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54. **TÍTULO** INDAZOLES UTILES EN EL TRATAMIENTO DE ENFERMEDADES PROLIFERATIVAS.

51. **CLASIFICACIÓN INTERNACIONAL** C07D 231/00, A61K 31/416 A61P 9/00

71. **SOLICITANTE** WYETH

DOMICILIO NUEVA JERSEY, ESTADOS UNIDOS.

74. **APODERADO** ALVARO CORREA ORDOÑEZ
T. P. N. 20.281

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Industria y Comercio
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FORMULARIO ÚNICO DE SOLICITUD DE PATENTE
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(1) SOLICITUD DE :

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[X] Capítulo I. — [ ] Capítulo II.

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IDENTIFICACION
C.C. [ ] NIT [ ]
C.E. [ ] Otro [ ]
Cual
Número

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IDENTIFICACION
C.C. [X] NIT [ ]
C.E. [ ] Otro [ ]
Cual
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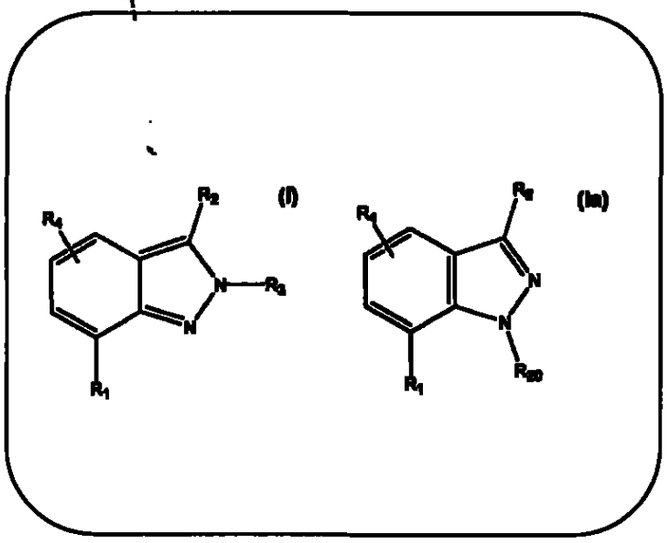
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Instrucciones para el diligenciamiento del presente formulario, ver reverso de esta página

(10) **ANEXOS**

- [X] Comprobante de pago de la tasa de presentación de la solicitud
- [ ] Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica
- [ ] Poderes, si fuere el caso
- [ ] Documento de cesión del inventor al solicitante o a su causante
- [ ] Declaraciones
- [X] Copia de la solicitud internacional. (Resumen, descripción, reivindicaciones, dibujos)
- [X] Traducción de la solicitud internacional al castellano. (Resumen, descripción, reivindicaciones, dibujos)
- [ ] Copia del examen preliminar internacional efectuado por la Autoridad Internacional de Examen preliminar (IPEA)
- [ ] Traducción del examen preliminar internacional efectuado por la IPEA
- [ ] De ser el caso, copia del contrato de acceso
- [ ] De ser el caso, documento que acredite la licencia o autorización de uso de conocimientos tradicionales de las comunidades indígenas
- [ ] De ser el caso, certificado de depósito del material biológico
- [ ] Ar[illegible]al 12 x 12
- [ ] D[illegible]caso, información sobre otras solicitudes de patente o títulos obtenidos en el extranjero por el mismo titular o su c[illegible]ionadas parcial o totalmente con la invención de esta solicitud
- [ ] De ser el caso, modificaciones efectuadas a la solicitud internacional.
- [X] Otros: Extractos: Reporte de busqueda Internacional' Opinion escrita y Notificación de presentación de documento de prioridad

(11) **FIGURA CARATERISTICA**



(12) Solicito la concesión de la patente,

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C.C. T.P. 20.261

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331

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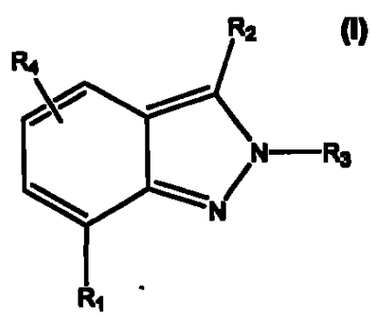
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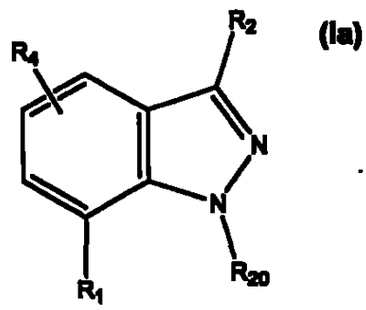
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(54) Title: INDAZOLES USEFUL IN TREATING CARDIOVASCULAR DISEASES



(I)



(Ia)

(57) Abstract: This invention provides compounds of Formula (I) or (Ia): that are useful in the treatment or inhibition of LXR mediated diseases.

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INDAZOLES USEFUL IN TREATING CARDIOVASCULAR DISEASES

This invention relates to indazoles useful in treating cardiovascular diseases, to processes for preparing them, pharmaceutical compositions containing them and methods of treatment using them.

BACKGROUND OF THE INVENTION

This invention provides indazoles that are useful in the treatment or inhibition of LXR (Liver X receptor) mediated diseases.

Atherosclerosis, a complex disease of lipid disorder and inflammation, is the leading cause of death in developed countries. A number of independent risk factors have been identified and the most notable are high levels of serum LDL cholesterol and low HDL cholesterol. Although the most effective therapies such as statins have been shown to lower LDL cholesterol significantly (20-60%), still most patients experience adverse coronary events. Also, statins have their own undesirable side effect profile (myotoxicity), which prevents many patients from taking them. Therefore, additional therapeutic strategies to not only decrease LDL cholesterol, but also to increase HDL cholesterol, are critically needed. The important reason to increase HDL cholesterol is to increase cholesterol transport from peripheral tissues to liver for metabolism and excretion. This function of transporting cholesterol from periphery to liver is called reverse cholesterol transport and HDL plays a major role in this pathway. In addition, HDL has been suggested to inhibit the oxidation of LDL cholesterol, reduce the inflammatory response of endothelial cells, inhibit the coagulation pathway and promote the availability of nitric oxide. The key transporter involved in HDL production and reverse cholesterol transport is ABCA1. Therefore, upregulation of ABCA1 results in increased reverse cholesterol transport as well as inhibition of cholesterol absorption in the gut.

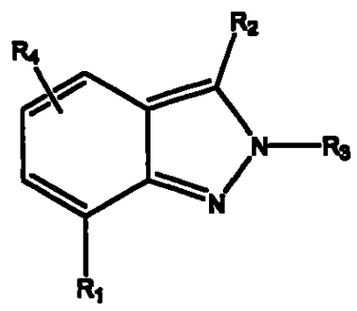
LXRs, originally identified from liver as orphan receptors, are members of the nuclear hormone receptor super family and are involved in the regulation of cholesterol and lipid metabolism. They are ligand-activated transcription factors and bind to DNA as obligate heterodimers with retinoid X receptors. While LXRα is restricted to certain tissues such as liver, kidney, adipose, intestine and macrophages, LXRβ displays a ubiquitous tissue distribution pattern. Activation of

LXRs by oxysterols (endogenous ligands) in macrophages results in the expression of several genes involved in lipid metabolism and reverse cholesterol transport, including ABCA1, ABCG1 and ApoE. Studies have been conducted in LXRα k/o, LXRβ k/o and double k/o mice to determine the physiological role of LXRs in lipid homeostasis and atherosclerosis. The data indicate that in double k/o mice on normal chow diet, increased cholesterol accumulation was observed in macrophages (foam cells) of the spleen, lung and arterial wall. This was associated with reduced serum HDL cholesterol and increased LDL cholesterol despite normal total cholesterol levels. While LXRα k/o mice did not show significant changes in hepatic gene expression, LXRβ k/o mice showed a 58% decrease in hepatic ABCA1 expression and a 208% increase in SREBP1c expression, suggesting that LXRβ may be involved in the regulation of liver SREBP1c expression. Agonists of LXRα or β are very effective in upregulating ABCA1 expression (desirable effect) in macrophages. The biological activities of several agonists have been shown in two atherosclerotic mouse models (ApoE k/o and LDLR k/o). Treatment of these mice with agonists for 12 weeks resulted in significant inhibition of atherosclerotic lesions. While these two compounds had variable effects on serum cholesterol and lipoprotein levels, both compounds caused a significant increase in serum HDL cholesterol and triglyceride levels. These *in vivo* data agree well with the *in vitro* data obtained for the compounds in macrophages.

In addition to the lipid and triglyceride effects described above, a very recent communication in *Nature Medicine* (9: 213-219, 2003) presents convincing data that activation of LXRs results in the inhibition of inflammation and proinflammatory gene expression in three different models of inflammation (LPS-induced sepsis, acute contact dermatitis of the ear and chronic atherosclerotic inflammation of the artery wall). These data suggest that LXR modulators can mediate a two-pronged effect (removal of cholesterol from the macrophages and inhibition of vascular inflammation) resulting in the inhibition of atherosclerotic lesions.

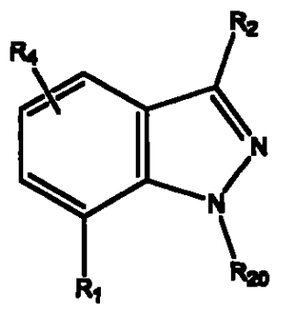
DESCRIPTION OF THE INVENTION

In some embodiments, the present invention provides compounds having the Formula (I) or (Ia):



(I)

or



(Ia)

wherein:

R_1 is C_{1-6} alkyl, CN, CO_2R_5, $C(O)R_5$, C_{2-6} alkenyl, C_{3-6} cycloalkenyl, C_{2-6} alkynyl, NR_6R_6, $C(O)NR_6R_6$, phenyl, thiophene, C_{1-3} alkoxy, halogen, or $S(O)_kR_5$; wherein:

said C_{1-6} alkyl is optionally substituted with from 1 to 7 substituents independently selected from the group consisting of halogen and OH;

k is 0, 1 or 2;

each R_5 and each R_6 is independently H, C_{1-6} alkyl, C_{3-6} cycloalkyl, $S(O)_2$-alkyl or arylalkyl; or

each R_6 and each R_6, together with the nitrogen atom to which they are attached, form independently:

a) a 3 to 7 membered saturated ring that is optionally substituted with C_{1-3} alkyl, CH_2OH, or $C(=O)NH_2$; or

b) a 3 to 7 membered ring containing in its backbone one or two additional heteroatoms that is optionally substituted with up to three substituents independently selected from the group consisting of =O, C_{1-3} alkyl, COC_{1-6} alkyl, and CO_2C_{1-6} alkyl;

provided that when R_1 is $S(O)_kR_5$, then said R_5 of said $S(O)_kR_5$ is not $S(O)_2$-alkyl;

R_2 is C_{3-6} alkyl, C_{3-6} cycloalkyl, C_{2-6} alkenyl, C_{3-6} cycloalkenyl, C_{2-6} alkynyl, NR_7R_8, aryl, arylalkyl, heteroaryl, heteroarylalkyl or heterocycloalkyl, wherein said C_{3-6} alkyl, said C_{3-6} cycloalkyl and said arylalkyl are each optionally substituted with up to

four substituents independently selected from the group consisting of halogen, CN and OR_7, and wherein said heteroaryl is optionally substituted with YD; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{2-3} alkenyl, C_{2-3} alkynyl, C_{1-3} alkoxy, C_{3-6} cycloalkyl, halogen, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_8R_8$, $S(O)_mR_7$, phenyl, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ and YD, providing any OH group present is not in the para position; wherein:

said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms;

m is 0 to 2; and

R_8 and R_8 are as previously defined;

each R_7 and each R_8 is independently H or C_{1-3} alkyl; or

each R_7 and each R_8, together with the N atom to which they are attached, form independently:

a) a 3 to 7 membered saturated ring which is optionally substituted with C_{1-3} alkyl, CO_2R_{14}, $CH_2CO_2R_{14}$, $OCH_2CO_2R_{14}$, $CH_2OCH_2CO_2R_{14}$, $C(O)NR_{14}R_{15}$, CH_2OH, or CH_2CH_2OH; or

b) a 3 to 7 membered ring containing in its backbone one or two additional heteroatoms that is optionally substituted with $CH_2CO_2R_{14}$; wherein R_{14} and R_{15} are each independently H or C_{1-3} alkyl;

Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynylenyl, -O-, CH_2OCH_2, OCH_2, CH_2O, -$N(R_7)$-, -$N(COR_7)$-, $S(O)_j$, -$N(R_7)CH_2$-, -$N(R_7)CONR_8$-, -$N(COR_7)CH_2$-, $S(O)_jCH_2$, -$CH_2N(R_7)CH_2$-, -$CH_2N(COR_7)CH_2$-, -OCH_2O-, -$OC(R_7)(CO_2R_8)$- or -$CH_2S(O)_jCH_2$-; wherein R_7 and R_8 are as previously defined; and j is 0, 1 or 2;

D is tetrahydronaphthalene, tetrahydronaphthalol, tetralone, naphthalene, anthracene, benzyl or phenyl, each of which is optionally substituted with up to five independently selected R groups;

each R is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NH_2, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_8$, and WX; wherein said C_{1-6} alkyl and said C_{1-3}

alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j is 0, 1 or 2; or

D is a heterocycloalkyl, heterocycloalkylalkyl, heteroarylalkyl, heteroaryl or arylalkyl group, each of which is optionally subetituted with up to four independently selected R_8 groups;

each R_8 is independently selected from the group consisting of C_{1-8} alkyl, phenyl, benzyl, C_{3-8} cycloalkyl C_{7-11} arylalkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NO_2, NH_2, OH, =O, C_{2-8} alkenyl, C_{2-4} alkynyl, $S(O)_jR_9$ and WX; wherein said C_{1-8} alkyl, said C_{2-8} alkenyl, said C_{2-4} alkynyl and said C_{1-3} alkoxy are each optionally subetituted with from 1 to 7 fluorine atoms; and

j is 0, 1 or 2;

W is a bond, $-CH_2-$, $-CH_2CH_2-$, $-NR_7-$, $-Q-N(R_7)-$, $-CHR_8-$, $-(CHR_8)_2-$, $-CHR_8-$, $-CR_8R_{10}-$, -CO-, -O-, $-OCH_2-$, $-OCHR_8-$, or $-OCR_8R_{10}-$; wherein R_7 and R_8 are as previously defined; and Q is C_{1-8} alkylenyl;

each R_9 and each R_{10} is independently C_{1-3} alkyl or OH; or

any R_9 and R_{10}, together with the atom to which they are attached, can form a 3 to 7 membered saturated ring that optionally contains one O, N or S atom;

X is CO_2R_{11}, COR_{11}, $C(R_{11})_2OH$, CO_2R_8, $C(O)NR_8R_8$, NR_8R_8, $QNR_8CO_2R_8$, OH, CH_2OH, CN, $SO_2NR_8R_8$, $P(O)(OR_8)(OR_8)$, cycloalkylalkyl, aryl, arylalkyl, heterocycloalkyl or heteroaryl, wherein:

said aryl, said arylalkyl, said heterocycloalkyl and said heteroaryl are independently each optionally substituted with up to three substituents selected from the group consisting of C_{1-3} alkyl, C_{1-3} alkoxy, , halogen, H, OH, NO_2 and benzyl that is optionally substituted with up to five halogen atoms; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy

are each optionally substituted with from 1 to 7 fluorine atoms;

Q is C_{1-6} alkylenyl;

R_{11} is H or C_{1-6} alkyl; and

R_5 and R_6 are as previously defined;

R_3 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkenyl, phenyl, or ZA; wherein:

said phenyl is optionally substituted with C_{1-3} alkyl;

Z is CH_2, CH_2CH_2, or CH_2O;

A is biphenyl, benzyl, naphthyl, pyridyl, 8-quinolyl, C_{3-6} cycloalkyl or phenyl; wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups; wherein

each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, CN, phenyl, pyrrol-1-yl, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$ and $S(O)_nR_{12}$; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; n is 0, 1 or 2; and R_{12} and R_{13} are each independently H or C_{1-3} alkyl;

R_{20} is H or C_{1-3} alkyl; and

R_4 is H, halogen, methyl or methoxy;

provided that when the compound has the structure (Ia), then R_2 is phenyl or heteroaryl, each of which is substituted by YD, wherein YD is as previously defined; or a pharmaceutically acceptable salt thereof, which are useful in the treatment of LXRmediated diseases.

In particular, the compounds of this invention are useful in the treatment and inhibition of atherosclerosis and atherosclerotic lesions, lowering LDL cholesterol levels, increasing HDL cholesterol levels, increasing reverse cholesterol transport, inhibiting cholesterol absorption, treatment or inhibition of Alzheimer's disease, type I diabetes, type II diabetes, multiple sclerosis, rheumatoid arthritis, acute coronary syndrome, restenosis, inflammatory bowel disease (IBD), Crohn's disease, endometriosis, celiac, and thyroiditis.

The present compounds also are useful for the treatment of TH-1 mediated diseases, particularly in mammals. Accordingly, in some embodiments, the present invention provides methods of treating a Th1-mediated disease in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound as described herein. Non-limiting examples of Th1-mediated diseases to which the methods of the invention are amenable include multiple sclerosis, rheumatoid arthritis, autoimmune thyroid disease, inflammatory bowel disease, Crohn's disease and atherosclerosis.

The present compounds are further useful for suppression of lymphocyte function or activation in a mammal. Thus, in a further aspect, the invention provides methods for suppressing lymphocyte function or activation in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound as described herein. In some embodiments, the lymphocyte function that is suppressed is lymphokine production.

The present compounds find further use in the suppression of macrophage function or activation in a mammal. Thus, in a further aspect, the invention provides methods for suppressing macrophage function or activation in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound as described herein.

In a further aspect, the present compounds find further use in suppressing cytokine production in a mammal. Accordingly, the present invention further provides methods of suppressing cytokine production in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound as described herein.

Pharmaceutically acceptable salts of the compounds of Formula (I) or (Ia) with an acidic moiety can be formed from organic and inorganic bases. Suitable salts from bases are, for example, metal salts, such as alkali metal or alkaline earth metal salts, for example, sodium, potassium, or magnesium salts; or salts with ammonia or an organic amine, such as morpholine, thiomorpholine, piperidine, pyrrolidine, a mono-, di- or tri-lower alkylamine, for example, ethyl-*tert*-butyl-, diethyl-, diisopropyl-, triethyl-, tributyl- or dimethylpropylamine, or a mono-, di-, or trihydroxy lower alkylamine, for example, mono-, di- or triethanolamine. Furthermore, internal salts may be formed. Similarly, when a compound of the present invention contains a basic moiety, salts

can be formed from organic and inorganic acids. For example, salts can be formed from acetic, propionic, lactic, citric, tartaric, succinic, fumaric, maleic, malonic, mandelic, malic, phthalic, hydrochloric, hydrobromic, phosphoric, nitric, sulfuric, methanesulfonic, napthalenesulfonic, benzenesulfonic, toluenesulfonic, camphorsulfonic, and similarly known pharmaceutically acceptable acids.

Preferred compounds of the invention include compounds of Formula (I) or (Ia) described hereinbefore, wherein:

R_1 is C_{1-6} alkyl, CN, CO_2R_5, NR_5R_6, halogen; wherein said C_{1-6} alkyl is optionally substituted with from 1 to 7 substituents independently selected from the group consisting of halogen and OH;

R_5 and R_6 are as previously defined;

R_2 is as defined above;

R_3 is phenyl optionally substituted with C_{1-3} alkyl; or ZA; wherein:

Z is CH_2;

A is pyridyl or phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups, wherein:

each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, and CN, wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms;

R_{20} is as defined above; and

R_4 is H or halogen; or a pharmaceutically acceptable salt thereof.

Further preferred compounds of the invention include compounds of Formula (I) or (Ia) described hereinbefore, wherein:

R_1 is C_{1-6} alkyl, CN, or halogen; wherein said C_{1-6} alkyl is substituted with from 1 to 7 fluorine atoms;

R_2 is arylalkyl, heteroaryl or heteroarylalkyl, wherein said arylalkyl is optionally substituted with up to four substituents independently selected from the group consisting of halogen, CN and OR_7, and said heteroaryl is optionally substituted with YD; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{1-3} alkoxy, halogen, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_8R_8$, $S(O)_mR_7$, NO_2, $OC(O)R_7$ and YD, providing any OH group present is

not in the para position; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms, and m, R_6, R_8, R_7, and R_9 are as previously defined;

Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynylenyl, -O-, OCH_2, CH_2O, $-NR_7-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_6-$, $-OCH_2O-$ or $-OC(R_7)CO_2R_6-$;

D is benzyl or phenyl each of which is optionally substituted with up to five independently selected R groups;

each R is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_9$, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_9, and WX are as previously defined; or

D is a heterocycloalkyl, heterocycloalkylalkyl, heteroarylalkyl, heteroaryl, or arylalkyl group, each of which is optionally substituted with up to four independently selected R_a groups;

each R_a is independently selected from the group consisting of C_{1-6} alkyl, phenyl, benzyl, C_{3-6} cycloalkyl, C_{7-11} arylalkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NO_2, NH_2, OH, =O, C_{2-6} alkenyl, C_{2-4} alkynyl, $S(O)_jR_9$, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms, and j, R_9, and WX are as previously defined;

R_3 is ZA; wherein:

Z is CH_2;

A is phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups:

each R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, and CN, wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are optionally substituted with from 1 to 7 fluorine atoms;

R_{20} is as previously defined; and

R_4 is H; or a pharmaceutically acceptable salt thereof.

In some embodiments, the ring system formed by R_5, R_6 and the nitrogen atom to which they are attach that includes one or two additional heteroatoms, which optionally can be substituted as previously described herein, is, for example and without limitation, morpholine, pyridine, piperidine, piperidinone, piperazine, imidazolone, imidazole, and other such systems as provided as non-limiting examples in the definitions of heterocycloalkyl and heteroaryl hereinbelow.

In some embodiments, the ring system formed by R_7, R_8 and the nitrogen atom to which they are attach that includes one or two additional heteroatoms is, for example and without limitation, morpholine, pyridine, piperidine, piperidinone, piperazine, and other such systems as provided as non-limiting examples in the definitions of heterocycloalkyl and heteroaryl hereinbelow.

Examples of R_1 are C_{1-8} alkyl, CN, CO_2R_5, NR_5R_6, or halogen; wherein said C_{1-8} alkyl is optionally substituted with from 1 to 7 substituents independently selected from the group consisting of halogen and OH; wherein R_5 and R_6 are as previously defined. Other examples of R_1 include CN, halogen, or C_{1-8} alkyl substituted with from 1 to 7 fluorine atoms, e.g., R_1 is CF_3, F or Cl. Other examples of R_1 are C_{1-8} perhaloalkyl or C_{1-3} perhaloalkoxy. In some embodiments, R_1 is C_{1-3} perfluoroalkyl; C_{1-3} perfluoroalkoxy. In one embodiment, R_1 is CF_3.

Examples of R_2 are C_{3-8} alkyl, C_{3-8} cycloalkyl, aryl, arylalkyl, heteroaryl or heterocycloalkyl, wherein:

said C_{3-8} alkyl, said C_{3-8} cycloalkyl and said arylalkyl are each optionally substituted with up to four substituents independently selected from the group consisting of halogen, CN and OR_7, wherein R_7 is as previously defined; and

said heteroaryl is optionally substituted with YD, wherein Y and D are as previously defined; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-3} alkoxy, C_{3-6} cycloalkyl, halogen, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, phenyl, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ and YD, providing any OH group present is not in the para position; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and m, R_5, R_6, R_7, and R_8, Y and D are as previously defined.

In some embodiments R_2 is arylalkyl, heteroaryl or heteroarylalkyl, wherein said arylalkyl is optionally substituted with up to four substituents independently selected from the group consisting of halogen, CN and OR_7; and said heteroaryl is optionally substituted with YD, wherein Y and D are as previously defined; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{1-3} alkoxy, halogen, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_8R_8$, $S(O)_mR_7$, NO_2, and YD, wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; m, R_8, R_8, R_7, and R_8 are as previously defined;

Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynylenyl, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$ or $-OC(R_7)(CO_2R_8)-$;

D is benzyl or phenyl, each of which is optionally substituted with up to five independently selected R groups;

each R is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, -C(=O)H, $-C(O)-C_{1-3}$ alkyl, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_8$, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_8, and WX are as previously defined; or

D is a heterocycloalkyl, heteroarylalkyl, heteroaryl, or arylalkyl group, each of which is optionally substituted with up to four independently selected R_8 groups;

each R_8 is independently selected from the group consisting of C_{1-6} alkyl, phenyl, benzyl, C_{7-11} arylalkyl, C_{1-3} alkoxy, halogen, -C(=O)H, $-C(O)-C_{1-3}$ alkyl, CH_2OH, CN, NO_2, NH_2, OH, =O, C_{2-6} alkenyl, C_{2-4} alkynyl, $S(O)_jR_8$, and WX; wherein said C_{1-6} alkyl, said C_{2-6} alkenyl, C_{2-4} alkynyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_8, and WX are as previously defined.

In other embodiments, R_2 is phenyl substituted with up to four substituents independently selected from R, wherein R is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-3} alkoxy, C_{3-6} cycloalkyl, halogen, OH, CH_2OH, CN, NR_7R_8-, $N(R_7)C(O)NR_8R_8$, $S(O)_mR_7$, phenyl, NO_2, C_{1-3} perfluoroalkyl, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ and YD,

providing any OH group present is not in the para position; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 5 fluorine atoms; and m, R_5, R_6, R_7, R_8, Y and D are as previously defined; or R_2 is phenyl substituted with an *ortho or meta* OH; *or R_2 is phenyl substituted with a meta* OH.

In yet further embodiments, R_2 is phenyl substituted with YD; e.g., where Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynylenyl, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$ or $-OC(R_7)(CO_2R_8)$. In some embodiments, R_2 is phenyl substituted with C_{1-3} perfluoroalkyl.

D can be, for example, benzyl or phenyl, each of which is optionally subetituted with up to five subetituents independently selected from R, wherein R is C_{1-6} alkyl, C_{1-3} alkoxy, halogen, -C(=O)H, $-C(O)-C_{1-3}$ alkyl, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_9$, or WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_9 and WX are as previously defined.

In some embodiments D is phenyl that is optionally substituted with up to four independently selected R groups; each R is independently selected from the group consisting of C_{1-6} alkyl, -C(=O)H, C_{1-3} acyl, C_{1-3} alkoxy, halogen, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally subetituted with from 1 to 7 fluorine atoms; and WX is as previously defined.

In some embodiments D is a heterocycloalkyl or heteroaryl, each of which is optionally subetituted with up to four independently selected R_6 groups; each R_6 group as defined previously.

In yet further embodiments, R or R_6 is C_{1-3} perfluoroalkyl or C_{1-3} perfluoroalkoxy.

Examples of R_2 are 2,4-dimethoxyphenyl, phenyl, 4-methoxy-2-methylphenyl, 2-*methoxyphenyl*, 4-*methoxyphenyl*, 2-*methylphenyl*, 2,4-*dimethylphenyl*, 1,1'-biphenyl-4-yl, 4-methoxy-3,5-dimethylphenyl, 2-naphthyl, 2-vinylphenyl, 4-methoxy-3-methylphenyl, 3-methylphenyl, 2,3-dimethylphenyl, 3-(trifluoromethyl)phenyl, 4-fluorophenyl, 3-chlorophenyl, 3-methoxyphenyl, benzaldehyde-2-yl, 2-isopropylphenyl, 2-cyclohexylphenyl, 2-benzylphenyl, 2-(1,1,2,2-tetrafluoroethoxy)phenyl, 2-chloro-5-fluorophenyl, 9H-fluoren-2-yl, 4-benzylphenyl, benzaldehyde-3-yl, 3-hydroxyphenyl, butyl, isobutyl, pentyl, cyclopentyl, 2-hydroxyphenyl, 1,3-dioxolan-2-yl, 4'-methoxy-1,1'-biphenyl-4-yl, 1,1'-biphenyl-4-ol,

cyclohexanyl, 4-methoxy-2-methylphenyl, 4-methoxy-2-methylphenyl, 4-bromophenyl, 3-bromophenyl, 3-(benzyloxy)phenyl, 2-hydroxyphenyl, N-cyclohexamino, and 4-phenoxy-phenyl.

Examples of R_3 are phenyl optionally substituted with C_{1-3} alkyl, or ZA, e.g., where Z is CH_2; and where A is pyridyl or phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups, wherein each R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, C_{1-3} perfluoroalkyl, halogen, OH, NO_2, and CN; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms. In one embodiment, R_{18} is C_{1-3} perfluoroalkyl.

In some embodiments, A is phenyl that is optionally substituted with up to five independently selected R_{18} groups, wherein each R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, CN, phenyl, pyrrol-1-yl, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$, and $S(O)_nR_{12}$; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and n, R_{12} and R_{13} are as previously defined. In other such embodiments, R_{18} is C_{1-3} perfluoroalkyl.

In some embodiments, R_3 is ZA; wherein Z is CH_2; and A is phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups, wherein each R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, and CN; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms. In other such embodiments, R_{18} is C_{1-3} perfluoroalkyl.

Examples of R_3 are 2-allyl, propyl, cyclopentyl, isobutyl, cyclohexylmethyl, 2-ethylbutyl, cyclobutyl, benzyl, 3-methoxybenzyl, 2-naphthylmethyl, 4-methylbenzyl, 2-nitrobenzyl, 2-(trifluoromethyl)benzyl, 4-bromobenzyl, 3-(difluoromethoxy)benzyl, 3-(trifluoromethoxy)benzyl, 3,5-difluorobenzyl, 3,5-dimethylbenzyl, quinolinylmethyl, 2-chloro-4-fluorobenzyl, 3-(1H-pyrrol-1-yl)benzyl, 2-bromobenzyl, 2-methylbenzyl, 5-fluoro-2-methylbenzyl, 6-chloro-2-fluoro-3-methylbenzyl, 1,1'-biphenyl-3-ylmethyl, 2-chlorobenzyl, 1-naphthylmethyl, 2,5-dichlorobenzyl, (difluoromethoxy)benzyl, 3-fluorobenzyl, 4-methylbenzyl, 2,4-dimethylbenzyl, mesitylmethyl, 2, 4-dimethylbenzyl, 2-phenylethyl, 2-chloro-6-fluorobenzyl, 4-chloro-2-fluorobenzyl, 4-fluorobenzyl, 4-(methylsulfonyl)benzyl, and phenyl.

Examples of R_4 are H or halogen, e.g., F.

In some embodiments, the compounds of Formula (I) or (Ia) can be used in the manufacture of a medicament for treating or inhibiting atherosclerosis or atherosclerotic lesions, lowering LDL cholesterol levels, increasing HDL cholesterol levels, increasing reverse cholesterol transport, inhibiting cholesterol absorption, treating or inhibiting Alzheimer's disease or dementia, treating or inhibiting type I or type II diabetes, treating or inhibiting acute coronary syndrome or restenosis, treating multiple sclerosis, rheumatoid arthritis, inflammatory bowel disease, Crone's disease, or endometriosis, treating or inhibiting celiac or thyroiditis, treating a Th1-mediated disease, suppressing lymphocyte function or activation, suppressing macrophage function or activation, suppressing cytokine production, or increasing ABCA1 activity by 20% or more while increasing SREBP-1c activity by 25% or less, in a mammal in need thereof.

In some such embodiments, the compounds of Formula (I) or (Ia) can be used in the manufacture of a medicament for treating or inhibiting a Th1-mediated disease, for example, multiple sclerosis, rheumatoid arthritis, autoimmune thyroid disease, inflammatory bowel disease, Crohn's disease or atherosclerosis; or lymphocyte function, e.g., lymphokine production.

As used herein, the term "alkyl" includes both branched and straight-chain saturated aliphatic hydrocarbon groups (e.g., C_1–C_8; C_1–C_6) having the specified number of carbon atoms, e.g., methyl (Me), ethyl (Et), propyl (Pr), isopropyl (i-Pr), isobutyl (i-Bu), secbutyl (s-Bu), tertbutyl (t-Bu), isopentyl, isohexyl and the like. The term "alkyl" further includes both unsubstituted and mono-, di- and tri-substituted hydrocarbon groups, with halogen substitution particularly preferred. The term, "alkylenyl" refers to a divalent linking alkyl group.

The term "cycloalkyl" is intended to have its normal meaning of a cyclic alkyl group, e.g., a mono, bi-, tri-cyclic, fused, bridged or spiro saturated hydrocarbon moiety, e.g., 3-10 carbon atoms. The term "cycloalkyalkyl" is intended to denote a group of formula –alkyl-cycloalkyl, for example, a cyclopentylmethyl or cyclohexylmethyl group, where alkyl and cycloalkyl are as defined herein.

As used herein the term "alkoxy" has its normal meaning of a group of formula –O-alkyl, e.g., where alkyl is as defined herein.

As used herein, the term "alkenyl" is intended to denote alkyl groups that contain at least one double bond, e.g., 2-8 carbon atoms such as 2-7, including for example but not limited to vinyl, allyl, 2-methyl-allyl, 4-but-3-enyl, 4-hex-5-enyl, 3-methyl-but-2-enyl and the like. The term "cycloalkenyl" is intended to denote a cyclic alkyenyl such as cyclohex-2-enyl.

As used herein, the term "alkynyl" is intended to denote alkyl groups that have at least one triple carbon-carbon bond, e.g., 2-8 carbon atoms such as 2-7. Example alkynyl groups include ethynyl, propynyl, and the like. The term "alkynylenyl" refers to a divalent linking alkynyl group.

As used herein, the term "halogen" has its normal meaning of group seven elements, e.g., F, Cl, Br and I.

As used herein the term "aryl" is intended to mean an aromatic hydrocarbon system, e.g., of 6 to 20 ring carbon atoms, e.g., of 1, 2 or 3 rings, for example, phenyl, naphthyl, naphthalene, anthracene, phenanthrenyl, anthracenyl, pyrenyl and the like. Also included within the definition of aryl are aromatic systems containing one or more fused aromatic rings, for example, fluorenyl groups. Included in the definition of aryl are aromatic systems containing one or more fused saturated or partially saturated hydrocarbon rings, for example, 1,2,3,4-tetrahydronaphthalene and indan.

As used herein, the term "arylalkyl" is intended to mean a group of formula –alkyl-aryl, wherein aryl and alkyl have the definitions herein.

As used herein, the term "acyl" has its accustomed meaning as an alkanoyl group, for example a group of formula –C(O)-alkyl, e.g.,-C(O)-C_{1-3} alkyl.

As used herein, the term "heterocycloalkyl" is intended to mean a 5, 6, 7, 8, 9 or 10-membered non-aromatic, preferably but not necessarily, saturated, monocyclic, bicyclic or spirocyclic ring system containing up to three ring hetero atoms selected from O, N and S. Nonlimiting examples of heterocycloalkyl groups include pyrrolidine, piperidine, morpholino, imidazolidine, pyrazolidine, piperazine, pyrazoline, pyrroline, pyran, thiazoline, thiazine, and such moieties that contain spirocyclic ring sytems, for example, 1,2-dioxane groups. Heterocycloalkyl groups also can contain one or more appended alkyl groups. Also included in the definition of heterocycloalkyl are moieties that contain exocyclic heteroatoms, for example, a cycloalkyl ring having a ring carbon attached to an exocyclic O or S atom through a

double bond. Further included in the definition of heterocycloalkyl are moieties having one or more aromatic rings fused (i.e., having a bond in common with) to the nonaromatic heterocyclic ring, for example, phthalimidyl, naphthalimidyl pyromellitic diimidyl, phthalanyl, 2,3-dihydrobenzofuran, and benzo derivatives of saturated heterocycles such as indoline and isoindoline groups.

The term "heteroarylalkyl" is intended to denote a group of formula –alkyl-heteroaryl.

The term "heteroaryl" is intended to denote an aryl (e.g., aromatic) group that has at least one non-carbon (i.e., "hetero") ring atom, for example, one or more ring O, N or S atoms. Nonlimiting examples of heteroaryl groups include radicals derived from furan, imidazole, tetrazole, isothiazole, isoxazole, oxathiazole, oxazole, oxazoline, pyrazole, pyrrole, thiophene, oxazine, pyrazine, pyridazine, pyridine, pyrimidine, thiadizine, thiazine, benzodioxine, benzodioxole, benzofuran, benzothiophene, dibenzothiophene, chromene, cinnoline, indazole, indole, indolene, isoindolene, indolizine, isoindole, isoindoline, isoquinoline, naphthyridine, phthalazine, purine, quinazoline, quinoline, and quinolizine.

At various places in the present specification, substituents of compounds of the invention are disclosed in groups or in ranges. It is specifically intended that the invention include each and every individual subcombination of the members of such groups and ranges. For example, the term "C_{1-6} alkyl" is specifically intended to individually disclose methyl, ethyl, propyl, isopropyl, n-butyl, sec-butyl, isobutyl, etc.

As used in accordance with this invention, the term "providing," with respect to providing a compound or substance covered by this invention, means either directly administering such a compound or substance, or administering a prodrug, derivative, or analog that will form the effective amount of the compound or substance within the body. This invention also covers providing the compounds of this invention to treat the disease states disclosed herein that the compounds are useful for treating.

The compounds of the present invention can contain an asymmetric atom, and some of the compounds can contain one or more asymmetric atoms or centers, which thus, can give rise to optical isomers (enantiomers) and diastereomers. The present invention includes such optical isomers (enantiomers) and diastereomers (geometric isomers); as well as the racemic and resolved, enantiomerically pure R

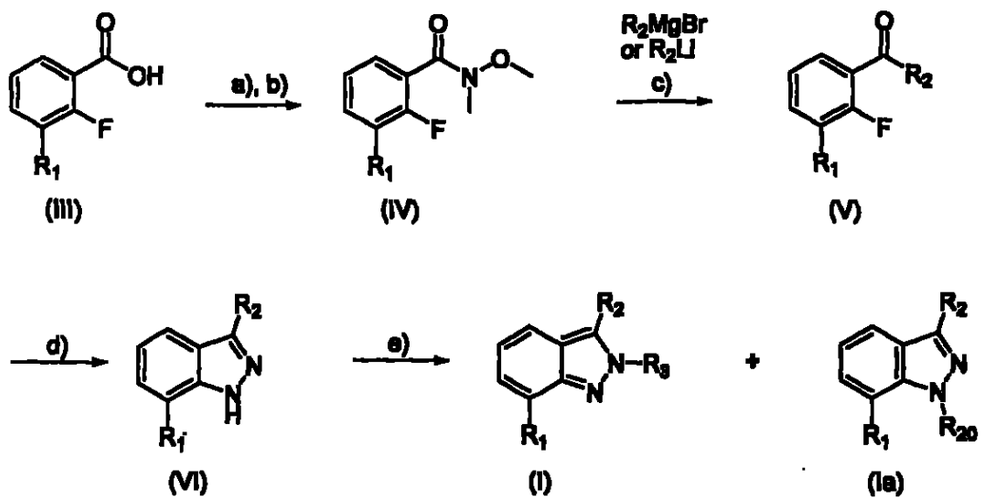
and S stereoisomers; as well as other mixtures of the R and S stereoisomers and pharmaceutically acceptable salts thereof. Optical isomers can be obtained in pure form by standard procedures known to those skilled in the art, and include, but are not limited to, diastereomeric salt formation, kinetic resolution, and asymmetric synthesis. It is also understood that this invention encompasses all possible regioisomers, and mixtures thereof, which can be obtained in pure form by standard separation procedures known to those skilled in the art, and include, but are not limited to, column chromatography, thin-layer chromatography, and high-performance liquid chromatography.

The compounds of Formula (I) or (Ia) can be conveniently prepared by the procedures outlined in the schemes below from commercially available starting materials, compounds known in the literature, or readily prepared intermediates, by employing standard synthetic methods and procedures known to those skilled in the art. Standard synthetic methods and procedures for the preparation of organic molecules and functional group transformations and manipulations can be readily 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. and March, J., *March's Advanced Organic Chemistry: Reactions, Mechanisms, and Structure*, 5th ed., John Wiley & Sons: New York, 2001; and Greene, T. W. and Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 3rd ed., John Wiley & Sons: New York, 1999, are useful and recognized reference textbooks of organic synthesis known to those in the art.

General Schemes for the Preparation of Compounds of Formula (I) or (Ia)

A convenient synthetic route for preparation of the compounds of formula (I) or (Ia) is presented in Scheme 1. According to Scheme 1, a benzoic acid derivative (III) is transformed into a Weinreb-amide (IV) through the corresponding acid chloride. This compound is reacted with substituted aryl magnesium bromides or aryl lithium compounds to afford ketones (V). Condensation of ketones (V) with hydrazine hydrate in pyridine provides substituted 1H-indazoles (VI), which are subsequently alkylated giving the target 2*H*-indazoles (I) and 1*H*-indazole derivatives (Ia). Both derivatives (I) and (Ia) can be further functionalized by the following schemes.

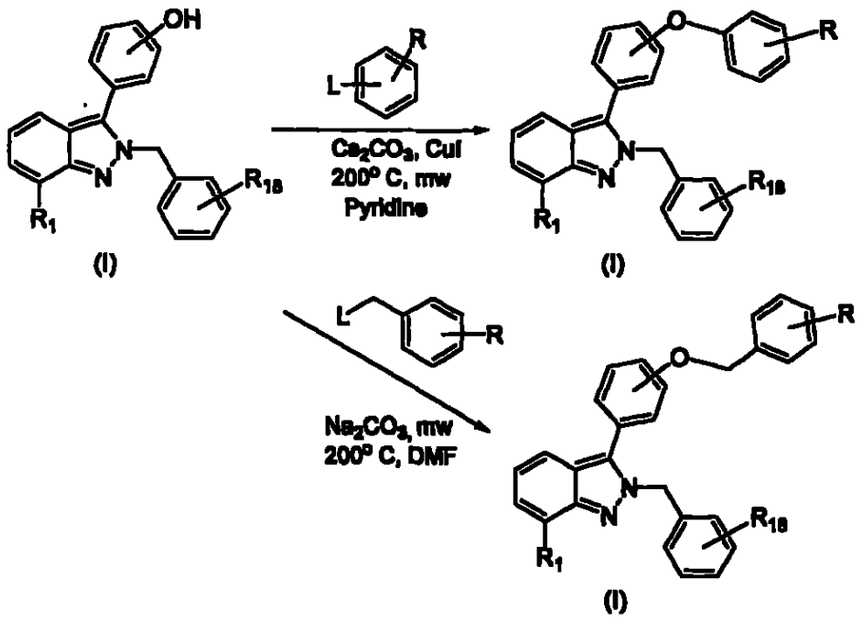
Scheme 1



Scheme 1. Reaction conditions: a) $SOCl_2$ (solv.), reflux; b) HN(OMe)Me·HCl, pyridine, DCM, rt; c) THF, 0°-rt; d) H_2NNH_2 H_2O, DMAP, pyridine, 100°C; e) benzyl or alkyl halide derivative, with or without base such as NaH, DMF, 120°C.

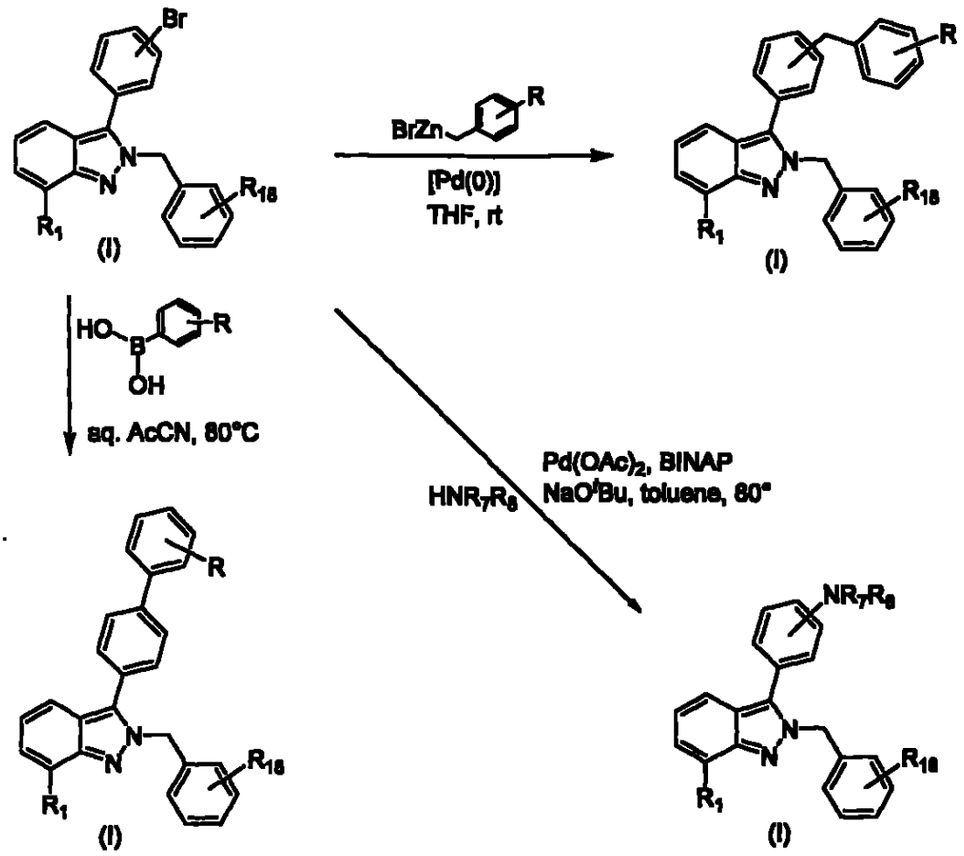
According to Scheme 2, certain compounds of Formula (I) prepared as shown in Scheme 1, containing OH moiety on the phenyl ring attached to the 3-position of the indazole system, are transformed into corresponding biaryl ethers of Formula (I) upon treatment with substituted aryl halides XPhR in the presence of cesium carbonate and CuI at 200° C in microwave. 3-(Hydroxyphenyl) derivatives of Formula (I) also can be benzylated under similar conditions to provide phenol-benzylated compounds of Formula (I).

Scheme 2



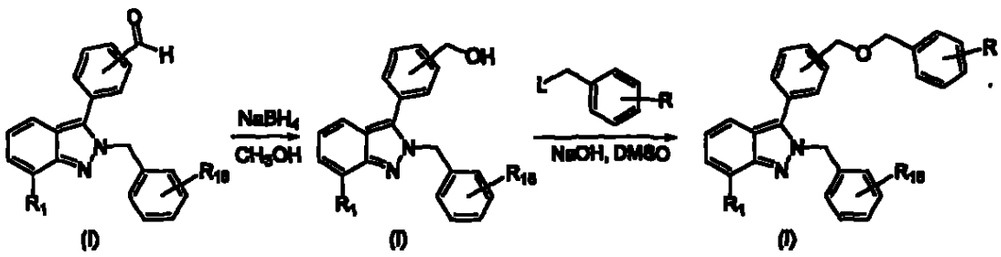
According to Scheme 1, certain compounds of Formula (I), prepared as shown in Scheme 1, may contain halogens on the phenyl ring attached to the 3-postion of the indazole. According to Scheme 3, treatment of such compounds with corresponding organozinc reagents in THF in the presence of a palladium catalyst provides benzyl derivatives of Formula (I). Palladium catalyzed coupling of the halo-compounds of Formula (I) with substituted aryiboronic acids at standard conditions provides biaryl derivatives of Formula (I). These halo-compounds also can be reacted with amines in the presence of base and palladium catalyst in toluene to afford aniline derivatives of Formula (I).

Scheme 3



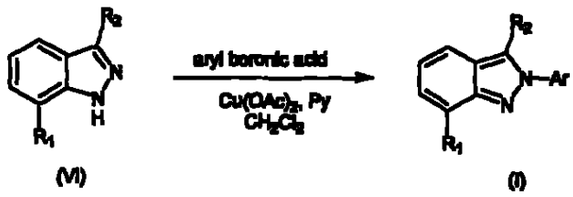
According to Scheme 4 certain compounds of Formula (I) prepared as shown in Scheme 1, containing a formyl moiety on the phenyl ring attached to the 3-postion of the indazole, can be converted to the corresponding benzyl alcohols by a standard $NaBH_4$ reduction procedure and subsequently reacted with substituted benzyl halides in the presence of NaOH to provide dibenzyl ethers of Formula (I).

Scheme 4



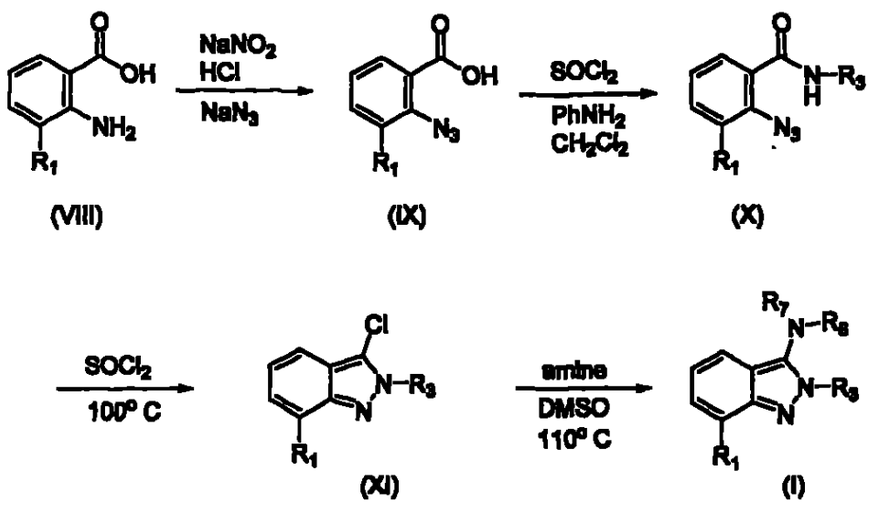
. According to Scheme 5, compounds of formula (VI) can be reacted with an aryl boronic acid in the presence of a copper catalyst and suitable solvent to give compounds of Formula (I) in which the R_3 group is aryl.

