(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
27 March 2014 (27.03.2014)

WIPO | PCT

(10) International Publication Number
WO 2014/047519 A2

(51) International Patent Classification:
A61K 31/4709 (2006.01) *C07D 405/02* (2006.01)

(21) International Application Number:
PCT/US2013/061050

(22) International Filing Date:
20 September 2013 (20.09.2013)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/704,014 21 September 2012 (21.09.2012) US

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ,

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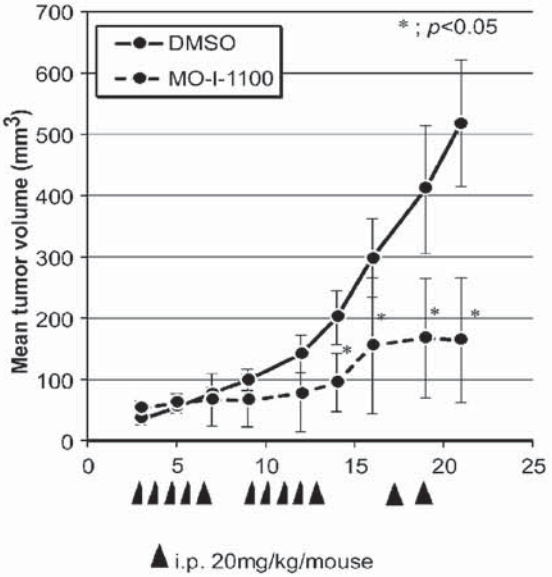
(54) Title: INHIBITORS OF BETA-HYDROLASE FOR TREATMENT OF CANCER

(57) Abstract: The present invention relates to compounds which modulate (e.g., inhibit) the activity of beta-hydrolase (e.g., ASPH), including novel 2-aryl-5-amino-3(2H)-furanone and 2- heteroaryl-5-amino-3(2H)-furanone compounds, pharmaceutical compositions thereof, methods for their synthesis, and methods of using these compounds to modulate the activity of ASPH in an a cell-free sample, a cell-based assay, and in a subject. Other aspects of the invention relate to use of the compounds disclosed herein to ameliorate or treat cell proliferation disorders.

FIG. 13B



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TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Published:

— *without international search report and to be republished upon receipt of that report (Rule 48.2(g))*

INHIBITORS OF BETA-HYDROLASE FOR TREATMENT OF CANCER

RELATED APPLICATION

This application claims priority to, and the benefit of, U.S. provisional application No. 61/704,014, filed September 21, 2012, the entire content of which is incorporated herein by reference in its entirety.

FIELD OF THE INVENTION

The invention relates to cell proliferation disorders, such as cancer.

BACKGROUND OF THE INVENTION

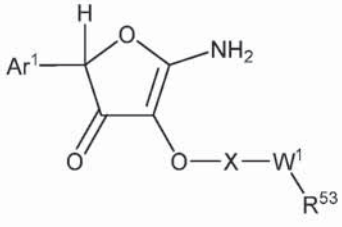
Hepatocellular carcinoma (HCC) is the fifth most prevalent, and third most fatal type of cancer presently diagnosed in over a half-million people, and which is on the increase globally. Local treatments, which include surgical resection, liver transplantation and radiofrequency ablation, are considered as a first choice for the treatment of HCC. With improvement in these techniques, there has been progress on the early-stage therapy of HCC. Radiofrequency ablation has a demonstrated benefit for early-stage disease, and it can be performed in patients with impaired liver function due to cirrhosis. However, many HCC tumors have highly malignant phenotypes, which aggressively recur after local ablation even if they were discovered at an early stage and have a very poor prognosis. Sorafenib is the only drug having a proven modest clinical benefit and approval as a systemic therapy for HC. Therefore, development of a novel treatment approach for HCC and other Asparatyl (asparaginyl) β-hydroxylase (ASPH)-expressing solid tumors is urgently needed.

SUMMARY OF THE INVENTION

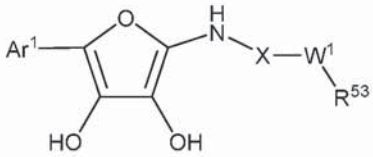
Described herein is a family of compounds that inhibits β-hydroxylase activity of ASPH, which is highly overexpressed in cancers of the liver, pancreas, stomach, colon, breast, prostate, lung, brain as well as many other tumor types. ASPH is necessary and sufficient to promote tumor cell migration, invasion, motility and distant metastatic spread both *in vitro* and *in vivo*. Administration of these small molecule inhibitors of β-hydroxylase enzymatic activity reduce tumor development and growth as well as distant metastatic spread to the liver and thus, useful as a drugs to treat a variety of deadly human tumors that overexpress ASPH. The invention encompasses compositions of matter of the small

molecules, with and without pharmaceutically-acceptable excipients for administration to human and animal subjects as well as the use of the small molecules in the treatment of human malignancies. The compounds and methods prevent as well as slow the growth rate of established tumors and have low toxicity to normal cells.

In one aspect, this disclosure provides an ASPH inhibitory compound for use in a method of reducing proliferation, migration, invasion, or metastasis of a tumor cell in the treatment of cell proliferative disorder, comprising contacting said tumor cell with the ASPH inhibitory compound, wherein the ASPH inhibitory compound is of Formula Ia or Ib:



(Ia) or



(Ib),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

Ar^1 is substituted or unsubstituted C_6-C_{20} aryl or 5 to 20-membered heteroaryl;

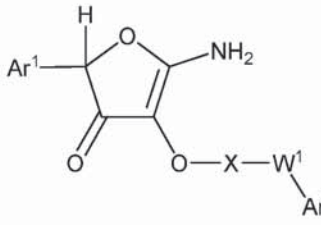
X is C(O), C(S), or $S(O)_2$;

W^1 is a single bond, O, $CR^{50}R^{51}$, or NR^{52} when X is CO and W^1 is a single bond, $CR^{50}R^{51}$, or NR^{52} when X is SO_2; and

each of R^{50} ,R^{51}, R^{52}, and R^{53} independently is selected from the group consisting of hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted C_6-C_{20} aryl, substituted or unsubstituted C_7-C_{26} arylalkyl, substituted or unsubstituted 5 to 20-membered heteroaryl, and substituted or unsubstituted 6-26 membered heteroarylalkyl.

In one embodiment, the compound for said use is of Formula Ia, or a salt, ester, metabolite, prodrug, or solvate thereof. The compound of Formula Ia may have one or more of the following features when applicable.

For example, the compound is of Formula IIa:



(IIa),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

each of Ar^1 and Ar^2 independently is unsubstituted C_6-C_{14} aryl, unsubstituted 5 to 14-membered heteroaryl, or C_6-C_{14} aryl or 5 to 14-membered heteroaryl each substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

For example, X is $S(O)_2$ and W^1 is a single bond.

For example, X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

For example, each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents

selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

For example, each of Ar^1 and Ar^2 independently is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

In another embodiment, the compound for said use is of Formula Ib, or a salt, ester, metabolite, prodrug, or solvate thereof. The compound of Formula Ib may have one or more of the following features when applicable.

For example, R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, R^{53} is unsubstituted methyl or ethyl.

For example, X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

For example, X is $S(O)_2$ and W^1 is a single bond.

For example, X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

For example, each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, Ar^1 is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8

cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, Ar^1 is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

For example, Ar^1 is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

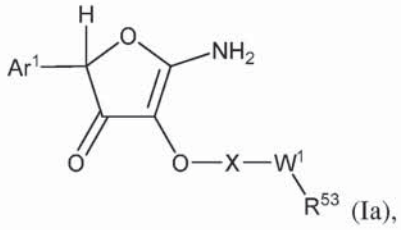
In one embodiment, said tumor cell expresses ASPH.

In certain embodiments, said cell proliferative disorder comprises Pancreatic Cancer, Hepatocellular Cancer, Cholangiocarcinoma, Lung cancer, Colon Cancer, Breast Cancer, Prostatic Cancer, and Glioblastoma.

In one embodiment, said compound is administered intravenously, orally, or subcutaneously.

In one embodiment, said compound is administered at a dose of 0.01 to 50 milligrams/kilogram of body weight.

In another aspect, this disclosure features a compound of Formula Ia:



(Ia),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

Ar^1 is substituted or unsubstituted C_6-C_{20} aryl or 5 to 20-membered heteroaryl;

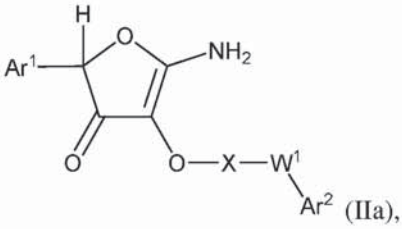
X is C(O), C(S), or $S(O)_2$;

W^1 is a single bond, O, $CR^{50}R^{51}$, or NR^{52} when X is CO and W^1 is a single bond, $CR^{50}R^{51}$, or NR^{52} when X is SO_2; and

each of R^{50} ,R^{51}, R^{52}, and R^{53} independently is selected from the group consisting of hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted C_6-C_{20} aryl, substituted or unsubstituted C_7-C_{26} arylalkyl, substituted or unsubstituted 5 to 20-membered heteroaryl, and substituted or unsubstituted 6-26 membered heteroarylalkyl, provided that when Ar^1 is 4-chlorophenyl, X is C(O), and W^1 is a single bond, then R^{53} is not unsubstituted methyl or unsubstituted phenyl.

The compound of Formula Ia may have one or more of the following features when applicable.

For example, the compound is of Formula IIa:



(IIa),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

each of Ar^1 and Ar^2 independently is unsubstituted C_6-C_{14} aryl, unsubstituted 5 to 14-membered heteroaryl, or C_6-C_{14} aryl or 5 to 14-membered heteroaryl each substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and

R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

For example, X is $S(O)_2$ and W^1 is a single bond.

For example, X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

For example, each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

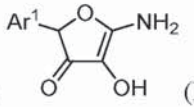
For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

For example, each of Ar^1 and Ar^2 independently is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

This disclosure also provides a pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of Formula Ia or IIa, or a salt, ester, metabolite, prodrug, or solvate thereof.

In yet another aspect, the invention features a method of producing a compound of Formula IIa, wherein X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$. The method includes:

contacting an amine compound of the formula (IIIa) 

(IIIa),

with a sulfonyl chloride of the formula $ClSO_2(CR^{50}R^{51})Ar^2$ under a suitable condition to produce a compound of Formula IIa.

Also provided in the present disclosure is method for treating or preventing a cell proliferative disorder, comprising administering to a subject in need thereof a therapeutically efficient amount of a compound described herein, such as those of Formula Ia or Ib, or a salt, ester, metabolite, prodrug, or solvate thereof described herein. In one embodiment, the compound is of Formula IIa or a salt, ester, metabolite, prodrug, or solvate thereof described herein. In another embodiment, the compound is of Formula Ib or a salt, ester, metabolite, prodrug, or solvate thereof described herein, wherein R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

In embodiments, the methods lead to at least a 10%, 20%, 50%, 2-fold, 5-fold, 10-fold or more reduction in tumor mass, volume, or weight compared to untreated tumors or untreated patients. In some cases, the tumor is completely eradicated. Similarly, the

compounds inhibit metastasis, e.g., by inhibiting tumor cell migration or invasion, e.g., by at least 10%, 20%, 50%, 2-fold, 5-fold, 10-fold compared to untreated tumors or tumor cell metastasis in untreated patients.

The small molecule inhibitors are administered to subjects in need of treatment for a cell proliferative disease such as cancer in sufficient amounts of the compounds to reach blood concentrations varying between .1 and 100 micro molar. The compound is administered using standard regimens, e.g., daily, every other day, every third day, every fifth day or every seventh day. The compound is administered intravenously, orally, or subcutaneous. The amount given to reach the blood levels described above ranges from .01 to 50 milligrams/kilogram of body weight. The compositions and methods are suitable for treatment of subjects diagnosed as suffering from cancer, including those who have undergone another form of treatment for cancer, e.g., other chemotherapeutic agents, radiation, and surgery, and/or are diagnosed with metastatic disease or are at risk of developing metastasis. The mammal can be any mammal, *e.g.*, a human, a primate, a mouse, a rat, a dog, a cat, a horse, as well as livestock or animals grown for food consumption, *e.g.*, cattle, sheep, pigs, chickens, and goats. In a preferred embodiment, the mammal is a human.

Also described is use of an ASPH inhibitory compound of this invention for the manufacture of a medicament for the use in reduction of proliferation, migration, invasion, or metastasis of a tumor cell in the treatment of cancer.

In yet another aspect, the disclosure provides a method determining ASPH activity by contacting an EGF-like domain peptide with a detectably-labeled α-ketoglutarate and ASPH enzyme and measuring β-hydroxylase activity. In one embodiment, said α-ketoglutarate is ^{14}C-labelled, and wherein β-hydroxylase activity is measured by detecting liberated $^{14}CO_2$. In one embodiment, said liberated $^{14}CO_2$ is captured on a filter and radioactivity quantified. In one embodiment, the method further includes contacting said ASPH enzyme with a candidate compound, wherein a decrease in β-hydroxylase activity in the presence of said compound compared to the absence of said compound indicates that said compound inhibits ASPH enzyme activity. In one embodiment, said EGF-like domain comprises the amino acid sequence of DGDQCETSPCQNQGKCKDGLGEYTCTCLEGFEGKNCELF (SEQ ID NO:1). In one embodiment, said EGF-like domain peptide comprises the consensus sequence of CDXXXCXXKXGNGXCDXXCNNAACXXDGXDC (SEQ ID NO: 2).

Other features and advantages of the invention will be apparent from the following description of the preferred embodiments thereof, and from the claims. All references cited herein are incorporated by reference.

BRIEF DESCRIPTION OF THE DRAWINGS

Figs. 1A-D are photomicrographs, and Fig. 1 E is a bar graph showing ASPH expression in pancreatic cancer, normal pancreas and neuroendocrine pancreatic tumors. (A) represents ASPH expression in PC at 40x and (B) 400x with mAb RC-50. (C) shows lack of ASPH expression in normal pancreas at 40x and (D) 400x. (E) shows ASPH immunoreactivity.

Figs. 2A-D are photomicrographs showing ASPH expression in human HCC tumors by immunohistochemical staining (HIS) using RC-50 mAb. Note that most if not all tumor cells highly express the ASPH protein. (A) normal liver (B, C and D) human HCC tumors

Fig. 3A is a diagram of the biochemical reaction catalyzed by ASPH derived from PC cell lysates. Fig. 3B is a cartoon illustrating the read-out to quantify ASPH activity in PC cells and tumors. Fig. 3C is an image of membrane was obtained by a phosphor-imager after a 16 hour exposure of the trapping filter to a phospho-screen. Fig. 3D is a bar graph showing intensities were calculated by Image J software and compared to a DMSO control. Vertical bars represent standard deviation. These figures show methods of measurement and data relating to ASPH catalytic activity.

Fig. 4A is a line graph showing tumor volume, and Fig. 4B is a bar graph showing tomor weight. The data show an anti-tumor effect of MO-1-1100 and PC tumor growth initiated with the human pancreatic HPAFII cell line. There were 15 nude mice in each group. i.p. injection (20 mg/kg/mouse). Vertical bars;standard error.

Figs. 5A-B are line graphs showing the effect of MO1-1100 on metabolic activity (A), and proliferation (B). Fig. 5C is a bar graph showing effect of the inhibitor on viability (C). Note that NIH 3T3 cells that lack ASPH expression were resistant to the antitumor activity of MO-1-1100.

Fig. 6A is a photograph, and Fig. 6B is a bar graph showing colony formation (a test of malignant potential) produced by FOCUS HCC cells was strikingly inhibited by treatment with 5 μM of MO-1-1100.

Fig. 7A is an image of membrane was obtained by a phosphor-imager, and Fig. 7B is a bar graph showing that both MO-1-500 and MO-1-1100 inhibit ASPH enzymatic activity (β-hydroxylase) using the high through-put assay described in Figs. 3A-D.

Figs. 8A-B are bar graphs, Fig. 8C is a photograph, and Fig. 8D is a bar graph showing the effect of MO-1-500 on cell metabolism (A), proliferation (B), and colony formation (C,D). Note that both FOCUS HCC cells (express ASPH) and NIH-3T3 cells (do

not express ASPH) were growth inhibited indicating that MO-1-500 is not specific for ASPH although it strikingly inhibits colony formation of FOCUS cells at 1.25 μM.

Fig. 9A is a photograph, and Figs. 9B-C are bar graphs showing that MO-1-1100 treatment of FOCUS HCC cells reduces the number (A, B) and size (A, C) of colony formation in soft agar thus reducing anchorage independent cell growth as a rigid test of malignant transformation.

Fig.10A is a photograph, and Figs. 10B-C are bar graphs showing the *in vitro* effects of MO-1-500 for anchorage independent cell growth. Note the striking reduction in the number and size of FOCUS cell colonies following treatment with 0.5, 1, and 5 μM of MO-1-500.

Figs. 11A-D are bar graphs showing the effect of MO-1-1100 on cell migration and invasion of FOCUS HCC cells. Note that this compound significantly inhibited the migratory (A), and invasion (D), properties of this human liver cancer cell line.

Figs. 12A-B are bar graphs showing the *in vitro* effects of ASPH inhibitiors MO-1-500 and MO-1-1100 on cell motility and invasiveness in a human HCC cell line (FOCUS).

Fig. 13A is a photograph, and Fig. 13B is a line graph showing that MO-1-1100 treatment strikingly reduces the size and growth of human liver cancer *in vivo* using an immunodeficient murine subcutaneous xenograft model.

TERMS AND DEFINITIONS

The following is a list of abbreviations, plus terms and their definitions, used throughout the specification and the claims:

General abbreviations and their corresponding meanings include: aa or AA = amino acid; mg = milligram(s); ml or mL = milliliter(s); mm = millimeter(s); mM = millimolar; nmol = nanomole(s); pmol = picomole(s); ppm = parts per million; RT = room temperature; U = units; ug, μg = micro gram(s); ul, μl = micro liter(s); uM, μM = micromolar, TEA = triethylamine, LDA = lithium diisopropyl amine, THF = tetrahydrofuran, DMAP = 4-dimethylaminopyridine, DMF = N,N'-dimethylformamide.

The terms "cell" and "cells", which are meant to be inclusive, refer to one or more cells which can be in an isolated or cultured state, as in a cell line comprising a homogeneous or heterogeneous population of cells, or in a tissue sample, or as part of an organism, such as an insect larva or a transgenic mammal.

The term "amino acid" encompasses both naturally occurring and non-naturally occurring amino acids unless otherwise designated.

The term "an effective amount" means an amount of the substance in question which produces a statistically significant effect. For example, an "effective amount" for therapeutic uses is the amount of the composition comprising an active compound herein required to provide a clinically significant alteration in a measurable trait. Such effective amounts will be determined using routine optimization techniques and are dependent on the particular condition to be treated, the condition of the patient, the route of administration, dosage required for the compounds of the invention is manifested as that which induces a statistically significant difference between treatment and control groups.

A "therapeutically effective amount" refers to an amount effective, at dosages and for periods of time necessary, to achieve the desired therapeutic result. A therapeutically effective amount of modulator may vary according to factors such as the disease state, age, sex, and weight of the individual, and the ability of the modulator to elicit a desired response in the individual. Dosage regimens may be adjusted to provide the optimum therapeutic response. A therapeutically-effective amount is also one in which any toxic or detrimental effects of the modulator are outweighed by the therapeutically beneficial effects.

A "prophylactically effective amount" refers to an amount effective, at dosages and for periods of time necessary, to achieve the desired prophylactic result. A prophylactically effective amount can be determined as described above for the therapeutically-effective amount. Typically, since a prophylactic dose is used in subjects prior to or at an earlier stage of disease, the prophylactically effective amount will be less than the therapeutically-effective amount.

As used herein, the term "cell proliferative disorder" refers to conditions in which unregulated or abnormal growth, or both, of cells can lead to the development of an unwanted condition or disease, which may or may not be cancerous. Exemplary cell proliferative disorders that may be treated with the compounds of the invention encompass a variety of conditions wherein cell division is deregulated. Exemplary cell proliferative disorder include, but are not limited to, neoplasms, benign tumors, malignant tumors, pre-cancerous conditions, *in situ* tumors, encapsulated tumors, metastatic tumors, liquid tumors, solid tumors, immunological tumors, hematological tumors, cancers, carcinomas, leukemias, lymphomas, sarcomas, and rapidly dividing cells. The term "rapidly dividing cell" as used herein is defined as any cell that divides at a rate that exceeds or is greater than what is expected or observed among neighboring or juxtaposed cells within the same tissue. A cell proliferative disorder includes a precancer or a precancerous condition. A cell proliferative disorder includes cancer. The methods and uses provided herein can be or may be used to

treat or alleviate a symptom of cancer or to identify suitable candidates for such purposes. The term "cancer" includes solid tumors, as well as, hematologic tumors and/or malignancies. A "precancer cell" or "precancerous cell" is a cell manifesting a cell proliferative disorder that is a precancer or a precancerous condition. A "cancer cell" or "cancerous cell" is a cell manifesting a cell proliferative disorder that is a cancer. Any reproducible means of measurement may be used to identify cancer cells or precancerous cells. Cancer cells or precancerous cells can be identified by histological typing or grading of a tissue sample (*e.g.*, a biopsy sample). Cancer cells or precancerous cells can be identified through the use of appropriate molecular markers.

As used herein, "treating" or "treat" describes the management and care of a patient for the purpose of combating a disease, condition, or disorder and includes the administration of a compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, to alleviate the symptoms or complications of a disease, condition or disorder, or to eliminate the disease, condition or disorder. The term "treat" can also include treatment of a cell *in vitro* or an animal model.

A compound of the present invention, or a pharmaceutically acceptable salt, prodrug, metabolite, polymorph or solvate thereof, can or may also be used to prevent a relevant disease, condition or disorder, or used to identify suitable candidates for such purposes. As used herein, "preventing," "prevent," or "protecting against" describes reducing or eliminating the onset of the symptoms or complications of such disease, condition or disorder.

As used herein, the term "alleviate" is meant to describe a process by which the severity of a sign or symptom of a disorder is decreased. Importantly, a sign or symptom can be alleviated without being eliminated. The administration of pharmaceutical compositions of the invention can or may lead to the elimination of a sign or symptom, however, elimination is not required. Effective dosages should be expected to decrease the severity of a sign or symptom. For instance, a sign or symptom of a disorder such as cancer, which can occur in multiple locations, is alleviated if the severity of the cancer is decreased within at least one of multiple locations.

As used herein, a "subject" is interchangeable with a "subject in need thereof", both of which refer to a subject having a cell proliferation disorder, or a subject having an increased risk of developing such disorder relative to the population at large. A "subject" includes a mammal. The mammal can be *e.g.*, a human or appropriate non-human mammal, such as primate, mouse, rat, dog, cat, cow, horse, goat, camel, sheep or a pig. The subject can

also be a bird or fowl. In one embodiment, the mammal is a human. A subject in need thereof can be one who has been previously diagnosed or identified as having cancer or a precancerous condition. A subject in need thereof can also be one who has (e.g., is suffering from) cancer or a precancerous condition. Alternatively, a subject in need thereof can be one who has an increased risk of developing such disorder relative to the population at large (*i.e.*, a subject who is predisposed to developing such disorder relative to the population at large). A subject in need thereof can have a precancerous condition. The term "animal" includes human beings.

The term "optionally substituted" moiety refers to either unsubstituted chemical moiety (e.g., alkyl, aryl, heteroaryl, etc.) or a chemical moiety having designated substituents replacing one or more hydrogen atoms on one or more carbons of the hydrocarbon backbone. Such substituents can include, for example, alkyl, alkenyl, alkynyl, halogen, hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkylthiocarbonyl, alkoxyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido), amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moiety.

The term "substituted aryl or heteroaryl" refers to aromatic or heteroaromatic rings may contain one or more substituents such as -OH, SH, -CN, -F, -Cl, -Br, -R, $-NO_2$ -NO, -NH2, -NHR, -NRR, -C(O)R, - C(O)OH, -C(O)OR, -C(O)NH2, -C(O)NHR, -C(O)NRR, and the like where each R is independently (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered hetcroaryl, 6-26 membered heteroarylalkyl or substituted 6-26 membered heteroarylalkyl.

An "arylalkyl" or an "aralkyl" moiety is an alkyl substituted with an aryl (*e.g.*, phenylmethyl (benzyl)). An "alkylaryl" moiety is an aryl substituted with an alkyl (*e.g.*, methylphenyl).

A "derivative" of a compound X (e.g., a peptide or amino acid) refers to a form of X in which one or more reactive groups on the compound have been derivatized with a substituent group. Peptide derivatives include pep tides in which an amino acid side chain,

the peptide backbone, or the amino' or carboxy-terminus has been derivatized (e.g., peptidic compounds with 5 methylated amide linkages).

An "analogue" of a compound X refers to a compound which retains chemical structures of X necessary for functional activity of X yet which also contains certain chemical structures which differ from X. An analogue of a naturally-occurring peptide, is a peptide which includes one or more non-naturally-occurring amino acids.

The term "mimetic refers to a compound having similar functional and/or structural properties to another known compound or a particular fragment of that known compound. A "mimetic" of a compound X refers to a compound in which chemical structures of X necessary for functional activity of X have been replaced with other chemical structures which mimic the conformation of X. The term mimetic, and in particular, peptidomimetic, is intended to include isosteres.

The term "cyclic group", as used herein, is intended to include cyclic saturated or unsaturated (i.e., aromatic) group having from about 3 to 10, preferably about 4 to 8, and more preferably about 5 to 7, carbon atoms. Exemplary cyclic groups include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and cyclooctyl. Cyclic groups may be unsubstituted or substituted at one 35 or more ring positions. Thus, a cyclic group may be substituted with halogens, alkyls, cycloalkyls, alkenyls, alkynyls, aryls, heterocycles, hydroxyls, aminos, nitros, thiols amines, imines, amides, phosphonates, phosphines, carbonyls, carboxyls, silyls, ethers, thioethers, sulfonyls, sulfonates. selenoethers, ketones, aldehydes, esters, 'CF_3, 'CN, or the like.

The term "heterocyclic group" is intended to include cyclic saturated or unsaturated (i.e., aromatic) group having from about 3 to 10, preferably about 4 to 8, and more preferably about 5 to 7, carbon atoms, wherein the ring structure includes about one to four heteroatoms. Heterocyclic groups include pyrrolidine,
oxolane, thiolane, imidazole, oxazole, piperidine, piperazine, morpholine and pyridine. The heterocyclic ring can be substituted at one or more positions with such substituents as, for example, halogens, alkyls, cycloalkyls, alkenyls, alkynyls, aryls, other heterocycles, hydroxyl, amino, nitro thiol, amines, imines, amides, phosphonates, phosphines, carbonyls, carboxyls, eilyls, ethers, thioethers, sulfonyls, selenoethers, ketones, aldehydes, esters, CF_3, CN, or the like. Heterocycles may also be bridged or fused to other cyclic groups as described below.

"Aryl" includes groups with aromaticity, including "conjugated," or multicyclic systems with at least one aromatic ring and do not contain any heteroatom in the ring structure. Examples include phenyl, benzyl, 1,2,3,4-tetrahydronaphthalenyl, etc.

"Heteroaryl" groups are aryl groups, as defined above, except having from one to four heteroatoms in the ring structure, and may also be referred to as "aryl heterocycles" or "heteroaromatics." As used herein, the term "heteroaryl" is intended to include a stable 5-, 6-, or 7-membered monocyclic or 7-, 8-, 9-, 10-, 11- or 12-membered bicyclic aromatic heterocyclic ring which consists of carbon atoms and one or more heteroatoms, *e.g.*, 1 or 1-2 or 1-3 or 1-4 or 1-5 or 1-6 heteroatoms, or *e.g.*, 1, 2, 3, 4, 5, or 6 heteroatoms, independently selected from the group consisting of nitrogen, oxygen and sulfur. The nitrogen atom may be substituted or unsubstituted (*i.e.*, N or NR wherein R is H or other substituents, as defined). The nitrogen and sulfur heteroatoms may optionally be oxidized (*i.e.*, N→O and $S(O)_p$, where p = 1 or 2). It is to be noted that total number of S and O atoms in the aromatic heterocycle is not more than 1.

Examples of heteroaryl groups include pyrrole, furan, thiophene, thiazole, isothiazole, imidazole, triazole, tetrazole, pyrazole, oxazole, isoxazole, pyridine, pyrazine, pyridazine, pyrimidine, and the like.

Furthermore, the terms "aryl" and "heteroaryl" include multicyclic aryl and heteroaryl groups, *e.g.*, tricyclic, bicyclic, *e.g.*, naphthalene, benzoxazole, benzodioxazole, benzothiazole, benzoimidazole, benzothiophene, methylenedioxyphenyl, quinoline, isoquinoline, naphthrydine, indole, benzofuran, purine, benzofuran, deazapurine, indolizine.

In the case of multicyclic aromatic rings, only one of the rings needs to be aromatic (*e.g.*, 2,3-dihydroindole), although all of the rings may be aromatic (*e.g.*, quinoline). The second ring can also be fused or bridged.

The cycloalkyl, heterocycloalkyl, aryl, or heteroaryl ring can be substituted at one or more ring positions (e.g., the ring-forming carbon or heteroatom such as N) with such substituents as described above, for example, alkyl, alkenyl, alkynyl, halogen, hydroxyl, alkoxy, alkylcarbonyloxy, arylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, carboxylate, alkylcarbonyl, alkylaminocarbonyl, aralkylaminocarbonyl, alkenylaminocarbonyl, alkylcarbonyl, arylcarbonyl, aralkylcarbonyl, alkenylcarbonyl, alkoxycarbonyl, aminocarbonyl, alkylthiocarbonyl, phosphate, phosphonato, phosphinato, amino (including alkylamino, dialkylamino, arylamino, diarylamino and alkylarylamino), acylamino (including alkylcarbonylamino, arylcarbonylamino, carbamoyl and ureido),

amidino, imino, sulfhydryl, alkylthio, arylthio, thiocarboxylate, sulfates, alkylsulfinyl, sulfonato, sulfamoyl, sulfonamido, nitro, trifluoromethyl, cyano, azido, heterocyclyl, alkylaryl, or an aromatic or heteroaromatic moiety. Aryl and heteroaryl groups can also be fused or bridged with alicyclic or heterocyclic rings, which are not aromatic so as to form a multicyclic system (*e.g.*, tetralin, methylenedioxyphenyl).

The term "polycyclic group" as used herein is intended to refer to two or more saturated or unsaturated (i.e., aromatic) cyclic rings in which two or more carbons are common to two adjoining rings, e.g., the rings are "fused rings". Rings that are joined through non-adjacent atoms are termed "bridged" rings. Each of the rings of the polycyclic group can he substituted with such substituents as described above, as for example, halogens, alkyls, cycloalkyls, alkenyls, alkynyls, hydroxyl, amino, nitro, thiol, amines, imines, amides, phosphonates, phosphines, carbonyls, carboxyls, silyls, ethers, thioethers, sulfonyls, selenoethers, ketones, aldehydes, esters, CF_3, CN, or the like.

As used herein, the term "modulating group" and "modifying group" are used interchangeably to describe a chemical group directly or indirectly attached to a peptidic structure. For example, a modifying group(s) can be directly attached by covalent coupling to the peptidic structure or a modifying group(s) can be attached indirectly by a stable non-covalent association.

The compounds described herein include the compounds themselves, as well as their salts, their solvates, and their prodrugs, if applicable. A salt, for example, can be formed between an anion and a positively charged group (e.g., amino) on a substituted benzene compound. Suitable anions include chloride, bromide, iodide, sulfate, bisulfate, sulfamate, nitrate, phosphate, citrate, methanesulfonate, trifluoroacetate, glutamate, glucuronate, glutarate, malate, maleate, succinate, fumarate, tartrate, tosylate, salicylate, lactate, naphthalenesulfonate, and acetate (e.g., trifluoroacetate). The term "pharmaceutically acceptable anion" refers to an anion suitable for forming a pharmaceutically acceptable salt. Likewise, a salt can also be formed between a cation and a negatively charged group (e.g., carboxylate) on a substituted benzene compound. Suitable cations include sodium ion, potassium ion, magnesium ion, calcium ion, and an ammonium cation such as tetramethylammonium ion. The substituted benzene compounds also include those salts containing quaternary nitrogen atoms.

Examples of prodrugs include esters and other pharmaceutically acceptable derivatives, which, upon administration to a subject, are capable of providing active substituted benzene compounds.

Additionally, the compounds of the present invention, for example, the salts of the compounds, can exist in either hydrated or unhydrated (the anhydrous) form or as solvates with other solvent molecules. Nonlimiting examples of hydrates include monohydrates, dihydrates, etc. Nonlimiting examples of solvates include ethanol solvates, acetone solvates, etc.

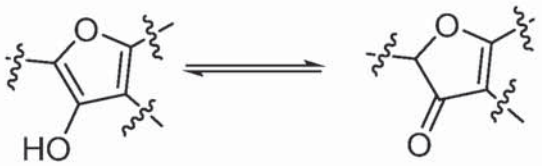
"Solvate" means solvent addition forms that contain either stoichiometric or non stoichiometric amounts of solvent. Some compounds have a tendency to trap a fixed molar ratio of solvent molecules in the crystalline solid state, thus forming a solvate. If the solvent is water the solvate formed is a hydrate; and if the solvent is alcohol, the solvate formed is an alcoholate. Hydrates are formed by the combination of one or more molecules of water with one molecule of the substance in which the water retains its molecular state as H_2O.

In the present specification, the structural formula of the compound represents a certain isomer for convenience in some cases, but the present invention includes all isomers, such as geometrical isomers, optical isomers based on an asymmetrical carbon, stereoisomers, tautomers, and the like, it being understood that not all isomers may have the same level of activity. In addition, a crystal polymorphism may be present for the compounds represented by the formula. It is noted that any crystal form, crystal form mixture, or anhydride or hydrate thereof is included in the scope of the present invention. Furthermore, so-called metabolite which is produced by degradation of the present compound *in vivo* is included in the scope of the present invention.

"Tautomer" is one of two or more structural isomers that exist in equilibrium and is readily converted from one isomeric form to another. This conversion results in the formal migration of a hydrogen atom accompanied by a switch of adjacent conjugated double bonds. Tautomers exist as a mixture of a tautomeric set in solution. In solutions where tautomerization is possible, a chemical equilibrium of the tautomers will be reached. The exact ratio of the tautomers depends on several factors, including temperature, solvent and pH. The concept of tautomers that are interconvertable by tautomerizations is called tautomerism.

Of the various types of tautomerism that are possible, two are commonly observed. In keto-enol tautomerism a simultaneous shift of electrons and a hydrogen atom occurs. Ring-chain tautomerism arises as a result of the aldehyde group (-CHO) in a sugar chain molecule reacting with one of the hydroxy groups (-OH) in the same molecule to give it a cyclic (ring-shaped) form as exhibited by glucose.

Common tautomeric pairs are: ketone-enol, amide-nitrile, lactam-lactim, amide-imidic acid tautomerism in heterocyclic rings (*e.g.*, in nucleobases such as guanine, thymine and cytosine), imine-enamine and enamine-enamine. An example of ketone-enol tautomerism are as shown below.



A small molecule is a compound that is less than 2000 daltons in mass. The molecular mass of the small molecule is preferably less than 1000 daltons, more preferably less than 600 daltons, *e.g.*, the compound is less than 500 daltons, 400 daltons, 300 daltons, 200 daltons, or 100 daltons.

The transitional term "comprising," which is synonymous with "including," "containing," or "characterized by," is inclusive or open-ended and does not exclude additional, unrecited elements or method steps. By contrast, the transitional phrase "consisting of" excludes any element, step, or ingredient not specified in the claim. The transitional phrase "consisting essentially of" limits the scope of a claim to the specified materials or steps "and those that do not materially affect the basic and novel characteristic(s)" of the claimed invention.

Compounds such as small molecule inhibitors, polynucleotides, polypeptides, or other agents are purified and/or isolated. Purified compounds are at least 60% by weight (dry weight) the compound of interest. Preferably, the preparation is at least 75%, more preferably at least 90%, and most preferably at least 99%, by weight the compound of interest. For example, a purified compound is one that is at least 90%, 91%, 92%, 93%, 94%, 95%, 98%, 99%, or 100% (w/w) of the desired compound by weight. Purity is measured by any appropriate standard method, for example, by column chromatography, thin layer chromatography, or high-performance liquid chromatography (HPLC) analysis. A purified or isolated polynucleotide (ribonucleic acid (RNA) or deoxyribonucleic acid (DNA)) is free of the genes or sequences that flank it in its naturally-occurring state. An "isolated" or "purified" nucleic acid molecule, polynucleotide, polypeptide, or protein, is substantially free of other cellular material, or culture medium when produced by recombinant techniques, or chemical precursors or other chemicals when chemically synthesized. Purified also defines a

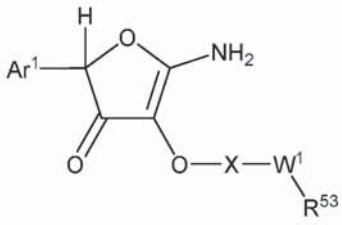
degree of sterility that is safe for administration to a human subject, *e.g.*, lacking infectious or toxic agents.

DETAILED DESCRIPTION

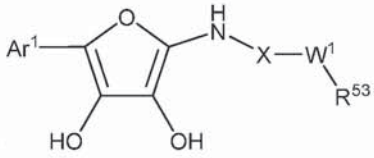
ASPH (a.k.a., AAH) is a member of the α-ketoglutarate-dependent dioxygenase family enzyme. It has a predicted molecular mass of -86kD and catalyzes the hydroxylation of specific Asp (Asparate) and Asn (Asparagine) residues in EGF-like domains of certain receptor proteins such as Notch. Overexpression of ASPH has been observed in a broad range of malignant neoplasms including hepatocellular carcinoma, cholangiocellular carcinoma, pancreatic cancer, prostate cancer, breast cancer, glioblastoma, lung and colon cancer.

However, ASPH has low or negligible expression in normal adult tissues except for proliferating trophoblastic cells of the placenta. In human HCC cell lines, ASPH promotes the motility and invasiveness of tumor cells through upregulation and activation of the Notch signaling cascade. Indeed, ASPH overexpression is reported to be a poor prognostic factor for patients with HCC and predicts early disease recurrence and reduced survival. Especially in colon cancer, there is a significant association between poor surgical outcome and ASPH expression, which is considered an independent risk factor indicating poor prognosis with this disease. Another tumor with high ASPH expression is pancreatic cancer (PC) which is the fourth leading cause of cancer mortality in the United States with a five-year survival rate of 5-6%. PC is an extremely aggressive tumor refractory to most therapies. There is a need to define the molecular pathogenesis of PC and develop more effective treatment strategies. Signaling pathways mediated by ASPH participate in the growth and metastasis of PC during oncogenesis. This surprising discovery on the role of ASPH overexpression in PC pathogenesis indicates that ASPH is a molecular target for therapy and that inhibition of ASPH in this type of cancer leads to clinical benefit.

Accordingly, in one aspect, the invention features an asparatyl (asparaginyl) beta-hydroxylase (ASPH) inhibitory compound for use in a method of reducing proliferation, migration, invasion, or metastasis of a tumor cell in the treatment of cell proliferative disorder, comprising contacting said tumor cell with the ASPH inhibitory compound, wherein the ASPH inhibitory compound is of Formula Ia or Ib:



(Ia) or



(Ib),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

Ar^1 is substituted or unsubstituted C_6-C_{20} aryl or 5 to 20-membered heteroaryl;

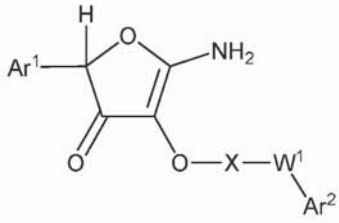
X is C(O), C(S), or $S(O)_2$;

W^1 is a single bond, O, $CR^{50}R^{51}$, or NR^{52} when X is CO and W^1 is a single bond, $CR^{50}R^{51}$, or NR^{52} when X is SO_2; and

each of R^{50} ,R^{51}, R^{52}, and R^{53} independently is selected from the group consisting of hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted C_6-C_{20} aryl, substituted or unsubstituted C_7-C_{26} arylalkyl, substituted or unsubstituted 5 to 20-membered heteroaryl, and substituted or unsubstituted 6-26 membered heteroarylalkyl.

In one embodiment, the compound for said use is of Formula Ia, or a salt, ester, metabolite, prodrug, or solvate thereof. The compound of Formula Ia may have one or more of the following features when applicable.

For example, the compound is of Formula IIa:



(IIa),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

each of Ar^1 and Ar^2 independently is unsubstituted C_6-C_{14} aryl, unsubstituted 5 to 14-membered heteroaryl, or C_6-C_{14} aryl or 5 to 14-membered heteroaryl each substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl;

and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

For example, X is $S(O)_2$ and W^1 is a single bond.

For example, X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

For example, each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

For example, each of Ar^1 and Ar^2 independently is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-

fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

In another embodiment, the compound for said use is of Formula Ib, or a salt, ester, metabolite, prodrug, or solvate thereof. The compound of Formula Ib may have one or more of the following features when applicable.

For example, R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, R^{53} is unsubstituted methyl or ethyl.

For example, X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

For example, X is $S(O)_2$ and W^1 is a single bond.

For example, X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

For example, each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, Ar^1 is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, Ar^1 is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

For example, Ar^1 is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

In one embodiment, said tumor cell expresses ASPH.

In certain embodiments, said cell proliferative disorder comprises Pancreatic Cancer, Hepatocellular Cancer, Cholangiocarcinoma, Lung cancer, Colon Cancer, Breast Cancer, Prostatic Cancer, and Glioblastoma.

In one embodiment, said compound is administered intravenously, orally, or subcutaneously.

In one embodiment, said compound is administered at a dose of 0.01 to 50 milligrams/kilogram of body weight.

ASPH is a highly conserved cell-surface protein in hepatocellular carcinoma (HCC). Both the liver and the pancreas are derived from an early progenitor cell type and ASPH is expressed in embryo but not in adult tissues. ASPH re-expression was observed in human PC tissue microarrays by immunohistochemical staining (IHS) as shown in Figs 1A-E. High level cell surface localization of ASPH was present in 101 of 104 (97%) pancreatic ductal adenocarcinoma with negligible expression in normal pancreas, and other adult human tissues. ASPH enhances cell migration, invasion, and metastasis in HCC and also PC.

Activation of Notch signaling by ASPH is a final effector mechanism responsible for generation of this highly aggressive and malignant phenotype.

Biologic properties of ASPH as a cellular target.

The regulation, expression, and function of ASPH has been observed in many tumors (U.S. Patent Nos. 6,835,370; 7,094,556; 6,812,206; 6,815,415; 6,797,696; 6,783,758; and U.S. Published Patent Application No. 2005-0123545; hereby incorporated by reference) and ASPH has been found to be overexpressed in pancreatic ductal adenocarcinoma (PC) indicating that it is a therapeutic target for treatment of PC. ASPH catalyzes post-translational hydroxylation of β-carbons of specific aspartate and asparaginyl residues in epidermal growth factor (EGF)-like domains residing in proteins such as Notch and Jagged (JAG) which are involved in cell growth, differentiation, cellular migration, adhesion, and motility. The catalytic activity resides in the C-terminus and is conferred by the ^{675}His residue; mutation to an alanine abolishes ASPH enzymatic and transforming activity. ASPH is overexpressed in tumors derived from the endoderm such as liver, pancreas, colon and lung, and translocates from the endoplasmic reticulum (ER) to the plasma membrane where it becomes accessible to the extracellular environment. It has negligible to very low expression in normal human tissue with the notable exception of the placenta which is an invasive tissue, and its expression there is robust.

Compounds are administered directly into a tumor site or systemically to inhibit ASPH hydroxylase activity.

Amino acid sequence of human ASPH

MAQRKNAKSS GNSSSSGSGS GSTSAGSSSP GARRETKHGG HKNGRKGGLS GTSFFTWFMV 61
IALLGVWTSV AVVWFDLVDY EEVLGKLGIY DADGDGDFDV DDAKVLLGLK ERSTSEPAVP 121
PEEAEPHTEP EEQVPVEAEP QNIEDEAKEQ IQSLLHEMVH AEHVEGEDLQ QEDGPTGEPQ 181
QEDDEFLMAT DVDDRFETLE PEVSHEETEH SYHVEETVSQ DCNQDMEEMM SEQENPDSSE 241
PVVEDERLHH DTDDVTYQVY EEQAVYEPLE NEGIEITEVT APPEDNPVED SQVIVEEVSI 301
FPVEEQQEVP PETNRKTDDP EQKAKVKKKK PKLLNKFDKT IKAELDAAEK LRKRGKIEEA 361
VNAFKELVRK YPQSPRARYG KAQCEDDLAE KRRSNEVLRG AIETYQEVAS LPDVPADLLK 421
LSLKRRSDRQ QFLGHMRGSL LTLQRLVQLF PNDTSLKNDL GVGYLLIGDN DNAKKVYEEV 481
LSVTPNDGFA KVHYGFILKA QNKIAESIPY LKEGIESGDP GTDDGRFYFH LGDAMQRVGN 541
KEAYKWYELG HKRGHFASVW QRSLYNVNGL KAQPWWTPKE TGYTELVKSL ERNWKLIRDE 601
GLAVMDKAKG LFLPEDENLR EKGDWSQFTL WQQGRRNENA CKGAPKTCTL LEKFPETTG**C** 661
RRGQIKYSI**M** **HPG**THVWPHT GPTNCRLRMH LGLVIPKEGC KIRCANETRT WEEGKVLIFD 721
DSFEHEVWQD ASSFRLIFIV DVWHPELTPQ QRRSLPAI (SEQ ID NO:3; GENBANK Accession No. S83325; His motif is underlined; conserved sequences within the catalytic domain are designated by bold type)

cDNA sequence of human ASPH

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cggaccgtgc aatggcccag cgtaagaatg ccaagagcag cggcaacagc agcagcagcg 61
gctccggcag cggtagcacg agtgcgggca gcagcagccc cggggcccgg agagagacaa 121
agcatggagg acacaagaat gggaggaaag gcggactctc gggaacttca ttcttcacgt 181
ggtttatggt gattgcattg ctgggcgtct ggacatctgt agctgtcgtt tggtttgatc 241
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tccgagatga aggccttgca gtgatggata aagccaaagg tctcttcctg cctgaggatg1861
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caacaggatg cagaagagga cagatcaaat attccatcat gcaccccggg actcacgtgt2041
ggccgcacac agggcccaca aactgcaggc tccgaatgca cctgggcttg gtgattccca2101
aggaaggctg caagattcga tgtgccaacg agaccaggac ctgggaggaa ggcaaggtgc2161
tcatctttga tgactccttt gagcacgagg tatggcagga tgcctcatct ttccggctga2221
tattcatcgt ggatgtgtgg catccggaac tgacaccaca gcagagacgc agccttccag2281
caatttagca tgaattcatg caagcttggg aaactctgga gaga
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(SEQ ID NO:4 ; GENBANK Accession No. S83325; codon encoding initiating methionine is underlined).

Methods of inhibiting tumor growth also include administering a compound which inhibits HAAH hydroxylation of a NOTCH polypeptide. For example, the compound inhibits hydroxylation of an EGF-like cysteine-rich repeat sequence in a NOTCH polypeptide, e.g., one containing the consensus sequence CDXXXCXXKXGNGXCDXXCNNAACXXDGXDC (SEQ ID NO:2). Polypeptides containing an EGF-like cysteine-rich repeat sequence are administered to block hydroxylation of endogenous NOTCH.

ASPH is expressed in many organs during embryogenesis presumably to promote cell motility and migration for cell patterning and organ development; its expression is "shut off" in the adult only to re-emerge during oncogenesis where its function may be required for generation of malignant phenotypes. It appears not to be overexpressed during cell proliferation; however, there is low-level expression in dysplastic ductal cells of pancreatic intraepithelial lesions (PanINs) as well as dysplastic hepatocytes in hepatitis B (HBV) and C (HCV) infected liver. Transcriptional regulation of ASPH is provided by tripartite signaling pathways IN/IGF1/IRS1/MAPK/ERK, IN/IGF1/IRS1/PI3K/AKT, and WNT/β-Catenin. Post-transcriptional regulation of ASPH is mediated by phosphorylation of GSK-3β-related motifs located in the N-terminal region of the molecule. One mechanism by which ASPH exerts its effector function is by activating downstream Notch signaling to promote cell migration and invasion.

ASPH expression in human tumors

Expression of ASPH in Human Tumors Compared to Normal Tissue by IHS and qRT-PCR

Tumor type	Number	Number Positive (%)
Pancreatic Cancer	101	98 (97%)
Hepatocellular Cancer	95	87 (92%)
Cholangiocarcinoma	20	20 (100%)
Lung	16	16 (100%)
Colon Cancer	10	6 (60%)
Breast Cancer	17	17 (100%)
Prostatic Cancer	32	30 (94%)
Glioblastoma	5	5 (100%)

The table above details the overexpression of ASPH at the protein and RNA level as determined by IHS and qRT-PCR respectively in various human tumors indicating that it is a therapeutic target for a variety of human solid malignancies with a poor prognosis. Figs. 1 and 2 show examples of ASPH protein expression by IHS.

Oncogenic role of ASPH β-hydroxylase activity

The C-terminus of ASPH contains amino acid (AA) sequence of the catalytic site ($M^{670}HPGFH^{675}$) and its sequence is identical in human, rat, mouse, and cattle. The $H^{675}AA$ is specifically involved in Fe^{2+} coordination and critical for its enzymatic activity, also highly conserved in the chicken and fly. A $H^{675}R$ mutation reduces β-hydroxylase activity to <1% of wild-type protein while $H^{675}D$ reduces it to 20%. In this context the $H^{675}R$ mutant protein loses the ability to promote cell proliferation, motility, migration, invasion, colony formation in soft agar, as well as metastasis and tumor formation in nude mice compared to the "wild-type" sequence and it also can function as a dominant negative mutant to inhibit the function of the endogenous "Wild-Type" protein. These findings indicate that inhibition of β-hydroxylase activity promotes anti-tumor effects. The crystal structure of the catalytic site region has been elucidated and is available in the public database (RCSB protein database; code 3RCQ). Small molecule inhibitors for use as anti-tumor agents were identified by their ability to fit into the catalytic site region of ASPH and inhibit ASPH enzymatic activity.

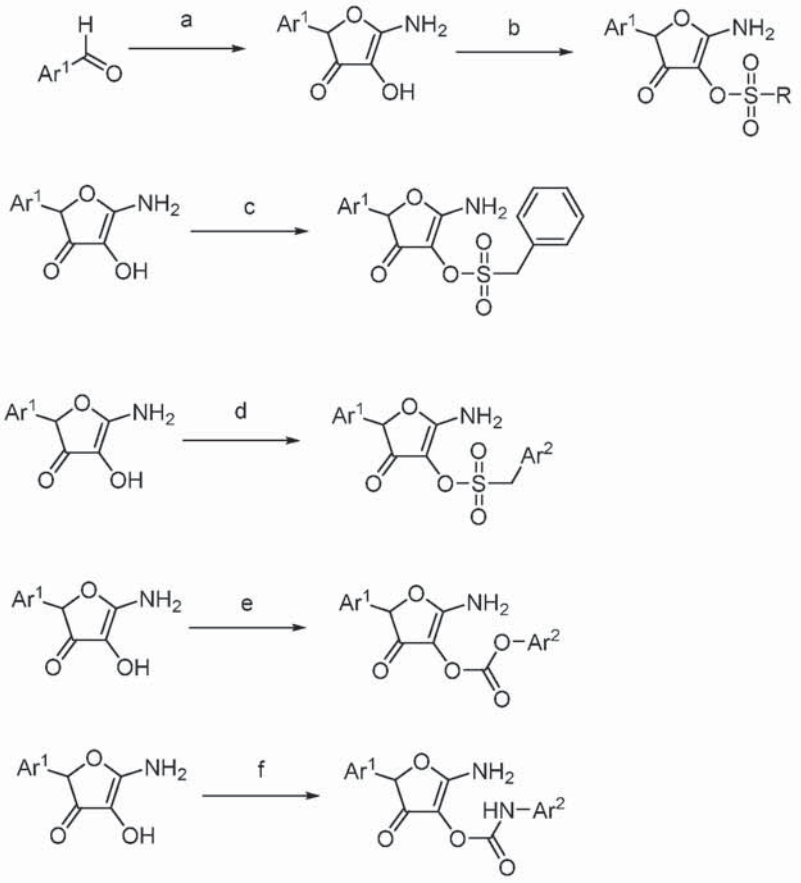
Generation of a small molecule inhibitor (SMI) of ASPH enzymatic activity.

Compounds of the present invention can be prepared in a variety of ways using commercially available starting materials, compounds known in the literature, or from readily prepared intermediates, by employing standard synthetic methods and procedures either known to those skilled in the art, or which will be apparent to the skilled artisan in light of the teachings herein. Standard synthetic methods and procedures for the preparation of organic molecules and functional group transformations and manipulations can be obtained from the relevant scientific literature or from standard textbooks in the field. Although not limited to any one or several sources, classic texts such as Smith, M. B., March, J., *March's Advanced Organic Chemistry: Reactions, Mechanisms, and Structure*, 5th edition, John Wiley & Sons: New York, 2001; Greene, T.W., Wuts, P.G. M., *Protective Groups in Organic Synthesis*, 3rd edition, John Wiley & Sons: New York, 1999; R. Larock, *Comprehensive Organic Transformations*, VCH Publishers (1989); L. Fieser and M. Fieser, *Fieser and Fieser's*

Reagents for Organic Synthesis, John Wiley and Sons (1994); and L. Paquette, ed., *Encyclopedia of Reagents for Organic Synthesis*, John Wiley and Sons (1995), incorporated by reference herein, are useful and recognized reference textbooks of organic synthesis known to those in the art. The following descriptions of synthetic methods are designed to illustrate, but not to limit, general procedures for the preparation of compounds of the present invention.

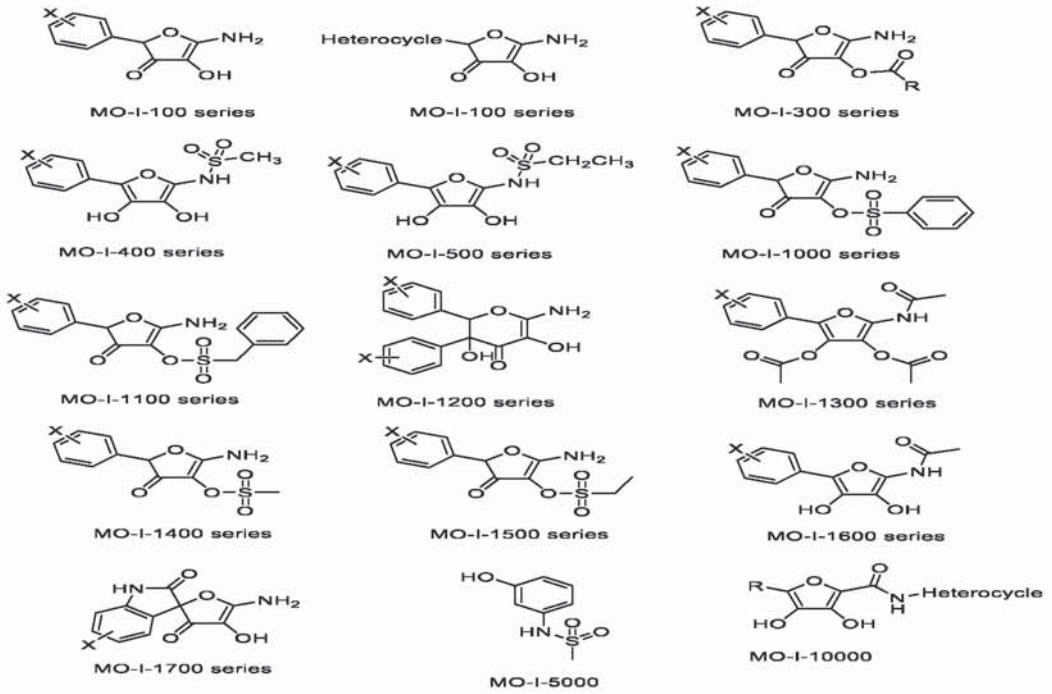
In the reaction schemes described herein, multiple stereoisomers may be produced. When no particular stereoisomer is indicated, it is understood to mean all possible stereoisomers that could be produced from the reaction. A person of ordinary skill in the art will recognize that the reactions can be optimized to give one isomer preferentially, or new schemes may be devised to produce a single isomer. If mixtures are produced, techniques such as preparative thin layer chromatography, preparative HPLC, preparative chiral HPLC, or preparative SFC may be used to separate the isomers.

Scheme 1



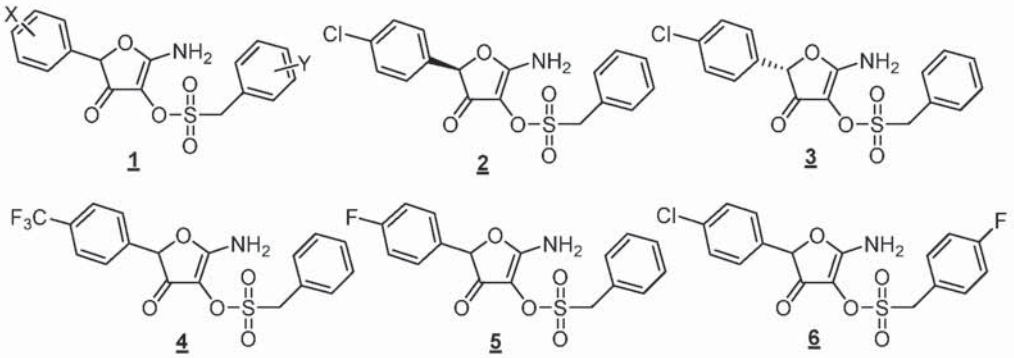
Scheme 1 above shows the synthetic strategies for compounds of Formula Ia. Reactions a-f are as follows: (a) KCN, glyoxal, Na_2CO_3, H_2O; (b) $ClSO_2R$, TEA, THF; (c) $ClSO_2CH_2Ph$, TEA, THF; (d) $ClSO_2CH_2Ar$, TEA, THF; (e) $ClCO_2Ar$, TEA, THF; (f) RNCS, Na_2CO_3, H_2O.

a. Synthesis and characterization of novel SMI for ASPH. Based on the crystal structure of the ASPH catalytic site, computer generated drug design was performed that has led to the synthesis of a series of parent compounds and derivatives to fit into the pocket of the catalytic site and inhibit the β-hydroxylase activity. Parent compounds ("MO" series shown below) were synthesized and examined for inhibition of β-hydroxylase activity using a high throughput screening assay. Synthesis of ASPH inhibitors was accomplished in two steps. The first step was a three component reaction including an aromatic aldehyde, glyoxal bisulfate addition product, and potassium cyanide to yield an arylhydroxytetronimide



In the second step arylhydroxytetronimide was sulfonylated with phenylmethansulfonyl chloride in dry tetrahydrofuran to yield compounds of formula 1 (shown below). Compounds were characterized by 1H and 13C nuclear magnetic resonance, high resolution mass spectroscopy, high performance liquid chromatography, infra-red spectroscopy, melting point, elemental analysis and binding to ASPH by isothermal titration calorimetry.

Candidate parent compounds were selected as inhibitors for β-hydroxylase activity based on crystal structure of the catalytic site in the C-terminal region of ASPH and computer assisted drug design. MO-1-400, 500, 1000, and 1100 were then confirmed to demonstrate ASPH binding and ASPH enzymatic inhibitory activity.



Several compounds of the general structure 1 were synthesized and evaluated for ASPH inhibition. Structure of the lead compounds (2, 3, 4, 5 and 6 shown above) are used as a highly specific ASPH β-hydroxylase inhibitors of PC and other ASPH expressing tumors both in vitro and in vivo. Optical resolution of the lead compound into the (R) 2 and (S) 3 enantiomers is performed to evaluate the impact of the stereocenter on potency. Fluorinated derivatives 4-6 are be prepared to enable MRI visualization of drug penetration and persistence.

Existing structure activity relationship (SAR) exploration. The MO-1-1000, MO-1-1100, 400 and 500 compounds were identified as hits. This led to identification of a mixture of enantiomers as the lead compounds 2 and 3 and the additional recognition that the MO-1-500 compound demonstrated biologic activity through its action on ASPH enzymatic activity.

Characterization of a high throughput enzymatic assay for ASPH activity. EGF and EGF-like domains are well known in the art and generally include six cysteine residues which have been shown (in EGF) to be involved in disulfide bonds. The main structure is a two-stranded beta-sheet followed by a loop to a C-terminal short two-stranded sheet. Subdomains between the conserved cysteines vary in length. Examples include those described in Davis, CG, 1990, New Biol.2(5):410-9; Blomquist et al., 1984, Proc Natl Acad Sci U S A. 81(23):7363-7; Hommel et al., 1002, J Mol Biol. 227(1):271-82; Doolittle et al., 1984, Nature. 307(5951):558-60; Appella et al., 1988, FEBS Lett. 231(1):1-4; Sorkin A., 2001, Biochem Soc Trans. Aug;29(Pt 4):480-4; each of which is hereby incorporated by reference). Figs. 3A-C represents the strategy for development and characterization of the performance of an assay to measure ASPH enzymatic activity. Fig. 3A describes the biochemical reaction

catalyzed by ASPH. Fig. 3B depicts the read-out of the assay. Protein lysates were extracted from FOCUS cells (high level of ASPH cell surface expression) treated with 1–10 μM of each parent compound for 24 hours. The lysates were added into 96 well-plates coated with ASPH monoclonal antibodies (mAbs) to add an antigen specific (ASPH) capture step to the assay design. After incubation and washing, only ASPH is captured on each well. The reaction is carried out with 60 μM of an EGF domain containing 39AA peptide, 100 μM $FeCl_2$ and 40 μM ^{14}C labeled α-ketoglutarate were added into each well. The $^{14}CO_2$ was captured on a glass fiber membrane soaked in $Ca(OH)_2$. Radioactivity captured was quantified by a phosphor-imager as shown in Fig.3C. Fig. 3D shows that MO-1-1100 at a concentration of 1 μM substantially inhibits β-hydroxylase activity. The compound was further characterized for clinical use as an anti-tumor agent for PC and HCC and other ASPH-expressing tumors.

PC growth inhibition in a preclinical murine model

After demonstrating that MO-1-1100 inhibited β-hydroxylase activity using the ASPH specific mAb capture enzymatic assay, its activity as an anti-tumor agent in a nude mouse model of subcutaneous (s.c.) tumor growth was evaluated. The HPAFII AsPC-1 human PC cell line which expresses a high level of ASPH was implanted (5×10^6 cells s.c.) into the back of nude mice. Tumors were allowed to grow to approximately 100 mm^3 after one week, and MO-1-1100 was then administered intraperitoneal (i.p.) at a concentration of 50 mg/kg. The treatment regimen as shown in Fig.4A-B included i.p. injections on a daily basis for five days followed by every other day until the experiment was terminated due to the large size of tumors observed in the control group that received a DMSO vehicle injection. Fig. 4A-B demonstrates the growth rate and substantial inhibition of HPAFII tumor formation over the course of the study. There were 15 nude mice in each group (control vs. treatment). Therefore, this study demonstrates that the compound (MO-1-1100) was active *in vitro* inhibiting ASPH β-hydroxylase activity, and performed well *in vivo* as an anti-tumor agent.

In vitro effects of ASPH inhibitors for cell proliferation and metabolism

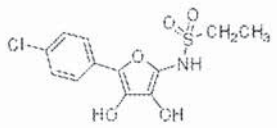
Studies with MO-1-1100

A MTT assay was performed to evaluate the effect of MO-1-1100 on cell proliferation and viability. MTT is reduced to purple formazan in living cells. FOCUS cells were used as a high ASPH expressing human HCC cell line. The results shows that treatments with MO-1-1100 for 24 hours dose-dependently decreased the OD (optical density) value in FOCUS cells (Figs 5A-B). However, in NIH-3T3 cells, which is a mouse embryo fibroblast cell line not expressing ASPH, MO-1-1100 had no effect on the OD value

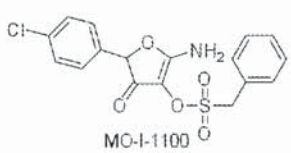
of MTT indicating that MO-1-1100 is highly specific for the β-hydroxylase activity of ASPH and did not affect cells that lacked ASPH expression. The MTT assay measures cellular metabolic activity via NAD(P)H-dependent cellular oxidoreductase enzymes. However, as shown in Fig. 5C, MO-1-1100 also decreased cell viability in human HCC cell lines at 5μM (FOCUS, Hep3B, HepG2 and Huh7) which express ASPH (inhibition rate 37.9%, 60%, 59%and 50%, respectively) but not NIH 3T3 cells with no expression of ASPH. In order to evaluate the effect of long-term exposure of MO-1-1100, the colony formation assay (an assay of malignant potential and phenotype) in which cells were treated with inhibitor for 3 weeks was performed. Treatment with MO-1-1100 resulted in reduced colony formation at 5 μM concentration (inhibition rate 36.8%) (Figs. 6A-B).

Studies on MO-1-500

We performed similar studies using the MO-1-500 compound. Similar to the findings in MO-1-1100, we observed that MO-1-500 at 5 μM also inhibited ASPH enzymatic activity as measured by the ^{14}CO2-ketoglutarate-dependent capture assay. The structure of MO-1-500 is quite different than MO-1-1100 as shown in Figs. 7A-B. Additional experiments measured the *in vitro* effects of MO-1-500 on cell proliferation and metabolism as shown in Figs. 8A-D. In contrast to MO-1-1100 effects, MO-1-500 has striking affects on cell proliferation and metabolic activity at micromolar concentrations in both FOCUS cells (which contain high levels of ASPH cell surface expression) and NIH-3T3 cells which do not. These findings indicate that MO-1-500 has hydroxylase inhibitory activity but also probably inhibits other hydroxylases or proteins that may be important for cell viability and growth as well since NIH 3T3 cells lacking ASPH were susceptible to its inhibitory effects. More striking was the colony formation assay that showed that 1.25 μM concentrations of MO-1-500 had substantial inhibitory effects on colony formation of FOCUS HCC cells indicating high potency in this assay of cellular transformation. In summary both MO-1-1100 and MO-1-500 inhibit ASPH β-hydroxylase activity, cell viability and metabolism, as well as colony formation in soft agar but MO-1-1100 is more highly specific for the β-hydroxylase of ASPH as shown in Figs. 5A-C.



