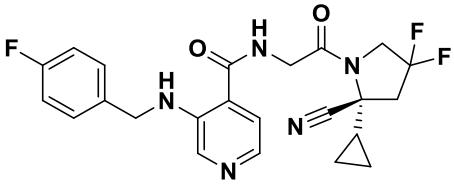

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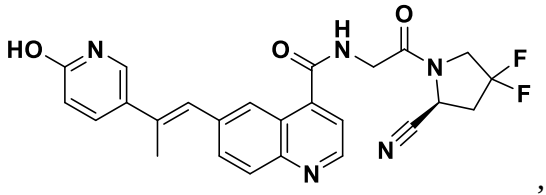

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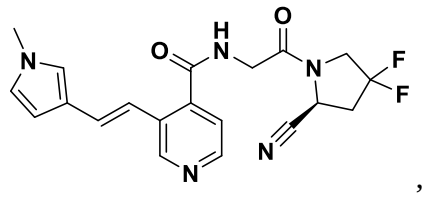

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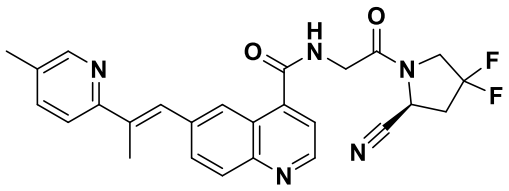

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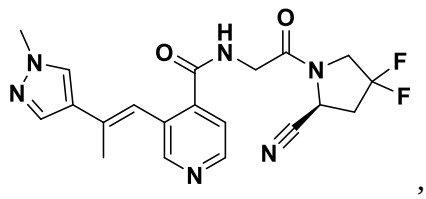

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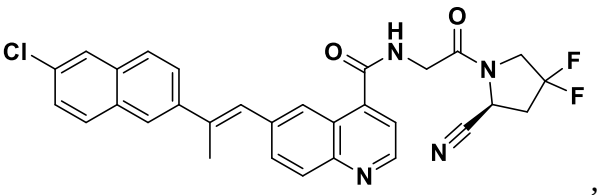
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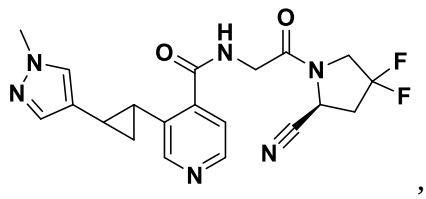

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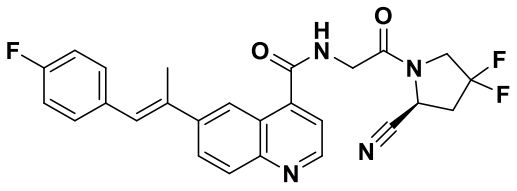

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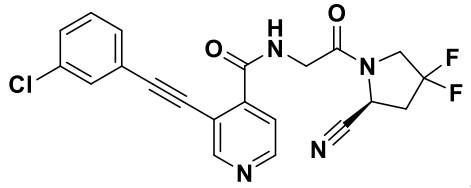
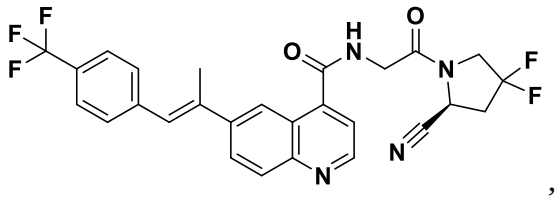
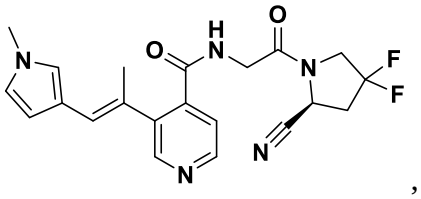
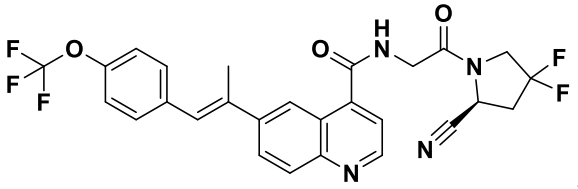
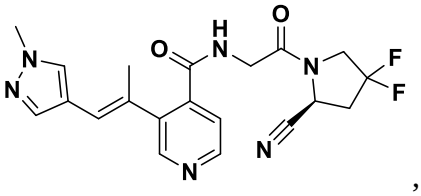
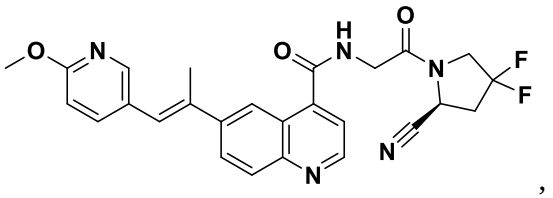
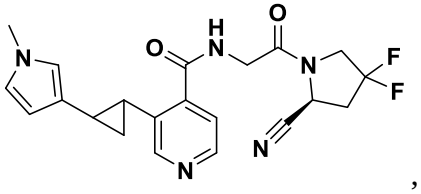