Scheme 5



Certain 3-amino-compounds of Formula (I) could be prepared as shown in Scheme 6. According to Scheme 6, substituted *o*-amino-benzoic acids (VIII) are converted to the corresponding *o*-azido-derivatives (IX) *via* standard diazotization procedure. Treatment of these compounds with thionyl chloride provides corresponding acyl chlorides, which are reacted directly with amines to afford amides of formula (X). Amides (X) undergo intramolecular cyclization when heated with thionyl chloride at 100°C providing 3-chloroindazole derivatives of formula (XI). 3-Chloroindazoles (XI) can be reacted with amines when heated in DMSO to provide the desired 3-amino-indazoles of Formula (I).

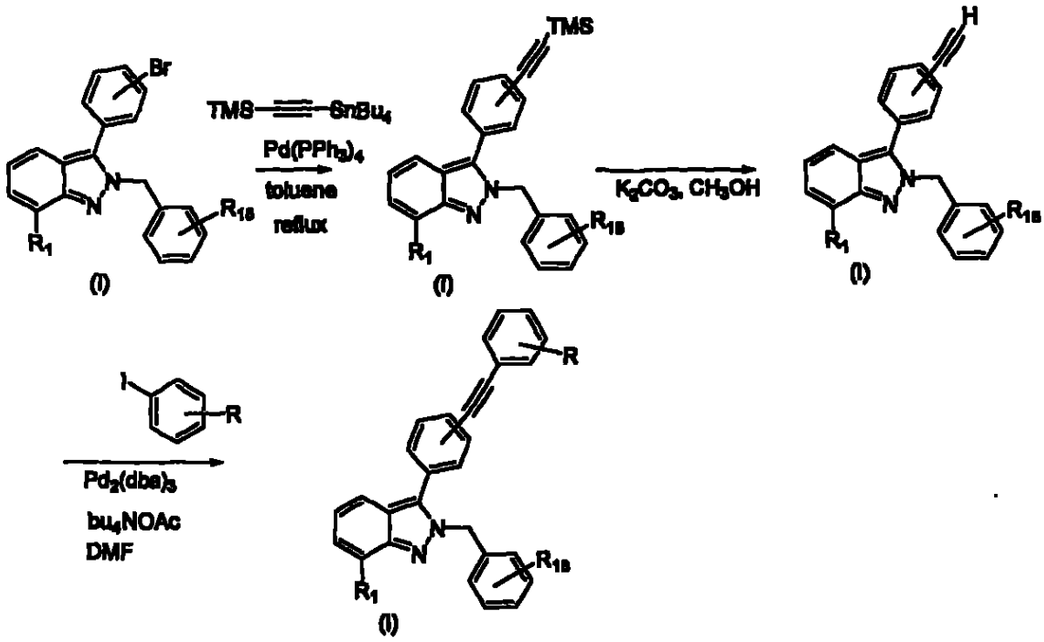
Scheme 6



(VIII) (IX) (X) (XI) (I)

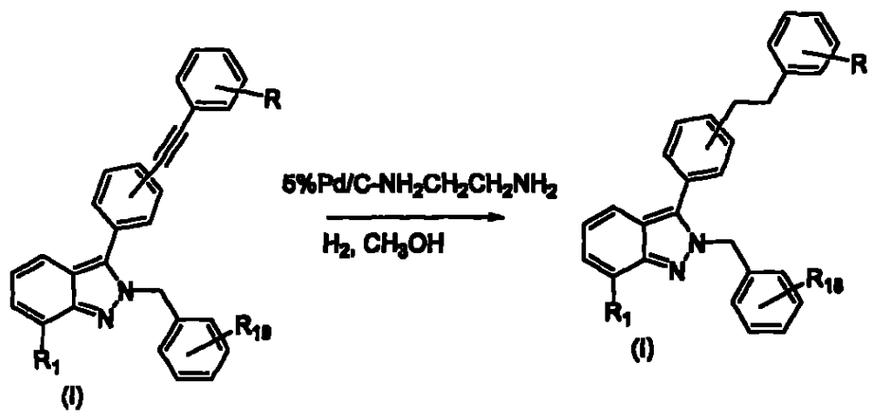
According to Scheme 7, certain compounds of Formula (I) prepared as shown in Scheme 1, containing a bromo moiety on the phenyl ring attached to the 3 position of the indazole, can be converted to the corresponding alkynes by standard Heck coupling with 1-trimethylsilyl-2-tributyltinacetylene in the presence of a palladium(0) catalyst such as palladium-(0)-tetrakis-triphenylphosphine. Subsequent functionalization with aryl iodo compounds via a Sonogashira type coupling, as described by Urganoker, S. and Verkade, J. G., *J Org Chem* 2004, *69*, 5752-5755, or similar procedures as known to those skilled in the art, provide aryl alkynyl analogs of Formula (I).

Scheme 7



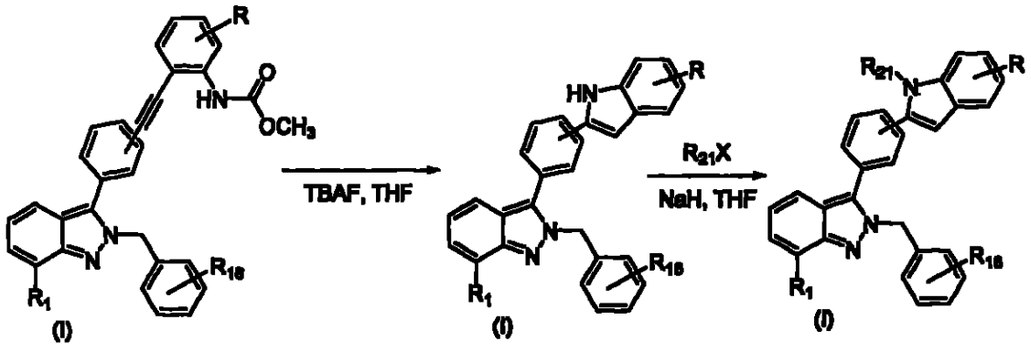
According to Scheme 8, certain compounds of Formula (I) prepared as shown in Scheme 7, containing an alkynylaryl moiety on the phenyl ring attached to the 3 position of the indazole, can be converted to the corresponding saturated analogs by atmoshpheric hydrogenation over ethylenediamine-palladium complex as described by Sajiki et al., *JOC*, 1998, 63, 7990, or by other reductions known to those skilled in the art.

Scheme 8



According to Scheme 9, certain compounds of formula (I) prepared as shown in Scheme 7, containing alkynylaryl moiety on the phenyl ring attached to the 3 position of the indazole, can be converted to the corresponding 2-indolyl analogs when the distal ring contains a carbamoyl moiety such as $NHCOOCH_3$. Treatment of the carbamate with tetrabutylammonium fluoride or other suitable base known to those skilled in the art provides the corresponding 2-indolyl compounds of Formula (I), which can be further alkylated at the indole nitrogen by reaction with an alkyl or arylhalide in the presence of a suitable base such as sodium hydride.

Scheme 9



PHARMACOLOGICAL TEST PRODCEDURES

Ligand-binding assay for human LXRβ.

Ligand-binding to the human LXRβ can be demonstrated for the compounds of Formula (I) or (Ia) by the following procedure.

Materials And Methods:

Assay Buffer: 100mM KCl, 100mM TRIS (pH 7.4 at +4°C), 8.6%glycerol, 0.1mM PMSF*, 2mM MTG*, 0.2% CHAPS

Tracer: ^3H T0901317

(* not used in wash buffer)

Receptor source: *E. coli* extract from cells expressing biotinylated hLXRβ (Extract is made in a similar buffer as above, but with 50mM TRIS.)

Day 1

Washed streptavidin coated flash plates with wash buffer.

Diluted receptor extract to give Bmax ~ 4000 cpm and added to the wells.

Wrapped the plates in aluminum foil and stored them at +4°C overnight.

Day 2

Made a dilution series in DMSO of the test ligands.

Made a 5nM solution of the radioactive tracer in buffer.

Mixed 250µl diluted tracer with 5µl of the test ligand from each concentration of the dilution series.

Washed the receptor-coated flash plates.

Added 200µl per well of the ligand/radiolabel mixture to the receptor-coated flash plates.

Wrapped the plates in aluminum foil and incubated at +4°C overnight.

Day 3

Aspirated wells, and washed the flash plates. Sealed the plate.

Measured the remaining radioactivity in the plate.

The compounds of Formula (I) or (Ia) described herein have activity (IC50 values) in the LXRβ ligand binding assay in the range between 0.001 to 20 uM.

Quantitative analysis of ABCA1 gene regulation in THP-1 cells.

The effect of compounds of Formula (I) or (Ia) on the regulation of the ABCA1 gene can be assessed using the following procedure.

Materials And Methods:

Cell culture.

The THP-1 monocytic cell line (ATCC # TIB-202) was obtained from American Type Culture Collection (Manassas, VA) and cultured in RPMI 1640 medium (Gibco, Carlsbad, CA) containing 10% FBS, 2 mM L-glutamine, and 55 uM beta-Mercaptoethanol (BME). Cells were plated in 96-well format at a density of 7.5

X 10^4 in complete medium containing 50-100 ng/ml phorbal 12,13-dibutyrate (Sigma, St.Louis, MO) for three days to induce differentiation into adherent macrophages. Differentiated THP-1 cells were treated with test compounds or ligands dissolved in DMSO (Sigma, D-8779) in culture medium lacking phorbal ester. Final concentrations of DMSO did not exceed 0.3% of the media volume. Dose response effects were measured in duplicate, in the range of 0.001 to 30 micromolar concentrations and treated cells were incubated for an additional 24 hrs prior to RNA isolation. Unstimulated cells treated with vehicle were included as negative controls on each plate. An LXR agonist reference, TO901317, was dosed at 0.3 uM and served as a positive control.

RNA isolation and quantitation.

Total cellular RNA was isolated from treated cells cultured in 96-well plates using PrepStation 6100 (Applied Biosystems, Foster City, CA), according to the manufacturer's recommendations. RNA was resuspended in ribonuclease-free water and stored at –70°C prior to analysis. RNA concentrations were quantitated with RiboGreen assay, #R-11490 (Molecular Probes, Eugene, OR).

Gene expression analysis.

Gene-specific mRNA quantitation was performed by real-time PCR (RT-PCR) with the Perkin Elmer Corp. chemistry on an ABI Prism 7700 Sequence detection system (Applied Biosystems, Foster City, CA) according to the manufacturer's instructions. Samples (50-100 ng) of total RNA were assayed in duplicate or triplicate in 50 ul reactions using one-step RT-PCR and the standard curve method to estimate specific mRNA concentrations. Sequences of gene-specific primer and probe sets were designed with Primer Express Software (Applied Biosystems, Foster City, CA). The human ABCA1 primer and probe sequences are: forward, CAACATGAATGCCATTTTCCAA, reverse, ATAATCCCCTGAACCCAAGGA, and probe, 6FAM-TAAAGCCATGCCCTCTGCAGGAACA-TAMRA. RT and PCR reactions were performed according to PE Applied Biosystem's protocol for Taqman Gold RT-PCR. Relative levels of ABCA1 mRNA are normalized using GAPDH mRNA or 18S rRNA probe/primer sets purchased commercially (Applied Biosystems, Foster City, CA).

Statistics:

Mean, standard deviation and statistical significance of duplicate evaluations of RNA samples were assessed using ANOVA, one-way analysis of variance, using SAS analysis.

Reagents:

GAPDH Probe and Primers - Taqman GAPDH Control Reagents 402869 or 4310884E

18S Ribosomal RNA – Taqman 18S Control Reagents 4308329

10 Pack Taqman PCR Core Reagent Kit 402930

The compounds of Formula (I) or (Ia) described herein upregulate the transcription of the ABCA1 gene in THP-1cells (EC50 value) in a range between 0.001 to 15 uM with efficacy values in the range of 20 to 250% when compared to the efficacy shown by 0.3 uM of reference standard T0901317.

Based on the results obtained in the standard pharmacological test procedures, the compounds of this invention are useful in treating or inhibiting LXR mediated diseases. In particular, the compounds of this invention are useful in the treatment and inhibition of atherosclerosis and atherosclerotic lesions, lowering LDL cholesterol levels, increasing HDL cholesterol levels, increasing reverse cholesterol transport, inhibiting cholesterol absorption, treatment or inhibition of Alzheimer's disease, type I diabetes, type II diabetes, multiple sclerosis, rheumatoid arthritis, acute coronary syndrome, restenosis, inflammatory bowel disease (IBD), Crohn's disease, endometriosis, celiac, and thyroiditis.

It is understood that the effective dosage of the active compounds of this invention may vary depending upon the particular compound utilized, the mode of administration, the condition being treated and severity thereof, as well as the various physical factors related to the individual being treated. It is projected that compounds of this invention will be administered at an oral daily dosage of from about 0.05 mg to about 30 mg per kilogram of body weight, preferably administered in divided doses two to six times per day, or in a sustained release form, and may be adjusted to provide the optimal therapeutic result.

The compounds of this invention, as a pharmaceutical composition, can be formulated neat or with a pharmaceutical carrier for administration, the proportion of which is determined by the solubility and chemical nature of the compound, chosen route of administration and standard pharmacological practice. The pharmaceutical carrier may be solid or liquid.

A solid carrier can include one or more substances which also may act as a flavoring agent, sweetening agent, lubricant, solubilizer, suspending agent, filler, glidant, compression aid, binder, or tablet-disintegrating agent; it also can be an encapsulating material. In powders, the carrier is a finely divided solid that is in admixture with the finely divided active ingredient.

Solid dosage unit forms or compositions such as tablets, troches, pills, capsules, powders, and the like, may contain a solid carrier binder such as gum tragacanth, acacia, corn starch or gelatin; excipients such as dicalcium phosphate; a disintegrating agent such as corn starch, potato starch, alginic acid; a lubricant such as magnesium stearate; and a sweetening agent such as sucrose, lactose, or saccharin. When a dosage unit form is a capsule, it may contain, in addition to materials of the above type, a liquid carrier such as a fatty oil. Various other materials may be present as coatings or to modify the physical form of the dosage unit. For instance, tablets may be coated with shellac, sugar or both.

Liquid carriers are used in preparing liquid dosage forms such as solutions, suspensions, dispersions, emulsions, syrups, elixirs and pressurized compositions. The active ingredient can be dissolved or suspended in a pharmaceutically acceptable liquid carrier such as water, an organic solvent, a mixture of both, or pharmaceutically acceptable oils or fats. The liquid carrier can contain other suitable pharmaceutical additives such as solubilizers, emulsifiers, buffers, preservatives, sweeteners, flavoring agents, suspending agents, thickening agents, colors, viscosity regulators, stabilizers or osmo-regulators. Suitable examples of liquid carriers for oral and parenteral administration include water (partially containing additives as above, e.g., cellulose derivatives, preferably, sodium carboxymethyl cellulose solution); alcohols, including monohydric alcohols such as ethanol and polyhydric alcohols such as glycols and their derivatives; lethicins, and oils such as fractionated coconut oil and arachis oil. For parenteral administration, the liquid carrier also can be an oily ester such as ethyl oleate and isopropyl myristate. Sterile liquid carriers

are useful in sterile liquid form compositions for parenteral administration. The liquid carrier for pressurized compositions can be a halogenated hydrocarbon or other pharmaceutically acceptable propellant.

A liquid pharmaceutical composition such as a syrup or elixir may contain, in addition to one or more liquid carriers and the active ingredients, a sweetening agent such as sucrose, preservatives such as methyl and propyl parabens, a pharmaceutically acceptable dye or coloring agent, or a flavoring agent such as cherry or orange flavoring.

Liquid pharmaceutical compositions that are sterile solutions or suspensions can be administered intraocularly or parenterally, for example, by intramuscular, intraperitoneal or subcutaneous injection. Sterile solutions also can be administered intravenously. 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 must be sterile and must be fluid to the extent that easy injectability exists. It must be stable under the conditions of manufacture and storage and must be preserved against the contaminating action of microorganisms such as bacteria and fungi. The carrier can be a solvent or dispersion medium containing a liquid carrier, for example, water, ethanol, polyol (e.g., glycerol, propylene glycol and liquid polyethylene glycol), suitable mixtures thereof, and vegetable oils. The liquid carrier may be suitably mixed with a surfactant such as hydroxypropylcellulose.

The compounds of the present invention also may be administered rectally or vaginally in the form of a conventional suppository. For administration by intranasal or intrabronchial inhalation or insufflation, the compounds of this invention may be formulated into an aqueous or partially aqueous solution, which can then be utilized in the form of an aerosol. The compounds of this invention may be administered topically, or also transdermally through the use of a transdermal patch containing the active compound and a carrier that is inert to the active compound, which is non toxic to the skin, and allows delivery of the agent for systemic absorption into the blood stream via the skin. The carrier may take any number of forms such as creams and ointments, pastes, gels, and occlusive devices. The creams and ointments may be viscous liquid or semisolid emulsions of either the oil-in-water or water-in-oil type. Pastes comprised of absorptive powders dispersed in petroleum or hydrophilic

petroleum containing the active ingredient also may be suitable. A variety of occlusive devices may be used to release the active ingredient into the blood stream such as a semipermeable membrane covering a reservoir containing the active ingredient with or without a carrier, or a matrix containing the active ingredient. Other occlusive devices are known in the literature.

EXAMPLES

The following describes the preparation of representative compounds of this invention. Compounds described as homogeneous were determined to be 98% or greater a single peak (exclusive of enantiomers) by analytical reverse phase chromatographic analysis with 254 nM UV detection. Melting points are reported as uncorrected in degrees centigrade. The infrared data is reported as wave numbers at maximum absorption, ν_{max}, in reciprocal centimeters, cm^{-1}. Mass spectral data is reported as the mass-to-charge ratio, *m/z*; and for high resolution mass spectral data, the calculated and experimentally found masses, $[M+H]^+$, for the neutral formulae M are reported. Nuclear magnetic resonance data is reported as δ in parts per million (ppm) downfield from the standard, tetramethylsilane, along with the solvent, nucleus, and field strength parameters. The spin-spin homonuclear coupling constants are reported as *J* values in hertz; and the multiplicities are reported as: s, singlet; d, doublet; t, triplet; q, quartet; quintet; or br, broadened.

Preparation of Compounds of Formula (I) or (Ia):

All compound numbers in this section refer to the Schemes above.

EXAMPLE 1

2-BENZYL-3-(4-METHOXYPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

Preparation of 2-fluoro-*N*-methoxy-*N*-methyl-3-trifluoromethyl-benzamide (compound of formula (IV)).

1.04 g (5 mmol) of 2-fluoro-3-(trifluoromethyl)benzoic acid (compound of formula (III)) 3 ml of $SOCl_2$ were dissolved and the mixture was refluxed for 2 hrs. Remaining $SOCl_2$ was removed *in vacuo* and the residue (crude acid chloride derivative) was

dissolved in 5 ml of CH_2Cl_2. *N,O*-Dimethyhydroxylamine hydrochloride (585 mg, 6 mmol) in 8 ml of CH_2Cl_2 was added followed by 0.5 ml of pyridine. The reaction mixture was stirred for 1.5 hrs., quenched with aq. NH_4Cl solution and the product was extracted twice with CH_2Cl_2, and the combined organic phases were washed with brine and dried over sodium sulfate. Evaporation of solvents *in vacuo* gave 1.02 g of product as an oil which was pure enough to be used in the next step without further purification.

($CDCl_3$) δ = 7.68 (t, 1 H), 7.62 (t, 1 H), 7.30 (t, 1 H), 3.52 (s, br, 3 H), 3.35 (s, br, 3 H).

LCMS: M+H: 252.3.

Preparation of (2-fluoro-3-trifluoromethyl-phenyl)-(4-methoxy-phenyl)-methanone (compound of formula (V)).

1 milliliter of a 1M THF solution of 4-methoxyphenylmagnesium bromide (1 mmol) was added to a solution of 126 mg (0.5 mmol) of 2-fluoro-*N*-methoxy-*N*-methyl-3-trifluoromethyl-benzamide in 2 ml of THF at 0°C. The reaction mixture was stirred for 2 hrs. at this temperature and then quenched with saturated NH_4Cl solution and the phases were separated. The aqueous phase was extracted twice with CH_2Cl_2 and the combined organic phases were washed with brine and dried over Na_2SO_4. The resulting ketone (135 mg) was obtained after flash chromatographic purification using 5% EtOAc in heptane as an eluent.

($CDCl_3$) δ = 7.80 (d, 2 H), 7.77 (t, 1 H), 7.67 (t, 1 H), 7.36 (t, 1 H), 6.95 (d, 2 H), 3.86 (s, 3 H).

GC, M/Z: 298.0.

Preparation of 3-(4-methoxy-phenyl)-7-trifluoromethyl-1*H*-indazole (compound of formula (VI)).

(2-Fluoro-3-trifluoromethyl-phenyl)-(4-methoxy-phenyl)-methanone (59.6 mg, 0.2 mmol) was dissolved in 1 ml of pyridine. Hydrazine hydrate (97 µl, 2 mmol) and 4-(dimethylamino)-pyridine (24.4 mg, 0.2 mmol) were added. The reaction mixture was stirred overnight at 100°C. After cooling to room temperature, EtOAc and 1M HCl were added. The organic phase was washed three times with brine, dried over

$MgSO_4$ and concentrated under vacuum. Purification of the crude product by flash column chromatography on silica gel (n-heptane/ethyl acetate, 85:15) afforded 56 mg of the analytically pure product.
($CDCl_3$), δ = 9.65 (s, br, 1 H), 8.18 (d, 1 H), 7.89 (d, 2 H), 7.68 (d, 1 H), 7.21 (t, 1 H), 7.07 (d, 2 H), 3.89 (s, 3 H).
LCMS: M+H: 293.2.

Preparation of 2-benzyl-3-(4-methoxy-phenyl)-7-trifluoromethyl-2*H*-indazole (compound of Formula (I)).

To a solution of 3-(4-methoxy-phenyl)-7-trifluoromethyl-1*H*-indazole (59 mg, 0.2 mmol) in 0.5 ml DMF were added 72 µl of benzyl bromide (0.6 mmol). The reaction mixture was heated overnight at 120°C. After cooling to room temperature, ethyl acetate was added and the solution was washed three times with brine. The organic layer was dried over $MgSO_4$ and concentrated. Column chromatography (n-heptane/ethyl acetate, 70:30 as eluent) afforded 61 mg of pure product.
($CDCl_3$) δ = 7.61 (s, 1 H), 7.54 (d, 1 H), 7.10-7.20 (m, 5 H), 7.02-6.95 (m, 3 H), 6.93-6.87 (m, 2 H), 5.56 (s, 2 H), 3.76 (s, 3 H).
LCMS: M+H: 383.2.

EXAMPLE 2

4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXYMETHYL]-BENZOIC ACID

Preparation of 4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenol.

2-Benzyl-3-(4-methoxy-phenyl)-7-trifluoromethyl-2*H*-indazole (38 mg, 0.1 mmol) was dissolved in 2 ml of dry dichloromethane and cooled to –78°C. $BF_3 \cdot SMe_2$ was added (1 ml of 1M solution in CH_2Cl_2, 1 mmol) and the reaction mixture was allowed to reach room temperature overnight. The reaction mixture was quenched with MeOH followed by the addition of 2M HCl. The product was extracted with CH_2Cl_2 and purified by column chromatography on silica (n-heptane/ethyl acetate, 50:50, as eluent). Yield: 32 mg.

(acetone) δ = 8.84 (s, br, 1 H), 7.80 (d, 1 H), 7.67 (d, 1 H), 7.40-7.35 (m, 2 H), 7.31-7.21 (m, 3 H), 7.17 (t, 1 H), 7.12 (d, 2 H), 7.06-7.01 (m, 2 H), 5.71 (s, 2 H).
LCMS: M+H: 369.2; M-H: 367.0.

Preparation of 4-[4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxymethyl]-benzoic acid methyl ester.

4-(2-Benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenol (180 mg, 0.5 mmol) and potassium carbonate (138 mg, 1.0 mmol) were dissolved in 3 ml of dry DMF and 4-bromomethyl-benzoic acid methyl ester (230 mg, 1.0 mmol) was added. The reaction mixture was run in microwave (Emory's Optimizer, Personal Chemistry) at 200°C for 30 min. After cooling to room temperature, the reaction mixture was diluted with 1 M HCl (aq.) and the product was extracted three times with CH_2Cl_2; and after evaporation of the solvent, it was purified with preparative HPLC using a gradient with acidic mobile phase on an ACE-C8 column to afford 140 mg of analytically pure product.
LCMS: M+H: 517.4.
Rf: 6.68 min (20-100% CH_3CN in 10 min in 0.05% HCOOH in water on an ACE 5 C8 column).

Preparation of 4-[4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxymethyl]-benzoic acid.

4-[4-(2-Benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxymethyl]-benzoic acid methyl ester (50 mg, 0.1 mmol) was dissolved in 1 ml of methanol, and 24 mg of LiOH (10 eq) were added. The reaction mixture was stirred at 70°C overnight. After cooling to room temperature, 1M HCl-solution and dichloromethane were added, and the phases were separated. The resulting acid was obtained by standard flash chromatographic purification (43 mg).
(DMSO) δ = 7.98 (d, 2 H), 7.81 (d, 1 H), 7.73 (d, 1 H), 7.60 (d, 2 H), 7.50 (d, 2 H), 7.30-7.18 (m, 6 H), 7.00 (d, 2 H), 5.72 (s, 2 H), 5.29 (s, 2 H).
LCMS: M+H: 503.3; M-H: 501.2.

EXAMPLE 3

4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXY]-BENZOIC ACID

Preparation of 4-[4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxy]-benzoic acid methyl ester.

4-(2-Benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenol (180 mg, 0.5 mmol), cesium carbonate (490 mg, 1.5 mmol), CuI (20 mg, 0.1 mmol) and 4-bromo-benzoic acid methyl ester (215 mg, 1.0 mmol) were dissolved in 3 ml of dry pyridine. The reaction mixture was run in microwave (Emory's Optimizer, Personal Chemistry) at 200°C for 30 min. After cooling to room temperature, the reaction mixture was diluted with 1 M HCl (aq.) and the product extracted three times with CH_2Cl_2. Combined organic phases were dried and evaporated, and the crude material was purified with preparative HPLC using a gradient with an acidic mobile phase on an ACE-C8 column to afford 151 mg of analytically pure product.
($CDCl_3$) δ = 8.07 (d, 2 H), 7.82 (d, 1 H), 7.71 (d, 1 H), 7.49 (d, 2 H), 7.24 (*m*, 8 H), 7.11 (d, 2 H), 7.02 (d, 2 H), 5.77 (s, 2 H).
LCMS: M+H: 489.5, 2M+H: 977.9, M-H: 487.4, 2M-H: 975.5.

Preparation of 4-[4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxy]-benzoic acid.

This compound was prepared from 4-[4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxy]-benzoic acid methyl ester in a way described for the preparation of 4-[4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxymethyl]-benzoic acid (Example 2).
($CDCl_3$) δ = 8.07 (d, 2 H), 7.82 (d, 1 H), 7.71 (d, 1 H), 7.49 (d, 2 H), 7.29-7.19 (m, 8 H), 7.11 (d, 2 H), 7.02 (d, 2 H), 5.77 (s, 2 H).
LCMS: M+H: 489.5, 2M+H: 977.9; M-H: 487.4, 2M-H: 975.5.

EXAMPLE 4

[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENYL]-PHENYL-AMINE

2-Benzyl-3-(4-bromo-phenyl)-7-trifluoromethyl-2*H*-indazole (compound of Formula (I) was prepared similar to Example 1 using 4-bromophenyl lithium instead of a Grignard reagent. This compound (43 mg, 0.1 mmol) along with aniline (11 µl, 0.12 mmol), palladium(II) acetate (0.3 mg, 0.001 mmol) and BINAP (1 mg, 0.0015 mmol) was dissolved in 0.5 ml of toluene and the reaction mixture was stirred at room temperature for 10 min. A solution of 13.5 mg of NaO^tBu (0.14 mmol) in 0.5 ml of toluene was added and the reaction mixture was stirred overnight at 80°C. Saturated NH_4Cl-solution and dichloromethane were added, and the phases were separated. The resulting product was obtained after flash chromatographic purification using n-heptane/ethyl acetate, 80:20, as eluent. Yield: 27 mg.

($CDCl_3$) δ = 7.67 (d, 1 H), 7.55 (d, 1 H), 7.24 (t, 2 H), 7.20-6.99 (m, 12 H), 6.98-6.91 (m, 1 H), 5.61 (s, 2 H).

LCMS: M+H: 444.8; M-H: 442.7.

EXAMPLE 5

3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYL]-BENZOIC ACID METHYL ESTER

2-Benzyl-3-(4-bromo-phenyl)-7-trifluoromethyl-2*H*-indazole (43 mg, 0.1 mmol) and 4 mg of *bis*[triphenylphosphine]palladium(II) chloride were dissolved in THF. After 5 minutes, 0.4 ml of a 0.5M solution of 3-(methoxycarbonyl)-benzylzinc bromide in THF (0.2 mmol) were added and the reaction mixture was stirred at room temperature overnight. 1M HCl-solution and dichloromethane were added, and the phases were separated. The resulting product was obtained after flash chromatographic purification using n-heptane/ethyl acetate, 90:10, as eluent. Yield: 47 mg.

($CDCl_3$) δ = 7.88 (s, 1 H), 7.85 (d, 1 H), 7.61 (d, 1 H), 7.52 (d, 1 H), 7.28-7.37 (m, 2 H), 7.25-7.18 (m, 4 H), 7.16-7.11 (m, 3 H), 7.02-6.95 (m, 3 H), 5.58 (s, 2 H), 4.02 (s, 2 H), 3.83 (s, 3 H).

LCMS: M+H: 501.5.

EXAMPLE 6

4'-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BIPHENYL-4-CARBOXYLIC ACID

To a degassed solution of 2-benzyl-3-(4-bromo-phenyl)-7-trifluoromethyl-2*H*-indazole (22 mg, 0.05 mmol), 8 mg of boronic acid (0.05 mmol) and 21 mg of Na_2CO_3 (0.2 mmol) in 1 ml of MeCN/water (1:1) mixture, bis-(*tri*-phenylphosphine)-palladium(II)-chloride was added. The mixture was stirred for 18 hrs. at 80°C. Saturated NH_4Cl-solution and dichloromethane were added, and the phases were separated. The resulting product was obtained after flash chromatographic purification using *n*-heptane/ethyl acetate, 50:50, as eluant. Yield: 18 mg.

(acetone) δ = 8.22 (d, 2 H), 8.01 (d, 2 H), 7.98-7.92 (m, 3 H), 7.80-7.73 (m, 3 H), 7.38-7.26 (m, 4 H), 7.23-7.18 (m, 2 H), 5.86 (s, 2 H).

LCMS: M+H: 473.3; M-H: 471.5.

EXAMPLE 7

4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYLOXYMETHYL]-BENZOIC ACID

Preparation of [3-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenyl]-methanol.

To a solution of 116 mg (0.305 mmol) of 3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]benzaldehyde (compound of Formula (I)), prepared in a same way as Example 1, in 2 ml of methanol, 23 mg (0.71 mmol) of $NaBH_4$ was added at 0°C. The reaction mixture was stirred at this temperature for 1 hr. Saturated NH_4Cl-solution and dichloromethane were added, and the phases were separated. The product was obtained after flash chromatographic purification using dichloromethane as eluant. Yield: 111 mg.

($CDCl_3$) δ = 7.61 (m, 2 H), 7.39 (m, 2 H), 7.23 (m, 6 H), 7.02 (m, 3 H), 5.59 (s, 2 H), 4.64 (s, 2 H), 2.05 (s, br, 1 H).

LCMS: M+H: 383.3.

Preparation of 4-[3-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-benzyloxymethyl]-benzoic acid methyl ester.

[3-(2-Benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenyl]-methanol (40 mg, 0.105 mmol) was dissolved in 1 ml of DMSO, and 30 mg of KOH were added. The reaction mixture was stirred at room temperature for 30 min. 4-Bromomethyl-benzoic acid methyl ester (96 mg, 0.42 mmol) was added and the reaction mixture stirred overnight at room temperature. Fifteen (15) volumes of water were added and the precipitate was collected. The product was obtained after flash chromatographic purification using dichloromethane as eluent. Yield: 33 mg.
LCMS: M+H: 531.5
Rf: 6.33 min (20-100% CH_3CN in 10 min in 0.05% HCOOH in water on an ACE 5 C8 column).

Preparation of 4-[3-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-benzyloxymethyl]-benzoic acid.

This compound was prepared from 4-[3-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-benzyloxymethyl]-benzoic acid methyl ester in the same manner described for the preparation of 4-[4-(2-benzyl-7-trifluoromethyl-2*H*-indazol-3-yl)-phenoxymethyl]-benzoic acid (Example 2).
(MeOD) δ = 8.00 (d, 2 H), 7.76 (d, 1 H), 7.70 (d, 1 H), 7.58-7.53 (m, 2 H), 7.47-7.38 (m, 4 H), 7.25-7.16 (m, 4 H), 7.05-6.99 (m, 2 H), 5.71 (s, 2 H), 4.62 (s, 4 H).
LCMS: M+H: 517.4; M-H: 515.3.

EXAMPLE 8

2-BENZYL-3-PHENYL-7-METHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.49-7.42 (m, 3 H), 7.15-7.08 (m, 7 H), 7.02-6.97 (m, 2 H), 6.92-6.87 (m, 1 H), 4.27 (s, 2 H), 2.78 (s, 3 H).
LCMS: M+H: 299.6.

EXAMPLE 9

2-BENZYL-3-(4-PHENOXYPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.64 (d, 1 H), 7.57 (d, 1 H), 7.32 (t, 2 H), 7.18 (m, 5 H), 7.10 (t, 1 H), 7.01 (m, 7 H), 5.60 (s, 2 H).

LCMS: M+H: 445.4.

EXAMPLE 10

3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXY]-BENZOIC ACID

This compound was prepared similarly to that of Example 3.

$(CDCl_3)$ δ = 7.85 (d, 2 H), 7.81 (d, 1 H), 7.73-7.67 (m, 2 H), 7.53 (t, 1 H), 7.45 (d, 2 H), 7.36-7.31 (m, 1 H), 7.29-7.14 (m, 6 H), 7.02 (d, 2 H), 5.75 (s, 2 H).

LCMS: M+H: 489.5, 2M+H: 977.6; M-H: 487.4, 2M-H: 975.5.

EXAMPLE 11

{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXY]-PHENOXY}-ACETIC ACID

This compound was prepared similarly to that of Example 3.

$(CDCl_3)$ δ = 7.72 (d, 1 H), 7.65 (d, 1 H), 7.26 (m, 6 H), 7.07 (m, 7 H), 6.96 (d, 2 H), 5.69 (s, 2 H), 4.68 (s, 2 H).

LCMS: M+H: 519.5, M-H: 517.4.

EXAMPLE 12

{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXYMETHYL]-PHENOXY}-ACETIC ACID

This compound was prepared similarly to that of Example 2.

$(CDCl_3)$ δ = 7.63 (d, 1 H), 7.57 (d, 1 H), 7.31 (d, 2 H), 7.18 (m, 5 H), 6.99 (m, 5 H), 6.89 (d, 2 H), 5.59 (s, 2 H), 4.98 (s, 2 H), 4.60 (s, 2 H).

LCMS: M+H: 533.3, M-H: 531.2.

EXAMPLE 13

{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXYMETHYL]-PHENOXY}-ACETIC ACID

This compound was prepared similarly to that of Example 2.

($CDCl_3$) δ = 7.63 (d, 1 H), 7.57 (d, 1 H), 7.26 (t, 1 H), 7.21-7.14 (m, 5 H), 7.04-6.95 (m, 7 H), 6.80 (d, 1 H), 5.60 (s, 2 H), 5.03 (s, 2 H), 4.60 (s, 2 H).

LCMS: +H: 533.3; M-H: 531.2.

EXAMPLE 14

{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXYMETHYL]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 2.

(MeOD) δ = 7.84 (d, 1 H), 7.77 (d, 1 H), 7.51 (d, 2 H), 7.46-7.40 (m, 4 H), 7.35-7.30 (m, 3 H), 7.28-7.19 (m, 3 H), 7.10-7.06 (m, 2 H), 5.78 (s, 2 H), 5.24 (s, 2 H), 3.71 (s, 2 H).

LCMS: M+H: 517.4; M-H: 515.3.

EXAMPLE 15

3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOXYMETHYL]-BENZOIC ACID

This compound was prepared similarly to that of Example 2.

(MeOD) δ = 8.04 (s, 1 H), 7.89 (d, 1 H), 7.64 (d, 1 H), 7.58 (t, 2 H), 7.40 (t, 1 H), 7.24 (d, 2 H), 7.15-7.09 (m, 3 H), 7.07-7.03 (m, 3 H), 6.91-6.86 (m, 2 H), 5.78 (s, 2 H), 5.12 (s, 2 H).

LCMS: M+H: 503.3; M-H: 501.2.

EXAMPLE 16

{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYLOXYMETHYL]-PHENOXY}-ACETIC ACID

This compound was prepared similarly to that of Example 7.

($CDCl_3$) δ = 7.71 (d, 1 H), 7.65 (d, 1 H), 7.47 (d, 2 H), 7.34 (s, 1 H), 7.31-7.25 (m, 2 H), 7.24-7.20 (m, 3 H), 7.14-7.06 (m, 3 H), 6.90 (d, 2 H), 5.67 (s, 2 H), 4.65 (s, 2 H), 4.54 (s, 2 H), 4.50 (s, 2 H).

LCMS: M+H: 547.4; M-H: 545.3.

EXAMPLE 17

{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYLOXYMETHYL]-PHENOXY}-ACETIC ACID

This compound was prepared similarly to that of Example 7.

($CDCl_3$) δ = 7.71 (d, 1 H), 7.65 (d, 1 H), 7.50-7.46 (m, 2 H), 7.36 (s, 1 H), 7.31-7.27 (m, 1 H), 7.24-7.20 (m, 3 H), 7.14-7.06 (m, 3 H), 6.96 (t, 2 H), 6.84 (d, 1 H), 5.68 (s, 2 H), 4.64 (s, 2 H), 4.56 (s, 2 H), 4.54 (s, 2 H).

LCMS: M+H: 547.7; M-H: 545.3.

EXAMPLE 18

3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYLOXYMETHYL]-BENZOIC ACID

This compound was prepared similarly to that of Example 7.

(MeOD) δ = 8.01 (s, 1 H), 7.94 (d, 1 H), 7.75 (d, 1 H), 7.68 (d, 1 H), 7.56-7.50 (m, 3 H), 7.45-7.40 (m, 2 H), 7.39-7.35 (m, 1 H), 7.22-7.14 (m, 4 H), 6.99 (d, 2 H), 5.70 (s, 2 H), 4.59 (d, 4 H).

LCMS: M+H: 517.4; M-H: 515.3.

EXAMPLE 19

2-BENZYL-3-(4-METHOXY-2-METHYLPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.64 (d, 1 H), 7.50 (d, 1 H), 7.24-7.16 (m, 3 H), 7.10-7.00 (m, 4 H), 6.88-6.82 (m, 2 H), 5.55 (d, 1 H), 5.47 (d, 1 H), 3.89 (s, 3 H), 1.79 (s, 3 H).

LCMS: M+H: 397.2.

EXAMPLE 20

2-BENZYL-3-(2-METHOXYPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.67-7.60 (m, 2 H), 7.50 (dt, 1 H), 7.23-7.14 (m, 4 H), 7.10-6.98 (m, 5 H), 5.66 (d, 1 H), 5.56 (d, 1 H), 3.63 (s, 3 H).

LCMS: M+H: 383.2.

EXAMPLE 21

2-BENZYL-3-O-TOLYL-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.65 (d, 1 H), 7.50 (d, 1 H), 7.44 (dt, 1 H), 7.35-7.27 (m, 2 H), 7.24-7.12 (m, 4 H), 7.07 (t, 1 H), 7.04-6.97 (m, 2 H), 5.56 (d, 1 H), 5.49 (d, 1 H), 1.81 (s, 3 H).

LCMS: M+H: 367.0.

EXAMPLE 22

2-BENZYL-3-(2,4-DIMETHYLPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.65 (d, 1 H), 7.50 (d, 1 H), 7.24-6.99 (m, 9 H), 5.57 (d, 1 H), 5.47 (d, 1 H), 2.44 (s, 3 H), 1.80 (s, 3 H).

LCMS: M+H: 381.5.

EXAMPLE 23

2-BENZYL-3-BIPHENYL-4-YL-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.82 (d, 1 H), 7.75 (d, 2 H), 7.72-7.66 (m, 2 H), 7.52 (t, 2 H), 7.49-7.40 (m, 3 H), 7.34-7.25 (m, 3 H), 7.20-7.12 (m, 3 H), 5.76 (s, 2 H).

LCMS: M+H: 429.5.

EXAMPLE 24

2-BENZYL-7-TRIFLUOROMETHYL-3-(2-VINYLPHENYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.62 (d, 1 H), 7.53 (d, 1 H), 7.43-7.34 (m, 2 H), 7.20 (dt, 1 H), 7.09-7.01 (m, 3 H), 7.00-6.92 (m, 2 H), 6.88-6.82 (m, 2 H), 6.06 (dd, 1 H), 5.55 (d, 1 H), 5.50 (d, 1 H), 5.30 (d, 1 H), 4.98 (d, 1 H).

LCMS: M+H: 379.4.

EXAMPLE 25

2-BENZYL-7-TRIFLUOROMETHYL-3-(3-TRIFLUOROMETHYLPHENYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.65 (d, 1 H), 7.61-7.56 (m, 2 H), 7.53 (t, 1 H), 7.48 (s, 1 H), 7.44 (d, 1 H), 7.20-7.12 (m, 3 H), 7.05 (t, 1 H), 7.03-6.97 (m, 2 H), 5.57 (d, 2 H).

LCMS: M+H: 421.4.

EXAMPLE 26

2-BENZYL-3-(4-FLUOROPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.60-7.53 (m, 2 H), 7.24-7.19 (m, 2 H), 7.18-7.12 (m, 3 H), 7.11-7.05 (m, 2 H), 7.02 (t, 1 H), 7.00-6.95 (m, 2 H), 5.55 (s, 2 H).

LCMS: M+H: 371.0.

EXAMPLE 27

2-BENZYL-3-(3-CHLOROPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.59 (d, 1 H), 7.55 (d, 1 H), 7.37-7.33 (m, 1 H), 7.30 (t, 1 H), 7.25-7.21 (m, 1 H), 7.19-7.10 (m, 4 H), 7.05-6.97 (m, 3 H), 5.56 (s, 2 H).
LCMS: M+H: 387.5.

EXAMPLE 28

2-BENZYL-3-(3-METHOXYPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.76 (d, 1 H), 7.66 (d, 1 H), 7.42 (t, 1 H), 7.31-7.22 (m, 3 H), 7.16-7.10 (m, 3 H), 7.07-7.02 (m, 1 H), 7.01-6.96 (m, 1 H), 6.88-6.85 (m, 1 H), 5.72 (s, 2 H), 3.72 (s, 3 H).
LCMS: M+H: 383.3.

EXAMPLE 29

BENZYL-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENYL]-METHYLAMINE

This compound was prepared similarly to that of Example 4.
($CDCl_3$) δ = 7.67 (d, 1 H), 7.53 (d, 1 H), 7.30-7.25 (m, 2 H), 7.23-7.10 (m, 8 H), 7.05-6.95 (m, 3 H), 6.76-6.70 (m, 2 H), 5.60 (s, 2 H), 4.53 (s, 2 H), 3.03 (s, 3 H).
LCMS: M+COO^-: 516.5.

EXAMPLE 30

2-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOL

This compound was prepared similarly to that of Example 1.
(acetone) δ = 8.96 (s, br, 1 H), 7.73 (d, 1 H), 7.68 (d, 1 H), 7.46-7.41 (m, 1 H), 7.28 (dd, 1 H), 7.25-7.14 (m, 5 H), 7.11-7.06 (m, 2 H), 7.03 (dt, 1 H), 5.69 (d, 2 H).

LCMS: M+H: 369.2; M-H: 367.0.

EXAMPLE 31

3-(4-METHOXY-2-METHYLPHENYL)-2-(4-METHYL-BENZYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.63 (d, 1 H), 7.49 (d, 1 H), 7.08-6.99 (m, 4 H), 6.94 (d, 2 H), 6.89-6.86 (m, 1 H), 6.86-6.82 (m, 1 H), 5.51 (d, 1 H), 5.41 (d, 1 H), 3.89 (s, 3 H), 2.28 (s, 3 H), 1.81 (s, 3 H).
LCMS: M+H: 411.5.

EXAMPLE 32

2-(2,4-DIMETHYLBENZYL)-3-(4-METHOXY-2-METHYLPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.63 (d, 1 H), 7.50 (d, 1 H), 7.09-7.03 (m, 2 H), 6.90-6.85 (m, 2 H), 6.84-6.77 (m, 2 H), 6.57 (d, 1 H), 5.56 (d, 1 H), 5.43 (d, 1 H), 3.88 (s, 3 H), 2.24 (s, 3 H), 2.12 (s, 3 H), 1.85 (s, 3 H).
LCMS: M+H: 425.3.

EXAMPLE 33

3-(4-METHOXY-2-METHYL-PHENYL)-7-TRIFLUOROMETHYL-2-(2,4,6-TRIMETHYL-BENZYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.59 (d, 1 H), 7.47 (d, 1 H), 7.06-7.00 (m, 2 H), 6.89-6.85 (m, 1 H), 6.82-6.78 (m, 1 H), 6.75 (s, 2 H), 5.62 (d, 1 H), 5.51 (d, 1 H), 3.89 (s, 3 H), 2.24 (s, 3 H), 2.12 (s, 6 H), 1.93 (s, 3 H).
LCMS: M+H: 439.7.

EXAMPLE 34

2-BENZYL-3-(4-BROMOPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.62-7.57 (m, 2 H), 7.57-7.53 (m, 2 H), 7.23-7.17 (m, 3 H), 7.16-7.12 (m, 2 H), 7.06 (t, 1 H), 7.03-6.98 (m, 2 H), 5.59 (s, 2 H).

LCMS: M+H: 433.4(^{81}Br); 431.3(^{79}Br).

EXAMPLE 35

2-BENZYL-3-(3-BROMOPHENYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.60 (d, 1 H), 7.58 (d, 1 H), 7.53-7.49 (m, 1 H), 7.40-7.36 (m, 1 H), 7.25 (t, 1 H), 7.20-7.12 (m, 4 H), 7.06-6.99 (m, 3 H), 5.56 (s, 2 H).

LCMS: M+H: 431.3(^{79}Br).

EXAMPLE 36

4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYL]-BENZOIC ACID

This compound was prepared similarly to that of Example 5.

(acetone) δ = 8.06-8.03 (m, 2 H), 7.85 (d, 1 H), 7.76-7.72 (m, 1 H), 7.58-7.47 (m, 6 H), 7.32-7.21 (m, 4 H), 7.15-7.11 (m, 2 H), 5.79 (s, 2 H), 4.23 (s, 2 H).

LCMS: M+H: 487.4; M-H: 485.3.

EXAMPLE 37

4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYL]-BENZOIC ACID

This compound was prepared similarly to that of Example 5.

(acetone) δ = 8.03-7.99 (m, 2 H), 7.83 (d, 1 H), 7.74 (d, 1 H), 7.57 (t, 1 H), 7.53-7.45 (m, 3 H), 7.40 (d, 2 H), 7.31-7.26 (m, 3 H), 7.23 (t, 1 H), 7.12-7.07 (m, 2 H), 5.77 (s, 2 H), 4.18 (s, 2 H).

LCMS: M+H: 487.4; M-H: 485.3.

EXAMPLE 38

3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYL]-BENZOIC ACID

This compound was prepared similarly to that of Example 5.

(acetone) δ = 8.06 (s, 1 H), 7.98 (d, 1 H), 7.84 (d, 1 H), 7.73 (d, 1 H), 7.59 (d, 1 H), 7.54-7.46 (m, 5 H), 7.31-7.25 (m, 3 H), 7.22 (t, 1 H), 7.14-7.09 (m, 2 H), 5.78 (s, 2 H), 4.21 (s, 2 H).

LCMS: M+H: 487.4; M-H: 485.6.

EXAMPLE 39

3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYL]-BENZOIC ACID

This compound was prepared similarly to that of Example 5.

(acetone) δ = 8.02 (s, 1 H), 7.96-7.92 (m, 1 H), 7.84 (d, 1 H), 7.74 (d, 1 H), 7.59-7.43 (m, 6 H), 7.32-7.26 (m, 3 H), 7.23 (t, 1 H), 7.12-7.07 (m, 2 H), 5.77 (s, 2 H), 4.20 (s, 2 H).