MO-I-500



MO-I-1100

In vitro effects of ASPH inhibitor for Anchorage-independent cell growth.

Studies on MO-1-1100

The effect of MO-1-1100 on anchorage independent growth in soft agar was evaluated. The ability of forming colonosphere in soft agar is considered to be a rigid test for tumorigenic potential. ASPH expression results in cells acquiring the ability to form colonospheres in soft agar. These results indicated that ASPH plays a key role in establishing tumor, growth, invasion and metastasis *in vivo*. In order to evaluate the effect of ASPH inhibitor for anchorage independent colony formation, FOCUS cells were incubated in soft agar with or without this ASPH enzymatic inhibitor. After 3 weeks incubation, MO-1-1100 reduced colonosphere formation of FOCUS cells (Fig. 9A). As shown in Fig. 9B,C treatment with MO-1-1100 produced a dose-dependent and highly significant reduction both in number and size of the colonies after 3 weeks of culture. This assay is a standard method to monitor malignant growth that reflects the ability to form tumors that grow aggressively *in vivo*. These results confirm that MO-1-1100 impairs the generation of a malignant phenotype *in vitro*.

Studies with MO-1-500

The effect of MO-1-500 on anchorage independent cell growth was evaluated as shown in Figs. 10A-B. It was striking that 1 μM exposure of HCC cells grown in soft agar dramatically reduced the number of colonies formed, and the colony size in a dose-dependent manner. Thus, MO-1-500 had very similar but more potent effects on anchorage-independent cell growth as did MO-1-1100 for this assay of cellular transformation that correlates well with tumor formation *in vivo*.

In vitro effects of ASPH inhibitors for cell motility and invasiveness in human HCC cell.

Studies with MO-1-1100

The β-hydroxylase activity is required for ASPH to mediate its effects on cell motility. Directional motility was measured using Boyden chamber-type culture inserts equipped with porous membranes. FOCUS cells were pretreated with 5 μM of MO-1-1100 and MO-1-500 β-hydroxylase inhibitor for 24 hours, and then placed into the upper chamber. Migration was allowed to proceed for 30 min. ATPLite was used to quantify viable cell density. The cells in the upper well and upper surface of membrane reflects the number of non-migrating cells, luminescence measured at the bottom surface of the membrane reflects the number of migrating and non-adherent cells and luminescence measured in the bottom well was reflect migrating and non-adherent cells. As shown in Fig. 11A-C total migrated

cells were reduced following MO-1-1100 treatment but the population of non-adherent cells was unchanged; the migrating and adherent cells were significantly reduced. Cell invasiveness was assessed by invasion assay using matrigel coated membrane, in which cells were allowed to proceed for 6 hours; those found adhered on the bottom surface of membrane were regarded as invading cells. MO-1-1100 treatment FOCUS cells significantly reduced invasiveness compared to cells incubated with DMSO as a control (Fig. 11D). Results demonstrated that MO-1-1100 inhibited cell motility, migration and invasiveness of human HCC cells.

Studies with MO-1-500

In vivo effects of ASPH inhibitors on cell motility and invasiveness were evaluated using the human HCC FOCUS cell line. As shown in Figs. 12A-B, MO-1-500 had a pronounced effect on cell motility and invasion as well. Note that MO-1-500 was slightly more potent inhibiting cell motility and invasion than MO-1-1100, but both were highly active in these two assays that characterize the malignant phenotype. Thus, these small molecule inhibitors of ASPH-β-hydroxylase have a profound effect on the function of the metastatic phenotype by reducing the ability of tumor cells to migrate and invade, and thus substantially alter their biologic function and metastatic potential.

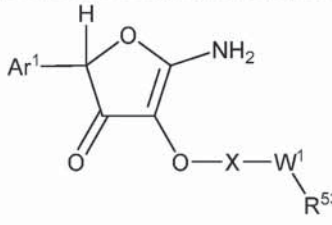
In vivo effects of an ASPH inhibitor, MO-1-1100, on subcutaneous xenograft development and growth of human hepatocellular carcinoma.

The human HCC cell line FOCUS is known to be a highly aggressive tumor forming cell line *in vivo*. To investigate *in vivo* anti-tumor efficacy of the ASPH inhibitor, MO-1-1100 at 20 mg/kg per day was administered on 5 consecutive days for 2 weeks and every other day thereafter. As shown in Figs. 13A-B, the administration of MO-1-1100 significantly reduced HCC subcutaneous xenograft growth. The mean tumor volumes were substantially decreased by treatment with MO-1-1100 on day 12 following treatment, and tumor volumes in treated mice were reduced an average of 31.7% compared to those observed in control mice (Fig. 13B). None of the MO-1-1100 treated mice showed signs of wasting or other adverse effects relative to control mice. Thus, MO-1-1100 was tolerated well at this dose level where striking antitumor efficacy was observed. Thus, a specific β-hydroxylase inhibitor such as MO-1-1100 substantially reduced tumor growth of HCC as shown in Figs. 13A-B but also inhibited the development and growth of pancreatic cancer as well (Fig. 4A-B) since the tumor also has high level expression of ASPH. Such findings indicate that any ASPH expressing human tumor are responsive to these specific β-hydroxylase inhibitors. These ASPH inhibitor compounds represent a class of anti-tumor

agents that has substantial anti-tumor activity against a large number of solid human tumors known to have a dismal prognosis.

ASPH is overexpressed on the cell surface of human tumor cells within solid tumors and has low or negligible expression in normal human tissues. ASPH expression is present in most, if not all, tumor cells. ASPH is also exposed to the extracellular environment which makes it an excellent therapeutic target since it has easy access to small molecule inhibitors of the catalytic activity through the blood. The data described herein support the following conclusions: ASPH overexpression causes increased motility, migration, invasion and metastasis of HCC cells as well as other human tumor cell lines; many human solid tumors with a dismal prognosis overexpress ASPH on the cell surface including but not limited to pancreatic, hepatocellular, cholangio-, colon, breast, prostate, lung, and glioblastoma cancers; the catalytic site and enzymatic activity are critical for ASPH mediated malignant transformation, and the subsequent generation of an invasive and metastatic tumor phenotype; ASPH exerts its biologic effects on increased migration, invasion, and metastasis of tumor cells by activation of Notch signaling cascade; small molecule inhibitors of the β-hydroxylase activity have been discovered based on the crystal structure of the C-terminal catalytic site of ASPH; tumor cells exposed to these inhibitors such as MO-1-1100 and MO-1-500 have reduced proliferation, migration, invasion, and colony formation in soft agar which impairs the ability of these cells to grow and metastasize; these small molecule inhibitors of ASPH enzymatic activity have striking and unexpected anti-tumor effects *in vivo* in animal models of human pancreatic and liver cancer growth and development. Thus, these studies demonstrate that compounds which specifically inhibit the β-hydroxylase activity are useful to reduce the growth and/or inhibit metatstases of ASPH expressing human solid tumors, in particular those known to have a dismal clinical prognosis, e.g., Pancreatic Cancer, Hepatocellular Cancer, Cholangiocarcinoma, Lung, Colon Cancer, Breast Cancer, Prostatic Cancer, and Glioblastoma.

In another aspect, this invention features a compound of Formula Ia:



(Ia),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

Ar^1 is substituted or unsubstituted C_6-C_{20} aryl or 5 to 20-membered heteroaryl;

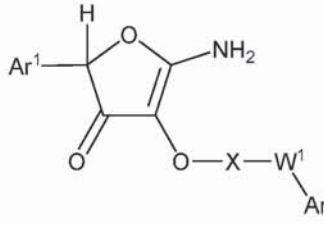
X is C(O), C(S), or $S(O)_2$;

W^1 is a single bond, O, $CR^{50}R^{51}$, or NR^{52} when X is CO and W^1 is a single bond, $CR^{50}R^{51}$, or NR^{52} when X is SO_2; and

each of R^{50} ,R^{51}, R^{52}, and R^{53} independently is selected from the group consisting of hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted C_6-C_{20} aryl, substituted or unsubstituted C_7-C_{26} arylalkyl, substituted or unsubstituted 5 to 20-membered heteroaryl, and substituted or unsubstituted 6-26 membered heteroarylalkyl, provided that when Ar^1 is 4-chlorophenyl, X is C(O), and W^1 is a single bond, then R^{53} is not unsubstituted methyl or unsubstituted phenyl.

The compound of Formula Ia may have one or more of the following features when applicable.

For example, the compound is of Formula IIa:



(IIa),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

each of Ar^1 and Ar^2 independently is unsubstituted C_6-C_{14} aryl, unsubstituted 5 to 14-membered heteroaryl, or C_6-C_{14} aryl or 5 to 14-membered heteroaryl each substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

For example, X is $S(O)_2$ and W^1 is a single bond.

For example, X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

For example, each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

For example, each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

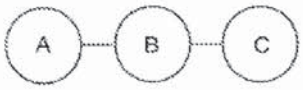
For example, each of Ar^1 and Ar^2 independently is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-

dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

For example, the compound of Formula Ia or IIa is an ASPH inhibitory compound.

The present invention also relates to compounds of Formula I, a pharmaceutically-acceptable salt thereof, and mixtures of any of the forgoing:

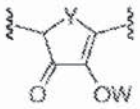
(I)

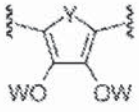


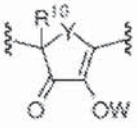
wherein A is a substituted or unsubstituted single-, fused, or polycyclic, aryl or heteroaryl ring;

wherein said aryl or heteroaryl ring comprises at least one R group selected from the group consisting of -H, -OH, -SH, -CN, -F, -Cl, -Br, -CN, $N0_2$, -NO, $-NH_2$, -NHR, -NRR, -C(O)R, -C(O)OH, -C(O)OR, $-C(O)NH_2$, - C(O)NHR, and -C(O)NRR, -SOR, $-SO_2R$, $-SO_2NH_2$, $-SO_2NHR$, $-SO_2NR_2$;

wherein each R is independently selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;







wherein Y is O, S; and

wherein R10 is selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26})

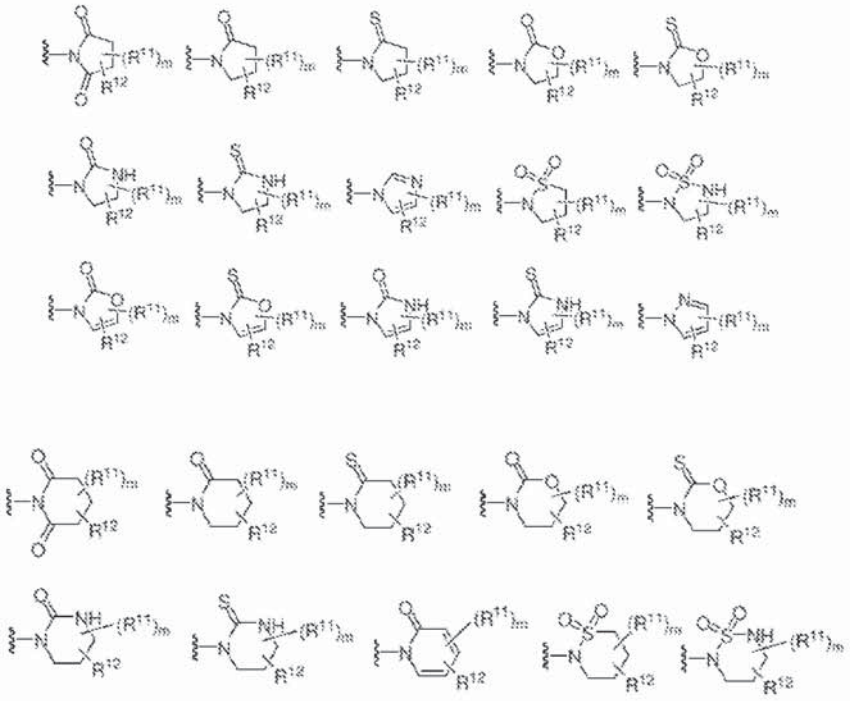
arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl; and

wherein W is selected from the group consisting of hydrogen, alkyl, haloalkyl, -C(O)R, -C(O)OR, -SOR, - SO_2R, -C(O)NHR, -C(O)NR_2 where R is independently (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl; and

wherein C is selected from the group consisting of

-$NHSO_2R$, -N(R)SO_2R, -NHC(O)R, -NRC(O)R, -NHC(O)OR, -NRC(O)OR, -NHC(S)R, -NRC(S)R, -NHC(S)OR, - NRC(S)OR, -NH2 where R is independently (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

or wherein C is selected from the group consisting of



wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen, -OH, -F, -Cl, - Br, -I, -C(O)R, $-CO_2R$, $-CONH_2$, -CN, -SO2R, (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl;

wherein R is (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl; and

wherein C may not be -NH2, unless W contains a sulfur.

Specific compounds encompassed by Formula I

The compounds encompassed by **Formula I** include the following:

2-(2-chlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone;2-(2-chlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-chlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl) ethanesulfonamide; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl) benzenesulfonamide; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl) acetamide; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl) benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl) succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-chlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy- 4-hydroxy-5-(2-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)-3-20 bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-chlorophenyl)-2-

furanyl)ethanesulfonamide; 2-(2-chlorophenyl)-2- methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-chlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-chlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2- chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2- chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-chlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3-chlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3-chlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2- furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3-

chlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-5 methyl-N'-(3,4-dihydroxy-5-(3-chlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3-chlorophenyl)-2-furanyl)ethanesulfonamide; 2-(3-chlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3-chlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)- ethanesulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-chlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4- bromobutanamide; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-chlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-chlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid

ethyl ester; N-(2-(3-chlorophenyl)-2- methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-chlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(4-chlorophenyl)-4- (acetoxy)-5-amino-3(2H)-furanone; 2-(4-chlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2- furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)-2- pyrrolidinone; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)-1,1-20 dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(4- chlorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide; 2-(4-chlorophenyl)-2- methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(4-chlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-

chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-chlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4- chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-chlorophenyl)-2-methyl-4- acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4- chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,3-dichlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,3-dichlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,3-dichlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-1,1-dioxide-

isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,3-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2,3- dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-3- bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)-1,1-dioxide- isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,3-dichlorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,3-dichlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-5 bromobutanamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,3-dichlorophenyl)-2- methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,3-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-

bromobutanamide; N-(2-(2,3-dichlorophenyl)-2- methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,3-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)- ethanesulfonamide; 2-(2,4-dichlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,4-dichlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,4-dichlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N- methyl-N'-(3,4-dihydroxy-5-(2,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2- furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,4-dichlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-

benzenesulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,4-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy- 3(2H)-furanon-5-yl)-acetamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,4-dichlorophenyl)-2- methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,5-dichlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,5-dichlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,5-dichlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-1,1-dioxide-

isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2,5- dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-3- bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)-1,1-dioxide- isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,5-dichlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,5-dichlorophenyl)-2- methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,5-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-

bromobutanamide; N-(2-(2,5-dichlorophenyl)-2- methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,6-dichlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,6-dichlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,6-dichlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,6-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2,6- dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,6-dichlorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,6-dichlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-

benzenesulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,6-dichlorophenyl)-2- methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,6-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,6-dichlorophenyl)-2- methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,6-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,4-dichlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3,4-dichlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3,4-dichlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-1,1-dioxide-

isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3,4- dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)-1,1-dioxide- isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3,4-dichlorophenyl)-2-furanyl)ethanesulfonamide; 2-(3,4-dichlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,4-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,4-dichlorophenyl)-2- methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,4-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-

bromobutanamide; N-(2-(3,4-dichlorophenyl)-2- methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,4-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,5-dichlorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3,5-dichlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3,5-dichlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2- furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3,5-dichlorophenyl)-2-furanyl)ethanesulfonamide; 2-(3,5-dichlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-

benzenesulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,5-dichlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy- 3(2H)-furanon-5-yl)-acetamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,5-dichlorophenyl)-2- methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,5-dichlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-fluorophenyl)-4-(acetoxy)-5- amino-3(2H)-furanone; 2-(2-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4- dihydroxy-5-(2-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-

dihydroxy-5-(2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4- hydroxy-5-(2-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-fluorophenyl)-2-methyl- 4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-fluorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-fluorophenyl)-

2-methyl-4- acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3-fluorophenyl)-4- (acetoxy)-5-amino-3(2H)-furanone; 2-(3-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4- dihydroxy-5-(3-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)-2- pyrrolidinone; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4- hydroxy-5-(3-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(3-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-fluorophenyl)-2-methyl-4-

hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-fluorophenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(4-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(4-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4- dihydroxy-5-(4-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)- carbamic-acid ethyl ester; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)methanesulfonamide; (3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)-

acetamide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(4-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(4-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,3-difluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,3-difluorophenyl)-4-

(acetoxy)-5-amino-3(2H)-furanone; 2-(2,3-difluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)-carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)succinimide;N-(3-trimethylsilyloxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,3-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,3-difluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,3-difluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-

yl)-3- bromopropylsulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,3-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone;N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-
5 furanon-5-yl)-methanesulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-
10 5-yl)-benzamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-
15 yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,3-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)- ethanesulfonamide; 2-(2,4-difluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,4-difluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,4-difluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-
20 furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,4-
25 difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,4-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-
30 furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)-acetamide;N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-20 furanyl)benzamide; N-(3-

acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,4-difluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,4-difluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,5-difluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,5-difluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,5-difluorophenyl)-4-

[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,5-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,5-difluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,5-difluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide;N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-

bromopropylsulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,6-difluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,6-difluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,6-difluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,6-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-

hydroxy-5-(2,6-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)-1,1-dioxide- isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,6-difluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2,6-difluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide;N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,6-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,6-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,4-difluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3,4-difluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3,4-

difluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3,4-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3,4-difluorophenyl)-2-furanyl)ethanesulfonamide; 2-(3,4-difluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2- (3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-

bromopropylsulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,4-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,4-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,5-difluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3,5-difluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3,5-difluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3,5-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-

hydroxy-5-(3,5-difluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3,5-difluorophenyl)-2-furanyl)ethanesulfonamide; 2-(3,5-difluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,5-difluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)- furanon-5-yl)-succinimide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,5-difluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-methoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-methoxyphenyl)-4-acetoxy)-5-amino-3(2H)-furanone; 2-(2-methoxyphenyl)-

4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)-carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)ethanesulfonamide;N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-methoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(2-methoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-methoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-methoxyphenyl)-2-methyl-4- hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-

(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-methoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-methoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3-methoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3-methoxyphenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-20furanyl)acetamide; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-

hydroxy-5-(3-methoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3-methoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(3-methoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3-methoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-methoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)- furanon-5-yl)-2-pyrrolidinone; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-methoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(4-methoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(4-methoxyphenyl)- 4-

[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(4-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-methoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(4-methoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(4-methoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)- furanon-5-yl)-benzamide; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-

(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-methoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-methoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-methoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,3-dimethoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,3-dimethoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,3-dimethoxyphenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-

furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,3-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(2,3-dimethoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,3-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,3-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)- ethanesulfonamide; 2-(2,4-dimethoxyphenyl)-5-amino-4-

hydroxy-3(2H)-furanone; 2-(2,4-dimethoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,4-dimethoxyphenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,4-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(2,4-dimethoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)- furanon-5-yl)-ethanesulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone;N-(2-(2,4-

dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)- ethanesulfonamide; 2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,5-dimethoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,5-dimethoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,5-dimethoxyphenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-

dimethoxyphenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(2,5-dimethoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)- benzenesulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-

5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,6-dimethoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2,6-dimethoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2,6-dimethoxyphenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)acetamide;N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2,6-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(2,6-dimethoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)- furanon-5-yl)-ethanesulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,6-

dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)- furanon-5-yl)-2-pyrrolidinone; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,6-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2,6-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,4-dimethoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3,4-dimethoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3,4-dimethoxyphenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-

dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3,4-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(3,4-dimethoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)- furanon-5-yl)-2-pyrrolidinone; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,4-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-

succinimide;N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,4-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,5-dimethoxyphenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3,5-dimethoxyphenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3,5-dimethoxyphenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2- furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3,5-dimethoxyphenyl)-2-furanyl)ethanesulfonamide; 2-(3,5-dimethoxyphenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,5-

dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)- furanon-5-yl)-2-pyrrolidinone; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,5-dimethoxyphenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3,5-dimethoxyphenyl)- 2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3,5-dimethoxyphenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-chloro-6-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-chloro-6-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-chloro-6-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-

5-(2-chloro-6-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-chloro-6-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2-chloro-6-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-

(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chloro-6-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-chloro-4-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3-chloro-4-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3-chloro-4-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(3-chloro-4-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-

methanesulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-chloro-4-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-chloro-4-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-chloro-4-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-

(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-chloro-4-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2-chloro-4-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chloro-

4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chloro-4-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-chloro-3-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(4-chloro-3-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(4-chloro-3-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)ethanesulfonamide; N- (3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine;

N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-chloro-3-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(4-chloro-3-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-chloro-3-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-chloro-2-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3-chloro-2-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3-chloro-2-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-

2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide;2-(3-chloro-2-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-chloro-2-

fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-chloro-2- fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-chloro-5-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-chloro-5-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-chloro-5-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-4-

bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-chloro-5-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(2-chloro-5-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N- (2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-chloro-5-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-chloro-2-fluorophenyl)-5-amino-4-hydroxy-3(2H)-

furanone; 2-(4-chloro-2-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(4-chloro-2-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(4-chloro-2-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-

3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(5-chloro-2-fluorophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(5-chloro-2-fluorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(5-chloro-2-fluorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-

fluorophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(5-chloro-2-fluorophenyl)-2-furanyl)ethanesulfonamide; 2-(5-chloro-2-fluorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)- benzamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(5-

chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(5-chloro-2-fluorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(phenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(phenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; -(phenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(phenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(phenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(phenyl)-2-furanyl)-3-bromopropylsulfonamide; N- (3,4-dihydroxy-5-(phenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(phenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(phenyl)-2-furanyl)ethanesulfonamide; 2-(phenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(phenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethylester; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-

dioxide-isothiazolidine; N-methyl-N'-(2-(phenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(phenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2- (phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(phenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-thiophenyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-thiophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-thiophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-thiophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-thiophenyl)-2-furanyl)ethanesulfonamide; 2-(2-thiophenyl)-2-

methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-thiophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)- furanon-5-yl)-methanesulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-thiophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-thiophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)- benzamide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-thiophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-furanyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-furanyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-furanyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-furanyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-furanyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-

dihydroxy-5-(2-furanyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-furanyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-furanyl)-2-furanyl)ethanesulfonamide; 2-(2-furanyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-furanyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)- methanesulfonamide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-furanyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-furanyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-

dioxide-isothiazolidine; N-methyl-N'-(2-(2-furanyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-thiazolyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-thiazolyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-thiazolyl)-4- [[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-thiazolyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-thiazolyl)-2-furanyl)ethanesulfonamide; 2-(2-thiazolyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)- furanone; 2-(2-thiazolyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-

methyl-N'-(2-(2-thiazolyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-thiazolyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-thiazolyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)- ethanesulfonamide; 2-(1-naphthyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(1-naphthyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(1-naphthyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(1-naphthyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(1-naphthyl)-2-furanyl)ethanesulfonamide; 2-(1-naphthyl)-2-methyl-4-trimethylsilyloxy-5-

amino-3(2H)-furanone; 2-(1-naphthyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(1-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(1-naphthyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(1-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-naphthyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-naphthyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-naphthyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-naphthyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'- (3,4-

dihydroxy-5-(2-naphthyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-naphthyl)-2-furanyl)ethanesulfonamide; 2-(2-naphthyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-naphthyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-naphthyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-naphthyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-naphthyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-naphthyl)-2-methyl-4-acetoxy-

3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-pyridyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-pyridyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-pyridyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-pyridyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-pyridyl)-2-furanyl)ethanesulfonamide; 2-(2-pyridyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-pyridyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-pyridyl)-2-methyl-4-

acetoxy-5-amino-3(2H)-furanone; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)- succinimide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-pyridyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3-pyridyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3-pyridyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3-pyridyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3-pyridyl)-2-furanyl)ethanesulfonamide; 2-(3-pyridyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3-pyridyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3-

pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)- ethanesulfonamide; 2-(3-pyridyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-pyridyl)-5-amino-4-hydroxy-3(2H)-furanone; 2- (4-pyridyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(4-pyridyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(4-pyridyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-

furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)- acetamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)- carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-pyridyl)-2-furanyl)ethanesulfonamide; 2-(4-pyridyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(4-pyridyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-pyridyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-pyridyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-pyridyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-quinolinyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(2-

quinolinyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(2-quinolinyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(2-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(2-quinolinyl)-2-furanyl)ethanesulfonamide; 2-(2-quinolinyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(2-quinolinyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(2-quinolinyl)-2-methyl-4-

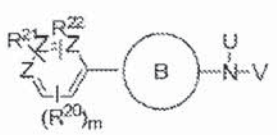
acetoxy-5-amino-3(2H)-furanone; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(2-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-quinolinyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(3-quinolinyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(3-quinolinyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)- carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-4-bromobutanamide;N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(3-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(3-quinolinyl)-2-furanyl)ethanesulfonamide; 2-(3-quinolinyl)-2-methyl-

4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(3-quinolinyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(3-quinolinyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3- bromopropylsulfonamide; N-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(3-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-quinolinyl)-5-amino-4-hydroxy-3(2H)-furanone; 2-(4-quinolinyl)-4-(acetoxy)-5-amino-3(2H)-furanone; 2-(4-quinolinyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)methanesulfonamide; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)benzenesulfonamide; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)acetamide; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)-carbamic acid ethyl ester; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)benzamide; N-(3-trimethylsilyloxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)-4-bromobutanamide; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)-2-pyrrolidinone; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)succinimide; N-(3-trimethylsilyloxy-4-hydroxy-

5-(4-quinolinyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3,4-dihydroxy-5-(4-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)methanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)ethanesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)benzenesulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)-acetamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)-carbamic acid ethyl ester; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)benzamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)-4-bromobutanamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)-2-pyrrolidinone; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)succinimide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)-3-bromopropylsulfonamide; N-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-quinolinyl)-2-furanyl)ethanesulfonamide; 2-(4-quinolinyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone; 2-(4-quinolinyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-quinolinyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide; 2-(4-quinolinyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-

furanon-5-yl)-2-pyrrolidinone; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide; N-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; N-methyl-N'-(2-(4-quinolinyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide.

Another aspect of the invention relates to a subset of the compounds represented by the structure of **Formula I** that are represented by the structure of **Formula II**:



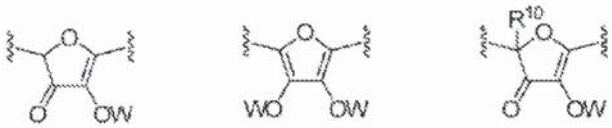
(II)

wherein Z is selected from the group consisting of C and N;

wherein R^{20}, R^{21}, and R^{22} are independently selected from the group consisting of H, -F, -Cl, -Br, -I, -OH, -SH, -CN, $N0_2$, -NO, $-NH_2$. -NHR, -NRR, -C(O)R, -C(O)OH, -C(O)OR, $-C(O)NH_2$, -C(O)NHR, -C(O)NRR, -SOR, - SO_2R, $-SO_2NH_2$, $-SO_2NHR$, and $-SO_2NR_2$;

wherein each R is independently selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

wherein B is selected from the group consisting of



wherein R^{10} is selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

wherein W is selected from the group consisting of hydrogen, alkyl, haloalkyl, -C(O)R, -C(O)OR, -SOR, - SO_2R, -C(O)NHR, and $-C(O)NR_2$;

wherein R is independently selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl,

substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

wherein U is selected from the group consisting of hydrogen, (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

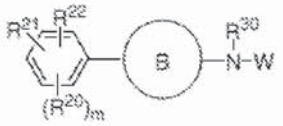
wherein V is selected from the group consisting of -$NHSO_2R$, -$N(R)SO_2R$, -C(O)R, -C(O)OR, -C(S)R, and -C(S)OR;

wherein both U and V are not hydrogen; and

wherein U and V can join together to form an unsubstituted or substituted ring.

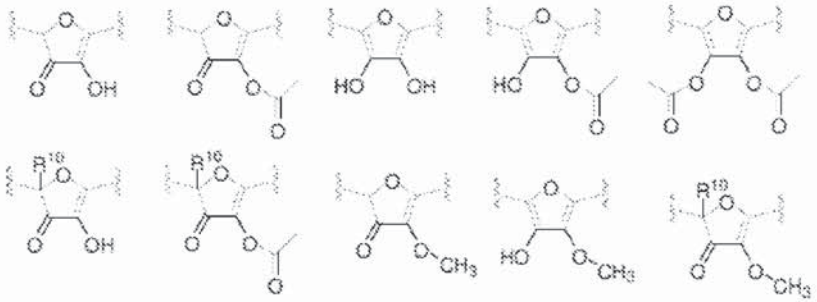
Another aspect of the invention relates to subset of the compounds represented by the structure of Formula II that are represented by the structure of Formula III:

(III)



R^{20}, R^{21}, and R^{22} are independently selected from the group consisting of H, -F, -Cl, -Br, -I, -OH, -SH, - CN, -CN, $N0_2$, -NO, -NH_2. -NHR, -NRR, -C(O)R, -C(O)OH, -C(O)OR, -C(O)NH_2, -C(O)NHR, -C(O)NRR and the like where each R is independently (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

B is selected from the group consisting of

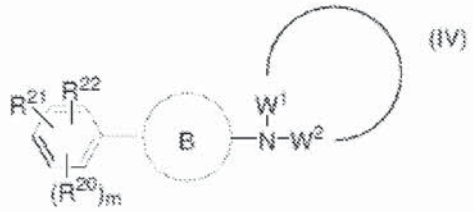


wherein R^{10} is selected from the group consisting of hydrogen, (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl; and

wherein R^{30} is selected from the group consisting of hydrogen, (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl; and

wherein W is selected from the group consisting of hydrogen, alkyl, haloalkyl, -C(O)R, -C(O)OR, -SOR, - SO_2R, -C(O)NHR, -C(O)NR_2 where R is independently (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl or substituted 6-26 membered heteroarylalkyl.

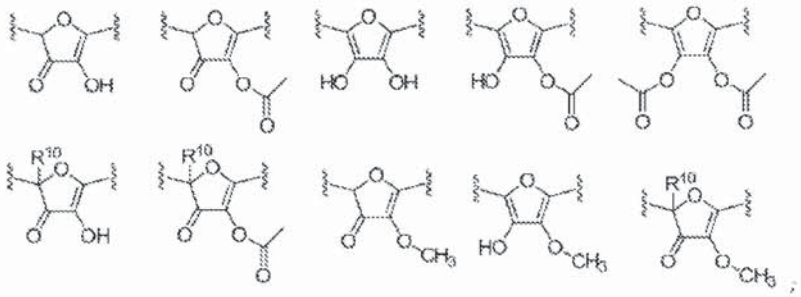
Another aspect of the invention relates to subset of the compounds represented by the structure of Formula III that are represented by the structure of Formula IV:



wherein R^{20}, R^{21}, and R^{22} are independently selected from the group consisting of H, -F, -Cl, -Br, -I, -OH, -SH, -CN, -CN, N02, -NO, -NH_2, -NHR, -NRR, -C(O)R, -C(O)OH, -C(O)OR, -C(O)NH_2, -C(O)NHR, and -C(O)NRR;

wherein each R is independently selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl or substituted 6-26 membered heteroarylalkyl;

wherein B is selected from the group consisting of

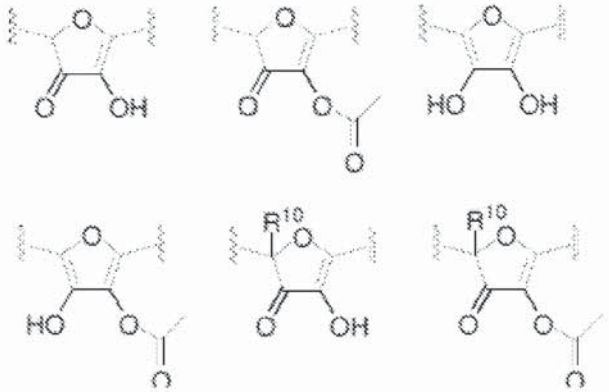


wherein R^{10} is selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

wherein W^1 and W^2 are independently selected from the group consisting of alkyl, haloalkyl, -C(O)R, - C(O)OR, -SOR, -SO_2R, -C(O)NHR, and -C(O)NR_2;

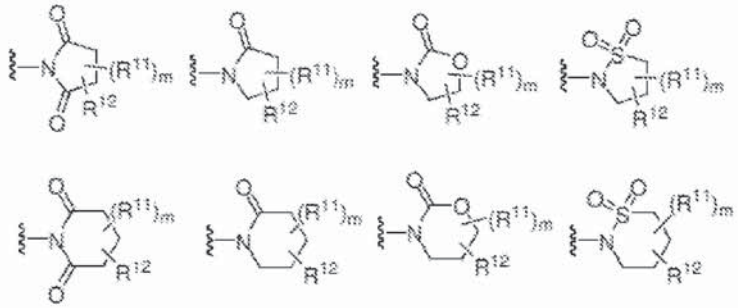
wherein R is independently selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl.

Another aspect of the invention relates to a subset of the compounds represented by the structure of



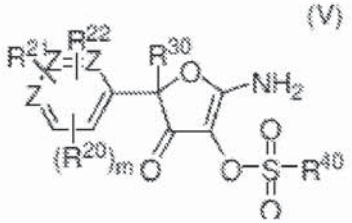
A related aspect of the invention relates to a subset of the compounds represented by the structure of Formula IV,

wherein W^1 and W^2 are joined together to form an unsubstituted or substituted ring selected from the group consisting of



wherein R^{11} and R^{12} are independently selected from the group consisting of hydrogen, -OH, -F, -Cl, - Br, -I, -C(O)R, $-CO_2R$, -CONH2, -CN, $-SO_2R$, (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, and substituted (C_6-C_{26}) arylalkyl.

A related aspect of the invention relates to a subset of the compounds represented by the structure of Formula I that are represented by the structure of Formula V:



(V)

wherein Z is selected from the group consisting of C and N;

wherein R^{20}, R^{21}, and R^{22} are independently selected from the group consisting of H, -F, -Cl, -Br, -I, -OH, -SH, -CN, -CN, $N0_2$, -NO, $-NH_2$, -NHR, -NRR, -C(O)R, -C(O)OH, -C(O)OR, $-C(O)NH_2$, -C(O)NHR, and -C(O)NRR;

wherein each R is independently selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C^{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl;

wherein R^{30} is selected from the group consisting of hydrogen, (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered

heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl; and

wherein R^{40} is selected from the group consisting of (C_1-C_5) alkyl, substituted (C_1-C_6) alkyl, (C_2-C_6) alkenyl, substituted (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, substituted (C_2-C_6) alkynyl, (C_5-C_{20}) aryl, substituted (C_5-C_{20}) aryl, (C_6-C_{26}) arylalkyl, substituted (C_6-C_{26}) arylalkyl, 5-20 membered heteroaryl, substituted 5-20 membered heteroaryl, 6-26 membered heteroarylalkyl, and substituted 6-26 membered heteroarylalkyl.

One aspect of the invention relates to a method of modulating the level or activity of at least one prolyl hydroxylase in a cell, comprising contacting the cell with an effective amount of the compound or salt described above and encompassed by any of Formulas I-V. Another aspect relates to a method wherein at least one of said prolyl hydroxylases is prolyl-4-hydrolase.

One aspect of the invention relates to a method of modulating the level or activity of at least one prolyl hydroxylase in a subject, which comprises administering a therapeutically-effective amount of an effective amount of the compound or salt described above and encompassed by any of Formulas I-V to said subject. Another aspect relates to a method, wherein at least one of said prolyl hydroxylases is prolyl-4-hydrolase.

Specific aspects of the invention include compounds that are represented by Formula IV selected from the group consisting of

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)methanesulfonamide;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)benzenesulfonamide;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)acetamide;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)benzamide;
N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-4-bromobutanamide;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)-2-pyrrolidinone;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)succinimide;
N-(3-trimethylsilyloxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-3-bromopropylsulfonamide;
N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; and
N-methyl-N'-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide.

Specific aspects of the invention include compounds that are represented by Formula IV selected from the group consisting of

N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)methanesulfonamide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)benzenesulfonamide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)- acetamide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)- carbamic acid ethyl ester;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)benzamide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-4-bromobutanamide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-2-pyrrolidinone;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)succinimide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-3-bromopropylsulfonamide;
N-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)-1,1-dioxide-isothiazolidine; and
N-methyl-N'-(3-acetoxy-4-hydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide.

Specific aspects of the invention include compounds that are represented by Formula IV selected from the group consisting of

2-(4-chlorophenyl)-2-methyl-4-trimethylsilyloxy-5-amino-3(2H)-furanone;
2-(4-chlorophenyl)-2-methyl-4-hydroxy-5-amino-3(2H)-furanone;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-methanesulfonamide;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzenesulfonamide;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-acetamide;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-benzamide;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-4-bromobutanamide;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-2-pyrrolidinone;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-succinimide;
N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide;

N-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; and

N-methyl-N'-(2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanon-5-yl)-ethanesulfonamide.

Specific aspects of the invention include compounds that are represented by Formula IV selected from the group consisting of

2-(4-chlorophenyl)-2-methyl-4-acetoxy-5-amino-3(2H)-furanone;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-methanesulfonamide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzenesulfonamide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-acetamide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-carbamic acid ethyl ester;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-benzamide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-4-bromobutanamide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-2-pyrrolidinone;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-succinimide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-3-bromopropylsulfonamide;

N-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-1,1-dioxide-isothiazolidine; and N-methyl-N'-(2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanon-5-yl)-ethanesulfonamide.

Therapeutic Uses of Compositions Comprising Compounds of the Invention

In some aspects, this invention provides for the use of a compound as herein described, or its isomer, metabolite, tautomer, pharmaceutically acceptable salt, pharmaceutical product, polymorph, crystal, N-oxide, hydrate, or any combination thereof, for treating, suppressing, preventing, reducing the severity, reducing the risk, or inhibiting a cell proliferation disorder in a subject.

Pharmaceutical Compositions

Related aspects of the invention are directed to compositions, including pharmaceutical compositions, comprising the compounds of the invention, noted above. One aspect of the invention is directed to a pharmaceutical composition comprising at least one

pharmaceutically acceptable excipient and a therapeutically effective amount of the compound or salt disclosed above. Still another aspect of the invention relates to a method for pharmaceutical formulation of previously described compounds for use in oral and intravenous applications, and in implantable materials.

Another aspect of the present invention relates to a pharmaceutical composition including a pharmaceutical composition can contain one or more of the above-identified compounds of the present invention.

Typically, the pharmaceutical composition of the present invention will include a compound of the present invention or its pharmaceutically acceptable salt, as well as a pharmaceutically acceptable carrier. The term "pharmaceutically acceptable carrier" refers to any suitable adjuvants, carriers, excipients, or stabilizers, and can be in solid or liquid form such as, tablets, capsules, powders, solutions, suspensions, emulsions, or implantable disc.

Typically, the composition will contain from about 0.01 to 99 percent, preferably from about 20 to 75 percent of active compound(s), together with the adjuvants, carriers and/or excipients. While individual needs may vary, determination of optimal ranges of effective amounts of each component is within the skill of the art. Typical dosages comprise about 0.01 to about 100 mg/kg body wt. The preferred dosages comprise about 0.1 to about 100 mg/kg body wt. The most preferred dosages comprise about 1 to about 100 mg/kg body wt. Treatment regimen for the administration of the compounds of the present invention can also be determined readily by those with ordinary skill in art. That is, the frequency of administration and size of the dose can be established by routine optimization, preferably while minimizing any side effects.

Dosage forms

The solid unit dosage forms can be of the conventional type. The solid form can be a capsule and the like, such as an ordinary gelatin type containing the compounds of the present invention and a carrier, for example, lubricants and inert fillers such as, lactose, sucrose, or cornstarch. In another embodiment, these compounds are tabulated with conventional tablet bases such as lactose, sucrose, or cornstarch in combination with binders like acacia, cornstarch, or gelatin, disintegrating agents, such as cornstarch, potato starch, or alginic acid, and a lubricant, like stearic acid or magnesium stearate.

The tablets, capsules, and the like can also contain a binder such as gum tragacanth, acacia, com starch, or gelatin; excipients such as dicalcium phosphate; a disintegrating agent such as com starch, potato starch, alginic acid; a lubricant such as magnesium stearate; and a sweetening agent such as sucrose, lactose, or saccharin. When the dosage unit form is a

capsule, it can contain, in addition to materials of the above type, a liquid carrier such as a fatty oil.

Optional Coatings

Various other materials may be present as coatings or to modify the physical form of the dosage unit. For instance, tablets can be coated with shellac, sugar, or both. A syrup can contain, in addition to active ingredient, sucrose as a sweetening agent, methyl and propylparabens as preservatives, a dye, and flavoring such as cherry or orange flavor.

Excipients

For oral therapeutic administration, these active compounds can be incorporated with excipients and used in the form of tablets, capsules, elixirs, suspensions, syrups, and the like. Such compositions and preparations should contain at least 0.1 % of active compound. The percentage of the compound in these compositions can, of course, be varied and can conveniently be between about 2% to about 60% of the weight of the unit. The amount of active compound in such therapeutically useful compositions is such that a suitable dosage will be obtained. Preferred compositions according to the present invention are prepared so that an oral dosage unit contains between about 1 mg and 800 mg of active compound.

Modes of administration

The active compounds of the present invention may be orally administered, for example, with an inert diluent, or with an assailable edible carrier, or they can be enclosed in hard or soft shell capsules, or they can be compressed into tablets, or they can be incorporated directly with the food of the diet.

The pharmaceutical forms suitable for injectable use include sterile aqueous solutions or dispersions and sterile powders for the extemporaneous preparation of sterile injectable solutions or dispersions. In all cases, the form should be sterile and should be fluid to the extent that easy syringability exists. It should be stable under the conditions of manufacture and storage and should be preserved against the contaminating action of microorganisms, such as bacteria and fungi. The carrier can be a solvent or dispersion medium containing, for example, water, ethanol, polyol (e.g., glycerol, propylene glycol, and liquid polyethylene glycol), suitable mixtures thereof, and vegetable oils.

The compounds or pharmaceutical compositions of the present invention may also be administered in injectable dosages by solution or suspension of these materials in a physiologically acceptable diluent with a pharmaceutical adjuvant, carrier or excipient. Such adjuvants, carriers and/or excipients include, but are not limited to, sterile liquids, such as water and oils, with or without the addition of a surfactant and other pharmaceutically and

physiologically acceptable components. Illustrative oils are those of petroleum, animal, vegetable, or synthetic origin, for example, peanut oil, soybean oil, or mineral oil. In general, water, saline, aqueous dextrose and related sugar solution, and glycols, such as propylene glycol or polyethylene glycol, are preferred liquid carriers, particularly for injectable solutions.

The pharmaceutical forms suitable for implantable use include sterile wafers of polycarboxyphenoxypropane-sebacic-acid (pCPP:SA) polymers, poly(D,L-lactic acid), polyhydroxybutyrate, lysine diisocyanate (LDI)-glycerol polyurethane, and poly(D-L lactide-co-glycolide). In all cases, the form should be sterile and should be a wafer or disc of suitable dimensions for surgical implantation in the brain. The polymers should be stable under the conditions of manufacture and storage and should be preserved against the contaminating action of microorganisms, such as bacteria and fungi. The wafers should be biodegradable ranging from 24 hours up to 6 months.

In one aspect, the invention provides compounds and compositions, including any aspect described herein, for use in any of the methods of this invention. In one aspect, use of a compound of this invention or a composition comprising the same, will have utility in inhibiting, suppressing, enhancing or stimulating a desired response in a subject, as will be understood by one skilled in the art. In another embodiment, the compositions may further comprise additional active ingredients, whose activity is useful for the particular application for which the compound of this invention is being administered.

Pharmaceutical compositions comprising modulator compounds of the invention

Therapeutic compositions typically must be sterile and stable under the conditions of manufacture and storage. The composition can be formulated as a solution, microemulsion, liposome, or other ordered structure suitable to high drug concentration. The carrier can be a solvent or dispersion medium containing, for example, water, ethanol, polyol (for example, glycerol, propylene glycol, and liquid polyethylene glycol, and the like), and suitable mixtures thereof. The proper fluidity can be maintained, for example, by the use of a coating such as lecithin, by the maintenance of the required particle size in the case of dispersion and by the use of surfactants. In many cases, it will be preferable to include isotonic agents, for example, sugars, polyalcohols such as manitol, sorbitol, or sodium chloride in the composition. Prolonged absorption of the injectable compositions can be brought about by including in the composition an agent which delays absorption, for example, monostearate salts and gelatin. Moreover, the modulators can be administered in a time release formulation, for example in a composition 5 which includes a slow release

polymer. The active compounds can be prepared with carriers that will protect the compound against rapid release, such as a controlled release formulation, including implants and microencapsulated delivery systems. Biodegradable, biocompatible polymers can be used, such as ethylene vinyl acetate, polyanhydrides, polyglycolic acid, collagen, polyorthoesters, polylactic acid and polylactic, polyglycolic copolymers (PLG). Many methods for the preparation of such formulations are patented or generally known to those skilled in the art.

The mode of administration may be oral, for intestinal delivery; intranasal, for nasal delivery; and intravenous for delivery through the blood-brain barrier. Other modes of administration as are known in the art may also be used, including, but not limited to intrathecal, intramuscular, intrabronchial, intrarectal, intraocular, and intravaginal delivery.

The modulator compounds can be administered as oral dosage compositions for small intestinal delivery. Such oral dosage compositions for small intestinal delivery are well-known in the art, and generally comprise gastroresistent tablets or capsules (Remington's Pharmaceutical Sciences, 16th Ed., Eds. Osol, Mack Publishing Co., Chapter 89 (1980); Digenis et al, J. Pharm. Sci., 83:915-921 (1994); Vantini et al, Clinica Terapeutica, 145:445-451 (1993); Yoshitomi et al, Chem. Pharm. Bull., 40:1902'1905 (1992); Thoma et al, Pharmazie, 46:331-336 (1991); Morishita et al, Drug Design and Delivery, 7:309-319 (1991); and Lin et al, Pharmaceutical Res., 8:919'924 (1991)); each of which is incorporated by reference herein in its entirety).

Tablets are made gastroresistent by the addition of compounds such as cellulose acetate phthalate or cellulose acetate terephthalate.

Capsules are solid dosage forms in which the tight junction modulator compound is enclosed in either a hard or soft, soluble container or shell of gelatin. The gelatin used in the manufacture of capsules is obtained from collagenous material by hydrolysis. There are two types of gelatin. Type A, derived from pork skins by acid processing, and Type B, obtained from bones and animal skins by alkaline processing. The use of hard gelatin capsules permit a choice in prescribing a tight junction modulator compound or a combination thereof at the exact dosage level considered best for the individual subject. The hard gelatin capsule consists of two sections, one slipping over the other, thus completely surrounding the tight junction modulator compound. These capsules are filled by introducing the modulator compound, or gastroresistent beads containing the modulator compound, into the longer end of the capsule, and then slipping on the cap. Hard gelatin capsules are made largely from gelatin, FD&C colorants, and sometimes an opacifying agent, such as titanium dioxide. The

USP permits the gelatin for this purpose to contain 0.15% (w/v) sulfur dioxide to prevent decomposition during manufacture.

In the context of the present invention, oral dosage compositions for small intestinal delivery also include liquid compositions which contain aqueous buffering agents that prevent the modulator compound from being significantly inactivated by gastric fluids in the stomach, thereby allowing the modulator compound to reach the small intestines in an active form. Examples of such aqueous buffering agents which can be employed in the present invention include bicarbonate buffer (pH 5.5 to 8.7, preferably about pH 7.4).

When the oral dosage composition is a liquid composition, it is preferable that the composition be prepared just prior to administration so as to minimize stability problems. In this case, the liquid composition can be prepared by dissolving lyophilized tight junction modulator compound in the aqueous buffering agent. Oral dosage compositions for small intestinal delivery also include liquid compositions which may optionally contain aqueous buffering agents that prevent the therapeutic agent and tight junction modulator compound from being significantly inactivated by gastric fluids in the stomach, thereby allowing the biologically active ingredient and tight junction modulator compound to reach the small intestines in an active form. Examples of such aqueous buffering agents which can be employed in the present invention include bicarbonate buffer (pH 5.5 to 8.7, preferably about pH 7.4).

When the oral dosage composition is a liquid composition, it is preferable that the composition be prepared just prior to administration so as to minimize stability problems. In this case, the liquid composition can be prepared by dissolving lyophilized therapeutic agent and tight junction modulator compound in the aqueous buffering agent.

Sterile injectable solutions can be prepared by incorporating the active compound in the required amount in an appropriate solvent with one or a combination of ingredients enumerated above, as required, followed by filtered sterilization. Generally, dispersions are prepared by incorporating the active compound into a sterile vehicle which contains a basic dispersion medium and the required other ingredients from those enumerated above. For sterile powders used in the preparation of sterile injectable solutions, the preferred methods of preparation are vacuum drying and freeze-drying which yields a powder of the active ingredient plus any additional desired ingredient from a previously sterile-filtered solution thereof.

A “nasal” delivery composition differs from an “intestinal” delivery composition in that the latter must have gastroresistent properties in order to prevent the acidic degradation

of the active agents in the stomach, whereas the former generally comprises water-soluble polymers with a diameter of about 50 11m in order to reduce the mucociliary clearance, and to achieve a reproducible bioavailability of the nasally administered agents.

An "intravenous" delivery composition differs from both the "nasal" and "intestinal" delivery compositions in that there is no need for gastroresistance or water-soluble polymers in the "intravenous" delivery composition.

Nasal dosage compositions for nasal delivery are well-known in the art. Such nasal dosage compositions generally comprise water-soluble polymers that have been used extensively to prepare pharmaceutical dosage forms (Martin et al, In: Physical Chemical Principles of 20 Pharmaceutical Sciences, 3rd Ed., pages 592-638 (1983)) that can serve as carriers for peptides for nasal administration (Davis, In: Delivery Systems for Peptide Drugs, 125:1-21 (1986)). The nasal absorption of pap tides embedded in polymer matrices has been shown to be enhanced through retardation of nasal mucociliary clearance (Illum et al, Int. J. Pharm., 46:261-265 (1988È). Other possible enhancement mechanisms include an increased concentration gradient or 25 decreased diffusion path for peptides absorption (Ting et ai, Pharm. Res., 9:1330-1335 (1992). However, reduction in mucociliary clearance rate has been predicted to be a good approach toward achievement or reproducible bioavailability of nasally administered systemic drugs (Gonda et al, Pharm. Res., 7:69-75 (1990)). Microparticles with a diameter of about 50 p m are expected to deposit in the nasal cavity (Bjork et al, Int. J. Pharm., 62:187'192 (1990È); and lllum et al, Int. J. Pharm., 39:189'199 (1987), while microparticles with a diameter under 10 pm can escape the filtering system of the nose and deposit in the lower airways. Microparticles larger than 200 p m in diameter will not be retained in the nose after nasal administration (Lewis et aI, Proc. Int. Symp. Control ReI. Bioact. Mater., 17:280-290 (1990)).

The particular water-soluble polymer employed is not critical to the present invention, and can be selected from any of the well-known water-soluble polymers employed for nasal dosage forms. A typical example of a water-soluble polymer useful for nasal delivery is polyvinyl alcohol (pvA). This material is a swellable hydrophilic polymer whose physical properties depend on the molecular weight, degree of hydrolysis, cross-linking density, and crystallinity (Peppas et al, In: Hydrogels in Medicine and Pharmacy, 3:109'131 (1987È. PYA can be used in the coating of dispersed materials through phase separation, spray-drying, spray-embedding, and spray-densation (Ting et al, supra).

A "skin" delivery composition comprising a modulator compound of the invention may include in addition a therapeutic or immunogenic agent, fragrance, creams, ointments,

colorings, and other compounds so long as the added component does not deleteriously affect transdermal delivery of the therapeutic or immunogenic agent. Conventional pharmaceutically acceptable emulsifiers, surfactants, suspending agents, antioxidants, osmotic enhancers, extenders, diluents and preservatives may also be added. Water soluble polymers can also be used as carriers.

The particular therapeutic or immunogenic agent employed is not critical to the present invention, and can be, e.g., any drug compound, biologically active peptide, vaccine, or any other moiety otherwise not absorbed through the transcellular pathway, regardless of size or charge.

The amount of active compound in the composition may vary according to factors such as the disease state, age, sex, and weight of the individual. Dosage regimens may be adjusted to provide the optimum therapeutic response. For example, a single bolus may be administered, several divided doses may be administered over time or the dose may be proportionally reduced or increased as indicated by the exigencies of the therapeutic situation. It is especially advantageous to formulate parenteral compositions in dosage unit form for ease of administration and uniformity of dosage. Dosage unit form as used herein refers to physically 35 discrete units suited as unitary dosages for the mammalian subjects to be treated; each unit containing a predetermined quantity of active compound calculated to produce the desired therapeutic effect in association with the required pharmaceutical carrier. The specification for the dosage unit forms of the invention are dictated by and directly dependent on (a) the unique characteristics of the active compound and the particular therapeutic effect to be achieved, and (b) the limitations inherent in the art of compounding such an active compound for the treatment of sensitivity in individuals.

As used herein "pharmaceutically-acceptable carrier" includes any and all solvents, dispersion media, coatings, antibacterial and antifungal agents, isotonic and absorption delaying agents, and the like that are physiologically compatible. In one embodiment, the carrier is 10 suitable for parenteral administration. A carrier may be suitable for administration into the central nervous system (e.g., intraspinally or intracerebrally). Alternatively, the carrier can be suitable for intravenous, intraperitoneal or intramuscular administration. In another embodiment, the carrier is suitable for oral administration. Pharmaceutically-acceptable carriers include sterile aqueous solutions or dispersions and sterile powders for the extemporaneous preparation of sterile injectable solutions or dispersion. The use of such media and agents for pharmaceutically active substances is well known in the art. Except insofar as any conventional media or agent is incompatible with the

active compound, use thereof in the pharmaceutical compositions of the invention is contemplated. Supplementary active compounds can also be incorporated into the compositions.

While specific aspects of the invention have been described in detail, it will be appreciated by those skilled in the art that various modifications and alternatives to those details could be developed in light of the overall teachings of the disclosure. Accordingly, the particular arrangements disclosed are meant to be illustrative only, and not limiting as to the scope of the invention, which is to be given the full breadth of the appended claims, and any equivalent, thereof.

EXAMPLES

The foregoing discussion may be better understood in connection with the following representative examples which are presented for purposes of illustrating the principle methods and compositions of the invention, and not by way of limitation. Various other examples will be apparent to the person skilled in the art after reading the present disclosure without departing from the spirit and scope of the invention. It is intended that all such other examples be included within the scope of the appended claims.

General Materials and Methods

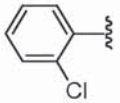
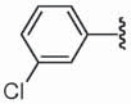
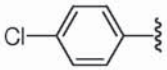
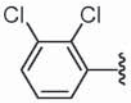
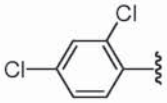
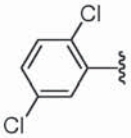
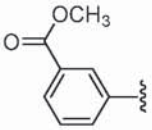
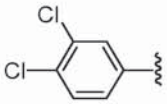
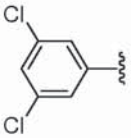
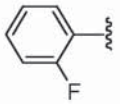
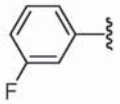
All parts are by weight (e.g., % w/w), and temperatures are in degrees centigrade (°C), unless otherwise indicated.

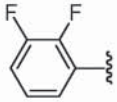
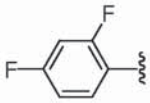
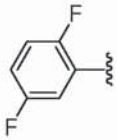
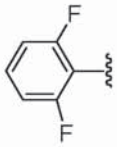
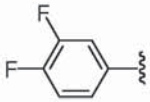
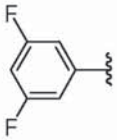
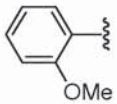
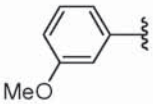
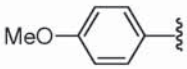
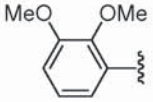
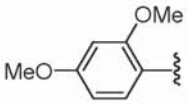
General Chemical Procedures

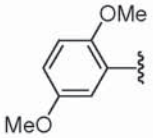
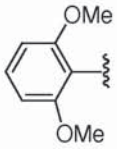
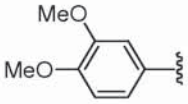
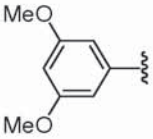
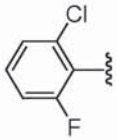
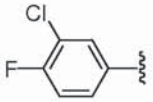
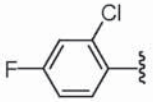
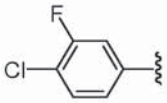
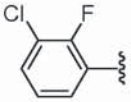
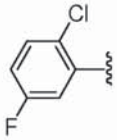
Melting points were determined with a Hoover melting point apparatus and are uncorrected. Infrared (IR) spectra for the compounds were recorded in KBr discs on a Mattson Satellite FTIR in cm^{-1}. ^{1}H and ^{13}C spectra were recorded in DMSO-d_6 on a Bruker Avance III DPX 300 MHz instrument. ^{19}F spectra were recorded in DMSO d_6 on a Bruker Avance III 600 (564.6 mHz). Chemical shifts were expressed in parts per million (δ) with tetramethylsilane as internal standard. Mass spectrometry was performed on a Thermo Scientific LTQ-FT at the University of Cincinnati Mass Spectrometry facility. The purity of the compounds was monitored by HPLC using a Waters 2695 separation module and a 2487 dual λ absorbance detector with a NovaPak C18 4μm 3.9x150mm column. The mobile phases consisted of acetonitrile/H_2O using a 30 minute gradient. All compounds were ≥95%. Microanalysis was performed by Atlantic Microlab Inc., and all compounds were found to be ±0.4%. All reagents were from Sigma-Aldrich. LogS, LogP, Log BBB, human intestinal absorption, p-glycoprotein category, CYP 2C9 pKi, hERG pIC50, CYP 2D6 affinity category, oral CNS score, IV CNS score, MW, flexibility, and total polar surface area were calculated using StarDrop 5.1.1 release Build 178.

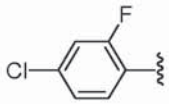
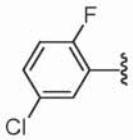
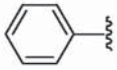
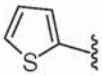
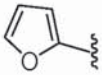
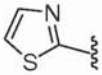
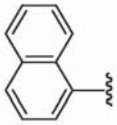
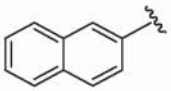
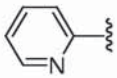
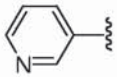
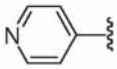
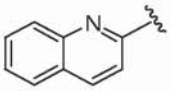
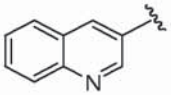
Scheme 1 illustrates the synthetic reactions used to summarize these reactions. **Table 1** is a non-limiting list of aryl compounds that can be incorporated as "Ar^1" or "Ar^2" from Formula Ia, Ib, and IIa. Tables 1A and 2 illustrate the structures, names, and numbers of a variety of key compounds disclosed in in this application.