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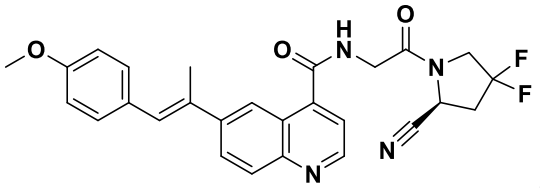
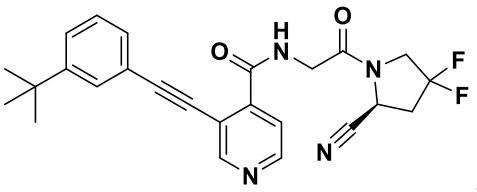
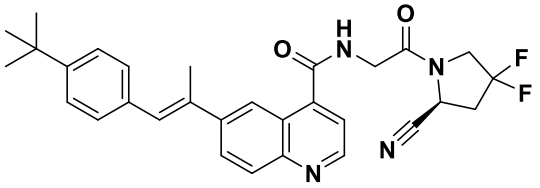
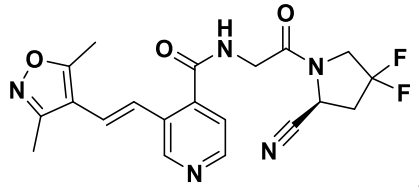
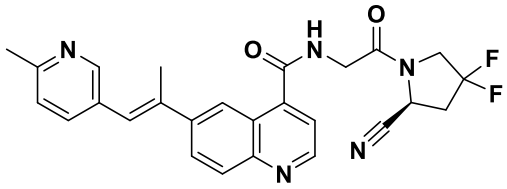
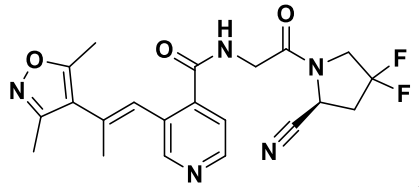
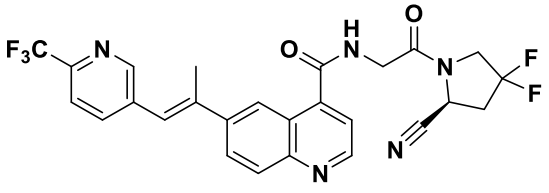

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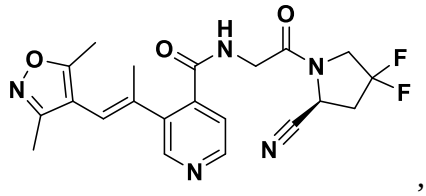
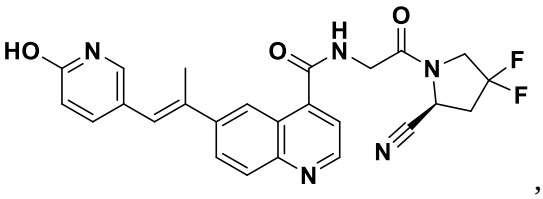
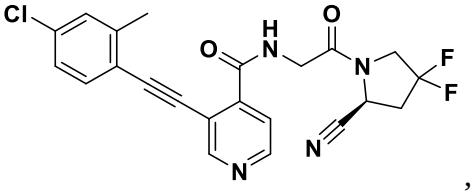
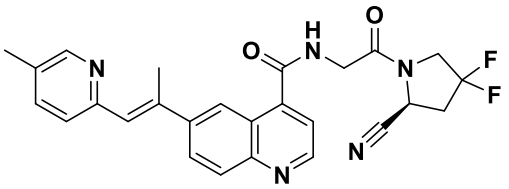
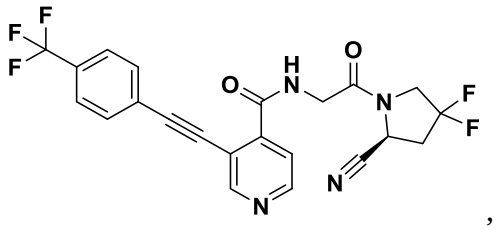
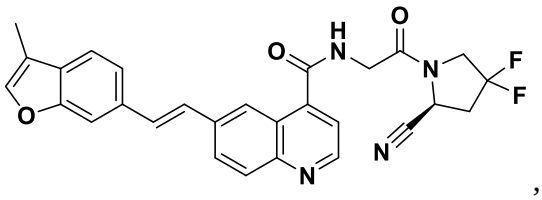
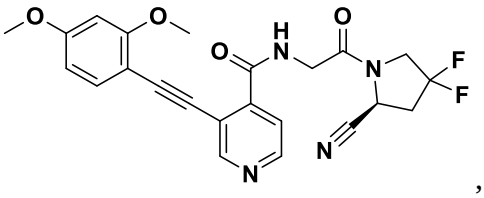