LCMS: M+H: 487.4; M-H: 485.6.

EXAMPLE 40

{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYL]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 5.

(acetone) δ = 7.84 (d, 1 H), 7.74 (d, 1 H), 7.46-7.53 (m, 4 H), 7.25-7.35 (m, 7 H), 7.22 (t, 1 H), 7.10-7.15 (m, 2 H), 5.78 (s, 2 H), 4.11 (s, 2 H), 3.65 (s, 2 H).

LCMS: M+H: 501.5; M-H: 499.4.

EXAMPLE 41

{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-BENZYL]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 5.

(acetone) δ = 7.83 (d, 1 H), 7.74 (d, 1 H), 7.57-7.52 (m, 1 H), 7.50-7.47 (m, 1 H), 7.46-7.42 (m, 2 H), 7.32-7.27 (m, 5 H), 7.25-7.21 (m, 3 H), 7.12-7.07 (m, 2 H), 5.76 (s, 2 H), 4.08 (s, 2 H), 3.63 (s, 2 H).

LCMS: M+H: 501.5; M-H: 499.4.

EXAMPLE 42

N-BENZYL-N-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENYL]-AMINE

This compound was prepared similarly to that of Example 4.

($CDCl_3$) δ = 7.63 (d, 1 H), 7.53 (d, 1 H), 7.33-7.19 (m, 5 H), 7.19-7.11 (m, 3 H), 7.07 (d, 2 H), 7.14-6.94 (m, 3 H), 6.65 (d, 2 H), 5.57 (s, 2 H), 4.30 (s, 2 H).

LCMS: M+H: 458.6; M-H: 456.2.

EXAMPLE 43

BENZYL-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENYL]-AMINE

This compound was prepared similarly to that of Example 4.

($CDCl_3$) δ = 7.52 (d, 2 H), 7.29-7.10 (m, 10 H), 7.01-6.92 (m, 3 H), 6.69 (d, 1 H), 6.63 (d, 1 H), 6.48 (s, 1 H), 5.53 (s, 2 H), 4.15 (s, 2 H).

LCMS: M+H: 458.6; M-H: 456.5.

EXAMPLE 44

2-(2,4-DIMETHYL-BENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.65 (d, 1 H), 7.60 (d, 1 H), 7.40 (m, 3 H), 7.30 (m, 2 H), 7.05 (t, 1 H), 6.87 (s, 1 H), 6.78 (d, 1 H), 6.41 (d, 1 H), 5.57 (s, 2 H), 2.19 (s, 3 H), 2.13 (s, 3 H).
LCMS: M+H: 381.5.

EXAMPLE 45

3-PHENYL-7-TRIFLUOROMETHYL-2-(2,4,6-TRIMETHYL-BENZYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.58 (d, 1 H), 7.49 (d, 1 H), 7.42 (m, 3 H), 7.32 (m, 2 H), 6.97 (t, 1 H), 6.67 (s, 2 H), 5.58 (s, 2 H), 2.14 (s, 3 H), 2.04 (s, 6 H).
LCMS: M+H: 395.2.

EXAMPLE 46

METHYL 3-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZOATE

This compound was prepared similarly to that of Example 2.
($CDCl_3$) δ = 8.06 (s, 1 H), 7.99 (d, 1 H), 7.83-7.75 (m, 2 H), 7.58 (d, 1 H), 7.45 (t, 1 H), 7.41 (t, 1 H), 7.28-7.25 (m, 3 H), 7.15-7.12 (m, 4 H), 6.98 (d, 1 H), 6.89 (m, 1 H), 5.66 (s, 2 H), 5.00 (s, 2 H), 3.94 (s, 3 H).
LCMS: M+H: 517.4.

EXAMPLE 47

2-BENZYL-3-[3-(BENZYLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 2.
(CDCl3) δ = 7.53-7.50 (m, 2 H), 7.28-7.19 (m, 8 H), 7.17-7.12 (m, 4 H), 7.03-6.95 (m, 4 H), 6.86 (d, 1 H), 6.75-6.63 (m, 1 H), 5.50 (s, 2 H), 4.87 (s, 2 H).
LCMS: M+H: 459.5.

EXAMPLE 48

[4-({3-[2-BENZYL-7-TRIFLUOROMETHYL)-2H-INDAZOL-3-YL] PHENOXY}METHYL)PHENYL] ACETIC ACID

This compound was prepared similarly to that of Example 2.

($CDCl_3$) δ = 3.67 (s, 2 H), 4.95 (s, 2 H), 5.68 (s, 2 H), 6.90 (m, 1 H), 6.97 (m, 1 H), 7.06-7.13 (m, 4 H), 7.23-7.28 (m, 3 H), 7.29-732 (m, 2 H), 7.33-7.37 (m, 2 H), 7.40 (t, 1 H), 7.66(m, 2 H).

LCMS: M+H: 517.4.

EXAMPLE 49

3-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL) BENZOIC ACID

This compound was prepared similarly to that of Example 2.

($CDCl_3$) δ = 5.00 (s, 2 H), 5.68 (s, 2 H), 6.89 (m, 1 H), 7.01 (d, 1 H), 7.06-7.13 (m, 4 H), 7.25-7.30 (m, 3 H), 7.42 (t, 1 H), 7.51 (t, 1 H), 7.62 (t, 2 H), 7.65 (d, 1 H), 8.08 (d, 1H), 8.12 (s, 1H).

LCMS: M+H: 503.3; M-H: 501.2.

EXAMPLE 50

4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL) BENZOIC ACID

This compound was prepared similarly to that of Example 2.

($CDCl_3$) δ = 5.02 (s, 2 H), 5.67 (s, 2 H), 6.85 (s, 1 H), 6.97 (m, 1 H), 7.05-7.15 (m, 4 H), 7.40-7.50 (m, 3 H), 7.54 (m, 1 H), 7.63 (d, 2 H),8.12 (m, 4 H).

LCMS: M+H: 503.3; M-H: 501.2.

EXAMPLE 51

[4-({3-[2-BENZYL-7-TRIFLUOROMETHYL)-2H-INDAZOL-3-YL] PHENOXY)METHYL)PHENYL] PROPIONIC ACID

This compound was prepared similarly to that of Example 2.
($CDCl_3$) δ = 1.53 (d, 3 H), 3.74 (q, 1 H), 4.93 (s, 2 H), 5.65 (s, 2 H), 6.89 (m, 1 H), 6.96 (dt, 1 H), 7.04-7.12 (m, 4 H), 7.22-7.28 (m, 3 H), 7.35 (m, 4 H), 7.39 (t, 1 H), 7.65 (m, 2 H).
LCMS: M+H: 531.2; M-H: 529.1.

EXAMPLE 52

{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENOXYMETHYL])-PHENOXY}-ACETIC ACID

This compound was prepared similarly to that of Example 2.
(CD_3OD) δ = 4.50 (d, 2 H), 4.92 (d, 2 H), 5.55 (d, 2 H), 6.78 (dt, 1 H), 6.85-6.92 (m, 6 H), 7.03-7.08 (m, 2 H), 7.10-7.20 (m, 4 H), 7.32 (t, 1 H), 7.56 (d, 1 H), 7.58 (s, 1 H).
LCMS: M+H: 533.3; M-H: 531.5.

EXAMPLE 53

{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENOXYMETHYL])-PHENOXY}-ACETIC ACID

This compound was prepared similarly to that of Example 2.
(CD_3OD) δ = 4.55 (s, 2 H), 4.86 (s, 2 H), 5.57 (s, 2 H), 6.82-6.93 (m, 6 H), 7.02-7.10 (m, 2 H), 7.11-7.17 (m, 3 H), 7.22 (d, 2 H), 7.33 (t, 1 H), 7.56 (m, 2 H).
LCMS: M+H: 533.3; M-H: 531.2.

EXAMPLE 54

2-BENZYL-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

(CD_3OD) δ = 5.62 (s, 2 H), 6.90 (m, 2 H), 7.08 (t, 1 H), 7.13 (m, 3 H), 7.31(m, 2 H), 7.43 (m, 3 H), 7.53 (d, 1 H), 7.62 (d, 1 H).
LCMS: M+H: 353.2.

EXAMPLE 55

2-(3-METHOXYBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
(CD_3OD) δ = 3.51 (s, 3 H), 5.50 (s, 2 H), 6.38 (d, 1 H), 6.46 (s, 1 H), 6.62 (m, 1 H), 7.01 (m, 2 H), 7.29 (m, 2 H), 7.36 (m, 3 H), 7.55 (d, 1 H), 7.61 (d, 1 H).
LCMS: M+H: 383.2.

EXAMPLE 56

2-(3-FLUOROBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
(CD_3OD), δ = 5.68 (s, 2 H), 6.79 (d, 1 H), 6.85 (d, 1 H), 6.96 (m, 1 H), 7.55 (t, 1 H), 7.23 (m, 1 H), 7.37 (m, 2 H), 7.49-7.55 (m, 3 H), 7.67 (d, 1 H), 7.73 (d, 1 H).
LCMS: M+H: 371.0.

EXAMPLE 57

2-(2-NITROBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
(CD_3OD) δ = 6.12 (s, 2 H), 6.63 (d, 1 H), 7.19 (t, 1 H), 7.35 (m, 2 H), 7.49 (m, 4 H), 7.57 (t, 1 H), 7.70 (d, 1 H), 7.80 (d, 1 H), 8.15 (d, 1 H).
LCMS: M+H: 398.2; M-H: 395.8.

EXAMPLE 58

2-(3,5-DIFLUOROBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 5.67 (s, 2 H), 6.61 (d, 2 H), 6.68 (m, 1 H), 7.15 (t, 1 H), 7.37 (m, 2 H), 7.52 (m, 3 H), 7.68 (d, 1 H), 7.75 (d, 1 H).
LCMS: M+H: 389.4; M-H: 387.4.

EXAMPLE 59

8-(3-PHENYL-7-TRIFLUOROMETHYL-INDAZOL-2-YL-METHYL)-QUINOLINE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 6.46 (s, 2 H), 7.02 (d, 1 H), 7.15 (t, 1 H), 7.32-7.42 (m, 7 H), 7.70 (d, 1 H), 7.75 (d, 1 H), 7.83 (d, 1 H), 8.16 (d, 1 H), 8.65 (m, 1 H).
LCMS: M+H: 404.4.

EXAMPLE 60

2-(4-METHYLBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
(CD_3OD) δ = 2.12 (s, 3 H), 5.53 (s, 2 H), 6.77 (d, 2 H), 6.93 (d, 2H), 7.04 (t, 1 H), 7.27 (m, 2 H), 7.42 (m, 3 H), 7.55 (d, 1 H), 7.62 (d, 1 H).
LCMS: M+H: 367.2.

EXAMPLE 61

2-(2-TRIFLUOROMETHYLBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
(CD_3OD) δ = 5.83 (s, 2 H), 6.50 (d, 1 H), 7.16 (t, 1 H), 7.27-7.32 (m, 2 H), 7.35-7.43 (m, 5 H), 7.62 (d, 1 H), 7.66 (d, 1 H), 7.74 (d, 1 H).
LCMS: M+H: 421.4.

EXAMPLE 62

2-(4-BROMOBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

(CD_3OD) δ = 5.55 (s, 2 H), 6.81 (d, 2 H), 7.06 (t, 1 H), 7.25 (d, 2 H), 7.33 (m, 2 H), 7.42 (m, 3 H), 7.58 (d, 1 H), 7.62 (d, 1 H).
LCMS: M+H: 431.4.

EXAMPLE 63

2-(2-DIFLUOROMETHOXYBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 5.77 (s, 2 H), 6.32-6.62 (t, 1 H), 6.83 (d, 1 H), 7.10 (m, 2 H), 7.13 (t, 1 H), 7.30 (m, 1 H), 7.40 (m, 2 H), 7.50 (m, 3 H), 7.66 (d, 1 H), 7.78 (d, 1 H).
LCMS: M+H: 419.4.

EXAMPLE 64

2-(3-DIFLUOROMETHOXYBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 5.68 (s, 2 H), 6.27-6.57 (t, 1 H), 6.87 (s, 1 H), 6.95 (d, 1 H), 7.03 (d, 1 H), 7.15 (t, 1 H), 7.25 (m, 1 H), 7.37 (m, 2 H), 7.50 (m, 3 H), 7.66 (d, 1 H), 7.73 (d, 1 H).
LCMS: M+H: 419.4.

EXAMPLE 65

2-(3-TRIFLUOROMETHOXYLBENZYL)-7-TRIFLUOROMETHYL-3-PHENYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 5.65 (s, 2 H), 6.93 (s, 1 H), 7.02-7.12 (m, 3 H), 7.25 (t, 1 H), 7.33 (m, 2 H), 7.47 (m, 3 H), 7.62 (d, 1 H), 7.70 (d, 1 H).
LCMS: M+H, 437.2.

EXAMPLE 66

2-(3,5-DIMETHYLBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 2.20 (2, 6 H), 5.65 (s, 2 H), 6.73 (s, 2 H), 6.88 (s, 1 H),), 7.13 (t, 1 H), 7.42 (m, 2 H), 7.53 (m, 3 H), 7.68 (d, 1 H), 7.78 (d, 1 H).

LCMS: M+H: 381.4.

EXAMPLE 67

2-NAPHTHALEN-1-YLMETHYL-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

(CD_3OD) δ = 5.80 (s, 2 H), 7.08-7.13 (m, 2 H), 7.29 (s, 1 H), 7.32 (m, 2 H), 7.40 (m, 2 H), 7.44 (m, 3 H), 7.58 (m, 1 H), 7.62 (d, 1 H), 7.67-7.72 (m, 3 H).

LCMS: M+H: 403.4.

EXAMPLE 68

2-(2-CHLORO-4-FLUOROBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 5.74 (s, 2 H), 6.77 (m, 1 H), 6.90 (td, 1 H), 7.11 (dd, 1 H), 7.17 (t, 1 H), 7.35 (m, 2 H), 7.48(m, 3 H), 7.68 (d, 1 H), 7.81 (d, 1 H).

LCMS: M+H: 405.4.

EXAMPLE 69

3-PHENYL-2-(3-PYRROL-1-YL-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 5.73 (s, 2 H), 6.31 (m, 2 H), 6.95-7.03 (m, 3 H), 7.14 (t, 1 H), 7.28-7.34 (m, 3 H), 7.40 (m, 2 H), 7.53 (m, 3 H), 7.67 (d, 1 H), 7.75 (d, 1 H).

LCMS: M+H: 418.4.

EXAMPLE 70

2-(2-BROMOBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 5.75 (s, 2 H), 6.67 (d, 1 H), 7.08-7.18 (m, 3 H), 7.35 (m, 2 H), 7.48 (m, 3 H), 7.54 (d, 1 H), 7.70 (d, 1 H), 7.75 (d, 1 H).

LCMS: M+H: 431.3.

EXAMPLE 71

2-(2-METHYLBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 2.20 (s, 3 H), 5.67 (s, 2 H), 6.60 (d, 1 H), 7.07 (m, 1 H), 7.12-7.18 (m, 3 H), 7.37 (m, 2 H), 7.48-7.54 (m, 3 H), 7.69 (d, 1 H), 7.80 (d, 1 H).

LCMS: M+H: 367.1.

EXAMPLE 72

2-(2,5-DICHLOROBENZYL)-7-TRIFLUOROMETHYL-3-PHENYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 5.64 (s, 2 H), 6.76 (d, 1 H), 7.18 (m, 2 H), 7.28 (m, 1 H), 7.37 (m, 2 H), 7.51 (m, 3 H), 7.71 (d, 1 H), 7.81 (d, 1 H).

LCMS: M+H: 423.2.

EXAMPLE 73

2-(2-METHYL-5-FLUOROBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 2.15 (s, 3 H), 5.58 (s, 2 H), 6.27 (d, 1 H), 6.95 (t, 1 H), 7.09 (dd, 1 H),), 7.15 (t, 1 H), 7.32 (m, 2 H), 7.52 (m, 3 H), 7.67 (d, 1 H), 7.80 (d, 1 H).

LCMS: M+H: 385.0.

EXAMPLE 74

2-(6-CHLORO-2-FLUORO-3-METHYLBENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 2.17 (s, 3 H), 5.87 (s, 2 H), 7.02 (m, 2 H), 7.13 (t, 1 H), 7.48-7.55 (m, 5 H), 7.62 (d, 1 H), 7.71 (d, 1 H).

LCMS: M+H: 419.2.

EXAMPLE 75

2-BIPHENYL-3-YLMETHYL-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 5.58 (s, 2 H), 6.96 (d, 1 H), 7.04 (t, 1 H), 7.23 (t, 2 H), 7.30-7.38 (m, 5 H), 7.42-7.47 (m, 6 H), 7.58 (d, 1 H), 7.66 (d, 1 H).

LCMS: M+H: 429.4.

EXAMPLE 76

2-BENZYL-3-BUTYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 0.86 (t, 3 H), 1.30 (m, 2 H), 1.51 (m, 2 H), 2.92 (t, 2 H), 5.69 (s, 2 H), 7.07 (t, 1 H), 7.13 (d, 2 H), 7.29 (m, 3 H), 7.61 (d, 1 H), 7.77 (d, 1 H).

LCMS: M+H: 333.5.

EXAMPLE 77

2-BENZYL-3-ISOBUTYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 0.90 (d, 6 H), 1.93 (m, 1 H), 2.31 (d, 2 H), 5.73 (s, 2 H), 7.10 (t, 1 H), 7.14 (d, 2 H), 7.30 (m, 3 H), 7.62 (d, 1 H), 7.77 (d, 1 H).

LCMS: M+H: 333.2.

EXAMPLE 78

2-BENZYL-3-CYCLOPENTYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 1.68 (m, 2 H), 1.90 (m, 6 H), 3.47 (m, 1 H), 5.75 (s, 2 H), 7.06 (t, 1 H), 7.11 (d, 2 H), 7.30 (m, 3 H), 7.61 (d, 1 H), 7.67 (d, 1 H).

LCMS: M+H: 345.2.

EXAMPLE 79

2-BENZYL-3-CYCLOHEXANYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 1.19-1.30 (m, 4 H), 1.78-1.92 (m, 6 H), 2.98 (t, 1 H), 5.75 (s, 2 H), 7.01 (t, 1 H), 7.15 (d, 2 H), 7.32 (m, 3 H), 7.55 (d, 1 H), 7.96 (d, 1 H).

LCMS: M+H: 359.3.

EXAMPLE 80

[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENYL]-METHANOL

This compound was prepared similarly to that of Example 7.

$(CDCl_3)$ δ = 7.61 (m, 2 H), 7.39 (m, 2 H), 7.23 (m, 6 H), 7.02 (m, 3 H), 5.59 (s, 2 H), 4.64 (s, 2 H), 2.05 (s, br, 1 H).

LCMS: M+H: 383.3.

EXAMPLE 81

[3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENYL]-PHENYLAMINE

This compound was prepared similarly to that of Example 4.

$(CDCl_3)$ δ = 5.62 (s, 2 H), 6.82 (d, 1 H), 6.90 (t, 1 H), 6.94 (s, 1 H), 6.95-7.05 (m, 5 H), 7.09 (d, 1 H), 7.12-7.20 (m, 5 H), 7.28 (t, 1 H), 7.58 (d, 1 H), 7.66 (d, 1 H).

LCMS: M+H: 444.5; M-H: 442.7.

EXAMPLE 82

3-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOL

This compound was prepared similarly to that of Example 2.

(acetone) δ = 8.73 (s, br, 1 H), 7.86 (d, 1 H), 7.71 (d, 1 H), 7.45-7.40 (m, 1 H), 7.33-7.24 (m, 3 H), 7.22 (t, 1 H), 7.17-7.12 (m, 2 H), 7.07-7.01 (m, 3 H), 5.78 (s, 2 H).

LCMS: M+H: 369.2; M-H: 367.0.

EXAMPLE 83

2-(2-CHLORO-BENZYL)-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.81 (d, 1 H), 7.69 (d, 1 H), 7.51-7.45 (m, 3 H), 7.38-7.33 (m, 3 H), 7.22 (t, 1 H), 7.16 (q, 2 H), 6.69 (d, 1 H), 5.80 (s, 2 H).

LCMS: M+H: 387.2.

EXAMPLE 84

2-NAPHTHALEN-1-YLMETHYL-3-PHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 8.02-7.97 (m, 1 H), 7.89-7.83 (m, 1 H), 7.81-7.73 (m, 2 H), 7.68 (d, 1 H), 7.53-7.48 (m, 2 H), 7.42 (m, 3 H), 7.36 (d, 2 H), 7.29 (t, 1 H), 7.95 (t, 1 H), 6.69 (d, 1H), 6.20 (s, 2 H).

LCMS: M+H: 403.4.

EXAMPLE 85

2-BENZYL-3-NAPHTALEN-2-YL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.98-7.91 (m, 2 H), 7.83-7.75 (m, 3 H), 7.68 (d, 1 H), 7.62-7.55 (m, 2 H), 7.46 (d, 1 H), 7.30-7.23 (m, 3 H), 7.16-7.11 (m, 3 H), 5.73 (s, 2 H).

LCMS: M+H: 403.4.

EXAMPLE 86

2-BENZYL-3-(4-METHOXY-3-METHYLPHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.65 (d, 1 H), 7.56 (d, 1 H), 7.19 (m, 3 H), 7.05 (m, 5 H), 6.84 (d, 1 H), 5.59 (s, 2 H), 3.83 (s, 3 H), 2.16 (s, 3 H).
LCMS: M+H: 397.4.

EXAMPLE 87

2-BENZYL-3-METHYLPHENYL-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.65 (d, 1 H), 7.57 (d, 1 H), 7.27(m, 8 H), 7.05 (m, 5 H), 5.60 (s, 2 H), 2.31 (s, 3 H).
LCMS: M+H: 367.1.

EXAMPLE 88

2-BENZYL-3-(2,3-DIMETHYLPHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.56 (d, 1 H), 7.42 (d, 1 H), 7.24 (d, 1 H), 7.11 (m, 4 H), 6.98 (t, 1 H), 6.91 (m, 3 H), 5.42 (dd, 2 H), 2.24 (s, 3 H), 1.59 (s, 3 H).
LCMS: M+H: 381.5.

EXAMPLE 89

2-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-BENZALDEHYDE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 9.34 (s, 1 H), 8.04 (d, 1 H), 7.73-7.65 (m, 3 H), 7.46 (d, 1 H), 7.31 (dd, 1 H), 7.21-7.09 (m, 4 H), 6.94 (d, 2 H), 5.59 (s, 2 H).
LCMS: M+H: 381.2.

EXAMPLE 90

2-BENZYL-3-(2-ISOPROPYL-PHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.55 (d, 1 H), 7.41 (t, 2 H), 7.36 (d, 1 H), 7.15 (t, 1 H), 7.12-7.07 (m, 3 H), 7.00-6.91 (m, 4 H), 5.40 (dd, 2 H), 2.41-7.31 (m, 1 H), 0.96 (d, 3 H).

LCMS: M+H: 395.0.

EXAMPLE 91

2-BENZYL-3-(2-CYCLOHEXYL-PHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.69 (d, 1 H), 7.56-7.49 (m, 2 H), 7.46 (d, 1 H), 7.30-7.25 (m, 1 H), 7.25-7.20 (m, 3 H), 7.10 (t, 1 H), 7.06-7.02 (m, 3 H), 5.54 (dd, 2 H), 2.08 (m, 1 H), 1 72 (d, 1 H), 1.67-1.53 (m, 3 H), 1.42-1.27 (m, 2 H), 1.20-1.07 (m, 2 H), 0.99-0.84 (m, 2 H).

LCMS: M+H: 435.5.

EXAMPLE 92

2-BENZYL-3-(2-BENZYLPHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.52 (d, 1 H), 7.36-7.28 (m, 2 H), 7.20 (d, 1 H), 7.16 (t, 1 H), 7.12-7.06 (m, 3 H), 7.03-7.95 (m, 3 H), 6.94-6.87 (m, 4 H), 6.53 (d, 2 H), 5.06 (dd, 2 H) 3.37 (dd, 2 H).

LCMS: M+H: 443.9.

EXAMPLE 93

2-BENZYL-3-[2-(1,1,2,2-TETRAFLUOROETHOXY)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

$(CDCl_3)$ δ = 7.65 (d, 1 H), 7.56 (t, 2 H), 7.51 (d, 1 H), 7.34 (t, 1 H), 7.22-7.16 (m, 4 H), 7.11 (t, 1 H), 7.06-6.99 (m, 2 H), 5.58 (dd, 2 H), 5.32 (tt, 1 H).

LCMS: M+H: 469.7.

EXAMPLE 94

2-BENZYL-3-(2-CHLORO-5-FLUORO-PHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.67 (d, 1 H), 7.54 (d, 1 H), 7.39-7.35 (m, 1 H), 7.30-7.23 (m, 3 H), 7.16-7.08 (m, 3 H), 6.90 (td, 1 H), 6.35 (dd, 1 H), 5.67 (s, 2 H).

LCMS: M+H: 419.3; M-H: 417.4.

EXAMPLE 95

2-BENZYL-3-(9H-FLOUREN-2-YL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.90 (d, 1 H), 7.86 (d, 1 H), 7.78 (d, 1 H), 7.67 (d, 1 H), 7.60 (d, 1 H), 7.50 (s, 1 H), 7.44 (t, 1 H), 7.41-7.35 (m, 2 H), 7.29-7.22 (m, 3 H), 7.18-7.10 (m, 3 H), 5.73 (s, 2 H), 3.95 (s, 2H).

LCMS: M+H: 441.5; M-H: 439.4.

EXAMPLE 96

2-BENZYL-3-(4-BENZYLPHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 7.61 (d, 1 H), 7.52 (d, 1 H), 7.25-7.06 (m, 12 H), 6.99-6.92 (m, 3 H), 5.56 (s, 2 H), 3.94 (s, 2 H).

LCMS: M+H: 443.6.

EXAMPLE 97

3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-BENZALDEHYDE

This compound was prepared similarly to that of Example 1.

($CDCl_3$) δ = 9.99 (s, 1 H), 7.99 (dt, 1 H), 7.83 (t, 1 H), 7.67 (q, 3 H), 7.60 (dt, 1 H), 7.26-7.23 (m, 3 H), 7.15 (t, 1 H), 7.09-7.04 (m, 2 H), 5.68 (s, 2 H).
LCMS: M+H: 381.5.

EXAMPLE 98

2-BENZYL-3-(3-[1,3-DIOXOLAN-2-YL-PHENYL)-7-TRIFLUOROMETHYL INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.73 (d, 1 H), 7.66 (d, 1 H), 7.60 (d, 1H), 7.51 (t, 2 H), 7.37 (d, 1 H), 7.29-7.22 (m, 3 H), 7.15-7.10 (m, 3 H), 5.82 (s, 2 H), 5.68 (s, 2 H), 4.08-4.01 (m, 4 H).
LCMS: M+H: 335.6; M-H: 333.1.

EXAMPLE 99

2-BENZYL-3-(4'-METHOXY-BIPHENYL-4-YL)-7-TRIFLUOROMETHYL INDAZOLE

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.79 (d, 1 H), 7.67 (t, 3 H), 7.60 (d, 2 H), 7.42 (d, 1 H), 7.30-7.23 (m, 3 H), 7.16-7.11 (m, 3 H), 7.03 (d, 2 H), 5.73 (s, 2 H), 3.88 (s, 3 H).
LCMS: M+H: 495.5.

EXAMPLE 100

4'-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-BIPHENYL-4-OL

This compound was prepared similarly to that of Example 1.
($CDCl_3$) δ = 7.79 (d, 1 H), 7.67 (d, 3 H), 7.55 (d, 2 H), 7.42 (d, 2 H), 7.30-7.23 (m, 3 H), 7.17-7.11 (m, 3 H), 6.95 (d, 2 H), 5.74 (s, 2 H).
LCMS: M+H: 445.4; M-H: 443.6.

EXAMPLE 101

2-ALLYL-3-(2,4-DIMETHOXYPHENYL)-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Sodium hydride (60% in oil, 0.025 g, 1.04 mmol) was added in one portion to a solution of 3-(2,4-dimethoxyphenyl)-7-(trifluoromethyl)-1*H*-indazole (0.150 g, 0.49 mmol) in DMF. After the gas evolution ceased, allyl bromide (0.07 mL, 0.7 mmol) was added and the reaction mixture was stirred at ambient temperature to 50°C overnight. The cool reaction mixture was partitioned with EtOAc and 1 N HCl. The organic phase was washed with brine and dried (Na_2SO_4). The resulting residue was purified by flash chromatography or by HPLC chromatography through silica gel columns, 150X12 mm (Biotage, Charlottesville, VA), at 10 mL/min with methyl-t-butyl ether/hexane (gradient elution, 1:9 to 1:1) to give the title compound (0.062 g) as a white solid.

^{1}H NMR (DMSO-d_6): δ 3.75 (s, 3H), 3.86 (s, 3H), 4.87-4.99 (m, 3H), 5.13 (d, 1H), 5.90-5.99 (m, 1H), 6.72 (d, 1H), 6.79 (s, 1H), 7.12 (t, 1H), 7.31 (d, 1H), 7.61-7.68 (m, 2H), MS (ESI) *m/z* 363 ([M+H]$^+$).

EXAMPLE 102

3-(2,4-DIMETHOXYPHENYL)-2-PROPYL-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 3-(2,4-dimethoxyphenyl)-7-(trifluoromethyl)-1*H*-indazole and 1-iodopropane.

^{1}H NMR (DMSO-d_6): δ 0.74 (t, 3H), 1.76-1.85 (m, 2H), 3.87 (s, 3H), 4.10-4.26 (m, 2H), 6.74 (d, 1H), 6.80 (s, 1H), 7.32 (d, 1H), 7.59.7.66 (m, 2H), MS (ESI) *m/z* 365 ([M+H]$^+$.

EXAMPLE 103

7-CHLORO-2-ISOPROPYL-3-(4-METHOXY-2-METHYLPHENYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 7-chloro-3-(4-methoxy-2-methylphenyl)-1*H*-indazole (0.150 g, 0.52 mmol), sodium hydride (60% in oil, 0.025 g, 1.04 mmol) and 2-iodopropane (0.07 mL, 0.7 mmol) to give the title compound (0.059 g) as a white solid.

^{1}H NMR (DMSO-d_6): δ 1.46 (s, 6H), 1.99 (s, 3H), 3.83 (s, 3H), 4.42-4.47 (m, 1H), 6.94-6.98 (m, 2H), 7.04 (s, 1H), 7.20 (dd, 1H, J=0.61 and 8.25 Hz), 7.26 (d, 1H), 7.35 (dd, 1H, J=0.76 and 7.17 Hz), MS (ESI) *m/z* 315 ([M+H]$^+$).

EXAMPLE 104

7-CHLORO-3-(4-METHOXY-2-METHYLPHENYL)-2-PROPYL-2*H*-INDAZOLE

Prepared according to Example 101 from 7-chloro-3-(4-methoxy-2-methylphenyl)-1*H*-indazole (0.150 g, 0.52 mmol), sodium hydride (60% in oil, 0.025 g, 1.04 mmol) and 1-propyl iodide (0.07 mL, 0.7 mmol) to give the title compound (0.058 g) as a white solid.

^{1}H NMR (DMSO-d_6): δ 0.71 (t, 3H), 1.79 (m, 2H), 2.00 (s, 3H), 3.83 (s, 3H), 4.04-4.09 (m, 1H), 4.20-4.25 (m, 1H), 6.94-6.98 (m, 2H), 7.00 (s, 1H), 7.22 (d, 1H), 7.27 (d, 1H), 7.35 (d, 1H), MS (ESI) *m/z* 315 ([M+H]$^+$).

EXAMPLE 105

2-CYCLOPENTYL-3-(2,4-DIMETHOXYPHENYL)-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 3-(2,4-methoxyphenyl)-7-trifluoromethyl-*H*-indazole (2.00 g, 6.20 mmol), sodium hydride (60% in oil, 0.297 g, 7.44 mmol) and cyclopentyl bromide (1.00 mL, 9.30 mmol) to give the title compound (0.892 g) as a white solid.

^{1}H NMR (DMSO-d_6): δ 1.61 (m, 2H), 1.97 (m, 4H) 2.11 (m, 1H), 2.18 (m, 1H), 3.76 (s, 3H), 3.87 (s, 3H), 4.69 (m, 1H), 6.74 (dd, 1H, *J*= 8.4 and 2.3 Hz), 6.79 (d, 1H, *J*= 2.3 Hz), 7.09 (t, 1H, *J*= 7.6 Hz), 7.31 (d, 1H, *J*= 8.4 Hz), 7.58 (d, 1H, *J*=8.4 Hz), 7.63 (d, 1H, *J*=7.0 Hz, MS (EI) *m/z* 390; Anal. calcd for $C_{21}H_{21}F_3N_2O_2$: C: 64.61 H:5.42 N:7.18 Found: C:64.29 H:5.48 N:6.86.

EXAMPLE 106

3-(2,4-DIMETHOXYPHENYL)-2-ISOBUTYL-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 3-(2,4-methoxyphenyl)-7-trifluoromethyl-*H*-indazole (2.00 g, 6.20 mmol), sodium hydride (60% in oil, 0.297 g, 7.44 mmol) and 1-iodo-2-methylpropane (1.07 mL, 9.30 mmol) to give the title compound (0.768 g) as a white solid.

mp 111-112 °C; ^{1}H NMR (DMSO-d_6): δ 0.66 (d, 3H, *J*= 6.7 Hz.), 0.76 (d, 3H, *J*= 6.7 Hz) 2.19 (m, 1H), 3.75 (s, 3H), 3.87 (s, 3H), 3.97 (m,1H), 4.16 (m, 1H), 6.74 (dd, 1H, *J*= 8.4 and 2.3 Hz), 6.79 (d, 1H, *J*= 2.1 Hz), 7.11 (t, 1H, *J*= 7.8 Hz), 7.31 (d, 1H, *J*= 8.4 Hz), 7.60 (d, 1H, *J*=8.3 Hz), 7.65 (d, 1H, *J*=7.0 Hz), MS (ESI) *m/z* 379 ([M+H]+);Anal. calcd for $C_{20}H_{21}F_3N_2O_2$: C:63.48 H:5.59 N:7.40 Found: C:63.37 H:5.66 N:7.36.

EXAMPLE 107

2-(CYCLOHEXYLMETHYL)-3-(2,4-DIMETHOXYPHENYL)-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 3-(2,4-methoxyphenyl)-7-trifluoromethyl-*H*-indazole (1.82 g, 5.64 mmol), sodium hydride (60% in oil, 0.451 g, 11.28 mmol) and (bromomethyl)cyclohexane (4.00 g, 22.5 mmol) to give the title compound (0.987 g) as a white solid.

mp 156-157 °C; ^{1}H NMR (DMSO-d_6): δ 0.73 (m, 1H), 0.82 (m, 1H) 1.03 (m, 2H) 1.23 (m, 1H) 1.30 (m, 1H), 1.50 (m,4H) 1.88 (m, 1H), 3.75 (s, 3H), 3.87 (s, 3H), 3.99 (m,1H), 4.18 (m, 1H), 6.74 (dd, 1H, *J*= 8.4 and 2.3 Hz), 6.79 (d, 1H, *J*= 2.1 Hz), 7.10 (t, 1H, *J*= 7.8 Hz), 7.31 (d, 1H, *J*= 8.4 Hz), 7.59 (d, 1H, *J*=8.3 Hz), 7.64 (d, 1H, *J*=7.0 Hz, MS (ESI) *m/z* 419 ([M+H]+); Anal. calcd for $C_{23}H_{25}F_3N_2O_2$: C:66.02 H:6.02 N:6.69 Found: C:66.03 H:6.05 N:6.64.

EXAMPLE 108

3-(2,4-DIMETHOXYPHENYL)-2-(2-ETHYLBUTYL)-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 3-(2,4-methoxyphenyl)-7-trifluoromethyl-*H*-indazole (2.00 g, 6.20 mmol), sodium hydride (60% in oil, 0.496 g, 12.4 mmol) and 1-bromo-2-ethylbutane (3.07 g, 18.6 mmol) to give the title compound (0.540 g) as a white solid.

^{1}H NMR (DMSO-d_6): δ 0.60 (t, 3H, *J* = 7.3 Hz), 0.67 (t, 3h, *J* = 7.3 Hz), 1.12 (m, 4H), 1.79 (m, 1H), 3.76 (s, 3H), 3.86 (s, 3H), 4.12 (dd, 1H, *J* = 13.6 and 7.3 Hz), 4.24 (dd, 1H, *J*= 13.6 and 7.0 Hz), 6.74 (dd, 1H, *J*= 8.4 and 2.1 Hz), 6.79 (d, 1H, *J*= 2.0 Hz), 7.11 (t, 1H, *J*= 7.8 Hz), 7.32 (d, 1H, *J*= 8.2 Hz), 7.60 (d, 1H, *J*=8.4 Hz), 7.65 (d, 1H, *J*=7.0 Hz), MS (ESI) *m/z* 407 ([M+H]+); Anal. calcd for $C_{22}H_{25}F_3N_2O_2$: C:65.01 H:6.20 N:6.89 Found: C:64.90 H:6.22 N:6.73.

EXAMPLE 109

2-CYCLOBUTYL-3-(2,4-DIMETHOXYPHENYL)-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 3-(2,4-methoxyphenyl)-7-trifluoromethyl-*H*-indazole (2.38 g, 7.40 mmol), sodium hydride (60% in oil, 0.592 g, 14.8 mmol) and bromocyclobutane (3.00 g, 22.2 mmol) to give the title compound (0.896 g) as a white solid.

mp 51-52 °C; ^{1}H NMR (DMSO-d_6): δ 1.80 (m, 2H), 2.25 (m, 1H), 2.39 (m, 1H), 2.74 (m, 2H), 3.75 (s, 3H), 3.87 (s, 3H), 4.65 (m, 1H), 6.74 (dd, 1H, *J*= 8.4 and 2.1 Hz), 6.80 (d, 1H, *J*= 2.1 Hz), 7.11 (t, 1H, *J*= 7.8 Hz), 7.28 (d, 1H, *J*= 8.4 Hz), 7.61 (d, 1H, *J*=8.3 Hz), 7.66 (d, 1H, *J*=7.0 Hz), MS (ESI) *m/z* 377 ([M+H]+); Anal. calcd for $C_{20}H_{19}F_3N_2O_2$: C:63.82 H:5.09 N:7.44 Found: C:64.11 H:5.14 N:7.15.

EXAMPLE 110

3-(2,4-DIMETHOXYPHENYL)-2-(1-ETHYLPROPYL)-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

Prepared according to Example 101 from 3-(2,4-methoxyphenyl)-7-trifluoromethyl-*H*-indazole (2.50 g, 7.75 mmol), sodium hydride (60% in oil, 0.62 g, 15.5 mmol) and 3-bromopentane (3.51 g, 23 mmol) to give the title compound (0.674 g) as a white solid.

mp 138-139°C; ^{1}H NMR (DMSO-d_6): δ 0.51 (t, 3H, *J*= 7.3 Hz), 0.76 (t, 3h, *J*= 7.3 Hz), 1.90 (m, 2H), 2.07 (m, 2H), 3.73 (s, 3H), 3.87 (s, 3H), 4.00 (m, 1H), 6.74 (dd, 1H, *J*= 8.4 and 2.3 Hz), 6.79 (d, 1H, *J*= 2.1 Hz), 7.10 (t, 1H, *J*= 7.8 Hz), 7.23 (d, 1H, *J*= 8.2 Hz), 7.58 (d, 1H, *J*=8.4 Hz), 7.63 (d, 1H, *J*=7.2 Hz, MS (ESI) *m/z* 393 ([M+H]+); Anal. calcd for $C_{21}H_{23}F_3N_2O_2$: C:64.28 H:5.91 N:7.14 Found: C:64.04 H:5.77 N:6.92.

EXAMPLE 111

2,3-DIPHENYL-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

3-Phenyl-7-trifluoromethylindazole (0.2 g, 0.76 mmol), phenyl boronic acid (186 mg, 1.53 mmol), copper II acetate (208 mg, 1.14 mmol), and pyridine (93 uL, 1.14 mmol) in 6 mL methylene chloride were stirred at room temperature for 72 hours. The reaction mixture was filtered through Celite®, poured into NH_4Cl (sat. soln.) and extracted with EtOAc. The combined organic layers were dried with Na_2SO_4, concentrated and the resulting residue was purified by HPLC (10-100 % CH_3CN-H_2O) to provide the desired compound as a white solid (40 mg).

MS (ESI) *m/z* 339 ([M+H]$^+$); HRMS: calcd for $C_{20}H_{13}F_3N_2$, 338.1031; found (ESI), 339.1062.

EXAMPLE 112

3-PHENYL-2-(2-PHENYLETHYL)-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ESI) *m/z* 367 ([M+H]$^+$); Anal. Calcd for $C_{22}H_{17}F_3N_2$: C, 72.12; H, 4.68; N, 7.65. Found: C, 71.96; H, 4.42; N, 7.58.

EXAMPLE 113

2-(4-FLUOROBENZYL)-3-PHENYL-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) *m/z* 371 ([M+H]$^+$); HRMS: calcd for $C_{21}H_{14}F_4N_2$ 370.3492 found (ESI), 370.3487.

EXAMPLE 114

2-(2-CHLORO-6-FLUOROBENZYL)-3-PHENYL-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) *m/z* 405/407 ([M+H]$^+$), MS (ESI) *m/z* 403/405 ([M-H]$^-$); HRMS: calcd for $C_{21}H_{13}ClF_4N_2$, 404.7942; found (ESI), 404.7938.

EXAMPLE 115

2-(4-CHLORO-2-FLUOROBENZYL)-3-PHENYL-7-(TRIFLUOROMETHYL)-2*H*-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) *m/z* 405/407 ([M+H]$^+$); HRMS: calcd for $C_{21}H_{13}ClF_4N_2$, 404.0703; found (ESI), 463.0855.

EXAMPLE 116

2-PHENYL-3-PIPERIDIN-1-YL-7-TRIFLUOROMETHYL-2*H*-INDAZOLE

Preparation of 2-azido-3-trifluoromethyl-benzoic acid (compound of formula (IX)).

A mixture of 1.67 g (10 mmol) of 2-amino-3-(trifluoromethyl)-benzoic acid (compound of formula (VIII)) with 40 ml of 6*N* HCl was cooled down to 5°C and a solution of 760

mg of $NaNO_2$ (11 mmol) in 17 ml of water were added dropwise in such a way that the temperature of the reaction mixture did not exceed 7°C. The resulting solution was stirred at this temperature for 30 minutes and then added slowly to a solution of 20.5 g of NaOAc and 715 mg (11 mmol) of NaN_3 in 40 ml of water. The reaction mixture was stirred overnight at room temperature. The product was extracted with CH_2Cl_2 three times. The solvent was removed *in vacuo* and the residue dried in a desiccator over P_2O_5 affording the required compound in quantitative yield.
(DMSO-d_6) δ =13.84 (s, br, 1H), 8.06 (dd, 1 H), 7.87 (dd, 1 H), 7.42 (t, 1 H).
LCMS: M-H: 229.8.

Preparation of 2-azido-*N*-phenyl-3-trifluoromethyl-benzamide (compound of formula (X)).

2-Azido-3-trifluoromethyl-benzoic acid (460 mg, 2 mmol, compound IX) was dissolved in 2 ml of $SOCl_2$ and the reaction mixture was heated at 80°C for 1 hour. The remaining $SOCl_2$ was evaporated *in vacuo* and the residue was coevaporated with dry benzene. Dry CH_2Cl_2 (2 ml) was added followed by a dropwise addition of 200 µl of aniline (2 mmol). The reaction mixture was stirred at room temperature for 1 hour. Saturated aq. $NaHCO_3$ was added and the product was extracted with CH_2Cl_2. The resulting amide was purified by recrystallization from methanol. The yield was 521 mg (86%).
($CDCl_3$) δ = 8.01 (s, br, 1 H), 7.85 (d, 1 H), 7.72 (d, 1 H), 7.57-7.55 (m, 2 H), 7.34-7.30 (m, 3 H), 7.13 (t, 1 H).
LCMS: M+H: 307.4.

Preparation of 3-chloro-2-phenyl-7-trifluoromethyl-2*H*-indazole (compound of formula (XI)).

2-Azido-*N*-phenyl-3-trifluoromethyl-benzamide (310 mg, 1 mmol, compound of formula (X)) was heated in 2 ml of $SOCl_2$ in a sealed vial at 100°C for 4 hours. After evaporation of $SOCl_2$ *in vacuo* the residue was purified by column chromatography on silica (n-heptane/ethyl acetate, 50:50, as eluent). Yield: 212 mg (72%).
($CDCl_3$) δ = 7.76 (d, 1 H), 7.66-7.60 (m, 3 H), 7.51-7.42 (m, 3 H), 7.14 (t, 1 H).

LCMS: M+H: 297.2; 299.2.

Preparation of 2-phenyl-3-piperidin-1-yl-7-trifluoromethyl-2*H*-indazole (compound of Formula (I)).

3-Chloro-2-phenyl-7-trifluoromethyl-2*H*-indazole (21 mg, 0.07 mmol, compound of formula (XI)) was dissolved in 0.5 ml of DMSO and 100 µl of piperidine (1 mmol) were added. The reaction mixture was stirred overnight at 110°C. After cooling to room temperature, 10 volumes of water were added. The supernatant was removed and the residue was purified by column chromatography on silica (n-heptane/ethyl acetate, 50:50, as eluent) to provide the title compound as a white solid (9 mg, 37%).
($CDCl_3$) δ = 7.92 (d, 1 H), 7.79-7.77 (m, 2 H), 7.48-7.46 (m, 1 H), 7.43-7.40 (m, 2 H), 7.34-7.30 (m, 1 H), 6.88 (t, 1 H), 3.15-3.13 (m, 4 H), 1.55-1.49 (m, 6 H).
LCMS: M+H: 346.0.

EXAMPLE 117

2-CYCLOPENTYL-7-FLUORO-3-(4-METHOXYPHENYL)-2*H*-INDAZOLE

Prepared according to Example 116 from 7-fluoro-3-(4-methoxyphenyl)-1*H*-indazole (0.75 g, 3.1 mmol), sodium hydride (60% in oil, 0.124 g, 3.1 mmol) and cyclopentylbromide (0.36 mL, 3.3 mmol) to give the title compound (0.055 g) as a white solid.
^{1}H NMR- (DMSO-d_6, 400 MHz) d: 1.70 (m, 2H), 1.89 (m, 2H), 2.14 (m, 4H), 3.82 (s, 3H), 5.27 (m, 1H), 7.08 (d, 2H), 7.15 (m, 1H), 7.23 (m, 1H), 7.815 (d, 1H) 7.855 (d, 2H); MS (ESI$^+$, *m/z*) : 311 $[M+H]^+$.

EXAMPLE 118

3-(4-METHOXYPHENYL)-7-METHYL-1*H*-INDAZOLE

A solution of (2-fluoro-3-methylphenyl)-(4-methoxy-phenyl)-methanone (3.6 g, 14.7 mmol), hydrazine hydrate (4.3 mL, 140 mmol) and DMAP (1.8 g, 14.7 mmol) in pyridine was heated at 100 C for 24-48 hrs. The cooled reaction mixture was partitioned with EtOAc and 1 N HCl. The organic phase was washed with brine and

dried (Na_2SO_4). The resulting residue was purified by flash chromatography to give the product (1.7 g) as a yellow solid.

^1H NMR (DMSO-d_6): δ 2.52 (s, 3H), 3.81 (s, 3H), 7.06 (m, 3H), 7.13 (d, 1H), 7.81 (d, 1H), 7.89 (d, 2H), 13.132 (s, 1 H); MS (APCI) *m/z* 239 ($[M+H]^+$).

EXAMPLE 119

3-(4-METHOXYPHENYL)-7-TRIFLUOROMETHYL-1*H*-INDAZOLE

Prepared as in Example 104 from (2-fluoro-3-trifluoromethylphenyl)-(4-methoxy-phenyl)-methanone (3.6 g, 14.7 mmol), hydrazine hydrate (4.3 mL, 140 mmol) and DMAP (1.8 g, 14.7 mmol) to give the product (1.7 g) as a yellow solid.

^1H NMR (DMSO-d_6, 300 MHz): δ 2.52 (s, 3H), 3.82 (s, 3H), 7.07 (d, 2H), 7.18 (t, 1H), 7.48 (d, 1H), 7.91 (d, 2H), 8.0 (d, 1H), 13.132 (s, 1 H); MS (APCI) *m/z* 239 ($[M+H]^+$).

EXAMPLE 120

7-CHLORO-3-(4-METHOXYPHENYL)-1*H*-INDAZOLE

Prepared as in Example 104 from (3-chloro-2-fluoro-phenyl)-(4-methoxy-phenyl)-methanone (0.84 g, 3.2 mmol), hydrazine hydrate (1.0 mL, 32 mmol) and DMAP (0.39 g, 3.2 mmol) to give the product (0.75 g) as a white solid.

^1H NMR (DMSO-d_6): δ 3.81 (s, 3H), 7.06 (d, 2H), 7.13 (d, 1H), 7.81 (d, 1H), 7.89 (d, 2H), 13.52 (s, 1 H); MS (APCI) *m/z* 259 ($[M+H]^+$).