Table 1

Compound	Structure	Ar^1 or Ar^2
a		2-chlorophenyl
b		3-chlorophenyl
c		4-chlorophenyl
d		2,3-dichlorophenyl
e		2,4-dichlorophenyl
f		2,5-dichlorophenyl
g		3-carboxymethylphenyl
h		3,4-dichlorophenyl
i		3,5-dichlorophenyl
j		2-fluorophenyl
k		3-fluorophenyl

Compound	Structure	Ar^1 or Ar^2
l		4-fluorophenyl
m		2,3-difluorophenyl
n		2,4-difluorophenyl
o		2,5-difluorophenyl
p		2,6-difluorophenyl
q		3,4-difluorophenyl
r		3,5-difluorophenyl
s		2-methoxyphenyl
t		3-methoxyphenyl
u		4-methoxyphenyl
v		2,3-dimethoxyphenyl
w		2,4-dimethoxyphenyl

Compound	Structure	Ar^1 or Ar^2
x		2,5-dimethoxyphenyl
y		2,6-dimethoxyphenyl
z		3,4-dimethoxyphenyl
aa		3-5-dimethoxyphenyl
ab		2-chloro-6-fluorophenyl
ac		3-chloro-4-fluorophenyl
ad		2-chloro-4-fluorophenyl
ae		4-chloro-3-fluorophenyl
af		3-chloro-2-fluorophenyl
ag		2-chloro-5-fluorophenyl

Compound	Structure	Ar^1 or Ar^2
ah		4-chloro-2-fluorophenyl
ai		5-chloro-2-fluorophenyl
aj		Ph
ak		2-thiophene
al		2-furan
am		2-thiazole
an		1-naphthyl
ao		2-napthyl
ap		2-pyridyl
aq		3-pyridyl
ar		4-pyridyl
as		2-quinolinyl
at		3-quinolinyl

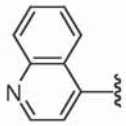
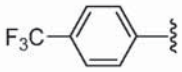
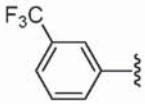
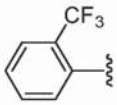
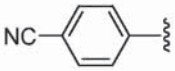
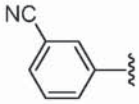
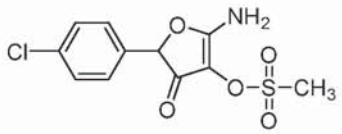
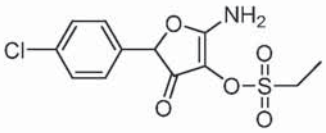
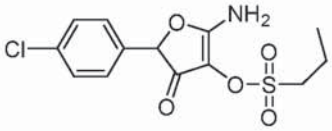
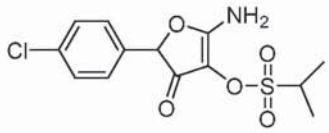
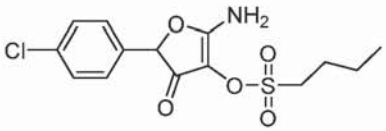
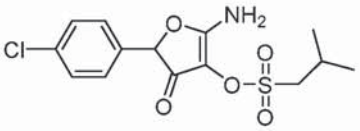
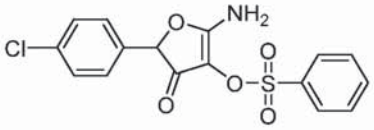
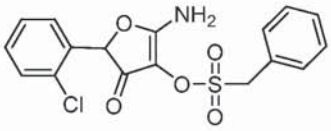
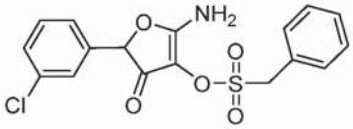
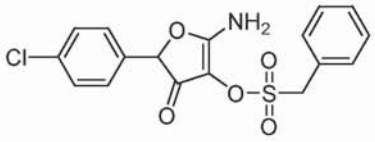
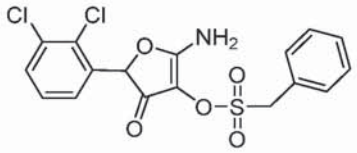
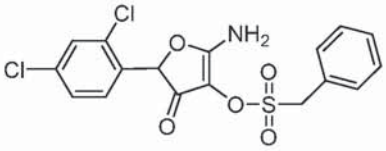
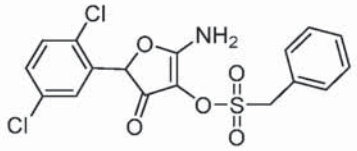
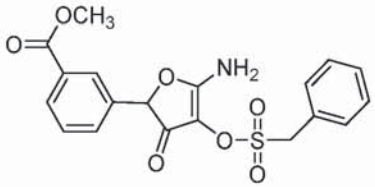
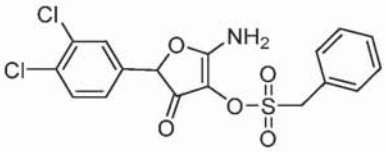
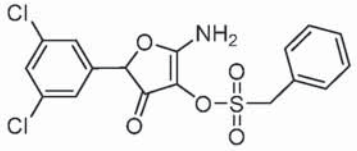
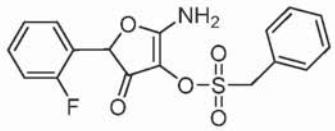
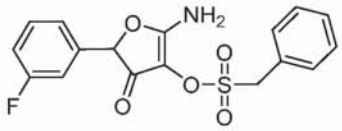
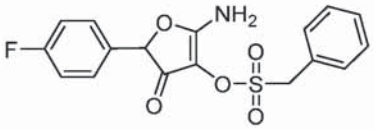
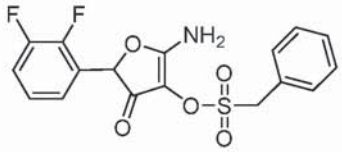
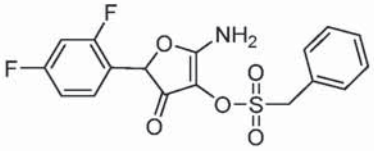
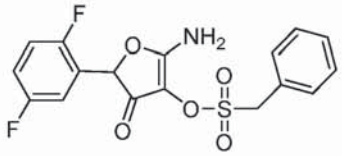
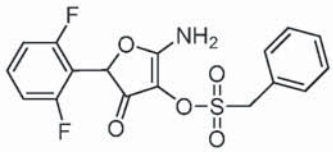
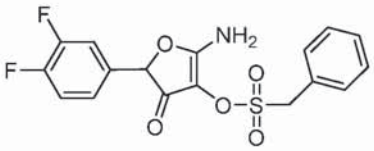
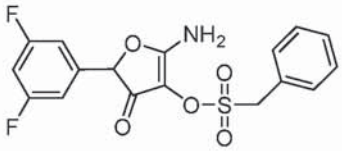
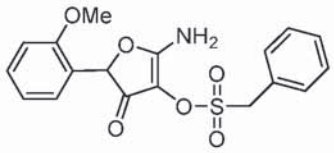
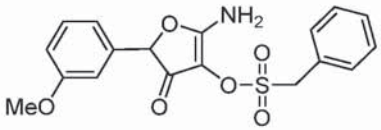
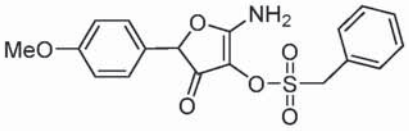
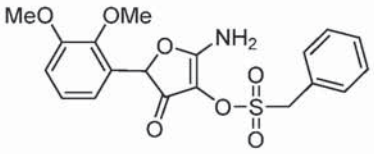
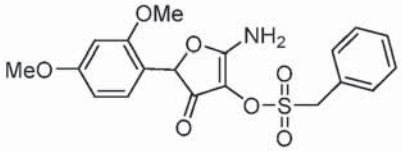
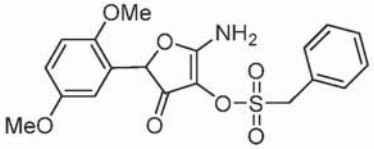
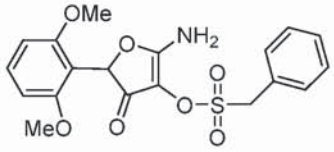
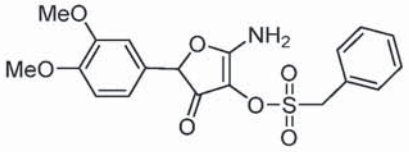
Compound	Structure	Ar^1 or Ar^2
au		4-quinolinyl
av		4-trifluoromethylphenyl
aw		3-trifluoromethylphenyl
ax		2-trifluoromethylphenyl
ay		4-cyanophenyl
az		3-cyanophenyl

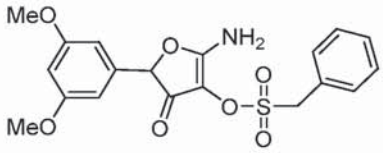
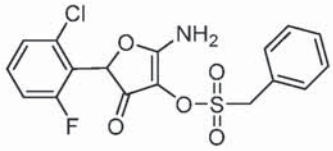
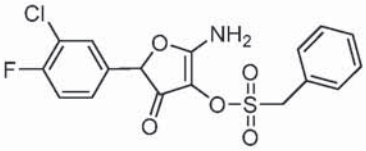
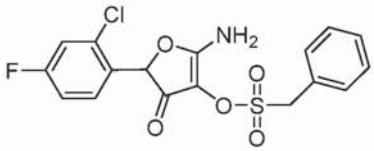
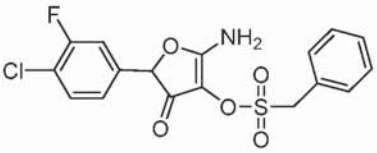
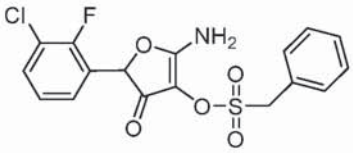
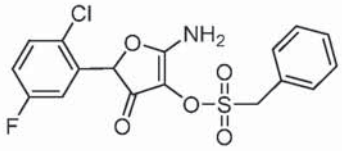
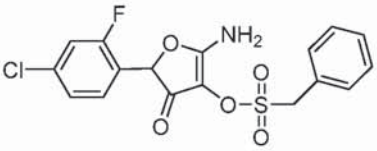
Table 1A

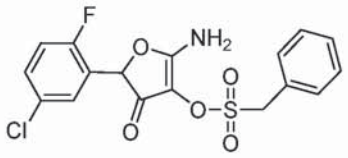
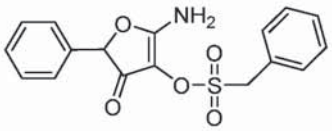
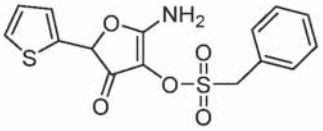
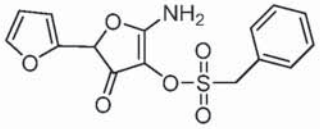
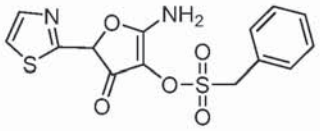
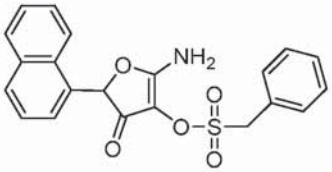
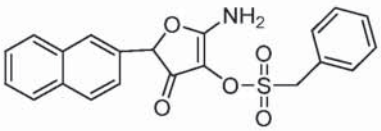
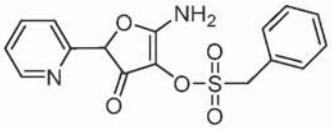
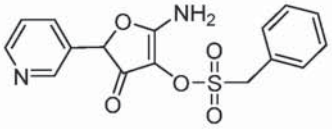
Compound	Structure	Name
1c		2-(4-chlorophenyl)-4-[[methylsulfonyl]oxy]-5-amino-3(2H)-furanone
2c		2-(4-chlorophenyl)-4-[[ethylsulfonyl]oxy]-5-amino-3(2H)-furanone
3c		2-(4-chlorophenyl)-4-[[1-propylsulfonyl]oxy]-5-amino-3(2H)-furanone
4c		2-(4-chlorophenyl)-4-[[2-propylsulfonyl]oxy]-5-amino-3(2H)-furanone
5c		2-(4-chlorophenyl)-4-[[1-butylsulfonyl]oxy]-5-amino-3(2H)-furanone
6c		2-(4-chlorophenyl)-4-[[1-propyl-2-methyl-sulfonyl]oxy]-5-amino-3(2H)-furanone
7c		2-(4-chlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone
8a		2-(2-chlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8b		2-(3-chlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

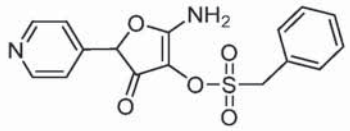
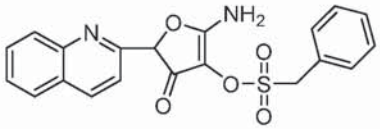
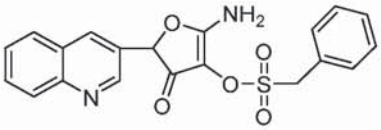
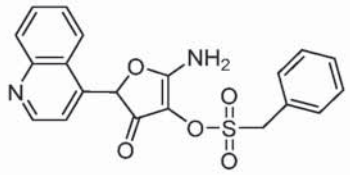
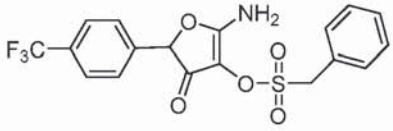
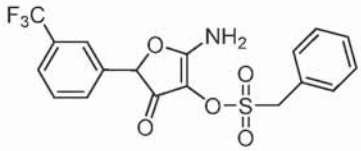
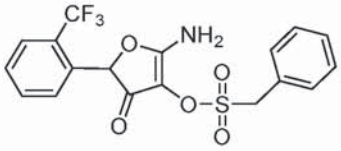
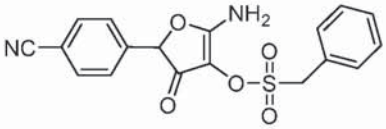
Compound	Structure	Name
8c		2-(4-chlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8d		2-(2,3-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8e		2-(2,4-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8f		2-(2,5-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8g		2-(3-carboxymethylphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8h		2-(3,4-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8i		2-(3,5-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8j		2-(2-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

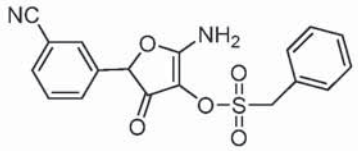
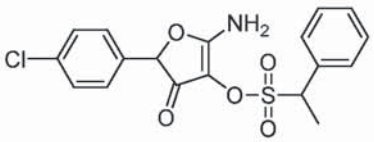
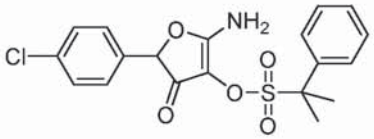
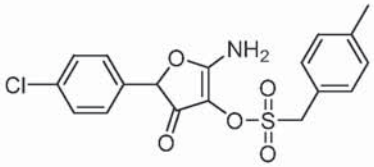
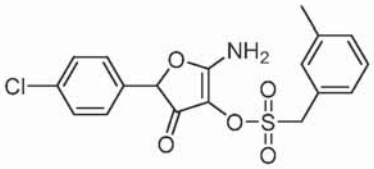
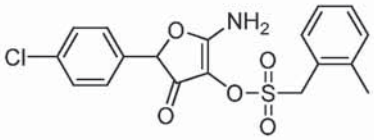
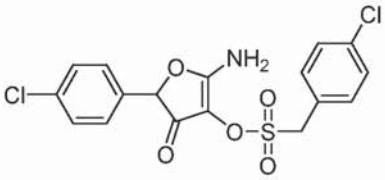
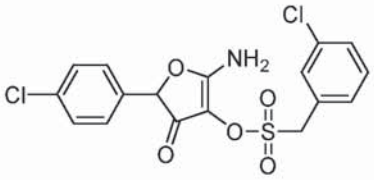
Compound	Structure	Name
8k		2-(3-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8l		2-(4-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8m		2-(2,3-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8n		2-(2,4-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8o		2-(2,5-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8p		2-(2,6-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8q		2-(3,4-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8r		2-(3,5-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

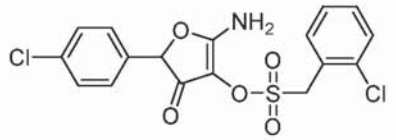
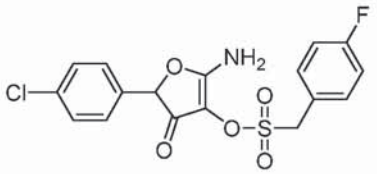
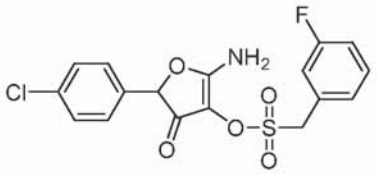
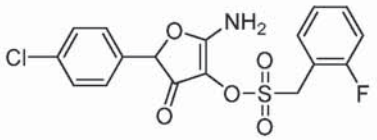
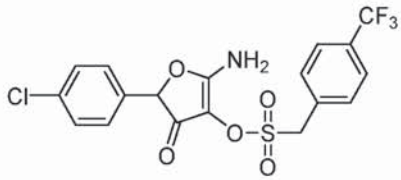
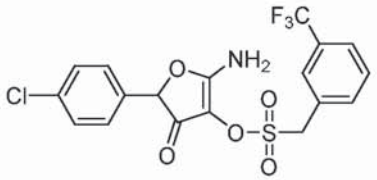
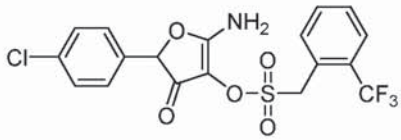
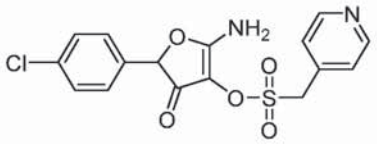
Compound	Structure	Name
8s		2-(2-methoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8t		2-(3-methoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8u		2-(4-methoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8v		2-(2,3-dimethoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8w		2-(2,4-dimethoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8x		2-(2,5-dimethoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8y		2-(2,6-dimethoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8z		2-(3,4-dimethoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

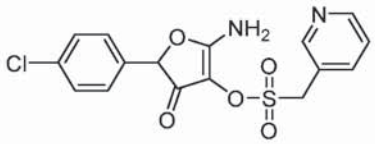
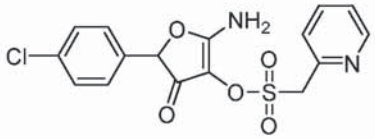
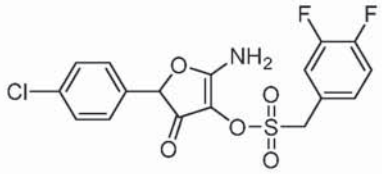
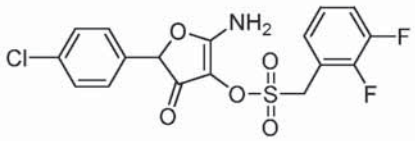
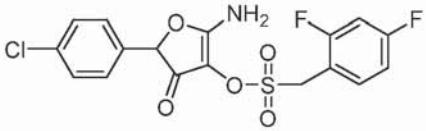
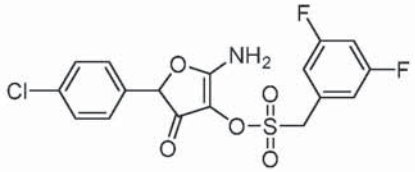
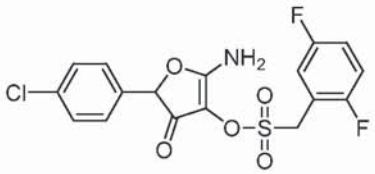
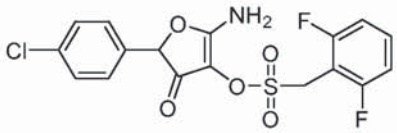
Compound	Structure	Name
8aa		2-(3,5-dimethoxyphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ab		2-(2-chloro-6-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ac		2-(3-chloro-4-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ad		2-(2-chloro-4-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ae		2-(4-chloro-3-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8af		2-(3-chloro-2-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ag		2-(2-chloro-5-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ah		2-(4-chloro-2-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

Compound	Structure	Name
8ai		2-(3-chloro-5-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8aj		2-(phenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ak		2-(2-thiophene)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8al		2-(2-furanyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8am		2-(2-thiazolyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8an		2-(1-naphthyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ao		2-(2-naphthyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ap		2-(2-pyridyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8aq		2-(3-pyridyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

Compound	Structure	Name
8ar		2-(4-pyridyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8as		2-(2-quinolinyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8at		2-(3-quinolinyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8au		2-(4-quinolinyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8av		2-(4-trifluoromethylphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8aw		2-(3-trifluoromethylphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ax		2-(2-trifluoromethylphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
8ay		2-(4-nitrilephenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

Compound	Structure	Name
8az		2-(3-nitrilephenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
9c		2-(4-chlorophenyl)-4-[[1-phenylethylsulfonyl]oxy]-5-amino-3(2H)-furanone
10c		2-(4-chlorophenyl)-4-[[1-methyl-1-phenylethylsulfonyl]oxy]-5-amino-3(2H)-furanone
11c		2-(4-chlorophenyl)-4-[[4-methylphenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
12c		2-(4-chlorophenyl)-4-[[3-methylphenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
13c		2-(4-chlorophenyl)-4-[[2-methylphenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
14c		2-(4-chlorophenyl)-4-[[4-chlorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
15c		2-(4-chlorophenyl)-4-[[3-chlorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

Compound	Structure	Name
16c		2-(4-chlorophenyl)-4-[[2-chlorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
17c		2-(4-chlorophenyl)-4-[[4-fluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
18c		2-(4-chlorophenyl)-4-[[3-fluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
19c		2-(4-chlorophenyl)-4-[[2-fluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
20c		2-(4-chlorophenyl)-4-[[4-trifluoromethylphenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
21c		2-(4-chlorophenyl)-4-[[3-trifluoromethylphenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
22c		2-(4-chlorophenyl)-4-[[2-trifluoromethylphenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
23c		2-(4-chlorophenyl)-4-[[4-pyridylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

Compound	Structure	Name
24c		2-(4-chlorophenyl)-4-[[3-pyridylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
25c		2-(4-chlorophenyl)-4-[[2-pyridylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
26c		2-(4-chlorophenyl)-4-[[3,4-difluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
27c		2-(4-chlorophenyl)-4-[[2,3-difluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
28c		2-(4-chlorophenyl)-4-[[2,4-difluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
29c		2-(4-chlorophenyl)-4-[[3,5-difluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
30c		2-(4-chlorophenyl)-4-[[2,5-difluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
31c		2-(4-chlorophenyl)-4-[[2,6-difluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

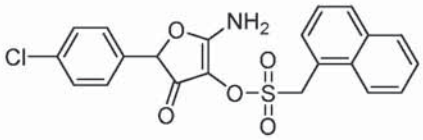
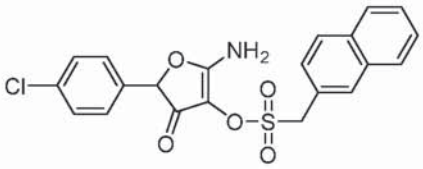
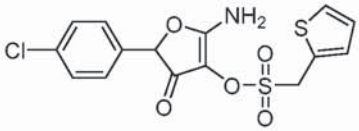
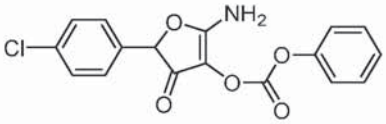
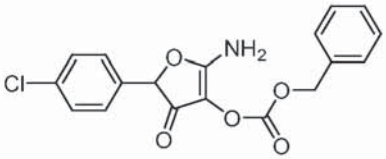
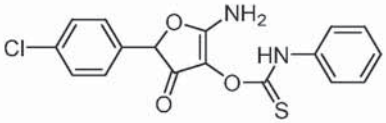
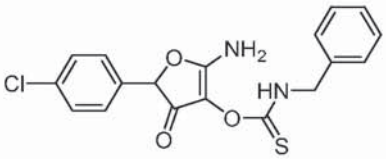
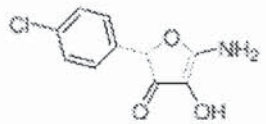
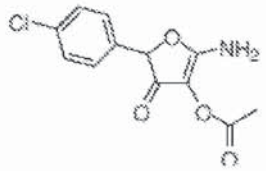
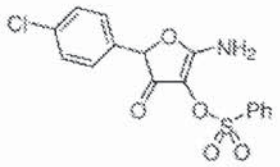
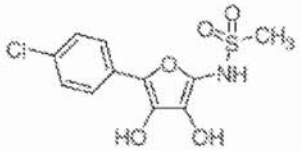
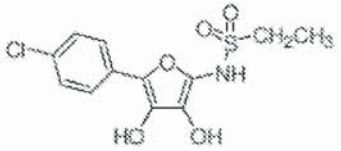
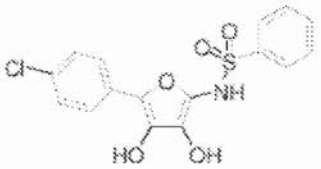
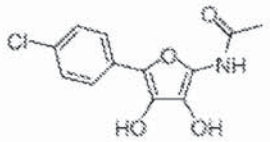
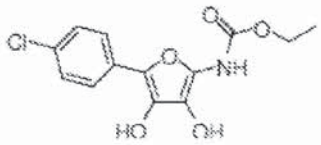
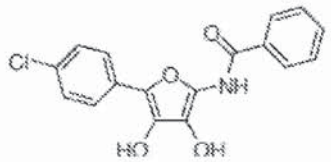
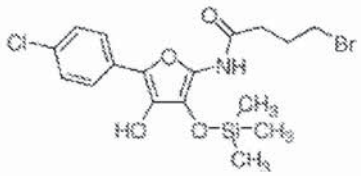
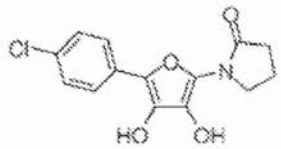
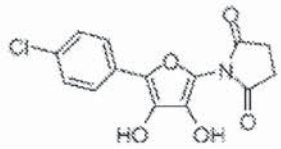
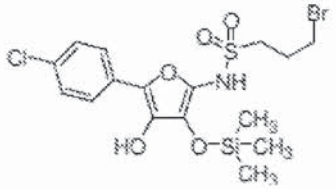
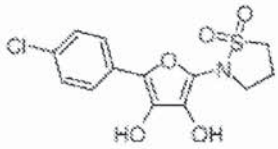
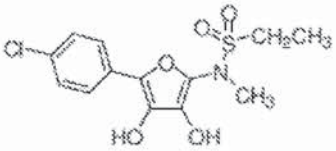
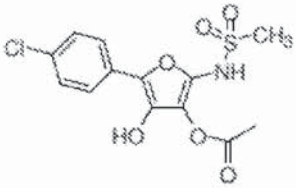
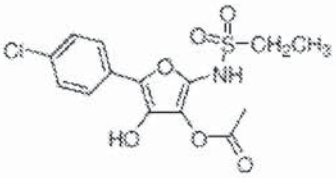
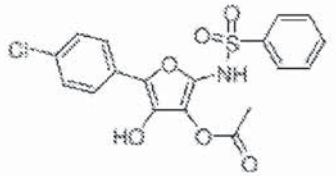
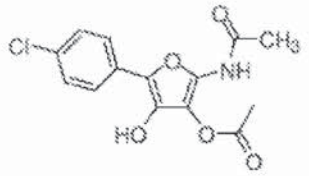
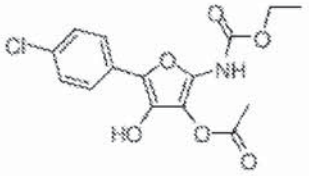
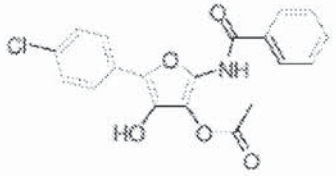
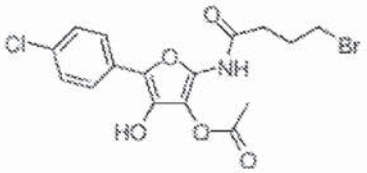
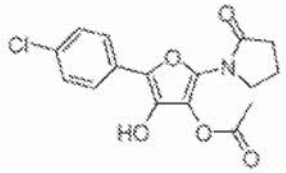
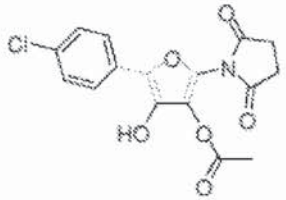
Compound	Structure	Name
32c		2-(4-chlorophenyl)-4-[[1-naphthylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
33c		2-(4-chlorophenyl)-4-[[2-naphthylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone
34c		2-(4-chlorophenyl)-4-[[2-thiophenemethylsulfonyl]oxy]-5-amino-3(2H)-furanone
35c		2-(4-chlorophenyl)-4-[[phenoxycarbonyl]oxy]-5-amino-3(2H)-furanone
36c		2-(4-chlorophenyl)-4-[[benzyloxycarbonyl]oxy]-5-amino-3(2H)-furanone
37c		2-(4-chlorophenyl)-4-[[phenylaminothiocarbonyl]oxy]-5-amino-3(2H)-furanone
38c		2-(4-chlorophenyl)-4-[[benzylaminothiocarbonyl]oxy]-5-amino-3(2H)-furanone

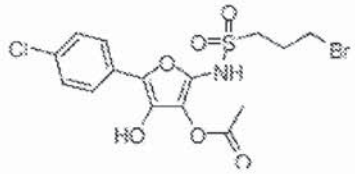
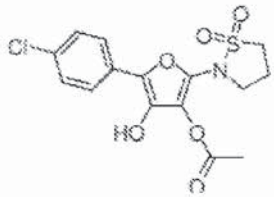
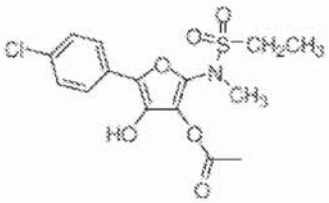
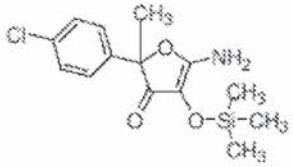
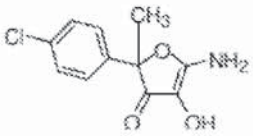
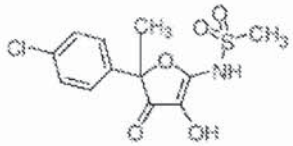
Table 2
Structures of Key Compounds

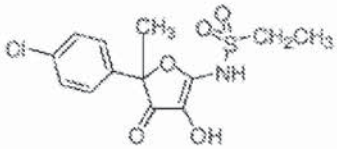
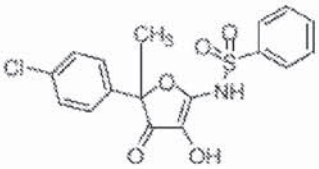
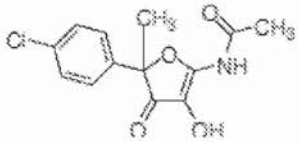
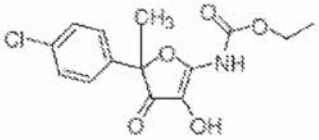
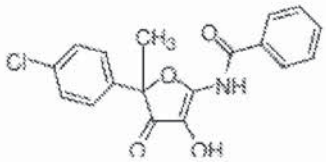
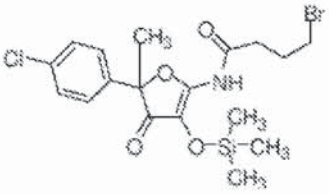
Structure	Name	Compound
	5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one	1c
	2-(4-chlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone	2c
	2-(4-chlorophenyl)-4-[(phenylsulfonyl)oxy]-5-amino-3(2H)-furanone	3c
	N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)methanesulfonamide	4c
	N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide	5c
	N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)benzenesulfonamide	6c

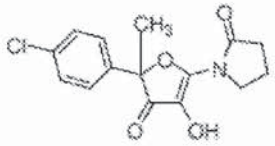
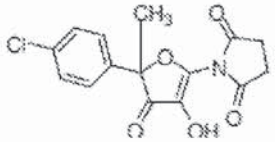
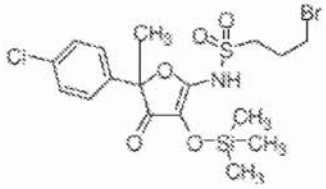
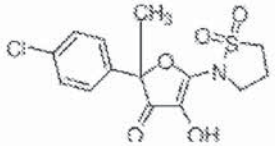
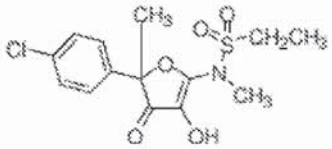
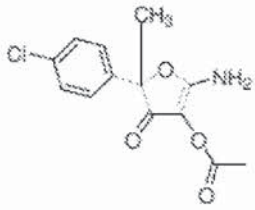
Structure	Name	Compound
	N-{3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl}acetamide	7c
	N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)-carbamic acid ethyl ester	8c
	N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl}benzamide	9c
	N-(3-trimethylsilyloxy-4-dihydroxy-5-(4-chlorophenyl)-2-furanyl}-4-bromo-butanamide	10c
	N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl}-2-pyrrolidinone	11c
	N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl}succinimide	12c

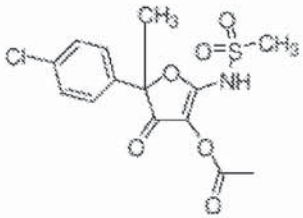
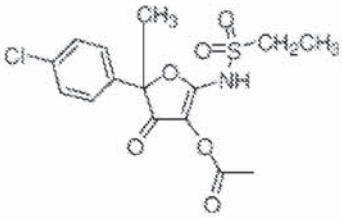
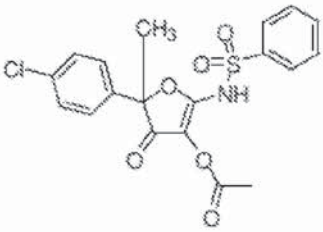
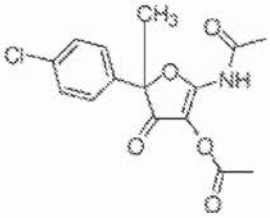
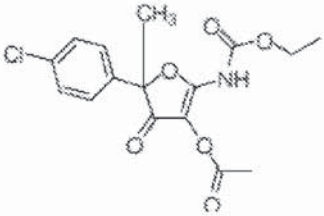
Structure	Name	Compound
	N-{3-trimethylsilyloxy-4-dihydroxy-5-{4-chlorophenyl}-2-furanyl}-3-bromo-propylsulfonamide	13c
	N-{3,4-dihydroxy-5-{4-chlorophenyl}-2-furanyl}-1,1-dioxide-isothiazolidine	14c
	N-methyl-N'-{3,4-dihydroxy-5-{4-chlorophenyl}-2-furanyl}ethanesulfonamide	15c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}methanesulfonamide	16c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}ethanesulfonamide	17c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}benzenesulfonamide	18c

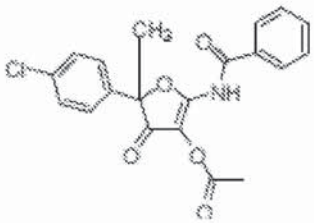
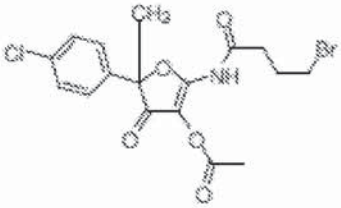
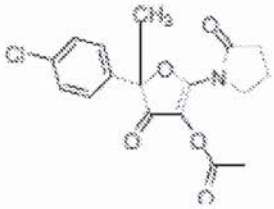
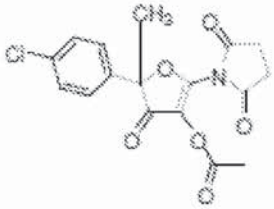
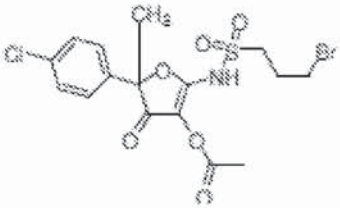
Structure	Name	Compound
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}-acetamide	19c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}-carbamic acid ethyl ester	20c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}benzamide	21c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}-4-bromo-butanamide	22c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}-2-pyrrolidinone	23c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}succinimide	24c

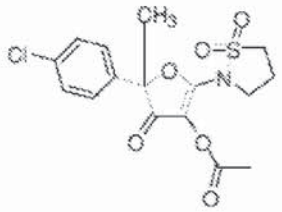
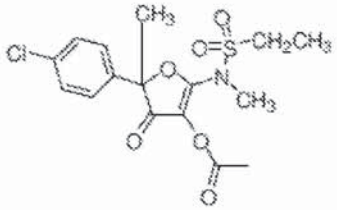
Structure	Name	Compound
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}-3-bromo-propylsulfonamide	25c
	N-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}-1,1-dioxide-isothiazolidine	26c
	N-methyl-N'-{3-acetoxy-4-hydroxy-5-{4-chlorophenyl}-2-furanyl}ethanesulfonamide	27c
	5-amino-2-{4-chlorophenyl}-2-methyl-4-trimethylsilyloxy-3{2H}-furanone	28c
	5-amino-2-{4-chlorophenyl}-2-methyl-4-hydroxy-3{2H}-furanone	29c
	N-{3-hydroxy-5-{4-chlorophenyl}-5-methyl-2-3{2H}-furanonyl}-methanesulfonamide	30c

Structure	Name	Compound
	N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-ethanesulfonamide	31c
	N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-benzenesulfonamide	32c
	N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-acetamide	33c
	N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-carbamic acid ethyl ester	34c
	N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-benzamide	35c
	N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-4-bromo-butanamide	36c

Structure	Name	Compound
	N-{3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-2-pyrrolidinone	37c
	N-{3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-succinimide	38c
	N-{3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl}-3-bromo-propylsulfonamide	39c
	N-{3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-1,1-dioxide-isothiazolidine	40c
	N-methyl-N'-{3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-ethanesulfonamide	41c
	5-amino-2-(4-chlorophenyl)-2-methyl-4-acetoxy-3(2H)-furanone	42c

Structure	Name	Compound
	N-{3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-methanesulfonamide	43c
	N-{3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-ethanesulfonamide	44c
	N-{3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-benzenesulfonamide	45c
	N-{3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-acetamide	46c
	N-{3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl}-carbamic acid ethyl ester	47c

Structure	Name	Compound
	N-(3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-benzamide	48c
	N-(3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-4-bromo-butanamide	49c
	N-(3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-2-pyrrolidinone	50c
	N-(3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-succinimide	51c
	N-(3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-3-bromo-propylsulfonamide	52c

Structure	Name	Compound
	N-(3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-1,1-dioxide-isothiazolidine	53c
	N-methyl-N'-(3-acetoxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-ethanesulfonamide	54c

Example 1 Synthesis and characterization of compounds in **Table 1A**.

General Procedures for Preparation of Aryltetronimides

Potassium cyanide (0.91g) was added to sodium carbonate (1.7g) in deionized water (30 mL) in a 3-Neck Glass Round Flask and placed in an ice bath. The system was repeatedly purged using a vacuum pump and nitrogen gas. Glyoxal (3.72g) was then added to the system without the introduction of O_2 and the reactants were allowed to dissolve with stirring. In a stoppered tube, the appropriate arylaldehyde (7.11 mmoles) was added to 1,4-dioxane (5 mL), purged, and then added drop-wise to the system. The system was then removed from the ice bath and allowed to stir at room temperature for 1 hour. After 1 hour, acetic acid (5 mL) was added drop-wise until gas bubbles were no longer visible from the addition of acetic acid, or until the solution was at a pH of less than 6. The solution was vacuum filtered and washed with ice cold water (5 mL), methanol (5 mL) and ether (5 mL) and then was allowed to air dry. Crude material was recrystallized with methanol, collected by vacuum filtration and rinsed with diethyl ether and dried under vacuum.

Compound 1c: 2-(4-chlorophenyl)-4-[[methylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of methanesulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 2c: 2-(4-chlorophenyl)-4-[[ethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of ethanesulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 3c: 2-(4-chlorophenyl)-4-[[1-propylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirring at room temperature

for 30 minutes, and 1 eq of n-propanesulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether, the combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 4c: 2-(4-chlorophenyl)-4-[[2-propylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of i-propanesulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 5c: 2-(4-chlorophenyl)-4-[[1-butylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of n-butanesulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride . The mixture is extracted 3 times with 30 mL of diethyl ether, the combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 6c: 2-(4-chlorophenyl)-4-[[1-propyl-2-methyl-sulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirring at room temperature for 30 minutes, and 1 eq of i-butanesulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 7c: 2-(4-chlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone

5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one was stirred in 50 mL of dry THF under argon gas for 16 hours with 4.7 g K_2CO_3 and 4.25 mL of benzenesulfonyl chloride. The reaction was filtered, and the filtrate was acidified with 24 mL 1N HCl, and

extracted 5 times with 20 mL of diethyl ether. The combined ether extracts were washed with brine and dried with Na_2SO_4. After filtration, 100 mL of hexanes was added to the solution, resulting in a precipitate, which was collected using vacuum filtration and recrystallized with MeOH. Yield = 17%. mp 190-195°C; FTIR 3099, 1630; ^{1}H NMR (300 MHz, DMSO-d_6, ppm) δ 8.54 (s, 2H), 7.93 (d, J = 8.1 Hz, 2H), 7.74 (t, J = 7.2 Hz, 1H), 7.57 (t, J = 8.1 Hz, 2H), 7.48 (d, J = 8.7 Hz, 2H), 7.18 (d, J = 8.4 Hz, 2H), 5.54 (s, 1H). ^{13}C NMR (75 MHz, DMSO-d_6, ppm) δ 181.2, 173.4, 135.2, 135.0, 134.2, 133.9, 129.7, 129.2, 129.1, 129.0, 106.6, 82.9. Elemental Analysis Calc: C 52.54, H 3.31, N 3.83, Cl 9.69; Found: C 52.50, H 3.33, N 3.79, Cl 9.84; $C_{16}H_{12}ClNO_5S$; HPLC retention time: 32.2 min.

Compound 8a: 2-(2-chlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8b:2-(3-chlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether, the combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8c: 2-(4-chlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

2-(4-chlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

2.5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one was stirred in 100 mL of dry THF. 1.31 mL of TEA was added, and 30 minutes later 2.11g of phenylmethylsulfonylchloride was added to the reaction. The reaction was stirred for 24 hours. The reaction was filtered, and the filtrate was acidified with 24 mL 1N HCl, and extracted 5 times with 20 mL of diethyl ether. The combined ether extracts were washed with brine, and dried with Na_2SO_4. After filtration, the solution was concentrated under reduced pressure, and the resulting solid was recrystallized from methanol. Yield = 27%.

FTIR 2957, 1636; ^{1}H NMR (300 MHz, DMSO-d_6, ppm) δ 8.79 (s, 2H), 7.60-7.49 (m, 4H), 7.43-7.35 (m, 5H), 5.80 (s, 1H), 4.97 (d, J = 14.1, 1H), 4.90 (d, J = 14.1, 1H). ^{13}C NMR (75 MHz, DMSO-d_6, ppm) δ 181.8, 173.9, 134.3, 134.1, 131.5, 129.3, 129.1, 129.1, 129.0, 128.9, 107.9, 83.1, 57.8. Elemental Analysis Calc: C 53.76, H 3.72, N 3.69; Found: C 53.90, H 3.68, N 3.70; $C_{17}H_{14}ClNO_5S$; HPLC retention time: 32.2 min.

Compound 8d: 2-(2,3-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2,3-dichlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8e: 2-(2,4-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2,4-dichlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8f: 2-(2,5-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2,5-dichlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8g: 2-(3-carboxymethylphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3-carboxymethylphenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8h: 2-(3,4-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3,4-dichlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8i: 2-(3,5-dichlorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3,5-dichlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8j: 2-(2-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2-fluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride solution. The mixture is extracted 3 times with 30 mL of diethyl ether. The

combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8k: 2-(3-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3-fluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8l: 2-(4-fluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours, followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether.The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8m: 2-(2,3-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2,3-difluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours,followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8n: 2-(2,4-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2,4-difluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether.

The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8o: 2-(2,5-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2,5-difluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether.The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8p: 2-(2,6-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(2,6-difluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8q: 2-(3,4-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3,4-difluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8r: 2-(3,5-difluorophenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3,5-difluorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room

temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8av

2-(4-trifluoromethylphenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-trifluoromethylphenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirring at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Example 8ay: 2-(4-nitrilephenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-nitrilephenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 8az: 2-(3-nitrilephenyl)-4-[[phenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(3-nitrilephenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 17c: 2-(4-chlorophenyl)-4-[[4-fluorophenylmethylsulfonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature

for 30 minutes, and 1 eq of 4-fluorophenylmethylsulfonyl chloride in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether.The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 35c: 2-(4-chlorophenyl)-4-[[phenoxycarbonyl]oxy]-5-amino-3(2H)-furanone

To a solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one in dry THF under argon is added triethylamine in dry THF. The reaction is stirred at room temperature for 30 minutes, and 1 eq of phenylchloroformate in dry THF is added dropwise. The reaction is stirred for 16 hours followed by the addition of a saturated ammonium chloride solution . The mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Compound 37c: 2-(4-chlorophenyl)-4-[[phenylaminothiocarbonyl]oxy]-5-amino-3(2H)-furanone

To a stirring solution of 5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one and sodium carbonate (1.7g) in deionized water (30 mL) is added phenylisothiocyanate. The reaction is stirred at room temperature for 24 hours. A saturated ammonium chloride solution is added and the mixture is extracted 3 times with 30 mL of diethyl ether. The combined ether extracts are washed with water and brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The solid is recrystallized with methanol.

Example 2 Synthesis and characterization of compounds in Table 2

General Procedures

Potassium cyanide (0.91g) was added to sodium carbonate (1.7g) in deionized water (30 mL) in a 3- Neck Glass Round Flask and placed in an ice bath. The system was repeatedly purged using a vacuum pump and nitrogen gas. Glyoxal (3.72g) was then added to the system without the introduction of O_2 and the reactants were allowed to dissolve with stirring. In a stoppered tube, the appropriate arylaldehyde (7.11 mmoles) was added to 1,4-dioxane (5 mL), purged, and then added drop-wise to the system. The system was then removed from the ice bath and allowed to stir at room temperature for 1 hour. After 1 hour, acetic acid (5 mL) was added drop-wise until gas bubbles were no longer visible from the addition of acetic acid, or until the solution was at a pH of less than 6. The solution was

vacuum filtered and washed with ice cold water (5 mL), methanol (5 mL) and ether (5 mL) and then was allowed to air dry. Crude material was recrystallized with methanol, collected by vacuum filtration and rinsed with diethyl ether and dried under vacuum.

Example 1c

5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one

Yield = 70%. mp 221-2°C; FTIR 3079, 1638; ^{1}H NMR (300 MHz, DMSO-d_6, ppm) δ 7.82 (s, 2H), 7.46 (d, J = 8.7 Hz, 2H), 7.30 (s, 1H), 7.29 (d, J = 8.7 Hz, 2H), 5.43 (s, 1H). ^{13}C NMR (75 MHz, DMSO-d_6, ppm) δ 182.6, 173.1, 135.7, 133.2, 128.9, 128.6, 111.7, 82.2. HRMS Calc: 248.00849, Found: 248.00852 $MNa^+ = C_{10}H_8NO_3ClNa^+$; Elemental Analysis Calc: C 53.23, H 3.57, N 6.21, Cl 15.71; Found: C 53.35, H 3.61, N 6.24, Cl 15.83 $C_{10}H_8ClNO_3$; HPLC retention time: 16.7 min.

Example 1j

5-amino-4-hydroxy-2-(2-fluorophenyl)-furan-3-one

Yield = 84%. mp 160-3°C; FTIR 3079, 1638; ^{1}H NMR (DMSO d_6) 5.60 (1H, s), 7.22-7.78 (4H, m); HRMS Calc: 210.05610, Found: 210.05609 $MH^+ = C_{10}H_9\,F\,NO_3^+$; Elemental Analysis Calc: C 57.42, H 3.85, N 6.70, F 9.08; Found: C 57.50, H 3.92, N 6.61, F 8.99 $C_{10}H_8FNO_3$; HPLC retention time: 11.42 min.

Example 1k

5-amino-4-hydroxy-2-(3-fluorophenyl)-furan-3-one

Yield = 60%. mp 168°C; FTIR 3356, 3129; ^{1}H NMR (DMSO d_6) 5.44 (1H, s), 7.05-7.27 (4H, m); HRMS Calc: 210.05610, Found: 210.05609 $MH^+ = C_{10}H_9\,F\,NO_3$+; Elemental Analysis Calc: C 57.42, H 3.85, N 6.70, F 9.08; Found: C 57.41, H 3.87, N 6.61, F 8.97 $C_{10}H_8FNO_3$; HPLC retention time: 12.63 min.

Example 1l

5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one

Yield = 68%. mp 160°C; FTIR 3351, 3138; ^{1}H NMR (DMSO d_6) 5.41 (1H, s), 7.22-7.78 (4H, m); HRMS Calc: 210.05610, Found: 210.05609 $MH^+ = C_{10}H_9\,F\,NO_3^+$; Elemental Analysis Calc: C 57.42, H 3.85, N 6.70, F 9.08; Found: C 57.42, H 3.97, N 6.66, F 8.90 $C_{10}H_8FNO_3$; HPLC retention time: 11.88 min.

Example 1m

5-amino-4-hydroxy-2-(2,3-difluorophenyl)-furan-3-one

Yield = 76%. mp 193°C; FTIR 3391, 3277, 1539; ^{1}H NMR (DMSO d_6) 5.68 (1H, s), 7.05-7.85 (3H, m); HRMS Calc: 228.04668, Found: 228.04669 $MH^+ = C_{10}H_8\,F_2NO_3^+$;

Elemental Analysis Calc: C 52.87, H 3.11, N 6.17, F 16.73; Found: C 53.10, H 3.11, N 6.17, F 16.57 $C_{10}H_7F_2NO_3$; HPLC retention time: 13.02 min.

Example 1n

5-amino-4-hydroxy-2-(2,4-difluorophenyl)-furan-3-one

Yield = 67%. mp 182-3°C; FTIR 3252, 1608; ^{1}H NMR (DMSO d_6) 5.59 (1H, s), 7.13-7.79 (3H, m); HRMS Calc: 228.04668, Found: 228.04671 $MH^+ = C_{10}H_8\ F_2NO_3^+$; Elemental Analysis Calc: C 52.87, H 3.11, N 6.17, F 16.73; Found: C 52.84, H 3.00, N 6.16, F 16.59 $C_{10}H_7F_2NO_3$; HPLC retention time: 12.63 min.

Example 1o

5-amino-4-hydroxy-2-(2,5-difluorophenyl)-furan-3-one

Yield = 80%. mp 196°C; FTIR 3391, 3267; ^{1}H NMR (DMSO d_6) 5.61 (1H, s), 7.03-7.84 (3H, m); HRMS Calc: 228.04668, Found: 228.04670 $MH^+ = C_{10}H_8\ F_2NO_3^+$; Elemental Analysis Calc: C 52.87, H 3.11, N 6.17, F 16.73; Found: C 52.79, H 3.11, N 6.15, F 16.57 $C_{10}H_7F_2NO_3$; HPLC retention time: 12.09 min.

Example 1p

5-amino-4-hydroxy-2-(2,6-difluorophenyl)-furan-3-one

Yield = 70%. mp 159-60°C; FTIR 3535, 3406; ^{1}H NMR (DMSO d_6) 5.68 (1H, s), 7.14-7.73 (3H, m); HRMS Calc: 228.04668, Found: 228.04670 $MH^+ = C_{10}H_8\ F_2NO_3^+$; Elemental Analysis Calc: C 52.87, H 3.11, N 6.17, F 16.73; Found: C 52.62, H 3.10, N 5.94, F 16.57 $C_{10}H_7F_2NO_3$; HPLC retention time: 11.30 min.

Example 1q

5-amino-4-hydroxy-2-(3,4-difluorophenyl)-furan-3-one

Yield = 76%. mp 190-4°C; FTIR 3322, 3124; ^{1}H NMR (DMSO d_6) 5.44 (1H, s), 7.13-7.85 (3H, m); HRMS Calc: 228.04668, Found: 228.04670 $MH^+ = C_{10}H_8\ F_2NO_3^+$; Elemental Analysis Calc: C 52.87, H 3.11, N 6.17, F 16.73; Found: C 53.13, H 3.16, N 6.15, F 16.61 $C_{10}H_7F_2NO_3$; HPLC retention time: 13.79 min.

Example 1r

5-amino-4-hydroxy-2-(3,5-difluorophenyl)-furan-3-one

Yield = 58%. mp 190-1°C; FTIR 3346, 3143; ^{1}H NMR (DMSO d_6) 5.68 (1H, s), 7.05-7.85 (3H, m); HRMS Calc: 228.04668, Found: 228.04670 $MH^+ = C_{10}H_8\ F_2NO_3^+$; Elemental Analysis Calc: C 52.87, H 3.11, N 6.17, F 16.73; Found: C 52.88, H 3.06, N 6.15, F 16.70 $C_{10}H_7F_2NO_3$; HPLC retention time: 14.00 min.

Example 2c

2-(4-chlorophenyl)-4-(acetoxy)-5-amino-3(2H)-furanone

1g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one was stirred in acetic anhydride under nitrogen gas for 16 hours. The reaction was cooled to -78°C, and lyophilized. The dried mass was recrystallized from MeOH. Yield = 44%. mp 221-2°C; FTIR 3030, 1628; ^{1}H NMR (300 MHz, DMSO-d_6, ppm) δ 8.30 (s, 2H), 7.47 (d, J = 8.7 Hz, 2H), 7.35 (d, J = 8.7 Hz, 2H), 5.63 (s, 1H), 2.14 (s, 3H). ^{13}C NMR (75 MHz, DMSO-d_6, ppm) δ 182.4, 173.0, 168.9, 134.8, 133.8, 129.1, 129.1, 106.9, 83.2, 20.7. Elemental Analysis Calc: C 53.85, H 3.77, N 5.23; Found: C 53.76, H 3.90, N 5.24; $C_{12}H_{10}ClNO_4$; HPLC retention time: 19.7 min.

Example 3c

2-(4-chlorophenyl)-4-[[phenylsulfonyl]oxy]-5-amino-3(2H)-furanone

5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one was stirred in 50 mL of dry THF under nitrogen gas for 16 hours with 4.7 g K_2CO_3 and 4.25 mL of benzenesulfonyl chloride. The reaction was filtered, and the filtrate was acidified with 24 mL 1N HCl, and extracted 5 times with 20 mL of diethyl ether. The combined ether extracts were washed with brine, and dried with Na_2SO_4. After filtration, 100 mL of hexanes was added to the solution, resulting in a precipitate, which was collected using vacuum filtration and recrystallized with MeOH. Yield = 17%. mp 190-195°C; FTIR 3034, 1630; ^{1}H NMR (300 MHz, DMSO-d_6, ppm) δ 8.54 (s, 2H), 7.93 (d, J = 8.1 Hz, 2H), 7.74 (t, J = 7.2 Hz, 1H), 7.57 (t, J = 8.1 Hz, 2H), 7.48 (d, J = 8.7 Hz, 2H), 7.18 (d, J = 8.4 Hz, 2H), 5.54 (s, 1H). ^{13}C NMR (75 MHz, DMSO-d_6, ppm) δ 181.2, 173.4, 135.2, 135.0, 134.2, 133.9, 129.7, 129.2, 129.1, 129.0, 106.6, 82.9. Elemental Analysis Calc: C 52.54, H 3.31, N 3.83, Cl 9.69; Found: C 52.50, H 3.33, N 3.79, Cl 9.84; $C_{16}H_{12}ClNO_5S$; HPLC retention time: 32.2 min.

Example 4c

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)methanesulfonamide

5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one was stirred in 50 mL of dry THF under nitrogen gas for 16 hours with 4.6 g K_2CO_3 and 1.5 mL of methanesulfonyl chloride. The reaction was filtered, and the filtrate was acidified with 24 mL 1N HCl, and extracted 5 times with 20 mL of diethyl ether. The combined ether extracts were washed with brine, and dried with Na_2SO_4. After filtration, 100 mL of hexanes was added to the solution, resulting in a precipitate, which was collected using vacuum filtration and recrystallized with MeOH. The material was further purified by column chromatography. Yield = 18%. mp 175°C; FTIR 3169, 1616; ^{1}H NMR (300 MHz, DMSO-d_6, ppm) δ 8.41 (s, 1H), 8.09 (s, 1H), 7.96 (d, J = 8.4 Hz, 2H), 7.85 (s, 1H), 7.59 (d, J = 8.4 Hz, 2H), 3.55 (s, 3H). ^{13}C NMR (75 MHz, DMSO-d_6, ppm) δ 185.7, 165.1, 140.7, 136.9, 135.9, 133.5, 130.0,

129.7, 40.7. Elemental Analysis Calc: C 43.50, H 3.32, Cl 11.67, N 4.61; Found: C 43.66, H 3.40, Cl 11.54, N 4.55; $C_{11}H_{10}ClNO_5S$; HPLC retention time: 25.2 min.

Example 5c

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)ethanesulfonamide

5g of 5-amino-4-hydroxy-2-(4-chlorophenyl)-furan-3-one was stirred in 50 mL of dry THF under nitrogen gas for 16 hours with 4.6 g K_2CO_3 and 2.1 mL of ethanesulfonyl chloride. The reaction was filtered, and the filtrate was acidified with 24 mL 1N HCl, and extracted 5 times with 20 mL of diethyl ether. The combined ether extracts were washed with brine, and dried with Na_2SO_4. After filtration, 100 mL of hexanes was added to the solution, resulting in a precipitate, which was collected using vacuum filtration and recrystallized with ethyl acetate. Yield = 21%. mp 183-185°C; FTIR 3181, 1616; ^{1}H NMR (300 MHz, DMSO-d_6, ppm) δ 8.41 (s, 1H), 8.08 (s, 1H), 7.95 (d, J = 9.3 Hz, 2H), 7.85 (s, 1H), 7.59 (d, J = 9.0 Hz, 2H), 3.68 (q, J = 7.2 Hz, 2H), 1.40 (t, J = 6.9 Hz, 3H). ^{13}C NMR (75 MHz, DMSO-d6, ppm) δ 185.8, 165.1, 140.7, 136.8, 136.1, 133.5, 130.0, 129.7, 48.0, 8.6. Elemental Analysis Calc: C 45.36, H 3.81, Cl 11.16, N 4.41; Found: C 45.42, H 3.85, Cl 11.06, N 4.37; $C_{12}H_{12}ClNO_5S$; HPLC retention time: 29.9 min.

Example 4c

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)methanesulfonamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of methyl sulfonyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature, and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 6c

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)benzenesulfonamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature,

chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of benzene sulfonyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature, and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 7c

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)acetamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of acetyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature, and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 9c

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)benzamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of benzoyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature, and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 12c

N-(3,4-dihydroxy-5-(4-chlorophenyl)-2-furanyl)succinimide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one is stirring in 50mL pyridine under dry nitrogen. 1 equivalent of succinic anhydride is added, and the reaction is refluxing gently with a water bath for 1 hour. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with a saturated bicarbonate solution and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 28c

5-amino-2-(4-chlorophenyl)-2-methyl-4-trimethylsilyloxy-3(2H)-furanone

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of LDA in THF/Hexanes is added dropwise. The reaction is slowly warmed to room temperature for 30 minutes, and is cooled with an ice bath. 1 equivalent of chlorotrimethylsilane is added dropwise, and the reaction is slowly warmed to room temperature and stirs for 1 hour. The reaction is cooled with an ice bath, and 1 equivalent of LDA in THF/Hexanes is added dropwise, and the reaction is slowly warmed to room temperature for 30 minutes. The reaction is cooled with an ice bath, and 1 equivalent of methyl iodide in THF is added slowly dropwise. The reaction is slowly warmed to room temperature and stirs for 1 hour.

Example 29c

5-amino-2-(4-chlorophenyl)-2-methyl-4-hydroxy-3(2H)-furanone

5 g of 5-amino-2-(4-chlorophenyl)-2-methyl-4-trimethylsilyloxy-3(2H)-furanone is stirring in THF at room temperature. 1 equivalent of tetrabutylammonium fluoride is added, and the reaction stirs for 30 minutes. An ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 30c

N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-methanesulfonamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)2-methyl-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath

for 30 minutes. 1 equivalent of methyl sulfonyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 31c

N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-ethanesulfonamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)2-methyl-furan-3-one is stirring in 50mL dry THF under reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of ethyl sulfonyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 32c

N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-benzenesulfonamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)2-methyl-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of benzene sulfonyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 33c

N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-acetamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)2-methyl-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of acetyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with water and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 35c

N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-benzamide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)2-methyl-furan-3-one is stirring in 50mL dry THF under dry nitrogen. The reaction is cooling in an ice bath, and 1 equivalent of triethylamine is added dropwise. The reaction is warmed to room temperature, chlorotrimethylsilane is added dropwise and the reaction is refluxing gently with a water bath for 30 minutes. 1 equivalent of benzoyl chloride is added dropwise. 1 equivalent of TEA is added dropwise, and the reaction is gently refluxing with a water bath for 1 hour. The reaction is cooling to room temperature and 1 equivalent of tetrabutylammonium fluoride is added and stirs for 30 minutes. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

Example 38c

N-(3-hydroxy-5-(4-chlorophenyl)-5-methyl-2-3(2H)-furanonyl)-succinimide

5 g of 5-amino-4-hydroxy-2-(4-fluorophenyl)-2-methyl-furan-3-one is stirring in 50mL dry THF under dry nitrogen. 1 equivalent of succinic anhydride and catalytic sulfamic acid is added, and the reaction is refluxing gently with a water bath for 1 hour. A saturated ammonium sulfate solution is added to quench the reaction, and the reaction is extracted 3 times with 20mL diethyl ether. The combined ether extracts are washed with a saturated bicarbonate solution and brine, the ether is dried with sodium sulfate, is filtered, and evaporates to yield a solid which is recrystallized with methanol.

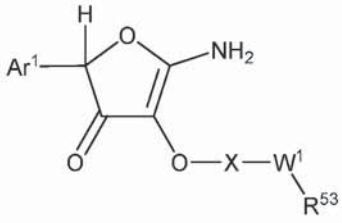
OTHER EMBODIMENTS

The patent and scientific literature referred to herein establishes the knowledge that is available to those with skill in the art. All United States patents and published or unpublished United States patent applications cited herein are incorporated by reference. All published foreign patents and patent applications cited herein are hereby incorporated by reference. All other published references, documents, manuscripts and scientific literature cited herein are hereby incorporated by reference.

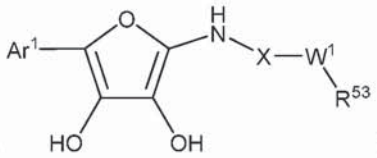
While this invention has been particularly shown and described with references to preferred embodiments thereof, it will be understood by those skilled in the art that various changes in form and details may be made therein without departing from the scope of the invention encompassed by the appended claims.

What is claimed is:

1. An asparatyl (asparaginyl) beta-hydroxylase (ASPH) inhibitory compound for use in a method of reducing proliferation, migration, invasion, or metastasis of a tumor cell in the treatment of cell proliferative disorder, comprising contacting said tumor cell with the ASPH inhibitory compound, wherein the ASPH inhibitory compound is of Formula Ia or Ib:



(Ia) or



(Ib),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

Ar^1 is substituted or unsubstituted C_6-C_{20} aryl or 5 to 20-membered heteroaryl;

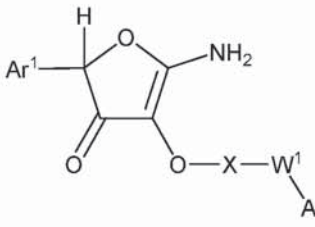
X is C(O), C(S), or $S(O)_2$;

W^1 is a single bond, O, $CR^{50}R^{51}$, or NR^{52} when X is CO and W^1 is a single bond, $CR^{50}R^{51}$, or NR^{52} when X is SO_2; and

each of R^{50} ,R^{51}, R^{52}, and R^{53} independently is selected from the group consisting of hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted C_6-C_{20} aryl, substituted or unsubstituted C_7-C_{26} arylalkyl, substituted or unsubstituted 5 to 20-membered heteroaryl, and substituted or unsubstituted 6-26 membered heteroarylalkyl.

2. The ASPH inhibitory compound for use of claim 1, wherein the compound is of Formula Ia, or a salt, ester, metabolite, prodrug, or solvate thereof.

3. The ASPH inhibitory compound for use of claim 2, wherein the compound is of Formula IIa:



(IIa),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

each of Ar^1 and Ar^2 independently is unsubstituted C_6-C_{14} aryl, unsubstituted 5 to 14-membered heteroaryl, or C_6-C_{14} aryl or 5 to 14-membered heteroaryl each substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

4. The ASPH inhibitory compound for use of claim 2, wherein R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

5. The ASPH inhibitory compound for use of any of claims 2-4, wherein X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

6. The ASPH inhibitory compound for use of any of claims 2-4, wherein X is $S(O)_2$ and W^1 is a single bond.

7. The ASPH inhibitory compound for use of any of claims 2-4, wherein X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

8. The ASPH inhibitory compound for use of any of claims 2-7, wherein each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

9. The ASPH inhibitory compound for use of any of claims 2-8, wherein each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$,

$-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

10. The ASPH inhibitory compound for use of claim 9, wherein each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

11. The ASPH inhibitory compound for use of claim 9 or 10, wherein each of Ar^1 and Ar^2 independently is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

12. The ASPH inhibitory compound for use of claim 1, wherein the compound is of Formula Ib, or a salt, ester, metabolite, prodrug, or solvate thereof.

13. The ASPH inhibitory compound for use of claim 12, wherein R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

14. The ASPH inhibitory compound for use of claim 12, wherein R^{53} is unsubstituted methyl or ethyl.

15. The ASPH inhibitory compound for use of any of claims 12-14, wherein X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

16. The ASPH inhibitory compound for use of any of claims 12-14, wherein X is $S(O)_2$ and W^1 is a single bond.

17. The ASPH inhibitory compound for use of any of claims 12-14, wherein X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

18. The ASPH inhibitory compound for use of any of claims 12-17, wherein each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

19. The ASPH inhibitory compound for use of any of claims 12-18, wherein Ar^1 is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

20. The ASPH inhibitory compound for use of claim 19, wherein Ar^1 is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

21. The ASPH inhibitory compound for use of claim 19 or 20, wherein Ar^1 is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

22. The ASPH inhibitory compound for use of claim 1, wherein the compound is selected from the compounds listed in Tables 1A and 2.

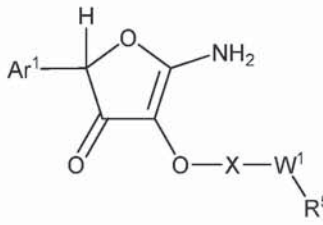
23. The ASPH inhibitory compound for use of any of claims 1-22, wherein said tumor cell expresses ASPH.

24. The ASPH inhibitory compound for use of any of claims 1-23, wherein said cell proliferative disorder comprises Pancreatic Cancer, Hepatocellular Cancer, Cholangiocarcinoma, Lung cancer, Colon Cancer, Breast Cancer, Prostatic Cancer, and Glioblastoma.

25. The ASPH inhibitory compound for use of any of claims 1-24, wherein said compound is administered intravenously, orally, or subcutaneously.

26. The ASPH inhibitory compound for use of any of claims 1-25, wherein said compound is administered at a dose of 0.01 to 50 milligrams/kilogram of body weight.

27. A compound of Formula Ia:



(Ia),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

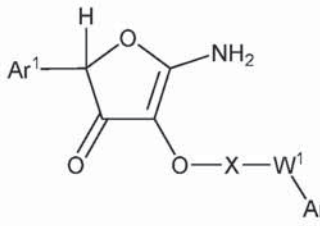
Ar^1 is substituted or unsubstituted C_6-C_{20} aryl or 5 to 20-membered heteroaryl;

X is C(O), C(S), or $S(O)_2$;

W^1 is a single bond, O, $CR^{50}R^{51}$, or NR^{52} when X is CO and W^1 is a single bond, $CR^{50}R^{51}$, or NR^{52} when X is SO_2; and

each of R^{50} ,R^{51}, R^{52}, and R^{53} independently is selected from the group consisting of hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted C_6-C_{20} aryl, substituted or unsubstituted C_7-C_{26} arylalkyl, substituted or unsubstituted 5 to 20-membered heteroaryl, and substituted or unsubstituted 6-26 membered heteroarylalkyl, provided that when Ar^1 is 4-chlorophenyl, X is C(O), and W^1 is a single bond, then R^{53} is not unsubstituted methyl or unsubstituted phenyl.

28. The compound of claim 27, the compound is of Formula IIa:



(IIa),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

each of Ar^1 and Ar^2 independently is unsubstituted C_6-C_{14} aryl, unsubstituted 5 to 14-membered heteroaryl, or C_6-C_{14} aryl or 5 to 14-membered heteroaryl each substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

29. The compound of claim 27, wherein R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

30. The compound of any of claims 27-29, wherein X is $S(O)_2$ and W^1 is $CR^{50}R^{51}$.

31. The compound of any of claims 27-29, wherein X is $S(O)_2$ and W^1 is a single bond.

32. The compound of any of claims 27-29, wherein X is C(O) and W^1 is O, or X is C(S) and W^1 is NR^{52}.

33. The compound of any of claims 27-32, wherein each of R^{50}, R^{51}, and R^{52}independently is H, unsubstituted C_1-C_6 alkyl, or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

34. The compound of any of claims 27-33, wherein each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8

cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

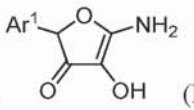
35. The compound of claim 34, wherein each of Ar^1 and Ar^2 independently is phenyl, naphthyl, or 5 to 10-membered heteroaryl, each of which is optionally substituted with one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, and di-C_1-C_6 alkylamino.

36. The compound of claim 34 or 35, wherein each of Ar^1 and Ar^2 independently is selected from phenyl, 1-naphthyl, 2-naphthyl, 2-furanyl, 2-thiazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 3-carboxymethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,5-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3,5-dimethoxyphenyl, 2-chloro-6-fluorophenyl, 3-chloro-4-fluorophenyl, 2-chloro-4-fluorophenyl, 4-chloro-3-fluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-5-fluorophenyl, 4-chloro-2-fluorophenyl, and 5-chloro-2-fluorophenyl.

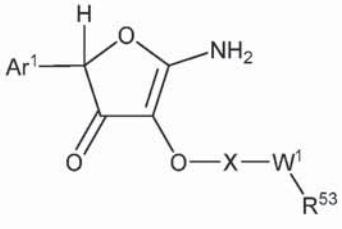
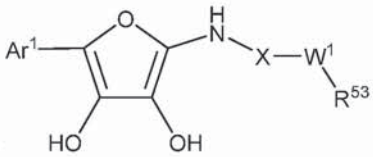
37. The compound of claim 27, wherein the compound is selected from Table 1A.

38. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of any of claims 27-37 or a salt, ester, metabolite, prodrug, or solvate thereof.

39. A method of producing a compound of claim 30, comprising

contacting an amine compound of the formula (IIIa)  (IIIa),
with a sulfonyl chloride of the formula $ClSO_2(CR^{50}R^{51})Ar^2$ under a suitable condition to produce a compound of claim30.