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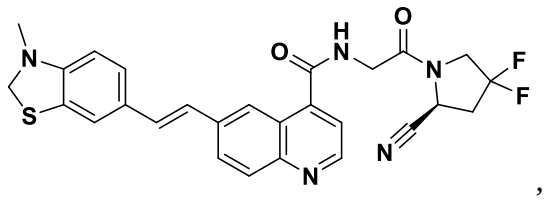

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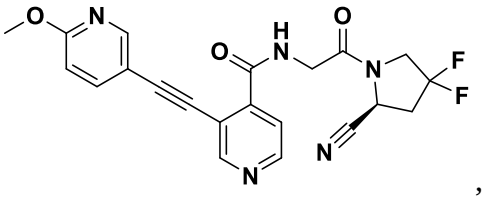

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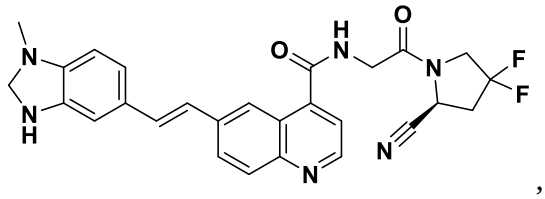
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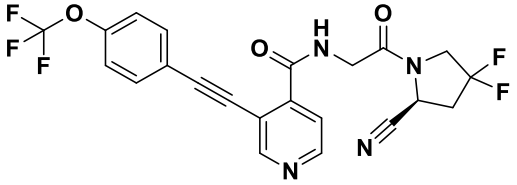
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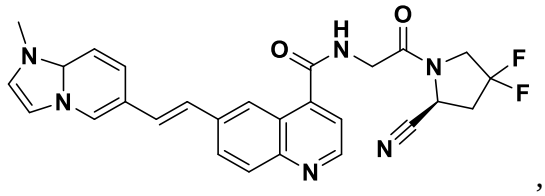
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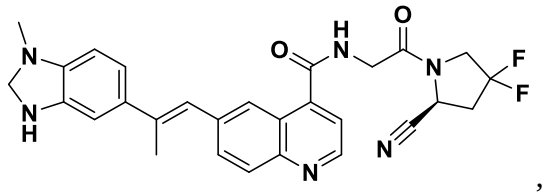

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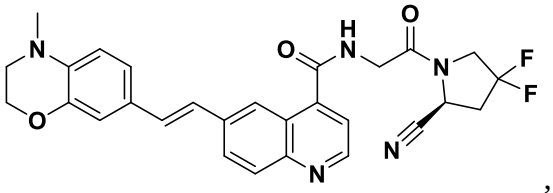

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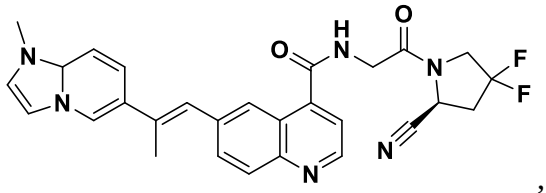

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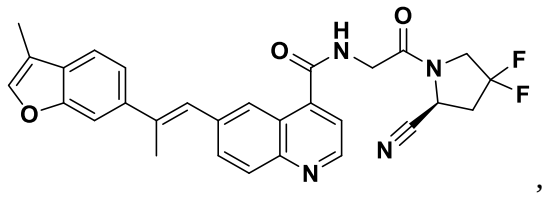