EXAMPLE 121

7-FLUORO-3-(4-METHOXYPHENYL)-1*H*-INDAZOLE

Prepared as in Example 104 from (2,3-difluorophenyl)-(4-methoxy-phenyl)-methanone (1.1 g, 4.4 mmol), hydrazine hydrate (1.37 mL, 44 mmol) and DMAP (0.54 g, 4.4 mmol) to give the product (0.85 g) as a white solid.

^1H NMR (DMSO-d_6): δ 3.82 (s, 3H), 7.06 (d, 3H), 7.1-7.25 (m, 2H), 7.83 (d, 1H), 7.92 (d, 2H), 13.53 (s, 1 H); MS (APCI) *m/z* 243 ($[M+H]^+$).

EXAMPLE 122

7-FLUORO-3-(4-METHOXY-3-METHYLPHENYL)-1*H*-INDAZOLE

Prepared as in Example 104 from (2,3-difluorophenyl)-(4-methoxy-3-methyl-phenyl)-methanone (0.9 g, 3.45 mmol), hydrazine hydrate (1.06 mL, 34 mmol) and DMAP (0.42 g, 3.45 mmol) to give the product (0.80 g) as a yellow solid.

^{1}H NMR (DMSO-d_6): δ 2.247 (s, 3H), 3.845 (s, 3H), 7.07 (d, 1H), 7.13 (m, 1H), 7.22 (m, 1H), 7.75 (m, 2H), 7.83 (d, 1H), 13.62 (broad s, 1H); MS (ESI) *m/z* 257 ([M+H]$^+$).

EXAMPLE 123

3-(2,4-DIMETHOXYPHENYL)-7-(TRIFLUOROMETHYL)-1*H*-INDAZOLE

Prepared as in Example 104 from (2,4-dimethoxyphenyl)[2-fluoro-3-(trifluoromethyl)phenyl]methanone (1.50 g, 5.17 mmol), hydrazine hydrate (1.61 mL, 51.7 mmol) and DMAP (0.632 g, 5.17 mmol) to give the product (0.619 g) as a yellow solid.

^{1}H NMR (DMSO-d_6): δ 3.78 (s, 3H), 3.83 (s, 3H), 6.66 (dd, 1H, J = 2.38 and 8.33Hz), 6.75 (s, 1H), 7.24 (t, 1H), 7.43 (d, 1H), 7.72 (d, 1H), 7.89 (d, 1H); MS (APCI) *m/z* 323 ([M+H]$^+$); Anal. calcd for $C_{16}H_{13}F_3N_2O_2$: C:59.63 H:4.07 N:8.69 Found: C:59.91 H:4.08 N:7.95.

EXAMPLE 124

3-(4-METHOXY-2-METHYLPHENYL)-7-(TRIFLUOROMETHYL)-1*H*-INDAZOLE

Prepared as in Example 104 from [2-fluoro-3-(trifluoromethyl)phenyl](4-methoxy-2-methylphenyl)methanone (1.34 g, 4.90 mmol), hydrazine hydrate (1.61 mL, 51.7 mmol) and DMAP (0.632 g, 5.17 mmol) to give the product (0.620 g) as a yellow solid.

^{1}H NMR (DMSO-d_6): δ 2.31 (s, 3H), 3.81 (s, 3H), 6.92 (d, 1H), 6.98 (s, 1H), 7.29 (t, 1H), 7.41 (d, 1H), 7.70 (d, 1H), 7.90 (d, 1H); MS (APCI) *m/z* 307 ([M+H]$^+$); Anal. calcd for $C_{16}H_{13}F_3N_2O$: C:62.74 H:4.28 N:9.15 Found: C:62.35 H:4.01 N:9.34.

EXAMPLE 125

3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-1*H*-INDAZOLE

Prepared as in Example 104 from (2,4-dimethoxyphenyl)[2,3-difluorophenyl]methanone (1.60 g, 5.8 mmol), hydrazine hydrate (1.79 mL, 5702 mmol) and DMAP (0.632 g, 57.5 mmol) to give the product (1.66 g) as a yellow solid.
^{1}H NMR (DMSO-d_6): δ 3.77 (s, 3H), 3.83 (s, 3H), 6.65 (dd, 1H, J=2.13 and 8.40Hz), 6.72 (s, 1H), 7.02-7.05 (m, 1H), 7.13-7.17 (m, 1H), 7.41 (t, 1H), 13.54 (broad s, 1H)
MS (ESI) *m/z* 273 ([M+H]$^+$).

EXAMPLE 126

7-FLUORO-3-(4-METHOXY-2-METHYLPHENYL)-1*H*-INDAZOLE

Prepared as in Example 104 from [2-fluoro-3-(trifluoromethyl)phenyl](4-methoxy-2-methylphenyl)methanone (1.34 g, 4.90 mmol), hydrazine hydrate (1.61 mL, 51.7 mmol) and DMAP (0.632 g, 5.17 mmol) to give the product (0.620 g) as a yellow solid.
^{1}H NMR (DMSO-d_6): δ 2.30 (s, 3H), 3.80 (s, 3H), 6.89 (dd, 1H, J=2.44 and 8.39Hz), 6.95 (s, 1H), 7.06-7.11 (m, 1H), 7.19-7.22 (m, 1H), 7.38-7.40 (m, 2H), 13.64 (broad s, 1H), MS (ESI) *m/z* 257 ([M+H]$^+$).

EXAMPLE 127

7-CHLORO-3-(4-METHOXY-2-METHYLPHENYL)-1*H*-INDAZOLE

Prepared as in Example 104 from [2-fluoro-3-chlorophenyl](4-methoxy-2-methylphenyl)methanone (1.26 g, 4.52 mmol), hydrazine hydrate (1.61 mL, 51.7 mmol) and DMAP (0.632 g, 5.17 mmol) to give the product (0.613 g) as a yellow solid.
^{1}H NMR (DMSO-d_6): δ 2.31 (s, 3H), 3.81 (s, 3H), 6.89 (dd, 1H, J=2.57 and 8.53Hz), 6.96 (s, 1H), 7.13 (t, 1H), 7.39 (d, 1H), 7.47 (d, 1H), 7.54 (d, 1H), 13.82 (broad s, 1H),
MS (ESI) *m/z* 273 ([M+H]$^+$).

EXAMPLE 128

7-CHLORO-3-(2,4-DIMETHOXYPHENYL)-1*H*-INDAZOLE

Prepared as in Example 104 from (2,4-dimethoxyphenyl)[2-fluoro-3-chlorophenyl]methanone (1.20 g, 4.1 mmol), hydrazine hydrate (1.61 mL, 51.7 mmol) and DMAP (0.632 g, 5.17 mmol) to give the product (0.618 g) as a yellow solid. ^{1}H NMR (DMSO-d_6): δ 3.77 (s, 3H), 3.83 (s, 3H), 6.65 (dd, 1H, J=2.18 and 8.33Hz), 6.73 (s, 1H), 7.07 (t, 1H), 7.41 (d, 1H), 7.55 (d, 1H), 13.52 (broad s, 1H), MS (ESI) *m/z* 289 ([M+H]$^+$).

EXAMPLE 129

4-[2-(2,4-DIMETHYL-BENZYL)-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL]-3-METHYLPHENOL

This compound was prepared similarly to that of Example 1. ^{1}H NMR ($CDCl_3$): δ 7.66 (d, 1 H), 7.52 (d, 1 H), 7.10 (t, 1 H), 6.96-6.68 (m, 6 H), 6.53 (d, 1 H), 5.56 (d, 1 H), 5.42 (d, 1 H), 2.20 (s, 3 H), 2.06 (s, 3 H), 1.76 (s, 3 H). LCMS: M+H: 411.5.

EXAMPLE 130

4-(2-BENZYL-7-TRIFLUOROMETHYL-2*H*-INDAZOL-3-YL)-PHENOL

This compound was prepared similarly to that of Example 2. ^{1}H NMR (acetone-d_6): δ 5.71 (s, 2 H), 7.01-7.06 (m, 2 H), 7.12 (d, 2 H), 7.17 (t, 1 H), 7.21-7.31 (m, 3 H), 7.35-7.40 (m, 2 H), 7.67 (d, 1 H), 7.80 (d, 1 H), 8.84 (s, br, 1 H). LCMS: M+H: 369.2; M-H: 367.0.

EXAMPLE 131

4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2*H*-INDAZOL-3-YL]-3-METHOXYPHENOL

Prepared according to Example 116 from 3-(2,4-dimethoxyphenyl)-7-(trifluoromethyl)-1*H*-indazole and benzyl bromide.

^{1}H NMR ($CDCl_3$): δ 3.558 (s, 3H), 5.55 (q, 2H), 6.52 (m, 2H), 7.09 (m, 2H), 7.18 (m, 4H), 7.263 (d, 1H), 7.56 (t, 2H) MS (ESI) *m/z* 399 ([M+H]$^+$).

EXAMPLE 132

4-(2-CYCLOPENTYL-7-FLUORO-2*H*-INDAZOL-3-YL)PHENOL

A solution of 2-cyclopentyl-7-fluoro-3-(4-methoxyphenyl)-2*H*-indazole (0.055 g, 0.177 mmol) in CH_2Cl_2 containing excess equivalents of cyclohexene (0.3 mL) at –78°C was treated with boron tribromide (0. 70 mL, 0.74 mmol, 4 eq.) and slowly allowed to warm to ambient temperature. The reaction mixture was quenched by dropwise addition of CH_3OH to the cooled reaction mixture. The solvent was removed *in vacuo* and the residue partitioned with EtOAc and 1 N HCl. The organic phase was washed with brine and dried (Na_2SO_4). Removal of the solvent *in vacuo* afforded the crude product. Pure product was obtained by crystallization or flash chromatography through water deactivated silica gel to give the product (0.03 g) as an amber solid. ^{1}H NMR (DMSO-d_6): δ 1.63 (m, 2H), 1.94 (m, 2H), 2.118 (m, 4H), 4.96 (m, 1H), 6.98 (m, 4H), 7.25 (d 1H), 7.36 (d, 2H), 9.925 (s, 1H), MS (ESI) *m/z* 297 ([M+H]$^+$).

EXAMPLE 133

4-(7-CHLORO-2-ISOPROPYL-2*H*-INDAZOL-3-YL)-3-METHYLPHENOL

Prepared according to Example 128 from 7-chloro-2-isopropyl-3-(4-methoxy-2-methylphenyl)-2*H*-indazole (0.05 g, 0.16 mmol), boron tribromide (0.19 mL, 2.0 mmol) and 1.0 mL of cyclohexene to give the product (0.016 g) as a white solid. ^{1}H NMR (DMSO-d_6): δ 1.45 (d, 6H), 1.93 (s, 3H), 4.43-4.48 (m, 1H), 6.77 (dd, 1H, J=2.29 and 8.24 Hz), 6.83 (s, 1H), 6.96 (t, 1H), 7.12 (d, 1H), 7.20 (d, 1H), 7.34 (d, 1H), 9.78 (broad s, 1H), MS (ESI) *m/z* 301 ([M+H]$^+$).

EXAMPLE 134

4-(7-CHLORO-2-PROPYL-2*H*-INDAZOL-3-YL)-3-METHYLPHENOL

Prepared according to Example 128 from 7-chloro-3-(4-methoxy-2-methylphenyl)-2-propyl-2*H*-indazole (0.04 g, 0.13 mmol), boron tribromide (0.20 mL, 2.10 mmol) and 1.0 mL of cyclohexene to give the product (0.023 g) as a white solid.
^{1}H NMR (DMSO-d_6): δ 0.71 (t, 3H), 1.76-1.81 (m, 2H), 1.93 (s, 3H), 4.03-4.08 (m, 1H), 4.19-4.24 (m, 1H), 6.77 (dd,1 H, J=2.29 and 8.24 Hz), 6.82 (s, 1H), 6.96 (t, 1H), 7.12 (d, 1H), 7.22 (d, 1H), 7.34 (d, 1H), 9.78 (broad s, 1H), MS (ESI) *m/z* 301 ([M+H]$^+$).

EXAMPLE 135

2-(2-CHLORO-4-FLUORO-BENZYL)-3-(4-FLUORO-PHENYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

Preparation of 2-fluoro-N-methoxy-N-methyl-3-trifluoromethyl-benzamide

Ten grams (10 g 65 mmol) of 2-fluoro-3-(trifluoromethyl)benzoic acid and oxalyl chloride in 10 mL of anhydrous CH_2Cl_2 were treated with a few drops of DMF, and stirred at ambient temperature for 1 hour (gas evolution ceased). *N,O-Dimethyhydroxylamine hydrochloride* (16.8 g, 174 mmol) was added followed by 16 ml of pyridine. The reaction mixture was stirred overnight, then washed successively with H_2O, 2 N HCl, and brine. The resulting solution was dried over sodium sulfate. Evaporation of solvents *in vacuo* gave 13.3 g of product as an oil, which was pure enough to be used in the next step without further purification.
($CDCl_3$) δ: 7.68 (t, 1 H), 7.62 (t, 1 H), 7.30 (t, 1 H), 3.52 (s, br, 3 H), 3.35 (s, br, 3 H).
LCMS: M+H: 252.3.

Preparation of (2-fluoro-3-trifluoromethyl-phenyl)-(4-fluoro-phenyl)-methanone

2M Et_2O solution of 4-fluorophenylmagnesium bromide (32.5 ml; 65 mmol) was added to a solution of 12.8 g (65 mmol) of 2-fluoro-*N*-methoxy-*N*-methyl-3-trifluoromethyl-benzamide in 150 ml of THF at 0°C. The reaction mixture was heated

overnight at 50°C. The reaction mixture was partitioned with EtOAc and H_2O. The organic phases were washed with brine and dried over Na_2SO_4. The resulting ketone (135 mg) was obtained after flash chromatographic purification using hexane/ CH_2Cl_2 (3:1, v/v) as an eluent.

^{1}HNMR (DMSO-d_6) δ: 7.43 (t , 2H), 7.61 (t, 1H), 7.9 (m, 3H), 8.06 (t, 1H).

Preparation of 3-(4-Fluoro-phenyl)-7-trifluoromethyl-1*H*-indazole

(2-Fluoro-3-trifluoromethyl-phenyl)-(4-fluoro-phenyl)-methanone (6.58 g, 23 mmol) was dissolved in 20 ml of pyridine. Hydrazine hydrate (7.36 mL, 230 mmol) and 4-(dimethylamino)-pyridine (2.8 g, 23 mmol) were added. The reaction mixture was stirred overnight at 110°C. After cooling to room temperature, EtOAc and 2N HCl were added. The organic phase was washed three times with brine, dried over $NaSO_4$ and concentrated under vacuum. Purification of the crude product by plug filtration (silica gel, CH_2Cl_2) provided 5.18 g product as a white solid.
^{1}HNMR (DMSO-d_6) δ: 7.39 (t , 3H), 7.82 (d, 1H), 8.04 (dt, 2H), 8.37 (d, 1H), 13.8 (s 1H).

Preparation of 2-(2-Chloro-4-fluoro-benzyl)-3-(4-fluoro-phenyl)-7-trifluoromethyl-2H-indazole.

To a solution of 3-(4-fluoro-phenyl)-7-trifluoromethyl-1*H*-indazole (6.43 g, 23 mmol) in 20 mL DMF was added 2-chloro-4-fluoro benzyl bromide (7.0 g, 31 mmol). The reaction mixture was heated overnight at 120°C. After cooling to room temperature, ethyl acetate was added and the solution was washed three times with brine. The organic layer was dried over $NaSO_4$ and concentrated. Flash chromatography (hexane/ CH_2Cl_2, 1:1, as eluent) afforded 4.1 g of pure product. Three grams (3 g) of product were recrystallized from 10 mL of isopropanol to recover 1.98 g of crystalline product, mp 78°C.

^{1}HNMR (DMSO-d_6) δ: 5.77 (s, 2H), 6.92 (dt, 1H), 7.15 (dt , 1H), 7.24 (t, 1H), 7.43 (m, 3H), 7.63 (m, 2H), 7.76 (d, 1H), 7.83 (d, 1H).
MS (ES, m/z): [423/425]$^+$.

EXAMPLE 136

2-(2-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 389; mp 89-90°C.

EXAMPLE 137

2-(2-CHLOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 405.

EXAMPLE 138

2-(3,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 407.

EXAMPLE 139

3-(4-FLUOROPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 425; mp 125°C.

EXAMPLE 140

2-(4-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ESI) m/z 389.

EXAMPLE 141

2-(4-CHLOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 405.

EXAMPLE 142

2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 407.

EXAMPLE 143

2-(2,4-DIMETHYLBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 399.

EXAMPLE 144

METHYL 2-(2,4-DIMETHYLBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE-7-CARBOXYLATE

A solution of 2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-indazole (0.43g, 1.08 mmol) in 10 mL of methylene chloride containing 0.1 mL of cyclohexene was treated with boron tribromide (0.48 mL, 4.3 mmol) at -78°C. The reaction mixture was allowed to warm to room temperature. The reaction mixture was quenched by the slow addition of methanol. The reaction mixture was partitioned with 2 N HCl and the organic phase was washed with brine. The oranic

phase was concentrated *in vacuo* and purified by flash chromatography (silica gel 60; CH_2Cl_2 then CH_2Cl_2-EtOAc, 7:3) to give 0.43g of the title compound as a white solid.
MS (ESI) m/z 389.

EXAMPLE 145

2-(2,4-DIMETHYLBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE-7-CARBOXYLIC ACID

A solution of methyl 2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-2H-indazole-7-carboxylate (0.195 g, 0.5 mmol) in 5 mL methanol was treated with 1 mL of 1N NaOH and stirred at 50°C for 1 hour. The solution was neutralized with dilute HCl and partitioned with ethyl acetate and H_2O. The organic phase was dried (Na_2SO_4) and concentrated *in vacuo* to give 0.95 mg of the title compound as a yellow solid.
MS (ESI) m/z 375.

EXAMPLE 146

[2-(2,4-DIMETHYLBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOL-7-YL]METHANOL

A solution of methyl 2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-2H-indazole-7-carboxylate (0.100 g, 0.25 mmol) and lithium aluminum (0.1g, 0.25 mmol) in 5 mL THF was stirred at ambient temperature for 1 hour. Excess hydride was decomposed by the addition of 0.1 mL H_2O, 0.1 mL 1N NaOH, 0.3 mL H_2O and 100 mg of Na_2SO_4. The solids were filtered and the filtrate concentrated *in vacuo* to give 0.09g of product as a light yellow solid.
MS (ESI) m/z 361.

EXAMPLE 147

ETHYL 1-{4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-4-CARBOXYLATE

A solution of 2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-indazole (0.187 g, 0.47 mmol), ethyl isonipicotate (77 uL, 0.5 mmol) and potassium *t*-butoxide

(0.056g, 0.5 mmol) in DMA was heated at 120°C for 72 hours. The reaction mixture was partitioned with ethyl acetate and H_2O. The organic phase was adsorbed on silica gel and purified by flash chromatography (CH_2Cl_2) to give 0.008 g product.
MS (ESI) m/z 536.

EXAMPLE 148

2-BENZYL-3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 387.

EXAMPLE 149

1-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-4-CARBOXAMIDE

A solution of 2-benzyl-3-(4-chlorophenyl)-7-(trifluoromethyl)-2H-indazole (0.1 g, 0.25 mmol), isonipecotamide (0.039 g, 0.3 mmol), tris-(dibenzylidineacetone)dipalladium(0) (14 mg), 2-dicyclohexylphosphino-2'-(N,N-dimethylamino)biphenyl (47 mg) and sodium *t*-butoxide (0.029g, 0.3 mmol) in 2 mL of dimethoxyethane was heated at 150°C for 5 minutes in a microwave (Emory's Optimizer, Personal Chemistry). The reaction mixture was concentrated *in vacuo*. The residue was purified by flash chromatography (CH_2Cl_2-CH_3OH, 19:1) to give the product (0.034 g) as an off-white solid.
MS (ESI) m/z 479.

EXAMPLE 150

ETHYL 1-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-4-CARBOXYLATE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 508.

EXAMPLE 151

(1-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 466.

EXAMPLE 152

3-(4-CHLOROPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 441.1.

EXAMPLE 153

2-(2-CHLORO-4-FLUOROBENZYL)-3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 439.1.

EXAMPLE 154

2-(4-CHLORO-2-FLUOROBENZYL)-3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 439.1.

EXAMPLE 155

3-(4-CHLOROPHENYL)-2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ES) m/z 415.2.

EXAMPLE 156

2-(4-CHLORO-2-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 423.1.

EXAMPLE 157

2-(2-CHLORO-6-FLUOROBENZYL)-3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 439.2.

EXAMPLE 158

2-(2-CHLORO-6-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
ms (ES) m/z 423.2.

EXAMPLE 159

4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]-3-METHYLPHENOL

A solution of 2-(2,4-Dimethylbenzyl)-3-(4-methoxy-2-methylphenyl)-7-trifluoromethyl-2H-Indazole (0.27 g, 0.63 mmol) and tetrabutylammonium iodide (0.7 g, 1.9 mmol) in 10 mL of CH_2Cl_2 was cooled to -78°C and treated with boron trichloride 1M in CH_2Cl_2 (1.9 mL, 1.9 mmol). The reaction mixture was allowed to warm to ambient temperature. The reaction mixture was partitioned with 1N HCl and the organic

phase was concentrated *in vacuo*. The residue was purified by flash chromatography to give 0.18 g of title compound as a white solid.
MS (ES) m/z 409.2.

EXAMPLE 160

(1-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 466.

EXAMPLE 161

2-(1-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)ETHANOL

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 480.

EXAMPLE 162

2-BENZYL-3-(4-PIPERIDIN-1-YLPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 436.

EXAMPLE 163

2-BENZYL-3-(4-PYRROLIDIN-1-YLPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 422.

EXAMPLE 164

1-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-OL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 438.

EXAMPLE 165

1-BENZYL-N-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 527.

EXAMPLE 166

1-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-4-CARBOXYLIC ACID

A solution of ethyl 1-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-4-carboxylate (0.06 g, 0.12 mmol) in 5mL of methanol containing 1 mL of 1 N NaOH was stirred overnight at ambient temperature. The reaction mixture was neutralized with dilute HCl and partitioned with ethyl acetate and H_2O. The organic phase was dried (Na_2SO_4) and concentrated *in vacuo*. The residue was triturated with petroleum ether to give the title compound as an amber solid (0.049 g).
MS (ES) m/z 478.2.

EXAMPLE 167

(1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 520.

EXAMPLE 168

(1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 520.

EXAMPLE 169

((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

The racemic compound of Example 169 was resolved by chiral HPLC to give the title compound.
$[\alpha]_D^{25}$ = -9.6° (c = 0.010 g/mL, $CHCl_3$); MS (ES) m/z 520.1.

EXAMPLE 170

((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

The racemic compound of Example 169 was resolved by chiral HPLC to give the title compound.
MS (ES) m/z 520.1.

EXAMPLE 171

ETHYL 1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-4-CARBOXYLATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 562.4.

EXAMPLE 172

1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-OL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 492.

EXAMPLE 173

1-BENZYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS m/z 581.

EXAMPLE 174

N-METHYL-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 519.2.

EXAMPLE 175

3-[4-(2-METHYLPIPERIDIN-1-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 504.2.

EXAMPLE 176

3-[4-(3-METHYLPIPERIDIN-1-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 504.2; mp 103°C.

EXAMPLE 177

3-[4-(4-METHYLPIPERIDIN-1-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 504.2.

EXAMPLE 178

1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-OL

This compound was prepared similarly to that of Example 149.
MS m/z 506.

EXAMPLE 179

(1-{4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 494.2.

EXAMPLE 180

(1-{4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS m/z 494.

EXAMPLE 181

ETHYL 1-{4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-3-CARBOXYLATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 536.2.

EXAMPLE 182

2-(1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)ETHANOL

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 534.2.

EXAMPLE 183

4-PHENYL-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-OL

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 582.2.

EXAMPLE 184

TERT-BUTYL [(1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHYL]CARBAMATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 619.3.

EXAMPLE 185

8-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1,4-DIOXA-8-AZASPIRO[4.5]DECANE

This compound was prepared similarly to that of Example 149.

MS (ESI) m/z 548.

EXAMPLE 186

(3S)-1-BENZYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 581.

EXAMPLE 187

(3R)-1-BENZYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 581.0.

EXAMPLE 188

METHYL ((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETATE

This compound was prepared similarly to that of Example 149.
mp 103°C; MS (ES) m/z 562.0.

EXAMPLE 189

1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-ONE

A solution of 8-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1,4-dioxa-8-azaspiro[4.5]decane (0.195 g, 0.36 mmol) in 2 mL of formic acid was heated at 70°C for 2 hours. The solvent was evaporated and the residue purified by flash chromatography (CH_2Cl_2-EtOAc, 19:1) to give 0.1 g of the title compound.
MS (ES) m/z 503.9.

EXAMPLE 190

((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETIC ACID

A solution of methyl ((3R)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetate (0.045 g, 0.08 mmol) in CH_3OH-THF (1:1, v/v) containing 0.5 mL of 1 N NaOH was stirred overnight at ambient temperature. Then it was neutralized with dilute acid and partitioned with ethyl acetate and brine. The organic phase was dried (Na_2SO_4) and concentrated *in vacuo*. The crude product was crystallized from ether/petroleum ether to give 0.036 g of title compound as a pale yellow solid.

MS (ESI) m/z 548; $[\alpha]_D^{25} = -4.5°$ (c = 0.012 g/mL, $CHCl_3$).

EXAMPLE 191

1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-N-[4-(TRIFLUOROMETHYL)BENZYL]PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.

MS (ES) m/z 663.0.

EXAMPLE 192

ETHYL 1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-2-CARBOXYLATE

This compound was prepared similarly to that of Example 149.

MS (ES) m/z 562.0.

EXAMPLE 193

ETHYL 1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDINE-3-CARBOXYLATE

This compound was prepared similarly to that of Example 149.

MS (ES) m/z 562.0.

EXAMPLE 194

TERT-BUTYL ((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-YL)CARBAMATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 591.0.

EXAMPLE 195

TERT-BUTYL ((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-YL)CARBAMATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 591.0.

EXAMPLE 196

(3S)-1-CYCLOHEXYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 573.

EXAMPLE 197

(3S)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

A solution of tert-butyl ((3S)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-yl)carbamate (0.05 g, 0.085 mmol) in 1 mL CH_2Cl_2 was treated with 0.1 mL of trifluoroacetic acid and stirred at ambient temperature overnight. Then the reaction mixture was partitioned with extra CH_2Cl_2 and saturated $NaHCO_3$. The organic phase was isolated and dried (Na_2SO_4). The solvent was

evaporated and the residue treated with excess HCl in diethyl ether. The solids were collected and dried to give 0.32 g of the title compound as the HCl salt.
MS (ESI) m/z 491.

EXAMPLE 198

(3R)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 197.
MS (ESI) m/z 491.

EXAMPLE 199

(3S)-1-(3-METHYLBUT-2-ENYL)-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS m/z 559.

EXAMPLE 200

(3R)-1-(3-METHYLBUT-2-ENYL)-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS m/z 559.

EXAMPLE 201

(3R)-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1-(3,3,3-TRIFLUOROPROPYL)PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 585.0.

EXAMPLE 202

(3R)-1-(2-METHYLPROP-2-ENYL)-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 545.0.

EXAMPLE 203

(3R)-1-[(2E)-PENT-2-ENYL]-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 559.

EXAMPLE 204

(3R)-1-HEXYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 575.

EXAMPLE 205

(3R)-1-CYCLOPENTYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 559.1.

EXAMPLE 206

(3R)-1-ISOPROPYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.

MS (ESI) m/z 533.

EXAMPLE 207

(3S)-1-HEXYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 575.

EXAMPLE 208

(3S)-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1-(3,3,3-TRIFLUOROPROPYL)PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 587.

EXAMPLE 209

(3S)-1-(2-METHYLPROP-2-ENYL)-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 545.

EXAMPLE 210

(3S)-1-[(2E)-PENT-2-ENYL]-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 559.

EXAMPLE 211

(3S)-1-CYCLOPENTYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 559.

EXAMPLE 212

(3S)-1-ISOBUTYL-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 547.

EXAMPLE 213

METHYL ((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 562.0; mp 103°C.

EXAMPLE 214

((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETIC ACID

This compound was prepared similarly to that of Example 190.
MS (ES) m/z 546.0.

EXAMPLE 215

3-(4-BROMOPHENYL)-2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS m/z 483.

EXAMPLE 216

METHYL ((3R)-1-{4-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 560.0.

EXAMPLE 217

((3R)-1-{4-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETIC ACID

This compound was prepared similarly to that of Example 190.
MS (ES) m/z 543.9.

EXAMPLE 218

3-(4-BROMOPHENYL)-2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 467.0.

EXAMPLE 219

3-(4-BROMOPHENYL)-2-(2,6-DICHLOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 498.9.

EXAMPLE 220

METHYL ((3R)-1-{4-[2-(2,6-DICHLOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 576.1.

EXAMPLE 221

METHYL ((3R)-1-{4-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETATE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 544.2.

EXAMPLE 222

((3R)-1-{4-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETIC ACID

This compound was prepared similarly to that of Example 190.
MS (ES) m/z 528.1.

EXAMPLE 223

((3R)-1-{4-[2-(2,6-DICHLOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)ACETIC ACID

This compound was prepared similarly to that of Example 190.
MS (ES) m/z 562.1.

EXAMPLE 224

3-(3-BROMOPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ESI) m/z 485.

EXAMPLE 225

2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-3-{3-[(TRIMETHYLSILYL)ETHYNYL]PHENYL}-2H-INDAZOLE

A solution of 3-(3-bromophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole (2.0 g, 4.1 mmol) and trimethylsilyl-acetylene-tributyltin in 30 mL of toluene was degassed. Palladium-tetrakis-triphenylphosphine (0.048 g, 0.4 mmol) was added and the mixture heated at reflux for 18 hours. The reaction mixture was concentrated *in vacuo* and purified by flash chromatography (silica 60, hexane-ethyl acetate, 9:1) to give the title compound (1.8g) as a white solid.
MS (ESI) m/z 503.

EXAMPLE 226

3-(3-ETHYNYLPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

A mixture of 2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-3-{3-[(trimethylsilyl) -ethynyl]phenyl}-2H-indazole (1.78 g, 3.54 mmol) and potassium carbonate (0.49 g, 3.54 mmol) in 50 mL of methanol was heated at 50°C for 2 hours. The reaction mixture was concentrated *in vacuo* and the residue partitioned between ethyl acetate and H_2O. The organic phase was dried (Na_2SO_4) and concentrated *in vacuo* to give 1.4 g of a white solid, which was purified by flash chromatography (silica gel 60, hexane-ethyl acetate, 4:1) to give 1.1 g of the title compound.
MS (ESI) m/z 431.

EXAMPLE 227

(3R)-1-(2,4-DIMETHOXYBENZOYL)-N-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PYRROLIDIN-3-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 655.

EXAMPLE 228

ETHYL 3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZOATE

A solution of 3-(3-ethynylphenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole (0.103 g, 0.24 mmol), ethyl 3-iodobenzoate (0.042 mL, 0.25 mmol), tetrabutylammonium acetate (0.108 g, 0.36 mmol) and 8.8 mg of tris-(dibenzylidineacetone)-dipalladium in 2 mL of dry DMF was stirred at ambient temperature for 3 hours. The reaction mixture was partitioned with ethyl acetate and H_2O. The organic phase was concentrated *in vacuo* and purified by flash chromatography (silica 60, CH_2Cl_2-hexane, 1:1) to give the title compound (0.065 g). MS (ESI) m/z 579.

EXAMPLE 229

ETHYL 3-(2-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYL)BENZOATE

A mixture of ethyl 3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoate (0.035 g, 0.06 mmol) and palladium dimethylamine complex (3.5 mg) (ref. Sajiki et. al. *JOC*, 1998, 63, 7990) in 1 mL of methanol was hydrogenated at atmospheric pressure for 24 hours. The catalyst was filtered and washed with methanol. The combined filterates were evaporated to give 35 mg of product as an oil, which solidified on standing.

MS (ES+, $[M+H]^+$ m/z 550.8.

EXAMPLE 230

3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZOIC ACID

A solution of ethyl 3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoate (0.19 g, 0.33 mmol) in CH_3OH-THF (1:1, v/v) containing 1.0 mL of 1 N NaOH was stirred overnight at ambient temperature, then neutralized

with dilute acid and partitioned with ethyl acetate and brine. The organic phase was dried (Na_2SO_4) and concentrated *in vacuo* to give 0.15 g of the title compound as a white solid.

MS (ES) m/z 550.8.

EXAMPLE 231

3-(2-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYL)BENZOIC ACID

The compound was prepared using the same conditions outlined in Example 230.

MS (ESI) m/z 555.

EXAMPLE 232

N-METHYL-3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZAMIDE

A solution of 3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoic acid (0.042 g, 0.076 mmol), methyl amine-HCl (0.006 g, 0.076 mmol) and carbonyl diimidazole (0.013 g, 0.076 mmol) in 2 mL DMF was stirred at ambient temperature overnight. The reaction mixture was partitioned with ethyl acetate and H_2O. The organic phase was concentrated *in vacuo* and purified by flash chromatography (silica 60, CH_2Cl_2-CH_3OH, 25:1) to give 0.017g of the product.

MS (ES) m/z 584.0.

EXAMPLE 233

3-(3-[[3-(MORPHOLIN-4-YLCARBONYL)PHENYL]ETHYNYL}PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 232.

MS (ES) m/z 620.1.

EXAMPLE 234

TERT-BUTYL 4-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZOYL]PIPERAZINE-1-CARBOXYLATE

This compound was prepared similarly to that of Example 232.
MS (ES) m/z 719.1.

EXAMPLE 235

N-METHYL-3-(2-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYL)BENZAMIDE

This compound was prepared similarly to that of Example 232.
MS (ES) m/z 568.1.

EXAMPLE 236

3-(3-{2-[3-(MORPHOLIN-4-YLCARBONYL)PHENYL]ETHYL}PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 232.
MS (ESI) m/z 624.

EXAMPLE 237

TERT-BUTYL 4-[3-(2-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYL)BENZOYL]PIPERAZINE-1-CARBOXYLATE

This compound was prepared similarly to that of Example 232.
MS (ES) m/z 723.2.

EXAMPLE 238

3-{3-[(2,5-DICHLOROPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.

MS (ES) m/z 574.9.

EXAMPLE 239

3-{3-[(2,4-DICHLOROPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 574.9.

EXAMPLE 240

2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-3-(3-[[2-(TRIFLUOROMETHYL)PHENYL]ETHYNYL}PHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 575.1.

EXAMPLE 241

3-{3-[2-(2,4-DICHLOROPHENYL)ETHYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ESI) m/z 579.

EXAMPLE 242

2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-3-(3-{2-[2-(TRIFLUOROMETHYL)PHENYL]ETHYL}PHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ESI) m/z 579.

EXAMPLE 243

3-(3-[2-(2,5-DICHLOROPHENYL)ETHYL]PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 579.1.

EXAMPLE 244

3-[3-(2-PHENYLETHYL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 511.2.

EXAMPLE 245

3-(3-[[2-CHLORO-5-(TRIFLUOROMETHYL)PHENYL]ETHYNYL]PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 609.0.

EXAMPLE 246

3-(3-[(2-BROMO-5-FLUOROPHENYL)ETHYNYL]PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 602.9.

EXAMPLE 247

3-(3-[2-[2-CHLORO-5-(TRIFLUOROMETHYL)PHENYL]ETHYL]PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.

MS (ES) m/z 613.0.

EXAMPLE 248

3-{3-[2-(3-FLUOROPHENYL)ETHYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 529.

EXAMPLE 249

3-{3-[2-(2-BROMO-5-FLUOROPHENYL)ETHYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 608.

EXAMPLE 250

4-AMINO-3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZONITRILE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 547.1.

EXAMPLE 251

3-{3-[(3-CHLORO-2-FLUOROPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 559.0.

EXAMPLE 252

[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]METHANOL

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 537.1.

EXAMPLE 253

4-AMINO-3-(2-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYL)BENZONITRILE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 551.1.

EXAMPLE 254

3-{3-[(2,3-DICHLOROPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 575.0.

EXAMPLE 255

3-{3-[2-(3-CHLORO-2-FLUOROPHENYL)ETHYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 563.0.

EXAMPLE 256

[3-(2-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYL)PHENYL]METHANOL

This compound was prepared similarly to that of Example 229.

MS (ES) m/z 541.1.

EXAMPLE 257

3-{3-[2-(2,3-DICHLOROPHENYL)ETHYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 229.
MS (ES) m/z 576.

EXAMPLE 258

N-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]MORPHOLINE-4-CARBOXAMIDE

Preparation of N-(3-Iodophenyl)morpholine-4-carboxamide.

A solution of 3-Iodophenylisocyanate (0.1g, 0.41 mmol) and morpholine (0.04 mL, 0.45 mmol) in 2 mL dioxane were heated at 100°C overnight. The solvent was evaporated and the resulting product was used without purification.
MS (ES) m/z 331.0.

Preparation of N-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2h-indazol-3-yl]phenyl}ethynyl)phenyl]morpholine-4-carboxamide

The title compound was obtained by reaction of N-(3-Iodophenyl)morpholine-4-carboxamide with the alkyne of Example 228.
MS (ES) m/z 635.2.

EXAMPLE 259

TERT-BUTYL 4-({[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3- YL]PHENYL}ETHYNYL)PHENYL]AMINO} CARBONYL) PIPERAZINE-1-CARBOXYLATE

This compound was prepared similarly to that of Example 258.

MS (ES) m/z 734.3.

EXAMPLE 260

2-METHYL-N-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]PIPERIDINE-1-CARBOXAMIDE

This compound was prepared similarly to that of Example 258.
MS (ES) m/z 647.2.

EXAMPLE 261

3-METHYL-N-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]PIPERIDINE-1-CARBOXAMIDE

This compound was prepared similarly to that of Example 258.
MS (ES) m/z 647.2.

EXAMPLE 262

4-METHYL-N-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]PIPERIDINE-1-CARBOXAMIDE

This compound was prepared similarly to that of Example 258.
MS (ES) m/z 647.2.

EXAMPLE 263

3-(HYDROXYMETHYL)-N-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]PIPERIDINE-1-CARBOXAMIDE

This compound was prepared similarly to that of Example 258.
MS (ES) m/z 663.3.

EXAMPLE 264

N-ISOPROPYL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]UREA

This compound was prepared similarly to that of Example 258.
MS (ES) m/z 607.2.

EXAMPLE 265

N,N-DIPROPYL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]UREA

This compound was prepared similarly to that of Example 258.
MS (ES) m/z 649.3.

EXAMPLE 266

N-METHYL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]UREA

This compound was prepared similarly to that of Example 258.
MS (ESI) m/z 579.

EXAMPLE 267

N,N-DIMETHYL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]UREA

This compound was prepared similarly to that of Example 258.
MS (ES) m/z 593.2.

EXAMPLE 268

3-[3-(PYRIDIN-3-YLETHYNYL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.

MS (ES) m/z 508.1.

EXAMPLE 269

3-[3-(PYRIDIN-4-YLETHYNYL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 508.1.

EXAMPLE 270

N-(METHYLSULFONYL)-N-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]METHANESULFONAMIDE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 678.1.

EXAMPLE 271

METHYL [3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]CARBAMATE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 580.1.

EXAMPLE 272

1-METHYL-3-[3-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PHENYL]IMIDAZOLIDIN-2-ONE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 605.2.

EXAMPLE 273

6-METHYL-2-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PYRIDIN-3-OL

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 538.1.

EXAMPLE 274

3-[3-(PYRIDIN-2-YLETHYNYL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 508.1.

EXAMPLE 275

METHYL 5-CYANO-2-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1H-INDOLE-1-CARBOXYLATE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 603.7.

EXAMPLE 276

2-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)PYRIDIN-3-OL

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 524.1.

EXAMPLE 277

TERT-BUTYL 6-METHYL-5-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-1H-INDOLE-1-CARBOXYLATE

This compound was prepared similarly to that of Example 3.
MS (ES) m/z 852.2.

EXAMPLE 278

TERT-BUTYL 6-FLUORO-5-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-1H-INDOLE-1-CARBOXYLATE

This compound was prepared similarly to that of Example 3.
MS (ES) m/z 656.2.

EXAMPLE 279

4-FLUORO-2-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)ANILINE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 538.1.

EXAMPLE 280

4-CHLORO-2-FLUORO-6-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)ANILINE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 571.9.

EXAMPLE 281

METHYL [4-FLUORO-2-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL) PHENYL]CARBAMATE

This compound was prepared similarly to that of Example 228.
MS (ES) m/z 596.1.

EXAMPLE 282

3-[3-(5-FLUORO-1H-INDOL-2-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

A solution of methyl [4-fluoro-2-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]carbamate (0.07 g, 0.12 mmol) and tetrabutylammonium fluoride (0.24 mL, 0.24 mmol, 1M solution in THF) in 2 mL THF was heated at 60°C for 21 hours. The reaction mixture was concentrated *in vacuo* and the residue purified by normal phase HPLC (silica, hexane-EtOAC, 19:1) to give 0.045 g of product as a white solid.
MS (ES) m/z 539.7.

EXAMPLE 283

3-[3-(5-FLUORO-1-METHYL-1H-INDOL-2-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

A solution of 3-[3-(5-fluoro-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole (0.03 g, 0.056 mmol) in 2 mL THF was treated with sodium hydride (0.004 g, 0.1 mmol, 60 % in oil). The reaction mixture was stirred for 20 minutes and treated with iodomethane (0.007 mL, 0.1 mmol). Stirring was continued for 1 hour. The reaction mixture was concentrated and purified by normal phase HPLC (silica, hexane-EtOAC, 19:1) to give 0.01 g of product as a white solid.
MS (ES) m/z 553.6.

EXAMPLE 284

1-BENZYL-7-CHLORO-3-(4-METHOXY-2-METHYLPHENYL)-1H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 363.

EXAMPLE 285

7-CHLORO-2-(2-FLUOROBENZYL)-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 381.

EXAMPLE 286

7-CHLORO-2-(4-FLUOROBENZYL)-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 381.

EXAMPLE 287

2-BENZYL-7-CHLORO-3-(2,4-DIMETHOXYPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 379.

EXAMPLE 288

7-CHLORO-3-(2,4-DIMETHOXYPHENYL)-2-(2-FLUOROBENZYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 397.

EXAMPLE 289

7-CHLORO-3-(2,4-DIMETHOXYPHENYL)-2-(4-FLUOROBENZYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 397.

EXAMPLE 290

2-BENZYL-7-FLUORO-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 347.

EXAMPLE 291

7-FLUORO-2-(2-FLUOROBENZYL)-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 365.

EXAMPLE 292

7-FLUORO-2-(4-FLUOROBENZYL)-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 365.

EXAMPLE 293

2-(2-CHLORO-6-FLUOROBENZYL)-7-FLUORO-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 399.

EXAMPLE 294

2-(2-CHLOROBENZYL)-7-FLUORO-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 381.

EXAMPLE 295

2-(2,4-DIFLUOROBENZYL)-7-FLUORO-3-(4-METHOXY-2-METHYLPHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 383.

EXAMPLE 296

2-BENZYL-3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 363.

EXAMPLE 297

3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2-(2-FLUOROBENZYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 381.

EXAMPLE 298

3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2-(4-FLUOROBENZYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 381.

EXAMPLE 299

2-(2-CHLORO-6-FLUOROBENZYL)-3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 415.

EXAMPLE 300

2-(2-CHLOROBENZYL)-3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 397.

EXAMPLE 301

2-(2,4-DIFLUOROBENZYL)-3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 399.

EXAMPLE 302

2-(3,4-DIFLUOROBENZYL)-3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 399.

EXAMPLE 303

2-(4-CHLOROBENZYL)-3-(2,4-DIMETHOXYPHENYL)-7-FLUORO-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 397.

EXAMPLE 304

3-(3,4-DIFLUOROPHENYL)-2-(3-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 403.

EXAMPLE 305

2-BENZYL-3-(3,4-DIFLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 389.

EXAMPLE 306

3-(3,4-DIFLUOROPHENYL)-2-(2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 407.

EXAMPLE 307

3-(3,4-DIFLUOROPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 443.

EXAMPLE 308

2-(2,4-DIFLUOROBENZYL)-3-(3,4-DIFLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 425.

EXAMPLE 309

2-(3,4-DICHLOROBENZYL)-3-(3,4-DIFLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 457.

EXAMPLE 310

3-(4-CHLOROPHENYL)-2-(3,4-DICHLOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 455.1.

EXAMPLE 311

3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2-[4-(TRIFLUOROMETHYL)BENZYL]-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 455.1.

EXAMPLE 312

3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2-[2-(TRIFLUOROMETHYL)BENZYL]-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 455.1.

EXAMPLE 313

3-(4-CHLOROPHENYL)-2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 415.2.

EXAMPLE 314

3-(4-CHLOROPHENYL)-2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 423.1.

EXAMPLE 315

3-(4-CHLOROPHENYL)-2-(2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 405.1.

EXAMPLE 316

2-(4-CHLOROBENZYL)-3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 421.1.

EXAMPLE 317

2-(2-CHLOROBENZYL)-3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 421.1.

EXAMPLE 318

2-BENZYL-3-(2,4-DIFLUOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 389.2.

EXAMPLE 319

3-(2,4-DIFLUOROPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 443.1.

EXAMPLE 320

3-(4-CHLOROPHENYL)-2-(3-METHOXYBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 417.

EXAMPLE 321

3-(3-CHLOROPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 441.1.

EXAMPLE 322

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 439.1.

EXAMPLE 323

2-(2-CHLOROBENZYL)-3-(3-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 421.1.

EXAMPLE 324

2-(4-CHLOROBENZYL)-3-(3-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ES) m/z 421.1.

EXAMPLE 325

3-(4-CHLOROPHENYL)-2-(3-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 401.

EXAMPLE 326

3-(4-CHLOROPHENYL)-2-(3-NITROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 432.

EXAMPLE 327

3-(4-CHLOROPHENYL)-2-(2-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 401.

EXAMPLE 328

3-(4-CHLOROPHENYL)-2-(4-METHOXYBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 417.

EXAMPLE 329

3-(4-CHLOROPHENYL)-2-(2,6-DICHLOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 455.

EXAMPLE 330

2-(4-TERT-BUTYLBENZYL)-3-(4-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ESI) m/z 443.

EXAMPLE 331

3-(3-CHLOROPHENYL)-2-(2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ESI) m/z 405.

EXAMPLE 332

3-(3-CHLOROPHENYL)-2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ESI) m/z 423.

EXAMPLE 333

3-(3-CHLOROPHENYL)-2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.

MS (ESI) m/z 415.

EXAMPLE 334

3-(3-CHLOROPHENYL)-7-(TRIFLUOROMETHYL)-2-[4-(TRIFLUOROMETHYL)BENZYL]-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 455.

EXAMPLE 335

3-(3-CHLOROPHENYL)-2-(3,4-DICHLOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 455.

EXAMPLE 336

3-(3-CHLOROPHENYL)-2-(3,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 423.

EXAMPLE 337

3-(3-CHLOROPHENYL)-2-(3-METHOXYBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 417.

EXAMPLE 338

3-(3-CHLOROPHENYL)-2-(3-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 401.

EXAMPLE 339

3-(3-CHLOROPHENYL)-2-(3-NITROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 432.

EXAMPLE 340

3-(3-CHLOROPHENYL)-2-(2-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
MS (ESI) m/z 401.

EXAMPLE 341

(1-{4-[2-(2-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 480.

EXAMPLE 342

2-(2-FLUOROBENZYL)-3-[3-(4-METHYLPIPERIDIN-1-YL)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 468;

EXAMPLE 343

(1-{3-[2-(3,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 502.

EXAMPLE 344

(1-{3-[2-(3-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 480.

EXAMPLE 345

(1-{4-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS m/z 502.

EXAMPLE 346

(1-{4-[2-(2-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 480.

EXAMPLE 347

(1-{3-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 502.

EXAMPLE 348

(1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 520.

EXAMPLE 349

(1-{3-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 502.

EXAMPLE 350

(1-{3-[2-(3-METHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-3-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 480.

EXAMPLE 351

(1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 520.

EXAMPLE 352

(1-{3-[2-(3,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-YL)METHANOL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 502.

EXAMPLE 353

8-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1,4-DIOXA-8-AZASPIRO[4.5]DECANE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 548.

EXAMPLE 354

N-(2-PHENYLETHYL)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 609.

EXAMPLE 355

3-[4-(4-MORPHOLIN-4-YLPIPERIDIN-1-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 575.0.

EXAMPLE 356

7-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1,4-DIOXA-7-AZASPIRO[4.5]DECANE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 548.

EXAMPLE 357

7-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1,4-DIOXA-7-AZASPIRO[4.5]DECANE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 548.

EXAMPLE 358

8-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1,4-DIOXA-8-AZASPIRO[4.5]DECANE

This compound was prepared similarly to that of Example 149.

MS (ES) m/z 548.

EXAMPLE 359

1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-ONE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 504.0.

EXAMPLE 360

3-[3-(3-MORPHOLIN-4-YLPIPERIDIN-1-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 575.2.

EXAMPLE 361

N-BUTYL-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 561.

EXAMPLE 362

N,N-DIPROPYL-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ES) m/z 589.2.