40. A method for treating or preventing a cell proliferative disorder, comprising administering to a subject in need thereof a therapeutically efficient amount of a compound of Formula Ia or Ib:

 (Ia) or  (Ib),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

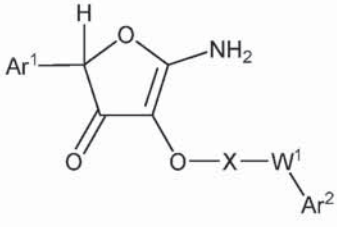
Ar^1 is substituted or unsubstituted C_6-C_{20} aryl or 5 to 20-membered heteroaryl;

X is C(O), C(S), or $S(O)_2$;

W^1 is a single bond, O, $CR^{50}R^{51}$, or NR^{52} when X is CO and W^1 is a single bond, $CR^{50}R^{51}$, or NR^{52} when X is SO_2; and

each of R^{50} ,R^{51}, R^{52}, and R^{53} independently is selected from the group consisting of hydrogen, substituted or unsubstituted C_1-C_6 alkyl, substituted or unsubstituted C_2-C_6 alkenyl, substituted or unsubstituted C_2-C_6 alkynyl, substituted or unsubstituted C_6-C_{20} aryl, substituted or unsubstituted C_7-C_{26} arylalkyl, substituted or unsubstituted 5 to 20-membered heteroaryl, and substituted or unsubstituted 6-26 membered heteroarylalkyl.

41. The method of claim 40, wherein the compound is of Formula IIa:

 (IIa),

or a salt, ester, metabolite, prodrug, or solvate thereof, wherein

each of Ar^1 and Ar^2 independently is unsubstituted C_6-C_{14} aryl, unsubstituted 5 to 14-membered heteroaryl, or C_6-C_{14} aryl or 5 to 14-membered heteroaryl each substituted with

one or more substituents selected from the group consisting of halo, CN, NO_2, NO, N_3, OR_a, NR_aR_b, $C(O)R_a$, $C(O)OR_a$, $C(O)NR_aR_b$, $NR_bC(O)R_a$, $-S(O)_bR_a$, $-S(O)_bNR_aR_b$, or R_{S1}, in which R_{S1} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 5- or 6-membered heteroaryl, or 4 to 12-membered heterocycloalkyl, b is 0, 1, or 2, each of R_a and R_b, independently is H or R_{S2}, and R_{S2} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, or 5- or 6-membered heteroaryl; and each of R_{S1} and R_{S2}, is optionally substituted with one or more substituents selected from the group consisting of halo, OH, oxo, C(O)OH, C(O)O-C_1-C_6 alkyl, CN, C_1-C_6 alkyl, C_1-C_6 alkoxyl, amino, mono-C_1-C_6 alkylamino, di-C_1-C_6 alkylamino, C_3-C_8 cycloalkyl, C_6-C_{10} aryl, 4 to 12-membered heterocycloalkyl, and 5- or 6-membered heteroaryl.

42. The method of claim 40, wherein the compound is of Formula Ib, or a salt, ester, metabolite, prodrug, or solvate thereof.

43. The method of claim 42, wherein R^{53} is unsubstituted C_1-C_6 alkyl or C_1-C_6 alkyl substituted with one or more substituents selected from halo, OH, CN, and amino.

44. A method determining ASPH activity, contacting an EGF-like domain peptide with a detectably-labeled α-ketoglutarate and ASPH enzyme and measuring β-hydroxylase activity.

45. The method of claim 44, wherein said α-ketoglutarate is ^{14}C-labelled, and wherein β-hydroxylase activity is measured by detecting liberated $^{14}CO_2$.

46. The method of claim 45, wherein said liberated $^{14}CO_2$ is captured on a filter and radioactivity quantified.

47. The method of claim 44, further comprising contacting said ASPH enzyme with a candidate compound, wherein a decrease in β-hydroxylase activity in the presence of said compound compared to the absence of said compound indicates that said compound inhibits ASPH enzyme activity.

48. The method of claim 44, wherein said EGF-like domain comprises the amino acid sequence of

DGDQCETSPCQNQGKCKDGLGEYTCTCLEGFEGKNCELF.

49. The method of claim 44, wherein said EGF-like domain peptide comprises the consensus sequence of CDXXXCXXKXGNGXCDXXCNNAACXXDGXDC (SEQ ID NO: 4).

FIG. 1A

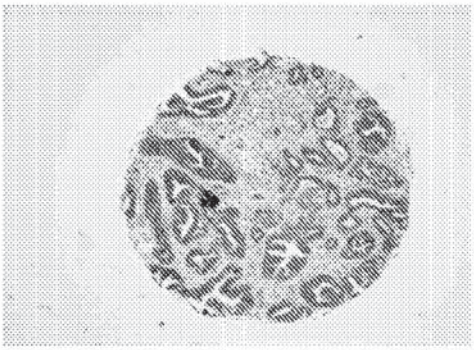


FIG. 1B

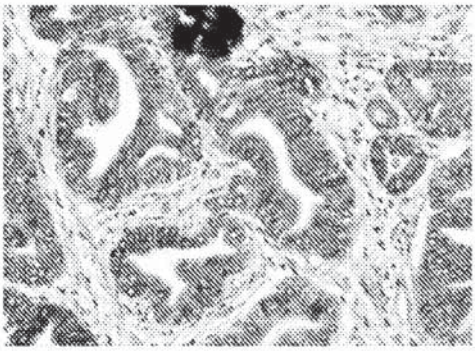


FIG. 1C

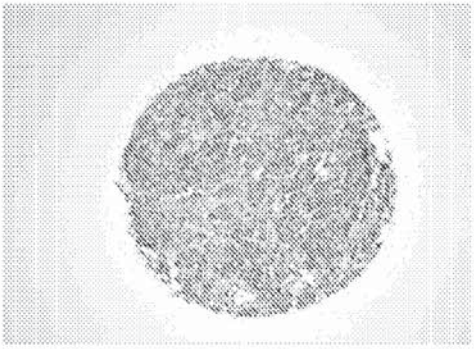


FIG. 1D

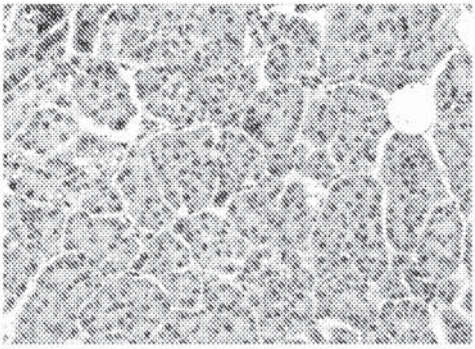


FIG. 1E

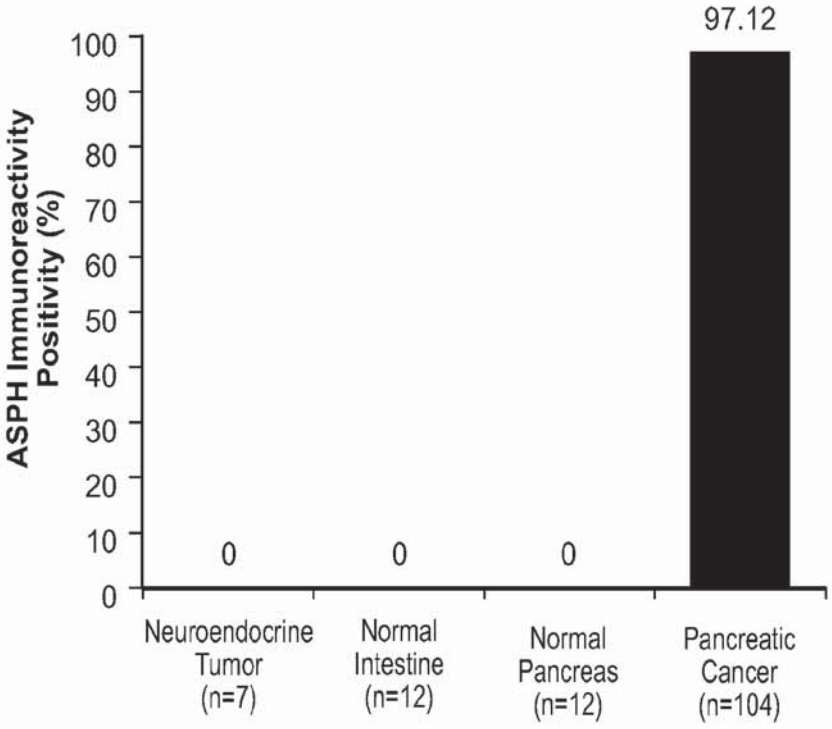


FIG. 2A

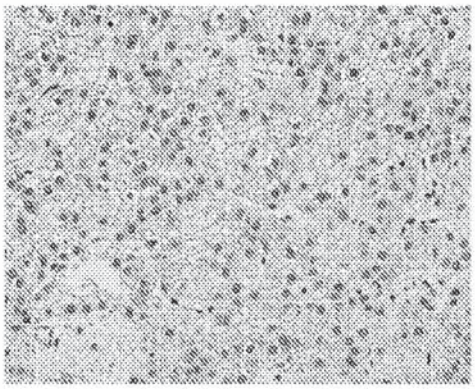


FIG. 2B

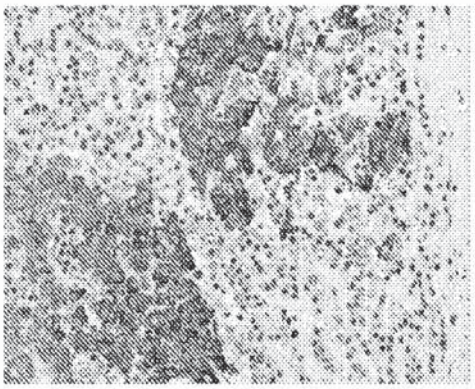


FIG. 2C

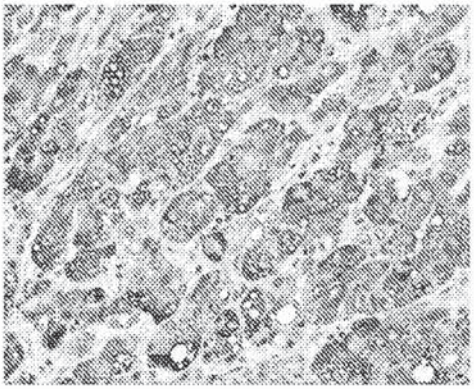


FIG. 2D

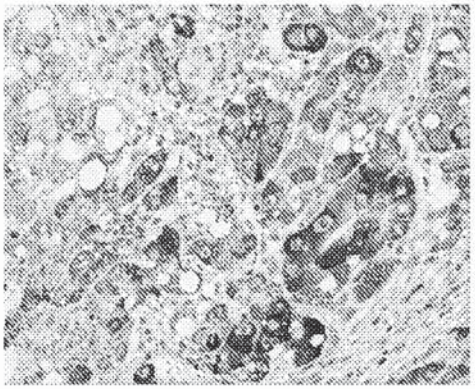


FIG. 3A

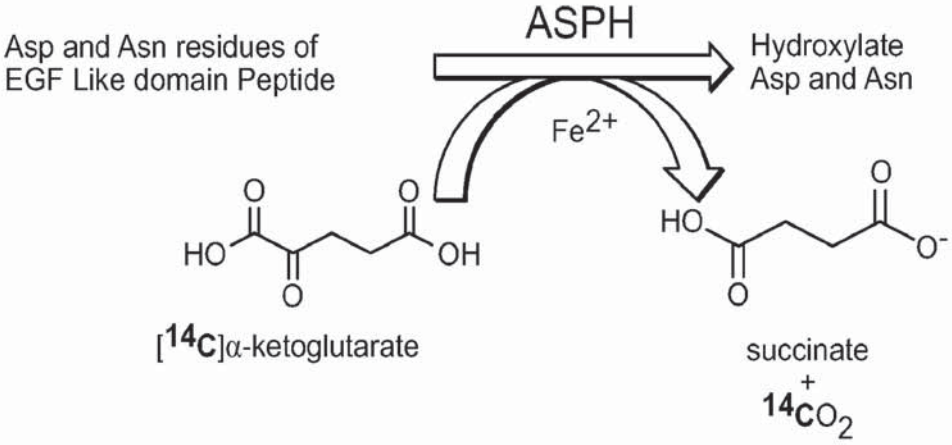


FIG. 3B

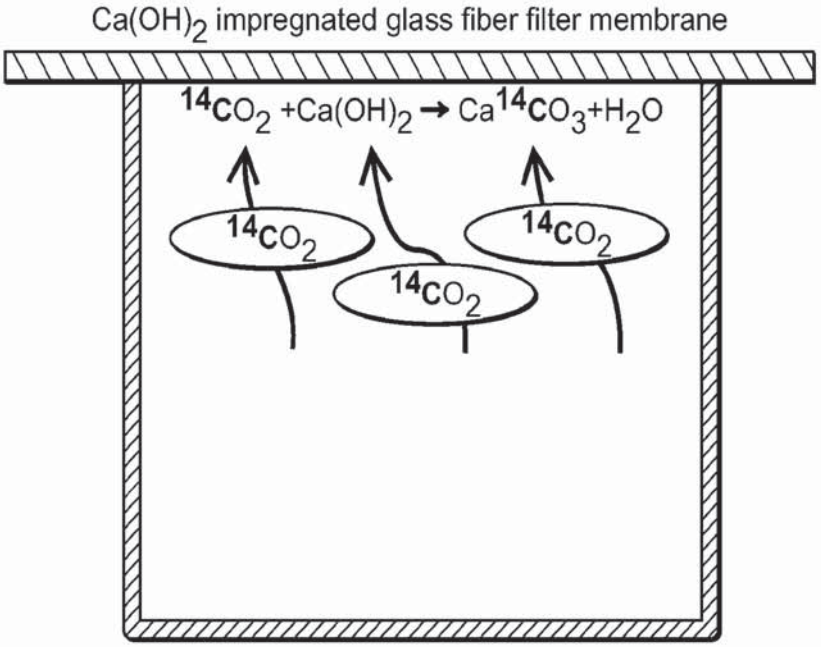


FIG. 3C

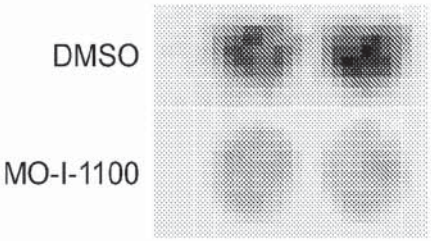


FIG. 3D

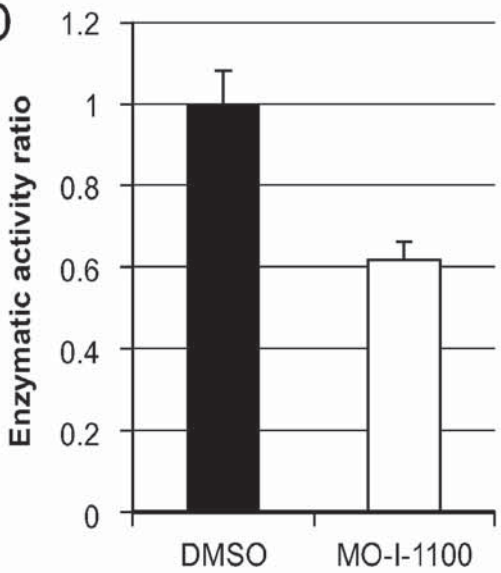


FIG. 4A

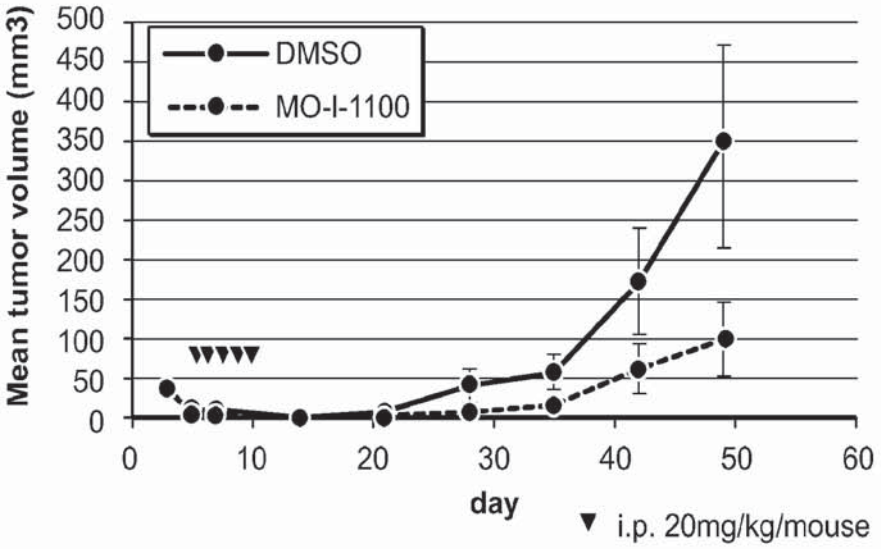

Mean tumor volume (mm3)
500
450
400
350
300
250
200
150
100
50
0
DMSO
MO-I-1100
0
10
20
30
40
50
60
day
▼ i.p. 20mg/kg/mouse

FIG. 4B

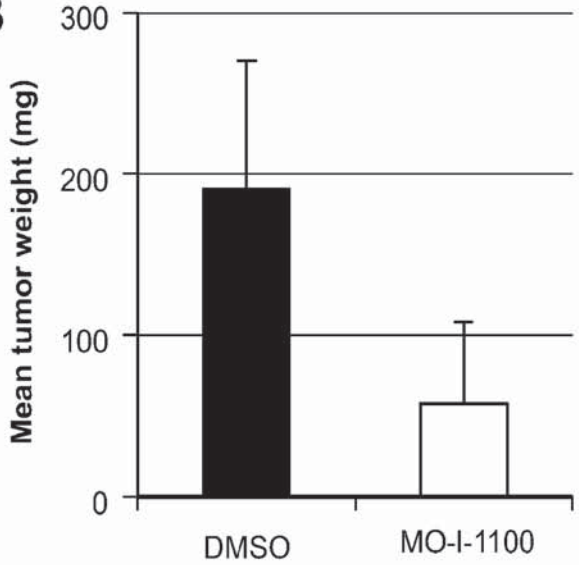

Mean tumor weight (mg)
300
200
100
0
DMSO
MO-I-1100

FIG. 5A

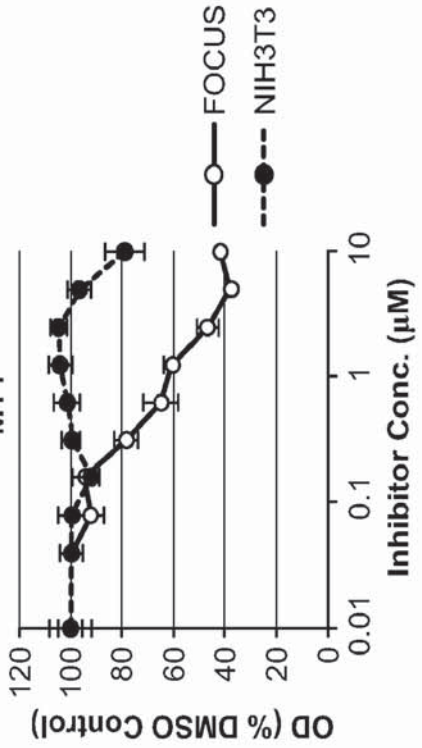


FIG. 5B

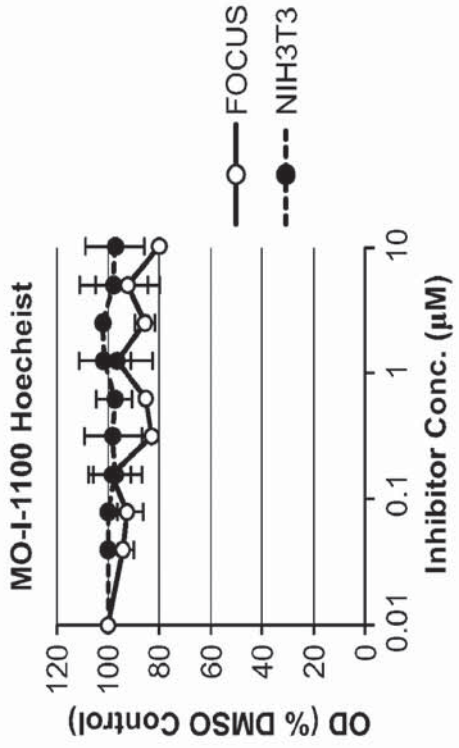


FIG. 5C

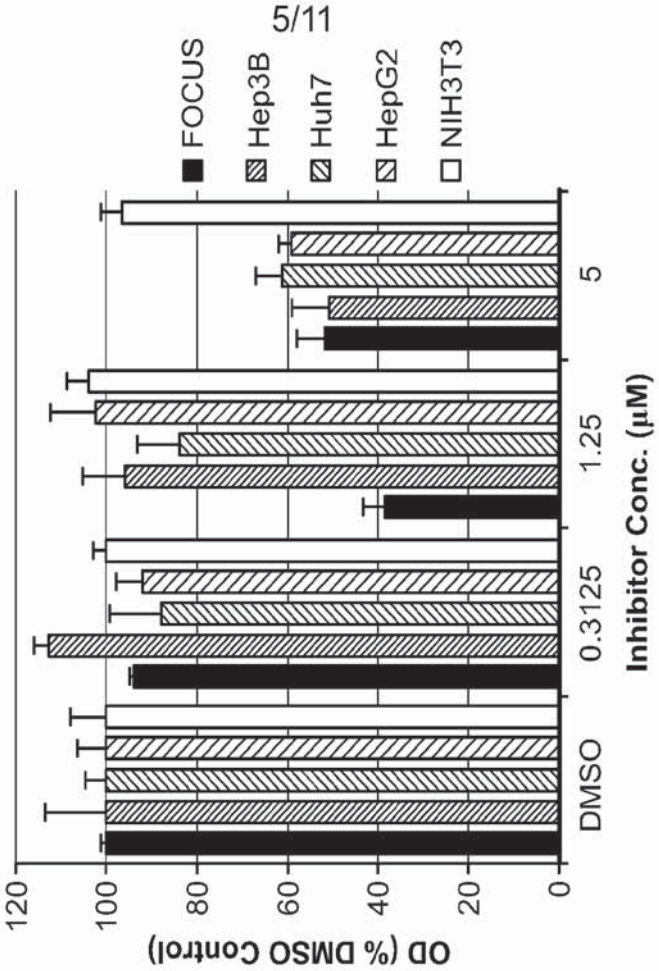


FIG. 6A

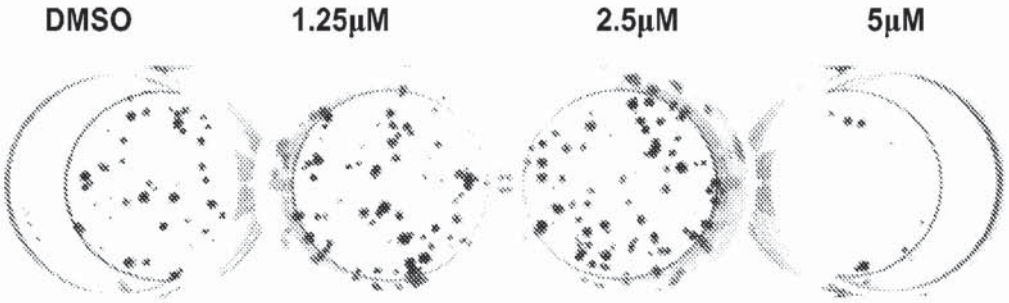


FIG. 6B

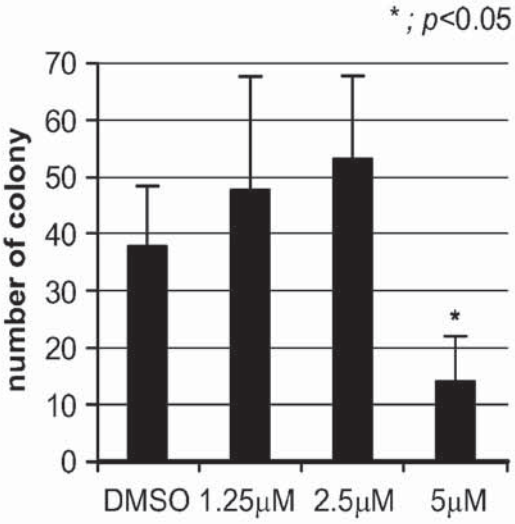


FIG. 7A

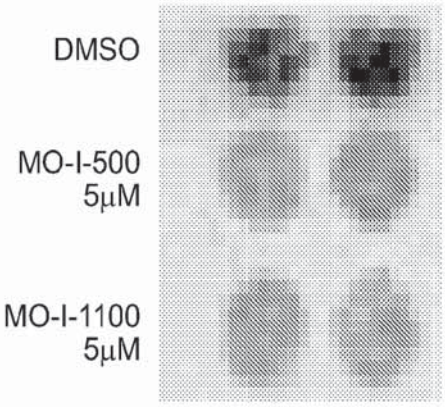


FIG. 7B

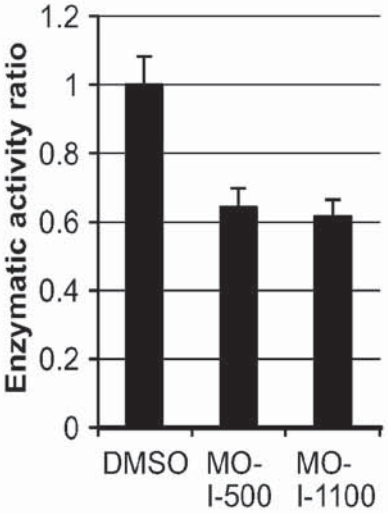


FIG. 8A MTT assay and Hoechist 33342 staining

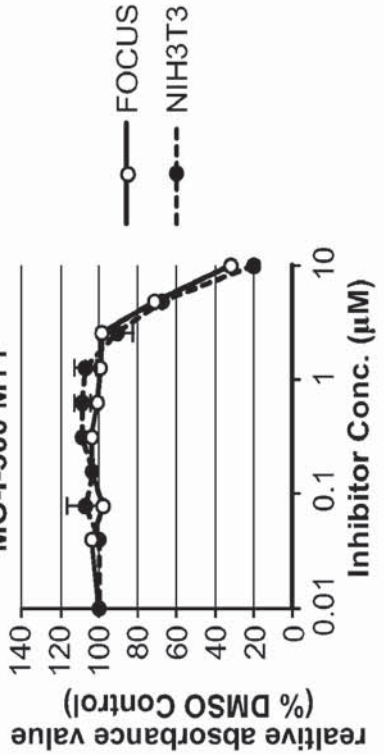


FIG. 8B

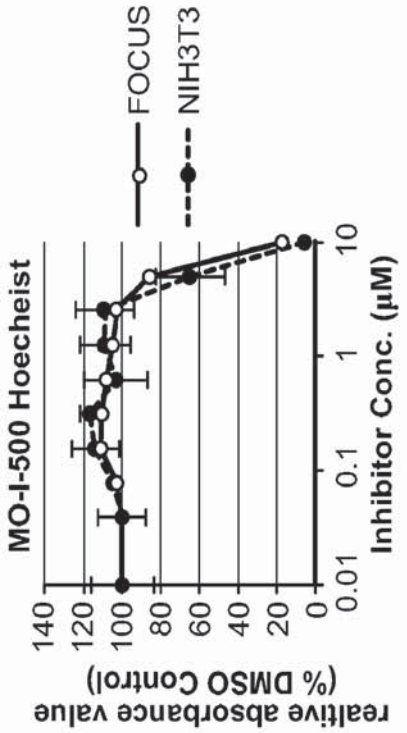


FIG. 8C Colony formation assay

FIG. 8D

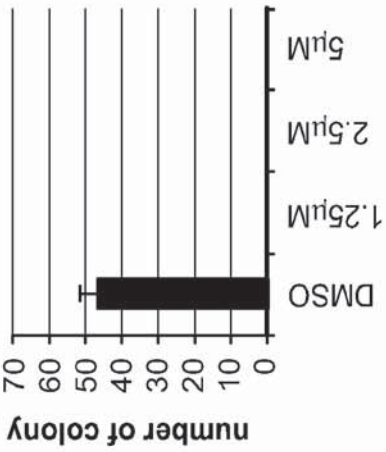


FIG. 9A

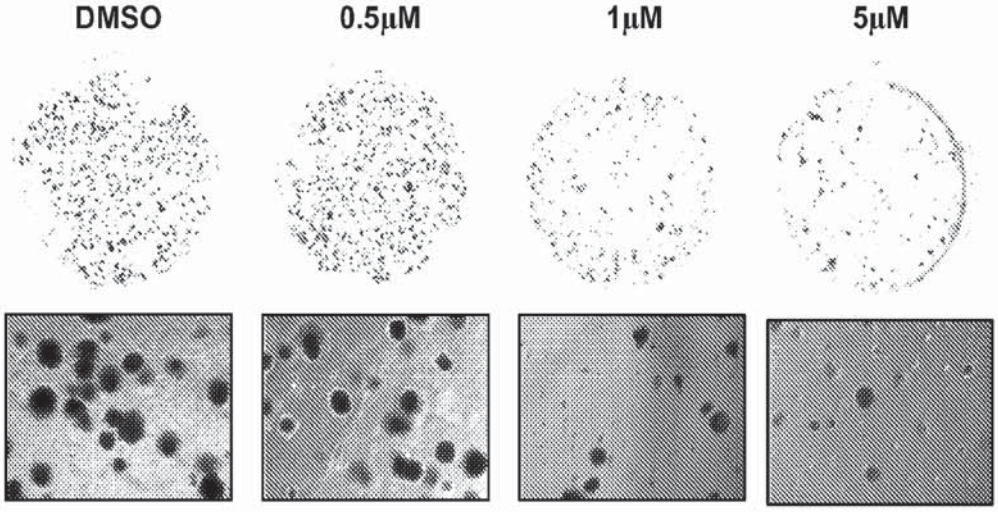


FIG. 9B

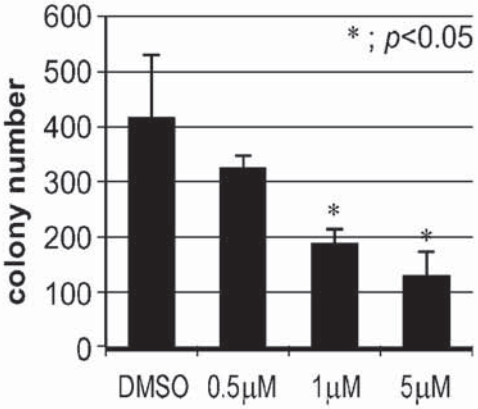


FIG. 9C

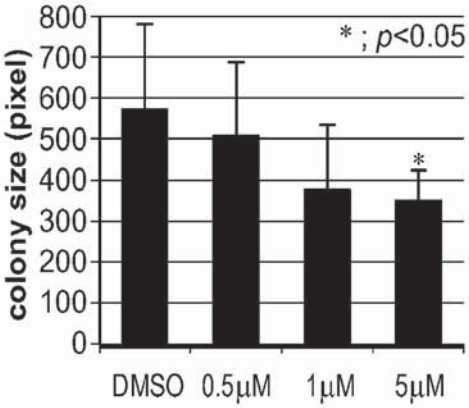


FIG. 10A

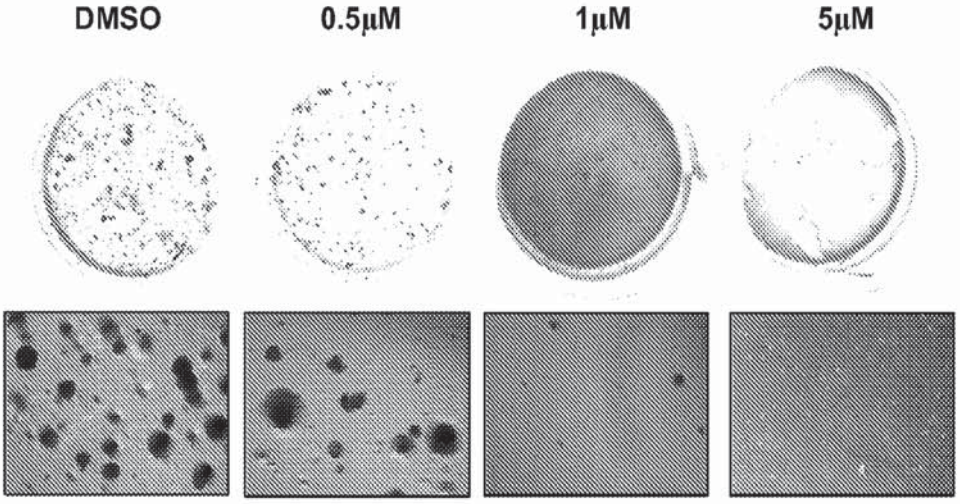


FIG. 10B

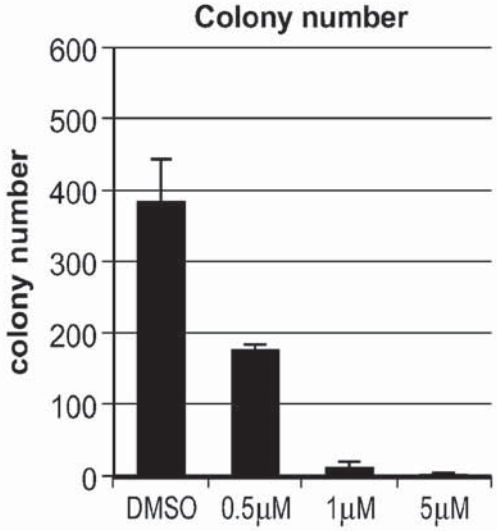


FIG. 10C

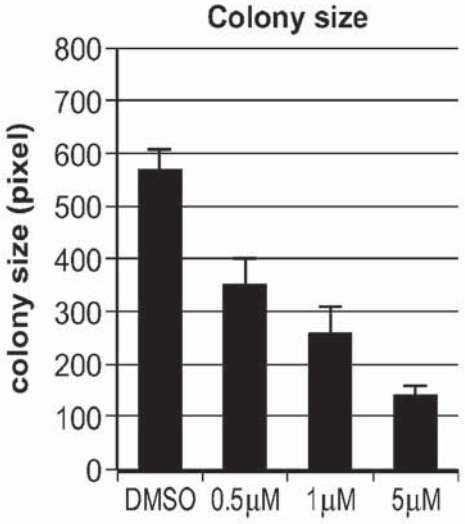


FIG. 11A

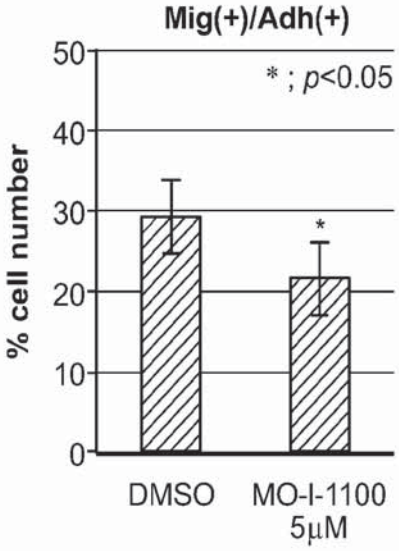


FIG. 11B

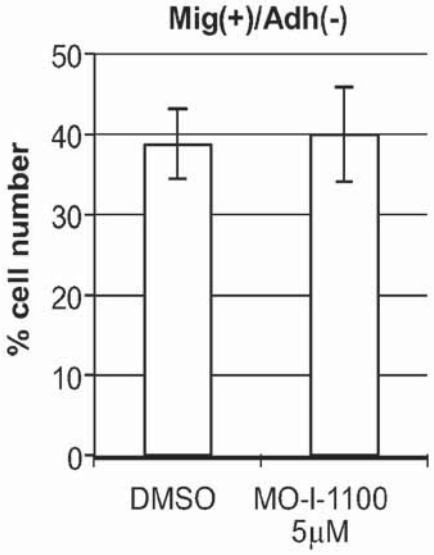


FIG. 11C

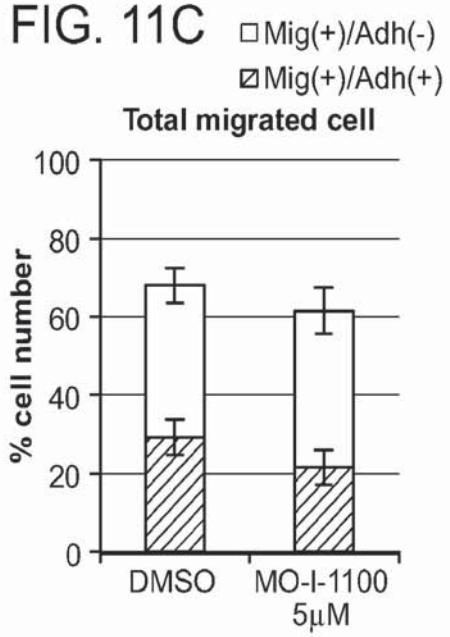


FIG. 11D

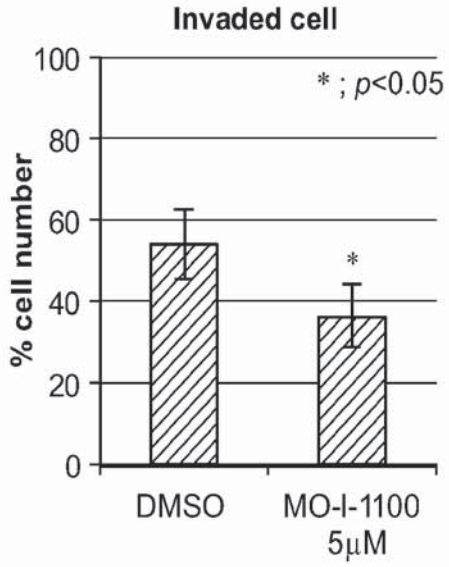


FIG. 12A

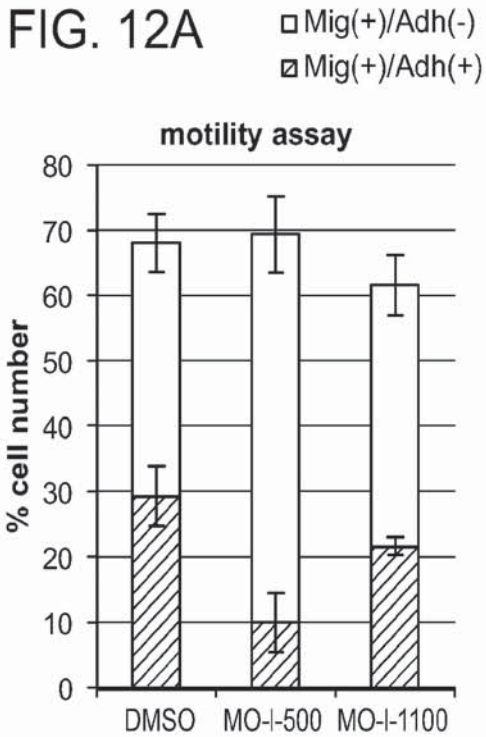


FIG. 12B

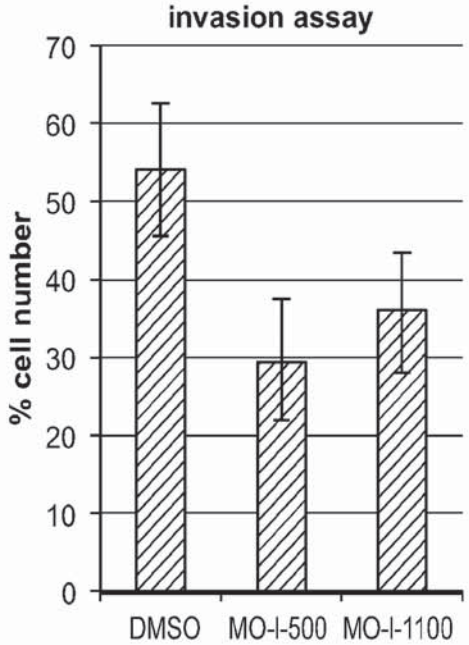


FIG. 13A

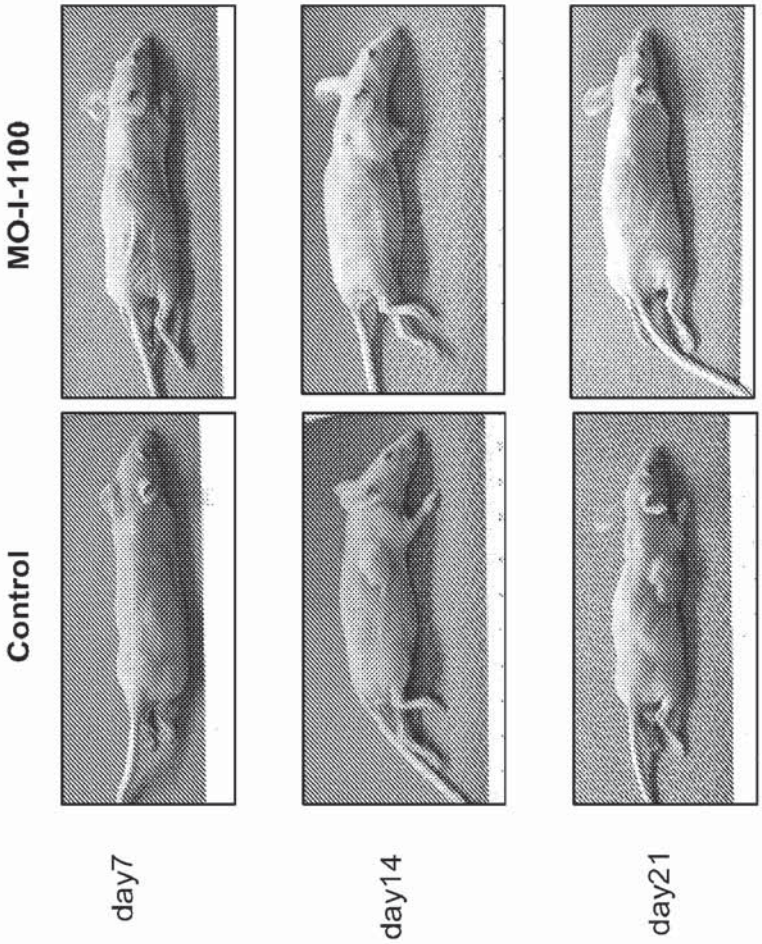
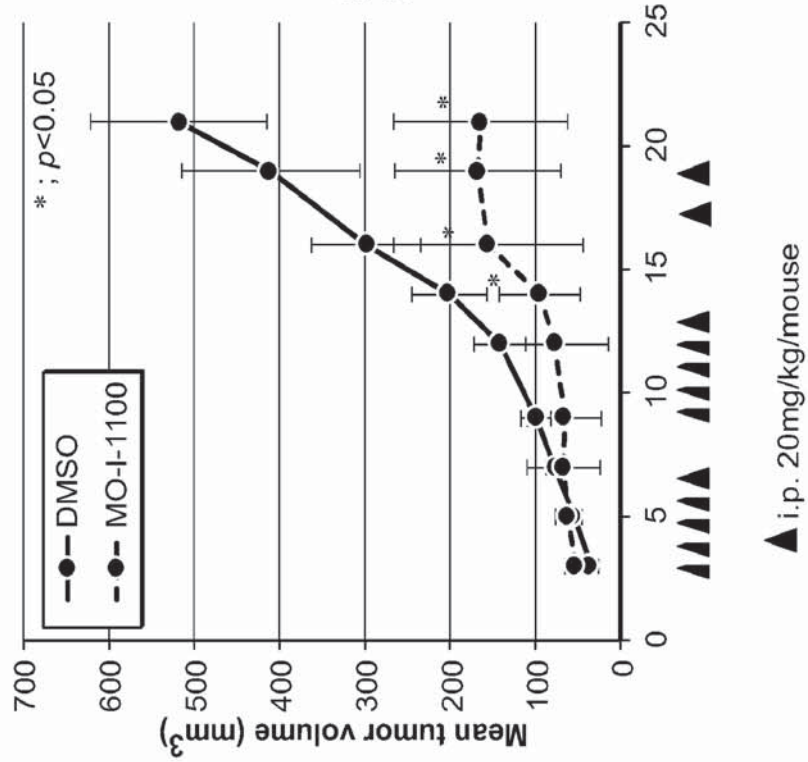


FIG. 13B



(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
27 March 2014 (27.03.2014)

WIPO | PCT

(10) International Publication Number
WO 2014/047519 A3

(51) International Patent Classification:
A61K 31/34 (2006.01) *A61K 31/16* (2006.01)

(21) International Application Number:
PCT/US2013/061050

(22) International Filing Date:
20 September 2013 (20.09.2013)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/704,014 21 September 2012 (21.09.2012) US

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(74) Agents: **BEATTIE, Ingrid, A.** et al.; Mintz Levin Cohn Ferris Glovsky and Popeo, P.C., One Financial Center, Boston, MA 02111 (US).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Published:

— *with international search report (Art. 21(3))*

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

(88) Date of publication of the international search report:
30 May 2014

(54) Title: INHIBITORS OF BETA-HYDROLASE FOR TREATMENT OF CANCER

(57) Abstract: The present invention relates to compounds which modulate (e.g., inhibit) the activity of beta-hydrolase (e.g., ASPH), including novel 2-aryl-5-amino-3(2H)-furanone and 2- heteroaryl-5-amino-3(2H)-furanone compounds, pharmaceutical compositions thereof, methods for their synthesis, and methods of using these compounds to modulate the activity of ASPH in an a cell-free sample, a cell-based assay, and in a subject. Other aspects of the invention relate to use of the compounds disclosed herein to ameliorate or treat cell proliferation disorders.

WO 2014/047519 A3

INTERNATIONAL SEARCH REPORT

PCT/US2013/061050 08.04.2014

International application No.
PCT/US 13/61050

A. CLASSIFICATION OF SUBJECT MATTER
IPC(8) - A61K 31/34, A61K 31/16 (2014.01)
USPC - 514/461, 514/473; 514/613
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
USPC- 514/461, 514/473; 514/613

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched
USPC- 514/476; 514/255.05; 514/256, 514/278

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
PubWEST(PGPB,USPT,USOC,EPAB,JPAB); PatBase, Google/Scholar: 5-amino-3(2H)-furanone; furandiol, 5-amino furanones, oxofuran, carboxamide, Aspartyl (asparaginyl) B-hydroxylase, ASPH, ASP beta-hydroxylase, junctate; junctin, peptide aspartate beta-dioxygenase; humbug; aspartate beta-hydroxylase, alpha-ketoglutarate-dependent dioxygenase

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	NCBI Compound Summary. Chembl174468. 5-amino-2-(4-chlorophenyl)-4-hydroxyfuran-3-one. 19 November 2009-11-19. [Retrieved from the Internet 20 February 2014: <http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=44384096&loc=ec_rcs>]	1-7, 12-17, 22, 27-32, 37, 39-43
A	NCBI Compound Summary. CID 12840342. methyl 5-methyl-4-oxo-5-phenylfuran-2-carboxylate. 2007-02-08. [Retrieved from the Internet 20 February 2014: <http://pubchem.ncbi.nlm.nih.gov/summary/summary.cgi?cid=12840342#x393>]	1-7, 12-17, 22, 27-32, 37, 39-43
A	Flashman, et al. Investigating the dependence of the hypoxia-inducible factor hydroxylases (factor inhibiting HIF and prolyl hydroxylase domain 2) on ascorbate and other reducing agents. Biochem J. 2010, 427(1):135-42; Abstract, pg 137, Table 1 and its legend; pg 138, Fig 2 and its legend	1-7, 12-17, 22, 27-32, 37, 39-43
A	US 6,710,078 B2 (Ayral-Kaloustian, et al.) 23 March 2004 (23.03.2004) Abstract, Formula (I)	1-7, 12-17, 22, 27-32, 37, 39-43
A	US 5,389,673 A (Felman, et al.) 14 February 1995 (14.02.1995) col 6, ln 15-20	1-7, 12-17, 22, 27-32, 37, 39-43
A	US 6,667,330 B2 (Wang, et al.) 23 December 2003 (23.12.2003) Abstract; col 16, ln 60-65	1-7, 12-17, 22, 27-32, 37, 39-43
A	DD 39717 A (Brunken, et al.) 05 August 1965 (05.08.1965) claim 7	1-7, 12-17, 22, 27-32, 37, 39-43
A	US 5,698,585 A (Ishikawa, et al.) 16 December 1997 (16.12.1997) col 2, ln 7-55	1-7, 12-17, 22, 27-32, 37, 39-43

☒ Further documents are listed in the continuation of Box C. ☐

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Date of the actual completion of the international search	Date of mailing of the international search report
18 February 2014 (18.02.2014)	08 APR 2014
Name and mailing address of the ISA/US Mail Stop PCT, Attn: ISA/US, Commissioner for Patents P.O. Box 1450, Alexandria, Virginia 22313-1450 Facsimile No. 571-273-3201	Authorized officer: Lee W. Young PCT Helpdesk: 571-272-4300 PCT OSP: 571-272-7774

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	Dahn, et al. Die Gewinnung von 4-Aryl-2-oxytetronimiden aus aromatischen und heterocyclisch -aromatischen Aldehyden, Glyoxal und Cyanid. 2. Mitteilungber Reduktone. Helvetica Chimica Acta 1954, 37(4):1309-1318, pg 1309, compound Ia	1-7, 12-17, 22, 27-32, 37, 39-43
A	Weitman, et al. Facile structural elucidation of imidazoles and oxazoles based on NMR spectroscopy and quantum mechanical calculations. Tetrahedron 2010, 66(7):1465-1471; pg 1466, Scheme 1, compounds 3a-c	1-7, 12-17, 22, 27-32, 37, 39-43
A	McDonough, et al. Selective inhibition of factor inhibiting hypoxia-inducible factor. J Am Chem Soc. 2005,127(21):7680-1; pg 7681, Fig 1, 2 and their legends	1-7, 12-17, 22, 27-32, 37, 39-43
A	Rose, et al. Inhibition of 2-oxoglutarate dependent oxygenases. Chem Soc Rev. 2011, 40(8):4364-97; Abstract; pg 4366, Table 1 and its legend; pg 4373, Fig 3 and its legend	1-7, 12-17, 22, 27-32, 37, 39-43
A	WO 1998/041516 A1 (LEBLANC, et al.) 24 September 1998 (24.09.1998) Abstract, Formula (I)	1-7, 12-17, 22, 27-32, 37, 39-43
A	WO 1987/000729 A1 (Ward) 12 February 1987 (12.02.1987) Abstract, claim 1	1-7, 12-17, 22, 27-32, 37, 39-43
A	WO 1997/014691 A1 (BELLEY, et al) 24 April 1997 (24.04.1997) Abstract, pg 86, Table I	1-7, 12-17, 22, 27-32, 37, 39-43
A	US 2005/0215772 A1 (Kumar) 29 September 2005 (29.09.2005) Abstract	1-7, 12-17, 22, 27-32, 37, 39-43

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☒ Claims Nos.: 8-11, 18-21, 23-26, 33-36, 38
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:
This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1.

Group I: claims 1-7, 12-17, 22, 27-32, 37, 39-43, drawn to a compound of Formula Ia or Ib, and a method of treating or preventing a cell proliferative disorder in a subject by administering a therapeutically efficient amount of said compound of Formula Ia or Ib.

Group II-III: claims 44-49, drawn to a method determining ASPH activity, contacting an EGF-like domain peptide with a detectably-labeled a-ketoglutarate and ASPH enzyme and measuring beta-hydroxylase activity, restricted to SEQ ID NO: 1 and 2, respectively.

The inventions listed as Groups I-III do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons:
********** See Supplemental Sheet to continue **********

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying additional fees, this Authority did not invite payment of additional fees.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
1-7, 12-17, 22, 27-32, 37, 39-43

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.

☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.

☐ No protest accompanied the payment of additional search fees.

********** Supplemental Sheet **********

In Continuation of Box III. Observations where unity of invention is lacking:

Special Technical Features
The special technical feature of Group I is a compound of Formula Ia or Ib, and a method of using said compound for treating or preventing a cell proliferative disorder in a subject.

The special technical feature of each invention of Groups II-III is the specific amino acid sequence. As the claimed SEQ ID NO: 1 was known in the art at the time of the invention, as evidenced by US 2010/125052 A1 to Lu, et al. (SEQ ID NO. 3, amino acids 1-39, 100% identity), the inventions do not share a special technical feature.

Common Technical Features

The inventions of Groups II-III share the technical feature of a method determining asparatyl (asparaginyl) beta-hydroxylase [ASPH] activity, contacting an EGF-like domain peptide with a detectably-labeled a-ketoglutarate and ASPH enzyme and measuring beta-hydroxylase activity. However, this shared technical feature does not represent a contribution over prior art as being anticipated by a paper titled "Overexpression of human aspartyl (asparaginyl) beta-hydroxylase is associated with malignant transformation" by Ince, et al. (Cancer Res. 2000, 60(5):1261-6) (hereinafter "Ince"), disclosing enzymatic activity assay for aspartyl (asparaginyl) beta-hydroxylase (pg1262, col 1, 3rd para, "AAH [aspartyl (asparaginyl) beta-hydroxylase] activity was measured in cell lysates using the first EGF-like domain of bovine protein S as substrate, where 14C-labeled a-ketogluterate hydroxylates the domain, releasing 14C-containing CO2... Incubations were carried out at 37C for 30 min in a final volume of 40 ml containing 48 mg of crude cell extract protein and 75 mkM EGF substrate"). As said technical feature was known in the art at the time of the invention, this cannot be considered special technical feature that would otherwise unify the groups.

Groups I-III therefore lack unity under PCT Rule 13 because they do not share a same or corresponding special technical feature.

(19)中华人民共和国国家知识产权局

(12)发明专利申请

(10)申请公布号 CN 104902889 A
(43)申请公布日 2015.09.09

(21)申请号 201380061004.4

(22)申请日 2013.09.20

(30)优先权数据
61/704,014 2012.09.21 US

(85)PCT国际申请进入国家阶段日
2015.05.21

(86)PCT国际申请的申请数据
PCT/US2013/061050 2013.09.20

(87)PCT国际申请的公布数据
WO2014/047519 EN 2014.03.27

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(51)Int.Cl.
A61K 31/34(2006.01)
A61K 31/16(2006.01)

权利要求书7页 说明书130页 附图13页

(54)发明名称

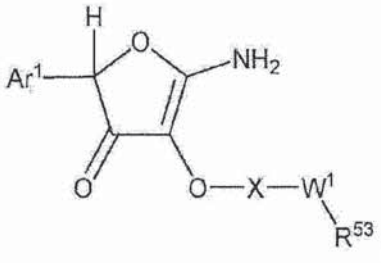
用于治疗癌症的β-水解酶抑制剂

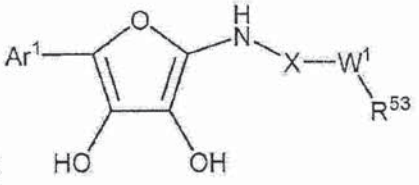
(57)摘要

本发明涉及调整(如抑制)β-水解酶(如ASPH)活性的化合物,包括新颖的2-芳基-5-胺基-3(2H)-呋喃酮及2-杂芳基-5-胺基-3(2H)-呋喃酮化合物,其医药组合物,其合成方法,及使用这些化合物调节无细胞样本、细胞分析、及对象体内ASPH活性的方法。本发明其它方面涉及本文揭露的化合物在缓解或处置细胞增殖性病变中的用途。

CN 104902889 A

1. 一种天冬氨酰基（门冬酰基）β－水解酶（ASPH）抑制化合物，在细胞增殖性病变的处置方法中用于降低肿瘤细胞增殖、迁移、侵犯或转移，包含令所述肿瘤细胞与该 ASPH 抑制化合物接触，其中，该 ASPH 抑制化合物为式（Ia）或（Ib）：


(Ia) 或


(Ib),

或其盐、酯、代谢物、前药或溶剂合物，其中

Ar^1是经取代或未经取代的 C_6-C_{20}芳基或 5 至 20 元杂芳基；

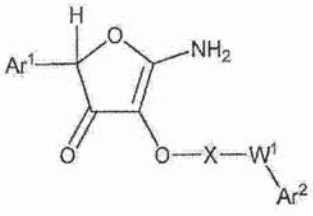
X 是 C(O)、C(S) 或 $S(O)_2$；

当 X 为 CO 时，W^1是单键、O、$CR^{50}R^{51}$、或 NR^{52}；当 X 为 $S(O)_2$时，W^1是单键、$CR^{50}R^{51}$或 NR^{52}；以及

R^{50}、R^{51}、R^{52}、及 R^{53}各自独立选自氢、经取代或未经取代的 C_1-C_6烷基、经取代或未经取代的 C_2-C_6烯基、经取代或未经取代的 C_2-C_6炔基、经取代或未经取代的 C_6-C_{20}芳基、经取代或未经取代的 C_7-C_{26}芳基烷基、经取代或未经取代的 5 至 20 元杂芳基、及经取代或未经取代的 6 至 26 元杂芳基烷基所组成的组。

2. 如权利要求 1 所述用途的 ASPH 抑制化合物，其中，该化合物是式（Ia）或其盐、酯、代谢物、前药或溶剂合物。

3. 如权利要求 2 所述用途的 ASPH 抑制化合物，其中，该化合物是式（IIa）：


(IIa),

或其盐、酯、代谢物、前药或溶剂合物，其中，Ar^1和 Ar^2各自独立为未经取代的 C_6-C_{14}芳基，未经取代的 5 至 14 元杂芳基，或各自经一个或多个选自下列所组成组的取代基取代的 C_6-C_{14}芳基或 5 至 14 元杂芳基：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、二 -C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基所组成组的取代基取代。

4. 如权利要求 2 所述用途的 ASPH 抑制化合物，其中，R^{53}是未经取代的 C_1-C_6烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6烷基。

5. 如权利要求 2 至 4 任一项所述用途的 ASPH 抑制化合物，其中，X 是 $S(O)_2$，且 W^1是

$CR^{50}R^{51}$。

6. 如权利要求 2 至 4 任一项所述用途的 ASPH 抑制化合物，其中，X 是 $S(O)_2$，且 W^1 是单键。

7. 如权利要求 2 至 4 任一项所述用途的 ASPH 抑制化合物，其中，X 是 C(O) 且 W^1 是 O，或 X 是 C(S) 且 W^1 是 NR^{52}。

8. 如权利要求 2 至 7 任一项所述用途的 ASPH 抑制化合物，其中，R^{50}、R^{51} 和 R^{52} 各自独立为 H，经取代的 C_1-C_6 烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6 烷基。

9. 如权利要求 2 至 8 任一项所述用途的 ASPH 抑制化合物，其中，Ar^1 和 Ar^2 各自独立为苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1} 是 C_1-C_6 烷基、C_2-C_6 烯基、C_2-C_6 炔基、C_3-C_8 环烷基、C_6-C_{10} 芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a 和 R_b 各自独立为 H 或 R_{S2}，且 R_{S2} 是 C_1-C_6 烷基、C_2-C_6 烯基、C_2-C_6 炔基、C_3-C_8 环烷基、C_6-C_{10} 芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基；以及，R_{S1} 和 R_{S2} 各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6 烷基、CN、C_1-C_6 烷基、C_1-C_6 烷氧基、胺基、单 -C_1-C_6 烷基胺基、二 -C_1-C_6 烷基胺基、C_3-C_8 环烷基、C_6-C_{10} 芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基所组成组的取代基取代。

10. 如权利要求 9 所述用途的 ASPH 抑制化合物，其中，Ar^1 和 Ar^2 各自独立为苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或 R_{S1}，其中，R_{S1} 是 C_1-C_6 烷基；R_a 和 R_b 各自独立为 H 或 R_{S2}，且 R_{S2} 是 C_1-C_6 烷基；以及，R_{S1} 和 R_{S2} 各自任选经一个或多个选自卤素、OH、C_1-C_6 烷氧基、胺基、单 -C_1-C_6 烷基胺基、及二 -C_1-C_6 烷基胺基所组成组的取代基取代。

11. 如权利要求 9 或 10 所述用途的 ASPH 抑制化合物，其中，Ar^1 和 Ar^2 各自独立为苯基、1- 萘基、2- 萘基、2- 呋喃基、2- 噻唑基、2- 吡啶基、3- 吡啶基、4- 吡啶基、2- 喹啉基、3- 喹啉基、4- 喹啉基、2- 氯苯基、3- 氯苯基、4- 氯苯基、2- 氟苯基、3- 氟苯基、4- 氟苯基、2- 三氟甲基苯基、3- 三氟甲基苯基、4- 三氟甲基苯基、2- 氰基苯基、3- 氰基苯基、4- 氰基苯基、3- 羧甲基苯基、2- 甲氧基苯基、3- 甲氧基苯基、4- 甲氧基苯基、2,3- 二氯苯基、2,4- 二氯苯基、2,5- 二氯苯基、3,4- 二氯苯基、3,5- 二氯苯基、2,3- 二氟苯基、2,4- 二氟苯基、2,5- 二氟苯基、3,4- 二氟苯基、3,5- 二氟苯基、2,3- 二甲氧基苯基、2,4- 二甲氧基苯基、2,5- 二甲氧基苯基、3,4- 二甲氧基苯基、3,5- 二甲氧基苯基、2- 氯 -6- 氟苯基、3- 氯 -4- 氟苯基、2- 氯 -4- 氟苯基、4- 氯 -3- 氟苯基、3- 氯 -2- 氟苯基、2- 氯 -5- 氟苯基、4- 氯 -2- 氟苯基、及 5- 氯 -2- 氟苯基。

12. 如权利要求 1 所述用途的 ASPH 抑制化合物，其中，该化合物是式 (Ib) 或其盐、酯、代谢物、前药或溶剂合物。

13. 如权利要求 12 所述用途的 ASPH 抑制化合物，其中，R^{53} 是未经取代的 C_1-C_6 烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6 烷基。

14. 如权利要求 12 所述用途的 ASPH 抑制化合物，其中，R^{53} 是未经取代的甲基或乙基。

15. 如权利要求 12 至 14 任一项所述用途的 ASPH 抑制化合物，其中，X 是 $S(O)_2$ 且 W^1 是 $CR^{50}R^{51}$。

16. 如权利要求 12 至 14 任一项所述用途的 ASPH 抑制化合物，其中，X 是 $S(O)_2$ 且 W^1 是单键。

17. 如权利要求 12 至 14 任一项所述用途的 ASPH 抑制化合物，其中，X 是 C(O) 且 W^1 是 O，或 X 是 C(S) 且 W^1 是 NR^{52}。

18. 如权利要求 12 至 17 任一项所述用途的 ASPH 抑制化合物，其中，R^{50}、R^{51} 和 R^{52} 各自独立为 H，经取代的 C_1-C_6 烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6 烷基。

19. 如权利要求 12 至 18 任一项所述用途的 ASPH 抑制化合物，其中，Ar^1 是苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1} 是 C_1-C_6 烷基、C_2-C_6 烯基、C_2-C_6 炔基、C_3-C_8 环烷基、C_6-C_{10} 芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a 和 R_b 各自独立为 H 或 R_{S2}，且 R_{S2} 是 C_1-C_6 烷基、C_2-C_6 烯基、C_2-C_6 炔基、C_3-C_8 环烷基、C_6-C_{10} 芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基；以及，R_{S1} 和 R_{S2} 各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6 烷基、CN、C_1-C_6 烷基、C_1-C_6 烷氧基、胺基、单 -C_1-C_6 烷基胺基、二 -C_1-C_6 烷基胺基、C_3-C_8 环烷基、C_6-C_{10} 芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基所组成组的取代基取代。

20. 如权利要求 19 所述用途的 ASPH 抑制化合物，其中，Ar^1 是苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$ 或 R_{S1}，其中，R_{S1} 是 C_1-C_6 烷基；R_a 和 R_b 各自独立为 H 或 R_{S2}，且 R_{S2} 是 C_1-C_6 烷基；以及，R_{S1} 和 R_{S2} 各自任选经一个或多个选自卤素、OH、C_1-C_6 烷氧基、胺基、单 -C_1-C_6 烷基胺基、及二 -C_1-C_6 烷基胺基所组成组的取代基取代。

21. 如权利要求 19 或 20 所述用途的 ASPH 抑制化合物，其中，Ar^1 选自苯基、1- 萘基、2- 萘基、2- 呋喃基、2- 噻唑基、2- 吡啶基、3- 吡啶基、4- 吡啶基、2- 喹啉基、3- 喹啉基、4- 喹啉基、2- 氯苯基、3- 氯苯基、4- 氯苯基、2- 氟苯基、3- 氟苯基、4- 氟苯基、2- 三氟甲基苯基、3- 三氟甲基苯基、4- 三氟甲基苯基、2- 氰基苯基、3- 氰基苯基、4- 氰基苯基、3- 羧甲基苯基、2- 甲氧基苯基、3- 甲氧基苯基、4- 甲氧基苯基、2,3- 二氯苯基、2,4- 二氯苯基、2,5- 二氯苯基、3,4- 二氯苯基、3,5- 二氯苯基、2,3- 二氟苯基、2,4- 二氟苯基、2,5- 二氟苯基、3,4- 二氟苯基、3,5- 二氟苯基、2,3- 二甲氧基苯基、2,4- 二甲氧基苯基、2,5- 二甲氧基苯基、3,4- 二甲氧基苯基、3,5- 二甲氧基苯基、2- 氯 -6- 氟苯基、3- 氯 -4- 氟苯基、2- 氯 -4- 氟苯基、4- 氯 -3- 氟苯基、3- 氯 -2- 氟苯基、2- 氯 -5- 氟苯基、4- 氯 -2- 氟苯基、及 5- 氯 -2- 氟苯基。

22. 如权利要求 1 所述用途的 ASPH 抑制化合物，其中，该化合物是选自表 1A 及表 2 中所列的化合物。

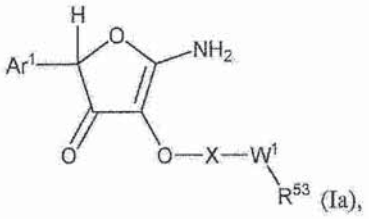
23. 如权利要求 1 至 22 任一项所述用途的 ASPH 抑制化合物，其中，所述肿瘤细胞表达 ASPH。

24. 如权利要求 1 至 23 任一项所述用途的 ASPH 抑制化合物，其中，所述细胞增殖性病变包含胰腺癌、肝细胞癌、胆管癌、肺癌、直肠癌、乳腺癌、前列腺癌及胶质母细胞瘤。