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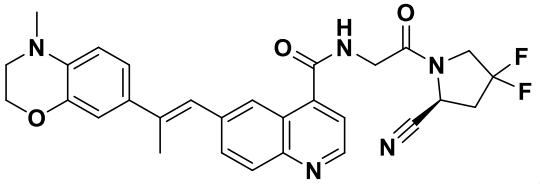

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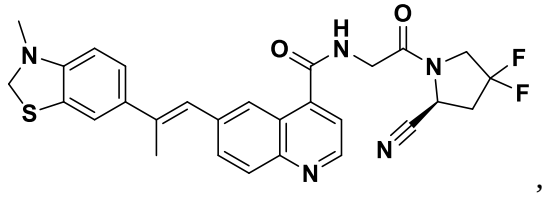

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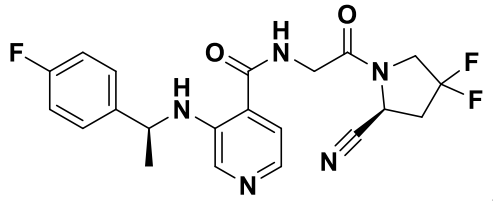

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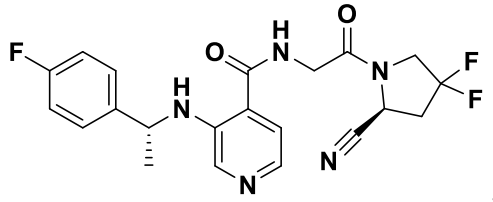

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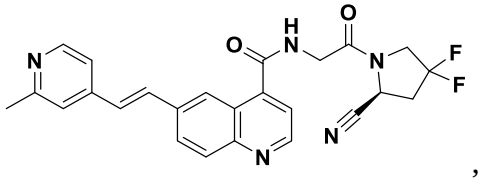

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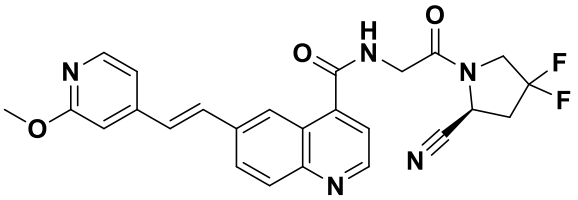

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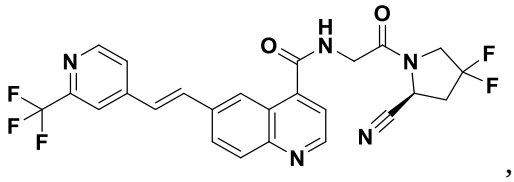

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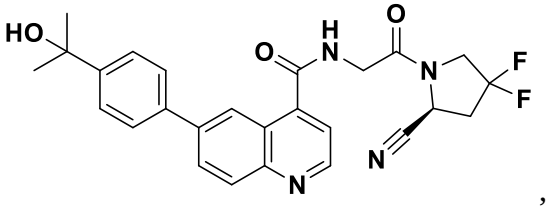

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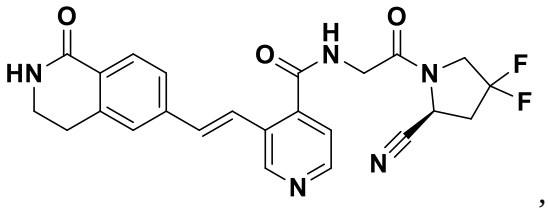

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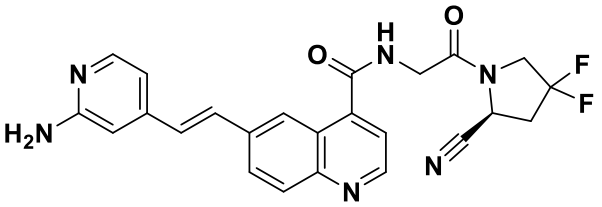

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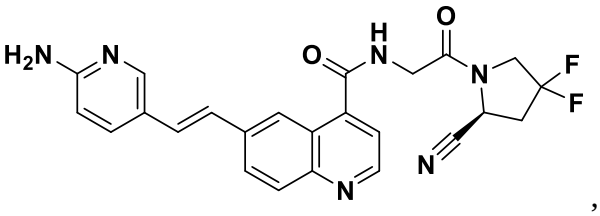