EXAMPLE 363

N-PHENYL-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 581.

EXAMPLE 364

3-{4-[4-(4-METHYLPIPERAZIN-1-YL)PIPERIDIN-1-YL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 588.

EXAMPLE 365

N-(CYCLOHEXYLMETHYL)-1-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 601.

EXAMPLE 366

N-PHENYL-1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 581.

EXAMPLE 367

N-(CYCLOHEXYLMETHYL)-1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 601.

EXAMPLE 368

3-{3-[4-(4-METHYLPIPERAZIN-1-YL)PIPERIDIN-1-YL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 588.

EXAMPLE 369

N-BUTYL-1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 561.

EXAMPLE 370

3-[3-(4-MORPHOLIN-4-YLPIPERIDIN-1-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 575.

EXAMPLE 371

N-(2-PHENYLETHYL)-1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 609.

EXAMPLE 372

N-ETHYL-N-METHYL-1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-AMINE

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 547.

EXAMPLE 373

1-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}PIPERIDIN-4-OL

This compound was prepared similarly to that of Example 149.
MS (ESI) m/z 506.

EXAMPLE 374

3-(1-BENZOTHIEN-2-YL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

A solution of 3-bromo-5-trifluoroindazole (1.8 g, 9.3mmol) and 2,4,6-trifluorobenzyl bromide (2.1g, 9.3 mmol) in 20 mL DMF was heated at 110°C for 48 hours. The reaction mixture was partitioned with EtOAc and H_2O. The organic phase was concentrated *in vacuo* and the residue purified by flash chromatography (hexane-EtOAc: 9:1) to give the intermediate 2-(2,4,6-trifluorobenzyl-3-bromo-5-trifluoroindazole. Then a solution of 2-(2,4,6-trifluorobenzyl-3-bromo-5-trifluoroindazole (0.15 g, 0.37 mmol), 2-benzothiophene boronic acid (0.37 g, 0.21 mmol), palladium dichloro-diphenylphosphonoferrocene (0.02 g. 0.024 mmol) and

potassium phosphate (0.222 g, 1.05 mmol) in ethylene glycol dimethylether (10 mL) was heated at 84°C for 12 hours. The reaction mixture was partitioned with EtOAc and H_2O. The organic phase was concentrated *in vacuo* and the residue purified by flash chromatography (hexane-EtOAc, 10:1) to give the title compound

MS (ES) m/z 463.0.

EXAMPLE 375

3-(1H-INDOL-5-YL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 374.

MS (ESI) m/z 446.

EXAMPLE 376

3-DIBENZO[B,D]THIEN-4-YL-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 374.

MS (ES) m/z 513.0.

EXAMPLE 377

3-(2-NAPHTHYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 374.

MS (ES) m/z 457.1.

EXAMPLE 378

3-[2-(2-FLUORO-4-METHOXYPHENYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 374.

MS (ES) m/z 568.1.

EXAMPLE 379

3-[1-(2,4-DICHLOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

A solution of 3-(1H-indol-5-yl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole (0.025 g, 0.056 mmol) and sodium hydride (60% in oil, 0.003 g, 0.07 mmol) in 1 mL DMF was stirred at ambient temperature under nitrogen for 20 minutes. 2,4-dichlorobenzyl bromide (0.081 g, 0.42 mmol) was added to the reaction mixture, which was stirred overnight and then partitioned between EtOAc and H_2O. The organic phase was concentrated and purified by normal phase HPLC (silica, hexane-EtOAc, 4:1) to provide the title compound.
MS (ES) m/z 604.0.

EXAMPLE 380

3-{1-[5-CHLORO-2-(TRIFLUOROMETHYL)BENZYL]-1H-INDOL-5-YL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 638.0.

EXAMPLE 381

3-[1-(2-CHLOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 570.0.

EXAMPLE 382

3-[1-(2-FLUOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.

MS (ES) m/z 554.1.

EXAMPLE 383

3-[1-(2,4-DIFLUOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 572.

EXAMPLE 384

3-(1-BENZYL-1H-INDOL-5-YL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 536.1.

EXAMPLE 385

3-[1-(4-CHLOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 570.0.

EXAMPLE 386

3-[1-(2-CHLORO-6-FLUOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 588.0.

EXAMPLE 387

3-[1-(2,6-DICHLOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 604.0.

EXAMPLE 388

3-[1-(2,6-DIFLUOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 572.1.

EXAMPLE 389

3-[1-(2-CHLORO-4-FLUOROBENZYL)-1H-INDOL-5-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 588.0.

EXAMPLE 390

3-[1-(2-FLUOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 554.0.

EXAMPLE 391

3-[1-(2,6-DIFLUOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.

MS (ES) m/z 572.1.

EXAMPLE 392

3-[1-(2-CHLORO-4-FLUOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 588.0.

EXAMPLE 393

3-[1-(2-CHLOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 570.0.

EXAMPLE 394

3-[1-(2-CHLORO-6-FLUOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 588.0.

EXAMPLE 395

3-[1-(2,4-DIFLUOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 572.1.

EXAMPLE 396

3-[1-(2,6-DICHLOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 601.9.

EXAMPLE 397

3-[1-(4-CHLOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 570.0.

EXAMPLE 398

3-{1-[5-CHLORO-2-(TRIFLUOROMETHYL)BENZYL]-1H-INDOL-6-YL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 638.1.

EXAMPLE 399

3-(1-BENZYL-1H-INDOL-6-YL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 536.1.

EXAMPLE 400

3-[1-(2,4-DICHLOROBENZYL)-1H-INDOL-6-YL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 379.

MS (ES) m/z 604.0.

EXAMPLE 401

3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOL

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 423.1.

EXAMPLE 402

2-[[3-[1-(2,6-DICHLOROBENZYL)-1H-INDOL-6-YL]-7-(TRIFLUOROMETHYL)-2H-INDAZOL-2-YL]METHYL]-3,5-DIFLUOROPHENOL

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 603.1.

EXAMPLE 403

2-[[3-[1-(2-CHLORO-6-FLUOROBENZYL)-1H-INDOL-6-YL]-7-(TRIFLUOROMETHYL)-2H-INDAZOL-2-YL]METHYL]-3,5-DIFLUOROPHENOL

This compound was prepared similarly to that of Example 379.
MS (ES) m/z 586.1.

EXAMPLE 404

N,N-DIMETHYL-4-(3-[1-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-1H-INDAZOL-3-YL]PHENOXY)BENZENESULFONAMIDE

A solution of 3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-1H-indazol-3-yl]phenol (0.09 g, 0.21 mmol, prepared similarly to that of Example 1), 4-fluoro-N,N-dimethyl-benzenesulfonamide (0.087 g, 0.42 mmol) and potassium carbonate (0.06 g, 0.42 mmol) in 1 mL DMF was heated at 150°C for 3 hours, and then partitioned between EtOAc and H_2O. The organic phase was concentrated and purified by normal phase chromatography (silica, hexane-EtOAc, 4:1) to provide the title compound.

MS (ES) m/z 605.8.

EXAMPLE 405

3-[3-[4-(MORPHOLIN-4-YLSULFONYL)PHENOXY]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 404.
MS (ES) m/z 648.

EXAMPLE 406

N-PROPYL-4-[3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZENESULFONAMIDE

This compound was prepared similarly to that of Example 404.
MS (ESI) m/z 620.

EXAMPLE 407

3-[3-[4-(PYRROLIDIN-1-YLSULFONYL)PHENOXY]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 404.
MS (ES) m/z 632.2.

EXAMPLE 408

3-{3-[4-(PIPERIDIN-1-YLSULFONYL)PHENOXY]PHENYL}-1-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-1H-INDAZOLE

This compound was prepared similarly to that of Example 404.
MS (ESI) m/z 646.

EXAMPLE 409

N,N-DIETHYL-4-{3-[1-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-1H-INDAZOL-3-YL]PHENOXY}BENZENESULFONAMIDE

This compound was prepared similarly to that of Example 404.
MS (ES) m/z 634.3.

EXAMPLE 410

N-ETHYL-N-METHYL-4-{3-[1-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-1H-INDAZOL-3-YL]PHENOXY}BENZENESULFONAMIDE

This compound was prepared similarly to that of Example 404.
MS (ES) m/z 620.3.

EXAMPLE 411

7-FLUORO-2- (4-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ESI) m/z 339.

EXAMPLE 412

7-FLUORO-2-(2-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ESI) m/z 339.

EXAMPLE 413

2-(2,4-DIFLUOROBENZYL)-7-FLUORO-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ESI) m/z 357.

EXAMPLE 414

2-(3,4-DIFLUOROBENZYL)-7-FLUORO-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.

MS (ESI) *m/z* 357.

EXAMPLE 415

2-(4-CHLOROBENZYL)-7-FLUORO-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.

MS (ESI) *m/z* 355.

EXAMPLE 416

7-CHLORO-2-(4-CHLOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.

MS (ESI) *m/z* 371.

EXAMPLE 417

7-CHLORO-2-(4-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.

MS (ESI) m/z 355.

EXAMPLE 418

7-CHLORO-2-(3,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.

MS (ESI) m/z 373.

EXAMPLE 419

7-CHLORO-2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ESI) m/z 373.

EXAMPLE 420

7-CHLORO-2-(2-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ESI) m/z 355.

EXAMPLE 421

1-[2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOL-7-YL]PIPERIDINE-4-CARBOXAMIDE

This compound was made in a similar manner as for Example 149.
MS (ESI) m/z 465.

EXAMPLE 422

2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-MORPHOLIN-4-YL-2H-INDAZOLE

This compound was made in a similar manner as for Example 149.
MS (ESI) m/z 424.

EXAMPLE 423

2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-PIPERIDIN-1-YL-2H-INDAZOLE

This compound was made in a similar manner as for Example 149.
MS (ESI) m/z 422.

EXAMPLE 424

2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-N,N-DIMETHYL-2H-INDAZOL-7-AMINE

This compound was made in a similar manner as for Example 149.
MS (ESI) m/z 382.

EXAMPLE 425

2-(2,4-DIFLUOROBENZYL)-N-ETHYL-3-(4-FLUOROPHENYL)-2H-INDAZOL-7-AMINE

This compound was made in a similar manner as for Example 149.
MS (ESI) m/z 382.

EXAMPLE 426

2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-7-PYRROLIDIN-1-YL-2H-INDAZOLE

This compound was made in a similar manner as for Example 149.
mp 112-114°C; MS (ESI) m/z 408.

EXAMPLE 427

2-(2,4-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE-7-CARBONITRILE

This compound was made in a similar manner as for Example 149.
MS (ESI) m/z 364.

EXAMPLE 428

7-CHLORO-2-(2,4-DICHLOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ES) m/z 405.1.

EXAMPLE 429

7-CHLORO-2-(2-CHLORO-4-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ES) m/z 389.1.

EXAMPLE 430

7-CHLORO-2-(2-CHLORO-6-FLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ES) m/z 389.1.

EXAMPLE 431

7-CHLORO-2-(2,6-DIFLUOROBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ES) m/z 373.1.

EXAMPLE 432

7-CHLORO-3- (4-FLUOROPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ES) m/z 391.1.

EXAMPLE 433

7-CHLORO-2-(2,4-DIMETHYLBENZYL)-3-(4-FLUOROPHENYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ES) m/z 365.2.

EXAMPLE 434
2-(2,4-DIFLUOROBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

Picolinic acid (12.3 g, 100 mmol), N,N-carbonyldiimidazole (17.8 g, 110 mmol) and anhydrous CH_2Cl_2 (150 mL) were combined and stirred at room temperature for 60 minutes. To the reaction mixture was added a solution of *N,O*-dimethylhydroxylamine hydrochloride (10.7 gr, 0.11 mole), anhydrous CH_2Cl_2 (50 mL) and diisopropylethylamine (20mL), and stirring continued overnight. The reaction mixture was concentrated *in vacuo* and purified by flash chromatography (silica gel 60, MeOH/ CH_2Cl_2, 9:1) to afford pyridine-2-carboxylic acid methoxy-methyl-amide (a pale yellow liquid, 15.9 g, 95%); ESI) m/z 167.

Then a solution of pyridine-2-carboxylic acid methoxy-methyl-amide (1.5 g, 9 mmoles) was allowed to react with 2-flouro-3-trifluoromethylphenylmagnesium bromide (2.72 g, 10 mmoles) in THF (30 mL) at 60°C overnight. The reaction mixture was cooled and diluted with ethyl acetate and ammonium chloride. The organic portion was washed with brine and dried over $MgSO_4$ and stripped to afford a crude product, which was purified by chromatography with CH_2Cl_2 to give (6-fluoro-5-trifluoromethyl-cyclohexa-2,4-dienyl)-pyridin-2-yl-methanone as a colorless liquid (1.6 gr., 65%).

Next, a solution of (6-fluoro-5-trifluoromethyl-cyclohexa-2,4-dienyl)-pyridin-2-yl-methanone (1.5 g, 5.6 mmol), 4-dimethylaminopyridine (0.5 g) and hydrazine hydrate (5 mL) in pyridine (20 mL) was heated at 100°C overnight. The reaction mixture was cooled and diluted with brine and EtOAc. The organics were washed with water (3x 25 mL), then brine (25 mL) and dried over $MgSO_4$. The reaction mixture was concentrated *in vacuo* and purified by flash chromatography (silica gel 60, EtOAc/ CH_2Cl_2, 19:1) to afford 3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole as a pale yellow solid (1.1 g, 76 %).

Then a solution of 3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole (0.183 g, 0.7 mmol) and 2,4,6-trifluorobenzyl bromide (0.203 g, 0.9 mmol) in DMF (5 mL) was heated at

120°C. After 5 days, the reaction mixture was partitioned with EtOAc and water. Organics were washed with water (3x), then brine and dried over $MgSO_4$, then stripped and the resulting crude product was flashed by reverse phase HPLC to afford the title compound (0.033 g, 5 %).

MS m/z 390.

EXAMPLE 435

2-(2-CHLORO-4-FLUOROBENZYL)-3-(PYRIDIN-2-YLMETHYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ESI) m/z 420.

EXAMPLE 436

2-(2,4-DIFLUOROBENZYL)-3-(PYRIDIN-2-YLMETHYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ESI) m/z 404.

EXAMPLE 437

2-(2-CHLORO-6-FLUOROBENZYL)-3-(PYRIDIN-2-YLMETHYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ESI) m/z 420.

EXAMPLE 438

2-(2,6-DIFLUOROBENZYL)-3-(PYRIDIN-2-YLMETHYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ESI) m/z 404.

EXAMPLE 439

3-(PYRIDIN-2-YLMETHYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ESI) m/z 422.

EXAMPLE 440

2-(2,6-DICHLOROBENZYL)-3-(PYRIDIN-2-YLMETHYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ESI) m/z 436.

EXAMPLE 441

2-(2,6-DIFLUOROBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 390.1.

EXAMPLE 442

2-(2-METHYLPHENYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

A solution of 3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole (0.2633 g, 1 mmol), 2-methylphenylboronic acid (0.271 g, 2 mmol, copper(II)acetate (0.181 g, 1 mmol) and pyridine (0.161 mL, 2 mmol) in 20 mL of methylene chloride was stirred at ambient temperature for 2 hours. The reaction mixture was concentrated *in vacuo* and purified by flash chromatography (silica gel 60, methylene chloride-ethyl acetate, 3:1) to give the title compound (0.06 g).
MS (ES) m/z 354.0.

EXAMPLE 443

3-(4-BROMOPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS m/z 485.

EXAMPLE 444

{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL] PHENYL}AMINE

Combined in a large microwave vial were 3-(3-chlorophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole (1.0 g, 2.3 mmol), benzophenone-diphenylimine (0.500 g, 2.8 mmol), sodium *t*-butoxide (0.260 mg, 2.8 mmoles), tris dibenzylideneacetone-dipalladium (0.150 g, 0.16 mmoles), dicyclohexyl-dimethylamino-biphenyl (0.25 g, 0.63 mmol) and dimethoxyethane (8 mL), which were then ran in a microwave (Emory's Optimizer, Personal Chemistry) at 150°C for 10 minutes. The reaction mixture was diluted with ethyl acetate and water; then the organics were washed with water and brine and dried over magnesium sulfate. The solvent was removed and the crude material purified by flash chromatography (silica 60, 10 % ethyl acetate/hexane) to afford N-(diphenylmethylene)-N-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H- indazol-3-yl]phenyl}amine as a pale yellow solid (0.82 gr, 82%).

Then a solution of N-(diphenylmethylene)-N-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H- indazol-3-yl]phenyl}amine (0.77 g, 1.31 mmol) in methanol (10 mL) was treated with sodium acetate (0.25 g, 3 mmol) and hydroxylamine hydrochloride (0.15 g, 2.2 mmol), and stirred at room temperature for 2 hours. The methanol was evaporated and the residue slurried in ether, the inorganic solid filtered off, and the ether stripped to afford crude product, which was purified by flash chromatography (silica 60, 10 % hexane/ethyl acetate) to afford the title compound (0.28 g, 50 %).
MS (ESI) m/z 422.

EXAMPLE 445

{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}AMINE

This compound was made in a similar manner as for Example 444.
MS (ES) m/z 422.0.

EXAMPLE 446

N-ISOBUTYL-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS m/z 521; MS m/z 519.

EXAMPLE 447

N-(PIPERIDIN-4-YLMETHYL)-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS m/z 580.

EXAMPLE 448

N-(2-METHOXYBENZYL)-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS m/z 585; MS m/z 583.

EXAMPLE 449

N,N-DIPROPYL-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS m/z 549; MS m/z 547.

EXAMPLE 450

N-(TETRAHYDROFURAN-2-YLMETHYL)-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ESI) m/z 549; MS (ESI) m/z 547.

EXAMPLE 451

N-(4-BROMOPHENYL)-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ESI) m/z 619; MS (ESI) m/z 617.

EXAMPLE 452

N-(PYRIDIN-4-YLMETHYL)-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ESI) m/z 556; MS (ESI) m/z 554.

EXAMPLE453

2-(2,4-DICHLOROBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 421.9.

EXAMPLE 454

2-(2,4-DIMETHYLBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 382.0.

EXAMPLE 455

3-PYRIDIN-2-YL-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 407.9.

EXAMPLE 456

2-(2-CHLOROBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 387.9.

EXAMPLE 457

2-(4-CHLOROBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 387.9.

EXAMPLE 458

2-(2-CHLORO-6-FLUOROBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 405.9.

EXAMPLE 459

2-(2-CHLORO-4-FLUOROBENZYL)-3-PYRIDIN-2-YL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ES) m/z 405.9.

EXAMPLE 460

N-(2-FLUOROPHENYL)-N'-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ES) m/z 556.8.

EXAMPLE 461

N-(2-CHLOROPHENYL)-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ES) m/z 572.8.

EXAMPLE 462

N-PHENYL-N'-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ES) m/z 538.8.

EXAMPLE 463

N-(2-CHLOROPHENYL)-N'-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ES) m/z 572.8.

EXAMPLE 464

N-(2-FLUOROPHENYL)-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ES) m/z 556.8.

EXAMPLE 465

N-PHENYL-N'-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}UREA

This compound was made in a similar manner as for Example 258.
MS (ES) m/z 538.8.

EXAMPLE 466

3-(4-PIPERAZIN-1-YLPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 149.
MS (ES) m/z 491.0.

EXAMPLE 467

3-[4-(4-BENZOYLPIPERAZIN-1-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

Combined in a vial were 3-(4-piperazin-1-ylphenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H- indazole (0.10 g, 0.2 mmol), benzoyl chloride (0.030 g, 0.22 mmol), and diisopropylethylamine (0.2 mL) and THF (2 mL), which were stirred overnight at room temperature. Then the reaction mixture was diluted with ethyl acetate and water, and the organics washed with water and brine, then dried over magnesium sulfate. The crude product was purified by flash chromatography (silica 60, 5 % EtOAc/CH_2Cl_2) to provide the title compound (0.06 g, 50 %).
MS (ESI) m/z 595.

EXAMPLE 468

3-(4-[4-(2-CHLOROBENZOYL)PIPERAZIN-1-YL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 467.
MS (ESI) m/z 629.

EXAMPLE 469

3-(4-[4-(2-THIENYLCARBONYL)PIPERAZIN-1-YL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 467.
MS (ES) m/z 601.0.

EXAMPLE 470

3-[4-[4-(2-METHOXYBENZOYL)PIPERAZIN-1-YL]PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 467.
MS (ES) m/z 625.1.

EXAMPLE 471

3-[4-[4-(3-METHOXYBENZOYL)PIPERAZIN-1-YL]PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 467.
MS (ES) m/z 625.1.

EXAMPLE 472

3-[4-[4-(4-METHOXYBENZOYL)PIPERAZIN-1-YL]PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 467.
MS (ES) m/z 625.1.

EXAMPLE 473

3-(4-CHLOROPYRIDIN-2-YL)-7-(TRIFLUOROMETHYL)-1H-INDAZOLE

This compound was made in a similar manner as for Example 434.
MS (ESI) m/z 298.

EXAMPLE 474

N-(2,5-DIFLUOROBENZYL)-2-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PYRIDIN-4-AMINE

This compound was made in a similar manner as for Example 444.
MS (ESI) m/z 531.

EXAMPLE 475

2-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]-N-(2,5-DIMETHYLBENZYL)PYRIDIN-4-AMINE

This compound was made in a similar manner as for Example 444.
MS (ES) m/z 521.2.

EXAMPLE 476

N-(3,5-DIFLUOROBENZYL)-2-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PYRIDIN-4-AMINE

This compound was made in a similar manner as for Example 444.
MS (ES) m/z 529.1.

EXAMPLE 477

N-(1H-INDOL-5-YLMETHYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

A solution of ({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amine (0.1 g, 0.24 mmol), indole 5-carboxaldehyde (0.035 g, 0.24 mmol), sodium formate (0.169 g, 3 mmol) and sodium cyanoborohydride (0.188 g, 3 mmol) in methanol (3 mL) was heated at 60°C overnight. The reaction mixture was cooled, and concentrated *in vacuo*. The residue was partitioned with ethyl acetate and ammonium hydroxide. The organic phase was concentrated *in vacuo* to afford crude product, which was purified by flash chromatography (silic gel 60, methylene

chloride) to afford the title compound (0.031 g, 24 %).
MS (ES) m/z 551.2.

EXAMPLE 478

N-[(1-METHYL-1H-INDOL-5-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 565.2.

EXAMPLE 479

{3-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}AMINE

This compound was made in a similar manner as for Example 444.
MS (ES) m/z 404.1.

EXAMPLE 480

{3-[2-(2,4-DIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}[(1-METHYL-1H-INDOL-2-YL)METHYL]AMINE

This compound was made in a similar manner as for Example 477.
MS (ESI) m/z 547; MS (ESI) m/z 545.

EXAMPLE 481

N-(2-FLUORO-4-METHOXYBENZYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ESI) m/z 560.

EXAMPLE 482

N-(2,5-DIMETHYLBENZYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 540.2.

EXAMPLE 483

N-[2-FLUORO-5-(TRIFLUOROMETHYL)BENZYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ESI) m/z 598.

EXAMPLE 484

N-[2-FLUORO-3-(TRIFLUOROMETHYL)BENZYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ESI) m/z 598.

EXAMPLE 485

N-(2,5-DIMETHYLBENZYL)-4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ESI) m/z 540.

EXAMPLE 486

N-[2-FLUORO-5-(TRIFLUOROMETHYL)BENZYL]-4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 596.2.

EXAMPLE 487

N-(PYRIDIN-2-YLMETHYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 513.1.

EXAMPLE 488

N-(1H-INDOL-7-YLMETHYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 549.2.

EXAMPLE 489

N-(PYRIDIN-3-YLMETHYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 513.1.

EXAMPLE 490

N-(1H-INDOL-4-YLMETHYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 551.1.

EXAMPLE 491

N-(PYRIDIN-4-YLMETHYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 513.1.

EXAMPLE 492

N-[(5-CHLORO-2-THIENYL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 552.0.

EXAMPLE 493

N-[(5-BROMO-2-THIENYL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 596.0.

EXAMPLE 494

N-[(4-BROMO-2-THIENYL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 596.0.

EXAMPLE 495

N-[(5-METHYL-2-THIENYL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 532.1.

EXAMPLE 496

N-[(3-METHYL-2-THIENYL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 532.1.

EXAMPLE 497

N-[(1-ETHYL-1H-INDOL-5-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 579.1.

EXAMPLE 498

N-[(1-PROPYL-1H-INDOL-5-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 593.1.

EXAMPLE 499

N-[(1-BUTYL-1H-INDOL-5-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ESI) m/z 607.

EXAMPLE 500

N-[(3-METHYL-1-BENZOTHIEN-2-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 582.0.

EXAMPLE 501

N-[(2-METHYL-1H-IMIDAZOL-5-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 514.0.

EXAMPLE 502

N-(2-NAPHTHYLMETHYL)-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 562.0.

EXAMPLE 503

N-[(1-SEC-BUTYL-1H-INDOL-5-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 607.1.

EXAMPLE 504

N-[(1-ISOPROPYL-1H-INDOL-5-YL)METHYL]-3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]ANILINE

This compound was made in a similar manner as for Example 477.
MS (ES) m/z 593.2.

EXAMPLE 505

3-(4-ETHYNYLPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 229

MS (ES) m/z 431.1.

EXAMPLE 506

ETHYL 3-({4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZOATE

This compound was made in a similar manner as for Example 229.

MS (ES) m/z 579.1.

EXAMPLE 507

3-({4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZOIC ACID

This compound was made in a similar manner as for Example 229.

MS (ESI) m/z 551.

EXAMPLE 508

TERT-BUTYL 4-[3-({4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}ETHYNYL)BENZOYL]PIPERAZINE-1-CARBOXYLATE

This compound was made in a similar manner as for Example 229.

MS (ES) m/z 719.2.

EXAMPLE 509

3-(4-{[2-CHLORO-5-(TRIFLUOROMETHYL)PHENYL]ETHYNYL}PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 229.
^{1}H NMR ($CDCl_3$): δ 5.70 (2H, s), 6.58-6.65 (2H, m), 7.21 (1H, t, J=2.7 Hz), 7.51-7.56(3H, m), 7.59-7.63 (2H, m), 7.68-7.70 (1H, d, J=8.5 Hz), 7.76-7.78 (2H, m), 7.88 (1H, d, J=2.1 Hz).

EXAMPLE 510

3-{4-[(2,4-DIFLUOROPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 229.
^{1}H NMR ($CDCl_3$): δ 5.70 (2H, s), 6.58-6.63 (2H, m), 6.89-6.95 (2H, m), 7.09-7.13 (1H, m), 7.48-7.54 (3H, m), 7.58-7.62 (1H, m), 7.67-7.74 (3H, m).

EXAMPLE 511

3-{4-[(3,5-DIMETHYLPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 229.
^{1}H NMR ($CDCl_3$): δ 2.34 (6H, s), 5.70 (2H, s), 6.58-6.64(2H, m), 7.02 (1H, s), 7.09-7.13 (1H, m), 7.22 (2H, s), 7.47 (2H, d, J=7.9 Hz), 7.61 (1H, d, J=7.9 Hz), 7.69 (3H, m).

EXAMPLE 512

3-{4-[(3-CHLORO-2-FLUOROPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 229.
^{1}H NMR ($CDCl_3$): δ 5.70 (2H, s), 6.57-6.64(2H, m), 7.09-7.14 (2H, m), 7.40-7.49 (2H,

m), 7.51 (2H, d, J=8.1 Hz), 7.62 (1H, d, J=7.0 Hz), 7.69 (1H, d, J=8.5 Hz), 7.74 (2H, dd, J=6.6 Hz, J=1.6 Hz).

EXAMPLE 513

3-{4-[(2,3-DICHLOROPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 229.
^{1}H NMR ($CDCl_3$): δ 5.70 (2H, s), 6.58-6.64(2H, m), 7.10-7.14 (1H, m), 7.21-7.25 (2H, m), 7.46-7.54 (3H, m), 7.62 (1H, d, J=7.1 Hz), 7.69 (1H, d, J=8.4 Hz), 7.78 (2H, dd, J=8.7 Hz, J=1.8 Hz).

EXAMPLE 514

3-{4-[(2,3-DIMETHYLPHENYL)ETHYNYL]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 229.
^{1}H NMR ($CDCl_3$): δ 2.33 (3H, s), 2.51 (3H, s), 5.70 (2H, s), 6.58-6.65(2H, m), 7.10-7.16 (3H, m), 7.42 (1H, d, J=7.4 Hz), 7.48-7.52 (2H, m), 7.61-763 (1H, m), 7.70-7.74 (3H, m).

EXAMPLE 515

5-{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

This compound was made in a similar manner as for Example 3.
MS (ES) m/z 565.1.

EXAMPLE 516

3-(3-BROMOPHENYL)-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.

MS (ES) m/z 482.9.

EXAMPLE 517

3-(3-BROMOPHENYL)-2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was made in a similar manner as for Example 1.
MS (ES) m/z 482.9.

EXAMPLE 518

2-BENZYL-3-PHENYL-2H-INDAZOLE-7-CARBOXYLIC ACID METHOXY-METHYL-AMIDE

2-Benzyl-3-phenyl-7-trifluoromethyl-2H-indazole (353 mg, 1 mmol), prepared similarly to that of Example 1, was treated with 3 ml of 70% aq H_2SO_4 at 90 °C for 4 hours. After cooling, 10 volumes of water were added and the product was extracted with dichloromethane. Flash column chromatography (SiO_2, dichloromethane as an eluant) afforded 2-benzyl-3-phenyl-2H-indazole-7-carboxylic acid in 60% yield. The 2-benzyl-3-phenyl-2H-indazole-7-carboxylic acid (1.64 g, 5 mmol) was dissolved in 20 ml of pyridine followed by addition of 1-(-3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (1.34 g, 7 mmol) and *N*, *O*-dimethylhydroxylamine hydrochloride (585 mg, 6 mmol). The reaction mixture was stirred at room temperature overnight. Pyridine was evaporated and the residue was shaken with 0.5M aq HCl and dichloromethane. The product was extracted with dichloromethane, and flash column chromatography (SiO_2, dichloromethane as an eluant) afforded 2-benzyl-3-phenyl-2H-indazole-7-carboxylic acid methoxy-methyl-amide in 79% yield.
LCMS: M+H: 372.2.

EXAMPLE 519

1-(2-BENZYL-3-PHENYL-2H-INDAZOL-7-YL)ETHANONE

2-Benzyl-3-phenyl-2H-indazole-7-carboxylic acid (0.5 mmol, 160 mg, see preparation of Example 518) was dissolved in 5ml of dry THF at 0 °C. Two milliliters (2ml) of

MeLi (1.6M solution in THF) were added dropwise and the mixture was stirred overnight at room temperature. The reaction mixture was quenched with aq NH_4Cl solution and the product was extracted with EtOAc, and then flash column chromatography (SiO_2, dichloromethane as an eluant) afforded 1-(2-benzyl-3-phenyl-2H-indazol-7-yl)ethanone in 61% yield.
LCMS: M+H: 327.5.

EXAMPLE 520

2-(2-BENZYL-3-PHENYL-2H-INDAZOL-7-YL)PROPAN-2-OL

Ninety milligrams (90 mg; 0.24 mmol) of 2-benzyl-3-phenyl-2H-indazole-7-carboxylic acid methyl ester, prepared from 2-benzyl-3-phenyl-2H-indazole-7-carboxylic acid (see preparation of Example 518), were dissolved in THF and 0.5 ml of 3M MeMgBr in THF (1.4 mmol) were added. The reaction mixture was stirred at room temperature for 2 hours. The reaction mixture was quenched with aq NH_4Cl solution and product was extracted with EtOAc, and then flash column chromatography (SiO_2, dichloromethane as an eluant) afforded 2-(2-benzyl-3-phenyl-2H-indazol-7-yl)propan-2-ol in 86% yield.
LCMS: M+H: 343.4.

EXAMPLE 521

2-BENZYL-7-ISOPROPENYL-3-PHENYL-2H-INDAZOLE

Fifty milligrams (50 mg; 0.15 mmol) of 2-(2-benzyl-3-phenyl-2H-indazol-7-yl)propan-2-ol (Example 520) were dissolved in 2 ml of toluene followed by the addition of 11 mg of TsOH. The mixture was heated at 110°C for 1 hour. After cooling, the resulting solution was filtered through SiO_2 plug affording, after evaporation, 45 mg of pure 2-benzyl-7-isopropenyl-3-phenyl-2H-indazole. Yield: 94%.
LCMS: M+H: 325.4.

EXAMPLE 522

2-BENZYL-7-ISOPROPYL-3-PHENYL-2H-INDAZOLE

Thirty milligrams (30 mg; 0.092 mmol) of 2-benzyl-7-isopropenyl-3-phenyl-2H-indazole (Example 521) were dissolved in 2 ml of dry ethanol followed by the addition of 30 mg of PtO_2. The reaction mixture was stirred under H_2 atmosphere at room temperature for 2 hours, then filtered and the EtOH evaporated. Flash column chromatography (SiO_2, dichloromethane/heptane, 1:1, as an eluant) afforded 2-benzyl-7-isopropyl-3-phenyl-2H-indazole in 80% yield.

LCMS: M+H: 327.5.

EXAMPLE 523

2-BENZYL-3-{3-[(2,5-DIFLUOROPHENOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

Thirty-eight milligrams (38 mg; 0.1 mmol) of [3-(2-benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenyl]-methanol (see preparation of Example 7) were dissolved in 1 ml of dichloromethane followed by the addition of 28 µl of Et3N (0.2 mmol). The mixture was cooled to -30°C and 12 µl of MeCl were added. Stirring continued at 0°C for 1.5 hours. To the resulting solution, 85 mg of Cs_2CO_3 (0.25 mmol) were added followed by the addition of 65 mg (0.5 mmol) of 2,5-difluorophenol. Then the reaction mixture was stirred at room temperature overnight. The reaction mixture was quenched with 1M aq HCl and product was extracted with dichloromethane. Flash column chromatography (SiO_2, dichloromethane/heptane ,1:1, as an eluant) afforded 2-benzyl-3-{3-[(2,5-difluorophenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole in 41% yield.

LCMS: M+H: 495.8.

EXAMPLE 524

N-BENZYL-3-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZAMIDE

Fifty-seven milligrams (57 mg; 0.1 mmol) of 3-[3-(2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)–2H-Indazol-3-yl)-phenoxymethyl]-benzoic acid methyl ester (*prepared similarly to that of* 4-[4-(2-benzyl-7-trifluoromethyl-2H-Indazol-3-yl)-phenoxymethyl]-benzoic acid methyl ester in preparation of Example 2) were dissolved in 2 ml of dry THF followed by the addition of 110 µl of benzylamine (1 mmol). The reaction mixture was cooled to -30°C and 450 µl of 2M solution of butylmagnesiumbromide in ether were added dropwise. Then the reaction mixture was stirred for 30 min at -30°C and left overnight at 0°C. The reaction mixture was quenched with aq NH_4Cl solution and product was extracted with EtOAc. Flash column chromatography (SiO_2, dichloromethane as an eluant) afforded N-benzyl-3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-Indazol-3-yl]phenoxy}methyl)benzamide in 66% yield.
LCMS: M+H: 644.6.

EXAMPLE 525

2-BENZYL-3-[4-(BENZYLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

4-(2-Benzyl-7-trifluoromethyl-2H-Indazole-3-yl)-phenol (10 mg, 1 eq) was treated with benzylbromide (10 µL, 3 eq) and potassium carbonate (19 mg, 5 eq) in acetone (1 mL). The reaction mixture was heated at 60°C until completion of the reaction, as judged by TLC. Volatiles were removed *in vacuo* and the residue treated with a water / dichloromethane mixture followed by extraction with dichloromethane. Purification by flash chromatography (silica, ethyl acetate / n-heptane, 1:9) gave the title compound in 89 % yield.
LCMS: M+H: 459.5.

EXAMPLE 526

2-[4-({4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]PROPANOIC ACID

The title compound was prepared by treating 4-(2-benzyl-7-trifluoromethyl-2H-indazole-3-yl)-phenol (20 mg, 1 eq) with 2-(4-bromomethyl-phenyl)-propionic acid (39 mg, 3 eq) and potassium carbonate (37 mg, 5 eq) in DMF (2 mL) at 150°C overnight. After cooling, water was added and the pH adjusted to 1 (aq. HCl, 2M) followed by extraction with dichloromethane. Purification by preparative HPLC gave the desired product in 45 % yield.
LCMS: M+H: 531.5.

EXAMPLE 527

1-[4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]CYCLOPENTANECARBOXYLIC ACID

This compound was prepared similarly to that of Example 525 by treating 3-(2-benzyl-7-trifluoromethyl-2H-indazole-3-yl)-phenol (20 mg, 1 eq) with 1-(4-bromomethyl-phenyl)-cyclopentanecarboxylic acid methyl ester (48 mg, 3 eq) and potassium carbonate (37 mg, 5 eq) in acetone (1.5 mL). The latter reagent was obtained by heating 1-p-tolyl-cyclopentanecarboxylic acid in methanol and a catalytic amount of sulphuric acid. Subsequent α-bromination was accomplished by refluxing the ester (100 mg, 1 eq) with NBS (82 mg, 1 eq) and benzoyl peroxide (5 mg, 3 %) in carbon tetrachloride (2 mL) for one hour. The reaction mixture was cooled, water was added and product extracted with dichloromethane. The residue was purified by flash chromatography (ethyl acetate / n-heptane, 1:9, as an eluent). Then the resulting compound was hydrolyzed with aq LiOH (1M, 40 eq) / THF 1:1 and purified by preparative HPLC, affording the desired product in 48 % yield.
LCMS: M+H: 571.4.

EXAMPLE 528

2-[4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]-2-METHYLPROPANOIC ACID

This compound was prepared similarly to that of Example 525 by treating 3-(2-benzyl-7-trifluoromethyl-2H-indazole-3-yl)-phenol (23 mg, 1 eq) with 2-(4-bromomethyl-phenyl)-2-methyl-propionic acid methyl ester (17 mg, 1 eq) and potassium carbonate (26 mg, 3 eq) in acetone (2 mL). The latter reagent was obtained by heating p-tolyl-acetic acid in methanol and a catalytic amount of sulphuric acid. Subsequent methylation was accomplished by treating the obtained ester (50 mg, 1 eq) with sodium hydride (26 mg, 2.2 eq) and methyl iodide (41 µL, 2.2 eq) in THF (1 mL). Then α-bromination was accomplished by refluxing the ester (16 mg, 1 eq) with NBS (15 mg, 1 eq) and benzoyl peroxide (1 mg, 3 %) in carbon tetrachloride (1.5 mL) overnight. The reaction mixture was cooled, water was added and product extracted with dichloromethane. The residue was purified by flash chromatography (ethyl acetate / n-heptane,1:9, as an eluent). Then the resulting compound was then hydrolyzed with aq LiOH (1M, 40 eq) / THF, 1:1, and purified by preparative HPLC, affording the desired product in 26 % yield.
LCMS: M+H: 545.3.

EXAMPLE 529

2-BENZYL-3-(3-[[4-(1H-TETRAZOL-5-YL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

4-({3-[2-Benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzonitrile (37 mg, 1 eq) was treated with trimethylsilyl azide (21 µL, 2 eq) and di-n-butyltin oxide (5 mg, 0.3 eq) in toluene (2.5 mL) at 100°C until full conversion. After cooling, most of the solvent was removed *in vacuo* and the residue was taken in methanol. Purification by flash chromatography, eluent 10 % methanol in dichloromethane, afforded the title compound in 87 % yield.
LCMS: M+H: 527.6, M-H: 525.8.

EXAMPLE 530

{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENOXYMETHYL]-BENZYL}-PHOSPHONIC ACID MONOETHYL ESTER

{4-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl]-benzyl}-phosphonic acid diethyl ester was obtained by a similar procedure to that described for Example 525. It was dissolved (45 mg, 1 eq) in acetonitrile (2 mL) and treated with bromotrimethylsilane (48 µL, 5 eq) at room temperature for two hours. The reaction mixture was quenched with water and concentrated. Purification by preparative HPLC provided the title compound in 35 % yield.
LCMS: M+H: 581.3, M-H: 579.5.

EXAMPLE 531

{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}(PHENYL)ACETIC ACID

3-[2-(4-Chloro-2-fluoro-benzyl)-7-trifluoromethyl-2H-indazol-3-yl]-phenol (25 mg, 1 eq) was treated with bromo-phenyl-acetic acid methyl ester (41 mg, 3 eq) and cesium carbonate (95 mg, 5 eq) in dichloromethane (2 mL) until the reaction mixture was completed as judged by TLC. Water was added followed by extraction with dichloromethane. Subsequently, the ester was hydrolyzed in aq. LiOH (1M, 15 eq) / THF 1:1. Purification by flash chromatography, eluent: 2-5 % methanol in dichloromethane, afforded the title compound in 55 % yield.
LCMS: M+H: 555.2, M-H: 553.4.

EXAMPLE 532

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-(2-PHENYLETHYL)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

To a suspension of benzyl-phosphonic acid diethyl ester (20 µL, 1 eq) and sodium hydride (6 mg, 1.5 eq) in DMPU (0.5 mL), 3-[2-(4-chloro-2-fluoro-benzyl)-7-trifluoromethyl-2H-indazol-3-yl]-benzaldehyde (45 mg, 1 eq) was added. The reaction mixture was stirred for 45 minutes at room temperature, quenched with water and

extracted with diethyl ether. The volatiles were removed and the residue purified by flash chromatography (silica, ethyl acetate / n-heptane, 2:8). The product obtained was dissolved in ethanol (2 mL) and hydrogenated at 1 atm using 7 % platinum oxide as a catalyst. Filtration through Celite® and flash chromatography, eluent: dichloromethane / n-hepatane, 1:1, furnished the title compound in 11 % overall yield. LCMS: M+H: 509.3.

EXAMPLE 533

2-BENZYL-3-ETHYL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
LCMS: M+H: 305.6.

EXAMPLE 534

3-(4-BROMOPHENYL)-2-METHYL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 1.
LCMS: M+H: 355.1.

EXAMPLE 535

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,3-DIMETHYLPHENOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 539.6.

EXAMPLE 536

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{[2-CHLORO-5-(TRIFLUOROMETHYL)PHENOXY]METHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 613.1.

EXAMPLE 537

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{[2-CHLORO-3-(TRIFLUOROMETHYL)PHENOXY]METHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 613.1.

EXAMPLE 538

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(1-NAPHTHYLOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 561.2.

EXAMPLE 539

3-{3-[(2-TERT-BUTYL-5-METHYLPHENOXY)METHYL]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 581.3.

EXAMPLE 540

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(4-FLUORO-2-METHYLPHENOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 543.5.

EXAMPLE 541

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,6-DIMETHYLPHENOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 539.6.

EXAMPLE 542

5-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]BENZYL}OXY)-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 579.5.

EXAMPLE 543

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{[(3,3-DIMETHYL-2,3-DIHYDRO-1-BENZOFURAN-7-YL)OXY]METHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 581.3.

EXAMPLE 544

3-{3-[(2-TERT-BUTYLPHENOXY)METHYL]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 567.2.

EXAMPLE 545

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2,3-DIMETHOXYPHENOXY)METHYL]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 571.1.

EXAMPLE 546

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2,6-DICHLOROPHENOXY)METHYL]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 579.5.

EXAMPLE 547

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2,6-DIMETHOXYPHENOXY)METHYL]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 571.1.

EXAMPLE 548

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2,6-DIBROMOPHENOXY)METHYL]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 669.2.

EXAMPLE 549

3-{3-[(2-ALLYL-6-METHYLPHENOXY)METHYL]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 565.7.

EXAMPLE 550

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,6-DIISOPROPYLPHENOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 595.4.

EXAMPLE 551

3-{3-[(2-TERT-BUTYL-6-METHYLPHENOXY)METHYL]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 581.3.

EXAMPLE 552

3-{3-[(2-ALLYL-6-METHOXYPHENOXY)METHYL]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 581.3.

EXAMPLE 553

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,5-DICHLOROPHENOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 579.5.

EXAMPLE 554

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,6-DIFLUOROPHENOXY)METHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 523.
LCMS: M+H: 547.4.

EXAMPLE 555

3-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-N-PROPYLBENZAMIDE

This compound was prepared similarly to that of Example 524.
LCMS: M+H: 596.3.

EXAMPLE 556

3-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-N-CYCLOPROPYLBENZAMIDE

This compound was prepared similarly to that of Example 524.
LCMS: M+H: 594.5.

EXAMPLE 557

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[[3-(MORPHOLIN-4-YLCARBONYL)BENZYL]OXY)PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 524.
LCMS: M+H: 624.5.

EXAMPLE 558

3-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-N-ISOPROPYLBENZAMIDE

This compound was prepared similarly to that of Example 524.
LCMS: M+H: 596.3.

EXAMPLE 559

{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 502.4, 2M+H: 1003.7, M-H: 500.5, 2M-H: 1002.0.

EXAMPLE 560

{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 502.4, M-H: 500.6.

EXAMPLE 561

3-{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.

LCMS: M+H: 516.8, M-H: 514.4.

EXAMPLE 562

3-{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.8, M-H: 514.4.

EXAMPLE 563

3-{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.8, M-H: 514.4.

EXAMPLE 564

3-{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.8, M-H: 514.4.

EXAMPLE 565

2-{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENOXY}-2-METHYL-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 546.8, M-H: 544.4.

EXAMPLE 566

2-[4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENOXY]-2-METHYL-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 548.8, M-H: 544.4.

EXAMPLE 567

2-(4-[[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL]-PHENYL)-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 568

{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID ETHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 569

3-{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 570

3-{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.

LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 571

2-{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENOXY}-2-METHYL-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 560.3, M-H: 558.5.

EXAMPLE 572

2-{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENOXY}-2-METHYL-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 560.3, M-H: 558.5.

EXAMPLE 573

2-(4-{[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 574

2-(4-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 575

2-(4-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 576

3-{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-BUTYRIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 577

3-{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-BUTYRIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 578

(4-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 579

{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.

LCMS: M+H: 516.5, M-H: 514.4.

EXAMPLE 580

{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.5, M-H: 514.4.

EXAMPLE 581

3-{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-BUTYRIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 582

3-{4-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-BUTYRIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 583

{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 502.4, M-H: 500.6.

EXAMPLE 584

{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 502.4, M-H: 500.6.

EXAMPLE 585

(4-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.5, M-H: 514.4.

EXAMPLE 586

3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINE

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 368.3, M-H: 366.6.

EXAMPLE 587

4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINE

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 368.3, M-H: 366.1.

EXAMPLE 588

(3-[[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID ETHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 589

(3-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID ETHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 590

1-(4-{[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-CYCLOPROPANECARBOXYLIC ACID ETHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 566.1, M-H: 554.3.

EXAMPLE 591

1-(4-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-CYCLOPROPANECARBOXYLIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 566.1, M-H: 554.3.

EXAMPLE 592

(3-{[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.8, M-H: 514.4.

EXAMPLE 593

(3-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.

LCMS: M+H: 516.5, M-H: 514.4.

EXAMPLE 594

1-(4-{[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-CYCLOPROPANECARBOXYLIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 542.6, M-H: 540.5.

EXAMPLE 595

2-{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 596

(4-{[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 597

2-{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.5, M-H: 514.4.

EXAMPLE 598

(4-{[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.5, M-H: 514.4.

EXAMPLE 599

2-{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID METHYL ESTER

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.5.

EXAMPLE 600

2-{3-[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 516.5, M-H: 514.4.

EXAMPLE 601

[3-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYL]-(2,6-DIMETHYL-BENZYL)-AMINE

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 486.5, M-H: 484.7.

EXAMPLE 602

(3-{4-[2-(2,4,6-TRIFLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-PHENYLAMINO}-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.

LCMS: M+H: 556.1, M-H: 554.3.

EXAMPLE 603

2-{3-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-2-HYDROXY-PROPIONIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 532.4, M-H: 530.3.

EXAMPLE 604

[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYL]-(2,6-DIMETHYL-BENZYL)-AMINE

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 486.5, M-H: 484.7.

EXAMPLE 605

{3-[4-(1-METHYL-7-TRIFLUOROMETHYL-1H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 426.2, M-H: 424.4.

EXAMPLE 606

{3-[4-(2-METHYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-PHENYL}-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 426.2, M-H: 424.4.

EXAMPLE 607

(4-{[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-3,5-DIMETHYL-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 608

(4-{[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENYLAMINO]-METHYL}-3,5-DIMETHYL-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 544.4, M-H: 542.6.

EXAMPLE 609

(3-{4-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-PHENYLAMINO}-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 554.3, M-H: 552.5.

EXAMPLE 610

(3-{4-[2-(2,4-DIMETHYL-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-PHENYLAMINO}-PHENYL)-ACETIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 530.3, M-H: 528.2.

EXAMPLE 611

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(4-METHOXY-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.

LCMS: M+H: 525.5.

EXAMPLE 612

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(3,5-DIMETHOXY-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 555.2.

EXAMPLE 613

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(3,5-DIMETHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 523.9.

EXAMPLE 614

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2-METHOXY-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 525.5.

EXAMPLE 615

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(3-METHOXY-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 525.5.

EXAMPLE 616

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2,3-DIMETHOXY-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 555.2.

EXAMPLE 617

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(3,4-DIMETHOXY-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 555.2.

EXAMPLE 618

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(4-CHLORO-2-FLUORO-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 547.4.