25. 如权利要求 1 至 24 任一项所述用途的 ASPH 抑制化合物，其中，所述化合物经静脉、口腔、或皮下给药。

26. 如权利要求 1 至 25 任一项所述用途的 ASPH 抑制化合物，其中，所述化合物以 0、01 至 50 毫克 / 千克体重的剂量给药。

27. 一种式 (Ia) 化合物：



(Ia),

或其盐、酯、代谢物、前药或溶剂合物，其中

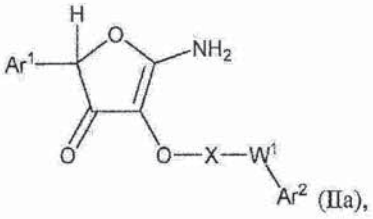
Ar^1是经取代或未经取代的 C_6-C_{20}芳基或 5 至 20 元杂芳基；

X 是 C(O)、C(S) 或 $S(O)_2$；

当 X 为 CO 时，W^1是单键、O、$CR^{50}R^{51}$、或 NR^{52}；当 X 为 $S(O)_2$时，W^1是单键、$CR^{50}R^{51}$或 NR^{52}；以及

R^{50}、R^{51}、R^{52}、及 R^{53}各自独立选自氢、经取代或未经取代的 C_1-C_6烷基、经取代或未经取代的 C_2-C_6烯基、经取代或未经取代的 C_2-C_6炔基、经取代或未经取代的 C_6-C_{20}芳基、经取代或未经取代的 C_7-C_{26}芳基烷基、经取代或未经取代的 5 至 20 元杂芳基、及经取代或未经取代的 6 至 26 元杂芳基烷基所组成的组，但须满足，当 Ar^1是 4- 氯苯基，X 是 C(O)，且 W^1是单键时，则 R^{53}是未经取代的甲基或未经取代的苯基。

28. 如权利要求 27 所述的化合物，该化合物是式 (IIa)：



(IIa),

或其盐、酯、代谢物、前药或溶剂合物，其中，Ar^1及 Ar^2各自独立为未经取代的 C_6-C_{14}芳基，未经取代的 5 至 14 元杂芳基，或各自经一个或多个选自下列所组成组的取代基取代的 C_6-C_{14}芳基或 5 至 14 元杂芳基：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、二 -C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基所组成组的取代基取代。

29. 如权利要求 27 所述的化合物，其中，R^{53}是未经取代的 C_1-C_6烷基、或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6烷基。

30. 如权利要求 27 至 29 任一项所述的 ASPH 抑制化合物，其中，X 是 $S(O)_2$，且 W^1是 $CR^{50}R^{51}$。

31. 如权利要求 27 至 29 任一项所述的 ASPH 抑制化合物，其中，X 是 $S(O)_2$，且 W^1是单

键。

32. 如权利要求 27 至 29 任一项所述的 ASPH 抑制化合物，其中，X 是 C(O)，且 W^1 是 O；或者，X 是 C(S)，且 W^1 是 NR^{52}。

33. 如权利要求 27 至 32 任一项所述的 ASPH 抑制化合物，其中，R^{50}、R^{51}、及 R^{52} 各自独立为 H，未经取代的 C_1-C_6 烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6 烷基。

34. 如权利要求 27 至 33 任一项所述的 ASPH 抑制化合物，其中，Ar^1 及 Ar^2 各自独立为苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1} 是 C_1-C_6 烷基、C_2-C_6 烯基、C_2-C_6 炔基、C_3-C_8 环烷基、C_6-C_{10} 芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a 和 R_b 各自独立为 H 或 R_{S2}，且 R_{S2} 是 C_1-C_6 烷基、C_2-C_6 烯基、C_2-C_6 炔基、C_3-C_8 环烷基、C_6-C_{10} 芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基；以及，R_{S1} 和 R_{S2} 各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6 烷基、CN、C_1-C_6 烷基、C_1-C_6 烷氧基、胺基、单 -C_1-C_6 烷基胺基、二 -C_1-C_6 烷基胺基、C_3-C_8 环烷基、C_6-C_{10} 芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基所组成组的取代基取代。

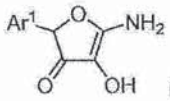
35. 如权利要求 34 所述的 ASPH 抑制化合物，其中，Ar^1 及 Ar^2 各自独立为苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或 R_{S1}，其中，R_{S1} 是 C_1-C_6 烷基；R_a 和 R_b 各自独立为 H 或 R_{S2}，且 R_{S2} 是 C_1-C_6 烷基；以及，R_{S1} 和 R_{S2} 各自任选经一个或多个选自卤素、OH、C_1-C_6 烷氧基、胺基、单 -C_1-C_6 烷基胺基、及二 -C_1-C_6 烷基胺基所组成组的取代基取代。

36. 如权利要求 34 或 35 所述的 ASPH 抑制化合物，其中，Ar^1 及 Ar^2 各自独立选自苯基、1- 萘基、2- 萘基、2- 呋喃基、2- 噻唑基、2- 吡啶基、3- 吡啶基、4- 吡啶基、2- 喹啉基、3- 喹啉基、4- 喹啉基、2- 氯苯基、3- 氯苯基、4- 氯苯基、2- 氟苯基、3- 氟苯基、4- 氟苯基、2- 三氟甲基苯基、3- 三氟甲基苯基、4- 三氟甲基苯基、2- 氰基苯基、3- 氰基苯基、4- 氰基苯基、3- 羧甲基苯基、2- 甲氧基苯基、3- 甲氧基苯基、4- 甲氧基苯基、2,3- 二氯苯基、2,4- 二氯苯基、2,5- 二氯苯基、3,4- 二氯苯基、3,5- 二氯苯基、2,3- 二氟苯基、2,4- 二氟苯基、2,5- 二氟苯基、3,4- 二氟苯基、3,5- 二氟苯基、2,3- 二甲氧基苯基、2,4- 二甲氧基苯基、2,5- 二甲氧基苯基、3,4- 二甲氧基苯基、3,5- 二甲氧基苯基、2- 氯 -6- 氟苯基、3- 氯 -4- 氟苯基、2- 氯 -4- 氟苯基、4- 氯 -3- 氟苯基、3- 氯 -2- 氟苯基、2- 氯 -5- 氟苯基、4- 氯 -2- 氟苯基、及 5- 氯 -2- 氟苯基。

37. 如权利要求 27 所述的 ASPH 抑制化合物，其中，该化合物选自表 1A。

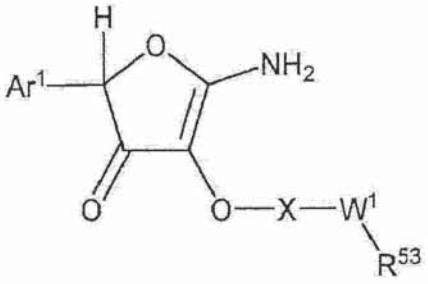
38. 一种医药组合物，包含药学可接受的载体、及如权利要求 27 至 37 任一项所述的化合物或其盐、酯、代谢物、前药或溶剂合物。

39. 一种生产如权利要求 30 所述化合物的方法，包含令式 (IIIa) 的胺化合物

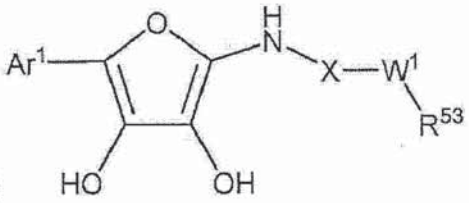

(IIIa)，与式 $ClSO_2(CR^{50}R^{51})Ar^2$ 的磺酰氯在适当条件下接触以生产如权利要求 30 所述的化合物。

40. 一种处置或预防细胞增殖性病变的方法，包含对有此需要的对象给药医疗有效量

的式 (Ia) 或 (Ib) 化合物：



(Ia) 或



(Ib),

或其盐、酯、代谢物、前药或溶剂合物，其中

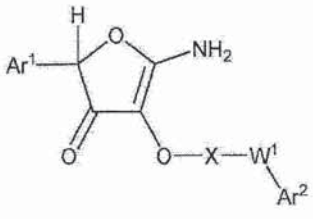
Ar^1是经取代或未经取代的 C_6-C_{20}芳基或 5 至 20 元杂芳基；

X 是 C(O)、C(S) 或 $S(O)_2$；

当 X 为 CO 时，W^1是单键、O、$CR^{50}R^{51}$、或 NR^{52}；当 X 为 $S(O)_2$时，W^1是单键、$CR^{50}R^{51}$或 NR^{52}；以及

R^{50}、R^{51}、R^{52}、及 R^{53}各自独立选自氢、经取代或未经取代的 C_1-C_6烷基、经取代或未经取代的 C_2-C_6烯基、经取代或未经取代的 C_2-C_6炔基、经取代或未经取代的 C_6-C_{20}芳基、经取代或未经取代的 C_7-C_{26}芳基烷基、经取代或未经取代的 5 至 20 元杂芳基、及经取代或未经取代的 6 至 26 元杂芳基烷基所组成的组。

41. 如权利要求 40 所述的方法，其中，该化合物是式 (IIa)：



(IIa),

或其盐、酯、代谢物、前药或溶剂合物，其中，Ar^1及 Ar^2各自独立为未经取代的 C_6-C_{14}芳基，未经取代的 5 至 14 元杂芳基，或各自经一个或多个选自下列所组成组的取代基取代的 C_6-C_{14}芳基或 5 至 14 元杂芳基：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、二 -C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基所组成组的取代基取代。

42. 如权利要求 40 所述的方法，其中，该化合物是式 (Ib) 或其盐、酯、代谢物、前药或溶剂合物。

43. 如权利要求 42 所述的方法，其中，R53 是未经取代的 C_1-C_6烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6烷基。

44. 一种测定 ASPH 活性的方法，令 EGF 样结构域肽与可检测性标记的 α - 酮戊二酸盐与 ASPH 酶接触，并测量 β - 水解酶活性。

45. 如权利要求 44 的方法，其中，所述 α－酮戊二酸盐经 ^{14}C 标记，其中，通过检测所释放的 $^{14}CO_2$ 测量 β－水解酶活性。

46. 如权利要求 45 的方法，其中，所述释放的 $^{14}CO_2$ 在过滤器上被捕获并经放射活性定量。

47. 如权利要求 44 的方法，进一步包含，令所述 ASPH 酶与候选化合物接触，其中，β－水解酶在所述化合物存在条件下相对于所述化合物缺席条件下的活性下降表明，所述化合物抑制 ASPH 酶活性。

48. 如权利要求 44 的方法，其中，所述 EGF 样结构域包含氨基酸序列 DGDQCETSPCQNQGKCKDGLGEYTCTCLEGFEGKNCELF。

49. 如权利要求 44 的方法，其中，所述 EGF 样结构域肽包含共有序列 CDXXXCXXKXGNGXCDXXCNNAACXXDGXDC(SEQ ID NO:4)。

用于治疗癌症的 β－水解酶抑制剂

[0001] 相关申请案交叉引用

[0002] 本案主张 2012 年 9 月 21 日递交的序列号为 61/704,014 的美国临时申请案的权益，该案的整体内容以引用形式并入本发明。

技术领域

[0003] 本发明涉及细胞增殖性病变如癌症。

背景技术

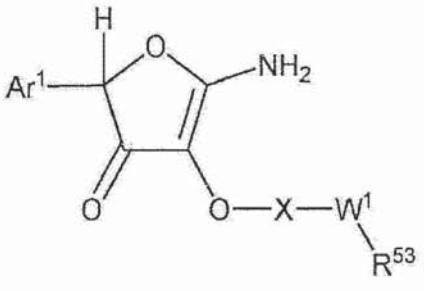
[0004] 在对超过五十万癌症患者的诊断中，肝细胞癌 (HCC) 的普遍性居第五位，而致命性为第三位，且呈全球性增长趋势。局部处置，包括外科手术切除、肝移植及射频消融，被认为是处置 HCC 的第一选择。随着这些技术的改善，对于 HCC 的早期治疗已经取得进展。对于早期疾病，射频消融具有示范性益处，可对由于肝硬化造成的肝功能损害患者实施射频消融。但是，多数 HCC 肿瘤具有高恶性表型，甚至早期发现的 HCC 肿瘤在局部消融后仍累犯复发，且预后非常差。索拉非尼 (Sorafenib) 是被证实具有适度临床受益并被批准作为 HC 全身性治疗的唯一药物。因此，亟需研发新颖途径来处置 HCC 及其它表达天冬氨酰基（门冬酰基）β－水解酶 (ASPH) 的实体瘤。

发明内容

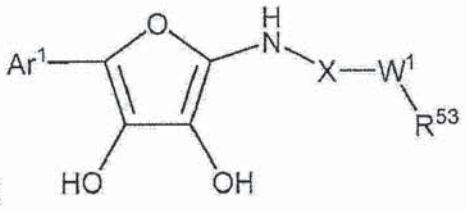
[0005] 本文揭示抑制 ASPH 的 β－水解酶活性的一族化合物，ASPH 在肝癌、胰腺癌、胃癌、直肠癌、乳腺癌、前列腺癌、肺癌、脑癌及很多其它肿瘤类型中被高度过表达。对于促进肿瘤细胞的特内及体外迁移、侵犯、移动及远端转移扩散，ASPH 是必需且充分的。β－水解酶酶促活性的这些小分子抑制剂的给药降低肿瘤的发展、生长以及对肝脏的远端转移扩散，并因此可作为药物用于处置大量过表达 ASPH 的人类致命肿瘤。本发明涵盖小分子物质的组合物，具有或不具有用于给药至人及动物对象的药学可接受的赋形剂，以及这些小分子在处置人类恶性肿瘤中的用途。这些化合物和方法防止并减缓已建立肿瘤的生长速率，并具有对于正常细胞的低毒性。

[0006] 一方面，本公开提供一种 ASPH 抑制化合物，在细胞增殖性病变的处置方法中用于降低肿瘤细胞增殖、迁移、侵犯或转移，包含令所述肿瘤细胞与该 ASPH 抑制化合物接触，其中，该 ASPH 抑制化合物为式 (Ia) 或 (Ib)：

[0007]



(Ia) 或



(Ib),

[0008] 或其盐、酯、代谢物、前药或溶剂合物，其中

[0009] Ar^1是经取代或未经取代的 C_6-C_{20}芳基或 5 至 20 元杂芳基；

[0010] X 是 C(O)、C(S) 或 $S(O)_2$；

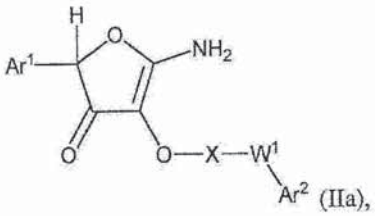
[0011] 当 X 为 CO 时，W^1是单键、O、$CR^{50}R^{51}$、或 NR^{52}；当 X 为 $S(O)_2$时，W^1是单键、$CR^{50}R^{51}$或 NR^{52}；以及

[0012] R^{50}、R^{51}、R^{52}、及 R^{53}各自独立选自氢、经取代或未经取代的 C_1-C_6烷基、经取代或未经取代的 C_2-C_6烯基、经取代或未经取代的 C_2-C_6炔基、经取代或未经取代的 C_6-C_{20}芳基、经取代或未经取代的 C_7-C_{26}芳基烷基、经取代或未经取代的 5 至 20 元杂芳基、及经取代或未经取代的 6 至 26 元杂芳基烷基所组成的组。

[0013] 一个具体实施例中，所述用途的化合物是式 (Ia) 或其盐、酯、代谢物、前药或溶剂合物。当可应用时，式 (Ia) 化合物可具有一个或多个下述特征。

[0014] 例如，该化合物是式 (IIa)：

[0015]



(IIa),

[0016] 或其盐、酯、代谢物、前药或溶剂合物，其中，Ar^1和 Ar^2各自独立为未经取代的 C_6-C_{14}芳基，未经取代的 5 至 14 元杂芳基，或各自经一个或多个选自下列所组成组的取代基取代的 C_6-C_{14}芳基或 5 至 14 元杂芳基：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、二 -C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 至 6 元杂芳基所组成组的取代基取代。

[0017] 例如，R^{53}是未经取代的 C_1-C_6烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6烷基。

[0018] 例如，X 是 $S(O)_2$，且 W^1是 $CR^{50}R^{51}$。

[0019] 例如，X 是 $S(O)_2$，且 W^1是单键。

[0020] 例如，X 是 C(O) 且 W^1是 O，或 X 是 C(S) 且 W^1是 NR^{52}。

[0021] 例如，R^{50}、R^{51}和 R^{52}各自独立为 H，经取代的 C_1-C_6烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6烷基。

[0022] 例如，Ar^1和 Ar^2各自独立为苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5 至 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1 或 2；R_a和 R_b

各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、二-C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基所组成组的取代基取代。

[0023] 例如，Ar^1和Ar^2各自独立为苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、及二-C_1-C_6烷基胺基所组成组的取代基取代。

[0024] 例如，Ar^1和Ar^2各自独立为苯基、1-萘基、2-萘基、2-呋喃基、2-噻唑基、2-吡啶基、3-吡啶基、4-吡啶基、2-喹啉基、3-喹啉基、4-喹啉基、2-氯苯基、3-氯苯基、4-氯苯基、2-氟苯基、3-氟苯基、4-氟苯基、2-三氟甲基苯基、3-三氟甲基苯基、4-三氟甲基苯基、2-氰基苯基、3-氰基苯基、4-氰基苯基、3-羧甲基苯基、2-甲氧基苯基、3-甲氧基苯基、4-甲氧基苯基、2,3-二氯苯基、2,4-二氯苯基、2,5-二氯苯基、3,4-二氯苯基、3,5-二氯苯基、2,3-二氟苯基、2,4-二氟苯基、2,5-二氟苯基、3,4-二氟苯基、3,5-二氟苯基、2,3-二甲氧基苯基、2,4-二甲氧基苯基、2,5-二甲氧基苯基、3,4-二甲氧基苯基、3,5-二甲氧基苯基、2-氯-6-氟苯基、3-氯-4-氟苯基、2-氯-4-氟苯基、4-氯-3-氟苯基、3-氯-2-氟苯基、2-氯-5-氟苯基、4-氯-2-氟苯基、及5-氯-2-氟苯基。

[0025] 另一具体实施例中，所述用途的化合物是式(Ib)或其盐、酯、代谢物、前药或溶剂合物。当可应用时，式(Ib)化合物可具有一个或多个下述特征。

[0026] 例如，R^{53}是未经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0027] 例如，R^{53}是未经取代的甲基或乙基。

[0028] 例如，X是$S(O)_2$且W^1是$CR^{50}R^{51}$。

[0029] 例如，X是$S(O)_2$且W^1是单键。

[0030] 例如，X是C(O)且W^1是O，或X是C(S)且W^1是NR^{52}。

[0031] 例如，R^{50}、R^{51}和R^{52}各自独立为H，经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0032] 例如，Ar^1是苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5至6元杂芳基、或4至12元杂环烷基；b是0、1或2；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、二-C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基所组成组的取代基取代。

[0033] 例如，Ar^1是苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所

组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基；R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自卤素、OH、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、及二 -C_1-C_6烷基胺基所组成组的取代基取代。

[0034] 例如，Ar^1选自苯基、1- 萘基、2- 萘基、2- 呋喃基、2- 噻唑基、2- 吡啶基、3- 吡啶基、4- 吡啶基、2- 喹啉基、3- 喹啉基、4- 喹啉基、2- 氯苯基、3- 氯苯基、4- 氯苯基、2- 氟苯基、3- 氟苯基、4- 氟苯基、2- 三氟甲基苯基、3- 三氟甲基苯基、4- 三氟甲基苯基、2- 氰基苯基、3- 氰基苯基、4- 氰基苯基、3- 羧甲基苯基、2- 甲氧基苯基、3- 甲氧基苯基、4- 甲氧基苯基、2,3- 二氯苯基、2,4- 二氯苯基、2,5- 二氯苯基、3,4- 二氯苯基、3,5- 二氯苯基、2,3- 二氟苯基、2,4- 二氟苯基、2,5- 二氟苯基、3,4- 二氟苯基、3,5- 二氟苯基、2,3- 二甲氧基苯基、2,4- 二甲氧基苯基、2,5- 二甲氧基苯基、3,4- 二甲氧基苯基、3,5- 二甲氧基苯基、2- 氯 -6- 氟苯基、3- 氯 -4- 氟苯基、2- 氯 -4- 氟苯基、4- 氯 -3- 氟苯基、3- 氯 -2- 氟苯基、2- 氯 -5- 氟苯基、4- 氯 -2- 氟苯基、及 5- 氯 -2- 氟苯基。

[0035] 一个具体实施例中，所述肿瘤细胞表达 ASPH。

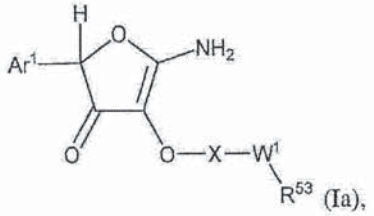
[0036] 某些具体实施例中，所述细胞增殖性病变包含胰腺癌、肝细胞癌、胆管癌、肺癌、直肠癌、乳腺癌、前列腺癌及胶质母细胞瘤。

[0037] 一个具体实施例中，所述化合物经静脉、口腔、或皮下给药。

[0038] 一个具体实施例中，所述化合物以 0.01 至 50 毫克 / 千克体重的剂量给药。

[0039] 另一方面，本公开的特征在于式 (Ia) 化合物：

[0040]



(Ia),

[0041] 或其盐、酯、代谢物、前药或溶剂合物，其中，

[0042] Ar^1是经取代或未经取代的 C_6-C_{20}芳基或 5 至 20 元杂芳基；

[0043] X 是 C(O)、C(S) 或 $S(O)_2$；

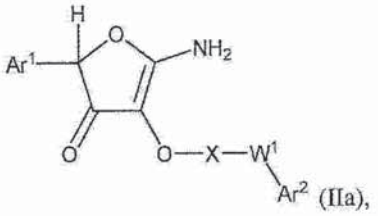
[0044] 当 X 为 CO 时，W^1是单键、O、$CR^{50}R^{51}$、或 NR^{52}；当 X 为 $S(O)_2$时，W^1是单键、$CR^{50}R^{51}$或 NR^{52}；以及

[0045] R^{50}、R^{51}、R^{52}、及 R^{53}各自独立选自氢、经取代或未经取代的 C_1-C_6烷基、经取代或未经取代的 C_2-C_6烯基、经取代或未经取代的 C_2-C_6炔基、经取代或未经取代的 C_6-C_{20}芳基、经取代或未经取代的 C_7-C_{26}芳基烷基、经取代或未经取代的 5 至 20 元杂芳基、及经取代或未经取代的 6 至 26 元杂芳基烷基所组成的组，但须满足，当 Ar^1是 4- 氯苯基，X 是 C(O)，且 W^1是单键时，则 R^{53}是未经取代的甲基或未经取代的苯基。

[0046] 当可应用时，式 (Ia) 化合物可具有一个或多个下述特征。

[0047] 例如，该化合物是式 (IIb)：

[0048]



(IIa),

[0049] 或其盐、酯、代谢物、前药或溶剂合物，其中，

[0050] Ar^1及Ar^2各自独立为未经取代的C_6-C_{14}芳基，未经取代的5至14元杂芳基，或各自经一个或多个选自下列所组成组的取代基取代的C_6-C_{14}芳基或5至14元杂芳基：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5至6元杂芳基、或4至12元杂环烷基；b是0、1或2；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、二-C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基所组成组的取代基取代。

[0051] 例如，R^{53}是未经取代的C_1-C_6烷基、或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0052] 例如，X是$S(O)_2$，且W^1是$CR^{50}R^{51}$。

[0053] 例如，X是$S(O)_2$，且W^1是单键。

[0054] 例如，X是C(O)，且W^1是O；或者，X是C(S)，且W^1是NR^{52}。

[0055] 例如，R^{50}、R^{51}、及R^{52}各自独立为H，未经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0056] 例如，Ar^1及Ar^2各自独立为苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5至6元杂芳基、或4至12元杂环烷基；b是0、1或2；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、二-C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基所组成组的取代基取代。

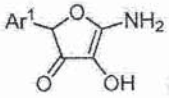
[0057] 例如，Ar^1及Ar^2各自独立为苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、及二-C_1-C_6烷基胺基所组成组的取代基取代。

[0058] 例如，Ar^1及Ar^2各自独立选自苯基、1-萘基、2-萘基、2-呋喃基、2-噻唑基、2-吡啶基、3-吡啶基、4-吡啶基、2-喹啉基、3-喹啉基、4-喹啉基、2-氯苯基、3-氯苯基、4-氯苯基、2-氟苯基、3-氟苯基、4-氟苯基、2-三氟甲基苯基、3-三氟甲基苯基、4-三氟甲基苯

基、2-氰基苯基、3-氰基苯基、4-氰基苯基、3-羧甲基苯基、2-甲氧基苯基、3-甲氧基苯基、4-甲氧基苯基、2,3-二氯苯基、2,4-二氯苯基、2,5-二氯苯基、3,4-二氯苯基、3,5-二氯苯基、2,3-二氟苯基、2,4-二氟苯基、2,5-二氟苯基、3,4-二氟苯基、3,5-二氟苯基、2,3-二甲氧基苯基、2,4-二甲氧基苯基、2,5-二甲氧基苯基、3,4-二甲氧基苯基、3,5-二甲氧基苯基、2-氯-6-氟苯基、3-氯-4-氟苯基、2-氯-4-氟苯基、4-氯-3-氟苯基、3-氯-2-氟苯基、2-氯-5-氟苯基、4-氯-2-氟苯基、及5-氯-2-氟苯基。

[0059] 本公开还提供医药组合物，包含药学可接受的载体、及式（Ia）或（IIa）化合物或其盐、酯、代谢物、前药或溶剂合物。

[0060] 再一方面，本发明的特征在于，一种生产式（IIa）化合物的方法，其中，X是$S(O)_2$，且W^1是$CR^{50}R^{51}$。该方法包括：令式（IIIa）



(IIIa),

的胺化合物与式$ClSO_2(CR^{50}R^{51})Ar^2$的磺酰氯在适当条件下接触以生产式（IIa）化合物。

[0061] 本公开还提供一种处置或预防细胞增殖性病变的方法，包含对有此需要的对象给药治疗有效量的本文揭示的化合物，如本文揭示的式（Ia）或（Ib）的那些化合物或其盐、酯、代谢物、前药或溶剂合物。一个具体实施例中，该化合物是本文揭示的式（IIa）或其盐、酯、代谢物、前药或溶剂合物。另一具体实施例中，该化合物是本文揭示的式（Ib）或其盐、酯、代谢物、前药或溶剂合物，其中，R^{53}是未经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0062] 具体实施例中，与未处置肿瘤或未处置患者相比，这些方法导致肿瘤质量、体积或重量至少下降10％、20％、50％、2倍、5倍、10倍或更多。某些例子中，肿瘤被完全根除。同样，与未处置肿瘤或未处置患者体内的肿瘤细胞转移相比，这些化合物通过例如抑制肿瘤细胞的迁移或侵犯而将肿瘤的转移抑制诸如至少10％、20％、50％、2倍、5倍、10倍。

[0063] 将这些小分子抑制剂给药至需要处置细胞增殖性疾病如癌症的患者，以足够量给药这些化合物，使血药浓度在1至100微摩尔之间变动。使用标准策略给药该化合物，如每天、每两天、每三天、每五天或每七天给药一次。经静脉、口腔或皮下给药该化合物。给出以达到上述血药水平范围的量是0.01至50毫克／千克体重。这些组合物及方法适用于处置经诊断患有癌症的患者，包括那些已经进行其它形式癌症处置如其它化疗剂、放疗及手术的患者及／或经诊断患有转移性疾病的患者或具有转移发展风险的患者。该不如动物可以是任何哺乳动物，如人、灵长类、小鼠、大鼠、狗、猫、马、以及家畜及豢养用于食品消耗的冻融，如牛、绵羊、猪、鸡和山羊。一个优选具体实施例中，该哺乳动物是人。

[0064] 还揭示本发明的ASPH抑制化合物的用途，用于制造在肿瘤处置中用于降低肿瘤细胞增殖、迁移、侵犯或转移的药物。

[0065] 再一方面，本公开提供测定ASPH活性的方法，令EGF样结构域肽与经可检测性标记的α-酮戊二酸盐及ASPH酶接触，并测量β-水解酶活性。一个具体实施例中，所述α-酮戊二酸盐是^{14}C标记的，其中，通过检测所释放的$^{14}CO_2$来测量β-水解酶活性。一个具体实施例中，所述释放的$^{14}CO_2$在过滤器上被捕获，并经放射活性定量。一个具体实施例中，该方法还包括令所述ASPH酶与候选化合物接触，其中，β-水解酶活性在存在所述化合物条件下相对于不存在所述化合物条件下的下降表明，所述化合物抑制ASPH酶活性。一个

具体实施例中，所述 EGF 样结构域包含氨基酸序列 DGDQCETSPCQNQGKCKDGLGEYTCTCLEGFEGKNCELF(SEQ ID NO:1)。一个具体是死了中，所述 EGF 样结构域肽包含共有序列 CDXXXCXXKXGNGXCDXXCNNAACXXDGXDC(SEQ ID NO:2)。

[0066] 本发明的其它特征及优点将在其优选具体实施例的下述说明书中知悉。本文所引述的全部参考文献通过引用而并入本文。

附图说明

[0067] 图 1A-D 是显微照片，图 1E 是柱状图，显示胰腺癌、正常胰腺及神经内分泌胰腺肿瘤的 ASPH 表达。(A) 表示 40x 放大的使用 mAb RC-50 的 PC 中 ASPH 表达。(B) 表示 400x 放大的使用 mAb RC-50 的 PC 中 ASPH 表达。(C) 显示 40x 放大的正常胰腺中 ASPH 表达的缺失。(D) 显示 400x 放大的正常胰腺中 ASPH 表达的缺失。(E) 显示 ASPH 免疫反应性。

[0068] 图 2A-D 是显微照片，显示使用 RC-50mAb 进行免疫组化染色 (HIS) 的人 HCC 肿瘤内的 ASPH 表达。注意，即使并非全部，但大部分肿瘤细胞均高度表达 ASPH 蛋白。(A) 为正常肝脏，(B)、(C) 和 (D) 是人 HCC 肿瘤。

[0069] 图 3A 是以源自 PC 细胞裂解物的 ASPH 催化的生化反应示意图。图 3B 是例示性说明用以定量 PC 细胞及肿瘤内 ASPH 活性的动态读取示意图。图 3C 是将该捕捉式过滤器曝露于磷 - 屏 16 小时后，通过磷成像器获得的膜的图像。图 3D 是柱状图，显示通过 Image J 软件计算的强度及其与 DMSO 对照组的比对。竖条表示标准偏差。这些图显示与 ASPH 催化活性相关的方法及测量数据。

[0070] 图 4A 是显示肿瘤体积的折线图，且图 4B 是显示肿瘤重量的柱状图。数据显示 MO-1-1100 的抗肿瘤效果及由人胰腺 HPAFII 细胞株诱发的 PC 肿瘤生长。每组有 15 只裸鼠，腹腔注射 (20mg/kg/ 小鼠)。竖条表示标准误差。

[0071] 图 5A 是显示 MO1-1100 对于代谢活性的效果的折线图，图 5B 是显示 MO1-1100 对于增殖的效果的折线图。图 5C 是该抑制剂对于生存能力的效果的柱状图。注意，ASPH 表达缺失的 NIH 3T3 细胞对于 MO-1-1100 的抗肿瘤活性具有拮抗性。

[0072] 图 6A 是照片且图 6B 是柱状图，均显示由 FOCUS HCC 细胞产生的集落形成 (恶性潜能测试)，通过以 5μM 的 MO-1-1100 处置，该集落得以显著抑制。

[0073] 图 7A 是通过磷成像器获得的膜的图像；且图 7B 是显示使用图 3A-D 中揭示的高通量实验，MO-1-500 和 MO-1-1100 抑制 ASPH 酶促活性 (β - 水解酶) 的柱状图。

[0074] 图 8A-B 是柱状图，图 8C 是照片，且图 8D 是柱状图，显示 MO-1-500 对于细胞代谢 (A)、增殖 (B)、和集落形成 (C、D) 的效果。注意，FOCUS HCC 细胞 (表达 ASPH) 和 NIH-3T3 细胞 (不表达 ASPH) 的生长均被抑制，表明 MO-1-500 不具备对于 ASPH 的特异性，尽管其在 1.25μM 显著抑制 FOCUS 细胞的集落形成。

[0075] 图 9A 是照片且图 9B-C 是柱状图，皆显示以 MO-1-1100 处置 FOCUS HCC 细胞降低了软琼脂中集落形成的数目 (A、B) 和尺寸 (A、C)，因此降低了作为肿瘤恶性转变刚性指标的锚定非依赖性细胞生长。

[0076] 图 10A 是照片且图 10B-C 是柱状图，皆显示 MO-1-500 对于锚定非依赖性细胞生长的体外效果。注意，以 0.5、1、及 5μM 的 MO-1-500 处置后，FOCUS 细胞集落的数目和尺寸显著下降。

[0077] 图 11A-D 是显示 MO-1-1100 对于 FOCUS HCC 细胞迁移和侵犯的效果的柱状图。注意，这一化合物显著抑制了这一人肝癌细胞株的迁移性质 (A) 和侵犯性质 (D)。

[0078] 图 12A-B 是显示 ASPH 抑制剂 MO-1-500 和 MO-1-1100 对于人 HCC 细胞株 (FOCUS) 内的细胞移动性及侵犯性的体外效果的柱状图。

[0079] 图 13A 是照片且图 13B 是折线图，均显示 MO-1-1100 处置显著降低了免疫缺陷小鼠皮下异体移植模型体内的人肝癌的尺寸和生长。

[0080] 术语及定义

[0081] 下文是贯穿本说明书及权利要求书所使用的缩写和术语及其定义的列举：

[0082] 通用缩写及其相异意义包括：aa 或 AA = 氨基酸；mg = 毫克；ml 或 mL = 毫升；mm = 毫米；mM = 毫摩尔浓度；nmol = 纳摩尔；pmol = 皮摩尔；ppm = 每百万之份数；RT = 室温；U = 单位；ug 或 μg = 微克；ul 或 μl = 微升；uM 或 μM = 微摩尔浓度；TEA = 三乙胺；LDA = 二异丙胺锂；THF = 四氢呋喃；DMAP = 4- 二甲胺基吡啶；DMF = N,N'- 二甲基甲酰胺。

[0083] 术语“细胞”包括单数及复数，指分离状态或集簇状态的一个或多个细胞，作为包含在均质或非均质细胞群内或组织样本内的细胞株，或作为有机体的一部分如昆虫幼虫或转基因哺乳动物。

[0084] 除了特别指明者外，术语“氨基酸”涵盖天然氨基酸及非天然氨基酸。

[0085] 术语“有效量”意指所讨论物质的量产生统计学显著的效果。例如，治疗用途的“有效量”是包含本文活性化合物的组合物提供可测体征的临床显著改变所需的量。该有效量将使用常规优化技术确定，并取决于待处置的具体状况、患者状况、给药途径，本发明化合物所需的剂量表现为在处置组与对照组之间诱发统计学显著差异的量。

[0086] “治疗有效量”指代有效实现想要的疗效所必需的量，以剂量及时间计。调节剂的治疗有效量可根据下述因素变动，例如个体的疾病状态、年龄、性别及体重，以及该调节剂在个体中引起想要的响应的能力。可调节剂量策略以提供最优的治疗响应。治疗有效量也是有益疗效压过任何毒性或有害效果的量。

[0087] “预防有效量”指代有效实现想要的预防效果所必需的量，以剂量和时间计。预防有效量可测定为上文关于治疗有效量而揭示的量。典型地，由于预防剂量是在疾病之前或疾病早期用于对象，预防有效量应少于治疗有效量。

[0088] 本文中，术语“细胞增殖性病变”指代，细胞的不规则或不正常生长或两者可导致不希望的状况或疾病发展，而该状况或疾病可以是或不是癌症。可使用本发明化合物处置的例示性细胞增殖性病变涵盖大量细胞分化失控的状况。例示性细胞增殖性病变包括，但不限于，赘生物、良性瘤、恶性肿瘤、癌前状况、原位肿瘤、包膜肿瘤、转移瘤、液体瘤、实体瘤、免疫肿瘤、血液肿瘤、癌症、癌、白血病、淋巴瘤、肉瘤及快速分裂细胞。本文中，术语“快速分裂细胞”定义为以超过或大于在相同组织内相邻或并列细胞中预期或观察到的速度分化的任何细胞。细胞增殖性病变包括初癌或癌前状况。细胞增殖性病变包括癌症。本文提供的方法和用途能或可以用来处置或缓解癌症的症候或用来识别用于这些目标的适宜候选。术语“癌症”包括实体瘤，以及，血液肿瘤及 / 或恶性肿瘤。“初癌细胞”或“癌前细胞”是呈现作为初癌或癌前状况的细胞增殖性病变的细胞。“癌症细胞”或“癌细胞”是呈现作为癌症的细胞增殖性病变的细胞。可使用任何可重复的测量手段来识别癌细胞或癌前细胞。可通过对组织样本（如，活检样本）的组织分类或分级来识别癌细胞或癌前细胞。可通过

使用适宜的分子标记物识别癌细胞或癌前细胞。

[0089] 本文中，“处置”揭示以对抗疾病、状况或病变为目标而对患者进行的处置和护理，且包括给药本发明的化合物或其药学可接受的盐、前药、代谢物、多形体或溶剂合物，以缓解疾病、状况或病变的症候或并发症，或消除该疾病、状况或病变。术语“处置”也可包括体外细胞或动物模型的处置。

[0090] 本发明的化合物或其药学可接受的盐、前药、代谢物、多形体或溶剂合物，也能或可以用来预防相关疾病、状况或病变，或用来识别用于这些目标的候选。本文中，“预防”、“防止”或“保护”揭示降低或消除这些疾病、状况或病变的症候或并发症的发作。

[0091] 本文中，术语“缓解”意为揭示一进程，通过该进程，病变的迹象或症候的严重性得以降低。重要的是，可缓解而非消除迹象或症候。本发明医药组合物的给药能或可以导致迹象或症候的消除，但是，消除并不是必需的。有效剂量应预期以降低迹象或症候的严重性。例如，对于病变如可在多个部位出现的癌症，如果在该多个部位的至少一处，癌症的严重性降低，则该病变的迹象或症候得以缓解。

[0092] 本文中，“对象”可与“有此需要的对象”互换使用，两者都指代患有细胞增殖病变的对象，或相对于大多数人群患有此类病变的风险增加的对象。“对象”包括哺乳动物。哺乳动物可以是，例如，人或适宜的非人不如动物如灵长类、小鼠、大鼠、狗、毛、牛、马、山羊、骆驼、绵羊或猪。该对象也可以是鸟类或禽类。一个具体实施例中，该哺乳动物是人。有此需要的对象可以是先前已经被诊断或识别为患有癌症或癌前状况的。有此需要的对象也可是具有（如，正患有）癌症或癌前状况的。或者，有此需要的对象可以是相对于大多数人群患有此类病变的风险增加的（即，相对于大多数人群更易罹患此类病变的对象）。有此需要的对象可具有癌前状况。术语“动物”包括人类。

[0093] 术语“任选经取代的”部分指代未经取代的化学部分（如，烷基、芳基、杂芳基等）或烃骨架的一个或多个碳原子上具有替换一个或多个氢原子的指定取代基。这些取代基可包括，例如，烷基、烯基、炔基、卤素、羟基、烷基羰氧基、芳基羰氧基、烷氧基羰氧基、芳氧基羰氧基、羧酸酯、烷基羰基、芳基羰基、烷氧基羰基、胺基羰基、烷基胺基羰基、二烷基胺基羰基、烷硫基羰基、烷氧基、磷酸根、磷酯酰基（phosphonato）、亚磷酯酰基（phosphinato）、胺基（包括烷基胺基、二烷基胺基、芳基胺基、二芳基胺基和烷基芳基胺基）、酰基胺基（包括烷基羰基胺基、芳基羰基胺基、甲酰胺基和脲基）、酰胺基、亚酰胺基、巯基、烷硫基、芳硫基、硫代羧酸酯、硫酸酯、烷基亚磺酰基、磺酸酯基、甲基磺酰基、磺酰胺基、硝基、三氟甲基、氰基、叠氮基、杂环基、烷基芳基、或芳香族或杂芳族部分。

[0094] 术语“经取代的芳基或杂芳基”指代芳环或杂芳环，其可含有一个或多个取代基，如 -OH、SH、-CN、-F、-CI、-Br、-R、$-NO_2$、-NO、$-NH_2$、-NHR、-NRR、-C(O)R、-C(O)OH、-C(O)OR、$-C(O)NH_2$、-C(O)NHR、-C(O)NRR 等，其中，每一 R 独立为 (C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、或经取代的 6 至 26 元杂芳基烷基。

[0095] “芳基烷基”或“芳烷基”部分是经芳基取代的烷基（如，苯基甲基（苄基））。“烷基芳基”部分是经烷基取代的芳基（如，甲基苯基）。

[0096] 化合物 X（如，肽或氨基酸）的“衍生物”指代 X 的一种形式，其中，该化合物上的

一个或多个反应性基团已经使用取代基衍生化。肽衍生物包括其氨基酸侧链、肽骨架、或氨基或羧基端已经被衍生化的肽（如，具有 5 个甲基化酰胺链接的肽化合物）。

[0097] 化合物 X 的“类似物”指代一化合物，其仍保留对于 X 的官能活性为必需的 X 的化学结构，也含有某些不同于 X 的化学结构。天然肽的类似物是包括一个或多个非天然氨基酸的肽。

[0098] 术语“模拟物”指代具有与另一已知化合物或该已知化合物特定片段相类似官能及 / 或结构性质的化合物。化合物 X 的“模拟物”指代一化合物，其中，对于 X 的官能活性为必需的 X 的化学结构已经被其它模拟 X 构象的化学结构替代。术语“模拟物”，特别是“拟肽”，倾向于包括同配体。

[0099] 本文中，术语“环状基团”倾向于包括具有约 3 至 10 个，优选约 4 至 8 个，且更优选约 5 至 7 个碳原子的环状饱和基团或不饱和（即，芳香族）基团。例示性环状基团包括环丙基、环丁基、环戊基、环己基和环辛基。环状基团可以是未经取代的或在一个或多个环位点经取代。因此，环状基团可经卤素、烷基、环烷基、烯基、炔基、芳基、杂环、羟基、胺基、硝基、硫醇、胺、亚胺、酰胺、磷酸酯、膦、羰基、羧基、硅基、醚、硫醚、磺酰基、磺酸酯、硒醚、酮、醛、酯、’CF_3、’CN 等取代。

[0100] 术语“杂环状基团”倾向于包括具有约 3 至 10 个，优选约 4 至 8 个，且更优选约 5 至 7 个碳原子的环状饱和基团或不饱和（即，芳香族）基团，其中，该环机构包括约 1 至 4 个杂原子。杂环状基团包括吡咯烷、氧杂环戊烷、硫杂环戊烷、咪唑、噁唑、哌啶、哌嗪、吗啉和吡啶。该杂环状环可在一个或多个位点经下列取代基取代：例如，卤素、烷基、环烷基、烯基、炔基、芳基、其它杂环、羟基、胺基、硝基、硫醇、胺、亚胺、酰胺、磷酸酯、膦、羰基、羧基、硅基、醚、硫醚、磺酰基硒醚、酮、醛、酯、CF_3、CN 等。杂环也可桥接或稠合至其它环状基团，如下文所述。

[0101] “芳基”包括具有芳香性的基团，包括“共轭”或多环系统，其具有至少一个芳环且该环结构中不含有任何杂原子。实例包括苯基、苄基、1,2,3,4- 四氢萘基等。

[0102] “杂芳基”是如上文定义的芳基，但其环结构中具有 1 至 4 个杂原子，且也可指代为“芳基杂环”或“杂芳环”。本文中，术语“杂芳基”倾向于包括稳定的 5 元、6 元或 7 元单环或 7 元、8 元、9 元、10 元、11 元或 12 元双环芳香族杂环状环，其由碳原子和一个或多个杂原子如 1 个、或 1 至 2 个、或 1 至 3 个、或 1 至 4 个、或 1 至 5 个、或 1 至 6 个杂原子，或如 1、2、3、4、5 或 6 个杂原子组成，该杂原子独立选自氮、氧及硫组成的组。该氮原子可以是经取代的或未经取代的（即，N 或 NR，其中，R 是 H 或其它取代基，如定义）。该氮及硫杂原子可任选经氧化（即，N—>O 和 $S(O)_p$，其中，p 是 1 或 2）。注意，芳香族杂环中 S 原子和 O 原子的总数不超过 1。

[0103] 杂芳基的实例包括吡咯、呋喃、噻吩、噻唑、异噻唑、咪唑、三唑、四唑、吡唑、噁唑、异噁唑、吡啶、吡嗪、哌嗪、嘧啶等。

[0104] 此外，术语“芳基”和“杂芳基”包括多环芳基和杂芳基，如三环芳基、双环芳基，如萘、苯并噁唑、苯并噁二唑、本并噻唑、苯并咪唑、苯并噻吩、亚甲基二氧苯、喹啉、异喹啉、萘啶、吲哚、苯并呋喃、嘌呤、苯并呋喃、脱氮杂嘌呤、吲哚嗪。

[0105] 在多环芳环的例子中，仅需要一个环为芳香性（如，2,3- 二氢吲哚），但所有环都可以是芳香性的（如，喹啉）。第二个环也可以是稠环或桥环。

[0106] 该环烷基、杂环烷基、芳基或杂芳基环可在一个或多个环位点（如，形成该环的碳原子或杂原子如）经上文揭示的取代基取代，例如，烷基、烯基、炔基、卤素、羟基、烷氧基、烷基羰氧基、芳基羰氧基、烷氧基羰氧基、芳氧基羰氧基、羧酸酯、烷基羰基、烷基胺基羰基、芳烷基胺基羰基、烯基胺基羰基、烷基羰基、芳基羰基、芳烷基羰基、烯基羰基、烷氧基羰基、胺基羰基、烷硫基羰基、磷酸酯、磷酯酰基、亚磷酯酰基、胺基（包括烷基胺基、二烷基胺基、芳基胺基、二芳基胺基和烷基芳基胺基）、酰基胺基（包括烷基羰基胺基、芳基羰基胺基、甲酰胺基和脲基）、酰胺基、亚胺基、巯基、烷硫基、芳硫基、硫代羧酸酯、硫酸酯、烷基亚磺酰基、磺酸酯基、甲基磺酰基、磺酰胺基、硝基、三氟甲基、氰基、叠氮基、杂环基、烷基芳基、或芳香族或杂芳族部分。芳基及杂芳基可与脂环或非芳香族的杂环稠合或桥接，以形成多环系统（如，萘满、亚甲基二氧苯）。

[0107] 本文中，术语“多环状基团”倾向于指代其中两个或更多个碳为两个相邻环共有的两个或更多个饱和或不饱和（即，芳香）环，如，该环为“稠环”。通过非相邻原子结合的环称为“桥环”。该多环状基团的每个环可经上述取代基取代，例如，卤素、烷基、环烷基、烯基、炔基、羟基、胺基、硝基、硫醇、胺、亚胺、酰胺、磷酸酯、膦、羰基、羧基、硅基、醚、硫醚、磺酰基、硒醚、酮、醛、酯、CF_3、CN 等。

[0108] 本文中，术语“调质基团”及“改性基团”互换使用，且揭示直接或不直接结合至肽结构的化学基团。例如，改性基团可通过共价偶合直接结合至肽结构，或改性基团可通过稳定的非共价联合而不直接结合。

[0109] 若适用，本文揭示的化合物包括该化合物本身，及其盐、溶剂合物和前药。例如，可在阴离子与经取代苯化合物上带正电荷的基团（如，胺基）之间形成盐。适宜的阴离子包括氯离子、溴离子、碘离子、硫酸根、硫酸氢根、氨基磺酸根、硝酸根、磷酸根、柠檬素根、甲磺酸根、三氟醋酸根、谷氨酸根、葡萄糖醛酸根、戊二酸根、苹果酸根、马来酸根、琥珀酸根、富马酸根、酒石酸根、甲苯磺酸根、水杨酸根、乳酸根、萘磺酸根和醋酸根（如，三氟醋酸根）。术语“药学可接受的阴离子”指代适用于形成药学可接受盐的阴离子。同样，可在阳离子与与经取代苯化合物上带负电荷的基团（如，羧酸根）之间形成盐。适宜的阳离子包括钠离子、钾离子、镁离子、钙离子、及铵离子如四甲基铵离子。经取代的苯化合物也包括那些含有季氮原子的盐。

[0110] 前药的实例包括酯类及其它药学可接受的衍生物，当给药至对象时，其能提供具活性的经取代苯化合物。

[0111] 此外，本发明的化合物，例如，该化合物的盐，可以水合或非水合（无水）形式存在，或作为与其它溶剂分子的溶剂合物而存在。水合物的非限制性实例包括单水合物、二水合物等。溶剂合物的非限制性实例包括乙醇合物、丙酮合物等。

[0112] “溶剂合物”意为含有化学计量学量或非化学计量学量的溶剂的溶剂加成形式。一些化合物具有在结晶固态捕获固定摩尔比的溶剂分子并因此形成溶剂合物的趋势。如果该溶剂是水，则所形成的溶剂合物是水合物；若该溶剂是醇，则所形成的溶剂合物是醇合物。水合物是通过一个或多个水分子与一个该物质分子组合而成，其中，水保留其作为 H_2O 的分子状态。

[0113] 本说明书中，方便起见，该化合物的结构式表示某些情况下的异构体，但本发明包括全部异构体，如几何异构体、基于不对称碳的光学异构体、立体异构体、互变异构体等，应

理解，并非全部异构体可具有相同水平的活性。此外，该式表示的化合物可存在晶体多态性。注意，任何晶形、晶形混合物、或其无水或水合物均包括于本发明范畴中。再者，通过本发明化合物在体内降解而产生的所谓代谢物包括于本发明范畴中。

[0114] “互变异构体”是存在于平衡中的两种或多种结构异构体之一，并轻易地自一种异构体形式转变为另一种。这一转变导致氢原子的正式迁移，并伴有相邻共轭双键的切换。在溶液中，互变异构体作为互变异构组的混合物而存在。此外，若存在互变异构化的可能，则将达到互变异构体的化学平衡。互变异构体的精确比取决于若干因素，包括温度、溶剂及pH。互变异构体通过互变异构化而相互转变的现象称为互变异构现象。

[0115] 多种可能的互变异构现象类型中，两种是通常可见的。在酮－烯醇互变异构现象中，出现电子和氢原子的同步位移。作为糖链分子中醛基（-CHO）与相同分子中羟基（-OH）反应以给出环状（环形）形式的结果，产生环－链互变异构现象，如葡萄糖所展现的。

[0116] 一般性互变异构对是：酮－烯醇、酰胺－腈、内酰胺－内酰亚胺、杂环（如，核酸碱基如鸟嘌呤、胸腺嘧啶和胞嘧啶）中的酰胺－亚胺酸互变异构现象、亚胺－烯胺、及烯胺－烯胺。酮－烯醇互变异构现象的一个实例如下所示。

[0117]

[0118] 小分子是质量低于2000道尔顿的化合物。该小分子的分子量优选低于1000道尔顿，更优选低于600道尔顿，如该化合物分子量低于500道尔顿、400道尔顿、300道尔顿、200道尔顿、或100道尔顿。

[0119] 过渡术语“包含”与“包括”、“含有”或“特征在于”是包容性或开放性的，且并不排除额外的、未列举的元件或方法步骤。与之相对，过渡短语“由……组成”则不包括未在所主张者中具体说明的任何元件、步骤或成分。过渡短语“基本上由……组成”则将所主张者的范畴限制为具体说明的材料或步骤，以及并不在物质上影响本发明所主张者的本质特征及新颖特征的那些。

[0120] 化合物如小分子抑制剂、多核苷酸、多肽、或其它试剂是经纯化及／或经分离。以重量（干重）计，经纯化的化合物至少是感兴趣化合物的60％。优选地，以重量计，该制剂是感兴趣化合物的至少75％，更优选至少90％，且最优选99％。例如，以重量计，经纯化的化合物是所欲化合物的至少90％、91％、92％、93％、94％、95％、98％、99％、或100％（w/w）。通过任何适宜的标准方法测量纯度，例如，柱色谱、薄层色谱、或高效液相色谱（HPLC）分析。经纯化或分离的多核苷酸（核糖核酸（RNA）或脱氧核糖核酸（DNA））不含在其天然状态中存在于侧面的基因或序列。“经分离”或“经纯化”的核酸分子、多核苷酸、多肽或蛋白质本质上不含其它细胞性材料、或通过重组技术生产时的培养基、或化学合成时的化学前体或其它化学品。纯度还定义对于给药至人类对象为安全的无菌度，如不传染性药剂或无毒性药剂。

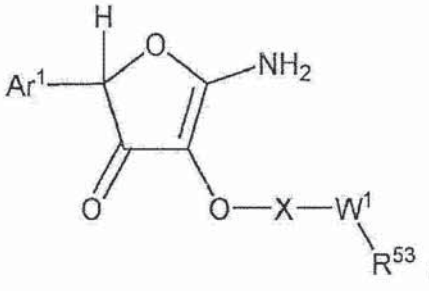
具体实施方式

[0121] ASPH(a.k.a.,AAH)是α-酮戊二酸盐依赖性加双氧酶家族酶的成员。其预计分子量约为86kD,且催化某些受体蛋白如NOTCH中EGF样结构域内特定Asp(天冬氨酸)残基和Asn(天冬酰胺)残基的羟基化。ASPH的过表达已经见于大量恶性赘生物中,包括肝细胞癌、胆管细胞癌、胰腺癌、前列腺癌、乳腺癌、胶质母细胞瘤、肺癌及直肠癌。

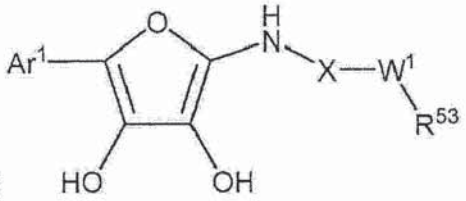
[0122] 但是,ASPH在除增殖滋养层细胞外的成人组织内具有低或可忽略的表达。在人HCC细胞株内,通过NOTCH信号级联放大的上调及活化,ASPH促进肿瘤细胞迁移和侵犯。事实上,有报导指出,ASPH过表达对于患有HCC患者的预后较差,且预计到早期疾病的复发和下降的存活率。尤其在直肠癌中,较差的手术结果与ASPH过表达之间存在显著关联,这被认为是标志该疾病预后较差的非依赖性风险因素。另一具有高ASPH表达的肿瘤是胰腺癌(PC),是美国第四大的癌症死亡原因,其5年存活率为5至6%。对于大多数治疗,PC是极难治的肿瘤。需要定义PC的分子发病机理,并研发更有效的处置策略。ASPH介导的信号通路参与瘤形成过程中PC的生长和转移。ASPH过表达在PC发病机理中所扮演角色的这一令人惊奇的发现表明,ASPH是治疗的分子靶点,而对这一类型癌症中ASPH的抑制导致临床获益。

[0123] 据此,一方面,本发明的特征在于天冬氨酰基(门冬酰基)β-水解酶(ASPH)抑制化合物,在细胞增殖性病变的处置方法中用于降低肿瘤细胞增殖、迁移、侵犯或转移,包含令所述肿瘤细胞与该ASPH抑制化合物接触,其中,该ASPH抑制化合物为式(Ia)或(Ib):

[0124]



(Ia) 或



(Ib),

[0125] 或其盐、酯、代谢物、前药或溶剂合物,其中,

[0126] Ar^1是经取代或未经取代的C_6-C_{20}芳基或5至20元杂芳基;

[0127] X是C(O)、C(S)或$S(O)_2$;

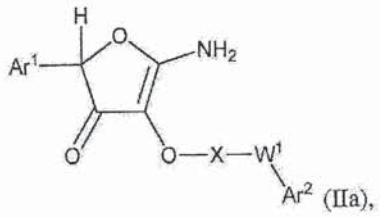
[0128] 当X为CO时,W^1是单键、O、$CR^{50}R^{51}$、或NR^{52};当X为$S(O)_2$时,W^1是单键、$CR^{50}R^{51}$或NR^{52};以及

[0129] R^{50}、R^{51}、R^{52}、及R^{53}各自独立选自氢、经取代或未经取代的C_1-C_6烷基、经取代或未经取代的C_2-C_6烯基、经取代或未经取代的C_2-C_6炔基、经取代或未经取代的C_6-C_{20}芳基、经取代或未经取代的C_7-C_{26}芳基烷基、经取代或未经取代的5至20元杂芳基、及经取代或未经取代的6至26元杂芳基烷基所组成的组。

[0130] 一个具体实施例中,所述用途的化合物是式(Ia)或其盐、酯、代谢物、前药或溶剂合物。当可应用时,式(Ia)化合物可具有一个或多个下述特征。

[0131] 例如,该化合物是式(IIa):

[0132]



(IIa),

[0133] 或其盐、酯、代谢物、前药或溶剂合物，其中，Ar^1和Ar^2各自独立为未经取代的C_6-C_{14}芳基，未经取代的5至14元杂芳基，或各自经一个或多个选自下列所组成组的取代基取代的C_6-C_{14}芳基或5至14元杂芳基：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；b是0、1或2；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、二-C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基所组成组的取代基取代。

[0134] 例如，R^{53}是未经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0135] 例如，X是$S(O)_2$，且W^1是$CR^{50}R^{51}$。

[0136] 例如，X是$S(O)_2$，且W^1是单键。

[0137] 例如，X是C(O)且W^1是O，或X是C(S)且W^1是NR^{52}。

[0138] 例如，R^{50}、R^{51}和R^{52}各自独立为H，经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0139] 例如，Ar^1和Ar^2各自独立为苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5至6元杂芳基、或4至12元杂环烷基；b是0、1或2；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、C(O)O-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、二-C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基所组成组的取代基取代。

[0140] 例如，Ar^1和Ar^2各自独立为苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、及二-C_1-C_6烷基胺基所组成组的取代基取代。

[0141] 例如，Ar^1和Ar^2各自独立为苯基、1-萘基、2-萘基、2-呋喃基、2-噻唑基、2-吡啶基、3-吡啶基、4-吡啶基、2-喹啉基、3-喹啉基、4-喹啉基、2-氯苯基、3-氯苯基、4-氯苯基、2-氟苯基、3-氟苯基、4-氟苯基、2-三氟甲基苯基、3-三氟甲基苯基、4-三氟甲基苯

基、2-氰基苯基、3-氰基苯基、4-氰基苯基、3-羧甲基苯基、2-甲氧基苯基、3-甲氧基苯基、4-甲氧基苯基、2,3-二氯苯基、2,4-二氯苯基、2,5-二氯苯基、3,4-二氯苯基、3,5-二氯苯基、2,3-二氟苯基、2,4-二氟苯基、2,5-二氟苯基、3,4-二氟苯基、3,5-二氟苯基、2,3-二甲氧基苯基、2,4-二甲氧基苯基、2,5-二甲氧基苯基、3,4-二甲氧基苯基、3,5-二甲氧基苯基、2-氯-6-氟苯基、3-氯-4-氟苯基、2-氯-4-氟苯基、4-氯-3-氟苯基、3-氯-2-氟苯基、2-氯-5-氟苯基、4-氯-2-氟苯基、及5-氯-2-氟苯基。

[0142] 另一具体实施例中，所述用途的化合物是式(Ib)或其盐、酯、代谢物、前药或溶剂合物。当可应用时，式(Ib)化合物可具有一个或多个下述特征。

[0143] 例如，R^{53}是未经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0144] 例如，R^{53}是未经取代的甲基或乙基。

[0145] 例如，X是$S(O)_2$且W^1是$CR^{50}R^{51}$。

[0146] 例如，X是$S(O)_2$且W^1是单键。

[0147] 例如，X是C(O)且W^1是O，或X是C(S)且W^1是NR^{52}。

[0148] 例如，R^{50}、R^{51}和R^{52}各自独立为H，经取代的C_1-C_6烷基，或经一个或多个选自卤素、OH、CN及胺基的取代基取代的C_1-C_6烷基。

[0149] 例如，Ar^1是苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5至6元杂芳基、或4至12元杂环烷基；b是0、1或2；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、氧代、C(O)OH、$C(O)O$-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、二-C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4至12元杂环烷基、或5至6元杂芳基所组成组的取代基取代。

[0150] 例如，Ar^1是苯基、萘基、或5至10元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或R_{S1}，其中，R_{S1}是C_1-C_6烷基；R_a和R_b各自独立为H或R_{S2}，且R_{S2}是C_1-C_6烷基；以及，R_{S1}和R_{S2}各自任选经一个或多个选自卤素、OH、C_1-C_6烷氧基、胺基、单-C_1-C_6烷基胺基、及二-C_1-C_6烷基胺基所组成组的取代基取代。

[0151] 例如，Ar^1选自苯基、1-萘基、2-萘基、2-呋喃基、2-噻唑基、2-吡啶基、3-吡啶基、4-吡啶基、2-喹啉基、3-喹啉基、4-喹啉基、2-氯苯基、3-氯苯基、4-氯苯基、2-氟苯基、3-氟苯基、4-氟苯基、2-三氟甲基苯基、3-三氟甲基苯基、4-三氟甲基苯基、2-氰基苯基、3-氰基苯基、4-氰基苯基、3-羧甲基苯基、2-甲氧基苯基、3-甲氧基苯基、4-甲氧基苯基、2,3-二氯苯基、2,4-二氯苯基、2,5-二氯苯基、3,4-二氯苯基、3,5-二氯苯基、2,3-二氟苯基、2,4-二氟苯基、2,5-二氟苯基、3,4-二氟苯基、3,5-二氟苯基、2,3-二甲氧基苯基、2,4-二甲氧基苯基、2,5-二甲氧基苯基、3,4-二甲氧基苯基、3,5-二甲氧基苯基、2-氯-6-氟苯基、3-氯-4-氟苯基、2-氯-4-氟苯基、4-氯-3-氟苯基、3-氯-2-氟苯基、2-氯-5-氟苯基、4-氯-2-氟苯基、及5-氯-2-氟苯基。

[0152] 一个具体实施例中，所述肿瘤细胞表达 ASPH。

[0153] 某些具体实施例中，所述细胞增殖性病变包含胰腺癌、肝细胞癌、胆管癌、肺癌、直肠癌、乳腺癌、前列腺癌及胶质母细胞瘤。

[0154] 一个具体实施例中，所述化合物经静脉、口腔、或皮下给药。

[0155] 一个具体实施例中，所述化合物以 0.01 至 50 毫克 / 千克体重的剂量给药。

[0156] ASPH 是肝细胞癌 (HCC) 中高度保守的细胞表面蛋白。肝脏和胰腺两者均原子早期祖细胞类型，而 ASPH 是在胚胎而非成人组织内被表达。如图 1A-E 所示，通过免疫组化染色 (IHS)，在人 PC 组织微阵列中观察到 ASPH 重新表达。104 胰腺导管腺癌个体的 101 个中 (97％) 存在高水平的 ASPH 细胞表面定位，而正常胰腺和其它成人组织内的表达可忽略。ASPH 增强 HCC 以及 PC 中的细胞迁移、侵犯和转移。通过 ASPH 进行的 NOTCH 信号活化是对生成这一高度侵犯性和恶性表型负责的最终效应器机理。

[0157] ASPH 作为细胞靶点的生物学性质

[0158] 已经在很多肿瘤中观察到 ASPH 的调整、表达和功能 (US 6,835,370；US 7,094,556；US 6,812,206；US 6,815,415；US 6,797,696；US 6,783,758； 和 US 2005/0123545；以引用形式并入本文)，且已经发现 ASPH 在胰腺导管腺癌 (PC) 中被过度表达，表明 ASPH 是处置 PC 的药物作用靶点。ASPH 催化表皮生长因子 (EGF) 样结构域中特定天冬氨酸残基和门冬酰基残基的 β－碳的转译后羟基化，该结构域存在于涵盖于细胞生长、分化、细胞迁移、黏附和移动中的蛋白质如 Notch 和 Jagged(JAG) 中。该催化活性存在于 C 端，且由 ^{675}His 残基赋予；丙氨酸的突变废止了 ASPH 酶促活性和转化活性。ASPH 在源自内胚层如肝脏、胰腺、直肠和肺的肿瘤中被过度表达，并自内质网 (ER) 移位至浆膜，于该处，ASPH 变得可进入细胞外环境。正常人类组织中的表达低至可忽略，但作为侵入性组织的胎盘中明显例外，胎盘中的 ASPH 表达强烈。

[0159] 直接将化合物给药至肿瘤位点或全身性给药以抑制 ASPH 水解酶活性。

[0160] 人 ASPH 的氨基酸序列

[0161] MAQRKNAKSS GNSSSSGSGS GSTSAGSSSP GARRETKHGGHKNGRKGGLS GTSFFTWFMV 61

[0162] IALLGVWTSV AWWFDLVDY EEVLGKLGIY DADGDGDFDVDDAKVLLGLK ERSTSEPAVP 121

[0163] PEEAEPHTEP EEQVPVEAEP QNIEDEAKEQ IQSLLHEMVH AEHVEGEDLQ QEDGPTGEPQ 181

[0164] QEDDEFLMAT DVDDRFETLE PEVSHEETEH SYHVEETVSQDCNQDMEEMM SEQENPDSSE 241

[0165] PVVEDERLHH DTDDVTYQVY EEQAVYEPLE NEGIE ITEVTAPPEDNPVED SQVIVEEVSI 301

[0166] FPVEEQQEVP PETNRKTDDP EQKAKVKKKK PKLLNKFDKTIKAELDAAEK LRKRGKIEEA 361

[0167] VNAFKELVRK YPQSPRARYG KAQCEDDLAE KRRSNEVLRGAIETYQEVAS LPDVPADLLK 421

[0168] LSLKRRSDRQ QFLGHMRGSL LTLQRLVQLF PNDTSLKNDLGVGYLLIGDN DNAKKVYEEV 481

[0169] LSVTPNDGFA KVHYGFILKA QNKIAESIPY LKEGIESGDP GTDDGRFYFHLGDAMQRVGN 541

[0170] KEAYKWYELG HKRGHFASVW QRSLYNVNGL KAQPWWTPKETGYTELVKSL ERNWKLIRDE 601

[0171] GLAVMDKAKG LFLPEDENLR EKGDWSQFTL WQQGRRNENACKGAPKTCTL LEKFPETTGC661

[0172] RRGQIKYSIM HPGTHVWPHT GPTNCRLRMH LGLVIPKEGCKIRCANETRT WEEGKVLIFD 721

[0173] DSFEHEVWQD ASSFRLIFIV DVWHPELTPQ QRRSLPAI(SEQ ID NO：3；GENBANK 登记号 S83325；带下划线者是 His 基序；催化结构域内的保守序列标记为粗体)。