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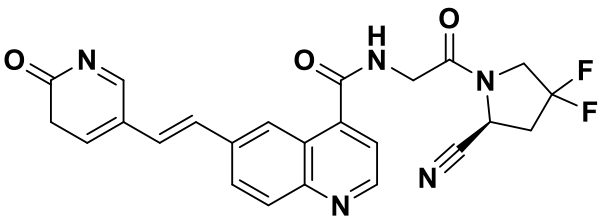

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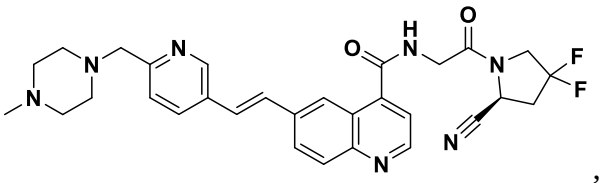

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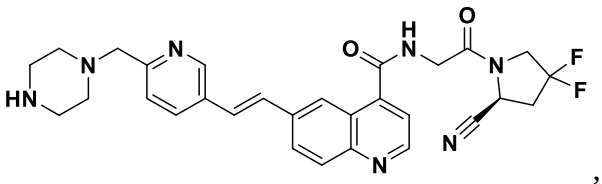

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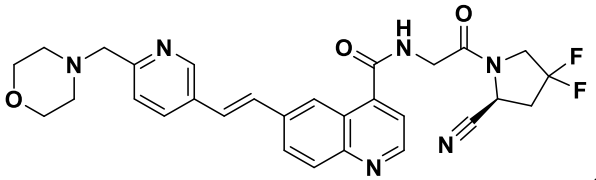

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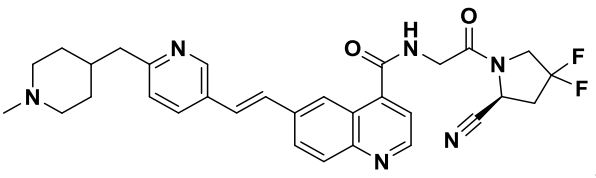

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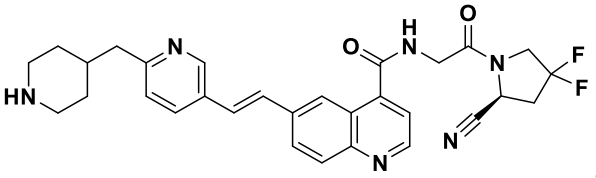

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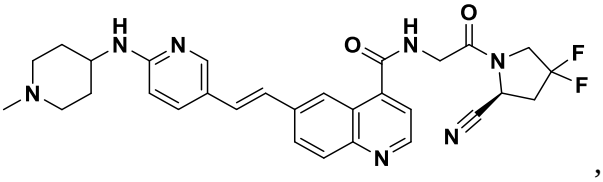

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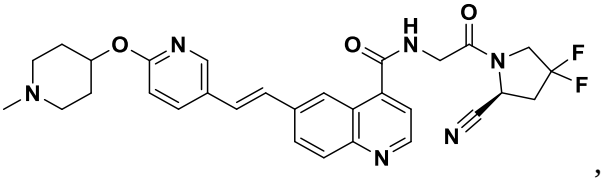

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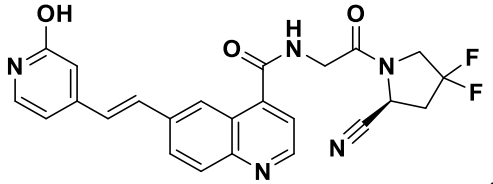

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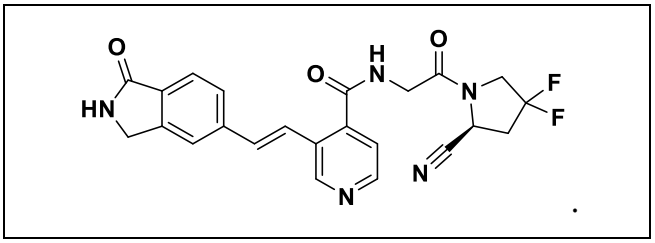

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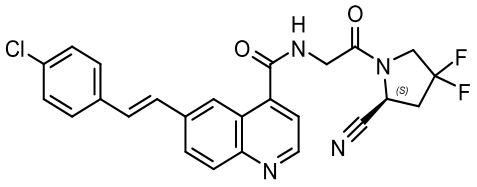