EXAMPLE 619

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2-CHLORO-4-FLUORO-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 549.5.

EXAMPLE 620

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2-FLUORO-4-TRIFLUOROMETHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.

LCMS: M+H: 581.3.

EXAMPLE 621

3-[3-(2,4-BIS-TRIFLUOROMETHYL-BENZYL)-PHENYL]-2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 631.7.

EXAMPLE 622

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2,4-DIFLUORO-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 531.2.

EXAMPLE 623

3-[3-(2,5-BIS-TRIFLUOROMETHYL-BENZYL)-PHENYL]-2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 631.7.

EXAMPLE 624

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2-FLUORO-3-METHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 527.3.

EXAMPLE 625

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(6-CHLORO-2-FLUORO-3-METHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 562.1.

EXAMPLE 626

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(3-DIFLUOROMETHOXY-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 561.2.

EXAMPLE 627

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2-CHLORO-5-TRIFLUOROMETHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 597.2.

EXAMPLE 628

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(4-METHANESULFONYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 573.5.

EXAMPLE 629

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2-METHYL-5-TRIFLUOROMETHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.

LCMS: M+H: 577.7.

EXAMPLE 630

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2-CHLORO-5-FLUORO-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 547.4.

EXAMPLE 631

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(5-FLUORO-2-TRIFLUOROMETHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 581.3.

EXAMPLE 632

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(2,5-DICHLORO-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 565.4.

EXAMPLE 633

2-(4-CHLORO-2-FLUORO-BENZYL)-3-[3-(5-CHLORO-2-TRIFLUOROMETHYL-BENZYL)-PHENYL]-7-TRIFLUOROMETHYL-2H-INDAZOLE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 597.2.

EXAMPLE 634

(3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-PHENYL)-MORPHOLIN-4-YL-METHANONE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 608.6.

EXAMPLE 635

N-BENZYL-3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-BENZAMIDE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 628.7.

EXAMPLE 636

(3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-PHENYL)-PYRROLIDIN-1-YL-METHANONE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 592.7.

EXAMPLE 637

(3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-PHENYL)-PIPERIDIN-1-YL-METHANONE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 606.5.

EXAMPLE 638

3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-N,N-DIETHYL-BENZAMIDE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 594.5.

EXAMPLE 639

3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-N-CYCLOPROPYL-BENZAMIDE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 578.6.

EXAMPLE 640

3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-N-ISOPROPYL-BENZAMIDE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 580.4.

EXAMPLE 641

3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-N-PROPYL-BENZAMIDE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 580.4.

EXAMPLE 642

3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-N-ETHYL-BENZAMIDE

This compound was prepared similarly to that of Example 5.
LCMS: M+H: 566.6.

EXAMPLE 643

3-{3-[2-(4-CHLORO-2-FLUORO-BENZYL)-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL]-BENZYL}-N-METHYL-BENZAMIDE

This compound was prepared similarly to that of Example 5.

LCMS: M+H: 552.5.

EXAMPLE 644

2-BENZYL-3-[4-(3-METHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 475.4.

EXAMPLE 645

2-BENZYL-3-[4-(2,3-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 481.4.

EXAMPLE 646

2-BENZYL-3-[4-(4-CHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 479.0.

EXAMPLE 647

2-BENZYL-3-[4-(2,3-DIHYDRO-1H-INDEN-5-YLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 485.6.

EXAMPLE 648

2-BENZYL-3-[4-(2-FLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 483.4.

EXAMPLE 649

2-BENZYL-3-[4-(4-CHLORO-3-METHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 493.4.

EXAMPLE 650

2-BENZYL-3-[4-(2-CHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 479.0.

EXAMPLE 651

3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLANILINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 488.3.

EXAMPLE 652

2-BENZYL-3-[4-(3-NITROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 490.4.

EXAMPLE 653

2-BENZYL-3-{4-[(7-METHOXY-2-NAPHTHYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 525.8.

EXAMPLE 654

2-BENZYL-3-[4-(4-FLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 463.4.

EXAMPLE 655

4-(4-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZYL)PHENOL

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 551.0.

EXAMPLE 656

2-BENZYL-3-[4-(5,6,7,8-TETRAHYDRONAPHTHALEN-2-YLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 499.0.

EXAMPLE 657

7-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}QUINOLINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 496.7.

EXAMPLE 658

2-BENZYL-3-[4-(2,4-DICHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 513.0.

EXAMPLE 659

2-BENZYL-3-[4-(2-METHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 475.4.

EXAMPLE 660

2-BENZYL-3-[4-(3,5-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 481.0.

EXAMPLE 661

2-BENZYL-3-[4-(2,3-DIMETHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 473.3.

EXAMPLE 662

2-BENZYL-3-[4-(3,5-DIMETHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 473.

EXAMPLE 663

2-BENZYL-3-[4-(4-METHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 459.

EXAMPLE 664

2-BENZYL-3-[4-(4-NITROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 490.

EXAMPLE 665

2-BENZYL-3-{4-[(4'-NITROBIPHENYL-4-YL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 566.

EXAMPLE 666

3-{4-[4-(4-ACETYLPIPERAZIN-1-YL)PHENOXY]PHENYL}-2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 571.

EXAMPLE 667

2-BENZYL-3-[4-(2,4-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 481.0.

EXAMPLE 668

2-BENZYL-3-[4-(3,4-DIMETHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 473.

EXAMPLE 669

2-BENZYL-3-[4-(2-ISOPROPYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 487.

EXAMPLE 670

5-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 513.

EXAMPLE 671

6-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL] PHENOXY} QUINOLINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 496.

EXAMPLE 672

2-BENZYL-3-[4-(2,6-DIMETHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 505.

EXAMPLE 673

2-BENZYL-3-[4-(2-METHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 459.

EXAMPLE 674

2-BENZYL-3-[4-(3,4-DICHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 513.

EXAMPLE 675

2-BENZYL-3-[4-(3,4-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 481.

EXAMPLE 676

2-BENZYL-3-[4-(2,5-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 481.

EXAMPLE 677

8-(4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY)QUINOLINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 496.

EXAMPLE 678

2-BENZYL-3-[4-(4-ETHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 473.

EXAMPLE 679

2-BENZYL-3-[4-(2,6-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 481.

EXAMPLE 680

2-BENZYL-3-{4-[4-(BENZYLOXY)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 551.

EXAMPLE 681

METHYL 3-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZOATE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 503.7.

EXAMPLE 682

2-BENZYL-3-[3-(3-METHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 475.7.

EXAMPLE 683

2-BENZYL-3-[3-(3,4-DICHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 513.7.

EXAMPLE 684

METHYL 3-(4-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)PROPANOATE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 531.7.

EXAMPLE 685

1-(2-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)ETHANONE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 487.7.

EXAMPLE 686

1-(3-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)ETHANONE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 487.7.

EXAMPLE 687

2-BENZYL-3-[3-(3-METHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 459.7.

EXAMPLE 688

2-BENZYL-3-[3-(2-CHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 479.6.

EXAMPLE 689

3-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLANILINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 488.7.

EXAMPLE 690

2-BENZYL-3-[3-(3-NITROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 490.7.

EXAMPLE 691

2-BENZYL-3-[3-(2,3-DIMETHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 473.8.

EXAMPLE 692

2-BENZYL-3-[3-[2-(TRIFLUOROMETHOXY)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 529.7.

EXAMPLE 693

2-BENZYL-3-[3-(2-TERT-BUTYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 501.8.

EXAMPLE 694

2-BENZYL-3-[3-(2-ISOPROPYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 487.8.

EXAMPLE 695

5-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 513.7.

EXAMPLE 696

7-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}QUINOLINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 496.7.

EXAMPLE 697

8-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}QUINOLINE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 496.7.

EXAMPLE 698

2-BENZYL-3-{3-[3,5-BIS(TRIFLUOROMETHYL)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 581.6.

EXAMPLE 699

2-BENZYL-3-{3-[(7-METHYL-1-NAPHTHYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 509.7.

EXAMPLE 700

4-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}ACRIDINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 546.6.

EXAMPLE 701

2-BENZYL-3-{3-[2-(BENZYLOXY)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 551.7.

EXAMPLE 702

(2-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)(PHENYL)METHANONE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 549.7.

EXAMPLE 703

2-BENZYL-3-[3-(BIPHENYL-2-YLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 521.8.

EXAMPLE 704

2-(2-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)-1,3-BENZOTHIAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 578.6.

EXAMPLE 705

2-BENZYL-3-{3-[2-(1H-PYRROL-1-YL)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 510.7.

EXAMPLE 706

2-BENZYL-3-[3-(3,4-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 482.2.

EXAMPLE 707

2-BENZYL-3-[3-(2,3-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 482.2.

EXAMPLE 708

2-BENZYL-3-[3-(2,5-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 482.2.

EXAMPLE 709

2-BENZYL-3-[3-[(7-METHOXY-2-NAPHTHYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 526.3.

EXAMPLE 710

1-(2-[3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-4-METHOXYPHENYL)ETHANONE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 518.2.

EXAMPLE 711

6-[3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY]QUINOLINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 497.2.

EXAMPLE 712

2-BENZYL-3-[3-(3,5-DIMETHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 474.2.

EXAMPLE 713

2-BENZYL-3-[3-(2-METHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 476.2.

EXAMPLE 714

2-BENZYL-3-[3-(1-NAPHTHYLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 496.2.

EXAMPLE 715

2-BENZYL-3-(3-[2-[(1E)-PROP-1-EN-1-YL]PHENOXY]PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 486.2.

EXAMPLE 716

2-BENZYL-3-[3-(2-CYCLOPENTYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 514.3.

EXAMPLE 717

2-BENZYL-3-[3-(3,5-DIFLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 482.2.

EXAMPLE 718

2-BENZYL-3-[3-(3,5-DICHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 515.1.

EXAMPLE 719

2-BENZYL-3-[3-(3,5-DIMETHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 506.2.

EXAMPLE 720

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-(3-CHLOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 533.2.

EXAMPLE 721

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-(2-FLUOROPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 517.3.

EXAMPLE 722

6-{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-2-NAPHTHONITRILE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 574.2.

EXAMPLE 723

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-(5,6,7,8-TETRAHYDRONAPHTHALEN-1-YLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 553.2.

EXAMPLE 724

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-(2-ETHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.

LCMS: M+H: 543.2.

EXAMPLE 725

1-(2-{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)PROPAN-1-ONE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 555.2.

EXAMPLE 726

3-{3-[2-(1H-BENZIMIDAZOL-2-YL)PHENOXY]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 615.2.

EXAMPLE 727

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-(2-PYRROLIDIN-1-YLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 568.2.

EXAMPLE 728

2-BENZYL-3-[4-[(3-METHOXYBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 489.5.

EXAMPLE 729

2-BENZYL-3-{4-[(2-CHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 493.4.

EXAMPLE 730

2-BENZYL-7-(TRIFLUOROMETHYL)-3-(4-{[2-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.6.

EXAMPLE 731

2-BENZYL-3-{4-[(3-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 473.6.

EXAMPLE 732

2-BENZYL-3-{4-[(2-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 473.3.

EXAMPLE 733

2-BENZYL-3-{4-[(3-CHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 493.4.

EXAMPLE 734

2-BENZYL-7-(TRIFLUOROMETHYL)-3-(4-[[3-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.6.

EXAMPLE 735

2-BENZYL-3-(4-[[3,5-BIS(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 595.4.

EXAMPLE 736

2-BENZYL-3-{4-[(3,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 487.4.

EXAMPLE 737

2-BENZYL-3-{4-[(2,6-DICHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.6.

EXAMPLE 738

2-BENZYL-3-{4-[(3,5-DICHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.3.

EXAMPLE 739

2-BENZYL-3-{3-[(3-METHOXYBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 489.5.

EXAMPLE 740

2-BENZYL-3-{3-[(2-CHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 493.4.

EXAMPLE 741

2-BENZYL-7-(TRIFLUOROMETHYL)-3-(3-{[2-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.6.

EXAMPLE 742

2-BENZYL-3-{3-[(3-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 473.3.

EXAMPLE 743

2-BENZYL-3-{3-[(2-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 473.3.

EXAMPLE 744

2-BENZYL-7-(TRIFLUOROMETHYL)-3-(3-{[3-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.6.

EXAMPLE 745

2-BENZYL-3-(3-{[3,5-BIS(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 595.4.

EXAMPLE 746

2-BENZYL-3-{3-[(3,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 487.4.

EXAMPLE 747

2-BENZYL-3-{3-[(2,6-DICHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.3.

EXAMPLE 748

2-BENZYL-3-{3-[(3,5-DICHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 527.3.

EXAMPLE 749

1-[4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]CYCLOPROPANECARBOXYLIC ACID

This compound was prepared similarly to that of Example 527.
LCMS: M+H: 543.5, M-H: 541.4.

EXAMPLE 750

2-BENZYL-3-{3-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 487.4.

EXAMPLE 751

2-BENZYL-3-{3-[(2-FLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 477.2.

EXAMPLE 752

2-BENZYL-3-{3-[(2-FLUORO-6-NITROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 522.8.

EXAMPLE 753

2-BENZYL-3-{3-[(2-CHLORO-6-FLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 511.7.

EXAMPLE 754

2-BENZYL-3-{3-[(2,6-DIFLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 495.8.

EXAMPLE 755

2-BENZYL-3-{4-[(2-FLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 477.2.

EXAMPLE 756

2-BENZYL-3-{4-[(2-CHLORO-6-FLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 511.7.

EXAMPLE 757

2-BENZYL-3-{4-[(2,6-DIFLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 495.8.

EXAMPLE 758

[3-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 527.
LCMS: M+H: 517.4, M-H: 515.6.

EXAMPLE 759

3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL ACETATE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 411.2.

EXAMPLE 760

2-BENZYL-3-{4-[(2-FLUORO-6-NITROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 522.8.

EXAMPLE 761

4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL ACETATE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 517.4.

EXAMPLE 762

DIETHYL [4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZYL]PHOSPHONATE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 609.5.

EXAMPLE 763

DIETHYL [4-({4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZYL]PHOSPHONATE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 609.5.

EXAMPLE 764

4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZONITRILE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 484.4.

EXAMPLE 765

3-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZONITRILE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 484.4.

EXAMPLE 766

4-({4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZONITRILE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 484.4.

EXAMPLE 767

2-BENZYL-3-(3-{[3-(1H-TETRAZOL-5-YL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 529.
LCMS: M+H: 527.6, M-H: 525.8.

EXAMPLE 768

2-BENZYL-3-(4-{[4-(1H-TETRAZOL-5-YL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 529.
LCMS: M+H: 527.6, M-H: 525.8.

EXAMPLE 769

[4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZYL]PHOSPHONIC ACID

This compound was prepared similarly to that of Example 530.
LCMS: M+H: 553.4, M-H: 551.9.

EXAMPLE 770

{4-[4-(2-BENZYL-7-TRIFLUOROMETHYL-2H-INDAZOL-3-YL)-PHENOXYMETHYL]-BENZYL}-PHOSPHONIC ACID MONOETHYL ESTER

This compound was prepared similarly to that of Example 530.
LCMS: M+H: 581.3, M-H: 579.5.

EXAMPLE 771

[4-({4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZYL]PHOSPHONIC ACID

This compound was prepared similarly to that of Example 530.
LCMS: M+H: 553.4, M-H: 551.6.

EXAMPLE 772

2-(2,4-DIMETHYLBENZYL)-3-{3-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 515.6.

EXAMPLE 773

3-{3-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 541.4.

EXAMPLE 774

3-{3-[(2-FLUORO-6-NITROBENZYL)OXY]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 576.5.

EXAMPLE 775

2-(2,4-DIFLUOROBENZYL)-3-{3-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 523.7.

EXAMPLE 776

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 539.6.

EXAMPLE 777

2-(2-CHLORO-4-FLUOROBENZYL)-3-{3-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 539.6.

EXAMPLE 778

2-BENZYL-3-{3-[(3,5-DIMETHOXYBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 519.5.

EXAMPLE 779

2-BENZYL-3-{4-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 487.4.

EXAMPLE 780

2-(2,4-DICHLOROBENZYL)-3-{3-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 555.2.

EXAMPLE 781

2-BENZYL-3-{3-[(2,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 487.4.

EXAMPLE 782

2-BENZYL-3-(3-[[2-FLUORO-6-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 545.3.

EXAMPLE 783

2-[4-({3-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]-2-METHYLPROPANOIC ACID

This compound was prepared similarly to that of Example 528.

LCMS: M+H: 573.8, M-H: 571.4.

EXAMPLE 784

2-METHYL-2-[4-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]PROPANOIC ACID

This compound was prepared similarly to that of Example 528.
LCMS: M+H: 599.6, M-H: 597.5.

EXAMPLE 785

2-[4-({3-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]PROPANOIC ACID

This compound was prepared similarly to that of Example 528.
LCMS: M+H: 559.4, M-H: 557.6.

EXAMPLE 786

2-[4-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]PROPANOIC ACID

This compound was prepared similarly to that of Example 528.
LCMS: M+H: 585.2, M-H: 583.4.

EXAMPLE 787

2-(2,4-DIMETHYLBENZYL)-3-{3-[(2-FLUORO-6-NITROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 550.7, M-H: 548.6.

EXAMPLE 788

3-({3-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZONITRILE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 512.6.

EXAMPLE 789

3-[3-(BENZYLOXY)PHENYL]-2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 487.4.

EXAMPLE 790

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2-FLUORO-6-NITROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 574.4, M-H: 572.3.

EXAMPLE 791

3-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)BENZONITRILE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 536.3.

EXAMPLE 792

2-{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-2-(4-METHYLPHENYL)PROPANOIC ACID

This compound was prepared similarly to that of Example 528.

LCMS: M+H: 583.4, M-H: 581.3.

EXAMPLE 793

2-[4-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]PROPANOIC ACID

This compound was prepared similarly to that of Example 528.
LCMS: M+H: 583.1, M-H: 581.3.

EXAMPLE 794

2-[4-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]-2-METHYLPROPANOIC ACID

This compound was prepared similarly to that of Example 528.
LCMS: M+H: 597.5, M-H: 595.4.

EXAMPLE 795

2-(2,4-DIFLUOROBENZYL)-3-[4-[(2,6-DIMETHYLBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 623.7.

EXAMPLE 796

2-(2,4-DICHLOROBENZYL)-3-[4-[(2,6-DIMETHYLBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 555.2.

EXAMPLE 797

2-(4-CHLORO-2-FLUOROBENZYL)-3-{4-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 539.6.

EXAMPLE 798

2-(2-CHLORO-4-FLUOROBENZYL)-3-{4-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 539.6.

EXAMPLE 799

2-(2,4-DIMETHYLBENZYL)-3-{4-[(2,6-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 515.6.

EXAMPLE 800

2-BENZYL-3-(4-{[2-FLUORO-6-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 545.3.

EXAMPLE 801

[4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-3,5-DIMETHYLPHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 2.

LCMS: M+H: 545.3.

EXAMPLE 802

[4-({4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-3,5-DIMETHYLPHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 801.
LCMS: M+H: 545.3.

EXAMPLE 803

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 539.6.

EXAMPLE 804

2-(2,4-DIMETHYLBENZYL)-3-{3-[(2,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 515.6.

EXAMPLE 805

4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-3,5-DIBROMOBENZOIC ACID

This compound was prepared similarly to that of Example 801.
LCMS: M+H: 661.0, M-H: 659.0.

EXAMPLE 806

4-({4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-3,5-DIBROMOBENZOIC ACID

This compound was prepared similarly to that of Example 801.
LCMS: M+H: 661.0, M-H: 659.1.

EXAMPLE 807

4-({3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-3,5-DIMETHYLBENZOIC ACID

This compound was prepared similarly to that of Example 801.
LCMS: M+H: 531.2, M-H: 529.3.

EXAMPLE 808

2-BENZYL-3-{4-[(2,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 487.4.

EXAMPLE 809

2-(2-CHLORO-4-FLUOROBENZYL)-3-{4-[(2,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 539.6.

EXAMPLE 810

2-(2,4-DICHLOROBENZYL)-3-{4-[(2,5-DIMETHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 555.2.

EXAMPLE 811

3-{3-[(2,5-DIMETHYLBENZYL)OXY]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 541.4.

EXAMPLE 812

{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}(3-METHYLPHENYL)ACETIC ACID

This compound was prepared similarly to that of Example 527.
LCMS: M+H: 517.4.

EXAMPLE 813

{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}(3-METHYLPHENYL)ACETIC ACID

This compound was prepared similarly to that of Example 527.
LCMS: M+H: 517.4, M-H: 515.3.

EXAMPLE 814

2-BENZYL-3-[4-(2-NAPHTHYLMETHOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 509.6.

EXAMPLE 815

2-BENZYL-3-[4-(1-NAPHTHYLMETHOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 509.3.

EXAMPLE 816

2-BENZYL-3-[4-[(3-METHYL-2-NAPHTHYL)METHOXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 523.7.

EXAMPLE 817

2-BENZYL-3-[3-(2-NAPHTHYLMETHOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 509.3.

EXAMPLE 818

2-BENZYL-3-[3-(1-NAPHTHYLMETHOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 509.6.

EXAMPLE 819

2-BENZYL-3-[3-[(3-METHYL-2-NAPHTHYL)METHOXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 523.7.

EXAMPLE 820

2-BENZYL-3-[4-[(2-METHYL-1-NAPHTHYL)METHOXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 523.7.

EXAMPLE 821

3-[4-(9-ANTHRYLMETHOXY)PHENYL]-2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 559.4.

EXAMPLE 822

2-BENZYL-3-[3-[(2-METHYL-1-NAPHTHYL)METHOXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 523.7.

EXAMPLE 823

3-[3-(9-ANTHRYLMETHOXY)PHENYL]-2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 559.4.

EXAMPLE 824

2-(4-CHLORO-2-FLUOROBENZYL)-3-[4-[(2,5-DIMETHYLBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 539.6.

EXAMPLE 825

2-(2,4-DIMETHYLBENZYL)-3-[4-[(2,5-DIMETHYLBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 515.6.

EXAMPLE 826

3-[4-[(2,5-DIMETHYLBENZYL)OXY]PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 541.4.

EXAMPLE 827

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2-METHYL-3-NITROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 570.5.

EXAMPLE 828

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(5-METHYL-2-NITROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 570.5.

EXAMPLE 829

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2-CHLORO-5-NITROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 590.3.

EXAMPLE 830

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2-FLUORO-3-METHYLBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 543.5.

EXAMPLE 831

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[[5-FLUORO-2-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 597.2.

EXAMPLE 832

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[[2-CHLORO-3-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 613.1.

EXAMPLE 833

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2-METHOXY-5-NITROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 586.1.

EXAMPLE 834

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[[2-METHYL-5-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 593.6.

EXAMPLE 835

3-[3-[(2-BROMO-5-METHOXYBENZYL)OXY]PHENYL]-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 621.5.

EXAMPLE 836

3-(3-[[2,5-BIS(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 647.6.

EXAMPLE 837

1-[3-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-4-METHOXYPHENYL]ETHANONE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 584.3.

EXAMPLE 838

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2,5-DIFLUOROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 547.7.

EXAMPLE 839

3-[3-[(2-BROMO-5-FLUOROBENZYL)OXY]PHENYL]-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 607.1.

EXAMPLE 840

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(3-CHLORO-2-FLUOROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 563.3.

EXAMPLE 841

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{[2-FLUORO-5-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 597.2.

EXAMPLE 842

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,3,6-TRIFLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 565.4.

EXAMPLE 843

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,5-DICHLOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 579.3.

EXAMPLE 844

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{[2-CHLORO-5-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 613.1.

EXAMPLE 845

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[[5-CHLORO-2-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 612.8.

EXAMPLE 846

[4-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 569.6.

EXAMPLE 847

METHYL [4-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETATE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 583.4.

EXAMPLE 848

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(6-CHLORO-2-FLUORO-3-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 577.7.

EXAMPLE 849

3-{3-[(2-CHLORO-3,6-DIFLUOROBENZYL)OXY]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 581.3.

EXAMPLE 850

3-{3-[(3-CHLORO-2,6-DIFLUOROBENZYL)OXY]PHENYL}-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 581.3.

EXAMPLE 851

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(5-CHLORO-2-FLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 563.6.

EXAMPLE 852

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,5-DIMETHOXYBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 571.4.

EXAMPLE 853

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(5-FLUORO-2-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.

LCMS: M+H: 543.5.

EXAMPLE 854

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,6-DIFLUORO-3-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 561.2.

EXAMPLE 855

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2,6-DIFLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 547.7.

EXAMPLE 856

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2-CHLORO-6-FLUOROBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 563.3.

EXAMPLE 857

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{[2-METHOXY-5-(TRIFLUOROMETHOXY)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 625.4.

EXAMPLE 858

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2,3-DIMETHOXYBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 571.4.

EXAMPLE 859

3-[3-(BENZYLOXY)PHENYL]-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 511.7.

EXAMPLE 860

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(4-METHYLBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 525.8.

EXAMPLE 861

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[(2-CHLORO-5-FLUOROBENZYL)OXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 563.6.

EXAMPLE 862

2-(2,4-DIMETHYLBENZYL)-3-(3-[[5-FLUORO-2-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 573.8.

EXAMPLE 863

[4-({3-[2-METHYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 441.5, M-H: 439.7.

EXAMPLE 864

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[(2-CHLORO-6-FLUORO-3-METHYLBENZYL)OXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 577.7.

EXAMPLE 865

[4-({3-[7-(TRIFLUOROMETHYL)-1H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 427.4, M-H: 425.9.

EXAMPLE 866

2-{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-2-PHENYLPROPANOIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 569.6, M-H: 567.5.

EXAMPLE 867

{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}(3-METHYLPHENYL)ACETIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 569.6, M-H: 567.5.

EXAMPLE 868

[4-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-2,5-DIMETHYLPHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 597.5.

EXAMPLE 869

[4-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 571.4, M-H: 569.3.

EXAMPLE 870

1-[4-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]CYCLOPROPANECARBOXYLIC ACID

This compound was prepared similarly to that of Example 527.
LCMS: M+H: 595.4, M-H: 593.6.

EXAMPLE 871

3-(3-[[5-FLUORO-2-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 599.3.

EXAMPLE 872

[3-({3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)-2,4-DIMETHYLPHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 597.5.

EXAMPLE 873

[4-({3-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETIC ACID

This compound was prepared similarly to that of Example 531.
LCMS: M+H: 545.6, M-H: 543.5.

EXAMPLE 874

2-[3-({4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}AMINO)PHENYL]-2-METHYLPROPANOIC ACID

This compound was prepared similarly to that of Example 4.
LCMS: M+H: 558.5.

EXAMPLE 875

3-(3-[[5-CHLORO-2-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 615.5.

EXAMPLE 876

3-(3-[[2-CHLORO-5-(TRIFLUOROMETHYL)BENZYL]OXY}PHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 525.
LCMS: M+H: 615.5.

EXAMPLE 877

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[2-(2,5-DIMETHYLPHENYL)ETHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 537.5.

EXAMPLE 878

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[2-(2,5-DICHLOROPHENYL)ETHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.

LCMS: M+H: 577.7.

EXAMPLE 879

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[2-(2,5-DIFLUOROPHENYL)ETHYL]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 545.3.

EXAMPLE 880

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[2-(5-CHLORO-2-FLUOROPHENYL)ETHYL]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 561.2.

EXAMPLE 881

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[2-(2-CHLORO-5-FLUOROPHENYL)ETHYL]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 561.2.

EXAMPLE 882

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[2-[2-FLUORO-6-(TRIFLUOROMETHYL)PHENYL]ETHYL]PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 595.4.

EXAMPLE 883

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[2-[3-(TRIFLUOROMETHOXY)PHENYL]ETHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 593.6.

EXAMPLE 884

2-(4-CHLORO-2-FLUOROBENZYL)-3-{3-[2-(3-METHOXYPHENYL)ETHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 539.6.

EXAMPLE 885

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{2-[3-(DIFLUOROMETHOXY)PHENYL]ETHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 575.6.

EXAMPLE 886

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-{2-[2-METHYL-5-(TRIFLUOROMETHYL)PHENYL]ETHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 591.5.

EXAMPLE 887

3-(3-[2-[2,5-BIS(TRIFLUOROMETHYL)PHENYL]ETHYL}PHENYL)-2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 645.5.

EXAMPLE 888

2-(4-CHLORO-2-FLUOROBENZYL)-3-[3-[2-(2,3-DIMETHOXYPHENYL)ETHYL]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 569.6.

EXAMPLE 889

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[2-[2-CHLORO-5-(TRIFLUOROMETHYL)PHENYL]ETHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 611.3.

EXAMPLE 890

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[2-[5-CHLORO-2-(TRIFLUOROMETHYL)PHENYL]ETHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 611.3.

EXAMPLE 891

2-(4-CHLORO-2-FLUOROBENZYL)-3-(3-[2-[5-FLUORO-2-(TRIFLUOROMETHYL)PHENYL]ETHYL}PHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 532.
LCMS: M+H: 595.4.

EXAMPLE 892

(3-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)ACETIC ACID

Fifty milligrams (50 mg 0.094 mmol) of 2-benzyl-3-[4-(3-[1,3]dioxolan-2-yl-methyl-phenoxy)-phenyl]-7-trifluoro-methyl-2H-Indazole (this compound was prepared similarly to that of Example 3) in 600 µL acetone, was treated with 5 eq. of Jones reagent (3.6M CrO_3 in aq. H_2SO_4) at 0°C, while stirring for 2 hours at room temperature. The reaction mixture was quenched with ice / $NaHSO_3$ and extracted three times with CH_2Cl_2. The combined organic phases were dried, evaporated and the crude material was dissolved in 0.5 mL methanol. Twenty milligrams (20 mg) of LiOH were added and the reaction mixture was stirred at 70°C for 2 hours. The reaction mixture was concentrated, diluted with NaCl (aq. sat.), acidified with 2 M HCl(aq) and extracted three times with CH_2Cl_2. The crude material was purified by HPLC using a gradient with acidic mobile phase on an ACE-C8 column to afford analytically pure product.
LCMS: M+H: 503.3, M-H: 501.5.

EXAMPLE 893

2-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZALDEHYDE

The preparation of this compound is was similar to that described for Example 3. 2-Benzyl-3-(3-bromo-phenyl)-7-trifluoromethyl-2H-Indazole (60 mg, 0.139 mmol), cesium carbonate (272 mg, 0.835 mmol), CuI (80 mg, 0.417 mmol) and 2-hydroxy-

benzaldehyde (51 mg, 0.417 mmol) were dissolved in 6 ml of dry pyridine. The reaction and work-up were carried out as described for Example 3.
LCMS: M+H: 473.3, M+COO⁻: 517.4.

EXAMPLE 894
2-(3-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)-2-METHYLPROPANOIC ACID

Preparation of 2-(3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)-2-methylpropanoic acid methyl ester.

3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenol (96 mg, 0.26 mmol), 2-methyl-2-[3-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)-phenyl]-propionic acid methyl ester (80 mg, 0.26 mmol), $Cu(OAc)_2$ (47 mg, 0.26 mmol) and pyridine (42 µL, 0.52 mmol) were dissolved in 3 ml anhydrous CH_2Cl_2 and stirred at room temperature with crushed molsieves (4Å) overnight. The reaction mixture was diluted with 1 M HCl (aq.) and the product was extracted three times with CH_2Cl_2. The combined organic phases were dried, evaporated and the crude material was purified by preparative HPLC using a gradient with an acidic mobile phase on an ACE-C8 column to afford 8.4 mg of analytically pure product.
LCMS: M+H: 546.5.

Preparation of 2-(3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)-2-methylpropanoic acid.

This compound was obtained from 2-(3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)-2-methylpropanoic acid methyl ester in a similar manner as described for the preparation of Example 2.
LCMS: M+H: 531.5, M-H: 529.1.

EXAMPLE 895
3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZALDEHYDE

Preparation of 2-Benzyl-3-[4-(3-[1,3]dioxolan-2-ylmethyl-phenoxy)-phenyl]-7-trifluoromethyl-2H-indazole.

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 531.5.

Preparation of 3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzaldehyde.

2-benzyl-3-[4-(3-[1,3]dioxolan-2-ylmethyl-phenoxy)-phenyl]-7-trifluoromethyl-2H-indazole (14 mg, 0.0264 mmol) was treated with 6.6 mg PPTS in 1.5 ml acetone under reflux for 2 hrs. The reaction mixture was extracted with $NaHCO_3$ and CH_2Cl_2. The combined organic phases were dried, evaporated and the crude material was purified on a chromatotron using a gradient changing from 1:99 (EtOAc/heptane) to 3:7 (EtOAc/heptane) to afford 5 mg of analytically pure product.
LCMS: M+H: 487.0 , M-H: 485.8.

EXAMPLE 896
(3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)METHANOL

This compound was prepared from methyl 3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoate (Example 912; 30 mg, 0.060 mmol), which was dissolved in 1.5 ml diethylether and treated with $LiAlH_4$. The reaction mixture was stirred at room temperature overnight. The reaction mixture was quenched with water and stirred for 15 minutes. The slurry was filtered and the product extracted with Et_2O / NH_4Cl (aq, sat). After evaporation of the solvents, the crude material was purified by HPLC using a gradient with an acidic mobile phase on an ACE-C8 column to afford 19.6 mg of analytically pure product.

LCMS: M+H: 475.4, M+COO⁻: 519.5.

EXAMPLE 897

3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N-METHOXY-N-METHYLBENZAMIDE

Preparation of 3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoic acid.

This compound was prepared similarly to that of Example 3.

Preparation of 3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N-methoxy-N-methylbenzamide.

A reaction mixture of 3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoic acid (115.8 mg, 0.237 mmol), (3-dimethylamino-propyl)-ethyl-carbodiimide hydrochloride (86 mg, 0.356 mmol), *O,N*-dimethyl-hydroxylamine hydrochloride (69 mg, 0.711 mmol) and 0.3 mL of triethylamine dissolved in 2 mL CH_2Cl_2 was stirred at room temperature overnight. The reaction mixture was quenched with 1M HCl(aq) and the crude material was extracted with CH_2Cl_2. The crude material was purified with flash chromatography using EtOAc/heptane, 3:7, as eluent to afford 17 mg of analytically pure product.
LCMS: M+H: 532.2.

EXAMPLE 898

3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLBENZAMIDE

This compound was prepared from Example 912. Methyl 3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoate (49 mg, 0.0971 mmol) was dissolved in a 2M solution of dimethylamine in methanol (1.5 mL), 0.5 mg of sodium cyanide was added and the reaction mixture was stirred at 60°C overnight. The solvent was evaporated, the residue dissolved in CH_2Cl_2 and washed with brine. The

combined organic phases were dried, evaporated and the crude material was purified by HPLC using a gradient with an acidic mobile phase on an ACE-C8 column to afford 9 mg of analytically pure product.
LCMS: M+H: 516.0.

EXAMPLE 899
3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZAMIDE

3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoic acid (39 mg, 0.08 mmol) in 0.8 mL dioxane was added to $NbCl_5$ (64 mg, 0.238 mmol), followed by 0.95 mL NH_3 (0.5M in dioxane). The temperature was slowly raised to 50°C and stirred for 2 days. The solvents were evaporated and the residue dissolved in CH_2Cl_2, followed by filtration of the precipitate. The residue was dissolved in CH_2Cl_2 and washed with brine. The combined organic phases were dried, evaporated and the crude material was purified by HPLC using a gradient with an acidic mobile phase on an ACE-C8 column to afford analytically pure product.
LCMS: M+H: 488.3, M-H: 486.5.

EXAMPLE 900
5-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-1,2,3,4-TETRAHYDRONAPHTHALEN-1-OL

5-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one (14 mg, 0.025 mmol) dissolved in 1.5 mL THF was added to a slurry of $LiAlH_4$ in THF and stirred at room temperature for 2 hours. The reaction mixture was quenched with H_2O and stirred for 15 min. Filtration of the mixture was followed by extraction with CH_2Cl_2. The combined organic phases were dried, evaporated and the crude material was purified by HPLC using a gradient with an acidic mobile phase on an ACE-C8 column to afford 1.2 mg analytically pure product.
LCMS: M+H: 567.5, M+COO$^-$: 611.3.

EXAMPLE 901

3-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLBENZAMIDE

4-[2-(4-Chloro-2-fluoro-benzyl)-7-trifluoromethyl-2H-indazol-3-yl]-phenol (50 mg, 0.12 mmol), 3-(N,N-dimethyl-benzamide)-phenylboronic acid (0.24 mmol), $Cu(OAc)_2$ (22mg, 0.12 mmol) and pyridine (2eq) were dissolved in 2ml of anhydrous CH_2Cl_2. Molsieves (4 Å) were added and the reaction mixture was stirred for 3 days. The reaction mixture was extracted with NH_4CL(aq) and CH_2Cl_2. The combined organic phases were dried, evaporated and the crude material was purified by HPLC using a gradient with a neutral mobile phase on an ACE-C8 column to afford 17 mg analytically pure product.
LCMS: M+H: 568.8, $M+COO^-$: 571.2.

EXAMPLE 902

2-(3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)PROPAN-2-OL

Methyl 3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoate (10 mg, 0.02 mmol) was treated with MeMgBr (3M in Et_2O, 0.13 mL) in 2 ml of THF. The reaction mixture was stirred for 2 hours at room temperature before extraction with CH_2Cl_2 /NH_4Cl. Purification by flash chromatography using CH_2Cl_2 / heptane, 1:1, as eluent afforded 2 mg of analytical pure product.
LCMS: M+H: 503.3; $M+COO^-$: 547.4.

EXAMPLE 903

5-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-1,2,3,4-TETRAHYDRONAPHTHALEN-1-OL

5-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one (330 mg, 0.58 mmol) dissolved in 10 mL of EtOH was added to a slurry of $NaBH_4$ in EtOH and stirred at room temperature overnight. The reaction mixture was quenched with H_2O and stirred for 15 min. Filtration of the

mixture was followed by extraction with CH_2Cl_2. The combined organic phases were dried, evaporated and the crude material was purified by HPLC using a gradient with an acidic mobile phase on an ACE-C8 column to afford a racemic mixture. Separation of the two enantiomers afforded the analytically pure products of this Example 903 and Example 936.
LCMS: M+H: 567.5, M+Ac⁻: 625.4.

EXAMPLE 904

2-BENZYL-3-(3-PHENOXYPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 445.4, M+Ac⁻: 503.3.

EXAMPLE 905

2-BENZYL-3-[3-(4-METHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 475.4, M+Ac⁻: 533.3.

EXAMPLE 906

2-BENZYL-3-{3-[3-(1,3-DIOXOLAN-2-YLMETHYL)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 531.1.

EXAMPLE 907

METHYL 2-(4-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)-2-METHYLPROPANOATE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 545.3, M+COO⁻: 589.7.

EXAMPLE 908

3-{3-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLBENZAMIDE

This compound was prepared similarly to that of Example 894.
LCMS: M+H: 545.6, M+COO⁻: 589.4.

EXAMPLE 909

(3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)ACETIC ACID

This compound was prepared similarly to that of Example 892.
LCMS: M+H: 503.3, M+-H: 501.2.

EXAMPLE 910

2-BENZYL-3-{4-[3-(1,3-DIOXOLAN-2-YLMETHYL)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 531.2, M+COO⁻: 575.6.

EXAMPLE 911

2-BENZYL-3-[4-(3,5-DIMETHYLPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 473.3.

EXAMPLE 912

METHYL 3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZOATE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 503.3, M+COO⁻: 547.7.

EXAMPLE 913

3-{4-[2-BENZYL-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N-METHYLBENZAMIDE

This compound was prepared from similarly to that of Example 898.
LCMS: M+H: 502.0, M+Ac⁻: 560.0.

EXAMPLE 914

2-(2,4-DIMETHYLBENZYL)-3-[4-(2-METHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 893.
LCMS: M+H: 503.3.

EXAMPLE 915

3-[4-(2-METHOXYPHENOXY)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 893.
LCMS: M+H: 529.1, M+COO⁻: 573.2.

EXAMPLE 916

2-(4-CHLORO-2-FLUOROBENZYL)-3-[4-(2-METHOXYPHENOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 893.

LCMS: M+H: 527.3, M+COO⁻: 571.1.

EXAMPLE 917

3-{4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLANILINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 516.8, M+COO⁻: 559.7.

EXAMPLE 918

N,N-DIMETHYL-3-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}ANILINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 542.6.

EXAMPLE 919

3-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLANILINE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 540.5, M+COO⁻: 584.6.

EXAMPLE 920

3-{4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N-METHOXY-N-METHYLBENZAMIDE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 560.3.

EXAMPLE 921

N-METHOXY-N-METHYL-3-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZAMIDE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 586.1.

EXAMPLE 922

3-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N-METHOXY-N-METHYLBENZAMIDE

This compound was prepared similarly to that of Example 3.
LCMS: M+H: 584.3.

EXAMPLE 923

5-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

This compound was prepared similarly to that of Example 893.
LCMS: M+H 585.2.

EXAMPLE 924

2-BENZYL-3-{4-[2-(TRIFLUOROMETHOXY)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 893.
LCMS: M+H: 529.3.

EXAMPLE 925

2-BENZYL-3-[4-(1-NAPHTHYLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 893.

LCMS: M+H: 495.4.

EXAMPLE 926

3-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZALDEHYDE

This compound was prepared similarly to that of Example 893.
LCMS: M+H 525.8.

EXAMPLE 927

2-(4-CHLORO-2-FLUOROBENZYL)-3-[4-(5,6,7,8-TETRAHYDRONAPHTHALEN-1-YLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 893.
LCMS: M+H 551.6.

EXAMPLE 928

3-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIETHYLBENZAMIDE

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 596.3.

EXAMPLE 929

2-(4-CHLORO-2-FLUOROBENZYL)-3-[4-[3-(PYRROLIDIN-1-YLCARBONYL)PHENOXY]PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 594.3.

EXAMPLE 930

2-(4-CHLORO-2-FLUOROBENZYL)-3-{4-[3-(PIPERIDIN-1-YLCARBONYL)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 606.3.

EXAMPLE 931

2-(4-CHLORO-2-FLUOROBENZYL)-3-{4-[3-(MORPHOLIN-4-YLCARBONYL)PHENOXY]PHENYL}-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 610.26.

EXAMPLE 932

1-(3-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)ETHANONE

This compound was prepared similarly to that of Example 893.
LCMS: M+H: 539.6, M+COO$^-$: 583.1.

EXAMPLE 933

N,N-DIMETHYL-3-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}BENZAMIDE

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 570.5, M+COO$^-$: 614.6.

EXAMPLE 934

3-{4-[2-(2,4-DIMETHYLBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-N,N-DIMETHYLBENZAMIDE

This compound was prepared similarly to that of Example 901.

LCMS: M+H: 544.4, M+Ac⁻: 602.6.

EXAMPLE 935

2-(3-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)PROPAN-2-OL

This compound was prepared similarly to that of Example 902.
LCMS: M+H: 555.2, M+COO⁻: 559.6.

EXAMPLE 936

5-{4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-1,2,3,4-TETRAHYDRONAPHTHALEN-1-OL

This compound was prepared similarly to that of Example 903, and is the other enantiomer of Example 903.
LCMS: M+H: 567.5, M+COO⁻: 625.4.

EXAMPLE 937

3-{4-[3-(PIPERIDIN-1-YLCARBONYL)PHENOXY]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 610.4, M+COO⁻: 654.5.

EXAMPLE 938

2-(3-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}PHENYL)PROPAN-2-OL

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 557.3, M+Ac⁻: 615.5.

EXAMPLE 939

3-(4-[3-(MORPHOLIN-4-YLCARBONYL)PHENOXY]PHENYL}-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

This compound was prepared similarly to that of Example 901.
LCMS: M+H: 612.5.

By procedures similar to those in the preceding examples, the following examples (Examples 940 to 967) were prepared.

EXAMPLE 940

5-(3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY)-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

MS (ES) m/z 564.6;
HPLC purity 99.8% at 210-370 nm, 12.0 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10 min, hold 4 min.

EXAMPLE 941

2-(2-CHLORO-4-FLUOROBENZYL)-3-(4-METHOXYPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) m/z 434.7.

EXAMPLE 942

2-(4-CHLORO-2-FLUOROBENZYL)-3-(4-METHOXYPHENYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) m/z 434.8.

EXAMPLE 943

3-(4-METHOXYPHENYL)-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) m/z 437.0.

EXAMPLE 944

5-{3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}QUINOLINE

MS (ES) m/z 547.6.
HPLC purity 100% at 210-370 nm, 11.8 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10 min., hold 4 min.

EXAMPLE 945

5-{3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}ISOQUINOLINE

MS (ES) m/z 547.7.
HPLC purity 97.4% at 210-370 nm, 11.8 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10 min., hold 4 min.

EXAMPLE 946

4-{3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}QUINAZOLINE

MS (ES) m/z 548.6.
HPLC purity 98.5% at 210-370 nm, 11.1 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10 min., hold 4 min.

EXAMPLE 947

6-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

MS (ES) m/z 566.6.
HPLC purity 98.4% at 210-370 nm, 11.7 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10 min., hold 4 min.

EXAMPLE 948

2-(2-CHLORO-4-FLUOROBENZYL)-3-[3-(5,6,7,8-TETRAHYDRONAPHTHALEN-2-YLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) m/z 550.7.
HPLC purity 95.1% at 210-370 nm, 12.9 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10 min., hold 4 min.

EXAMPLE 949

2-(2-CHLORO-4-FLUOROBENZYL)-3-[3-(5,6,7,8-TETRAHYDRONAPHTHALEN-1-YLOXY)PHENYL]-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) m/z 550.7.
HPLC purity 100% at 210-370 nm, 12.8 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10 min., hold 4 min.

EXAMPLE 950

2-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1H-INDOLE-5-CARBONITRILE

MS (ES) m/z 546.7.

EXAMPLE 951

3-[4-(5-FLUORO-1H-INDOL-2-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) m/z 539.7.

EXAMPLE 952

5-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

MS (ES) m/z 586.8.
HPLC purity 100% at 210-370 nm, 11.7 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10min, hold 4min.

EXAMPLE 953

6-{3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

MS (ES) m/z 564.7.
HPLC purity 99.3% at 210-370 nm, 12.0 min.; Xterra RP18, 3.5u, 150 x 4.6 mm column, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) for 10min, hold 4min.

EXAMPLE 954

3-[3-(5-BROMO-6-METHYL-1H-INDOL-2-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) m/z 613.6.

EXAMPLE 955

3-[4-(5-BROMO-6-METHYL-1H-INDOL-2-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ESI, [M-H]-) m/z 711.7.

EXAMPLE 956

1-METHYL-2-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1H-INDOLE-5-CARBONITRILE

HRMS: calcd for C31H18F6N4 + H+, 561.15084; found (ESI, [M+H]+), 561.1509.

EXAMPLE 957

3-[4-(5-FLUORO-1-METHYL-1H-INDOL-2-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

HRMS: calcd for C30H18F7N3 + H+, 554.14617; found (ESI, [M+H]+), 554.1463.

EXAMPLE 958

6-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

MS (ES) *m/z* 566.8.

EXAMPLE 959

2-{4-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENYL}-1H-INDOLE-5-CARBONITRILE

MS (ES) *m/z* 546.7.

EXAMPLE 960

3-[4-(5-FLUORO-1H-INDOL-2-YL)PHENYL]-2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOLE

MS (ES) *m/z* 539.7.

EXAMPLE 961

5-{3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

MS (ES) *m/z* 566.8.

EXAMPLE 962

6-{3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1(2H)-ONE

MS (ES) *m/z* 564.7.

EXAMPLE 963

METHYL (5-{3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-1-HYDROXY-1,2,3,4-TETRAHYDRONAPHTHALEN-1-YL)ACETATE

MS (ESI) *m/z* 639.

EXAMPLE 964

ETHYL [4-({3-[2-(2,4,6-TRIFLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETATE

MS (ES) *m/z* 598.8.

EXAMPLE 965

(5-{3-[2-(2-CHLORO-4-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-3,4-DIHYDRONAPHTHALEN-1-YL)ACETIC ACID

MS (ES) *m/z* 606.8.

EXAMPLE 966

ETHYL [4-({4-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}METHYL)PHENYL]ACETATE

MS (ES) *m/z* 596.8.

EXAMPLE 967

5-{3-[2-(4-CHLORO-2-FLUOROBENZYL)-7-(TRIFLUOROMETHYL)-2H-INDAZOL-3-YL]PHENOXY}-1,2,3,4-TETRAHYDRONAPHTHALEN-1-OL

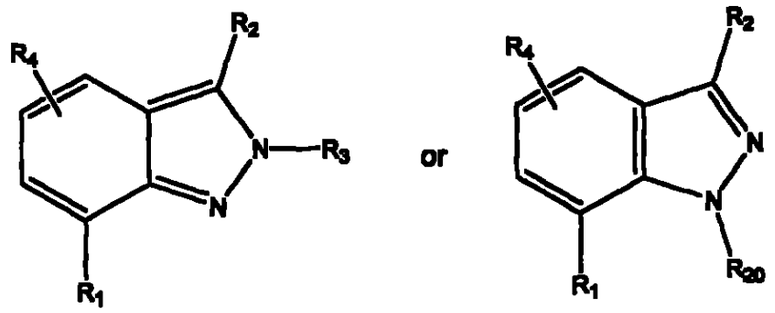
MS (ES) *m/z* 566.8.