[0174] 人 ASPH 的 cDNA 序列

[0175]

cggaccgtgc aatggcccag cgtaagaatg ccaagagcag cggcaacagc agcagcagcg 61
gctccggcag cggtagcacg agtgcgggca gcagcagccc cggggcccgg agagagacaa 121
agcatggagg acacaagaat gggaggaaag gcggactctc gggaacttca ttcttcacgt 181
ggtttatggt gattgcattg ctgggcgtct ggacatctgt agctgtcgtt tggtttgatC241
ttgttgacta tgaggaagtt ctaggaaaac taggaatcta tgatgctgat ggtgatggag 301
attttgatgt ggatgatgcc aaagttttat taggacttaa agagagatct acttcagagc 361
cagcagtccc gccagaagag gctgagccac acactgagcc cgaggagcag gttcctgtgg 421
aggcagaacc ccagaatatc gaagatgaag caaaagaaca aattcagtcc cttctccatg 481
aaatggtaca cgcagaacat gttgagggag aagacttgca acaagaagat ggacccacag 541

[0176]

gagaaccaca acaagaggat gatgagtttc ttatggcgac tgatgtagat gatagatttg 601
agaccctgga acctgaagta tctcatgaag aaaccgagca tagttaccac gtggaagaga 661
cagtttcaca agactgtaat caggatatgg aagagatgat gtctgagcag gaaaatccag 721
attccagtga accagtagta gaagatgaaa gattgcacca tgatacagat gatgtaacat 781
accaagtcta tgaggaacaa gcagtatatg aacctctaga aaatgaaggg atagaaatca 841
cagaagtaac tgctccccct gaggataatc ctgtagaaga ttcacaggta attgtagaag 901
aagtaagcat ttttcctgtg gaagaacagc aggaagtacc accagaaaca aatagaaaaa 961
cagatgatcc agaacaaaaa gcaaaagtta agaaaaagaa gcctaaactt ttaaataaat 1021
ttgataagac tattaaagct gaacttgatg ctgcagaaaa actccgtaaa aggggaaaaa l081
ttgaggaagc agtgaatgca tttaaagaac tagtacgcaa ataccctcag agtccacgag l141
caagatatgg gaaggcgcag tgtgaggatg atttggctga gaagaggaga agtaatgagg l201
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gaggttccct gcttaccctg cagagattag ttcaactatt tcccaatgat acttccttaa l381
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tattcatcgt ggatgtgtgg catccggaac tgacaccaca gcagagacgc agccttccag 2281

[0177] caatttagca tgaattcatg caagcttggg aaactctgga gaga(SEQ ID NO:4;GENBANK 登记号 S83325;带下划线者是密码子编码起始蛋氨酸)。

[0178] 抑制肿瘤生长的方法还包括给药抑制 NOTCH 多肽 HAAH 羟基化的化合物。例如,该化合物抑制 NOTCH 多肽中 EGF 样富半胱氨酸重复序列的羟基化,该重复序列例如如含有共有序列 CDXXXCXXKXGNGXCDXXCNNAACXXDGXDC(SEQ ID NO:2) 的。给药含有 EGF 样富半胱氨酸重复序列的多肽,以阻断内源 NOTCH 的羟基化。

[0179] ASPH 在胚胎发育过程中于多个器官中表达,可能促进用于细胞图形化和器官发展的细胞移动和迁移;在成人体内,其表达被"关停",该表达仅在生成恶性表型可能需要其官能的瘤形成过程中再次出现。在细胞增殖过程中,其并不表现为过表达;但是,在胰腺上皮内瘤变 (PanINs) 的发育不良性导管细胞以及感染乙肝 (HBV) 和丙肝 (HCV) 的肝脏中发育不良性肝细胞内具有低水平表达。通过三重信号通路 IN/IGF1/IRS1/MAPK/ERK、IN/IGF1/IRS1/PI3K/AKT 及 WNT/β-连环蛋白提供 ASPH 的转录调控。通过位于分子 N-端区域内 GSK-3 相关基序的磷酸化来介导 ASPH 的转录后调控。ASPH 发挥其效应子功能的一个机理是活化下游 Notch 信号传递以促进细胞迁移和侵犯。

[0180] 人肿瘤内的 ASPH 表达

[0181] 以 IHS 和 qRT-PCR 计的人肿瘤与正常组织中 ASPH 表达的对比

[0182]

肿瘤类型	数目	阳性数目(%)
胰腺癌	101	98 (97%)
肝细胞癌	95	87 (92%)
胆管癌	20	20 (100%)
肺癌	16	16 (100%)
直肠癌	10	6 (60%)
乳腺癌	17	17 (100%)
前列腺癌	32	30 (94%)
胶质母细胞瘤	5	5 (100%)

[0183] 上表详述了多种人类肿瘤中,分别通过 IHS 及 qRT-PCR 在蛋白质及 RNA 水平测得的ASPH过表达,表明ASPH过表达是多种预后较差的人类实体恶性肿瘤的药物作用靶点。图 1 和图 2 显示通过 HIS 测得的 ASPH 蛋白质表达。

[0184] <u>ASPH β-水解酶活性的致瘤角色</u>

[0185] ASPH 的 C 端含有该催化位点 ($M^{670}HPGFH^{675}$) 的氨基酸 (AA) 序列,且其序列在人、小鼠、大鼠及牛中是一致的。$H^{675}AA$ 特异性地包括于 Fe^{2+}配位中,且对于其酶促活性是关键,且在鸡和飞鸟体内为高度保守。$H^{675}R$ 突变将 β-水解酶活性降低至低于野生型的 1%,而 $H^{675}D$ 将该活性降低至野生型的 20%。就此而言,与"野生型"序列相比,$H^{675}R$ 突变体蛋白失去了促进细胞在软琼脂中增殖、移动、迁移、侵犯、集落形成的能力以及细胞在裸鼠中转移和形成肿瘤的能力,该突变体蛋白也可起显性失活突变体的功能以抑制内源性"野生型"蛋白质的功能。这些发现表明,对于 β-水解酶活性的抑制促进了抗肿瘤效果。该催化位点区域的晶体结构已经被阐明,其可自公共数据库 (RCSB 蛋白质数据库;编码 3RCQ) 获得。通

过其适合进入ASPH催化位点区域并抑制ASPH酶促活性的能力来确定用作抗肿瘤剂的小分子抑制剂。

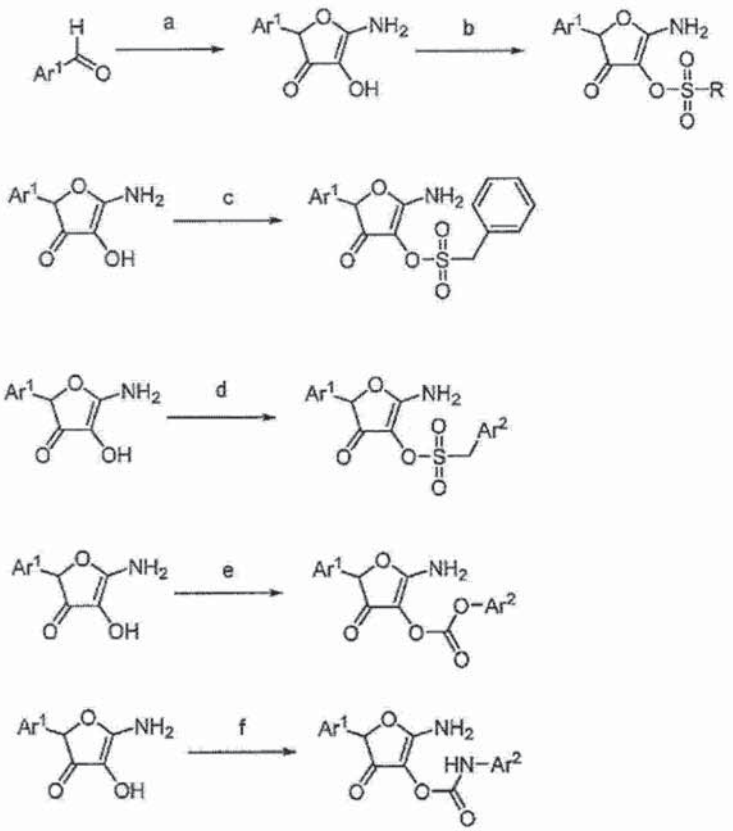
[0186] ASPH酶促活性的小分子抑制剂(SMI)的生成

[0187] 可通过采用该领域技术人员已知或熟练技师在本发明教示下而明晰的标准合成方法和过程,使用可商购的起始材料、文献中已知化合物、或轻易制备的中间体,以多种途径制备本发明的化合物。制备有机分子及官能团转换和操控的标准合成方法和过程,可自该领域相关科技文献或标准教材中获得。尽管并未限制为任意一个或多个来源,经典教材如《高等有机化学:反应、机理与结构(第5版)》(Smith,M.B.,March,J.,March's Advanced Organic Chemistry:Reactions,Mechanisms,and Structure,5th edition,John Wiley&Sons:New York,2001);《有机合成中的保护基(第3版)》(Greene,T.W.,Wuts,P.G.M.,Protective Groups in Organic Synthesis,3rd edition,John Wiley&Sons:New York,1999);《有机官能团转换》(R.Larock,Comprehensive Organic Transformations,VCH Publishers(1989));《费舍尔和费氏有机合成试剂》(L.Fieser,M.Fieser,Fieser and Fieser's Reagents for Organic Synthesis,John Wiley and Sons(1994));和《有机合成试剂百科全书》(L.Paquette ed.,Encyclopedia of Reagents for Organic Synthesis,John Wiley and Sons(1995));对于该领域技术人员是有用且公认的有机合成参考书,上述文献以引用形式并入本文。下述合成方法的说明视为例示性说明,但非限制,制备本发明化合物的通用过程。

[0188] 本文揭示的反应式中,可生产多个立体异构体。当未指明具体的立体异构体时,应理解为意指可自该反应生产全部可能的立体异构体。该领域技术人员应认识到,可优化该反应以优先给出一种异构体,或可设计新反应式以生产单一的异构体。如果生产的是混合物,则可使用诸如薄层色谱、制备HPLC、手性制备HPLC或制备SFC的技术来分离异构体。

[0189] 反应式1

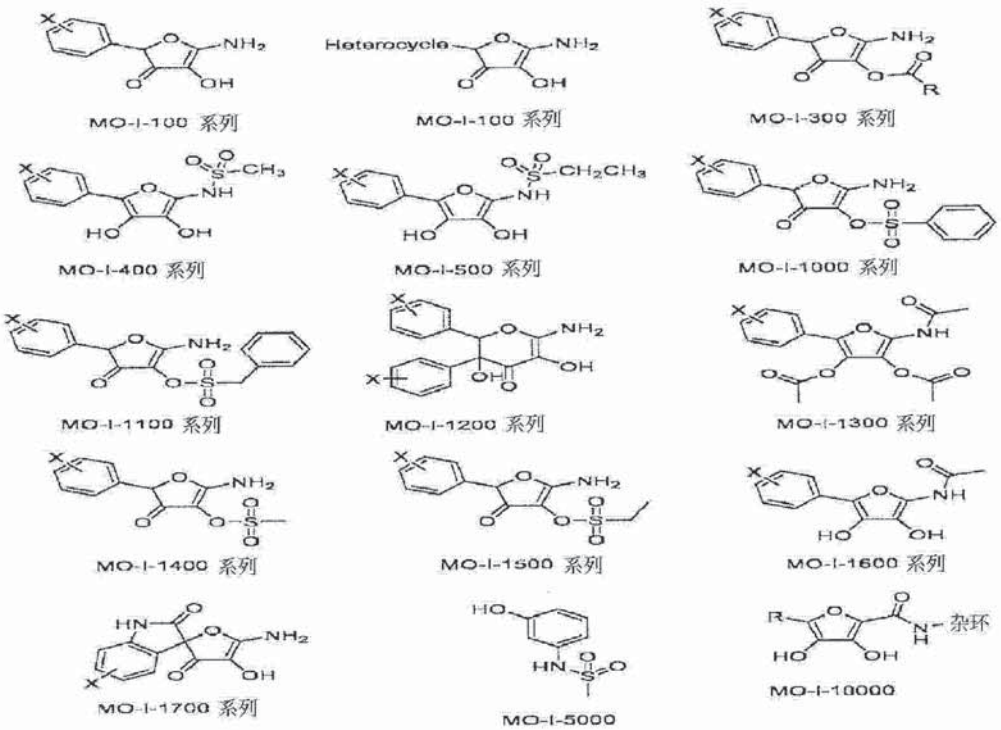
[0190]



[0191] 上述反应式 1 显示式 (Ia) 化合物的合成策略。反应 a 至 f 如下所述：(a) KCN、乙二醛、Na_2CO_3、H_2O；(b) $ClSO_2R$、TEA、THF；(c) $ClSO_2CH_2Ph$、TEA、THF；(d) $ClSO_2CH_2Ar$、TEA、THF；(e) $ClCO_2Ar$、TEA、THF；(f) RNCS、Na_2CO_3、H_2O。

[0192] a. ASPH 的新颖 SMI 的合成和表征。基于 ASPH 催化位点的晶体结构，进行计算机生成药物设计，引导一系列适合进入该催化位点袋并抑制 β - 水解酶活性的母本化合物和衍生物的合成。使用高通量筛选实验合成母本化合物（下文中称为“MO”系列）并检查其对 β - 水解酶活性的抑制。ASPH 抑制剂的合成在两步中完成。第一步是包括芳香醛、乙二醛硫酸氢盐加成产物、和氰化钾的三成分反应，以获得芳基羟基特窗酰亚胺 (arylhydroxy 特窗酰亚胺)。

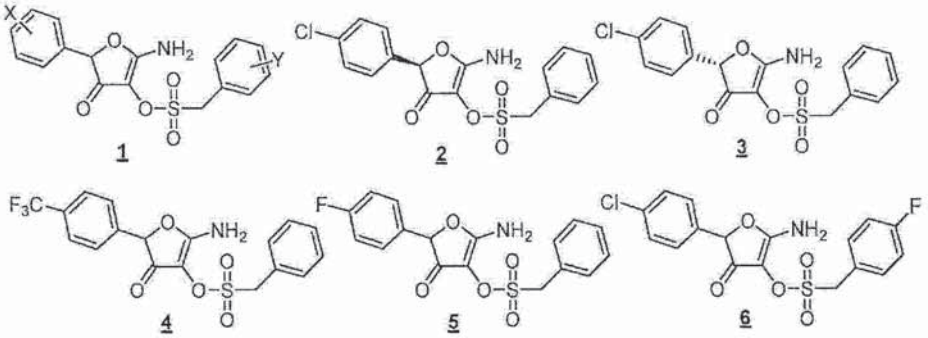
[0193]



[0194] 第二步中，以干燥四氢呋喃中的苯基磺酰氯对甲芳基羟基特窗酰亚胺进行磺酰化，以获得如下所示的式 (1) 化合物。合成化合物，并以 ^{1}H 和 ^{13}C 核磁共振、高分辨质谱、高效液相色谱、红外光谱、元素分析、及通过等温滴定量热法结合至 ASPH 而表征。

[0195] 基于 ASPH 的 C 端区域中催化位点的晶体结构和计算机辅助药物设计，选择备选的母本化合物作为 β－水解酶活性抑制剂。随后，确认 MO-1-400、500、1000 和 1100 具有 ASPH 结合及 ASPH 酶促抑制活性。

[0196]



[0197] 合成若干通用结构 (1) 的化合物，且评估其对 ASPH 的抑制。先导化合物（上述 2、3、4、5 和 6）的结构用作 PC 和其它表达 ASPH 的肿瘤的体内及体外高特异性 ASPH β－水解酶抑制剂。实施将该先导化合物分辨为 (R)2 和 (S)3 对映异构体的光学分辨率，以评估立构中心对于效价的冲击。制备氟化衍生物 4 至 6，以令对药物渗透及耐久性的 MRI 观测称为可能。

[0198] 现存结构活性关系 (SAR) 探索。作为试样鉴别 MO-1-1000、MO-1-1100、400 和 500 化合物。这导致对作为先导化合物 2 和 3 的对映异构体混合物的鉴别，以及 MO-1-500 化合物通过其对 ASPH 酶促活性的作用而表明生物活性的其它识别。

[0199] ASPH 活性高通量酶促分析的表征。EGF 和 EGF 样结构域是该领域习知的，且通常

包括六个半胱氨酸残基，该残基已经显示（在 EGF 中）包括在二硫键中。主要结构是两股 β－片层，之后为闭合至 C 端短两股片层的圈。位于保守半胱氨酸之间的次结构域的长度可变。实例包括在下述文献中揭示的：Davis, CG, 1990, New Biol. 2(5)：410-9；Blomquist et al., 1984, Proc Natl Acad Sci U S A. 81(23)：7363-7；Hommel et al., 1002, J Mol Biol. 227(1)：271-82；Doolittle et al., 1984, Nature.

[0200] 307(5951)：558-60；Appella et al., 1988, FEBS Lett. 231(1)：1-4；Sorkin A., 2001, Biochem Soc Trans. Aug；29(Pt 4)：480-4；该文献通过引用并入本文。图 3A-C 表示用于研发及表征用以测量 ASPH 酶促活性的分析效能的策略。图 3A 揭示了由 ASPH 催化的生化反应。图 3B 说明了该分析的读出结果。自以 1 至 10 μ M 的各母体化合物处置 24 小时的 FOCUS 细胞（高水平的 ASPH 细胞表面表达）萃取蛋白质裂解液。将该裂解液加入涂覆有 ASPH 单克隆抗体 (mAbs) 的 96 孔板中，以将一抗原特异性 (ASPH) 捕捉步骤加入该分析设计中。孵化并洗涤后，仅 ASPH 被捕捉到各孔内。将 60 μ M 的含有 39AA 肽的 EOF 结构域、100 μ M 的 $FeCl_2$和 40 μ M 的 ^{14}C 标记的 α－酮戊二酸盐加入各孔中，进行反应。将 $^{14}CO_2$捕捉在经 $Ca(OH)_2$浸泡的玻璃纤维膜上。通过磷成像器定量所捕获的放射活性，如图 3C 所示。图 3D 显示，1 μ M 浓度的 MO-1-1100 实质上抑制 β－水解酶活性。进一步表征该化合物作为 PC 和 HCC 以及其它表达 ASPH 肿瘤的抗肿瘤剂的临床用途。

[0201] 临床前鼠模型中的 PC 生长抑制

[0202] 在使用 ASPH 特异性 mAb 捕捉酶促分析证实 MO-1-1100 抑制 β－水解酶活性之后，评估其在皮下 (s. c.) 肿瘤生长的裸鼠模型中作为抗肿瘤剂的活性。将表达高水平 ASPH 的 HPAFII AsPC-1 人 PC 细胞株植入 (5x 10^6细胞 s. c.) 裸鼠背部。一周后，肿瘤生长至大约 $100mm^3$，随后以 50mg/kg 的浓度腹膜给药 (i. p.) MO-1-1100。处置方案如图 4A-B 所示，包括每天 i. p. 注射 1 次，5 天后，每两天 i. p. 注射 1 次，直至接受 DMSO 运载体注射的对照组中观察到大尺寸的肿瘤而终结实验。图 4A-B 证实，研究进程中的 HPAFII 肿瘤形成的生长速率及对其的实质性抑制。每组（对照组 vs. 处置组）各 15 只裸鼠。因此，本研究证实，化合物 (MO-1-1100) 具有对于 ASPH β－水解酶活性的体外抑制活性，且作为体内抗肿瘤剂实施良好。

[0203] ASPH 抑制剂对于细胞增殖和代谢的体外效果

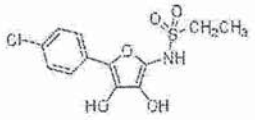
[0204] MO-1-1100 研究

[0205] 进行 MTT 分析以评估 MO-1-1100 对于细胞增殖及细胞活性的效果。MTT 在肝细胞中被还原为紫色甲瓒。使用 FOCUS 细胞作为高 ASPH 表达人 HCC 细胞株。使用 MO-1-1100 处置 24 小时的结果显示了 FOCUS 细胞中 OD（光学密度）值的剂量依赖性下降（图 5A-B）。但是，在 NIH-3T3 细胞中，该细胞是不表达 ASPH 的鼠胚胎成纤维素细胞株，MO-1-1100 对 MTT 的 OD 值无效，表明 MO-1-1100 对 ASPH 的 β－水解酶活性具有高度特异性且不影响缺失 ASPH 表达的细胞。该 MTT 分析测量了经由 NAD(P)H- 依赖性细胞氧化还原酶的细胞代谢活性。但是，如图 5C 所示，MO-1-1100 在 5 μ M 时，也降低表达 ASPH 的人 HCC 细胞株 (FOCUS、Hep3B、HepG2 及 Huh7) 的细胞活性（抑制率分别为 37.9％、60％、59％及 50％），但不降低不表达 ASPH 的 NIH 3T3 细胞的细胞活性。为了评估长期曝露于 MO-1-1100 的效果，实施以抑制剂处置细胞 3 周的集落形成分析（恶性潜力和表型的分析）。使用 5 μ M 浓度的 MO-1-1100 处置，导致集落形成的减少（抑制率 36.8％）（图 6A-B）。

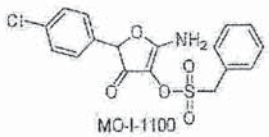
[0206] MO-1-500 研究

[0207] 我们使用 MO-1-500 化合物实施类似研究。与 MO-1-1100 研究中的发现类似，如通过 $^{14}CO_2$- 酮戊二酸盐 - 依赖性捕捉分析所测量的，我们观察到 MO-1-500 在 5 μ M 时也抑制 ASPH 酶促活性。如图 7A-B 所示，MO-1-500 的结构与 MO-1-1100 颇具差异。如图 8A-D 所示，额外的实验测量了 MO-1-500 对于细胞增殖和代谢的体外效果。与 MO-1-1100 的效果比对，在微摩尔浓度时，MO-1-500 对于含有高水平 ASPH 细胞表面表达的 FOCUS 细胞和不表达 ASPH 的 NIH-3T3 细胞的细胞增殖和代谢活性均具有显著效果。这些发现表明，MO-1-500 具有水解酶抑制活性，但由于缺失 ASPH 的 NIH 3T3 细胞易受该化合物抑制效果的影响，故该化合物也适宜地抑制其它对于细胞活性和生长可能重要的水解酶或蛋白质。更显著的是，集落形成分析显示 1.25 μ M 浓度的 MO-1-500 对于 FOCUS HCC 细胞的集落形成具有实质性抑制效果，表明其在此细胞性状转化分析中的高效能。总之，MO-1-1100 和 MO-1-500 两者均抑制 ASPH β - 水解酶活性、细胞活性及代谢、以及在软琼脂中的集落形成，但 MO-1-1100 对于 ASPH 的 β - 水解酶具有更高的特异性，如图 5A-C 所示。

[0208]



MO-I-500



MO-I-1100

[0209] ASPH 抑制剂对于锚定 - 非依赖性细胞生长的体外效果

[0210] MO-1-1100 研究

[0211] 评估 MO-1-1100 对于在软琼脂总锚定 - 非依赖性生长的效果。在软琼脂中形成集落球的能力被认为是测试致瘤潜能的硬性指标。ASPH 表达导致细胞攫取该能力以在软琼脂中形成集落球。这些结果表明，ASPH 在体内肿瘤建立、生长、侵犯及转移中扮演关键角色。为了评估 ASPH 抑制剂对于锚定 - 非依赖性集落形成的效果，在具有或不具有该 ASPH 酶促抑制剂的软琼脂中孵化 FOCUS。孵化 3 周后，MO-1-1100 减少了 FOCUS 细胞集落球的形成（图 9A）。如图 9B-C 所示，使用 MO-1-1100 处置，对培养 3 周后的集落数目和尺寸两者均产生了剂量依赖性且高度显著的减少。该分析是监控恶性生长的标准方法，该恶性生长反映在体内形成侵犯性生长的肿瘤的能力。这些结果证实，MO-1-1100 削弱了恶性表型的体外生成。

[0212] MO-1-500 研究

[0213] 评估 MO-1-500 对于锚定 - 非依赖性细胞生长的效果，如图 10A-B 所示。显著的是，将软琼脂中的 HCC 细胞曝露于 1 μ M 该化合物中，所形成的集落数目和集落尺寸以剂量依赖方式急剧减少。因此，对于与体内肿瘤形成相关的这一细胞性状转化的分析，MO-1-500 具有与 MO-1-1100 非常类似但更具潜力的对于锚定 - 非依赖性细胞生长的效果。

[0214] ASPH 抑制剂对于人 HCC 细胞的细胞移动性及侵犯性的特外效果

[0215] MO-1-1100 研究

[0216] ASPH 需要 β - 水解酶活性来调节其对于细胞移动性的效果。使用配备多孔膜的博伊登腔式嵌入式细胞培养池 (Boyden chamber-type culture inserts) 测量定向移动。以 5 μ M 的 MO-1-1100 和 MO-1-500 β - 水解酶抑制剂预处理 FOCUS 细胞 24 小时，随后置于

该上部腔中。令其进行 30 分钟的迁移。使用 ATPLite 定量活细胞密度。位于上部孔中及膜上表面的细胞反映非迁移性细胞，在膜下表面测得的发光反映迁移性且非粘合细胞的数目，而在下部孔中测得的发光是反映迁移且非粘合的细胞。如图 11A-C 所示，以 MO-1-1100 处置后的总迁移细胞减少，但非粘合细胞的种群没有改变；而迁移性且粘合细胞显著减少。通过使用涂覆基底胶的膜进行侵犯分析而评估细胞侵犯性，其中，令细胞自由活动 6 小时；认定所发现的粘合在膜下表面上的那些是入侵细胞。与作为对照的以 DMSO 孵化的细胞相比，以 MO-1-1100 处置的 FOCUS 细胞的侵犯性显著下降（图 11D）。结果表明 MO-1-1100 抑制人 HCC 细胞的细胞移动、迁移和侵犯性。

[0217] MO-1-500 研究

[0218] 使用人 HCC FOCUS 细胞株评估 ASPH 抑制剂对于细胞移动性和侵犯性的体内效果。如图 12A-B 所示，MO-1-500 对于细胞移动及侵犯具有明显效果。注意，MO-1-500 具有比 MO-1-1100 略强的抑制细胞移动和侵犯的潜能，但两者在表征该恶性表型的者两种分析中均具有高活性。因此，ASPH-P- 水解酶的这些小分子抑制剂通过降低肿瘤细胞迁移及侵犯的能力，并因此实质上改变生物学官能和转移潜能，从而对于转移表型的官能具有明显效果。

[0219] ASPH 抑制剂 MO-1-1100 对于人类肝细胞癌的皮下异种移植物发展及生长的体内效果

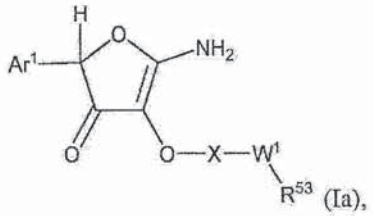
[0220] 已知人 HCC 细胞株 FOCUS 是体内的高度侵略性肿瘤形成细胞株。为了评价该 ASPH 抑制剂的体内抗肿瘤效能，每天给药 20mg/kg 的 MO-1-1100，连续给药 2 周，之后每两天给药一次。如图 13A-B 所示，给药 MO-1-1100 显著降低了 HCC 皮下异种移植物的生长。以 MO-1-1100 处置 12 天后，平均肿瘤体积实质上下降，与对照组小鼠相比，经处置小鼠体内的肿瘤体积平均下降了 31.7%（图 13B）。相对于对照小鼠，经 MO-1-1100 处置的小鼠无一显示消瘦或其它副反应的迹象。因此，对观察到显著抗肿瘤效能的这一剂量水平的 MO-1-1100 耐受良好。因此，β- 水解酶特异性抑制剂如 MO-1-1100 实质上降低了 HCC 的肿瘤生长，如图 13A-B 所示，但由于胰腺癌也具有高水平的 ASPH 表达，该化合物也抑制胰腺癌的发展和生长（图 4A-B）。这些发现表明，任何表达 ASPH 的人类肿瘤均响应这些 β- 水解酶特异性抑制剂。这些 ASPH 抑制剂化合物代表了一类抗肿瘤剂，其对于已知预后较差的大量人实体瘤具有实质性抗肿瘤活性。

[0221] ASPH 在人实体瘤中的人肿瘤细胞的细胞表面被过表达，而在正常人体组织中具有低表达或可忽略。即便不是全部，ASPH 表达存在于大多数肿瘤细胞中。ASPH 也曝露于细胞外环境中，这使得该催化活性的小分子抑制剂容易通过血液到达，故其为优异的治疗靶点。本文揭示的数据支持下列结论：ASPH 过表达造成 HCC 细胞及其它人肿瘤细胞株的移动、迁移、侵犯和转移；很多具有较差预后的人实体瘤在其细胞表面过度表达 ASPH，该实体瘤包括，但不限于，胰腺癌、肝细胞癌、胆管癌、直肠癌、乳腺癌、肺癌和胶质母细胞瘤；催化位点和酶促活性对于 ASPH 介导的恶性转化及后续的侵犯及转移肿瘤表型为关键因素；ASPH 通过对于 Notch 级联洗好放大的活化而发挥其增加肿瘤细胞迁移、侵犯和转移的生物效果；已经发现了基于 ASPHC 端催化位点晶体结构的 β- 水解酶活性的小分子抑制剂；曝露于这些抑制剂如 MO-1-1100 及 MO-1-500 的肿瘤细胞在软琼脂中的增殖、迁移、侵犯和集落形成下降，而削弱这些细胞生长和转移的能力；在人胰腺癌和肝癌生长及发展的动物模型体内，ASPH 酶促活性的这些小分子抑制剂具有显著且不可预测的体内抗肿瘤效果。因此，这些研

究表明，特异性抑制该 β－水解酶活性的化合物可用以降低表达 ASPH 的人实体瘤的生长及/或抑制其转移，特别是那些被认为具有较差临床预后的，如，胰腺癌、肝细胞癌、胆管癌、肺癌、直肠癌、乳腺癌、前列腺癌和胶质母细胞瘤。

[0222] 另一方面，本发明的特征是式（Ia）化合物：

[0223]



(Ia),

[0224] 或其盐、酯、代谢物、前药或溶剂合物，其中，

[0225] Ar^1是经取代或未经取代的 C_6-C_{20}芳基或 5 至 20 元杂芳基；

[0226] X 是 C(O)、C(S) 或 $S(O)_2$；

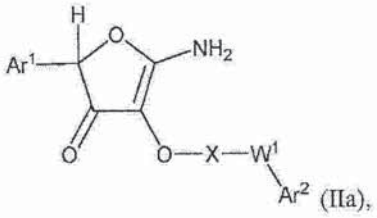
[0227] 当 X 为 CO 时，W^1是单键、O、$CR^{50}R^{51}$或 NR^{52}；当 X 为 SO_2时，W^1是单键、$CR^{50}R^{51}$或 NR^{52}；以及

[0228] R^{50}、R^{51}、R^{52}、及 R^{53}各自独立选自下列所组成的组：氢、经取代或未经取代的 C_1-C_6烷基、经取代或未经取代的 C_2-C_6烯基、经取代或未经取代的 C_2-C_6炔基、经取代或未经取代的 C_6-C_{20}芳基、经取代或未经取代的 C_7-C_{26}芳基烷基、经取代或未经取代的 5 至 20 元杂芳基、以及经取代或未经取代的 6 至 26 元杂芳基烷基，但须满足，当 Ar^1是 4- 氯苯基时，X 是 C(O)，而当 W^1是单键时，R^{53}不是未经取代的甲基或未经取代的苯基。

[0229] 当可用时，式（Ia）化合物可具有一个或多个下述特征。

[0230] 例如，该化合物是式（IIa）：

[0231]



(IIa),

[0232] 或其盐、酯、代谢物、前药或溶剂合物，其中，

[0233] Ar^1和 Ar^2各自独立为未经取代的 C_6-C_{14}芳基，未经取代的 5 至 14 元杂芳基，或各自经一个或多个选自下列所组成组的取代基取代的 C_6-C_{14}芳基或 5 至 14 元杂芳基：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5 或 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1、或 2；R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 或 6 元杂芳基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自下列所组成组的取代基取代：卤素、OH、氧代、C(O)OH、$C(O)O$-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、二 -C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、及 5 或 6 元杂芳基。

[0234] 例如，R^{53}是未经取代的 C_1-C_6烷基，或经一个或多个选自卤素、OH、CN、及胺基的取

代基取代的 C_1-C_6烷基。

[0235] 例如，X 是 $S(O)_2$且 W^1是 $CR^{50}R^{51}$。

[0236] 例如，X 是 $S(O)_2$且 W^1是单键。

[0237] 例如，X 是 C(O) 且 W^1是 O，或 X 是 C(S) 且 W^1是 NR^{52}。

[0238] 例如，R^{50}、R^{51}和 R^{52}各自独立为 H，经取代的 C_1-C_6烷基，或经一个或多个选自卤素、OH、CN 及胺基的取代基取代的 C_1-C_6烷基。

[0239] 例如，Ar^1和 Ar^2各自独立为苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、$C(O)NR_aR_b$、$NR_bC(O)R_a$、$-S(O)_bR_a$、$-S(O)_bNR_aR_b$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、5 或 6 元杂芳基、或 4 至 12 元杂环烷基；b 是 0、1、或 2；R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基、C_2-C_6烯基、C_2-C_6炔基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、或 5 或 6 元杂芳基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自下列所组成组的取代基取代：卤素、OH、氧代、C(O)OH、$C(O)O$-C_1-C_6烷基、CN、C_1-C_6烷基、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、二 -C_1-C_6烷基胺基、C_3-C_8环烷基、C_6-C_{10}芳基、4 至 12 元杂环烷基、及 5 或 6 元杂芳基。

[0240] 例如，Ar^1和 Ar^2各自独立为苯基、萘基、或 5 至 10 元杂芳基，其各自任选经一个或多个选自下列所组成组的取代基取代：卤素、CN、NO_2、NO、N_3、OR_a、NR_aR_b、$C(O)R_a$、$C(O)OR_a$、或 R_{S1}，其中，R_{S1}是 C_1-C_6烷基，R_a和 R_b各自独立为 H 或 R_{S2}，且 R_{S2}是 C_1-C_6烷基；以及，R_{S1}和 R_{S2}各自任选经一个或多个选自下列所组成组的取代基取代：卤素、OH、C_1-C_6烷氧基、胺基、单 -C_1-C_6烷基胺基、和二 -C_1-C_6烷基胺基。

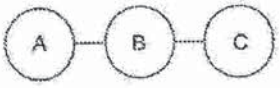
[0241] 例如，Ar^1和 Ar^2各自独立选自苯基、1- 萘基、2- 萘基、2- 呋喃基、2- 噻唑基、2- 吡啶基、3- 吡啶基、4- 吡啶基、2- 喹啉基、3- 喹啉基、4- 喹啉基、2- 氯苯基、3- 氯苯基、4- 氯苯基、2- 氟苯基、3- 氟苯基、4- 氟苯基、2- 三氟甲基苯基、3- 三氟甲基苯基、4- 三氟甲基苯基、2- 氰基苯基、3- 氰基苯基、4- 氰基苯基、3- 羧甲基苯基、2- 甲氧基苯基、3- 甲氧基苯基、4- 甲氧基苯基、2,3- 二氯苯基、2,4- 二氯苯基、2,5- 二氯苯基、3,4- 二氯苯基、3,5- 二氯苯基、2,3- 二氟苯基、2,4- 二氟苯基、2,5- 二氟苯基、3,4- 二氟苯基、3,5- 二氟苯基、2,3- 二甲氧基苯基、2,4- 二甲氧基苯基、2,5- 二甲氧基苯基、3,4- 二甲氧基苯基、3,5- 二甲氧基苯基、2- 氯 -6- 氟苯基、3- 氯 -4- 氟苯基、2- 氯 -4- 氟苯基、4- 氯 -3- 氟苯基、3- 氯 -2- 氟苯基、2- 氯 -5- 氟苯基、4- 氯 -2- 氟苯基、及 5- 氯 -2- 氟苯基。

[0242] 例如，式 (Ia) 或 (IIa) 化合物是 ASPH 抑制化合物。

[0243] 本发明还涉及式 (I) 化合物、其药学可接受的盐、及下述任意者的混合物：

[0244]

(I)

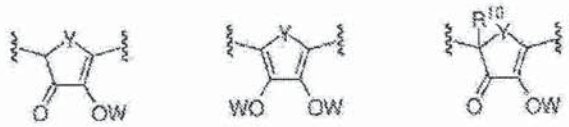


[0245] 其中，A 是经取代或未经取代的单环、稠环或多环芳基或杂芳基环；

[0246] 其中，所述芳基或杂芳基环饱和至少一个选自下列所组成组的 R 基团：-H、-OH、-SH、-CN、-F、-CI、-Br、-CN、NO_2、-NO、$-NH_2$、-NHR、-NRR、-C(O)R、-C(O)OH、-C(O)OR、$-C(O)NH_2$、-C(O)NHR、-C(O)NRR、-SOR、$-SO_2R$、$-SO_2NH_2$、$-SO_2NHR$、$-SO_2NR_2$；

[0247] 其中，每一 R 独立选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0248]



[0249] 其中，Y 是 O、S；以及

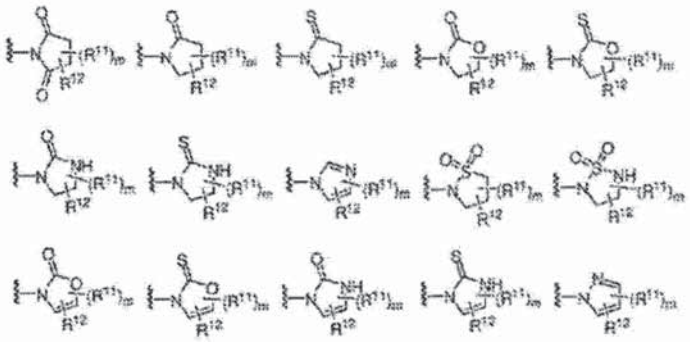
[0250] 其中，R^{10}选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；以及

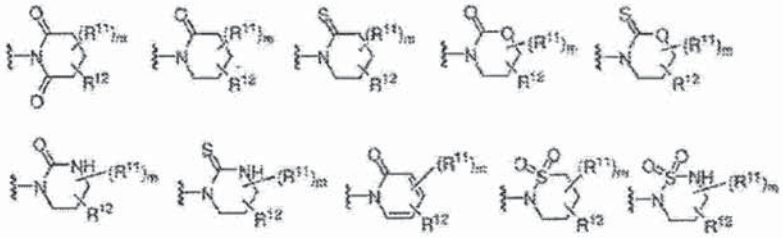
[0251] 其中，W 选自下列所组成的组：氢、烷基、卤烷基、-C(O)R、-C(O)OR、-SOR、-SO_2R、-C(O)NHR、-C(O)NR_2，其中，R 独立为 (C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；以及

[0252] 其中，C 选自下列所组成的组：-NHSO_2R、-N(R)SO_2R、-NHC(O)R、-NRC(O)R、-NHC(O)OR、-NRC(O)OR、-NHC(S)R、-NRC(S)R、-NHC(S)OR、-NRC(S)OR、-NH_2，其中，R 独立为 (C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0253] 或者，其中 C 选自下列所组成的组：

[0254]





[0255] 其中，R^{11}和R^{12}独立选自下列所组成的组：-OH、-F、-CI、-Br、-I、-C(O)R、$-CO_2R$、$-CONH_2$、-CN、$-SO_2R$、(C_1-C_5)烷基、经取代的(C_1-C_6)烷基、(C_2-C_6)烯基、经取代的(C_2-C_6)烯基、(C_2-C_6)炔基、经取代的(C_2-C_6)炔基、(C_5-C_{20})芳基、经取代的(C_6-C_{26})芳基、(C_6-C_{26})芳基烷基、经取代的(C_6-C_{26})芳基烷基；

[0256] 其中，R是(C_1-C_5)烷基、经取代的(C_1-C_6)烷基、(C_2-C_6)烯基、经取代的(C_2-C_6)烯基、(C_2-C_6)炔基、经取代的(C_2-C_6)炔基、(C_5-C_{20})芳基、经取代的(C_5-C_{20})芳基、(C_6-C_{26})芳基烷基、经取代的(C_6-C_{26})芳基烷基；以及

[0257] 其中，除非W含有硫，否则C不可以是$-NH_2$。

[0258] 式I所涵盖的具体化合物

[0259] 式I所涵盖的化合物包括下列：

[0260] 2-(2-氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮；2-(2-氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮；2-(2-氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)甲磺酰胺；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)乙磺酰胺；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)苯磺酰胺；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)乙酰胺；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)苯甲酰胺；N-(3-三甲基硅氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)-4-溴丁酰胺；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)-2-吡咯烷酮；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)琥珀酰亚胺；N-(3-三甲基硅氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3,4-二羟基-5-(2-氯苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)甲磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)苯磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)-乙酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)苯甲酰胺；N-(3-乙酰氧

基-4-羟基-5-(2-氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)-3-20溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-氯苯基)-2-呋喃基)乙磺酰胺;2-(2-氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3-氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3-氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-5甲基-N'-(3,4-二羟基-5-(3-氯苯

基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3-氯苯基)-2-呋喃基)乙磺酰胺;2-(3-氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3-氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(4-氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(4-氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)-胺基甲酸乙酯;

N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)-1,1-20二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺;2-(4-氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(4-氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,3-二氯苯基)-5-胺基-4-羟

基-3(2H)-呋喃酮;2-(2,3-二氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,3-二氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,3-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,3-二氯苯基)-2-呋喃基)乙磺酰胺;2-(2,3-二氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,3-二氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-5溴丁酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,3-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧

基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,3-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,4-二氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,4-二氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,4-二氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,4-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,4-二氯苯基)-2-呋喃基)乙磺酰胺;2-(2,4-二氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,4-二氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟

基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,5-二氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,5-二氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,5-二氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,5-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,5-二氯苯基)-2-呋喃基)乙磺酰胺;2-(2,5-二氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,5-二氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋

喃酮-5-基)-甲磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,6-二氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,6-二氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,6-二氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,6-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙

酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,6-二氯苯基)-2-呋喃基)乙磺酰胺;2-(2,6-二氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,6-二氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,6-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,6-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,4-二氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3,4-二氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3,4-二氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二

羟基-5-(3,4-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3,4-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3,4-二氯苯基)-2-呋喃基)乙磺酰胺;2-(3,4-二氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3,4-二氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,4-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,4-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,4-二氯苯

基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,5-二氯苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3,5-二氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3,5-二氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3,5-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3,5-二氯苯基)-2-呋喃基)乙磺酰胺;2-(3,5-二氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3,5-二氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,5-二氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧

基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,5-二氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-氟苯基)-2-呋喃基)乙磺酰胺;2-(2-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-羟

基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3-氟苯基)-2-呋喃基)乙磺酰胺;2-(3-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃

酮-5-基)-胺基甲酸乙酯;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(4-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(4-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)甲磺酰胺;(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-氟苯基)-2-呋喃基)乙磺酰胺;2-(4-氟苯基)-2-甲基-4-三甲

基硅氧基-5-胺基-3(2H)-呋喃酮;2-(4-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,3-二氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,3-二氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,3-二氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,3-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙

酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,3-二氟苯基)-2-呋喃基)乙磺酰胺;2-(2,3-二氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,3-二氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,3-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,3-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,4-二氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,4-二氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,4-二氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二

羟基-5-(2,4-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,4-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-20呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,4-二氟苯基)-2-呋喃基)乙磺酰胺;2-(2,4-二氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,4-二氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,4-二氟苯

基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,5-二氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,5-二氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,5-二氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,5-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,5-二氟苯基)-2-呋喃基)乙磺酰胺;2-(2,5-二氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,5-二氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧

基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,6-二氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,6-二氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,6-二氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,6-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,6-二氟苯基)-2-呋喃基)乙磺酰胺;2-(2,6-二氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,6-二氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,6-二氟苯基)-2-甲

基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,6-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,6-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,4-二氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3,4-二氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3,4-二氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3,4-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3,4-二氟苯基)-2-呋喃基)乙磺酰胺;2-(3,4-二氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3,4-二氟苯基)-2-甲

基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,4-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,4-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,5-二氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3,5-二氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3,5-二氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3,5-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-乙

酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3,5-二氟苯基)-2-呋喃基)乙磺酰胺;2-(3,5-二氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3,5-二氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,5-二氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,5-二氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-甲氧基苯基)-4-乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)苯甲酰胺;

N-(3-三甲基硅氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(2-甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧

基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3-甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3-甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-20呋喃基)乙酰胺;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3-甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(3-甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3-甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧

基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(4-甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(4-甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(4-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(4-甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(4-甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-甲氧基苯

基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,3-二甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,3-二甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,3-二甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟

基-5-(2,3-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,3-二甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(2,3-二甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,3-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,3-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,4-二甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,4-二甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,4-二甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-3-溴丙

磺酰胺；N-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3,4-二羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)甲磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)苯磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-乙酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)苯甲酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺；2-(2,4-二甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮；2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(2,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(2,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(2,4-二甲氧基苯

基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,5-二甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,5-二甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,5-二甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(2,5-二甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰

氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,6-二甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2,6-二甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2,6-二甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2,6-二甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(2,6-二甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟

基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,6-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2,6-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,4-二甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3,4-二甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3,4-二甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃

基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3,4-二甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(3,4-二甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,4-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,4-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,5-二甲氧基苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3,5-二甲氧基苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3,5-二甲氧基苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)乙酰胺;

N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3,5-二甲氧基苯基)-2-呋喃基)乙磺酰胺;2-(3,5-二甲氧基苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,5-二甲氧基苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧

基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3,5-二甲氧基苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氯-6-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-氯-6-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-氯-6-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-氯-6-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-氯-6-氟苯基)-2-呋喃基)乙磺酰胺;2-(2-氯-6-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-氯-6-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;

N-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯-6-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯-6-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-氯-4-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3-氯-4-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3-氯-4-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;2-(3-氯-4-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3-氯-4-氟苯基)-2-甲基-4-羟

基-5-胺基-3(2H)-呋喃酮;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氯-4-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-氯-4-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-氯-4-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟

基-5-(2-氯-4-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-氯-4-氟苯基)-2-呋喃基)乙磺酰胺;2-(2-氯-4-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-氯-4-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯-4-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯-4-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氯-3-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(4-氯-3-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(4-氯-3-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)乙磺酰胺;

N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(4-氯-3-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-氯-3-氟苯基)-2-呋喃基)乙磺酰胺;2-(4-氯-3-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(4-氯-3-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-氯-3-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;

N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(4-氯-3-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺；2-(3-氯-2-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮；2-(3-氯-2-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮；2-(3-氯-2-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)甲磺酰胺；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)乙磺酰胺；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)苯磺酰胺；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)乙酰胺；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)苯甲酰胺；N-(3-三甲基硅氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-4-溴丁酰胺；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-2-吡咯烷酮；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)琥珀酰亚胺；N-(3-三甲基硅氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3,4-二羟基-5-(3-氯-2-氟苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)甲磺酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)苯磺酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-乙酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)苯甲酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-4-溴丁酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-2-吡咯烷酮；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)琥珀酰亚胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3-氯-2-氟苯基)-2-呋喃基)乙磺酰胺；2-(3-氯-2-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮；2-(3-氯-2-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；

N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(3-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(3-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺；2-(2-氯-5-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮；2-(2-氯-5-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮；2-(2-氯-5-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)甲磺酰胺；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)乙磺酰胺；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)苯磺酰胺；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)乙酰胺；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)苯甲酰胺；N-(3-三甲基硅氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-4-溴丁酰胺；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-2-吡咯烷酮；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)琥珀酰亚胺；N-(3-三甲基硅氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3,4-二羟基-5-(2-氯-5-氟苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)甲磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)苯磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-乙酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)苯甲酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-4-溴丁酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-2-吡咯烷酮；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)琥珀酰亚胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-氯-5-氟苯基)-2-呋喃基)乙磺酰胺；2-(2-氯-5-氟苯基)-2-甲

基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-氯-5-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯-5-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-氯-5-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氯-2-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(4-氯-2-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(4-氯-2-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(4-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧

基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;2-(4-氯-2-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(4-氯-2-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(5-氯-2-氟苯基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(5-氯-2-氟苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(5-氯-2-氟苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(5-氯-2-氟苯

基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(5-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(5-氯-2-氟苯基)-2-呋喃基)乙磺酰胺;2-(5-氯-2-氟苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(5-氯-2-氟苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(5-氯-2-氟苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;

N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(5-氯-2-氟苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺；2-(苯基)-5-胺基-4-羟基-3(2H)-呋喃酮；2-(苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮；-(苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮；N-(3,4-二羟基-5-(苯基)-2-呋喃基)甲磺酰胺；N-(3,4-二羟基-5-(苯基)-2-呋喃基)乙磺酰胺；N-(3,4-二羟基-5-(苯基)-2-呋喃基)苯磺酰胺；N-(3,4-二羟基-5-(苯基)-2-呋喃基)乙酰胺；N-(3,4-二羟基-5-(苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3,4-二羟基-5-(苯基)-2-呋喃基)苯甲酰胺；N-(3-三甲基硅氧基-4-羟基-5-(苯基)-2-呋喃基)-4-溴丁酰胺；N-(3,4-二羟基-5-(苯基)-2-呋喃基)-2-吡咯烷酮；N-(3,4-二羟基-5-(苯基)-2-呋喃基)琥珀酰亚胺；N-(3-三甲基硅氧基-4-羟基-5-(苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3,4-二羟基-5-(苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3,4-二羟基-5-(苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)甲磺酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)苯磺酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)-乙酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)-胺基甲酸乙酯；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)苯甲酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)-4-溴丁酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)-2-吡咯烷酮；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)琥珀酰亚胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)-3-溴丙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3-乙酰氧基-4-羟基-5-(苯基)-2-呋喃基)乙磺酰胺；2-(苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮；2-(苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；2-(苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙

磺酰胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(2-(苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺；2-(2-噻吩基)-5-胺基-4-羟基-3(2H)-呋喃酮；2-(2-噻吩基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮；2-(2-噻吩基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)甲磺酰胺；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)乙磺酰胺；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)苯磺酰胺；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)乙酰胺；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)-胺基甲酸乙酯；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)苯甲酰胺；N-(3-三甲基硅氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-4-溴丁酰胺；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)-2-吡咯烷酮；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)琥珀酰亚胺；N-(3-三甲基硅氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-3-溴丙磺酰胺；N-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3,4-二羟基-5-(2-噻吩基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)甲磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)乙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)苯磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-乙酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-胺基甲酸乙酯；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)苯甲酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-4-溴丁酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-2-吡咯烷酮；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)琥珀酰亚胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-3-溴丙磺酰胺；N-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)-1,1-二氧化-异噻唑烷；N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-噻吩基)-2-呋喃基)乙磺酰胺；2-(2-噻吩基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮；2-(2-噻吩基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；N-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；N-(2-(2-噻吩基)-2-甲基-4-羟

基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-噻吩基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-噻吩基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-噻吩基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-呋喃基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-呋喃基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-呋喃基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-呋喃基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-呋喃基)-2-呋喃基)乙磺酰胺;2-(2-呋喃基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-呋喃基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃

酮-5-基)-胺基甲酸乙酯;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-呋喃基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-呋喃基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-呋喃基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-噻唑基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-噻唑基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-噻唑基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-噻唑基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-噻唑基)-2-呋喃基)乙磺酰胺;2-(2-噻唑基)-2-甲基-4-三甲

基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-噻唑基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-噻唑基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-噻唑基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-噻唑基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(1-萘基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(1-萘基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(1-萘基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(1-萘基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(1-萘基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(1-萘基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(1-萘基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧

基-4-羟基-5-(1-萘基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(1-萘基)-2-呋喃基)乙磺酰胺;2-(1-萘基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(1-萘基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(1-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(1-萘基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(1-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-萘基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-萘基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-萘基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-萘基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-萘基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-萘基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-萘基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘

基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-萘基)-2-呋喃基)乙磺酰胺;2-(2-萘基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-萘基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-萘基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-萘基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-萘基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-吡啶基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-吡啶基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-吡啶基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-吡啶

基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-吡啶基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-吡啶基)-2-呋喃基)乙磺酰胺;2-(2-吡啶基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-吡啶基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-吡啶基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-吡啶基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3-吡啶基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3-吡啶基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)乙磺酰胺;

N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3-吡啶基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3-吡啶基)-2-呋喃基)乙磺酰胺;2-(3-吡啶基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3-吡啶基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-吡啶基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-吡啶基)-2-甲基-4-乙酰氧

基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-吡啶基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(4-吡啶基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(4-吡啶基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(4-吡啶基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-吡啶基)-2-呋喃基)乙磺酰胺;2-(4-吡啶基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(4-吡啶基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-吡啶基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-吡啶基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-吡啶基)-2-甲基-4-乙

酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-吡啶基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-喹啉基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(2-喹啉基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(2-喹啉基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(2-喹啉基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(2-喹啉基)-2-呋喃基)乙磺酰胺;2-(2-喹啉基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(2-喹啉基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(2-喹啉基)-2-甲基-4-乙酰氧基-5-胺

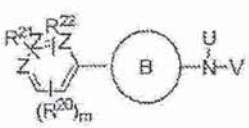
基-3(2H)-呋喃酮;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(2-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-喹啉基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(3-喹啉基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(3-喹啉基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(3-喹啉基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(3-喹啉基)-2-呋喃基)乙磺酰胺;2-(3-喹啉基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(3-喹啉基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;

N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(3-喹啉基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(3-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-喹啉基)-5-胺基-4-羟基-3(2H)-呋喃酮;2-(4-喹啉基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮;2-(4-喹啉基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)甲磺酰胺;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)乙磺酰胺;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)苯磺酰胺;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)乙酰胺;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)-胺基甲酸乙酯;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)苯甲酰胺;N-(3-三甲基硅氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-4-溴丁酰胺;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)-2-吡咯烷酮;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)琥珀酰亚胺;N-(3-三甲基硅氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-3-溴丙磺酰胺;N-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3,4-二羟基-5-(4-喹啉基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)甲磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)乙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)苯磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-乙酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-胺基甲酸乙酯;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)苯甲酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-4-溴丁酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-2-吡咯烷酮;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)琥珀酰亚胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-3-溴丙磺酰胺;N-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-喹啉基)-2-呋喃基)乙磺酰胺;2-(4-喹啉基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮;2-(4-喹啉基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-喹

啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-喹啉基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺;2-(4-喹啉基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺;N-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷;N-甲基-N'-(2-(4-喹啉基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺。

[0261] 本发明的其它方面涉及式(I)结构表示的化合物的子集,由式(II)结构表示:

[0262]



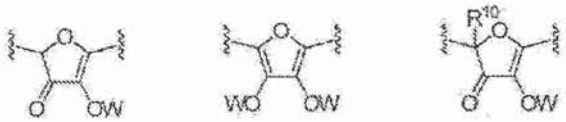
(II)

[0263] 其中,Z选自C和N所组成的组;

[0264] 其中,R^{20}、R^{21}及R^{22}独立选自下列所组成的组:H、-F、-Cl、-Br、-I、-OH、-SH、-CN、NO_2、-NO、$-NH_2$、-NHR、-NRR、-C(O)R、-C(O)OH、-C(O)OR、$-C(O)NH_2$、-C(O)NHR、-C(O)NRR、-SOR、$-SO_2R$、$-SO_2NH_2$、$-SO_2NHR$及$-SO_2NR_2$;

[0265] 其中,R各自独立选自下列所组成的组:(C_1-C_5)烷基、经取代的(C_1-C_6)烷基、(C_2-C_6)烯基、经取代的(C_2-C_6)烯基、(C_2-C_6)炔基、经取代的(C_2-C_6)炔基、(C_5-C_{20})芳基、经取代的(C_5-C_{20})芳基、(C_6-C_{26})芳基烷基、经取代的(C_6-C_{26})芳基烷基、5至20元杂芳基、经取代的5至20元杂芳基、6至26元杂芳基烷基、及经取代的6至26元杂芳基烷基;其中,B选自下列所组成的组:

[0266]



[0267] 其中，R^{10}选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0268] 其中，W 选自下列所组成的组：氢、烷基、卤烷基、-C(O)R、-C(O)OR、-SOR、-SO_2R、-C(O)NHR、及 -C(O)NR_2；

[0269] 其中，R 独立选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0270] 其中，U 选自下列所组成的组：氢、(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0271] 其中，V 选自下列所组成的组：-$NHSO_2$R、-N(R)SO_2R、-C(O)R、-C(O)OR、-C(S)R、及 -C(S)OR；

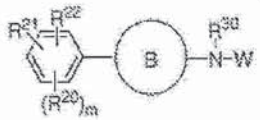
[0272] 其中，U 和 V 不同时为氢；以及

[0273] 其中，U 与 V 可结合在一起以形成未经取代或经取代的环。

[0274] 本发明的其它方面涉及式 (II) 结构所表示化合物的子集，由式 (III) 结构表示：

[0275]

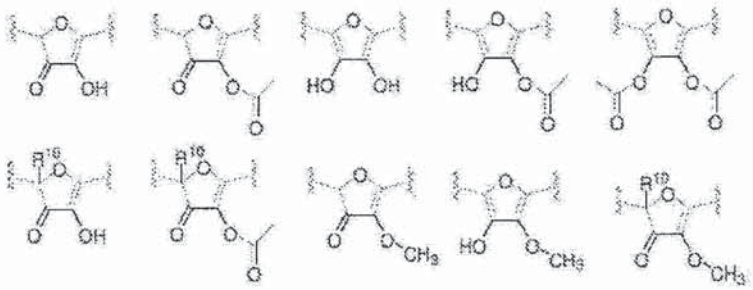
(III)



[0276] R^{20}、R^{21}和 R^{22}独立选自下列所组成的组：H、-F、-CI、Br、-I、-OH、-SH、-CN、-CN、NO_2、-NO、-NH_2、-NHR、-NRR、-C(O)R、-C(O)OH、-C(O)OR、-C(O)NH_2、-C(O)NHR、-C(O)NRR 等，其中，R 各自独立为 (C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0277] B 选自下列所组成的组：

[0278]



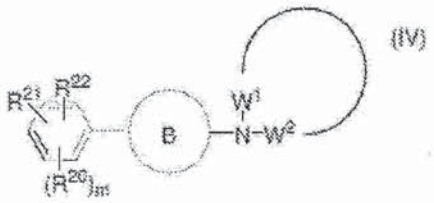
[0279] 其中，R^{10}选自下列所组成的组：氢、(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；以及

[0280] 其中，R^{30}选自下列所组成的组：氢、(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；以及

[0281] 其中，W 选自下列所组成的组：氢、烷基、卤烷基、-C(O)R、-C(O)OR、-SOR、-SO_2R、-C(O)NHR、-C(O)NR_2，其中，R 独立为 (C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、或经取代的 6 至 26 元杂芳基烷基。

[0282] 本发明的其它方面涉及式 (III) 结构所表示化合物的子集，由式 (IV) 结构表示：

[0283]

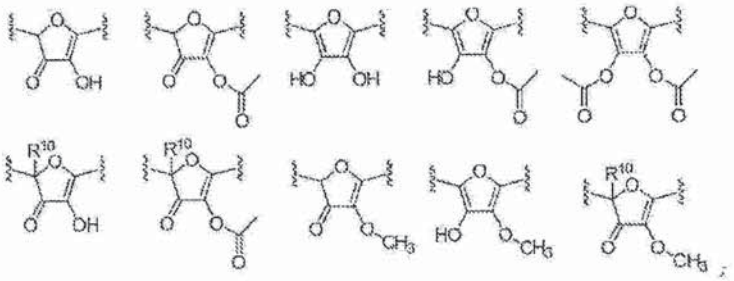


[0284] 其中，R^{20}、R^{21}和R^{22}独立选自下列所组成的组：H、-F、-CI、-Br、-I、-OH、-SH、-CN、-CN、NO_2、-NO、-NH_2、-NHR、-NRR、-C(O)R、-C(O)OH、-C(O)OR、-C(O)NH_2、-C(O)NHR、及 -C(O)NRR；

[0285] 其中，R 各自独立选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、或经取代的 6 至 26 元杂芳基烷基；

[0286] 其中，B 选自下列所组成的组：

[0287]



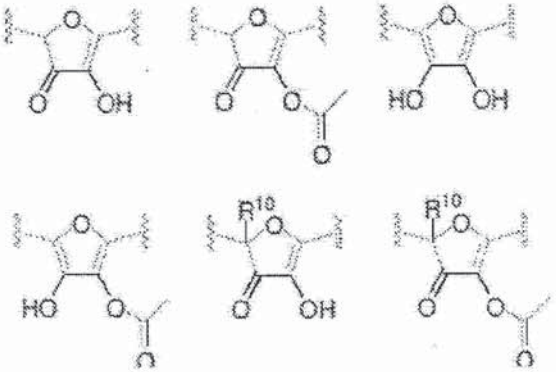
[0288] 其中，R^{10}选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0289] 其中，W^1和 W^2独立选自下列所组成的组：烷基、卤烷基、-C(O)R、-C(O)OR、-SOR、$-SO_2R$、-C(O)NHR、及 $-C(O)NR_2$；

[0290] 其中，R 独立选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基．

[0291] 本发明的其它方面涉及下述结构表示的化合物的子集：

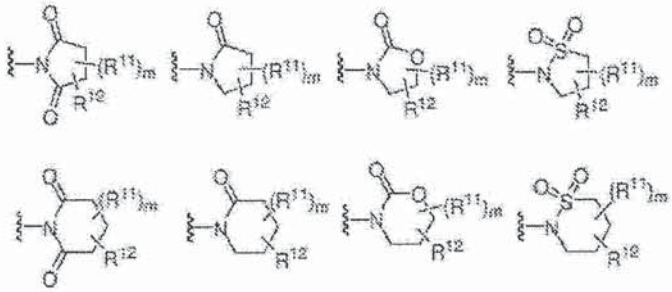
[0292]



[0293] 本发明的相关方面涉及式 (IV) 结构所表示化合物的子集，

[0294] 其中，W^1与 W^2结合在一起以形成选自下列所组成组的未经取代或经取代的环：

[0295]



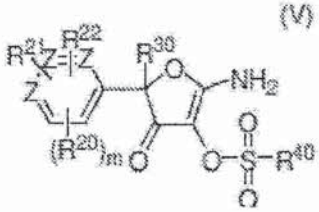
[0296] 其中，R^{11}与 R^{12}独立选自下列所组成的组：氢、-OH、-F、-Cl、-Br、-I、-C(O)R、$-CO_2R$、$-CONH_2$、-CN、$-SO_2R$、(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、

(C_6-C_{26}) 芳基烷基、及经取代的 (C_6-C_{26}) 芳基烷基。

[0297] 本发明的其它方面涉及式 (I) 结构所表示化合物的子集，由式 (V) 结构表示：

[0298]

(V)



[0299] 其中，Z 选自 C 和 N 所组成的组；

[0300] 其中，R^{20}、R^{21}和 R^{22}独立选自下列所组成的组：H、F、-CI、-Br、-I、-OH、-SH、-CN、-CN、NO_2、-NO、$-NH_2$、-NHR、-NRR、-C(O)R、-C(O)OH、-C(O)OR、$-C(O)NH_2$、-C(O)NHR、及 -C(O)NRR；

[0301] 其中，R 各自独立选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；

[0302] 其中，R^{30}选自下列所组成的组：氢、(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基；以及

[0303] 其中，R^{40}选自下列所组成的组：(C_1-C_5) 烷基、经取代的 (C_1-C_6) 烷基、(C_2-C_6) 烯基、经取代的 (C_2-C_6) 烯基、(C_2-C_6) 炔基、经取代的 (C_2-C_6) 炔基、(C_5-C_{20}) 芳基、经取代的 (C_5-C_{20}) 芳基、(C_6-C_{26}) 芳基烷基、经取代的 (C_6-C_{26}) 芳基烷基、5 至 20 元杂芳基、经取代的 5 至 20 元杂芳基、6 至 26 元杂芳基烷基、及经取代的 6 至 26 元杂芳基烷基。

[0304] 本发明的一方面涉及调整细胞中至少一种脯氨酰羟化酶的水平或活性的方法，包含令该细胞与有效量的上述由式 (I) 至 (V) 任一者涵盖的化合物或其盐接触。其它方面涉及一种方法，其中，所述至少一种脯氨酰羟化酶是脯氨酰 -4- 水解酶。

[0305] 本发明的一方面涉及调整对象体内至少一种脯氨酰羟化酶的水平或活性的方法，其包含对所述对象给药治疗有效量的上述由式 (I) 至 (V) 任一者涵盖的化合物或其盐。其它方面涉及一种方法，其中，所述至少一种脯氨酰羟化酶是脯氨酰 -4- 水解酶。