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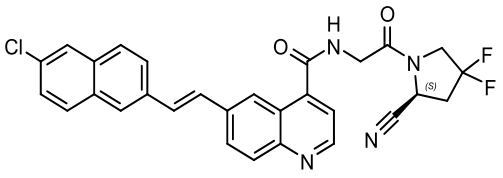

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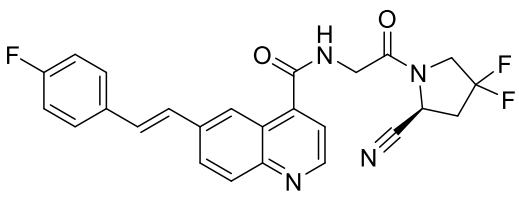




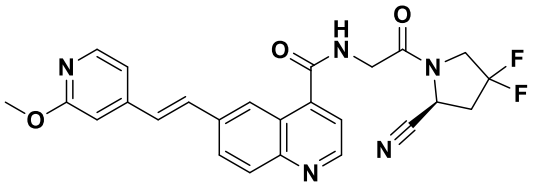
18. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

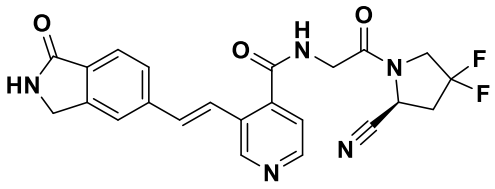


19. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.



20. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

21. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

22. A compound, wherein the compound is , or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

23. A pharmaceutical composition comprising a compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

24. A compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 23 for use as a human or veterinary medicament.

25. A compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 23 for use in treating a disease or disorder mediated by fibroblast activation protein (FAP) in an individual, or a disease or disorder characterized by proliferation, tissue remodeling, chronic inflammation, obesity, glucose intolerance, or insulin insensitivity in an individual;

wherein either:

a) the disease or disorder is breast cancer, colorectal cancer, ovarian cancer, prostate cancer, pancreatic cancer, kidney cancer, lung cancer, melanoma, fibrosarcoma, bone sarcoma, connective tissue sarcoma, renal cell carcinoma, giant cell carcinoma, squamous cell carcinoma, leukemia, skin cancer, soft tissue cancer, liver cancer, gastrointestinal carcinoma, or adenocarcinoma, wherein the disease or disorder is optionally metastatic

kidney cancer, chronic lymphocytary leukemia, pancreatic adenocarcinoma, or non-small cell lung cancer;

or

b) the disease or disorder is fibrotic disease, wound healing disorder, keloid formation, osteoarthritis, rheumatoid arthritis and related disorders involving cartilage degradation, atherosclerotic disease, Crohn's disease, or Type II diabetes.

26. Use of a compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 23, in the manufacture of a medicament for treating a disease or disorder mediated by fibroblast activation protein (FAP) in an individual, or a disease or disorder characterized by proliferation, tissue remodeling, chronic inflammation, obesity, glucose intolerance, or insulin insensitivity in an individual;

wherein either:

a) the disease or disorder is breast cancer, colorectal cancer, ovarian cancer, prostate cancer, pancreatic cancer, kidney cancer, lung cancer, melanoma, fibrosarcoma, bone sarcoma, connective tissue sarcoma, renal cell carcinoma, giant cell carcinoma, squamous cell carcinoma, leukemia, skin cancer, soft tissue cancer, liver cancer, gastrointestinal carcinoma, or adenocarcinoma, wherein the disease or disorder is optionally metastatic kidney cancer, chronic lymphocytary leukemia, pancreatic adenocarcinoma, or non-small cell lung cancer;

or

b) the disease or disorder is fibrotic disease, wound healing disorder, keloid formation, osteoarthritis, rheumatoid arthritis and related disorders involving cartilage degradation, atherosclerotic disease, Crohn's disease, or Type II diabetes.

27. A method of either:

(a) inhibiting FAP in a cell *in vitro*, the method comprising administering or delivering to the cell a compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, or a

pharmaceutical composition of claim 23, wherein optionally the cell is a fibroblast, wherein optionally the cell is a cancer associated fibroblast (CAF) or a reactive stromal fibroblast; or

(b) inhibiting FAP in a tumor *in vitro*, the method comprising administering or delivering to the tumor a compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 23; or

(c) inhibiting FAP in plasma *in vitro*, the method comprising administering or delivering to the plasma a compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition of claim 23;

wherein inhibiting FAP optionally comprises inhibiting either an endopeptidase activity or an exopeptidase activity of FAP.