As those skilled in the art will appreciate, numerous changes and modifications may be made to the preferred embodiments of the invention without departing from the spirit of the invention. It is intended that all such variations fall within the scope of the invention. It is intended that each of the patents, applications, and printed publications including books mentioned in this patent document be hereby incorporated by reference in their entirety.

This application claims priority benefit of U.S. Provisional Applications Serial Nos. 60/598,573, filed August 3, 2004, and 60/669,737, filed April 8, 2005, each of which is hereby incorporated herein by reference in its entirety.

WHAT IS CLAIMED IS:

1. A compound having the Formula (I) or (Ia):



(I) (Ia)

wherein:

R_1 is C_{1-6} alkyl, CN, CO_2R_6, $C(O)R_6$, C_{2-6} alkenyl, C_{3-6} cycloalkenyl, C_{2-6} alkynyl, NR_5R_6, $C(O)NR_5R_6$, phenyl, thiophene, C_{1-6} alkoxy, halogen, or $S(O)_kR_6$; wherein:

said C_{1-6} alkyl is optionally substituted with from 1 to 7 substituents independently selected from the group consisting of halogen and OH;

k is 0, 1 or 2;

each R_5 and each R_6 is independently H, C_{1-6} alkyl, C_{3-6} cycloalkyl, $S(O)_2$-alkyl or arylalkyl; or

each R_5 and each R_6, together with the nitrogen atom to which they are attached, form independently:

c) a 3 to 7 membered saturated ring that is optionally substituted with C_{1-3} alkyl, CH_2OH, or $C(=O)NH_2$; or

d) a 3 to 7 membered ring containing in its backbone one or two additional heteroatoms that is optionally substituted with up to three substituents independently selected from the group consisting of =O, C_{1-3} alkyl, COC_{1-6} alkyl, and CO_2C_{1-6} alkyl;

provided that when R_1 is $S(O)_kR_6$, then said R_6 of said $S(O)_kR_6$ is not $S(O)_2$-alkyl;

R_2 is C_{3-6} alkyl, C_{3-6} cycloalkyl, C_{2-6} alkenyl, C_{3-6} cycloalkenyl, C_{2-6} alkynyl, NR_7R_8, aryl, arylalkyl, heteroaryl, heteroarylalkyl or heterocycloalkyl, wherein said C_{3-6} alkyl, said C_{3-6} cycloalkyl and said arylalkyl are each optionally substituted with up to

four substituents independently selected from the group consisting of halogen, CN and OR_7, and wherein said heteroaryl is optionally substituted with YD; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{2-3} alkenyl, C_{2-3} alkynyl, C_{1-3} alkoxy, C_{3-6} cycloalkyl, halogen, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_8R_8$, $S(O)_mR_7$, phenyl, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ and YD, providing any OH group present is not in the para position; wherein:

said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms;

m is 0 to 2; and

R_5 and R_8 are as previously defined;

each R_7 and each R_8 is independently H or C_{1-3} alkyl; or

each R_7 and each R_8, together with the N atom to which they are attached, form independently:

a) a 3 to 7 membered saturated ring which is optionally substituted with C_{1-3} alkyl, CO_2R_{14}, $CH_2CO_2R_{14}$, $OCH_2CO_2R_{14}$, $CH_2OCH_2CO_2R_{14}$, $C(O)NR_{14}R_{15}$, CH_2OH, or CH_2CH_2OH; or

b) a 3 to 7 membered ring containing in its backbone one or two additional heteroatoms that is optionally substituted with $CH_2CO_2R_{14}$; wherein R_{14} and R_{15} are each independently H or C_{1-3} alkyl;

Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynylenyl, -O-, CH_2OCH_2, OCH_2, CH_2O, -$N(R_7)$-, -$N(COR_7)$-, $S(O)_j$, -$N(R_7)CH_2$-, -$N(R_7)CONR_8$-, -$N(COR_7)CH_2$-, $S(O)_jCH_2$, -$CH_2N(R_7)CH_2$-, -$CH_2N(COR_7)CH_2$-, -OCH_2O-, -$OC(R_7)(CO_2R_8)$- or -$CH_2S(O)_jCH_2$-; wherein R_7 and R_8 are as previously defined; and j is 0, 1 or 2;

D is tetrahydronaphthalene, tetrahydronaphthalol, tetralone, naphthalene, anthracene, benzyl or phenyl, each of which is optionally substituted with up to five independently selected R groups;

each R is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NH_2, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_8$, and WX; wherein said C_{1-6} alkyl and said C_{1-3}

alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j is 0, 1 or 2; or

D is a heterocycloalkyl, heterocycloalkylalkyl, heteroarylalkyl, heteroaryl or arylalkyl group, each of which is optionally substituted with up to four independently selected R_e groups;

each R_e is independently selected from the group consisting of C_{1-6} alkyl, phenyl, benzyl, C_{3-6} cycloalkyl C_{7-11} arylalkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NO_2, NH_2, OH, =O, C_{2-6} alkenyl, C_{2-4} alkynyl, $S(O)_jR_9$ and WX; wherein said C_{1-6} alkyl, said C_{2-6} alkenyl, said C_{2-4} alkynyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and

j is 0, 1 or 2;

W is a bond, $-CH_2-$, $-CH_2CH_2-$, $-NR_7-$, -Q-N(R_7)-, $-CHR_8-$, $-(CHR_8)_2-$, $-CHR_8-$, $-CR_8R_{10}-$, -CO-, -O-, $-OCH_2-$, $-OCHR_8-$, or $-OCR_8R_{10}-$; wherein R_7 and R_8 are as previously defined; and Q is C_{1-6} alkylenyl;

each R_8 and each R_{10} is independently C_{1-3} alkyl or OH; or

any R_8 and R_{10}, together with the atom to which they are attached, can form a 3 to 7 membered saturated ring that optionally contains one O, N or S atom;

X is CO_2R_{11}, COR_{11}, $C(R_{11})_2OH$, CO_2R_8, $C(O)NR_8R_8$, NR_8R_8, $QNR_8CO_2R_8$, OH, CH_2OH, CN, $SO_2NR_8R_8$, $P(O)(OR_8)(OR_8)$, cycloalkylalkyl, aryl, arylalkyl, heterocycloalkyl or heteroaryl, wherein:

said aryl, said arylalkyl, said heterocycloalkyl and said heteroaryl are independently each optionally substituted with up to three substituents selected from the group consisting of C_{1-3} alkyl, C_{1-3} alkoxy, , halogen, H, OH, NO_2 and benzyl that is optionally substituted with up to five halogen atoms; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy

are each optionally substituted with from 1 to 7 fluorine atoms;

Q is C_{1-3} alkylenyl;

R_{11} is H or C_{1-6} alkyl; and

R_5 and R_6 are as previously defined;

R_3 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkenyl, phenyl, or ZA; wherein:

said phenyl is optionally substituted with C_{1-3} alkyl;

Z is CH_2, CH_2CH_2, or CH_2O;

A is biphenyl, benzyl, naphthyl, pyridyl, 8-quinolyl, C_{3-6} cycloalkyl or phenyl; wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups; wherein

each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, CN, phenyl, pyrrol-1-yl, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$ and $S(O)_nR_{12}$; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; n is 0, 1 or 2; and R_{12} and R_{13} are each independently H or C_{1-3} alkyl;

R_{20} is H or C_{1-3} alkyl; and

R_4 is H, halogen, methyl or methoxy;

provided that when the compound has the structure (Ia), then R_2 is phenyl or heteroaryl, each of which is substituted by YD, wherein YD is as previously defined; or a pharmaceutically acceptable salt thereof.

2. A compound of claim 1 wherein R_1 is C_{1-6} alkyl, CN, CO_2R_5, NR_5R_6, or halogen; wherein said C_{1-6} alkyl is optionally substituted with from 1 to 7 substituents independently selected from the group consisting of halogen and OH; and R_5 and R_6 are as previously defined.

3. A compound of claim 1 wherein R_1 is CN, halogen, or C_{1-6} alkyl substituted with from 1 to 7 fluorine atoms.

4. A compound of claim 1 wherein R_1 is C_{1-3} perhaloalkyl.

5. A compound of claim 1 wherein R_1 is CF_3, F or Cl.

6. A compound of claim 1 wherein R_1 is CF_3.

7. A compound of any one of claims 1 to 6 wherein:

R_2 is C_{3-6} alkyl, C_{3-6} cycloalkyl, aryl, arylalkyl, heteroaryl or heterocycloalkyl; wherein

said C_{3-6} alkyl, said C_{3-6} cycloalkyl and said arylalkyl are each optionally substituted with up to four substituents independently selected from the group consisting of halogen, CN and OR_7; and

said heteroaryl is optionally substituted with YD; wherein Y and D are as previously defined; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} alkoxy, C_{3-6} cycloalkyl, halogen, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_8R_9$, $S(O)_mR_7$, phenyl, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ and YD, providing any OH group present is not in the para position; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and m, R_5, R_6, R_7, R_8, Y and D are as previously defined.

8. A compound of any one of claims 1 to 6 wherein

R_2 is arylalkyl, heteroaryl or heteroarylalkyl, wherein:

said arylalkyl is optionally substituted with up to four substituents independently selected from the group consisting of halogen, CN and OR_7; and

said heteroaryl is optionally substituted with YD; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{1-3} alkoxy, halogen, CH_2OH, CN, NR_7R_8, $N(R_7)CONR_8R_9$, $S(O)_mR_7$, NO_2, and YD; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; m, R_5, R_6, R_7, and R_8 are as previously defined;

Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynylenyl, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_6-$, $-OCH_2O-$ or $-OC(R_7)(CO_2R_6)-$; wherein R_7 and R_6 are as previously defined;

D is benzyl or phenyl, each of which is optionally substituted with up to five independently selected R groups;

each R is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_6$, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_6 and WX are as previously defined; or

D is a heterocycloalkyl, heteroarylalkyl, heteroaryl, or arylalkyl group, each of which is optionally substituted with up to four independently selected R_a groups;

each R_a is independently selected from the group consisting of C_{1-6} alkyl, phenyl, benzyl, C_{7-11} arylalkyl, C_{1-3} alkoxy, halogen, C(O)H, $CO(CH_3)_2$, $C(CH_3)_2OH$, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NO_2, NH_2, OH, =O, C_{2-6} alkenyl, C_{2-4} alkynyl, $S(O)_jR_6$, and WX; wherein said C_{1-6} alkyl, said C_{2-6} alkenyl, C_{2-4} alkynyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_6 and WX are as previously defined.

9. A compound of any one of claims 1 to 7 wherein R_2 is phenyl substituted with an ortho or meta OH.

10. A compound of any one of claims 1 to 7 wherein R_2 is phenyl substituted with a meta OH.

11. A compound of any one of claims 1 to 7 wherein:

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-3} alkoxy, C_{3-6} cycloalkyl, halogen, OH, CH_2OH, CN, NR_7R_6-, $N(R_7)C(O)NR_6R_6$, $S(O)_mR_7$, phenyl, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_6$, $C(O)NR_7D$ and YD, providing any

OH group present is not in the para position; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and m, R_5, R_6, R_7, R_8, Y and D are as previously defined.

12. A compound of any one of claims 1 to 8 wherein R_2 is phenyl substituted with YD.

13. A compound of claim 12 wherein Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynylenyl, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_5-$, $-OCH_2O-$ or $-OC(R_7)(CO_2R_8)$.

14. A compound of claim 12 or claim 13 wherein D is benzyl or phenyl, each of which is optionally substituted with up to five independently selected R groups; each R is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, -C(=O)H, -C(O)-C_{1-3} alkyl, C_{1-6} alkyl, C_{1-3} alkoxy, halogen, C(=O)H, C_{1-3} acyl, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_8$, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_8 and WX are as previously defined.

15. A compound of claim 12 or claim 13 wherein D is phenyl that is optionally substituted with up to four independently selected R groups; each R is independently selected from the group consisting of C_{1-6} alkyl, -C(=O)H, -C(O)-C_{1-3} alkyl, C_{1-3} alkoxy, halogen, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and wherein WX is previously defined.

16. A compound of any one of claims 1 to 8 or 11 wherein R_2 is phenyl substituted with C_{1-3} perfluoroalkyl.

17. A compound of any one of claims 1 to 8, 14 or 15 wherein R or R_6 is C_{1-3} perfluoroalkyl or C_{1-3} perfluoroalkoxy.

18. A compound of any one of claims 1 to 6 wherein R_2 is selected from the group consisting of 2,4-dimethoxyphenyl, phenyl, 4-methoxy-2-methylphenyl, 2-methoxyphenyl, 4-methoxyphenyl, 2-methylphenyl, 2,4-dimethylphenyl, 1,1'-biphenyl-4-yl, 4-methoxy-3,5-dimethylphenyl, 2-naphthyl, 2-vinylphenyl, 4-methoxy-3-methylphenyl, 3-methylphenyl, 2,3-dimethylphenyl, 3-(trifluoromethyl)phenyl, 4-fluorophenyl, 3-chlorophenyl, 3-methoxyphenyl, benzaldehyde-2-yl, 2-isopropylphenyl, 2-cyclohexylphenyl, 2-benzylphenyl, 2-(1,1,2,2-tetrafluoroethoxy)phenyl, 2-chloro-5-fluorophenyl, 9H-fluoren-2-yl, 4-benzylphenyl, benzaldehyde-3-yl, 3-hydroxyphenyl, butyl, isobutyl, pentyl, cyclopentyl, 2-hydroxyphenyl, 1,3-dioxolan-2-yl, 4'-methoxy-1,1'-biphenyl-4-yl, 1,1'-biphenyl-4-ol, cyclohexanyl, 4-methoxy-2-methylphenyl, 4-methoxy-2-methylphenyl, 4-bromophenyl, 3-bromophenyl, 3-(benzyloxy)phenyl, 2-hydroxyphenyl, N-cyclohexamino, and 4-phenoxy-phenyl.

19. A compound of any one of claims 1 to 18, wherein:

R_3 is phenyl optionally substituted with C_{1-3} alkyl, or ZA; wherein:

Z is CH_2; and

A is pyridyl or phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups; wherein each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, and CN; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms.

20. A compound of any one of claims 1 to 18, wherein R_3 is phenyl optionally substituted with C_{1-3} alkyl or ZA.

21. A compound of claim 20, wherein A is phenyl that is optionally substituted with up to five independently selected R_{18} groups; wherein each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, CN, phenyl, pyrrol-1-yl, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$, and $S(O)_nR_{12}$; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and n, R_{12} and R_{13} are as previously defined.

22. A compound of any one of claims 1 to 18 wherein R_3 is ZA; wherein:
Z is CH_2; and
A is phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups; wherein each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, and CN; wherein said C_{1-6} alkyl and C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms.

23. A compound of any one of claims 19 to 22 wherein R_{18} is C_{1-3} perfluoroalkyl.

24. A compound of any one of claims 1 to 18, wherein R_3 is selected from the group consisting of 2-allyl, propyl, cyclopentyl, isobutyl, cyclohexylmethyl, 2-ethylbutyl, cyclobutyl, benzyl, 3-methoxybenzyl, 2-naphthylmethyl, 4-methylbenzyl, 2-nitrobenzyl, 2-(trifluoromethyl)benzyl, 4-bromobenzyl, 3-(difluoromethoxy)benzyl, 3-(trifluoromethoxy)benzyl, 3,5-difluorobenzyl, 3,5-dimethylbenzyl, quinolinylmethyl, 2-chloro-4-fluorobenzyl, 3-(1H-pyrrol-1-yl)benzyl, 2-bromobenzyl, 2-methylbenzyl, 5-fluoro-2-methylbenzyl, 6-chloro-2-fluoro-3-methylbenzyl, 1,1'-biphenyl-3-ylmethyl, 2-chlorobenzyl, 1-naphthylmethyl, 2,5-dichlorobenzyl, (difluoromethoxy)benzyl, 3-fluorobenzyl, 4-methylbenzyl, 2,4-dimethylbenzyl, mesitylmethyl, 2, 4-dimethylbenzyl, 2-phenylethyl, 2-chloro-6-fluorobenzyl, 4-chloro-2-fluorobenzyl, 4-fluorobenzyl, 4-(methylsulfonyl)benzyl, and phenyl.

25. A compound of any one of claims 1 to 24 wherein R_4 is H or F.

26. The compound of claim 1 wherein:
R_1 is C_{1-6} alkyl, CN, CO_2R_6, NR_6R_6, halogen; wherein said C_{1-6} alkyl is optionally substituted with from 1 to 7 substituents independently selected from the group consisting of halogen and OH;
R_6 and R_6 are as previously defined;
R_2 is as previously defined;
R_3 is phenyl optionally substituted with C_{1-3} alkyl, or ZA; wherein:

Z is CH_2; and

A is pyridyl or phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups; wherein each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, and CN; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and

R_4 is H or halogen.

27. A compound of claim 1 wherein:

R_1 is C_{1-6} alkyl, CN, or halogen; wherein said C_{1-6} alkyl is optionally substituted with from 1 to 7 fluorine atoms;

R_2 is arylalkyl, heteroaryl or heteroarylalkyl, wherein:

said arylalkyl is optionally substituted with up to four substituents independently selected from the group consisting of halogen, CN and OR_7; and

said heteroaryl is optionally substituted with YD;

wherein R_7, Y and D are as previously defined; or

R_2 is phenyl substituted with up to four substituents independently selected from the group consisting of C_{1-3} alkyl, C_{1-3} alkoxy, halogen, CH_2OH, CN, NR_7R_8, $N(R_7)CONR_5R_8$, $S(O)_mR_7$, NO_2 and YD; wherein said C_{1-3} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; m, R_5, R_6, R_7, and R_8 are as previously defined;

Y is a bond, CH_2, CH_2CH_2, C_{2-4} alkynenyl, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_6-$, $-OCH_2O-$ or $-OC(R_7)(CO_2R_8)-$;

D is benzyl or phenyl, each of which is optionally substituted with up to five independently selected R groups;

each R is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, C(=O)H, $-C(O)-C_{1-3}$ alkyl, CH_2OH, CN, NO_2, C_{2-4} alkenyl, C_{2-4} alkynyl, $S(O)_jR_6$, and WX; wherein said C_{1-6} alkyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_6 and WX are as previously defined; or

D is a heterocycloalkyl, heteroarylalkyl, heteroaryl, or arylalkyl group, each of which is optionally substituted with up to four independently selected R_e groups;

each R_e is independently selected from the group consisting of, C_{1-6} alkyl, phenyl, benzyl, C_{7-11} arylalkyl, C_{1-3} alkoxy, halogen, C(O)H, -C(O)-C_{1-3} alkyl, CH_2OH, CN, NO_2, NH_2, OH, =O, C_{2-6} alkenyl, C_{2-4} alkynyl, $S(O)_jR_g$, and WX; wherein said C_{1-6} alkyl, said C_{2-6} alkenyl, C_{2-4} alkynyl and said C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and j, R_g and WX are as previously defined;

R_3 is ZA; wherein:

Z is CH_2; and

A is phenyl, wherein said phenyl is optionally substituted with up to five independently selected R_{18} groups; wherein each said R_{18} is independently selected from the group consisting of C_{1-6} alkyl, C_{1-3} alkoxy, halogen, OH, NO_2, and CN, wherein said C_{1-6} alkyl and C_{1-3} alkoxy are each optionally substituted with from 1 to 7 fluorine atoms; and

R_4 is H.

28. A compound of claim 26 or 27 wherein R_{18} is C_{1-3} perfluoroalkyl.

29. A compound of claim 27 or 28 wherein R_2 is phenyl substituted with C_{1-3} perfluoroalkyl.

30. A compound of any one of claims 27 to 29 wherein R or R_e is C_{1-3} perfluoroalkyl or C_{1-3} perfluoroalkoxy.

31. A compound of claim 1 selected from the group consisting of:

a) 2-Benzyl-3-(4-methoxyphenyl)-7-trifluoromethyl-2H-indazole;

b) 4-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl]-benzoic acid;

c) 4-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxy]-benzoic acid;

d) [4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenyl]-phenyl-amine;

e) 3-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyl]-benzoic acid methyl ester;

f) 4'-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-biphenyl-4-carboxylic acid;

g) 4-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyloxymethyl]-benzoic acid;

h) 2-Benzyl-3-phenyl-7-methyl-2H-indazole;

i) 2-Benzyl-3-(4-phenoxyphenyl)-7-trifluoromethyl-2H-indazole;

j) 3-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxy]-benzoic acid;

k) {4-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxy]-phenoxy}-acetic acid;

l) {4-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl]-phenoxy}-acetic acid;

m) {3-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl]-phenoxy}-acetic acid;

n) {3-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl]-phenyl}-acetic acid;

o) 3-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl]-benzoic acid;

p) {4-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyloxymethyl]-phenoxy}-acetic acid;

q) {3-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyloxymethyl]-phenoxy}-acetic acid;

r) 3-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyloxymethyl]-benzoic acid;

s) 2-Benzyl-3-(4-methoxy-2-methylphenyl)-7-trifluoromethyl-2H-indazole;

t) 2-Benzyl-3-(2-methoxyphenyl)-7-trifluoromethyl-2H-indazole;

u) 2-Benzyl-3-o-tolyl-7-trifluoromethyl-2H-indazole;

v) 2-Benzyl-3-(2,4-dimethylphenyl)-7-trifluoromethyl-2H-indazole;

w) 2-Benzyl-3-biphenyl-4-yl-7-trifluoromethyl-2H-indazole;
x) 2-Benzyl-7-trifluoromethyl-3-(2-vinylphenyl)-2H-indazole;
y) 2-Benzyl-7-trifluoromethyl-3-(3-trifluoromethylphenyl)-2H-indazole;
z) 2-Benzyl-3-(4-fluorophenyl)-7-trifluoromethyl-2H-indazole;
aa) 2-Benzyl-3-(3-chlorophenyl)-7-trifluoromethyl-2H-indazole;
ab) 2-Benzyl-3-(3-methoxyphenyl)-7-trifluoromethyl-2H-indazole;
ac) Benzyl-[4-(2-benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenyl]-methylamine;
ad) 2-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenol;
ae) 3-(4-Methoxy-2-methylphenyl)-2-(4-methyl-benzyl)-7-trifluoromethyl-2H-indazole;
af) 2-(2,4-Dimethylbenzyl)-3-(4-methoxy-2-methylphenyl)-7-trifluoromethyl-2H-indazole;
ag) 3-(4-Methoxy-2-methyl-phenyl)-7-trifluoromethyl-2-(2,4,6-trimethyl-benzyl)-2H-indazole;
ah) 2-Benzyl-3-(4-bromophenyl)-7-trifluoromethyl-2H-indazole;
ai) 2-Benzyl-3-(3-bromophenyl)-7-trifluoromethyl-2H-indazole;
aj) 4-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyl]-benzoic acid;
ak) 4-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyl]-benzoic acid;
al) 3-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyl]-benzoic acid;
am) 3-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyl]-benzoic acid;
an) {4-[4-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyl]-phenyl}-acetic acid;
ao) {4-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzyl[-phenyl]-acetic acid;
ap) N-Benzyl-N-[4-(2-benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenyl]-amine;
aq) Benzyl-[3-(2-benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenyl]-amine;
ar) 2-(2,4-Dimethyl-benzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;
as) 3-Phenyl-7-trifluoromethyl-2-(2,4,6-trimethyl-benzyl)-2H-indazole;
at) Methyl3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzoate;
au) 2-Benzyl-3-[3-(benzyloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

av) [4-({3-[2-Benzyl-7-trifluoromethyl)-2H-indazol-3-yl] phenoxy}methyl)phenyl]acetic acid;

aw) 3-({3-[2-Benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl) benzoic acid;

ax) 4-({3-[2-Benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl) benzoic acid;

ay) [4-({3-[2-Benzyl-7-trifluoromethyl)-2H-indazol-3-yl] phenoxy}methyl)phenyl] propionic acid;

az) {3-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl])-phenoxy}-acetic acid;

ba) {4-[3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenoxymethyl])-phenoxy}-acetic acid;

bb) 2-Benzyl-3-phenyl-7-trifluoromethyl-2H-indazole;

bc) 2-(3-Methoxybenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bd) 2-(3-Fluorobenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

be) 2-(2-Nitrobenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bf) 2-(3,5-Difluorobenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bg) 8-(3-Phenyl-7-trifluoromethyl-indazol-2-yl-methyl)-quinoline;

bh) 2-(4-Methylbenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bi) 2-(2-Trifluoromethylbenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bj) 2-(4-Bromobenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bk) 2-(2-Difluoromethoxybenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bl) 2-(3-Difluoromethoxybenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bm) 2-(3-Trifluoromethoxylbenzyl)-7-trifluoromethyl-3-phenyl-2H-indazole;

bn) 2-(3,5-Dimethylbenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bo) 2-Naphthalen-1-ylmethyl-3-phenyl-7-trifluoromethyl-2H-indazole;

bp) 2-(2-Chloro-4-fluorobenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bq) 3-Phenyl-2-(3-pyrrol-1-yl-benzyl)-7-trifluoromethyl-2H-indazole;

br) 2-(2-Bromobenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bs) 2-(2-Methylbenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bt) 2-(2,5-Dichlorobenzyl)-7-trifluoromethyl-3-phenyl-2H-indazole;

bu) 2-(2-Methyl-5-fluorobenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bv) 2-(6-Chloro-2-fluoro-3-methylbenzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

bw) 2-Biphenyl-3-ylmethyl-3-phenyl-7-trifluoromethyl-2H-indazole;

bx) 2-Benzyl-3-butyl-7-trifluoromethyl-2H-indazole;

by) 2-Benzyl-3-isobutyl-7-trifluoromethyl-2H-indazole;

bz) 2-Benzyl-3-cyclopentyl-7-trifluoromethyl-2H-indazole;

ca) 2-Benzyl-3-cyclohexanyl-7-trifluoromethyl-2H-indazole;

cb) [3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenyl]-methanol;

cc) [3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenyl]-phenylamine;

cd) 3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-phenol;

ce) 2-(2-Chloro-benzyl)-3-phenyl-7-trifluoromethyl-2H-indazole;

cf) 2-Naphthalen-1-ylmethyl-3-phenyl-7-trifluoromethyl-2H-indazole;

cg) 2-Benzyl-3-naphtalen-2-yl-7-trifluoromethyl-2H-indazole;

ch) 2-Benzyl-3-(4-methoxy-3-methylphenyl)-7-trifluoromethyl-2H-indazole;

ci) 2-Benzyl-3-methylphenyl-7-trifluoromethyl-2H-indazole;

cj) 2-Benzyl-3-(2,3-dimethylphenyl)-7-trifluoromethyl-2H-indazole;

ck) 2-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzaldehyde;

cl) 2-Benzyl-3-(2-isopropyl-phenyl)-7-trifluoromethyl-2H-indazole;

cm) 2-Benzyl-3-(2-cyclohexyl-phenyl)-7-trifluoromethyl-2H-indazole;

cn) 2-Benzyl-3-(2-benzylphenyl)-7-trifluoromethyl-2H-indazole;

co) 2-Benzyl-3-[2-(1,1,2,2-tetrafluoroethoxy)-phenyl]-7-trifluoromethyl-2H-indazole;

cp) 2-Benzyl-3-(2-chloro-5-fluoro-phenyl)-7-trifluoromethyl-2H-indazole;

cq) 2-Benzyl-3-(9H-flouren-2-yl)-7-trifluoromethyl-2H-indazole;

cr) 2-Benzyl-3-(4-benzylphenyl)-7-trifluoromethyl-2H-indazole;

cs) 3-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-benzaldehyde;

ct) 2-Benzyl-3-(3-[1,3-dioxolan-2-yl-phenyl)-7-trifluoromethyl indazole;

cu) 2-Benzyl-3-(4'-methoxy-biphenyl-4-yl)-7-trifluoromethyl indazole;

cv) 4'-(2-Benzyl-7-trifluoromethyl-2H-indazol-3-yl)-biphenyl-4-ol;

cw) 2-allyl-3-(2,4-dimethoxyphenyl)-7-(trifluoromethyl)-2H-indazole;

cx) 3-(2,4-dimethoxyphenyl)-2-propyl-7-(trifluoromethyl)-2H-indazole;

cy) 7-Chloro-2-isopropyl-3-(4-methoxy-2-methylphenyl)-2H-indazole;

cz) 7-Chloro-3-(4-methoxy-2-methylphenyl)-2-propyl-2H-indazole;

da) 2-Cyclopentyl-3-(2,4-dimethoxyphenyl)-7-(trifluoromethyl)-2H-Indazole;

db) 3-(2,4-Dimethoxyphenyl)-2-isobutyl-7-(trifluoromethyl)-2H-Indazole;

dc) 2-(Cyclohexylmethyl)-3-(2,4-dimethoxyphenyl)-7-(trifluoromethyl)-2H-Indazole;

dd) 3-(2,4-Dimethoxyphenyl)-2-(2-ethylbutyl)-7-(trifluoromethyl)-2H-Indazole;

de) 2-Cyclobutyl-3-(2,4-dimethoxyphenyl)-7-(trifluoromethyl)-2H-Indazole;

df) 3-(2,4-Dimethoxyphenyl)-2-(1-ethylpropyl)-7-(trifluoromethyl)-2H-Indazole;

dg) 2,3-Diphenyl-7-(trifluoromethyl)-2H-Indazole;

dh) 3-Phenyl-2-(2-phenylethyl)-7-(trifluoromethyl)-2H-Indazole;

di) 2-(4-Fluorobenzyl)-3-phenyl-7-(trifluoromethyl)-2H-Indazole;

dj) 2-(2-Chloro-6-fluorobenzyl)-3-phenyl-7-(trifluoromethyl)-2H-Indazole;

dk) 2-(4-Chloro-2-fluorobenzyl)-3-phenyl-7-(trifluoromethyl)-2H-Indazole;

dl) 2-Phenyl-3-piperidin-1-yl-7-trifluoromethyl-2H-Indazole;

dm) 2-cyclopentyl-7-fluoro-3-(4-methoxyphenyl)-2H-Indazole;

dn) dn) 2-(2-chloro-4-fluoro-benzyl)-3-(4-fluoro-phenyl)-7-trifluoromethyl-2H-Indazole;

do) 2-(2-fluorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-Indazole;

dp) 2-(2-chlorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-Indazole;

dq) 2-(3,4-difluorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-Indazole;

dr) 3-(4-fluorophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-Indazole;

ds) 2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-Indazole;

dt) 2-(4-fluorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-Indazole;

du) 2-(4-chlorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-Indazole;

dv) 7-fluoro-2-(4-fluorobenzyl)-3-(4-fluorophenyl)-2H-Indazole;

dw) 7-fluoro-2-(2-fluorobenzyl)-3-(4-fluorophenyl)-2H-Indazole;

dx) 2-(4-chlorobenzyl)-7-fluoro-3-(4-fluorophenyl)-2H-Indazole;

dy) 2-(2,4-difluorobenzyl)-7-fluoro-3-(4-fluorophenyl)-2H-Indazole;

dz) 2-(3,4-difluorobenzyl)-7-fluoro-3-(4-fluorophenyl)-2H-indazole;
ea) 2-benzyl-3-(2,4-dimethoxyphenyl)-7-fluoro-2H-indazole;
eb) 3-(2,4-dimethoxyphenyl)-7-fluoro-2-(2-fluorobenzyl)-2H-indazole;
ec) 3-(2,4-dimethoxyphenyl)-7-fluoro-2-(4-fluorobenzyl)-2H-indazole;
ed) 2-(2-chloro-6-fluorobenzyl)-3-(2,4-dimethoxyphenyl)-7-fluoro-2H-indazole;
ee) 2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-indazole;
ef) methyl 2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-2H-indazole-7-carboxylate;
eg) 2-benzyl-7-fluoro-3-(4-methoxy-2-methylphenyl)-2H-indazole;
eh) 7-fluoro-2-(2-fluorobenzyl)-3-(4-methoxy-2-methylphenyl)-2H-indazole;
ei) 7-chloro-2-(2-fluorobenzyl)-3-(4-methoxy-2-methylphenyl)-2H-indazole;
ej) [2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-2H-indazol-7-yl]methanol;
ek) 7-fluoro-2-(4-fluorobenzyl)-3-(4-methoxy-2-methylphenyl)-2H-indazole;
el) 2-(2-chloro-6-fluorobenzyl)-7-fluoro-3-(4-methoxy-2-methylphenyl)-2H-indazole;
em) 2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-2H-indazole-7-carboxylic acid;
en) 2-(4-chlorobenzyl)-3-(2,4-dimethoxyphenyl)-7-fluoro-2H-indazole;
eo) 2-(3,4-difluorobenzyl)-3-(2,4-dimethoxyphenyl)-7-fluoro-2H-indazole;
ep) 2-benzyl-7-chloro-3-(2,4-dimethoxyphenyl)-2H-indazole;
eq) 7-chloro-3-(2,4-dimethoxyphenyl)-2-(4-fluorobenzyl)-2H-indazole;
er) 7-chloro-3-(2,4-dimethoxyphenyl)-2-(2-fluorobenzyl)-2H-indazole;
es) 7-chloro-2-(4-fluorobenzyl)-3-(4-methoxy-2-methylphenyl)-2H-indazole;
et) 2-(2,4-difluorobenzyl)-7-fluoro-3-(4-methoxy-2-methylphenyl)-2H-indazole;
eu) 2-(2-chlorobenzyl)-3-(2,4-dimethoxyphenyl)-7-fluoro-2H-indazole;
ev) ethyl 1-{4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-4-carboxylate;
ew) 2-(2,4-difluorobenzyl)-3-(2,4-dimethoxyphenyl)-7-fluoro-2H-indazole;

ex) 2-(2-chlorobenzyl)-7-fluoro-3-(4-methoxy-2-methylphenyl)-2H-indazole;

ey) 7-chloro-2-(4-fluorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

ez) 7-chloro-2-(2-fluorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

fa) 7-chloro-2-(4-chlorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

fb) 7-chloro-2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

fc) 7-chloro-2-(3,4-difluorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

fd) 2-benzyl-3-(4-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

fe) 1-[2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-2H-indazol-7-yl]piperidine-4-carboxamide;

ff) 1-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-4-carboxamide;

fg) 2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-7-morpholin-4-yl-2H-indazole;

fh) 2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-7-piperidin-1-yl-2H-indazole;

fi) 2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-N,N-dimethyl-2H-indazol-7-amine;

fj) 2-(2,4-difluorobenzyl)-N-ethyl-3-(4-fluorophenyl)-2H-indazol-7-amine;

fk) 2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-7-pyrrolidin-1-yl-2H-indazole;

fl) ethyl 1-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-4-carboxylate;

fm) (1-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methanol;

fn) 2-(2,4-difluorobenzyl)-3-(4-fluorophenyl)-2H-indazole-7-carbonitrile;

fo) 3-(4-chlorophenyl)-2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazole;

fp) 2-(4-chloro-2-fluorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-indazole;

fq) 2-(4-chloro-2-fluorobenzyl)-3-(4-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

fr) 7-chloro-2-(2-chloro-6-fluorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

fs) 7-chloro-2-(2-chloro-4-fluorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

ft) 7-chloro-2-(2,4-dichlorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

fu) 7-chloro-2-(2,6-difluorobenzyl)-3-(4-fluorophenyl)-2H-indazole;

fv) 7-chloro-3-(4-fluorophenyl)-2-(2,4,6-trifluorobenzyl)-2H-indazole;

fw) 7-chloro-2-(2,4-dimethylbenzyl)-3-(4-fluorophenyl)-2H-indazole;

fx) 3-(4-chlorophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

fy) 2-(2-chloro-4-fluorobenzyl)-3-(4-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

fz) 2-(2-chloro-6-fluorobenzyl)-3-(4-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

ga) 2-(2-chloro-6-fluorobenzyl)-3-(4-fluorophenyl)-7-(trifluoromethyl)-2H-indazole;

gb) (1-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

gc) 2-(1-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)ethanol;

gd) 2-benzyl-3-(4-piperidin-1-ylphenyl)-7-(trifluoromethyl)-2H-indazole;

ge) 2-benzyl-3-(4-pyrrolidin-1-ylphenyl)-7-(trifluoromethyl)-2H-indazole;

gf) 1-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-ol;

gg) 3-(3,4-difluorophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

gh) 2-benzyl-3-(3,4-difluorophenyl)-7-(trifluoromethyl)-2H-indazole;

gi) 3-(3,4-difluorophenyl)-2-(2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

gj) 2-(2,4-difluorobenzyl)-3-(3,4-difluorophenyl)-7-(trifluoromethyl)-2H-indazole;

gk) 2-(3,4-dichlorobenzyl)-3-(3,4-difluorophenyl)-7-(trifluoromethyl)-2H-indazole;

gl) 3-(3,4-difluorophenyl)-2-(3-methylbenzyl)-7-(trifluoromethyl)-2H-indazole;

gm) 1-benzyl-N-(4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

gn) 3-(2,4-difluorophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

go) 2-benzyl-3-(2,4-difluorophenyl)-7-(trifluoromethyl)-2H-indazole;

gp) 2-(2-chlorobenzyl)-3-(4-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

gq) 2-(4-chlorobenzyl)-3-(4-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

gr) 3-(4-chlorophenyl)-2-(2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

gs) 3-(4-chlorophenyl)-2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

gt) 3-(4-chlorophenyl)-7-(trifluoromethyl)-2-[2-(trifluoromethyl)benzyl]-2H-indazole;

gu) 3-(4-chlorophenyl)-7-(trifluoromethyl)-2-[4-(trifluoromethyl)benzyl]-2H-indazole;

gv) 3-(4-chlorophenyl)-2-(3,4-dichlorobenzyl)-7-(trifluoromethyl)-2H-indazole;

gw) 1-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl]piperidine-4-carboxylic acid;

gx) 2-(2,4-difluorobenzyl)-3-(pyridin-2-ylmethyl)-7-(trifluoromethyl)-2H-indazole;

gy) 2-(2-chloro-4-fluorobenzyl)-3-(pyridin-2-ylmethyl)-7-(trifluoromethyl)-2H-indazole;

gz) 2-(2-chloro-6-fluorobenzyl)-3-(pyridin-2-ylmethyl)-7-(trifluoromethyl)-2H-indazole;

ha) 2-(2,6-difluorobenzyl)-3-(pyridin-2-ylmethyl)-7-(trifluoromethyl)-2H-indazole;

hb) 3-(pyridin-2-ylmethyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

hc) 2-(2,6-dichlorobenzyl)-3-(pyridin-2-ylmethyl)-7-(trifluoromethyl)-2H-indazole;

hd) 3-(4-chlorophenyl)-2-(3-methoxybenzyl)-7-(trifluoromethyl)-2H-indazole;

he) 3-(4-chlorophenyl)-2-(3-methylbenzyl)-7-(trifluoromethyl)-2H-indazole;

hf) 3-(4-chlorophenyl)-2-(3-nitrobenzyl)-7-(trifluoromethyl)-2H-indazole;

hg) 3-(4-chlorophenyl)-2-(2-methylbenzyl)-7-(trifluoromethyl)-2H-indazole;

hh) 3-(4-chlorophenyl)-2-(2,6-dichlorobenzyl)-7-(trifluoromethyl)-2H-indazole;

hl) (1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

hj) (1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methanol;

hk) ethyl 1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-4-carboxylate;

hl) 3-(3-chlorophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

hm) 2-(4-chloro-2-fluorobenzyl)-3-(3-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

hn) 2-(2-chlorobenzyl)-3-(3-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

ho) 2-(4-chlorobenzyl)-3-(3-chlorophenyl)-7-(trifluoromethyl)-2H-indazole;

hp) 2-(2,4-dichlorobenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

hq) 2-(2,6-difluorobenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

hr) 2-(2,4-difluorobenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

hs) 2-(2,4-dimethylbenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

ht) 3-pyridin-2-yl-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

hu) 1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-ol;

hv) 1-benzyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

hw) 3-(3-chlorophenyl)-2-(2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

hx) 3-(3-chlorophenyl)-2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

hy) 3-(3-chlorophenyl)-2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazole;

hz) 3-(3-chlorophenyl)-7-(trifluoromethyl)-2-[4-(trifluoromethyl)benzyl]-2H-indazole;

ia) 3-(3-chlorophenyl)-2-(3,4-dichlorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ib) 3-(3-chlorophenyl)-2-(3,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ic) 3-(3-chlorophenyl)-2-(3-methoxybenzyl)-7-(trifluoromethyl)-2H-indazole;

id) 3-(3-chlorophenyl)-2-(3-methylbenzyl)-7-(trifluoromethyl)-2H-indazole;

ie) 3-(3-chlorophenyl)-2-(3-nitrobenzyl)-7-(trifluoromethyl)-2H-indazole;

if) 3-(3-chlorophenyl)-2-(2-methylbenzyl)-7-(trifluoromethyl)-2H-indazole;

ig) N-methyl-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

ih) 3-[4-(2-methylpiperidin-1-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ii) 3-[4-(3-methylpiperidin-1-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ij) 3-[4-(4-methylpiperidin-1-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ik) 1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-ol;

il) (1-{4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

im) (1-{4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methanol;

in) 3-(4-bromophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

io) ethyl 1-{4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-3-carboxylate;

ip) 2-(1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)ethanol;

iq) 4-phenyl-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-ol;

ir) tert-butyl [(1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methyl]carbamate;

is) ((3R)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

it) ((3S)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

iu) {4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amine;

iv) 8-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1,4-dioxa-8-azaspiro[4.5]decane;

iw) (1-{3-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

ix) (1-{3-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methanol;

iy) (1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

iz) (1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methanol;

ja) (1-{3-[2-(3-methylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

jb) (1-{3-[2-(3-methylbenzyl)-7-(trifluoromethyl)-2H-indazol-3yl]phenyl}piperidin-4-yl)methanol;

jc) (1-{3-[2-(3,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

jd) (1-{3-[2-(3,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methanol;

je) (1-{4-[2-(2-methylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

jf) (1-{4-[2-(2-methylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-yl)methanol;

jg) (1-{4-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)methanol;

jh) N-(pyridin-4-ylmethyl)-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

ji) N-(piperidin-4-ylmethyl)-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

jj) N-(2-methoxybenzyl)-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

jk) N-(4-bromophenyl)-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

jl) N-(tetrahydrofuran-2-ylmethyl)-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

jm) N-isobutyl-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

jn) N,N-dipropyl-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

jo) {3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amine;

jp) 2-(2-fluorobenzyl)-3-[3-(4-methylpiperidin-1-yl)phenyl]-7-(trifluoromethyl)-2H-indazole;

jq) (3S)-1-benzyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

jr) (3R)-1-benzyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

js) 1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-one;

jt) *methyl* ((3R)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetate;

ju) 2-(4-chlorobenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

jv) 2-(2-chlorobenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

jw) 2-(2-chloro-4-fluorobenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

jx) 2-(2-chloro-6-fluorobenzyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

jy) ((3R)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetic acid;

jz) 1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-N-[4-(trifluoromethyl)benzyl]piperidin-4-amine;

ka) N-(2-fluorophenyl)-N'-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

kb) ethyl 1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-2-carboxylate;

kc) N-phenyl-N'-[3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl]urea;

kd) N-(2-fluorophenyl)-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

ke) N-(2-chlorophenyl)-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

kf) ethyl1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidine-3-carboxylate;

kg) N-(2-chlorophenyl)-N'-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

kh) N-phenyl-N'-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}urea;

ki) tert-butyl ((3S)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-yl)carbamate;

kj) tert-butyl ((3R)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-yl)carbamate;

kk) N-(2-phenylethyl)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

kl) (3S)-1-cyclohexyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

km) (3S)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

kn) (3R)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

ko) N-butyl-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

kp) 3-(4-piperazin-1-ylphenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

kq) (3R)-1-(3-methylbut-2-enyl)-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

kr) (3S)-1-(3-methylbut-2-enyl)-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

ks) 2-(2-methylphenyl)-3-pyridin-2-yl-7-(trifluoromethyl)-2H-indazole;

kt) (3R)-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1-(3,3,3-trifluoropropyl)pyrrolidin-3-amine;

ku) (3R)-1-(2-methylprop-2-enyl)-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

kv) (3R)-1-[(2E)-pent-2-enyl]-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

kw) (3R)-1-cyclopentyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

kx) 3-[4-(4-morpholin-4-ylpiperidin-1-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ky) (3R)-1-hexyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

kz) (3R)-1-isopropyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

la) (3S)-1-cyclopentyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

lb) (3S)-1-[(2E)-pent-2-enyl]-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

lc) (3S)-1-(2-methylprop-2-enyl)-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

ld) (3S)-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1-(3,3,3-trifluoropropyl)pyrrolidin-3-amine;

le) (3S)-1-hexyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

lf) (3S)-1-isobutyl-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

lg) 8-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1,4-dioxa-8-azaspiro[4.5]decane;

lh) 7-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1,4-dioxa-7-azaspiro[4.5]decane;

ll) 7-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1,4-dioxa-7-azaspiro[4.5]decane;

lj) methyl ((3S)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetate;

lk) ((3S)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetic acid;

ll) 1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-one;

lm) 3-(4-bromophenyl)-2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ln) 3-[4-(4-benzoylpiperazin-1-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

lo) 3-{4-[4-(2-chlorobenzoyl)piperazin-1-yl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

lp) 3-{4-[4-(2-methoxybenzoyl)piperazin-1-yl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

lq) 3-{4-[4-(3-methoxybenzoyl)piperazin-1-yl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

lr) 3-{4-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ls) methyl ((3R)-1-{4-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetate;

lt) 3-{4-[4-(2-thienylcarbonyl)piperazin-1-yl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

lu) ((3R)-1-{4-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetic acid;

lv) 3-{4-[4-(4-methoxybenzoyl)piperazin-1-yl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

lw) 3-[3-(3-morpholin-4-ylpiperidin-1-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

lx) N,N-dipropyl-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

ly) N-(cyclohexylmethyl)-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

lz) N-phenyl-1-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

ma) 3-[3-(4-morpholin-4-ylpiperidin-1-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

mb) N-ethyl-N-methyl-1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

mc) N-(2-phenylethyl)-1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

md) N-butyl-1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

me) 3-{3-[4-(4-methylpiperazin-1-yl)piperidin-1-yl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

mf) N-(cyclohexylmethyl)-1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

mg) N-phenyl-1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-amine;

mh) 1-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-4-ol;

mi) N-(2,5-difluorobenzyl)-2-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]pyridin-4-amine;

mj) 2-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]-N-(2,5-dimethylbenzyl)pyridin-4-amine;

mk) N-(3,5-difluorobenzyl)-2-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]pyridin-4-amine;

ml) N-(1H-indol-5-ylmethyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

mm) {3-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amine;

mn) N-[(1-methyl-1H-indol-5-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

mo) 3-(4-bromophenyl)-2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

mp) 3-(4-bromophenyl)-2-(2,6-dichlorobenzyl)-7-(trifluoromethyl)-2H-indazole;

mq) methyl ((3R)-1-{4-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetate;

mr) methyl ((3R)-1-{4-[2-(2,6-dichlorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetate;

ms) ((3R)-1-{4-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetic acid;

mt) ((3R)-1-{4-[2-(2,6-dichlorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}piperidin-3-yl)acetic acid;

mu) 3-(3-bromophenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

mv) {3-[2-(2,4-difluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}[(1-methyl-1H-indol-2-yl)methyl]amine;

mw) 3-(3-ethynylphenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

mx) 3-[2-(2-fluoro-4-methoxyphenyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

my) N-(2-fluoro-4-methoxybenzyl)-3-[2-(2,4,6-trifluorobenzyl)-7(trifluoromethyl)-2H-indazol-3-yl]aniline;

mz) N-[2-fluoro-5-(trifluoromethyl)benzyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

na) N-(2,5-dimethylbenzyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nb) N-[2-fluoro-3-(trifluoromethyl)benzyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nc) N-(2,5-dimethylbenzyl)-4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nd) N-[2-fluoro-5-(trifluoromethyl)benzyl]-4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

ne) (3R)-1-(2,4-dimethoxybenzoyl)-N-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}pyrrolidin-3-amine;

nf) N-(1H-indol-4-ylmethyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

ng) N-[(3-methyl-1-benzothien-2-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nh) N-(pyridin-4-ylmethyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

ni) N-(pyridin-2-ylmethyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nj) N-(pyridin-3-ylmethyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nk) N-(1H-indol-7-ylmethyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nl) N-[(3-methyl-2-thienyl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nm) N-[(5-methyl-2-thienyl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nn) N-[(4-bromo-2-thienyl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

no) N-[(5-bromo-2-thienyl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

np) N-[(5-chloro-2-thienyl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

nq) ethyl 3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoate;

nr) 3-(1-benzothien-2-yl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ns) 3-(2-naphthyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

nt) 3-(1H-indol-5-yl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

nu) 3-dibenzo[b,d]thien-4-yl-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

nv) ethyl 3-(2-[3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl]ethyl)benzoate;

nw) 3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoic acid;

nx) 3-[1-(4-chlorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ny) 3-(1-benzyl-1H-indol-5-yl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

nz) 3-(2-[3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl]ethyl)benzoic acid;

oa) 3-[1-[5-chloro-2-(trifluoromethyl)benzyl]-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ob) 3-[1-(2,4-difluorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

oc) 3-[1-(2-fluorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

od) 3-[1-(2-chlorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

oe) 3-[1-(2,4-dichlorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

of) N-methyl-3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzamide;

og) 3-(3-[[3-(morpholin-4-ylcarbonyl)phenyl]ethynyl}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