[0306] 本发明的具体方面包括式 (IV) 表示的选自下列所组成组的化合物：

[0307] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基) 甲磺酰胺；

[0308] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基) 乙磺酰胺；

[0309] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基) 苯磺酰胺；

[0310] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基) 乙酰胺；

[0311] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基)- 胺基甲酸乙酯；

[0312] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基) 苯甲酰胺；

[0313] N-(3- 三甲基硅氧基 -4- 羟基 -5-(4- 氯苯基)-2- 呋喃基)-4- 溴丁酰胺；

[0314] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基)-2- 吡咯烷酮；

[0315] N-(3,4- 二羟基 -5-(4- 氯苯基)-2- 呋喃基) 琥珀酰亚胺；

[0316] N-(3-三甲基硅氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-3-溴丙磺酰胺；

[0317] N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；以及

[0318] N-甲基-N'-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺。

[0319] 本发明的具体方面包括式(IV)表示的选自下列所组成组的化合物：

[0320] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)甲磺酰胺；

[0321] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺；

[0322] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)苯磺酰胺；

[0323] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙酰胺；

[0324] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-胺基甲酸乙酯；

[0325] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)苯甲酰胺；

[0326] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-4-溴丁酰胺；

[0327] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-2-吡咯烷酮；

[0328] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)琥珀酰亚胺；

[0329] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-3-溴丙磺酰胺；

[0330] N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷；以及

[0331] N-甲基-N'-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺。

[0332] 本发明的具体方面包括式(IV)表示的选自下列所组成组的化合物：

[0333] 2-(4-氯苯基)-2-甲基-4-三甲基硅氧基-5-胺基-3(2H)-呋喃酮；

[0334] 2-(4-氯苯基)-2-甲基-4-羟基-5-胺基-3(2H)-呋喃酮；

[0335] N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-甲磺酰胺；

[0336] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺；

[0337] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯磺酰胺；

[0338] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙酰胺；

[0339] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；

[0340] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-苯甲酰胺；

[0341] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；

[0342] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；

[0343] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；

[0344] N-(2-(4-氯苯基-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；

[0345] N-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；以及

[0346] N-甲基-N'-(2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮-5-基)-乙磺酰胺。

[0347] 本发明的具体方面包括式(IV)表示的选自下列所组成组的化合物：

[0348] 2-(4-氯苯基)-2-甲基-4-乙酰氧基-5-胺基-3(2H)-呋喃酮；

[0349] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-甲磺酰胺；

[0350] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺；

[0351] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯磺酰胺；

[0352] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙酰胺；

[0353] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-胺基甲酸乙酯；

[0354] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-苯甲酰胺；

[0355] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-4-溴丁酰胺；

[0356] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-2-吡咯烷酮；

[0357] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-琥珀酰亚胺；

[0358] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-3-溴丙磺酰胺；

[0359] N-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-1,1-二氧化-异噻唑烷；以及

[0360] N-甲基-N'-(2-(4-氯苯基)-2-甲基-4-乙酰氧基-3(2H)-呋喃酮-5-基)-乙磺酰胺。

[0361] 包含本发明化合物的组合物的治疗用途

[0362] 某些方面，本发明提供用于本发明所揭示的化合物或其异构体、代谢物、互变异构体、药学可接受的盐、药学前药、多形体、晶体、N-氧化物、水合物、或其任意组合，用于处置、压制、预防、抑制对象体内的细胞增殖病变，或降低且严重性或风险。

[0363] 医药组合物

[0364] 本发明的相关方面指向组合物，包括医药组合物，其包含上述的本发明化合物。本发明的一方面指向医药组合物，其包含至少一种药学可接受的赋形剂和治疗有效量的上揭化合物或其盐。本发明的再一方面涉及用于口服和静脉应用以及植入材料中的先前揭示化合物的药物配制方法。

[0365] 本发明的其它方面涉及医药组合物，其可含有一种或多种上述的本发明化合物。

[0366] 典型地，本发明的医药组合物将包括本发明的化合物或其药学可接受的盐，以及药学可接受的载体。术语“药学可接受的载体”指任何适宜的佐剂、载体、赋形剂或稳定剂，且可为固体或液体形式，如片剂、胶囊剂、粉剂、溶液、悬浮液、乳液或可植入的盘。

[0367] 典型地，该组合物将含有约0.01%至99%，优选约20%至75%的活性化合物，以及佐剂、载体及/或赋形剂。但个体需求可变，各成分有效量最优范围的确定处于该领域技术内。典型剂量包含约0.01至约100mg/kg体重。优选剂量包含约0.1至约100mg/kg体重。最优选剂量包含约1至约100mg/kg体重。给药本发明化合物的处置方案也可以由该领域技术人员确定。即，给药频率和药剂小可通过路径优化而构建，优选同时最小化任何负作用。

[0368] 剂型

[0369] 该固体单元剂型可为传统类型。该固体形式可以是胶囊等，如含有本发明化合物和载体如润滑剂和惰性填料如乳糖、蔗糖或玉米淀粉的普通明胶类型。另一具体实施例中，这些化合物与传统片基如乳糖、蔗糖或玉米淀粉，粘合剂如阿拉伯胶、玉米淀粉或明胶，崩解剂如玉米淀粉、马铃薯淀粉或海藻酸，以及润滑剂如硬脂酸或硬脂酸镁一起作成片剂。

[0370] 该片剂、胶囊剂等亦可含有粘合剂如黄芪胶、阿拉伯胶、玉米淀粉或明胶；赋形剂如磷酸二钙；崩解剂如玉米淀粉、马铃薯淀粉或海藻酸；润滑剂如硬脂酸镁；以及甜味剂如

蔗糖、乳糖或糖精。当该剂量单元形式为胶囊时，其除了含有上述类型的材料外，也可含有液体载体如脂肪油。

[0371] 任选包衣

[0372] 多种其它材料可作为包衣存在，或存在以改质该剂量单元的形式。例如，可使用虫胶、糖或两者包覆片剂。糖浆除了含有活性成分外，还含有作为甜味剂的蔗糖、作为防腐剂的甲基尼泊金和丙基尼泊金、染料、以及芳香剂如樱桃味或橙味芳香剂。

[0373] 赋形剂

[0374] 对于口服给药，这些活性化合物可与赋形剂合并並用于片剂、胶囊、酏剂、悬浮剂、糖浆剂更形式中。这些组合物和制剂应含有至少 0.1％的活性化合物。当然，该化合物在这些组合物中的百分比可变，且可方便地为该单元重量的约 2％至约 60％之间。活性化合物在这些可用于处置的组合物中的量应可获得适宜的剂量。根据本发明的优选组合物应制备为含有约 1mg 至 800mg 之间的活性化合物的口服剂量单元。

[0375] 给药模式

[0376] 本发明的活性化合物可经口给药，例如，与惰性稀释剂一起或与易得的可食载体一起，或它们可封装在硬壳胶囊或软壳胶囊内，或它们可包括在片剂中，或它们可直接并入日常饮食中。

[0377] 适用于注射用途的药物形式包括无菌水溶液或分散液及无菌粉末，用于无菌注射溶液或分散液的临时制备。在所有情况中，该形式应为无菌且应为可容易注射程度的流体。在制造和存储条件下应稳定，且应具备对抗微生物如细菌和真菌污染行为的防腐性。载体可为溶剂或分散液介质，含有如水、乙醇、多元醇（如，甘油、丙二醇、及液体聚乙二醇）、其适宜的混合物、及植物油。

[0378] 本发明的化合物或药物组合物也可以注射给药，将这些材料在生理学可接受稀释剂中的溶液或悬浮液与医药佐剂、载体或赋形剂同时注射给药。该佐剂、载体及／或赋形剂包括，但不限于，无菌液体如水和油，加入或不加入表面活性剂和其它药学及生理学可接受的组分。例示性油类是来自石油、动物、植物或合成的那些油类，例如，花生油、大豆油或矿物油。通常，水、生理盐水、葡萄糖水溶液和相关的糖溶液以及二醇如丙二醇或聚乙二醇是优选的液体载体，尤其是用于注射溶液。

[0379] 适用于可植入用途的药物形式包括聚羧基苯氧基丙烷－癸二酸（pCPP：SA）聚合物、聚（D,L-乳酸）、聚羟基丁酸酯、赖氨酸二异氰酸酯（LDI）－甘油聚氨酯、及聚（D,L-乳酸－共－乙交酯）的无菌晶片。在所有情况中，该形式应为无菌，且应为维度适用于以外科手术植入脑内的晶片或盘。在制造和存储条件下应稳定，且应具备对抗微生物如细菌和真菌污染行为的防腐性。该晶片应可在 24 小时至 6 个月内可生物降解。

[0380] 一方面，本发明提供化合物及组合物，包括本文所揭示的任何方面，用于本发明的任意方法中。一方面，使用本发明化合物或包含该化合物的组合物将在抑制、压制、增强或刺激对象体内的所欲响应中具有应用性，且应为该领域技术人员所理解。另一具体实例中，该组合物可进一步包含额外的活性成分，其活性可用于特定的已经给药本发明化合物的应用。

[0381] 包含本发明的调节剂化合物的医药组合物

[0382] 典型地，治疗组合物必须是无菌的，且在制造及存储条件下稳定。该组合物可配制

为溶液、微乳液、脂质体、或其他适用于高药物浓度的有序结构。该载剂可为溶剂或分散介质，含有例如水、乙醇、多元醇（例如，甘油、丙二醇、及液体聚乙二醇等）、及其适当混合物。例如，可通过使用包衣如卵磷脂、通过在分散液情况下保留所需颗粒大小、及通过使用表面活性剂而保留适宜的流动性。多数情况下，将以在该组合物中包括等渗剂如糖类、多元醇类如甘露醇、山梨醇、或氯化钠为佳。可通过在组合物中包括延迟吸收的试剂如单硬脂酸盐类和明胶而带来可注射组合物的延长吸收。此外，调节剂可在缓释制剂中给药，如在包括慢释聚合物的组合物中。该活性化合物可与将保护该化合物不被快速释放的载体一起制备为，例如控释制剂，包括内植物和微胶囊传递系统。可使用生物可降解、生物相容性聚合物，如乙烯－醋酸乙烯酯、聚酐、聚乙醇酸、胶原、聚原酸酯、聚乳酸、及聚乳酸－聚乙醇酸共聚物(PLG)。多种制备此类制剂的方法已经专利化或通常为该领域技术人员所知。

[0383] 给药模式可以是口服，用于肠道传递；鼻内给药，用于鼻腔传递；以及静脉给药，用于穿过血脑屏障传递。也可使用该领域已知的其它给药模式，包括，但不限于，鞘内、肌肉内、支气管内、直肠内、眼内及阴道内传递。

[0384] 该调节剂化合物可作为用于小肠传递的口服剂量组合物给药。这些用于小肠传递的口服剂量组合物是该领域已知的，且通常包含抗胃酸片剂或胶囊剂（《雷明顿药学大全（第十六版）》(Remington's Pharmaceutical Sciences,16th Ed.,Eds.Osol,Mack Publishing Co.,Chapter 89(1980))；Digenis et al,J.Pharm.Sci.,83:915-921(1994)；Vantini et al,Clinica Terapeutica,145:445-451(1993)；Yoshitomi et al,Chem. Pharm.Bull.,40:1902'1905(1992)；Thoma et al,Pharmazie,46:331-336(1991)；Morishita et al,Drug Design and Delivery,7:309-319(1991)；and Lin et al,Pharmaceutical Res.,8:919'924(1991))；全部通过引用而以其整体并入本文）。

[0385] 通过加入化合物如醋酸纤维素邻苯二甲酸酯或醋酸纤维素对苯二甲酸酯而将片剂作成具备抗胃酸的性质。

[0386] 胶囊是一种固体剂型，其中，紧密相连的调节剂化合物被密封在或硬或软的可溶性容器或明胶壳体内。在胶囊的制造中所使用的明胶是通过水解自较原材料获得的。存在两种类型的明胶。A 型衍生自猪皮，由酸处理获得；而 B 型则通过碱处理自骨头及动物皮获得。使用硬明胶胶囊允许规定认为对个体对象为最佳的确切剂量水准的紧密相连的调节剂化合物或其组合。该硬明胶胶囊由两部分组成，一个滑套于另一个之上，因此完全包围该紧密相连的调节剂化合物。通过将该调节剂化合物或含有该调节剂化合物的抗胃酸微珠引入该胶囊的较长端，随后滑套封帽而填充胶囊。硬明胶胶囊主要由明胶、FD&C 着色剂、以及有时存在的遮光剂如二氧化钛作成。USP 允许用于此目的的明胶含有 0.15% (w/v) 的二氧化硫以防止其在制造过程中分解。

[0387] 在本发明语境中，用于小肠传递的口服剂量组合物也包括含有水性缓冲剂的液体组合物，该水性缓冲剂防止该调节剂化合物在胃中被胃液显著失活，从而令该调节剂化合物以活性形式到达小肠。本发明中可采用的此类水性缓冲剂的实例包括碳酸氢盐缓冲剂(pH 5.5 至 8.7，优选约 pH 7.4)。

[0388] 当该口服剂量组合物为液体组合物时，优选该组合物仅在给药之前制备以最小化稳定性问题。在此情况下，可通过将冻干的紧密相连的调节剂化合物溶解于水性缓冲剂中而制备该液体组合物。用于小肠传递的口服剂量组合物也包括液体组合物，其可任选含有

水性缓冲剂，该水性缓冲剂防止治疗剂及紧密相连的调节剂在胃中被胃液显著失活，从而令生物活性成分和该调节剂化合物以活性形式到达小肠。本发明中可采用的此类水性缓冲剂的实例包括碳酸氢盐缓冲剂（pH 5.5 至 8.7，优选约 pH 7.4）。

[0389] 当该口服剂量组合物为液体组合物时，优选该组合物仅在给药之前制备以最小化稳定性问题。在此情况下，可通过将冻干的紧密相连的调节剂化合物溶解于水性缓冲剂中而制备该液体组合物。

[0390] 可通过合并所需量的该活性化合物与适宜溶剂及上文枚举的一种成分或成分组合，再经无菌过滤而制备无菌注射溶液。通常，通过将该活性化合物合并入无菌运载体而制备分散液，该分散液含有碱性分散介质和不同于上文枚举者的其它所需成分。对于在制备无菌注射溶液中使用的无菌粉末，优选的制备方法是真空干燥及冻干，该方法自经先前无菌过滤的溶液获得活性成分加上任何额外所需成分的粉末。

[0391] “鼻腔”传递组合物不同于“肠”传递组合物，后者必须具有抗胃酸性质以防止该活性剂在胃中的酸降解，然而前者通常包含直径约 50 μm 的水溶性聚合物以降低粘膜纤毛清除并实现鼻腔给药剂的可重复的生物利用度。

[0392] “静脉”传递组合物不同于“鼻腔”及“肠”传递组合物两者，“静脉”传递组合物中不需要抗胃酸剂或水溶性聚合物。

[0393] 用于鼻腔传递的鼻剂组合物是该领域已知的。这些鼻剂组合物通常包含已经广泛用以制备药物剂型的水溶性聚合物（Martin 等，Physical Chemical Principles of Pharmaceutical Sciences，第 3 版，第 592-638 页（1983）），该聚合物可用作鼻腔给药的肽载体（Davis，Delivery Systems for Peptide Drugs，125：1-21（1986））。已经显示，通过阻滞鼻腔粘膜纤毛清除，对于包埋在聚合物基质中的肽的鼻腔吸收得以增强（Ilium 等，Int. J. Pharm.，46：261-265（1988E）。其它可能的增强机理包括增加的浓度梯度或降低的肽吸收扩散路径（Ting 等，Pharm. Res.，9：1330-1335（1992）。但是，已经预示，粘膜纤毛清除率的下降是实现鼻腔给药系统性药物的可重复生物利用度的良好途径（Gonda 等，Pharm. Res.，7：69-75（1990））。预计直径约为 50pm 的微粒将会沉积在鼻腔内（Bjork et al，Int. J. Pharm.，62：187’192（1990E）；和 Ilium et al，Int. J. Pharm.，39：189’199（1987），而直径在 10pm 以下的微粒将逃逸出鼻过滤系统并沉积在下呼吸道内。在鼻腔给药后，直径大于 200pm 的微粒将无法在鼻腔内滞留（Lewis et al，Proc. Int. Symp. Control Rel. Bioact. Mater.，17：280-290（1990））。

[0394] 本发明所采用的具体水溶性聚合物并无限制，且可选自鼻腔剂型所采用的任何已知水溶性聚合物。可用于鼻腔传递的水溶性聚合物的典型实例是聚乙烯醇（pvA）。该材料是可溶胀的亲水性聚合物，其物理性质取决于分子量、水解程度、交联密度和结晶度（Peppas 等，Hydrogels in Medicine and Pharmacy，3：109’131（1987E）。PYA 可通过相分离、喷干、喷洒包埋和喷洒凝集而用于分散材料的包衣中（Ting 等，同上）。

[0395] 包含本发明调节剂化合物的“皮肤”传递组合物除了包括治疗剂或致免疫剂外，还可包括芳香剂、乳剂、膏剂、着色剂和其它化合物，只要所加入的成分不对治疗剂或治疗剂或致免疫剂的透皮传递产生有害影响即可。亦可加入传统的药学可接受的乳化剂、表面活性剂、悬浮剂、抗氧化剂、等渗剂、增量剂、稀释剂及防腐剂。

[0396] 本发明所采用的具体治疗剂或致免疫剂并无限制，且可为诸如任何药物化合物、

生物活性肽、疫苗、或任何其它不通过跨细胞途径吸收的部分，无需考虑其尺寸或电荷。

[0397] 该组合物中活性化合物的量可根据例如个体的疾病状态、年龄、性别及体重而改变。可调整剂量方案以提供最优的治疗响应。例如，可单次大剂量给药，可随时间多次小剂量给药，或根据治疗状态的紧迫度所指明者成比例地减少或增加剂量。以剂量单元形式配制易给药且剂量均匀的注射用组合物尤佳。本文中，剂量单元形式指适用于作为单元剂量给药至待处置的哺乳动物对象的物理上离散单元；每一单元含有预定量的活性化合物，该量是经计算以在所需药物载体的辅助下产生所欲疗效的量。本发明的剂量单元形式的说明书通过下述指明并直接取决于后者：(a) 该活性化合物的独特的特征以及待实现的疗效，以及 (b) 将该活性化合物复配用于处置个体敏感度的固有限制。

[0398] 本文中，“药学可接受的载体”包括任何及全部生理学形容的溶剂、分散介质、包衣、抗菌剂及抗真菌剂、等渗剂和吸收延迟剂等。一个具体实施例中，该载体适用于非消化道给药。载体可以适用于给药至中枢神经系统内（如，脊柱内给药或脑内给药）。或者，该载体可适用于静脉给药、腹腔内给药或肌肉给药。另一具体实施例中，该载体适用于口腔给药。药学可接受的载体包括用于临时制备无菌注射溶液或分散液的无菌水溶液或分散液和无菌粉末。这些用于药学活性物质的介质和试剂用途在该领域是已知的。除非任何传统介质或试剂与该活性化合物不相容，否则其在本发明医药组合物中的用途可期。辅助性活性化合物也可并入该组合物中。

[0399] 尽管已经详细揭示本发明的具体方面，该领域技术人员应知悉，可在本公开的总体教示下对那些细节进行各种修饰和变更。据此，所揭露的具体安排仅作例示性说明之用，而非限制本发明范畴，本发明范畴应由权利要求书及其任何等同物所给出。

[0400] [实施例]

[0401] 联系下述代表性实施例，可更好地理解前述讨论，该实施例仅为例示性说明本发明原则性方法和组合物的目标而存在，而非以任何途径进行限制。该领域技术人员在阅读本公开后，可获知多种其它实施例而不悖离本发明的精神和范畴。因此，这些其它实施例应包括于权利要求书的范畴内。

[0402] 通用材料和方法

[0403] 除非特别标注者，全部份数以重量计（如，% w/w)，且温度为摄氏度（℃）。

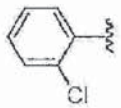
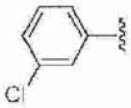
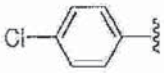
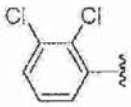
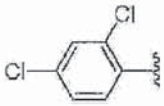
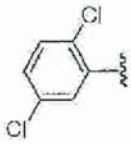
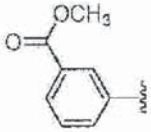
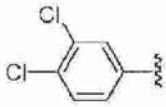
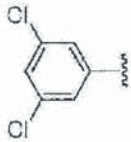
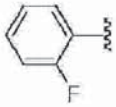
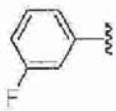
[0404] 通用化学过程

[0405] 使用胡佛熔点仪测定熔点且未经校正。在Mattson Satellite FTIR上以cm^{-1}记录化合物在KBr压片中的红外 (IR) 光谱。在Bruker Avance III DPX 300MHz核磁共振仪上以DMSO-d_6为溶剂记录 ^1H和^{13}C谱图。在Bruker Avance III 600(564.6mHz) 上以DMSO-d_6记录^{19}F谱图。使用四甲基硅为内标以每百万的份数（δ）表达化学位移。在辛辛那提大学质谱设施 (the University of Cincinnati Mass Spectrometry facility) 的Thermo Scientific LTQ-FT上实施质谱。使用Waters 2695分离模块、2487双 λ 吸收检测器和NovaPak C184μm 3.9x150mm柱通过HPLC监控化合物的纯度。流动相由乙腈/H_2O组成，使用30分钟梯度洗脱。化合物的纯度全部≥95%。由Atlantic Microlab Inc. 实施微量分析，全部化合物的实测值为±0.4%。全部试剂均来自Sigma-Aldrich。使用StarDrop 5.1.1发布版178计算LogS、LogP、Log BBB、人肠吸收、p-糖蛋白类、CYP 2C9pKi、hERG pIC50、CYP 2D6亲合类、口腔CNS分数、IV CNS分数、MW、挠性、及总极性表面积。

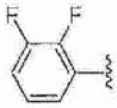
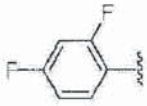
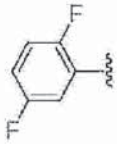
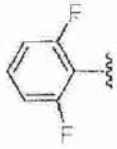
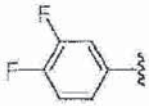
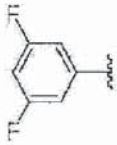
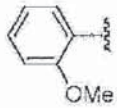
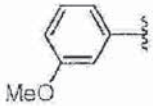
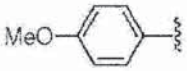
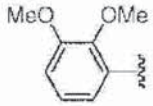
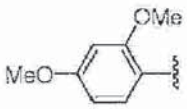
[0406] 反应式 1 例示性说明用以总合这些反应的合成反应。表 1 是可作为式 (Ia)、(Ib) 和 (IIa) 的“Ar1”和“Ar2”并入的芳基化合物非限制性列举。表 1A 和表 2 例示性说明本申请中揭露的多种关键化合物的结构、名称及数目。

[0407] 表 1

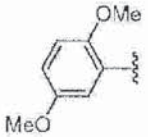
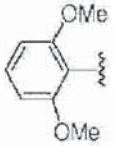
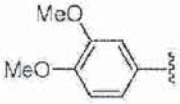
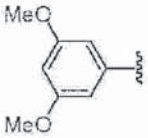
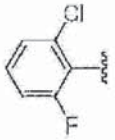
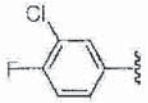
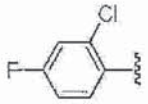
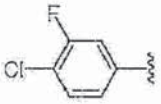
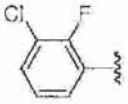
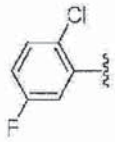
[0408]

化合物	结构	Ar^1或Ar^2
a		2-氯苯基
b		3-氯苯基
c		4-氯苯基
d		2,3-二氯苯基
e		2,4-二氯苯基
f		2,5-二氯苯基
g		3-羧甲基苯基
h		3,4-二氯苯基
i		3,5-二氯苯基
j		2-氟苯基
k		3 -氟苯基

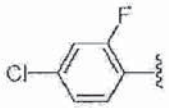
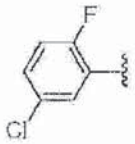
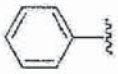
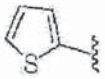
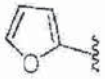
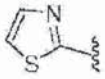
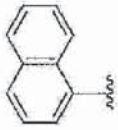
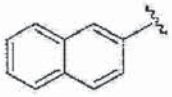
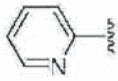
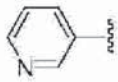
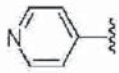
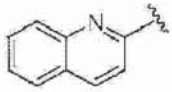
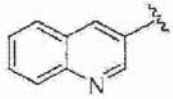
[0409]

化合物	结构	Ar^1或Ar^2
l		4-氟苯基
m		2,3-二氟苯基
n		2,4-二氟苯基
o		2,5-二氟苯基
p		2,6-二氟苯基
q		3,4-二氟苯基
r		3,5-二氟苯基
s		2-甲氧基苯基
t		3 -甲氧基苯基
u		4-甲氧基苯基
v		2,3-二甲氧基苯基
w		2,4-二甲氧基苯基

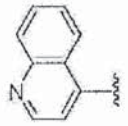
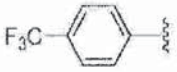
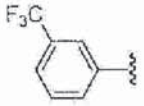
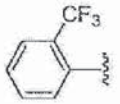
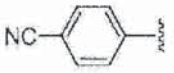
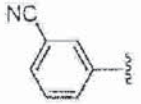
[0410]

化合物	结构	Ar^1或Ar^2
x		2,5-二甲氧基苯基
y		2,6-二甲氧基苯基
z		3,4-二甲氧基苯基
aa		3,5-二甲氧基苯基
ab		2-氯-6-氟苯基
ac		3-氯-4-氟苯基
ad		2-氯-4-氟苯基
ae		4-氯-3-氟苯基
af		3-氯-2-氟苯基
ag		2-氯-5-氟苯基

[0411]

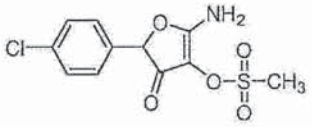
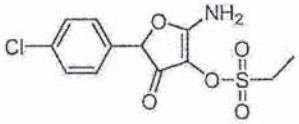
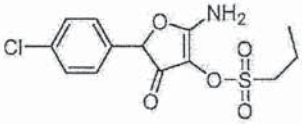
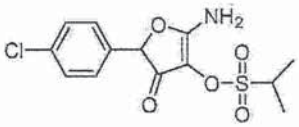
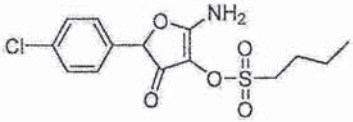
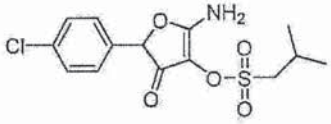
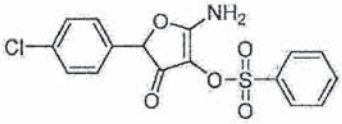
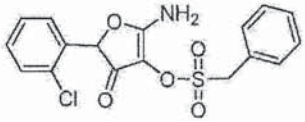
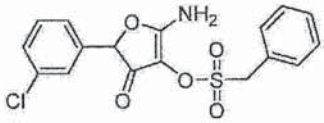
化合物	结构	Ar^1或Ar^2
ah		4-氯-2-氟苯基
ai		5-氯-2-氟苯基
aj		Ph
ak		2-噻吩基
al		2-呋喃基
am		2-噻唑基
an		1-萘基
ao		2-萘基
ap		2-吡啶基
aq		3-吡啶基
ar		4-吡啶基
as		2-喹啉基
at		3-喹啉基

[0412]

化合物	结构	Ar^1 或 Ar^2
au		4-喹啉基
av		4-三氟甲基苯基
aw		3-三氟甲基苯基
ax		2-三氟甲基苯基
ay		4-氰基苯基
az		3-氰基苯基

[0413] 表 1A

[0414]

化合物	结构	名称
1c		2-(4-氯苯基)-4-[[甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
2c		2-(4-氯苯基)-4-[[乙基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
3c		2-(4-氯苯基)-4-[[1-丙基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
4c		2-(4-氯苯基)-4-[[2-丙基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
5c		2-(4-氯苯基)-4-[[1-丁基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
6c		2-(4-氯苯基)-4-[[1-丙基-2-甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
7c		2-(4-氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8a		2-(2-氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8b		2-(3-氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

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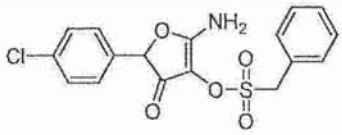
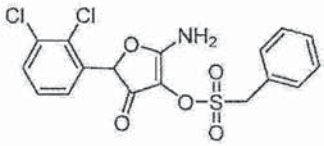
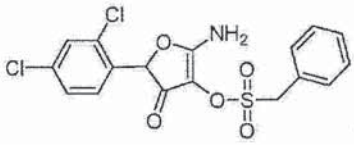
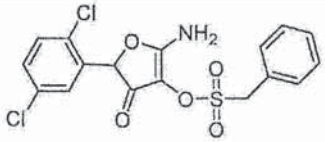
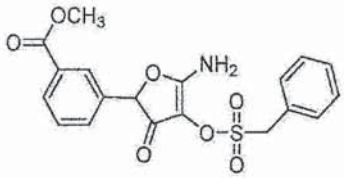
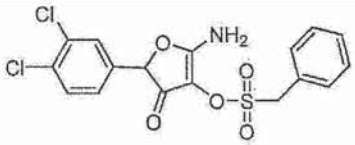
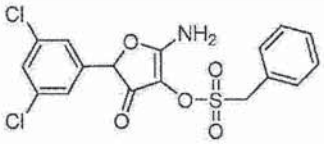
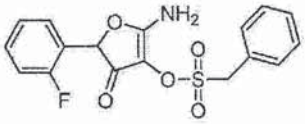
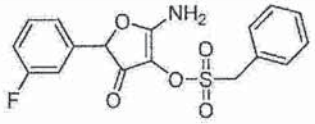
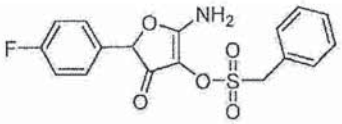
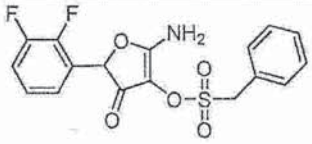
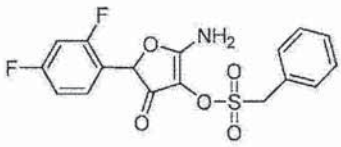
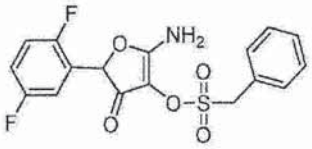
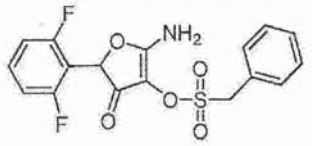
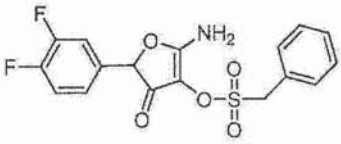
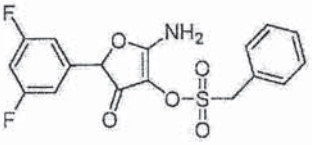
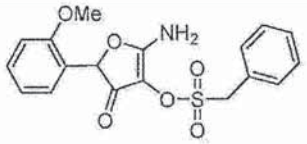
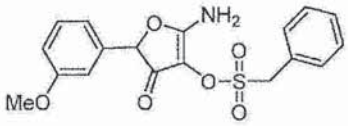
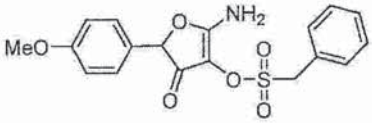
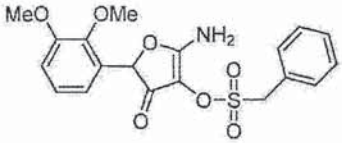
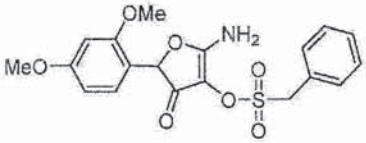
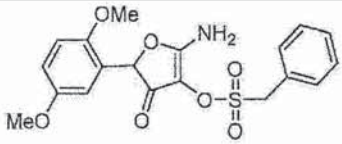
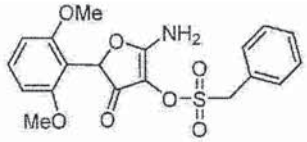
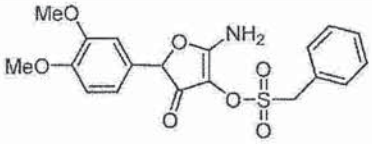
化合物	结构	名称
8c		2-(4-氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8d		2-(2,3-二氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8e		2-(2,4-二氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8f		2-(2,5-二氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8g		2-(3-羧甲基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8h		2-(3,4-二氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8i		2-(3,5-二氯苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8j		2-(2-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

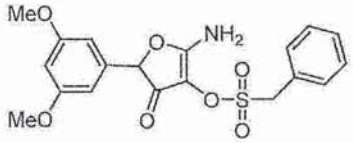
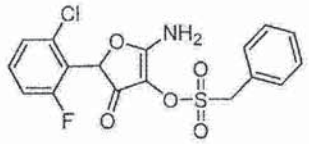
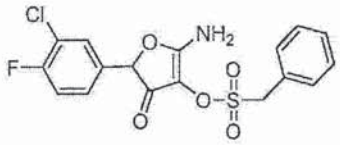
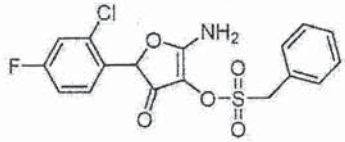
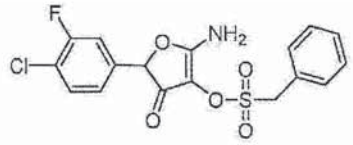
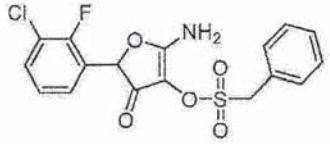
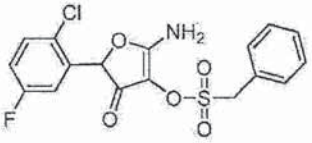
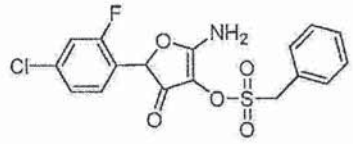
[0416]

化合物	结构	名称
8k		2-(3-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8l		2-(4-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8m		2-(2,3-二氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8n		2-(2,4-二氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8o		2-(2,5-二氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8p		2-(2,6-二氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8q		2-(3,4-二氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8r		2-(3,5-二氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

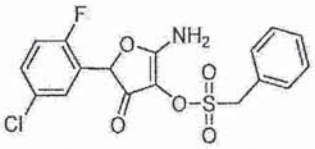
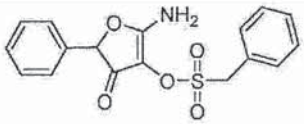
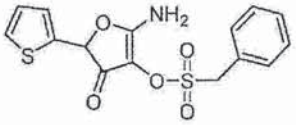
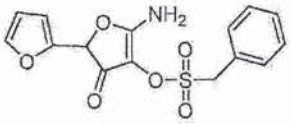
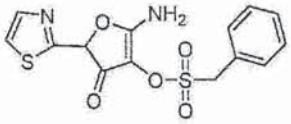
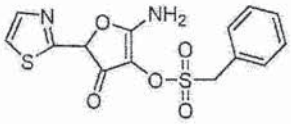
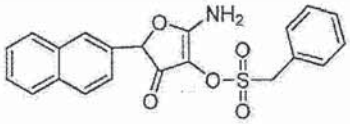
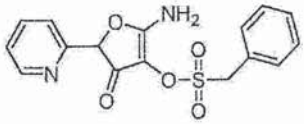
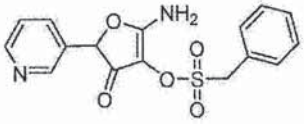
[0417]

化合物	结构	名称
8s		2-(2-甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8t		2-(3-甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8u		2-(4-甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8v		2-(2,3-二甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8w		2-(2,4-二甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8x		2-(2,5-二甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8y		2-(2,6-二甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8z		2-(3,4-二甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

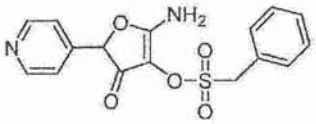
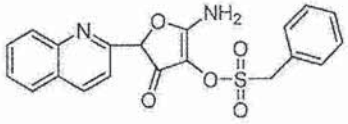
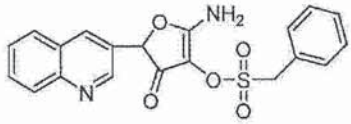
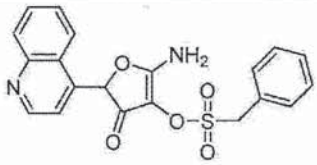
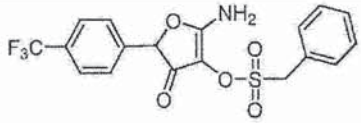
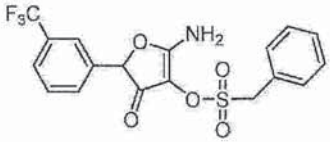
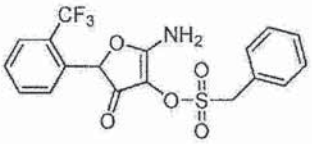
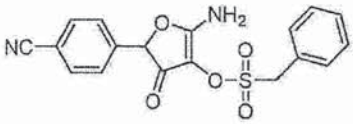
[0418]

化合物	结构	名称
8aa		2-(3,5-二甲氧基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ab		2-(2-氯-6-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ac		2-(3-氯-4-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ad		2-(2-氯-4-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ae		2-(4-氯-3-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8af		2-(3-氯-2-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ag		2-(2-氯-5-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ah		2-(4-氯-2-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

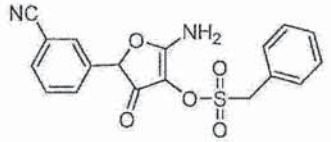
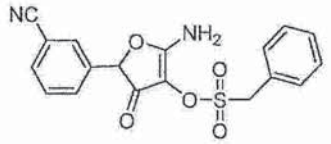
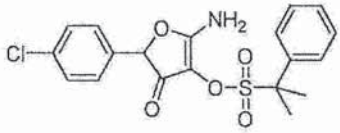
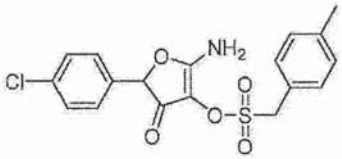
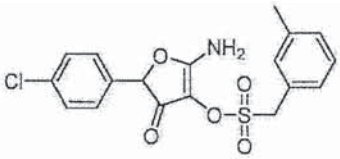
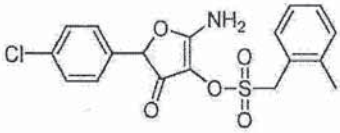
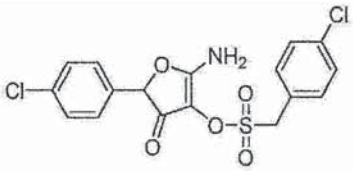
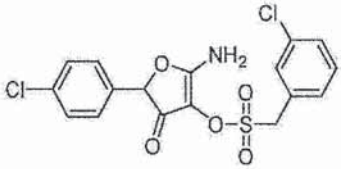
[0419]

化合物	结构	名称
8ai		2-(3-氯-5-氟苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8aj		2-(苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ak		2-(2-噻吩基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8al		2-(2-呋喃基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8am		2-(2-噻唑基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8an		2-(1-萘基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ao		2-(2-萘基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ap		2-(2-吡啶基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8aq		2-(3-吡啶基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

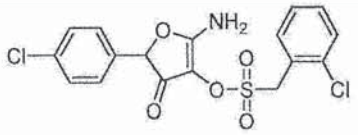
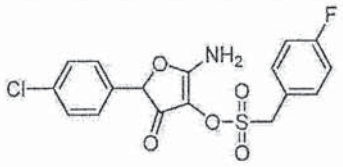
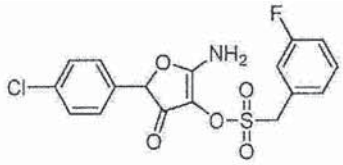
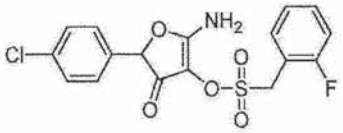
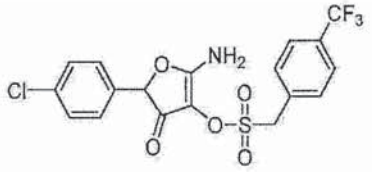
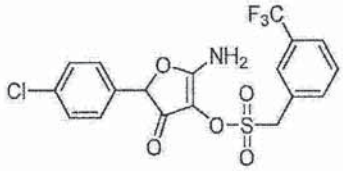
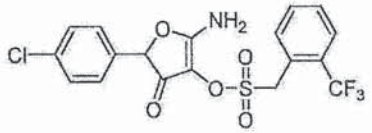
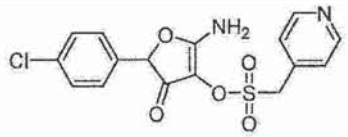
[0420]

化合物	结构	名称
8ar		2-(4-吡啶基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8as		2-(2-喹啉基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8at		2-(3-喹啉基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8au		2-(4-喹啉基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8av		2-(4-三氟甲基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8aw		2-(3-三氟甲基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ax		2-(2-三氟甲基苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
8ay		2-(4-腈苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

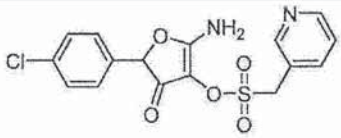
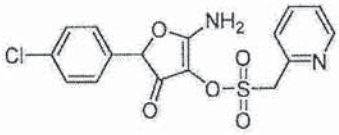
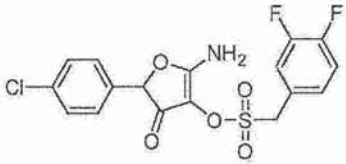
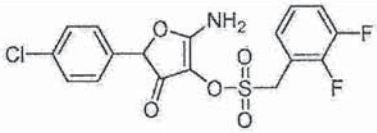
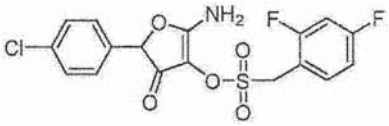
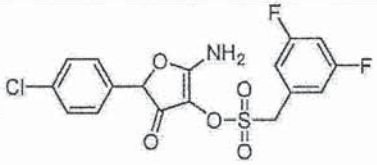
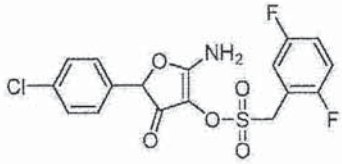
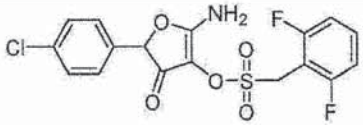
[0421]

化合物	结构	名称
8az		2-(3-腈苯基)-4-[[苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
9c		2-(4-氯苯基)-4-[[l-苯基乙基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
10c		2-(4-氯苯基)-4-[[1-甲基-1-苯基乙基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
11c		2-(4-氯苯基)-4-[[4-甲基苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
12c		2-(4-氯苯基)-4-[[3-甲基苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
13c		2-(4-氯苯基)-4-[[2-甲基苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
14c		2-(4-氯苯基)-4-[[4-氯苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
15c		2-(4-氯苯基)-4-[[3-氯苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

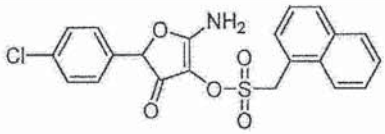
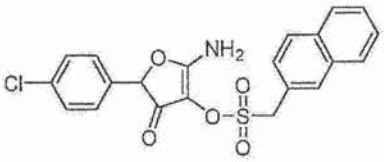
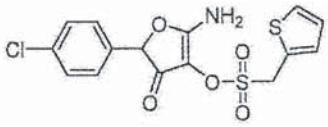
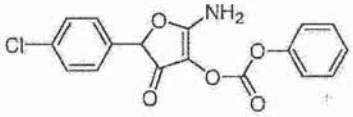
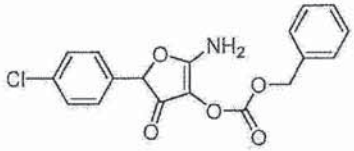
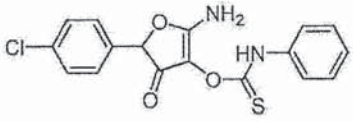
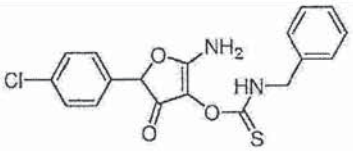
[0422]

化合物	结构	名称
16c		2-(4-氯苯基)-4-[[2-氯苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
17c		2-(4-氯苯基)-4-[[4-氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
18c		2-(4-氯苯基)-4-[[3-氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
19c		2-(4-氯苯基)-4-[[2-氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
20c		2-(4-氯苯基)-4-[[4-三氟甲基苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
21c		2-(4-氯苯基)-4-[[3-三氟甲基苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
22c		2-(4-氯苯基)-4-[[2-三氟甲基苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
23c		2-(4-氯苯基)-4-[[4-吡啶基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

[0423]

化合物	结构	名称
24c		2-(4-氯苯基)-4-[[3-吡啶基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
25c		2-(4-氯苯基)-4-[[2-吡啶基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
26c		2-(4-氯苯基)-4-[[3,4-二氟苯基甲基磺酰基]氧] -5-胺基-3(2H)-呋喃酮
27c		2-(4-氯苯基)-4-[[2,3-二氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
28c		2-(4-氯苯基)-4-[[2,4-二氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
29c		2-(4-氯苯基)-4-[[3,5-二氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
30c		2-(4-氯苯基)-4-[[2,5-二氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
31c		2-(4-氯苯基)-4-[[2,6-二氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

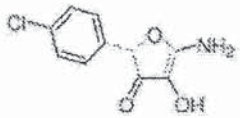
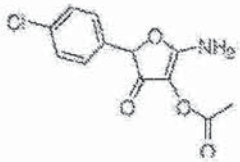
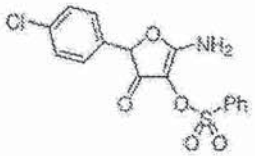
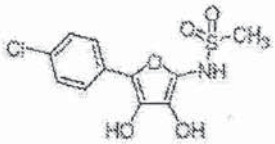
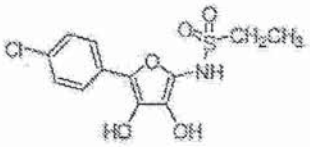
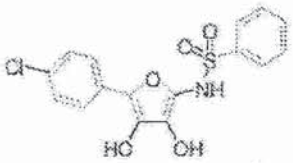
[0424]

化合物	结构	名称
32c		2-(4-氯苯基)-4-[[l-萘基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
33c		2-(4-氯苯基)-4-[[2-萘基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
34c		2-(4-氯苯基)-4-[[2-噻吩基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮
35c		2-(4-氯苯基)-4-[[苯氧基羰基]氧]-5-胺基-3(2H)-呋喃酮
36c		2-(4-氯苯基)-4-[[苄氧羰基]氧]-5-胺基-3(2H)-呋喃酮
37c		2-(4-氯苯基)-4-[[苯基胺基硫代羰基]氧]-5-胺基-3(2H)-呋喃酮
38c		2-(4-氯苯基)-4-[[苄基胺基硫代羰基]氧]-5-胺基-3(2H)-呋喃酮

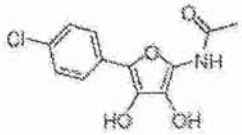
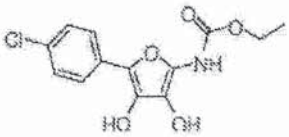
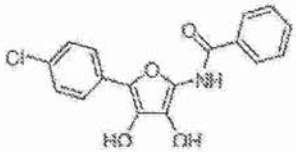
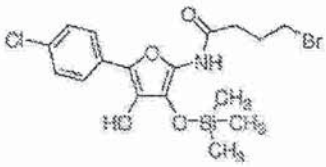
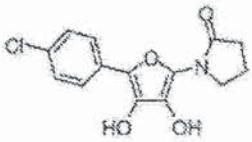
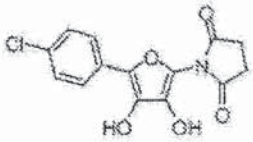
[0425] 表 2

[0426] 关键化合物的结构

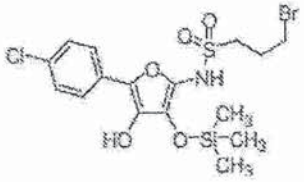
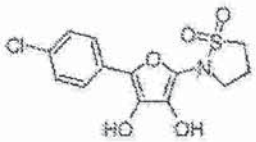
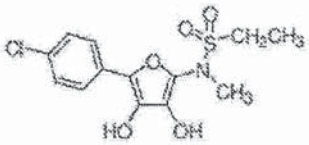
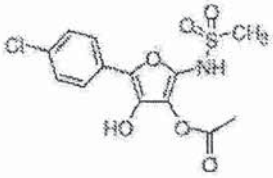
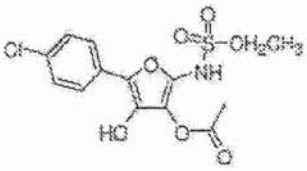
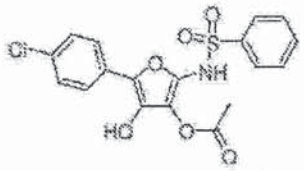
[0427]

结构	名称	化合物
	5-胺基-4-羟基-2-(4-氯苯基)呋喃-3-酮	1c
	2-(4-苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮	2c
	2-(4-氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮	3c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)甲磺酰胺	4c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺	5c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)苯磺酰胺	6c

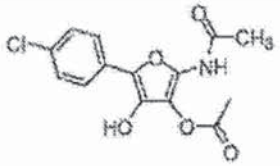
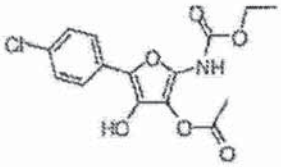
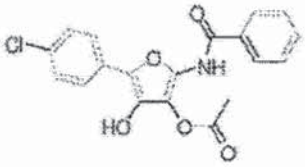
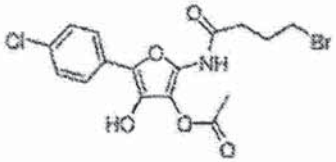
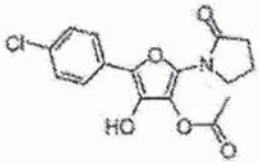
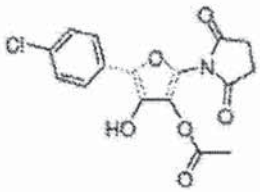
[0428]

结构	名称	化合物
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙酰胺	7c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)胺基甲酸乙酯	8c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)苯甲酰胺	9c
	N-(3-三甲基硅氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-4-溴丁酰胺	10c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)-2-吡咯烷酮	11c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)琥珀酰亚胺	12c

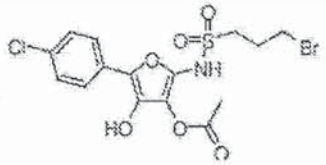
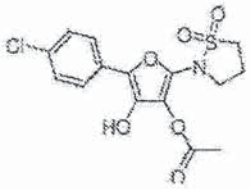
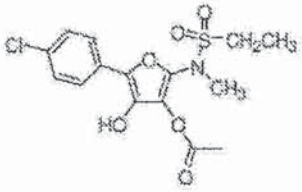
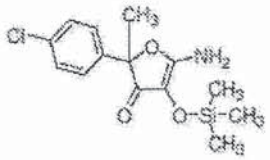
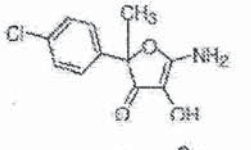
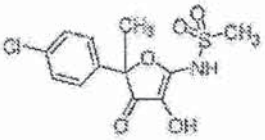
[0429]

结构	名称	化合物
	N-(3-三甲基硅氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-3-溴丙磺酰胺	13c
	N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷	14c
	N-甲基-N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺	15c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)甲磺酰胺	16c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺	17c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)苯磺酰胺	18c

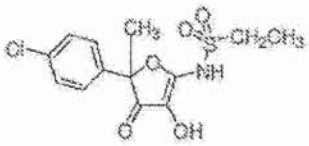
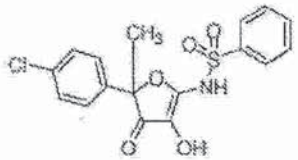
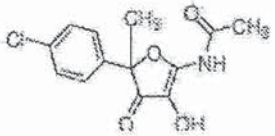
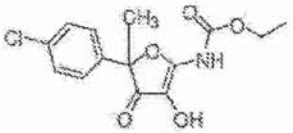
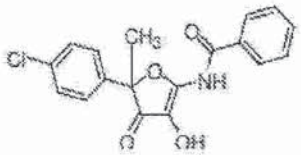
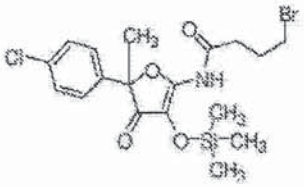
[0430]

结构	名称	化合物
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙酰胺	19c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)胺基甲酸乙酯	20c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)苯甲酰胺	21c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-4-溴丁酰胺	22c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-2-吡咯烷酮	23c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)琥珀酰亚胺	24c

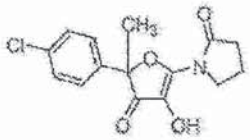
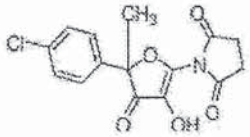
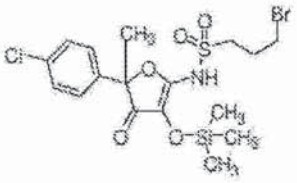
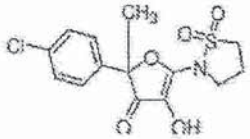
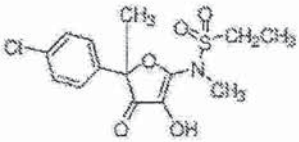
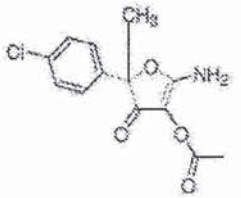
[0431]

结构	名称	化合物
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-3-溴丙磺酰胺	25c
	N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)-1,1-二氧化-异噻唑烷	26c
	N-甲基-N-(3-乙酰氧基-4-羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺	27c
	5-胺基-2-(4-氯苯基)-2-甲基-4-三甲基硅氧基-3(2H)-呋喃酮	28c
	5-胺基-2-(4-氯苯基)-2-甲基-4-羟基-3(2H)-呋喃酮	29c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)甲磺酰胺	30c

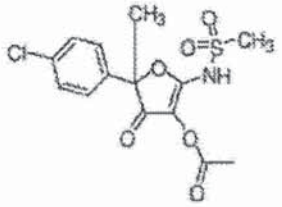
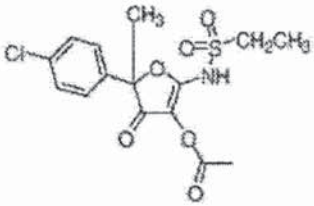
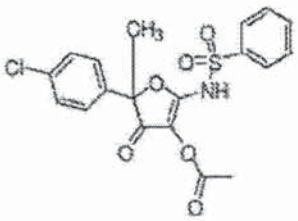
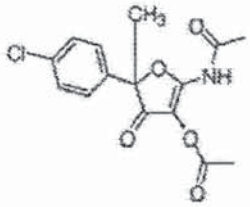
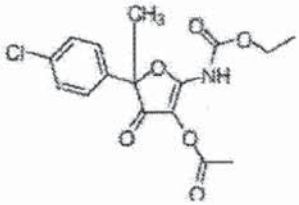
[0432]

结构	名称	化合物
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)乙磺酰胺	31c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)苯磺酰胺	32c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)乙酰胺	33c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)胺基甲酸乙酯	34c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)苯甲酰胺	35c
	N-(3-三甲基硅氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-4-溴丁酰胺	36c

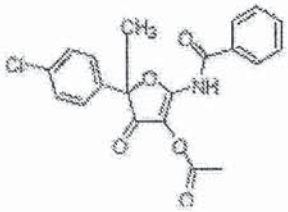
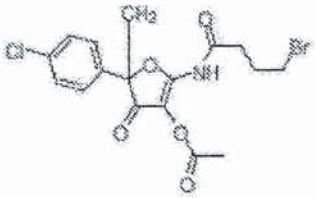
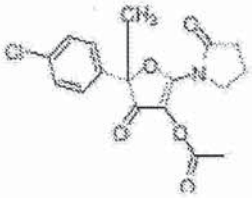
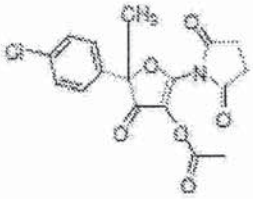
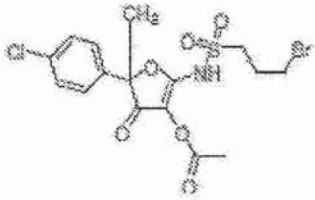
[0433]

结构	名称	化合物
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-2-吡咯烷酮	37c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)琥珀酰亚胺	38c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-3-溴丙磺酰胺	39c
	N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-1,1-二氧化-异噻唑烷	40c
	N-甲基-N-(3-羟基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)乙磺酰胺	41c
	5-胺基-2-(4-氯苯基)2-甲基-4-乙酰氧基-3(2H)-呋喃酮	42c

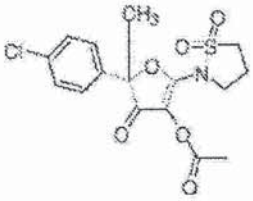
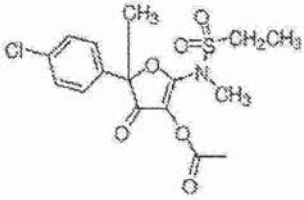
[0434]

结构	名称	化合物
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)甲磺酰胺	43c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)乙磺酰胺	44c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)苯磺酰胺	45c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)乙酰胺	46c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)胺基甲酸乙酯	47c

[0435]

结构	名称	化合物
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)苯甲酰胺	48c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-4-溴丁酰胺	49c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-2-吡咯烷酮	50c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)琥珀酰亚胺	51c
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-3-溴丙磺酰胺	52c

[0436]

结构	名称	化合物
	N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)-1,1-二氧化-异噻唑烷	53c
	N-甲基-N-(3-乙酰氧基-5-(4-氯苯基)-5-甲基-2-3(2H)-呋喃酮基)乙磺酰胺	54c

[0437] 实施例 1. 表 1A 中化合物的合成和表征

[0438] 芳基特窗酰亚胺的通常制备过程

[0439] 在三颈玻璃圆底烧瓶中，将氰化钾 (0.91g) 加入溶解于去离子水 (30mL) 中的碳酸

钠 (1.7g) 中，并置于冰浴中。使用真空泵和氮气重复冲洗该系统。随后，将乙二醛 (3.72g) 加入该系统而不引入 O_2，并于溶解下令该反应剂溶解。在有塞试管中，将适当的芳基醛 (7.11mmol) 加入 1,4- 二氧六环 (5mL) 中，冲洗，随后滴加入该系统。随后自冰浴移除该系统，并令其于室温搅拌 1 小时。1 小时后，滴加醋酸 (5mL)，直至加入醋酸时不再观察到气泡，或直至溶液 pH 低于 6。真空过滤该溶液，以冰水 (5mL)、甲醇 (5mL) 和乙醚 (5mL) 洗涤，随后令其空气干燥。粗产物以甲醇重结晶，通过真空过滤收集，以乙醚漂洗，并于真空下干燥。

[0440] 化合物 1c :2-(4- 氯苯基)-4-[[甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0441] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0442] 化合物 2c :2-(4- 氯苯基)-4-[[乙磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0443] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量乙磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0444] 化合物 3c :2-(4- 氯苯基)-4-[[1- 丙基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0445] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量正丙磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次，以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0446] 化合物 4c :2-(4- 氯苯基)-4-[[2- 丙基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0447] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量异丙磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0448] 化合物 5c :2-(4- 氯苯基)-4-[[1- 丁基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0449] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量正丁磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵。以 30mL 乙醚萃取该混合物 3 次，以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0450] 化合物 6c :2-(4- 氯苯基)-4-[[1- 丙基 -2- 甲基 - 磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0451] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋

喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量异丁磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0452] 化合物 7c：2-(4- 氯苯基)-4-[[苯基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0453] 在氩气氛下，将溶解于 50mL 干燥 THF 中的 5g 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋喃 -3- 酮与 4.7g K_2CO_3 和 4.25mL 苯磺酰氯一起搅拌 16 小时。过滤该反应，滤液以 24mL 1N HCl 酸化，以 20mL 乙醚萃取 5 次。以盐水洗涤合并的乙醚萃取液，以 Na_2SO_4 干燥。过滤之后，将 100mL 已烷加入该溶液，得到沉淀，使用真空过滤收集该沉淀，以 MeOH 重结晶。产率为 17%。mp 190-195℃；FTIR 3099、1630；^{1}H NMR(300MHz, DMSO-d_6, ppm) δ 8.54(s, 2H), 7.93(d, J = 8.1Hz, 2H), 7.74(t, J = 7.2Hz, 1H), 7.57(t, J = 8.1Hz, 2H), 7.48(d, J = 8.7Hz, 2H), 7.18(d, J = 8.4Hz, 2H), 5.54(s, 1H)。^{13}C NMR(75MHz, DMSO-d_6, ppm) δ 181.2、173.4、135.2、135.0、134.2、133.9、129.7、129.2、129.1、129.0、106.6、82.9。元素分析：计算值：C 52.54、H 3.31、N 3.83、Cl 9.69，实测值：C 52.50、H 3.33、N 3.79、Cl 9.84，$C_{16}H_{12}ClNO_5S$；HPLC 保留时间：32.2min。

[0454] 化合物 8a：2-(2- 氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0455] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2- 氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0456] 化合物 8b：2-(3- 氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0457] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3- 氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次，以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0458] 化合物 8c：2-(4- 氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0459] 将 2.5g 的 5- 胺基 -4- 羟基 -2-(4- 氯苯基)- 呋喃 -3- 酮在 100mL 干燥 THF 中搅拌。加入 1.31mL 的 TEA，30 分钟后，将 2.11g 的苯基甲磺酰氯加入该反应中。经该反应搅拌 24 小时。过滤该反应，滤液以 24mL 1N HCl 酸化，以 20mL 乙醚萃取 5 次。以盐水洗涤合并的乙醚萃取液，以 Na_2SO_4 干燥。过滤之后，在减压下浓缩该溶液，所得固体自甲醇中重结晶。产率为 27%。FTIR2957、1636。^{1}H NMR(300MHz, DMSO-d_6, ppm) δ 8.79(s, 2H), 7.60-7.49(m, 4H), 7.43-7.35(m, 5H), 5.80(s, 1H), 4.97(d, J = 14.1, 1H), 4.90(d, J = 14.1, 1H)。^{13}C NMR(75MHz, DMSO-d_6, ppm) δ 181.8、173.9、134.3、134.1、131.5、129.3、129.1、129.1、129.0、128.9、107.9、83.1、57.8。元素分析：计算值：C 53.76、H 3.72、N 3.69，实测值：C 53.90、H 3.68、N 3.70，$C_{17}H_{14}ClNO_5S$；HPLC 保留时间：32.2min。

[0460] 化合物 8d：2-(2,3- 二氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0461] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2,3- 二氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0462] 化合物 8e :2-(2,4- 二氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0463] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2,4- 二氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0464] 化合物 8f :2-(2,5- 二氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0465] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2,5- 二氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0466] 化合物 8g :2-(3- 羧甲基苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0467] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3- 羧甲基苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0468] 化合物 8h :2-(3,4- 二氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0469] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3,4- 二氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0470] 化合物 8i :2-(3,5- 二氯苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0471] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3,5- 二氯苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。

该固体以甲醇重结晶。

[0472] 化合物 8j :2-(2- 氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0473] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2- 氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0474] 化合物 8k :2-(3- 氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0475] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3- 氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0476] 化合物 8l :2-(4- 氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0477] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0478] 化合物 8m :2-(2,3- 二氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0479] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2,3- 二氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0480] 化合物 8n :2-(2,4- 二氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0481] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2,4- 二氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0482] 化合物 80o :2-(2,5- 二氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0483] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2,5- 二氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。

该固体以甲醇重结晶。

[0484] 化合物 8p :2-(2,6- 二氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0485] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(2,6- 二氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0486] 化合物 8q :2-(3,4- 二氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0487] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3,4- 二氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0488] 化合物 8r :2-(3,5- 二氟苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0489] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3,5- 二氟苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0490] 化合物 8av :2-(4- 三氟甲基苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0491] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4- 三氟甲基苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0492] 化合物 8ay :2-(4- 腈苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0493] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(4-nitrile 苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥,过滤,并在减压下浓缩。该固体以甲醇重结晶。

[0494] 化合物 8az :2-(3- 腈苯基)-4-[[苯基甲基磺酰基] 氧]-5- 胺基 -3(2H)- 呋喃酮

[0495] 在氩气氛下,将干 THF 中的三乙胺加入 5g 5- 胺基 -4- 羟基 -2-(3-nitrile 苯基)- 呋喃 -3- 酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟,并滴加溶解于干 THF 中的 1 当量苯基甲磺酰氯。将该反应搅拌 16 小时,再加入饱和氯化铵溶液。以 30mL 乙醚萃

取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0496] 化合物 17c：2-(4-氯苯基)-4-[[4-氟苯基甲基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

[0497] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量 4-氟苯基甲磺酰氯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0498] 化合物 35c：2-(4-氯苯基)-4-[[苯氧基羰基]氧]-5-胺基-3(2H)-呋喃酮

[0499] 在氩气氛下，将干 THF 中的三乙胺加入 5g 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮的干 THF 溶液中。将该反应于室温搅拌 30 分钟，并滴加溶解于干 THF 中的 1 当量氯甲酸苯酯。将该反应搅拌 16 小时，再加入饱和氯化铵溶液。以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0500] 化合物 37c：2-(4-氯苯基)-4-[[苯基胺基硫代羰基]氧]-5-胺基-3(2H)-呋喃酮

[0501] 于搅拌下，将异硫氰酸苯酯加入 5g 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮及碳酸钠 (1.7g) 的去离子水 (30mL) 溶液中。将该反应于室温搅拌 24 小时。加入饱和氯化铵溶液，以 30mL 乙醚萃取该混合物 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥，过滤，并在减压下浓缩。该固体以甲醇重结晶。

[0502] 实施例 2. 表 2 中化合物的合成和表征

[0503] 通用过程

[0504] 在三颈玻璃圆底烧瓶中，将氰化钾 (0.91g) 加入溶解于去离子水 (30mL) 中的碳酸钠 (1.7g) 中，并置于冰浴中。使用真空泵和氮气重复冲洗该系统。随后，将乙二醛 (3.72g) 加入该系统而不引入 O_2，并于溶解下令该反应剂溶解。在有塞试管中，将适当的芳基醛 (7.11mmol) 加入 1,4-二氧六环 (5mL) 中，冲洗，随后滴加入该系统。随后自冰浴移除该系统，并令其于室温搅拌 1 小时。1 小时后，滴加醋酸 (5mL)，直至加入醋酸时不再观察到气泡，或直至溶液 pH 低于 6。真空过滤该溶液，以冰水 (5mL)、甲醇 (5mL) 和乙醚 (5mL) 洗涤，随后令其空气干燥。粗产物以甲醇重结晶，通过真空过滤收集，以乙醚漂洗，并于真空下干燥。

[0505] 实施例 1c. 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮

[0506] 产率为 70 %。mp 221-2 ℃；FTIR 3079、1638；^{1}H NMR(300MHz, DMSO-d_6, ppm) δ 7.82(s, 2H), 7.46(d, J = 8.7Hz, 2H), 7.30(s, 1H), 7.29(d, J = 8.7Hz, 2H), 5.43(s, 1H)。^{13}C NMR(75MHz, DMSO-d_6, ppm) δ 182.6、173.1、135.7、133.2、128.9、128.6、111.7、82.2。HRMS 计算值：248.00849，实测值：248.00852，$MNa^+ = C_{10}H_8NO_3ClNa+$；元素分析：计算值：C 53.23、H 3.57、N 6.21、Cl 15.71，实测值：C 53.35、H 3.61、N 6.24、Cl 15.83，$C_{10}H_8ClNO_3$；HPLC 保留时间：16.7min。

[0507] 实施例 1j. 5-胺基-4-羟基-2-(2-氟苯基)-呋喃-3-酮

[0508] 产率为 84 %。mp 160-3 ℃；FTIR 3079、1638；^{1}H NMR(DMSOd_6) 5.60(1H, s),

7.22-7.78(4H,m);HRMS 计算值:210.05610,实测值:210.05609,MH^+= $C_{10}H_9FNO_3^+$;元素分析:计算值:C 57.42、H 3.85、N 6.70、F 9.08,实测值:C 57.50、H 3.92、N 6.61、F 8.99,$C_{10}H_8FNO_3$;HPLC 保留时间:11.42min。

[0509] 实施例 1k.5-胺基-4-羟基-2-(3-氟苯基)-呋喃-3-酮

[0510] 产率为 60 %。mp 168 ℃;FTIR 3356,3129;^{1}H NMR(DMSOd_6)5.44(1H,s),7.05-7.27(4H,m);HRMS 计算值:210.05610,实测值:210.05609,MH^+= $C_{10}H_9FNO_3^+$;元素分析:计算值:C 57.42、H 3.85、N 6.70、F 9.08,实测值:C 57.41、H 3.87、N 6.61、F 8.97,$C_{10}H_8FNO_3$;HPLC 保留时间:12.63min。

[0511] 实施例 11.5-胺基-4-羟基-2-(4-氟苯基)-呋喃-3-酮

[0512] 产率为 68 %。mp 160 ℃;FTIR 3351、3138;^{1}H NMR(DMSOd_6)5.41(1H,s),7.22-7.78(4H,m);HRMS 计算值:210.05610,实测值:210.05609,MH^+= $C_{10}H_9FNO_3^+$;元素分析:计算值:C 57.42,H 3.85,N 6.70,F 9.08,实测值:C 57.42,H 3.97,N 6.66,F 8.90,$C_{10}H_8FNO_3$;HPLC 保留时间:11.88min。

[0513] 实施例 1m.5-胺基-4-羟基-2-(2,3-二氟苯基)-呋喃-3-酮

[0514] 产率为 76 %。mp 193 ℃;FTIR 3391、3277、1539;^{1}H NMR(DMSOd_6)5.68(1H,s),7.05-7.85(3H,m);HRMS 计算值:228.04668,实测值:228.04669,MH^+= $C_{10}H_8F_2NO_3^+$;元素分析:计算值:C 52.87,H 3.11,N 6.17,F 16.73,实测值:C 53.10,H 3.11,N 6.17,F 16.57,$C_{10}H_7F_2NO_3$;HPLC 保留时间:13.02min。

[0515] 实施例 1n.5-胺基-4-羟基-2-(2,4-二氟苯基)-呋喃-3-酮

[0516] 产率为 67 %。mp 182-3 ℃;FTIR 3252、1608;^{1}H NMR(DMSOd_6)5.59(1H,s),7.13-7.79(3H,m);HRMS 计算值:228.04668,实测值:228.04671,MH^+= $C_{10}H_8F_2NO_3^+$;元素分析:计算值:C 52.87、H 3.11、N 6.17、F 16.73,实测值:C52.84、H 3.00、N 6.16、F 16.59,$C_{10}H_7F_2NO_3$;HPLC 保留时间:12.63min。

[0517] 实施例 1o.5-胺基-4-羟基-2-(2,5-二氟苯基)-呋喃-3-酮

[0518] 产率为 80 %。mp 196 ℃;FTIR 3391、3267;^{1}H NMR(DMSOd_6)5.61(1H,s),7.03-7.84(3H,m);HRMS 计算值:228.04668,实测值:228.04670,MH^+= $C_{10}H_8F_2NO_3^+$;元素分析:计算值:C 52.87、H 3.11、N 6.17、F 16.73,实测值:C52.79、H 3.11、N 6.15、F 16.57,$C_{10}H_7F_2NO_3$;HPLC 保留时间:12.09min。

[0519] 实施例 1p.5-胺基-4-羟基-2-(2,6-二氟苯基)-呋喃-3-酮

[0520] 产率为 70 %。mp 159-60 ℃;FTIR 3535、3406;^{1}H NMR(DMSOd_6)5.68(1H,s),7.14-7.73(3H,m);HRMS 计算值:228.04668,实测值:228.04670,MH^+= $C_{10}H_8F_2NO_3^+$;元素分析:计算值:C 52.87、H 3.11、N 6.17、F 16.73,实测值:C52.62、H 3.10、N 5.94、F 16.57,$C_{10}H_7F_2NO_3$;HPLC 保留时间:11.30min。

[0521] 实施例 1q.5-胺基-4-羟基-2-(3,4-二氟苯基)-呋喃-3-酮

[0522] 产率为 76 %。mp 190-4 ℃;FTIR 3322、3124;^{1}H NMR(DMSOd_6)5.44(1H,s),7.13-7.85(3H,m);HRMS 计算值:228.04668,实测值:228.04670,MH^+= $C_{10}H_8F_2NO_3^+$;元素分析:计算值:C 52.87、H 3.11、N 6.17、F 16.73,实测值:C53.13、H 3.16、N 6.15、F 16.61,$C_{10}H_7F_2NO_3$;HPLC 保留时间:13.79min。

[0523] 实施例 1r.5-胺基-4-羟基-2-(3,5-二氟苯基)-呋喃-3-酮

[0524] 产率为 58 %。mp 190-1 ℃;FTIR 3346、3143;^{1}H NMR(DMSOd$_6$)5.68(1H,s),7.05-7.85(3H,m);HRMS 计算值:228.04668,实测值:228.04670,MH$^+$= C$_{10}$H$_8$F$_2$NO$_3^+$;元素分析:计算值:C 52.87、H 3.11、N 6.17、F 16.73,实测值:C52.88、H 3.06、N 6.15、F 16.70,C$_{10}$H$_7$F$_2$NO$_3$;HPLC 保留时间:14.00min。

[0525] 实施例 2c.2-(4-氯苯基)-4-(乙酰氧基)-5-胺基-3(2H)-呋喃酮

[0526] 在氮气氛下,将 1g 的 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮在醋酸酐中搅拌 16 小时。将该反应冷却至 -78℃,冻干。干燥所得物质自 MeOH 中重结晶。产率为 44%。mp 221-2 ℃;FTIR 3030、1628;^{1}H NMR(300MHz,DMSO-d$_6$,ppm) δ 8.30(s,2H),7.47(d,J = 8.7Hz,2H),7.35(d,J = 8.7Hz,2H),5.63(s,1H),2.14(s,3H)。^{13}C NMR(75MHz,DMSO-d$_6$,ppm) δ 182.4、173.0、168.9、134.8、133.8、129.1、129.1、106.9、83.2、20.7。元素分析:计算值:C 53.85、H 3.77、N 5.23,实测值:C 53.76、H 3.90、N 5.24,C$_{12}$H$_{10}$ClNO$_4$;HPLC 保留时间:19.7min。

[0527] 实施例 3c.2-(4-氯苯基)-4-[[苯基磺酰基]氧]-5-胺基-3(2H)-呋喃酮

[0528] 在氮气氛下,将 5g 的 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮在 50mL 干燥 THF 中与 4.7g K$_2$CO$_3$和 4.25mL 苯磺酰氯一起搅拌 16 小时。过滤该反应,滤液以 24mL1N HCl 酸化,以 20mL 乙醚萃取 5 次。以盐水洗涤合并的乙醚萃取液,以 Na$_2$SO$_4$干燥。过滤之后,将 100mL 已烷加入该溶液中,得到沉淀,使用真空过滤收集所得沉淀,并以 MeOH 重结晶。产率为 17%。mp 190-195℃;FTIR 3034、1630;^{1}H NMR(300MHz,DMSO-d$_6$,ppm) δ 8.54(s,2H),7.93(d,J = 8.1Hz,2H),7.74(t,J = 7.2Hz,1H),7.57(t,J = 8.1Hz,2H),7.48(d,J = 8.7Hz,2H),7.18(d,J = 8.4Hz,2H),5.54(s,1H)。^{13}C NMR(75MHz,DMSO-d$_6$,ppm) δ 181.2、173.4、135.2、135.0、134.2、133.9、129.7、129.2、129.1、129.0、106.6、82.9。元素分析:计算值:C 52.54、H 3.31、N 3.83、CI 9.69,实测值:C 52.50、H 3.33、N 3.79、Cl 9.84,C$_{16}$H$_{12}$ClNO$_5$S;HPLC 保留时间:32.2min。

[0529] 实施例 4c.N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)甲磺酰胺

[0530] 在氮气氛下,将 5g 的 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮在 50mL 干燥 THF 中与 4.6g K$_2$CO$_3$和 1.5mL 甲磺酰氯一起搅拌 16 小时。过滤该反应,滤液以 24mL1N HCl 酸化,以 20mL 乙醚萃取 5 次。以盐水洗涤合并的乙醚萃取液,以 Na$_2$SO$_4$干燥。过滤之后,将 100mL 已烷加入该溶液中,得到沉淀,使用真空过滤收集所得沉淀,并以 MeOH 重结晶。产物通过柱色谱进一步纯化。产率为 18%。mp 175℃;FTIR 3169、1616;^{1}H NMR(300MHz,DMSO-d$_6$,ppm) δ 8.41(s,1H),8.09(s,1H),7.96(d,J = 8.4Hz,2H),7.85(s,1H),7.59(d,J = 8.4Hz,2H),3.55(s,3H)。^{13}C NMR(75MHz,DMSO-d$_6$,ppm) δ 185.7、165.1、140.7、136.9、135.9、133.5、130.0、129.7、40.7. 元素分析:计算值:C 43.50、H 3.32、Cl 11.67、N 4.61,实测值:C 43.66、H 3.40、Cl 11.54、N 4.55,C$_{11}$H$_{10}$ClNO$_5$S;HPLC 保留时间:25.2min。

[0531] 实施例 5c.N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙磺酰胺

[0532] 在氮气氛下,将 5g 的 5-胺基-4-羟基-2-(4-氯苯基)-呋喃-3-酮在 50mL 干燥 THF 中与 4.6g K$_2$CO$_3$和 2.1mL 乙磺酰氯一起搅拌 16 小时。过滤该反应,滤液以 24mL1N HCl 酸化,以 20mL 乙醚萃取 5 次。以盐水洗涤合并的乙醚萃取液,以 Na$_2$SO$_4$干燥。过滤之后,将 100mL 已烷加入该溶液中,得到沉淀,使用真空过滤收集所得沉淀,并以乙酸乙酯重结晶。产

率为21%。mp 183-185℃;FTIR 3181、1616;^{1}H NMR(300MHz,DMSO-d_6,ppm) δ 8.41(s,1H),8.08(s,1H),7.95(d,J = 9.3Hz,2H),7.85(s,1H),7.59(d,J = 9.0Hz,2H),3.68(q,J = 7.2Hz,2H),1.40(t,J = 6.9Hz,3H)。^{13}C NMR(75MHz,DMSO-d_6,ppm) δ 185.8、165.1、140.7、136.8、136.1、133.5、130.0、129.7、48.0、8.6。元素分析:计算值:C 45.36、H 3.81、Cl 11.16、N 4.41,实测值:C 45.42、H 3.85、Cl 11.06、N 4.37,$C_{12}H_{12}ClNO_5S$;HPLC保留时间:29.9min。

[0533] 实施例4c.N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)甲磺酰胺

[0534] 在干燥氮气氛下,将5g的5-胺基-4-羟基-2-(4-氟苯基)-呋喃-3-酮在50mL干燥THF中搅拌。将反应在冰浴内冷却,滴加1当量三乙胺。将该反应升至室温,滴加氯三甲基硅烷,以水浴令该反应温和回流30分钟。滴加1当量甲磺酰氯。滴加1当量TEA,以水浴令该反应温和回流1小时。将该反应冷却至室温,加入1当量四丁基氟化铵,搅拌30分钟。加入饱和硫酸铵溶液粹灭反应,以20mL乙醚萃取该反应3次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥醚萃取物,过滤,蒸发以获得固体,该固体自甲醇中重结晶。

[0535] 实施例6c.N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)苯磺酰胺

[0536] 在干燥氮气氛下,将5g的5-胺基-4-羟基-2-(4-氟苯基)-呋喃-3-酮在50mL干燥THF中搅拌。将反应在冰浴内冷却,滴加1当量三乙胺。将该反应升至室温,滴加氯三甲基硅烷,以水浴令该反应温和回流30分钟。滴加1当量苯磺酰氯。滴加1当量TEA,以水浴令该反应温和回流1小时。将该反应冷却至室温,加入1当量四丁基氟化铵,搅拌30分钟。加入饱和硫酸铵溶液粹灭反应,以20mL乙醚萃取该反应3次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥醚萃取物,过滤,蒸发以获得固体,该固体自甲醇中重结晶。

[0537] 实施例7c.N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)乙酰胺

[0538] 在干燥氮气氛下,将5g的5-胺基-4-羟基-2-(4-氟苯基)-呋喃-3-酮在50mL干燥THF中搅拌。将反应在冰浴内冷却,滴加1当量三乙胺。将该反应升至室温,滴加氯三甲基硅烷,以水浴令该反应温和回流30分钟。滴加1当量乙酰氯。滴加1当量TEA,以水浴令该反应温和回流1小时。将该反应冷却至室温,加入1当量四丁基氟化铵,搅拌30分钟。加入饱和硫酸铵溶液粹灭反应,以20mL乙醚萃取该反应3次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥醚萃取物,过滤,蒸发以获得固体,该固体自甲醇中重结晶。

[0539] 实施例9c.N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)苯甲酰胺

[0540] 在干燥氮气氛下,将5g的5-胺基-4-羟基-2-(4-氟苯基)-呋喃-3-酮在50mL干燥THF中搅拌。将反应在冰浴内冷却,滴加1当量三乙胺。将该反应升至室温,滴加氯三甲基硅烷,以水浴令该反应温和回流30分钟。滴加1当量苯甲酰氯。滴加1当量TEA,以水浴令该反应温和回流1小时。将该反应冷却至室温,加入1当量四丁基氟化铵,搅拌30分钟。加入饱和硫酸铵溶液粹灭反应,以20mL乙醚萃取该反应3次。以水和盐水洗涤合并的醚萃取物,以硫酸钠干燥醚萃取物,过滤,蒸发以获得固体,该固体自甲醇中重结晶。

[0541] 实施例12c.N-(3,4-二羟基-5-(4-氯苯基)-2-呋喃基)琥珀酰亚胺

[0542] 将5g的5-胺基-4-羟基-2-(4-氟苯基)-呋喃-3-酮在50mL吡啶中搅拌。加入1当量琥珀酸酐,以水浴令该反应温和回流1小时。加入饱和硫酸铵溶液粹灭反应,以20mL乙醚萃取该反应3次。以饱和碳酸氢盐溶液和盐水洗涤合并的萃取液,以硫酸钠干燥该醚萃取液,过滤,蒸发以获得固体,该固体自甲醇中重结晶。

[0543] 实施例 28c. 5- 胺基 -2-(4- 氯苯基)-2- 甲基 -4- 三甲基硅氧基 -3(2H)- 呋喃酮

[0544] 在干燥氮气氛下，将 5g 的 5- 胺基 -4- 羟基 -2-(4- 氟苯基)- 呋喃 -3- 酮在 50mL 干燥 THF 中搅拌。将反应在冰浴内冷却，滴加 1 当量溶解于 THF/ 己烷中的 LDA。将该反应在 30 分钟内缓慢升至室温，以冰浴冷却。滴加 1 当量氯三甲基硅烷，将该反应缓慢升至室温，搅拌 1 小时。以冰浴冷却反应，滴加 1 当量溶解于 THF/ 己烷中的 LDA，将该反应在 30 分钟内缓慢升至室温。以冰浴冷却反应，缓慢滴加溶解于 THF 中的 1 当量碘甲烷。

[0545] 实施例 29c. 5- 胺基 -2-(4- 氯苯基)-2- 甲基 -4- 羟基 -3(2H)- 呋喃酮

[0546] 室温下，将 5g 的 5- 胺基 -2-(4- 氯苯基)-2- 甲基 -4- 三甲基硅氧基 -3(2H)- 呋喃酮在 THF 中搅拌。加入 1 当量四丁基氟化铵，将该反应搅拌 30 分钟。加入硫酸铵溶液粹灭反应，以 20mL 乙醚萃取该反应 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥该醚萃取液，过滤，蒸发以获得固体，该固体自甲醇中重结晶。

[0547] 实施例 30c. N-(3- 羟基 -5-(4- 氯苯基)-5- 甲基 -2-3(2H)- 呋喃酮基)- 甲磺酰胺

[0548] 在干燥氮气氛下，将 5g 的 5- 胺基 -4- 羟基 -2-(4- 氟苯基)-2- 甲基 - 呋喃 -3- 酮在 50mL 干燥 THF 中搅拌。将反应在冰浴内冷却，滴加 1 当量三乙胺。将该反应升至室温，滴加氯三甲基硅烷，以水浴令该反应温和回流 30 分钟。滴加 1 当量甲磺酰氯。滴加 1 当量 TEA，以水浴令该反应温和回流 1 小时。将该反应冷却至室温，加入 1 当量四丁基氟化铵，搅拌 30 分钟。加入饱和硫酸铵溶液粹灭反应，以 20mL 乙醚萃取该反应 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥醚萃取物，过滤，蒸发以获得固体，该固体自甲醇中重结晶。

[0549] 实施例 31c. N-(3- 羟基 -5-(4- 氯苯基)-5- 甲基 -2-3(2H)- 呋喃酮基)- 乙磺酰胺

[0550] 在干燥氮气氛下，将 5g 的 5- 胺基 -4- 羟基 -2-(4- 氟苯基)-2- 甲基 - 呋喃 -3- 酮在 50mL 干燥 THF 中搅拌。将反应在冰浴内冷却，滴加 1 当量三乙胺。将该反应升至室温，滴加氯三甲基硅烷，以水浴令该反应温和回流 30 分钟。滴加 1 当量乙磺酰氯。滴加 1 当量 TEA，以水浴令该反应温和回流 1 小时。将该反应冷却至室温，加入 1 当量四丁基氟化铵，搅拌 30 分钟。加入饱和硫酸铵溶液粹灭反应，以 20mL 乙醚萃取该反应 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥醚萃取物，过滤，蒸发以获得固体，该固体自甲醇中重结晶。

[0551] 实施例 32c. N-(3- 羟基 -5-(4- 氯苯基)-5- 甲基 -2-3(2H)- 呋喃酮基)- 苯磺酰胺

[0552] 在干燥氮气氛下，将 5g 的 5- 胺基 -4- 羟基 -2-(4- 氟苯基)-2- 甲基 - 呋喃 -3- 酮在 50mL 干燥 THF 中搅拌。将反应在冰浴内冷却，滴加 1 当量三乙胺。将该反应升至室温，滴加氯三甲基硅烷，以水浴令该反应温和回流 30 分钟。滴加 1 当量苯磺酰氯。滴加 1 当量 TEA，以水浴令该反应温和回流 1 小时。将该反应冷却至室温，加入 1 当量四丁基氟化铵，搅拌 30 分钟。加入饱和硫酸铵溶液粹灭反应，以 20mL 乙醚萃取该反应 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥醚萃取物，过滤，蒸发以获得固体，该固体自甲醇中重结晶。

[0553] 实施例 33c. N-(3- 羟基 -5-(4- 氯苯基)-5- 甲基 -2-3(2H)- 呋喃酮基)- 乙酰胺

[0554] 在干燥氮气氛下，将 5g 的 5- 胺基 -4- 羟基 -2-(4- 氟苯基)-2- 甲基 - 呋喃 -3- 酮在 50mL 干燥 THF 中搅拌。将反应在冰浴内冷却，滴加 1 当量三乙胺。将该反应升至室温，滴加氯三甲基硅烷，以水浴令该反应温和回流 30 分钟。滴加 1 当量乙酰氯。滴加 1 当量 TEA，

以水浴令该反应温和回流 1 小时。将该反应冷却至室温，加入 1 当量四丁基氟化铵，搅拌 30 分钟。加入饱和硫酸铵溶液粹灭反应，以 20mL 乙醚萃取该反应 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥醚萃取物，过滤，蒸发以获得固体，该固体自甲醇中重结晶。

[0555] 实施例 35c. N-(3- 羟基 -5-(4- 氯苯基)-5- 甲基 -2-3(2H)- 呋喃酮基)- 苯甲酰胺

[0556] 在干燥氮气氛下，将 5g 的 5- 胺基 -4- 羟基 -2-(4- 氟苯基)-2- 甲基 - 呋喃 -3- 酮在 50mL 干燥 THF 中搅拌。将反应在冰浴内冷却，滴加 1 当量三乙胺。将该反应升至室温，滴加氯三甲基硅烷，以水浴令该反应温和回流 30 分钟。滴加 1 当量苯甲酰氯。滴加 1 当量 TEA，以水浴令该反应温和回流 1 小时。将该反应冷却至室温，加入 1 当量四丁基氟化铵，搅拌 30 分钟。加入饱和硫酸铵溶液粹灭反应，以 20mL 乙醚萃取该反应 3 次。以水和盐水洗涤合并的醚萃取物，以硫酸钠干燥醚萃取物，过滤，蒸发以获得固体，该固体自甲醇中重结晶。

[0557] 实施例 38c. N-(3- 羟基 -5-(4- 氯苯基)-5- 甲基 -2-3(2H)- 呋喃酮基)- 琥珀酰亚胺

[0558] 在干燥氮气氛下，将 5g 的 5- 胺基 -4- 羟基 -2-(4- 氟苯基)-2- 甲基 - 呋喃 -3- 酮在 50mL 干燥 THF 中搅拌。加入 1 当量琥珀酸酐和催化剂氨基硫酸，以水浴令该反应温和回流 1 小时。加入饱和硫酸铵溶液粹灭反应，以 20mL 乙醚萃取该反应 3 次。以饱和碳酸氢盐溶液和盐水洗涤合并的醚萃取物，以硫酸钠干燥醚萃取物，过滤，蒸发以获得固体，该固体自甲醇中重结晶。

[0559] 其它具体实施例

[0560] 本文中提及的专利和科技文献构建了该领域技术人员可获得的知识。本文所引述的全部美国专利和公开或未公开的美国专利申请案以引用形式并入本文。在此，本文所引述的全部已公开外国专利和专利申请案以引用形式并入本文。在此，本文所引述的全部其它出版物、文献、手稿和科技论文以引用形式并入本文。

[0561] 尽管已经具体地参考其优选具体实施例显示并揭示本发明，但该领域技术人员应理解，可就形式及细节作出多种变更，而不悖离权利要求书所涵盖的本发明范畴。

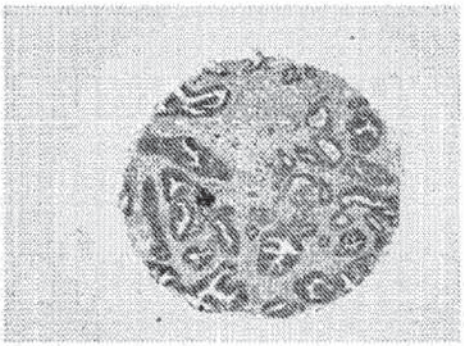


图 1A

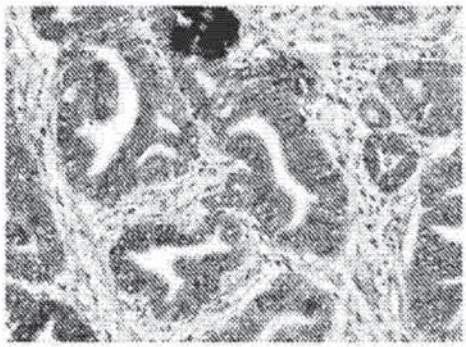


图 1B

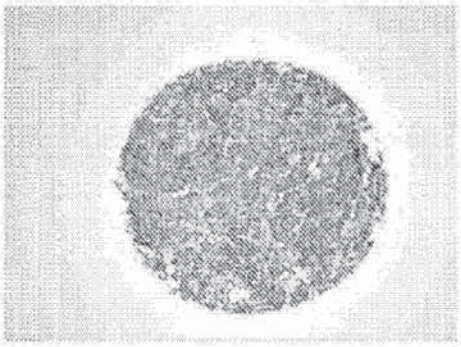


图 1C

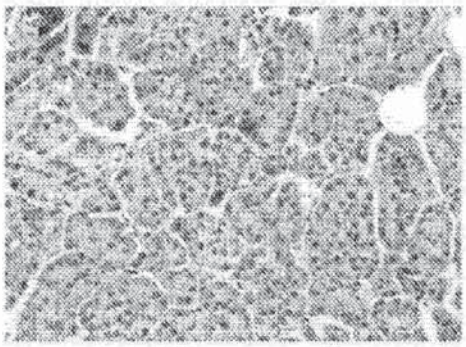


图 1D

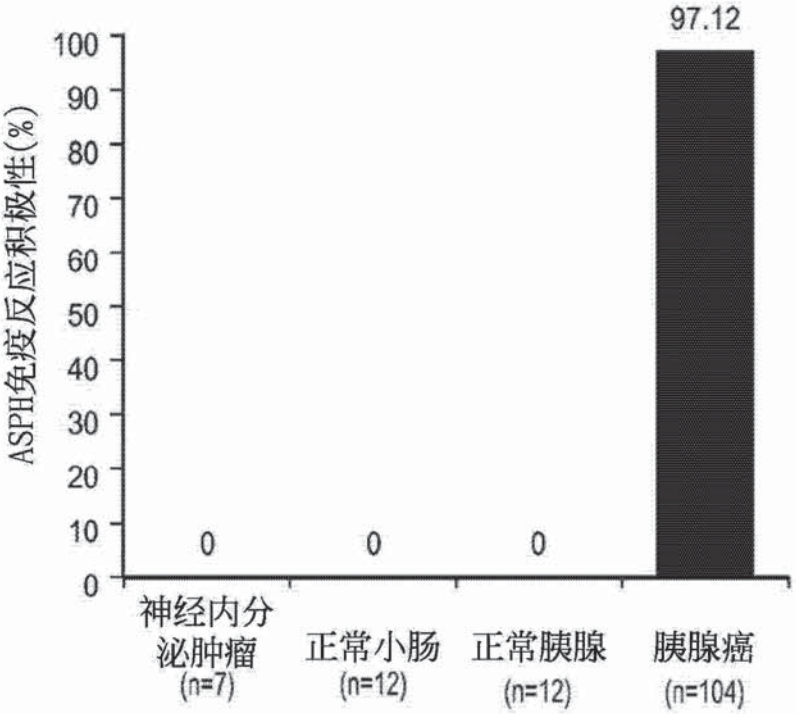

97.12
100
90
80
70
60
50
40
30
20
10
0
ASPH免疫反应积极性(%)
0
0
0
神经内分泌肿瘤 (n=7)
正常小肠 (n=12)
正常胰腺 (n=12)
胰腺癌 (n=104)

图 1E

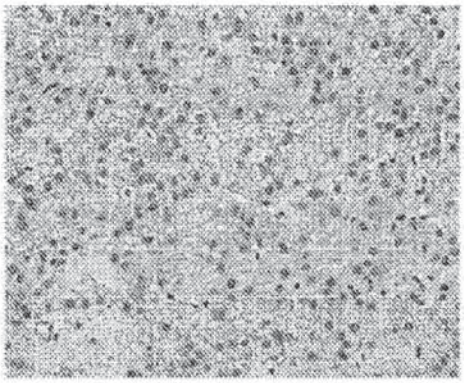


图 2A

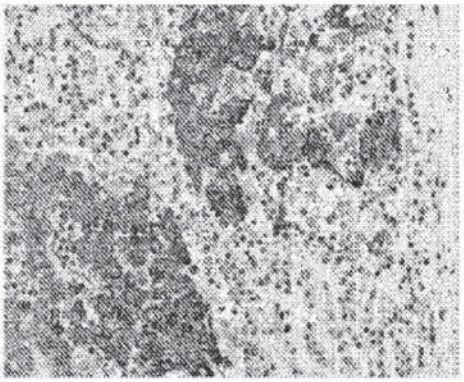


图 2B

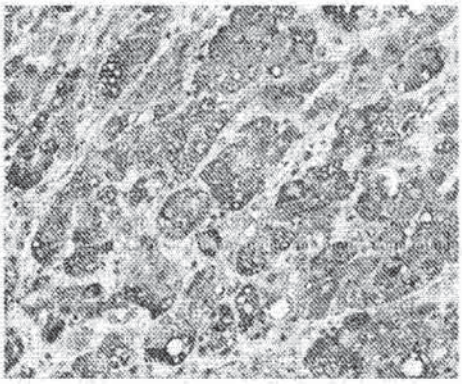


图 2C

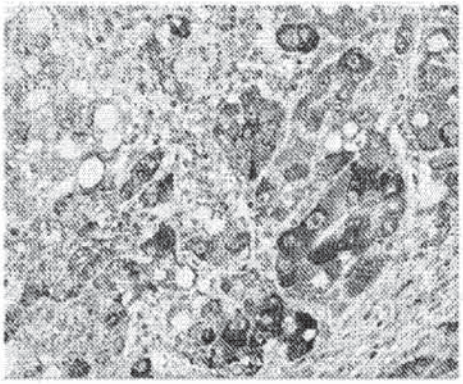


图 2D

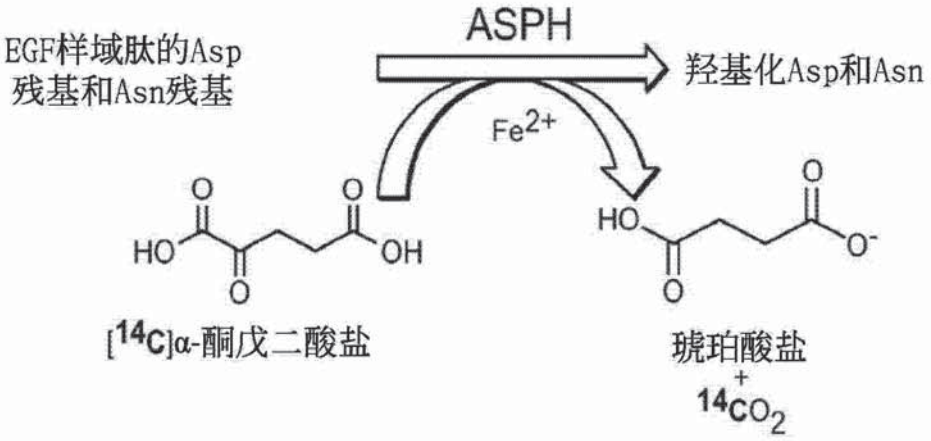

EGF样域肽的Asp
残基和Asn残基
ASPH
羟基化Asp和Asn
Fe2+
HO
OH
O
O
O
HO
O
O-
O
[14C]α-酮戊二酸盐
琥珀酸盐
+
14CO2

图 3A

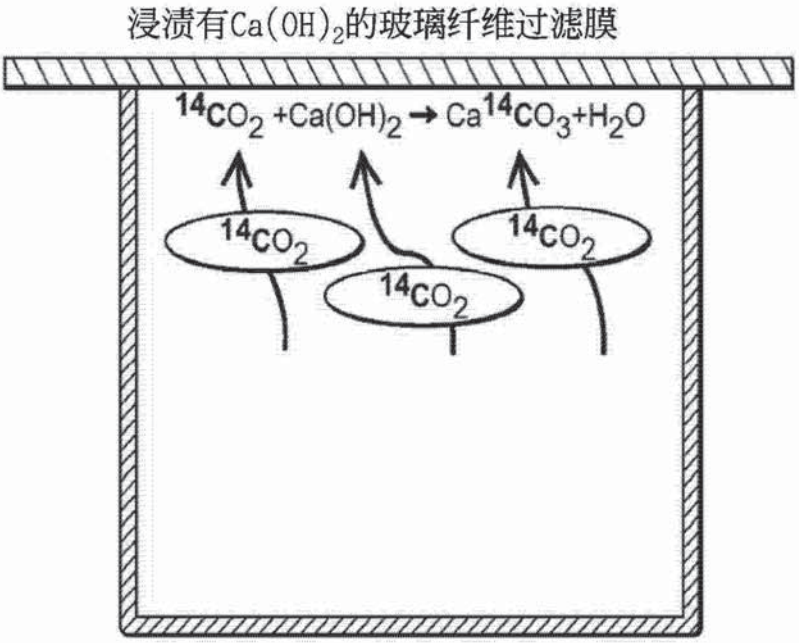

浸渍有Ca(OH)2的玻璃纤维过滤膜
14CO2 +Ca(OH)2 → Ca14CO3+H2O
14CO2
14CO2
14CO2

图 3B

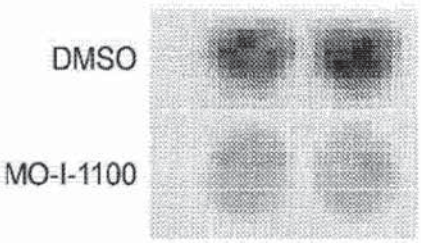

DMSO
MO-I-1100

图 3C

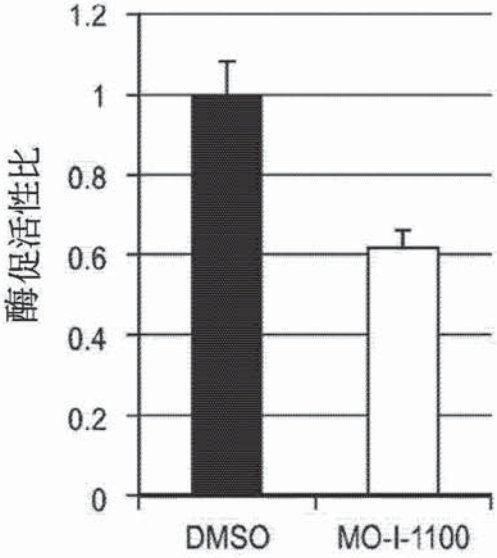

1.2
1
0.8
0.6
0.4
0.2
0
酶促活性比
DMSO
MO-I-1100

图 3D

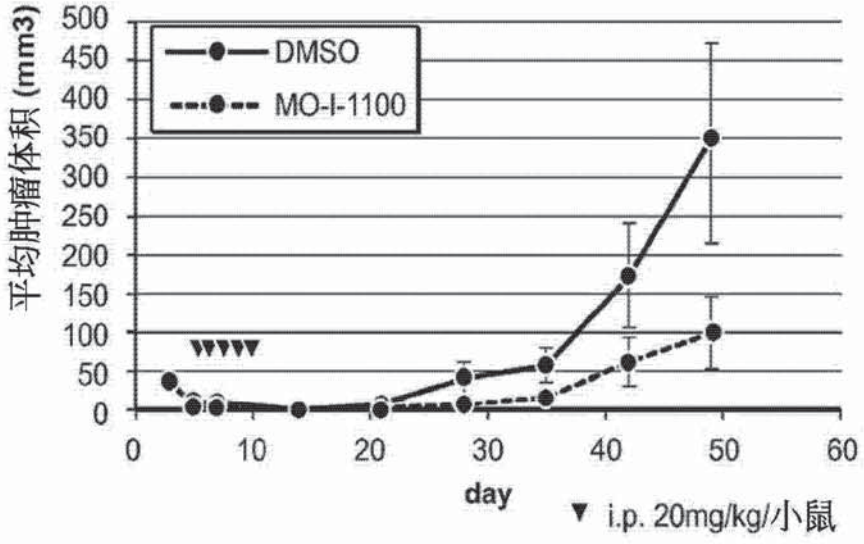

平均肿瘤体积 (mm3)
500
450
400
350
300
250
200
150
100
50
0
DMSO
MO-I-1100
0
10
20
30
40
50
60
day
▼ i.p. 20mg/kg/小鼠

图 4A

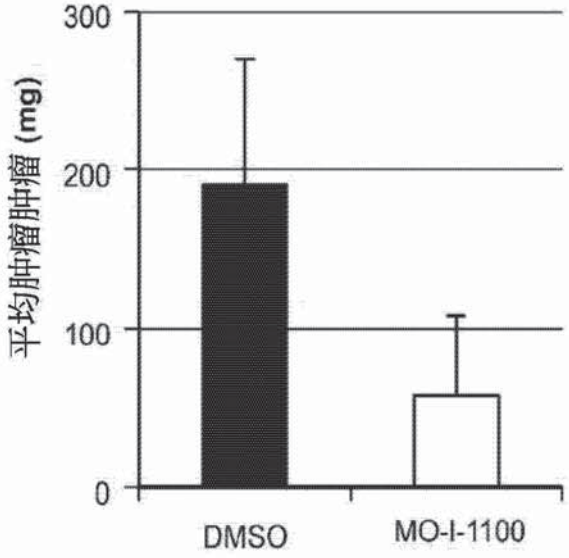

平均肿瘤肿瘤 (mg)
300
200
100
0
DMSO
MO-I-1100

图 4B

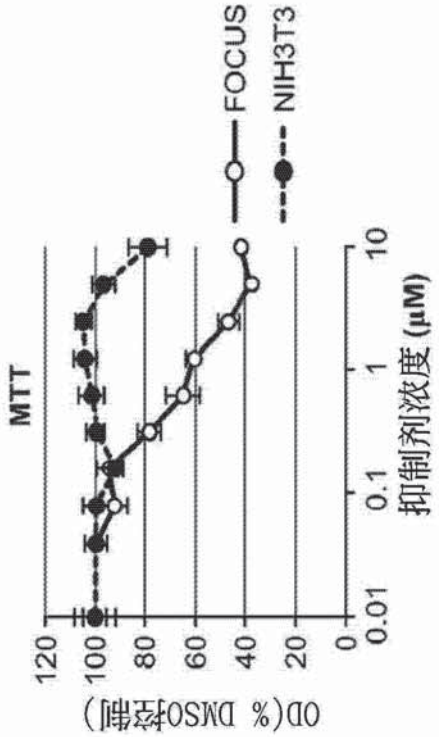

MTT
OD(% DMSO控制)
120
100
80
60
40
20
0
0.01
0.1
1
10
抑制剂浓度 (μM)
FOCUS
NIH3T3

图 5A

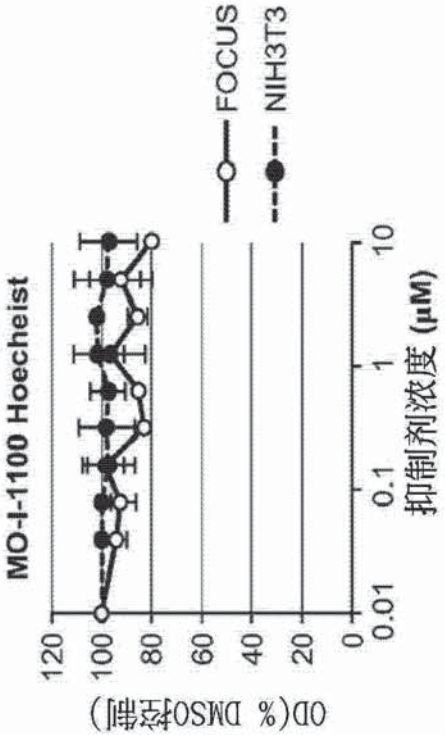

MO-I-1100 Hoecheist
FOCUS
NIH3T3
OD(% DMSO控制)
120
100
80
60
40
20
0
0.01
0.1
1
10
抑制剂浓度 (μM)

图 5B

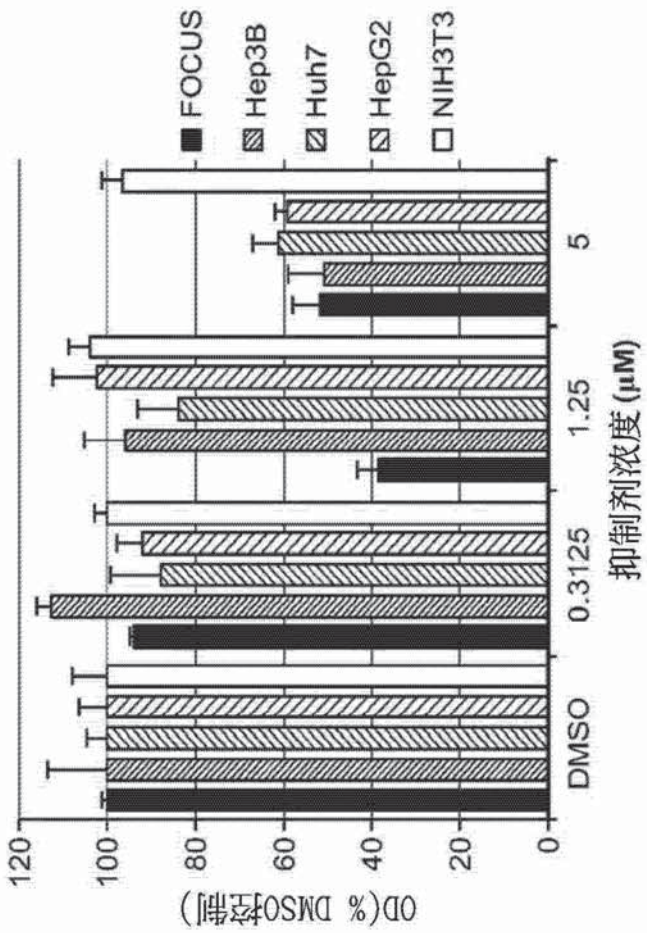

FOCUS
Hep3B
Huh7
HepG2
NIH3T3
OD(% DMSO控制)
120
100
80
60
40
20
0
DMSO
0.3125
1.25
5
抑制剂浓度 (μM)

图 5C

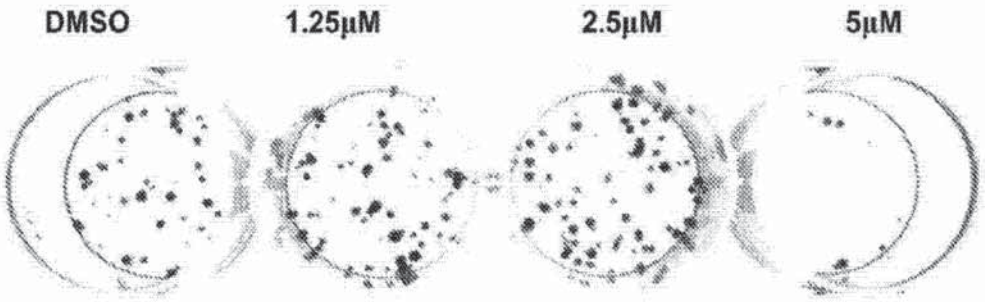

DMSO
1.25μM
2.5μM
5μM

图 6A

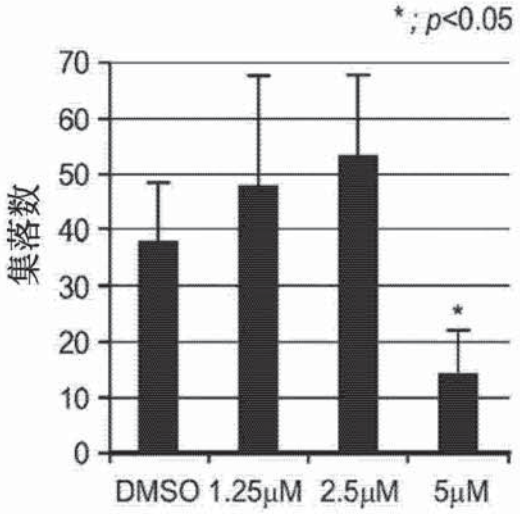


图 6B

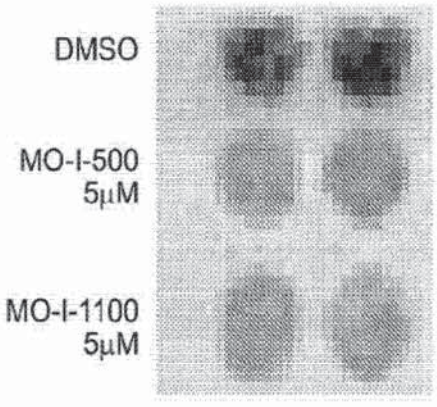


图 7A

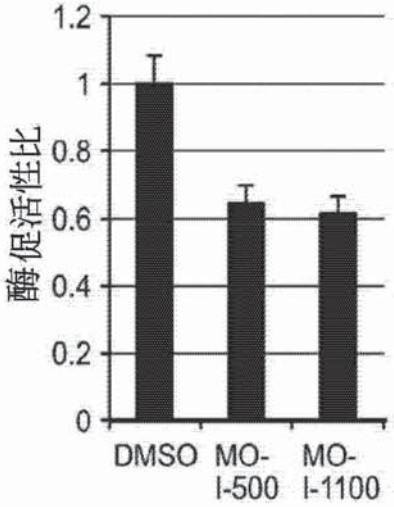


图 7B

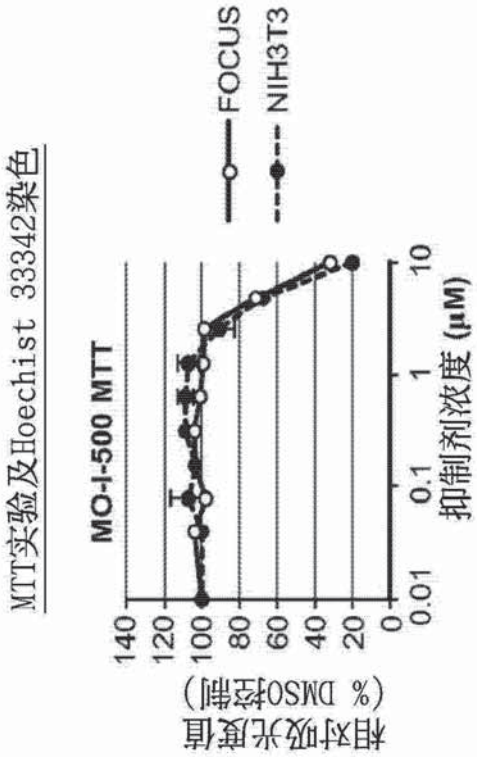


图 8A

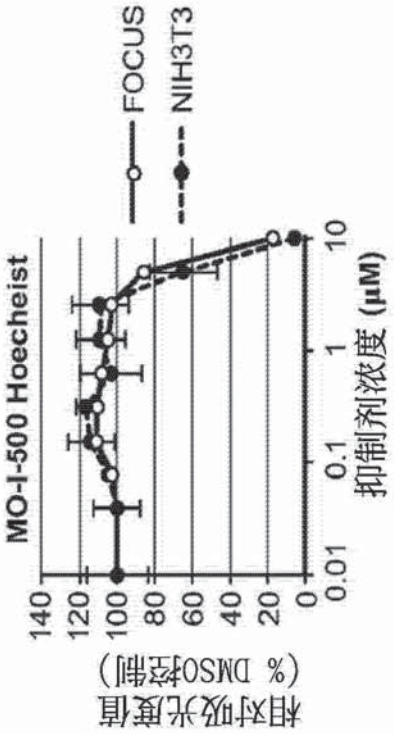


图 8B

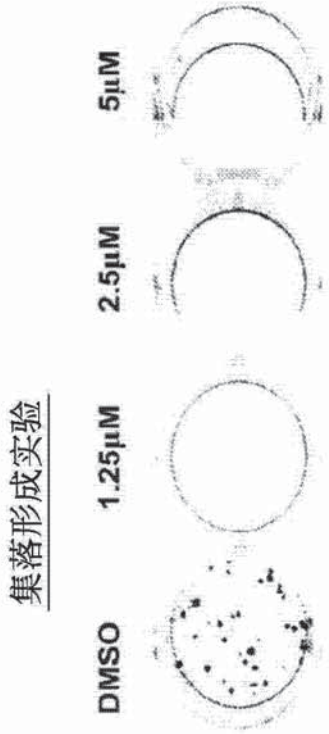


图 8C

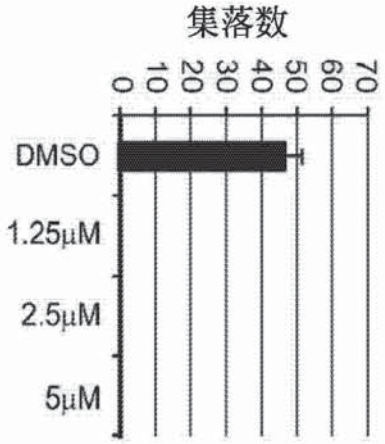


图 8D

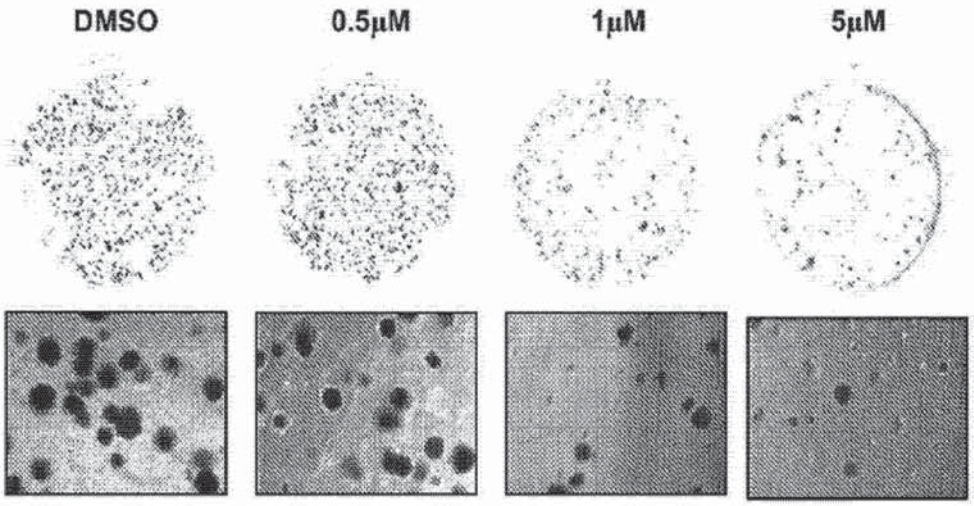


图 9A

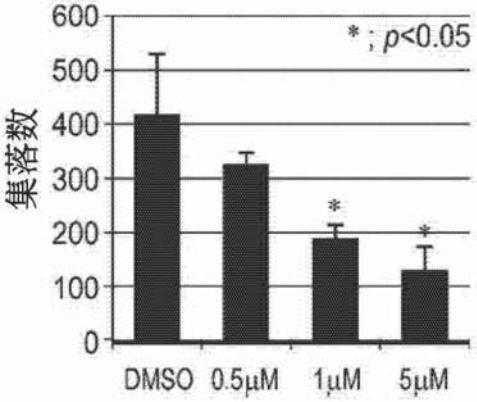

600
500
400
300
200
100
0
集落数
*; p<0.05
*
*
DMSO
0.5μM
1μM
5μM

图 9B

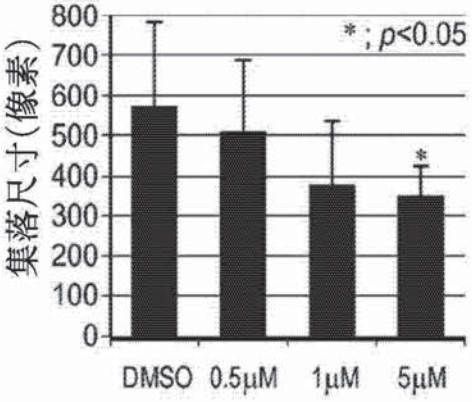

800
700
600
500
400
300
200
100
0
集落尺寸(像素)
*; p<0.05
*
DMSO
0.5μM
1μM
5μM

图 9C

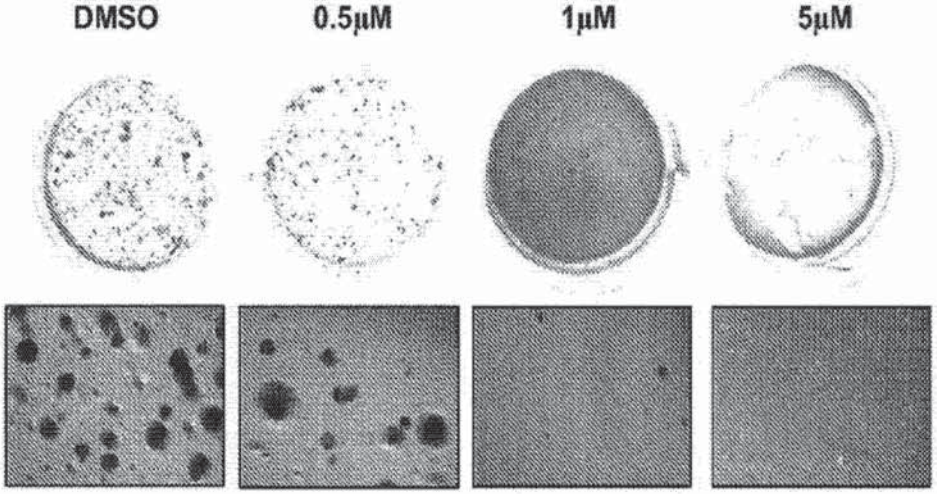

DMSO
0.5μM
1μM
5μM

图 10A

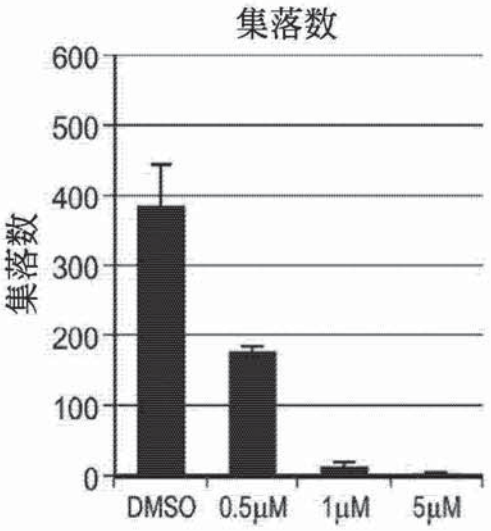


图 10B

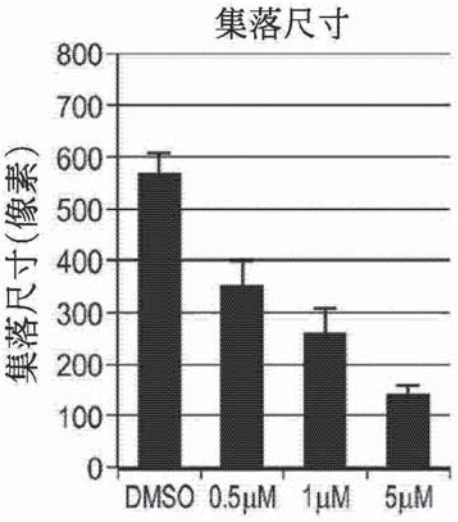


图 10C

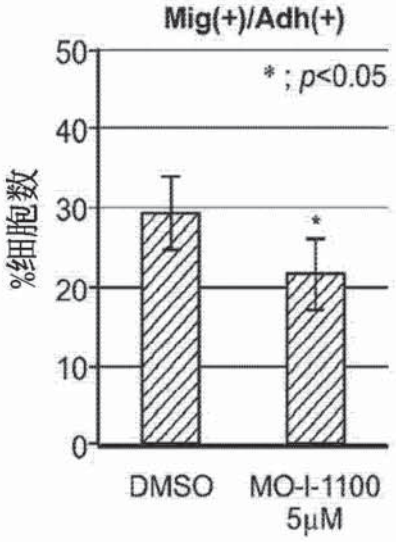


图 11A

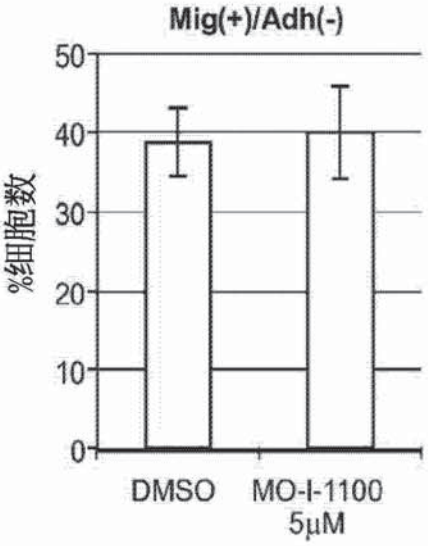


图 11B

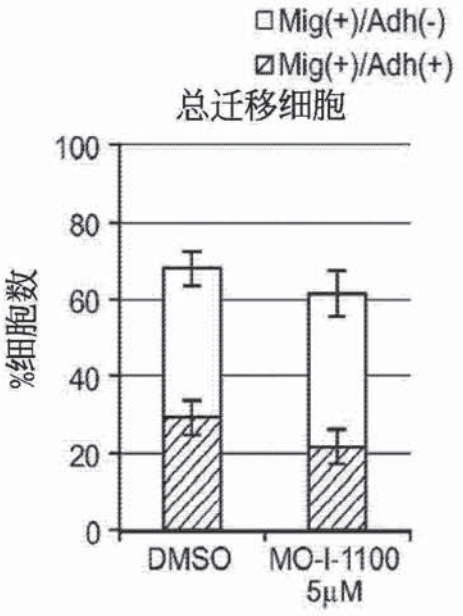


图 11C

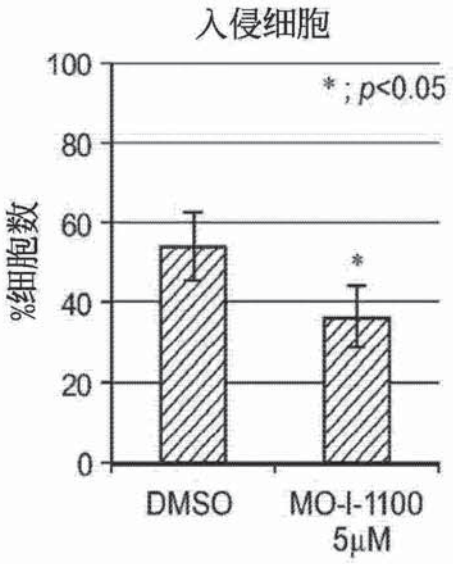


图 11D

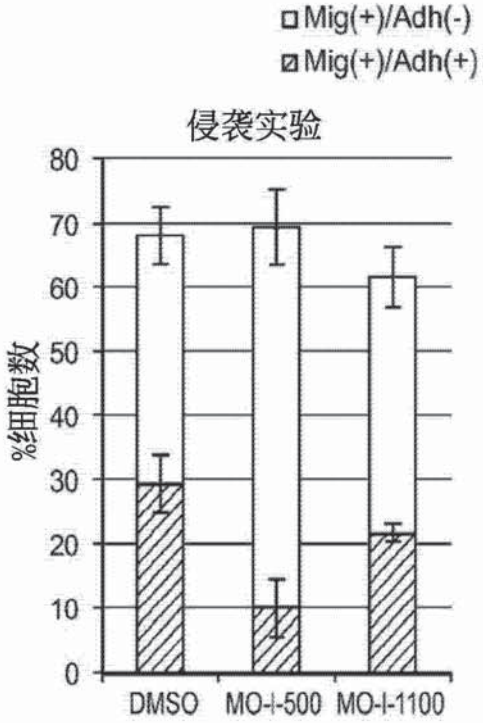


图 12A

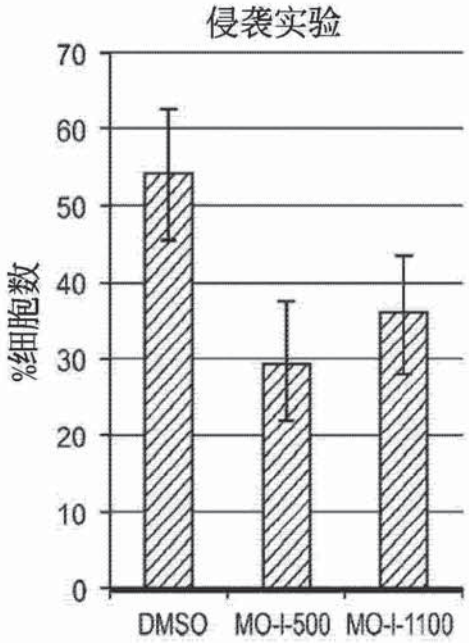


图 12B

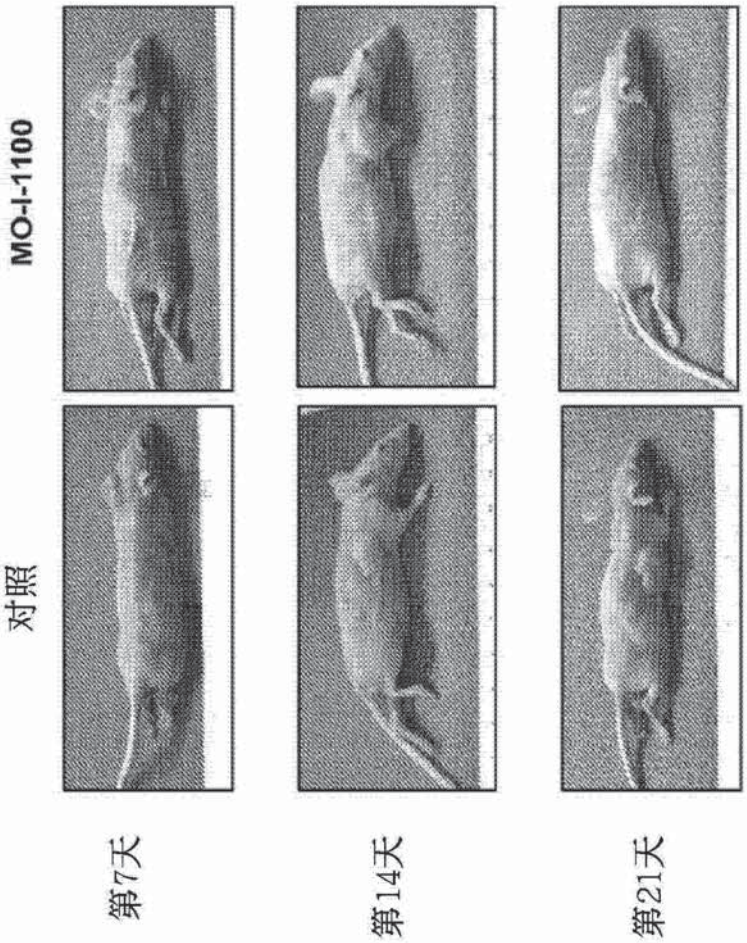


图 13A

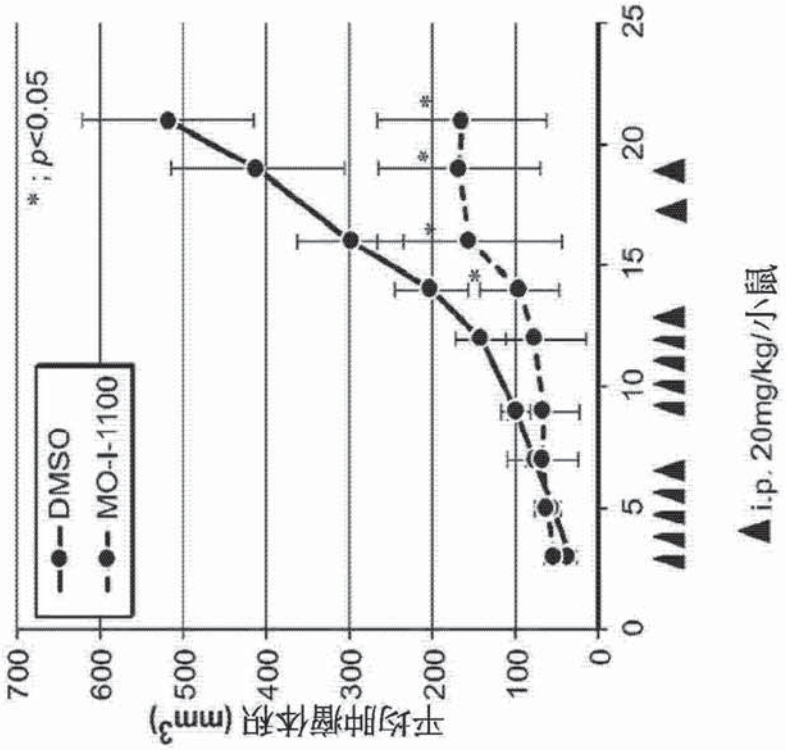

平均肿瘤体积 (mm3)
700
600
500
400
300
200
100
0
0
5
10
15
20
25
DMSO
MO-I-1100
* ; p<0.05
i.p. 20mg/kg/小鼠

图 13B