oh) tert-butyl 4-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoyl]piperazine-1-carboxylate;

oi) N-methyl-3-(2-[3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethyl)benzamide;

oj) 3-(3-[2-[3-(morpholin-4-ylcarbonyl)phenyl]ethyl}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ok) tert-butyl 4-[3-(2-[3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethyl)benzoyl]piperazine-1-carboxylate;

ol) N-[(1-ethyl-1H-indol-5-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

om) N-[(1-propyl-1H-indol-5-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

on) N-[(1-butyl-1H-indol-5-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

oo) N-[(1-isopropyl-1H-indol-5-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

op) N-[(1-sec-butyl-1H-indol-5-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

oq) N-[(2-methyl-1H-imidazol-5-yl)methyl]-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

or) N-(2-naphthylmethyl)-3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]aniline;

os) 3-[3-[(2,5-dichlorophenyl)ethynyl]phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ot) 3-[3-[(2,4-dichlorophenyl)ethynyl]phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ou) 3-[3-[2-(2,4-dichlorophenyl)ethyl]phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ov) 2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-3-(3-[[2-(trifluoromethyl)phenyl]ethynyl]phenyl)-2H-indazole;

ow) 2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-3-(3-[2-[2-(trifluoromethyl)phenyl]ethyl]phenyl)-2H-indazole;

ox) 3-[1-(2-chloro-6-fluorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

oy) 3-[1-(2,6-difluorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

oz) 3-[3-[2-(2,5-dichlorophenyl)ethyl]phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pa) 3-[3-(2-phenylethyl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pb) 3-[1-(2,6-dichlorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pc) 3-[1-(2-chloro-4-fluorobenzyl)-1H-indol-5-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pd) 3-(3-{[2-chloro-5-(trifluoromethyl)phenyl]ethynyl}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pe) 3-{3-[(2-bromo-5-fluorophenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pf) 3-(3-{2-[2-chloro-5-(trifluoromethyl)phenyl]ethyl}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pg) 3-{3-[2-(2-bromo-5-fluorophenyl)ethyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ph) 3-{3-[2-(3-fluorophenyl)ethyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pi) 4-amino-3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzonitrile;

pj) 3-{3-[(3-chloro-2-fluorophenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pk) [3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]methanol;

pl) 4-amino-3-(2-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethyl)benzonitrile;

pm) 3-{3-[(2,3-dichlorophenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pn) 3-{1-[5-chloro-2-(trifluoromethyl)benzyl]-1H-indol-6-yl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

po) 3-(1-benzyl-1H-indol-6-yl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pp) 3-[1-(2,6-dichlorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pq) 3-[1-(2-chloro-4-fluorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pr) 3-[1-(2-chlorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ps) 3-[1-(2-chloro-6-fluorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pt) 3-[1-(2,6-difluorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pu) 3-[1-(2-fluorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pv) 3-[1-(2,4-difluorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pw) 3-[1-(4-chlorobenzyl)-1H-indol-6-yl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

px) 3-{3-[2-(2,3-dichlorophenyl)ethyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

py) 3-{3-[2-(3-chloro-2-fluorophenyl)ethyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

pz) [3-(2-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethyl)phenyl]methanol;

qa) 3-(4-ethynylphenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qb) ethyl 3-({4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoate;

qc) 3-({4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoic acid;

qd) N-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]morpholine-4-carboxamide;

qe) tert-butyl 4-({[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]amino}carbonyl)piperazine-1-carboxylate;

qf) 2-methyl-N-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]piperidine-1-carboxamide;

qg) 3-methyl-N-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]piperidine-1-carboxamide;

qh) 4-methyl-N-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]piperidine-1-carboxamide;

qi) 3-(hydroxymethyl)-N-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]piperidine-1-carboxamide;

qj) N-isopropyl-N'-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]urea;

qk) N,N-dipropyl-N'-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]urea;

ql) N-methyl-N'-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]urea;

qm) N,N-dimethyl-N'-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]urea;

qn) 3-(4-[[2-chloro-5-(trifluoromethyl)phenyl]ethynyl}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qo) 3-{4-[(2,4-difluorophenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qp) 3-{4-[(3,5-dimethylphenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qq) 3-{4-[(3-chloro-2-fluorophenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qr) 3-{4-[(2,3-dichlorophenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qs) 3-{4-[(2,3-dimethylphenyl)ethynyl]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qt) tert-butyl 4-[3-({4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)benzoyl]piperazine-1-carboxylate;

qu) 3-(3-bromophenyl)-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qv) 1-methyl-3-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]imidazolidin-2-one;

qw) methyl [3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]carbamate;

qx) N-(methylsulfonyl)-N-[3-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]methanesulfonamide;

qy) 3-[3-(pyridin-3-ylethynyl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

qz) 3-[3-(pyridin-4-ylethynyl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ra) 6-methyl-2-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)pyridin-3-ol;

rb) 3-[3-(pyridin-2-ylethynyl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

rc) 2-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)pyridin-3-ol;

rd) 2-[[3-[1-(2,6-dichlorobenzyl)-1H-indol-6-yl]-7-(trifluoromethyl)-2H-indazol-2-yl]methyl]-3,5-difluorophenol;

re) 2-[[3-[1-(2-chloro-6-fluorobenzyl)-1H-indol-6-yl]-7-(trifluoromethyl)-2H-indazol-2-yl]methyl]-3,5-difluorophenol;

rf) tert-butyl 6-methyl-5-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-1H-indole-1-carboxylate;

rg) tert-butyl 6-fluoro-5-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-1H-indole-1-carboxylate;

rh) 5-[3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

ri) 3-(3-bromophenyl)-2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

rj) 3-[3-[4-(morpholin-4-ylsulfonyl)phenoxy]phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

rk) 3-[3-[4-(pyrrolidin-1-ylsulfonyl)phenoxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

rl) N-propyl-4-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzenesulfonamide;

rm) N-ethyl-N-methyl-4-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzenesulfonamide;

m) N,N-diethyl-4-[3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzenesulfonamide;

ro) 3-{3-[4-(piperidin-1-ylsulfonyl)phenoxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

rp) N,N-dimethyl-4-[3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzenesulfonamide;

rq) 4-fluoro-2-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)aniline;

rr) 4-chloro-2-fluoro-6-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)aniline;

rs) methyl [4-fluoro-2-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}ethynyl)phenyl]carbamate;

rt) 3-[3-(5-fluoro-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ru) 3-[3-(5-fluoro-1-methyl-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

rv) 2-benzyl-3-(4-methoxyphenyl)-7-(trifluoromethyl)-2H-indazole;

rw) 2-benzyl-3-ethyl-7-(trifluoromethyl)-2H-indazole;

rx) 4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}oxy)methyl]benzoic acid;

ry) N-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-N-phenylamine;

rz) [4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

sa) [4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

sb) 2-benzyl-3-[4-(benzyloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

sc) 3-[4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoic acid;

sd) 3-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoic acid;

se) 3-[3-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoic acid;

sf) 3-[3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoic acid;

sg) 2-[4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenoxy]-2-methylpropanoic acid;

sh) 2-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenoxy]-2-methylpropanoic acid;

si) 2-{4-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}propanoic acid;

sj) ethyl [4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetate;

sk) methyl 3-[3-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoate;

sl) methyl 3-[3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoate;

sm) methyl 2-[4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenoxy]-2-methylpropanoate;

sn) methyl 2-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenoxy]-2-methylpropanoate;

so) methyl 2-{4-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}propanoate;

sp) 2-[4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]propanoic acid;

sq) methyl 2-{4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}propanoate;

sr) 2-{4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}propanoic acid;

ss) methyl 3-[3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]butanoate;

st) methyl 3-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]butanoate;

su) methyl {4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetate;

sv) methyl [3-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetate;

sw) methyl [3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetate;

sx) 3-[3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]butanoic acid;

sy) 3-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]butanoic acid;

sz) [3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

ta) [3-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

tb) {4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetic acid;

tc) 1-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]cyclopentanecarboxylic acid;

td) 2-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]-2-methylpropanoic acid;

te) {3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amine;

tf) {4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amine;

tg) methyl 2-[3-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoate;

th) methyl {4-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetate;

ti) 2-[3-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoic acid;

tj) {4-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetic acid;

tk) methyl 2-[3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoate;

tl) 2-[3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]propanoic acid;

tm) 2-benzyl-3-(3-[[4-(1H-tetrazol-5-yl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

tn) ethyl hydrogen [4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzyl]phosphonate;

to) ethyl {3-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetate;

tp) ethyl {3-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetate;

tq) methyl 1-{4-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}cyclopropanecarboxylate;

tr) methyl 1-{4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}cyclopropanecarboxylate;

ts) 4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzonitrile;

tt) {3-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetic acid;

tu) {3-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}acetic acid;

tv) 1-{4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]phenyl}cyclopropanecarboxylic acid;

tw) N-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-N-(2,6-dimethylbenzyl)amine;

tx) [3-({4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

ty) 2-[3-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]-2-hydroxypropanoic acid;

tz) N-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-N-(2,6-dimethylbenzyl)amine;

ua) [3-({4-[1-methyl-7-(trifluoromethyl)-1H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

ub) [3-({4-[2-methyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

uc) {4-[({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]-3,5-dimethylphenyl}acetic acid;

ud) {4-[({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)methyl]-3,5-dimethylphenyl}acetic acid;

ue) [3-({4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

uf) [3-({4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]acetic acid;

ug) {3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}(phenyl)acetic acid;

uh) 2-benzyl-3-{3-[(2,5-difluorophenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

ui) 2-(4-chloro-2-fluorobenzyl)-3-[3-(4-methoxybenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

uj) 2-(4-chloro-2-fluorobenzyl)-3-[3-(3,5-dimethoxybenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

uk) 2-(4-chloro-2-fluorobenzyl)-3-[3-(3,5-dimethylbenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

ul) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,3-dimethylphenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

um) 2-(4-chloro-2-fluorobenzyl)-3-(3-{[2-chloro-5-(trifluoromethyl)phenoxy]methyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

un) 2-(4-chloro-2-fluorobenzyl)-3-(3-{[2-chloro-3-(trifluoromethyl)phenoxy]methyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

uo) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(1-naphthyloxy)methyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

up) 3-{3-[(2-tert-butyl-5-methylphenoxy)methyl]phenyl}-2-(4-chloro-2-fluorobenzyl)-;

uq) 7-(trifluoromethyl)-2H-indazole;

ur) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(4-fluoro-2-methylphenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

us) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,6-dimethylphenoxy)methyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

ut) 5-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}oxy)-3,4-dihydronaphthalen-1(2H)-one;

uu) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-methoxybenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

uv) 2-(4-chloro-2-fluorobenzyl)-3-[3-(3-methoxybenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

uw) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2,3-dimethoxybenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

ux) 2-(4-chloro-2-fluorobenzyl)-3-(3-[[(3,3-dimethyl-2,3-dihydro-1-benzofuran-7-yl)oxy]methyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

uy) 3-[3-[(2-tert-butylphenoxy)methyl]phenyl]-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

uz) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,3-dimethoxyphenoxy)methyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

va) 2-(4-chloro-2-fluorobenzyl)-3-[3-(3,4-dimethoxybenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

vb) 2-(4-chloro-2-fluorobenzyl)-3-[3-(4-chloro-2-fluorobenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

vc) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-chloro-4-fluorobenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

vd) 2-(4-chloro-2-fluorobenzyl)-3-[3-[2-fluoro-4-(trifluoromethyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

ve) 3-[3-[2,4-bis(trifluoromethyl)benzyl]phenyl]-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

vf) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2,4-difluorobenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

vg) 3-[3-[2,5-bis(trifluoromethyl)benzyl]phenyl]-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

vh) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,6-dichlorophenoxy)methyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

vl) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,6-dimethoxyphenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

vj) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,6-dibromophenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

vk) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-fluoro-3-methylbenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

vl) 2-(4-chloro-2-fluorobenzyl)-3-[3-(6-chloro-2-fluoro-3-methylbenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

vm) 3-{3-[(2-allyl-6-methylphenoxy)methyl]phenyl}-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

vn) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,6-diisopropylphenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

vo) 3-{3-[(2-tert-butyl-6-methylphenoxy)methyl]phenyl}-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

vp) 3-{3-[(2-allyl-6-methoxyphenoxy)methyl]phenyl}-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

vq) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,5-dichlorophenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

vr) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,6-difluorophenoxy)methyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

vs) 2-(4-chloro-2-fluorobenzyl)-3-{3-[3-(difluoromethoxy)benzyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

vt) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-phenylethyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

vu) 3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-N-propylbenzamide;

vv) N-benzyl-3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzamide;

vw) 3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-N-cyclopropylbenzamide;

vx) 2-(4-chloro-2-fluorobenzyl)-3-(3-{[3-(morpholin-4-ylcarbonyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

vy) 3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-N-isopropylbenzamide;

vz) 2-benzyl-3-[4-(benzyloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

wa) 2-benzyl-3-{4-[(3-methoxybenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wb) 2-benzyl-3-{4-[(2-chlorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wc) 2-benzyl-7-(trifluoromethyl)-3-(4-{[2-(trifluoromethyl)benzyl]oxy}phenyl)-2H-indazole;

wd) 2-benzyl-3-{4-[(3-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

we) 2-benzyl-3-{4-[(2-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wf) 2-benzyl-3-{4-[(3-chlorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wg) 2-benzyl-7-(trifluoromethyl)-3-(4-{[3-(trifluoromethyl)benzyl]oxy}phenyl)-2H-indazole;

wh) 2-benzyl-3-{4-[(3,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wi) 2-benzyl-3-{4-[(2,6-dichlorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wj) 2-benzyl-3-{4-[(3,5-dichlorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wk) 2-benzyl-3-{3-[(3-methoxybenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wl) 2-benzyl-3-{3-[(2-chlorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wm) 2-benzyl-7-(trifluoromethyl)-3-(3-{[2-(trifluoromethyl)benzyl]oxy}phenyl)-2H-indazole;

wn) 2-benzyl-3-{3-[(3-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wo) 2-benzyl-3-{3-[(2-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wp) 2-benzyl-7-(trifluoromethyl)-3-(3-{[3-(trifluoromethyl)benzyl]oxy}phenyl)-2H-indazole;

wq) 2-benzyl-3-(3-{[3,5-bis(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

wr) 2-benzyl-3-{3-[(3,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

ws) 2-benzyl-3-{3-[(2,6-dichlorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wt) 2-benzyl-3-{3-[(3,5-dichlorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wu) 1-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]cyclopentanecarboxylic acid;

wv) 1-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]cyclopropanecarboxylic acid;

ww) 2-benzyl-3-{3-[(2,6-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wx) 2-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]-2-methylpropanoic acid;

wy) 2-benzyl-3-{3-[(2-fluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

wz) 2-benzyl-3-{3-[(2-fluoro-6-nitrobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xa) 2-benzyl-3-{3-[(2-chloro-6-fluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xb) 2-benzyl-3-{3-[(2,6-difluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xc) 2-benzyl-3-{4-[(2-fluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xd) 2-benzyl-3-{4-[(2-chloro-6-fluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xe) 2-benzyl-3-{4-[(2,6-difluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xf) 2-benzyl-3-(3-[[3-(1H-tetrazol-5-yl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

xg) 2-benzyl-3-(4-[[4-(1H-tetrazol-5-yl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

xh) [4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzyl]phosphonic acid;

xi) [3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetic acid;

xj) 3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl acetate;

xk) 2-benzyl-3-{4-[(2-fluoro-6-nitrobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xl) 4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl acetate;

xm) diethyl [4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzyl]phosphonate;

xn) diethyl [4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzyl]phosphonate;

xo) 3-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzonitrile;

xp) 4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzonitrile;

xq) ethyl hydrogen [4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzyl]phosphonate;

xr) [4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzyl]phosphonic acid;

xs) 2-(2,4-dimethylbenzyl)-3-{3-[(2,6-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

xt) 3-{3-[(2,6-dimethylbenzyl)oxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

xu) 3-{3-[(2-fluoro-6-nitrobenzyl)oxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

xv) 2-benzyl-3-[4-(3-methoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

xw) 2-benzyl-3-[4-(2,3-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

xx) 2-benzyl-3-[4-(4-chlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

xy) 2-benzyl-3-[4-(2,3-dihydro-1H-inden-5-yloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

xz) 2-benzyl-3-[4-(2-fluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

ya) 2-benzyl-3-[4-(4-chloro-3-methylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yb) 2-benzyl-3-[4-(2-chlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yc) N-(3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)-N,N-dimethylamine;

yd) 2-benzyl-3-[4-(3-nitrophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

ye) 2-benzyl-3-{4-[(7-methoxy-2-naphthyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

yf) 2-benzyl-3-[4-(4-fluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yg) 4-(4-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzyl)phenol;

yh) 2-benzyl-3-[4-(5,6,7,8-tetrahydronaphthalen-2-yloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yi) 7-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}quinoline;

yj) 2-benzyl-3-[4-(2,4-dichlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yk) 2-benzyl-3-[4-(2-methoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yl) 2-benzyl-3-[4-(3,5-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

ym) 2-benzyl-3-[4-(2,3-dimethylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yn) 2-benzyl-3-[4-(3,5-dimethylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yo) 2-(2,4-difluorobenzyl)-3-[3-[(2,6-dimethylbenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

yp) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,6-dimethylbenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

yq) 2-(2-chloro-4-fluorobenzyl)-3-[3-[(2,6-dimethylbenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

yr) 2-benzyl-3-[3-[(3,5-dimethoxybenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

ys) 2-benzyl-3-[4-[(2,6-dimethylbenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

yt) 2-(2,4-dichlorobenzyl)-3-[3-[(2,6-dimethylbenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

yu) 2-benzyl-3-[4-(4-methylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yv) 2-benzyl-3-[4-(4-nitrophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

yw) 2-benzyl-3-[4-[(4'-nitro-1,1'-biphenyl-4-yl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

yx) 3-[4-[4-(4-acetylpiperazin-1-yl)phenoxy]phenyl]-2-benzyl-7-(trifluoromethyl)-2H-indazole;

yy) 2-benzyl-3-[3-[(2,5-dimethylbenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

yz) 2-benzyl-3-(3-[[2-fluoro-6-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

za) 2-[4-({3-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]-2-methylpropanoic acid;

zb) 2-methyl-2-[4-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]propanoic acid;

zc) 2-[4-({3-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]propanoic acid;

zd) 2-[4-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]propanoic acid;

ze) 2-(2,4-dimethylbenzyl)-3-[3-[(2-fluoro-6-nitrobenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

zf) 3-({3-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzonitrile;

zg) 3-[3-(benzyloxy)phenyl]-2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazole;

zh) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2-fluoro-6-nitrobenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

zi) 3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)benzonitrile;

zj) 2-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-2-(4-methylphenyl)propanoic acid;

zk) 2-benzyl-3-[4-(2,4-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zl) 2-benzyl-3-[4-(3,4-dimethylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zm) 2-benzyl-3-[4-(2-isopropylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zn) 5-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

zo) 6-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy]quinoline;

zp) 2-benzyl-3-[4-(2,6-dimethoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zq) 2-benzyl-3-[4-(2-methylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zr) 2-[4-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]propanoic acid;

zs) 2-benzyl-3-[4-(3,4-dichlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zt) 2-benzyl-3-[4-(3,4-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zu) 2-benzyl-3-[4-(2,5-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zv) 8-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}quinoline;

zw) 2-benzyl-3-[4-(4-ethylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zx) 2-benzyl-3-[4-(2,6-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

zy) 2-benzyl-3-{4-[4-(benzyloxy)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

zz) 2-benzyl-3-[3-(3,4-dichlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aaa) methyl 3-(4-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)propanoate;

aab) 1-(2-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)ethanone;

aac) 1-(3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)ethanone;

aad) 2-benzyl-3-[3-(3-methylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aae) 2-benzyl-3-[3-(2-chlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aaf) (3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)dimethylamine;

aag) 2-benzyl-3-[3-(3-nitrophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aah) 2-benzyl-3-[3-(2,3-dimethylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aai) 2-benzyl-3-{3-[2-(trifluoromethoxy)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aaj) 2-benzyl-3-[3-(3-methoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aak) methyl 3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoate;

aal) 5-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

aam) 7-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}quinoline;

aan) 2-benzyl-3-[3-(2-isopropylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aao) 8-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}quinoline;

aap) 2-benzyl-3-{3-[3,5-bis(trifluoromethyl)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aaq) 2-benzyl-3-{3-[(7-methyl-1-naphthyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aar) 4-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}acridine;

aas) 2-benzyl-3-{3-[2-(benzyloxy)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aat) (2-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)(phenyl)methanone;

aau) 2-benzyl-3-[3-(biphenyl-2-yloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aav) 2-(2-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)-1,3-benzothiazole;

aaw) 2-benzyl-3-{3-[2-(1H-pyrrol-1-yl)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aax) 2-benzyl-3-[3-(3,4-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aay) 2-benzyl-3-[3-(2,3-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aaz) 2-benzyl-3-[3-(2,5-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aba) 2-benzyl-3-{3-[(7-methoxy-2-naphthyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

abb) 1-(2-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-4-methoxyphenyl)ethanone;

abc) 6-[3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}quinoline;

abd) 2-benzyl-3-[3-(3,5-dimethylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abe) 2-benzyl-3-[3-(2-methoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abf) 2-benzyl-3-[3-(1-naphthyloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abg) 2-benzyl-3-(3-[2-[(1E)-prop-1-en-1-yl]phenoxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

abh) 2-benzyl-3-[3-(3,5-difluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abi) 2-benzyl-3-[3-(3,5-dichlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abj) 2-benzyl-3-[3-(3,5-dimethoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abk) 2-(4-chloro-2-fluorobenzyl)-3-[3-[2-chloro-5-(trifluoromethyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

abl) 2-(4-chloro-2-fluorobenzyl)-3-[3-[4-(methylsulfonyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

abm) 2-(4-chloro-2-fluorobenzyl)-3-[3-[2-methyl-5-(trifluoromethyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

abn) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-chloro-5-fluorobenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

abo) 2-(4-chloro-2-fluorobenzyl)-3-[3-[5-fluoro-2-(trifluoromethyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

abp) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2,5-dichlorobenzyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

abq) 2-(4-chloro-2-fluorobenzyl)-3-[3-[5-chloro-2-(trifluoromethyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

abr) 2-(4-chloro-2-fluorobenzyl)-3-[3-[3-(morpholin-4-ylcarbonyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

abs) N-benzyl-3-[3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl]benzamide;

abt) 2-(4-chloro-2-fluorobenzyl)-3-[3-[3-(pyrrolidin-1-ylcarbonyl)benzyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

abu) 2-(4-chloro-2-fluorobenzyl)-3-[3-[3-(piperidin-1-ylcarbonyl)benzyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

abv) 2-(4-chloro-2-fluorobenzyl)-3-[3-(3-chlorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abw) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-fluorophenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abx) 6-[3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy]-2-naphthonitrile;

aby) 2-(4-chloro-2-fluorobenzyl)-3-[3-(5,6,7,8-tetrahydronaphthalen-1-yloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

abz) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-ethoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aca) 1-(2-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)propan-1-one;

acb) 3-[3-[2-(1H-benzimidazol-2-yl)phenoxy]phenyl]-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

acc) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-pyrrolidin-1-ylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

acd) 3-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}-N,N-diethylbenzamide;

ace) 3-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}-N-cyclopropylbenzamide;

acf) 3-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}-N-isopropylbenzamide;

acg) 3-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}-N-propylbenzamide;

ach) 3-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}-N-ethylbenzamide;

aci) 3-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]benzyl}-N-methylbenzamide;

acj) 2-benzyl-3-[4-(benzyloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

ack) 1-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]cyclopentanecarboxylic acid;

acl) 2-[4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]-2-methylpropanoic acid;

acm) 2-[4-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]-2-methylpropanoic acid;

acn) 2-(2,4-difluorobenzyl)-3-{4-[(2,6-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aco) 2-(2,4-dichlorobenzyl)-3-{4-[(2,6-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

acp) 2-(4-chloro-2-fluorobenzyl)-3-{4-[(2,6-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

acq) 2-(2-chloro-4-fluorobenzyl)-3-{4-[(2,6-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

acr) 2-(2,4-dimethylbenzyl)-3-{4-[(2,6-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

acs) 2-benzyl-3-(4-{[2-fluoro-6-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

act) [4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-3,5-dimethylphenyl]acetic acid;

acu) [4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-3,5-dimethylphenyl]acetic acid;

acv) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

acw) 2-(2,4-dimethylbenzyl)-3-{3-[(2,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

acx) 4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-3,5-dibromobenzoic acid;

acy) 4-({4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-3,5-dibromobenzoic acid;

acz) 4-({3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-3,5-dimethylbenzoic acid;

ada) 2-benzyl-3-{4-[(2,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adb) 2-(2-chloro-4-fluorobenzyl)-3-{4-[(2,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adc) 2-(2,4-dichlorobenzyl)-3-{4-[(2,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

add) 3-{3-[(2,5-dimethylbenzyl)oxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ade) {4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}(3-methylphenyl)acetic acid;

adf) {3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}(3-methylphenyl)acetic acid;

adg) 2-benzyl-3-[4-(2-naphthylmethoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

adh) 2-benzyl-3-[4-(1-naphthylmethoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

adi) 2-benzyl-3-{4-[(3-methyl-2-naphthyl)methoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adj) 2-benzyl-3-[3-(2-naphthylmethoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

adk) 2-benzyl-3-[3-(1-naphthylmethoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

adl) 2-benzyl-3-{3-[(3-methyl-2-naphthyl)methoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adm) 2-benzyl-3-{4-[(2-methyl-1-naphthyl)methoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adn) 3-[4-(9-anthrylmethoxy)phenyl]-2-benzyl-7-(trifluoromethyl)-2H-indazole;

ado) 2-benzyl-3-{3-[(2-methyl-1-naphthyl)methoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adp) 3-[3-(9-anthrylmethoxy)phenyl]-2-benzyl-7-(trifluoromethyl)-2H-indazole;

adq) 2-(4-chloro-2-fluorobenzyl)-3-{4-[(2,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adr) 2-(2,4-dimethylbenzyl)-3-{4-[(2,5-dimethylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

ads) 3-{4-[(2,5-dimethylbenzyl)oxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

adt) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2-methyl-3-nitrobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adu) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(5-methyl-2-nitrobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adv) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2-chloro-5-nitrobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adw) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2-fluoro-3-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

adx) 2-(4-chloro-2-fluorobenzyl)-3-(3-{[5-fluoro-2-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

ady) 2-(4-chloro-2-fluorobenzyl)-3-(3-{[2-chloro-3-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

adz) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2-methoxy-5-nitrobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aea) 2-(4-chloro-2-fluorobenzyl)-3-(3-{[2-methyl-5-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

aeb) 3-{3-[(2-bromo-5-methoxybenzyl)oxy]phenyl}-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aec) 3-(3-{[2,5-bis(trifluoromethyl)benzyl]oxy}phenyl)-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aed) 1-[3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-4-methoxyphenyl]ethanone;

aee) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,5-difluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aef) 3-{3-[(2-bromo-5-fluorobenzyl)oxy]phenyl}-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aeg) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(3-chloro-2-fluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aeh) 2-(4-chloro-2-fluorobenzyl)-3-(3-{[2-fluoro-5-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

aei) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2,3,6-trifluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aej) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,5-dichlorobenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

aek) 2-(4-chloro-2-fluorobenzyl)-3-(3-[[2-chloro-5-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

ael) 2-(4-chloro-2-fluorobenzyl)-3-(3-[[5-chloro-2-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

aem) [4-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetic acid;

aen) methyl [4-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetate;

aeo) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(6-chloro-2-fluoro-3-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aep) 3-[3-[(2-chloro-3,6-difluorobenzyl)oxy]phenyl]-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aeq) 3-[3-[(3-chloro-2,6-difluorobenzyl)oxy]phenyl]-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aer) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(5-chloro-2-fluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aes) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,5-dimethoxybenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

aet) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(5-fluoro-2-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aeu) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,6-difluoro-3-methylbenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

aev) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,6-difluorobenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

aew) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2-chloro-6-fluorobenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

aex) 2-(4-chloro-2-fluorobenzyl)-3-(3-[]2-methoxy-5-(trifluoromethoxy)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

aey) 2-(4-chloro-2-fluorobenzyl)-3-[3-[(2,3-dimethoxybenzyl)oxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

aez) 3-[3-(benzyloxy)phenyl]-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

afa) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(4-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

afb) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2-chloro-5-fluorobenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

afc) 2-(2,4-dimethylbenzyl)-3-(3-{[5-fluoro-2-(trifluoromethyl)benzyl]oxy}phenyl)-7-(trifluoromethyl)-2H-indazole;

afd) [4-({3-[2-methyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetic acid;

afe) 2-(4-chloro-2-fluorobenzyl)-3-{3-[(2-chloro-6-fluoro-3-methylbenzyl)oxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aff) [4-({3-[7-(trifluoromethyl)-1H-indazol-3-yl]phenoxy}methyl)phenyl]acetic acid;

afg) {3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}(phenyl)acetic acid;

afh) 2-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-2-phenylpropanoic acid;

afi) {3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}(3-methylphenyl)acetic acid;

afj) [4-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-2,5-dimethylphenyl]acetic acid;

afk) [4-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetic acid;

afl) 1-[4-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]cyclopropanecarboxylic acid;

afm) 3-(3-{[5-fluoro-2-(trifluoromethyl)benzyl]oxy}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

afn) [3-({3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)-2,4-dimethylphenyl]acetic acid;

afo) [4-({3-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetic acid;

afp) 3,3'-[methylenebis(oxy-3,1-phenylene)]bis[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole];

afq) 2-[3-({4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}amino)phenyl]-2-methylpropanoic acid;

afr) 3-(3-[[5-chloro-2-(trifluoromethyl)benzyl]oxy}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

afs) 3-(3-[[2-chloro-5-(trifluoromethyl)benzyl]oxy}phenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aft) 2-(4-chloro-2-fluorobenzyl)-3-[3-(2-phenylethyl)phenyl]-7-(trifluoromethyl)-2H-indazole;

afu) 2-(4-chloro-2-fluorobenzyl)-3-{3-[2-(2,5-dimethylphenyl)ethyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

afv) 2-(4-chloro-2-fluorobenzyl)-3-{3-[2-(2,5-dichlorophenyl)ethyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

afw) 2-(4-chloro-2-fluorobenzyl)-3-{3-[2-(2,5-difluorophenyl)ethyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

afx) 2-(4-chloro-2-fluorobenzyl)-3-{3-[2-(5-chloro-2-fluorophenyl)ethyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

afy) 2-(4-chloro-2-fluorobenzyl)-3-{3-[2-(2-chloro-5-fluorophenyl)ethyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

afz) 2-(4-chloro-2-fluorobenzyl)-3-(3-{2-[2-fluoro-5-(trifluoromethyl)phenyl]ethyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

aga) 2-(4-chloro-2-fluorobenzyl)-3-(3-{2-[3(trifluoromethoxy)phenyl]ethyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

agb) 2-(4-chloro-2-fluorobenzyl)-3-{3-[2-(3-methoxyphenyl)ethyl]phenyl}-7-(trifluoromethyl)-2H-indazole;

agc) 2-(4-chloro-2-fluorobenzyl)-3-(3-{2-[3-(difluoromethoxy)phenyl]ethyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

agd) 2-(4-chloro-2-fluorobenzyl)-3-(3-{2-[2-methyl-5-(trifluoromethyl)phenyl]ethyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

age) 3-(3-{2-[2,5-bis(trifluoromethyl)phenyl]ethyl}phenyl)-2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

agf) 2-(4-chloro-2-fluorobenzyl)-3-[3-[2-(2,3-dimethoxyphenyl)ethyl]phenyl]-7-(trifluoromethyl)-2H-indazole;

agg) 2-(4-chloro-2-fluorobenzyl)-3-(3-[2-[2-chloro-5-(trifluoromethyl)phenyl]ethyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

agh) 2-(4-chloro-2-fluorobenzyl)-3-(3-[2-[5-chloro-2-(trifluoromethyl)phenyl]ethyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

agi) 2-(4-chloro-2-fluorobenzyl)-3-(3-[2-[5-fluoro-2-(trifluoromethyl)phenyl]ethyl}phenyl)-7-(trifluoromethyl)-2H-indazole;

agj) 2-benzyl-3-(3-phenoxyphenyl)-7-(trifluoromethyl)-2H-indazole;

agk) 2-benzyl-3-[3-(4-methoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

agl) 3-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzaldehyde;

agm) 2-benzyl-3-[3-[3-(1,3-dioxolan-2-ylmethyl)phenoxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

agn) (3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)acetic acid;

ago) (3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)acetic acid;

agp) 2-benzyl-3-[4-[3-(1,3-dioxolan-2-ylmethyl)phenoxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

agq) 2-benzyl-3-[4-(3,5-dimethylphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

agr) methyl 2-(4-[3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)-2-methylpropanoate;

ags) methyl 3-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzoate;

agt) (3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)methanol;

agu) 3-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N-methoxy-N-methylbenzamide;

agv) 3-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N,N-dimethylbenzamide;

agw) 3-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy]-N-methylbenzamide;

agx) 3-[4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzamide;

agy) 2-(2,4-dimethylbenzyl)-3-[4-(2-methoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

agz) 3-[4-(2-methoxyphenoxy)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aha) 2-(4-chloro-2-fluorobenzyl)-3-[4-(2-methoxyphenoxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

ahb) (3-[4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-;

ahc) yl]phenoxy}phenyl)dimethylamine;

ahd) N,N-dimethyl-3-[4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}aniline;

ahe) (3-[4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)dimethylamine;

ahf) 3-[4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N-methoxy-N-methylbenzamide;

ahg) N-methoxy-N-methyl-3-[4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzamide;

ahh) 3-[4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N-methoxy-N-methylbenzamide;

ahi) 5-[4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

ahj) 2-benzyl-3-[4-[2-(trifluoromethoxy)phenoxy]phenyl]-7-(trifluoromethyl)-2H-indazole;

ahk) 2-benzyl-3-[4-(1-naphthyloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

ahl) 3-[4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzaldehyde;

ahm) 2-(4-chloro-2-fluorobenzyl)-3-[4-(5,6,7,8-tetrahydronaphthalen-1-yloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

ahn) 5-[4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-1,2,3,4-tetrahydronaphthalen-1-ol;

aho) 2-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzaldehyde;

ahp) 3-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N,N-dimethylbenzamide;

ahq) 3-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N,N-diethylbenzamide;

ahr) 2-(4-chloro-2-fluorobenzyl)-3-{4-[3-(pyrrolidin-1-ylcarbonyl)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

ahs) 2-(4-chloro-2-fluorobenzyl)-3-{4-[3-(piperidin-1-ylcarbonyl)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

aht) 2-(4-chloro-2-fluorobenzyl)-3-{4-[3-(morpholin-4-ylcarbonyl)phenoxy]phenyl}-7-(trifluoromethyl)-2H-indazole;

ahu) 2-(3-{4-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)propan-2-ol;

ahv) 1-(3-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)ethanone;

ahw) N,N-dimethyl-3-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}benzamide;

ahx) 3-{4-[2-(2,4-dimethylbenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-N,N-dimethylbenzamide;

ahy) 2-(3-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)propan-2-ol;

ahz) 3-[3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy]-N,N-dimethylbenzamide;

aia) (1S)-5-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-1,2,3,4-tetrahydronaphthalen-1-ol;

aib) (1R)-5-{4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-1,2,3,4-tetrahydronaphthalen-1-ol;

aic) 2-(3-{3-[2-benzyl-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)-2-methylpropanoic acid;

aid) 3-{4-[3-(piperidin-1-ylcarbonyl)phenoxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aie) 2-(3-[4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}phenyl)propan-2-ol;

aif) 3-(4-[3-(morpholin-4-ylcarbonyl)phenoxy]phenyl}-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aig) 5-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

aih) 2-(2-chloro-4-fluorobenzyl)-3-(4-methoxyphenyl)-7-(trifluoromethyl)-2H-indazole;

aii) 2-(4-chloro-2-fluorobenzyl)-3-(4-methoxyphenyl)-7-(trifluoromethyl)-2H-indazole;

aij) 3-(4-methoxyphenyl)-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aik) 5-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}quinoline;

ail) 5-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}isoquinoline;

aim) 4-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}quinazoline;

ain) 6-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

aio) 2-(2-chloro-4-fluorobenzyl)-3-[3-(5,6,7,8-tetrahydronaphthalen-2-yloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aip) 2-(2-chloro-4-fluorobenzyl)-3-[3-(5,6,7,8-tetrahydronaphthalen-1-yloxy)phenyl]-7-(trifluoromethyl)-2H-indazole;

aiq) 2-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1H-indole-5-carbonitrile;

air) 3-[4-(5-fluoro-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ais) 5-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

ait) 6-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

aiu) 3-[3-(5-bromo-6-methyl-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aiv) 3-[4-(5-bromo-6-methyl-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aiw) 1-methyl-2-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1H-indole-5-carbonitrile;

aix) 3-[4-(5-fluoro-1-methyl-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

aiy) 6-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

aiz) 2-{4-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenyl}-1H-indole-5-carbonitrile;

aja) 3-[4-(5-fluoro-1H-indol-2-yl)phenyl]-2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazole;

ajb) 5-{3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

ajc) 6-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1(2H)-one;

ajd) methyl (5-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-1-hydroxy-1,2,3,4-tetrahydronaphthalen-1-yl)acetate;

aje) ethyl [4-({3-[2-(2,4,6-trifluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetate;

ajf) (5-{3-[2-(2-chloro-4-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-3,4-dihydronaphthalen-1-yl)acetic acid;

ajg) ethyl [4-({4-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}methyl)phenyl]acetate;

ajh) 5-{3-[2-(4-chloro-2-fluorobenzyl)-7-(trifluoromethyl)-2H-indazol-3-yl]phenoxy}-1,2,3,4-tetrahydronaphthalen-1-ol;

or a pharmaceutically acceptable salt thereof.

32. A method of treating or inhibiting atherosclerosis or atherosclerotic lesions in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

33. A method of lowering LDL cholesterol levels in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

34. A method of increasing HDL cholesterol levels in a mammal in need thereof, which comprises providing to said mammal in need thereof an effective amount of a compound of any one of claims 1 to 31.

35. A method of increasing reverse cholesterol transport in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

36. A method of inhibiting cholesterol absorption in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

37. A method of treating or inhibiting Alzheimer's disease or dementia in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

38. A method of treating or inhibiting type I or type II diabetes in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

39. A method of treating or inhibiting acute coronary syndrome or restenosis in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

40. A method of treating multiple sclerosis, rheumatoid arthritis, inflammatory bowel disease, Crone's disease, or endometriosis in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

41. A method of treating or inhibiting celiac or thyroiditis in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

42. A method of treating a Th1-mediated disease in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

43. A method of claim 42 wherein the Th1-mediated disease is multiple sclerosis, rheumatoid arthritis, autoimmune thyroid disease, inflammatory bowel disease, Crohn's disease or atherosclerosis.

44. A method of suppressing lymphocyte function or activation in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

45. A method of claim 44, wherein said lymphocyte function is lymphokine production.

46. A method of suppressing macrophage function or activation in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

47. A method of suppressing cytokine production in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of any one of claims 1 to 31.

48. A method of increasing ABCA1 activity by 20% or more while increasing SREBP-1c activity by 25% or less, in a mammal in need thereof, which comprises providing to said mammal an effective amount of a compound of Formula (I) or (Ia) of any one of claims 1 to 31.

49. A pharmaceutical composition which comprises a compound of any one of claims 1 to 31, or a pharmaceutically acceptable salt thereof and a pharmaceutical carrier.

50. The use of a compound of any one of claims 1 to 31 in the manufacture of a medicament for treating or inhibiting atherosclerosis or atherosclerotic lesions, lowering LDL cholesterol levels, increasing HDL cholesterol levels, increasing reverse cholesterol transport, inhibiting cholesterol absorption, treating or inhibiting Alzheimer's disease or dementia, treating or inhibiting type I or type II diabetes, treating or inhibiting acute coronary syndrome or restenosis, treating multiple sclerosis, rheumatoid arthritis, inflammatory bowel disease, Crone's disease, or endometriosis, treating or inhibiting celiac or thyroiditis, treating a Th1-mediated disease, suppressing lymphocyte function or activation, suppressing macrophage function or activation, suppressing cytokine production, or increasing ABCA1 activity by 20% or more while increasing SREBP-1c activity by 25% or less, in a mammal in need thereof.

51. The use of claim 50 wherein the Th1-mediated disease is multiple sclerosis, rheumatoid arthritis, autoimmune thyroid disease, inflammatory bowel disease, Crohn's disease or atherosclerosis.

52. The use of claim 50 wherein said lymphocyte function is lymphokine production.

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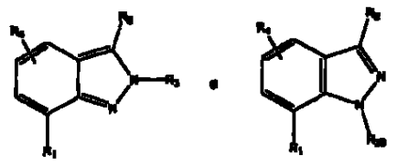
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(54) Título: INDAZOLES UTILES EN EL TRATAMIENTO DE ENFERMEDADES CARDIOVASCULARES

(57) Resumen: Esta invención proporciona compuestos de la Fórmula (I) o (Ia):



(I) (Ia)

que son útiles en el tratamiento o inhibición de enfermedades mediadas por LXR.

INDAZOLES UTILES EN EL TRATAMIENTO DE ENFERMEDADES CARDIOVASCULARES

Campo de la Invención

Esta invención se refiere a indazoles útiles en el tratamiento de enfermedades cardiovasculares, a procesos para prepararlos, composiciones farmacéuticas que los contienen y métodos de tratamiento usándolos.

Antecedentes de la Invención

Esta invención proporciona indazoles que son útiles en el tratamiento o inhibición de enfermedades mediadas LXR (receptor X del hígado).

La ateroesclerosis, una enfermedad compleja de trastorno e inflamación de lípidos, es la causa líder de muerte en países desarrollados. Un número de factores de riesgo independientes se ha identificados y los más notables son niveles altos de colesterol LDL en el suero y bajo colesterol HDL. No obstante que las terapias más efectivas tales como estatinas han mostrado que reducen el colesterol LDL significativamente (20-60%, todavía la mayoría de los pacientes experimenta eventos coronarios adversos. También, las estatinas tienen su propio perfil de efectos colaterales indeseables (miotoxicidad), que previene que muchos pacientes las tomen. Por lo tanto, se necesitan críticamente las estrategias terapéuticas adicionales que no sólo reduzcan el colesterol LDL, sino también incrementen el colesterol HDL. La razón importante para incrementar el colesterol HDL es el transporte de colesterol incrementado de tejidos periféricos

al hígado para metabolismo y excreción. Esta función de transporte del colesterol desde la periferia al hígado se llama transporte de colesterol inverso y HDL juega un papel principal en esta trayectoria. Además, HDL se ha sugerido que inhibe la oxidación del colesterol LDL, reduce la respuesta inflamatoria de células endoteliales, inhibe la trayectoria de coagulación y promueve la disponibilidad del óxido nítrico. El transportador clave involucrado en la producción de HDL y transporte de colesterol inverso es ABCA1. Por lo tanto, la sobreregulación de ABCA1 resulta en un transporte de colesterol inverso incrementado así como la inhibición de la absorción de colesterol en el intestino.

Los LXR, identificados originalmente del hígado como receptores huérfanos, son miembros de la superfamilia del receptor de hormona nuclear y están involucrados en la regulación del colesterol y metabolismo de lípidos. Estos son factores de transcripción activados por ligando y enlazan al ADN como heterodímeros obligados con receptor X de retinoide. Mientras LXRα se restringe a ciertos tejidos tales como hígado, adiposo, intestino y macrófagos, LXRβ exhibe un patrón de distribución de tejido ubicuo. La activación de los LXRs por oxiesteroles (ligandos endógenos) en macrófagos resulta en la expresión de varios genes involucrados en el metabolismo de lípido y transporte de colesterol inverso, incluyendo ABCA1, ABCG1 y ApoE. Se han conducido estudios en ratones LXRα k/o, LXRβ k/o y k/o doble para determinar el papel fisiológico de los LXR en la homeostasis de lípido y ateroesclerosis. Los datos indican que en ratones k/o

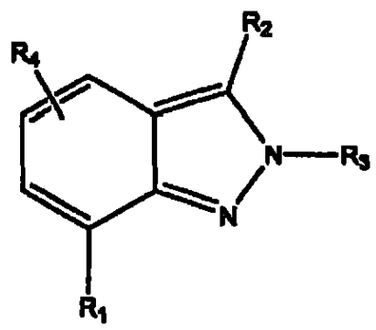
doble en dieta de alimento normal, la acumulación de colesterol incrementada se observa en macrófagos (células de espuma) del bazo, pulmón y pared arterial. Esto se asocia con el colesterol HDL en suero reducido y colesterol LDL incrementado a pesar de los niveles de colesterol total normales. Mientras los ratones LXRα k/o no muestran cambios importantes en la expresión del gen hepático, los ratones LXRβ k/o muestran una reducción del 58% en la expresión ABCA1 hepática y un incremento del 208% en expresión SREBP1c, lo que sugiere que LXRβ puede estar involucrado en la regulación de la expresión de SREBP1c de hígado. Los agonistas de LXRα o β son muy efectivos en la sobreregulación de la expresión de ABCA1 (efecto deseable) en macrófagos. Las actividades biológicas de varios agonistas se han mostrado en dos modelos de ratón ateroesclerótico (ApoE k/o y LDLR k/o). El tratamiento de estos ratones con agonistas durante 12 semanas resulta en la inhibición importante de lesiones ateroescleróticas. Mientras que estos dos compuestos tienen efectos variables en los niveles de colesterol y lipoproteína en el suero, ambos compuestos causan un incremento importante en los niveles del colesterol HDL y triglicéridos en el suero. Estos datos in vivo están bien de acuerdo con los datos in vitro obtenidos por los compuestos en macrófagos.

Además de los efectos de lípidos y triglicéridos descritos arriba, un comunicado muy reciente en Nature Medicine (9: 213-219, 2003) presenta datos convincentes de que la activación de LXR resulta en la inhibición de

inflamación y expresión de gen proinflamatorio en tres diferentes modelos de inflamación (sepsis inducida por LPS, dermatitis por contacto aguda del oído e inflamación ateroesclerótica crónica de la pared arterial). Estos datos sugieren que los moduladores LXR pueden mediar un efecto de dos puntas (remoción del colesterol de los macrófagos e inhibición de inflamación vascular) lo que resulta en la inhibición de lesiones ateroescleróticas.

Descripción de la Invención

En algunas modalidades, la presente invención proporciona compuestos que tienen la Fórmula (I) o (Ia):



(I)

o



(Ia)

en donde:

R_1 es alquilo C_{1-6}, CN, CO_2R_5, $C(O)R_5$, alquenilo C_{2-6}, cicloalquenilo C_{3-8}, alquinilo C_{2-6}, NR_5R_6, $C(O)NR_5R_6$, fenilo, tiofeno, alcoxi C_{1-3}, halógeno, o $S(O)_kR_5$;

en donde:

el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 substituyentes independientemente seleccionados del grupo que consiste de halógeno y OH;

k es 0, 1 ó 2;

cada R_5 y cada R_6 es independientemente H, alquilo C_{1-6}, cicloalquilo C_{3-8}, $S(O)_2$-alquilo o arilalquilo; o

cada R_5 y cada R_6, junto con el átomo de nitrógeno al cual se enlazan, forman independientemente:

a) un anillo saturado de 3 hasta 7 miembros que es opcionalmente substituido con alquilo C_{1-3}, CH_2OH, o $C(=O)NH_2$; o

b) un anillo de 3 hasta 7 miembros que contiene en su estructura uno o dos heteroátomos adicionales que son opcionalmente substituidos con hasta tres substituyentes independientemente seleccionados del grupo que consiste de =O, alquilo C_{1-3}, COalquilo C_{1-6}, y CO_2alquilo C_{1-6};

con la condición de que cuando R_1 es $S(O)_kR_5$, entonces el R_5 del $S(O)_kR_5$ no es $S(O)_2$-alquilo;

R_2 es alquilo C_{3-8}, cicloalquilo C_{3-8}, alquenilo C_{2-8}, cicloalquenilo C_{3-8}, alquinilo C_{2-8}, NR_7R_8, arilo, arilalquilo, heteroarilo, heteroarilalquilo o heterocicloalquilo, en donde el alquilo C_{3-8}, el cicloalquilo C_{3-8} y el arilalquilo son cada uno opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7, y en donde el heteroarilo es opcionalmente substituido con YD; o

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alquenilo C_{2-8}, alquinilo C_{2-8}, alcoxi C_{1-3}, cicloalquilo C_{3-8}, halógeno, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, fenilo, NO_2, $C(O)R_7$, $OC(O)R_7$,

$C(O)NR_7R_8$, $C(O)NR_7D$ e YD, con la condición de que cualquier grupo OH no presente en la posición para; en donde:

el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor;

m es 0 hasta 2; y

R_5 y R_6 son como se definen previamente;

cada R_7 y cada R_8 es independientemente H o alquilo C_{1-3}; o

cada R_7 y cada R_8, junto con el átomo N al cual se enlazan, forman independientemente:

a) un anillo saturado de 3 hasta 7 miembros el cual es opcionalmente substituido con alquilo C_{1-3}, CO_2R_{14}, $CH_2CO_2R_{14}$, $OCH_2CO_2R_{14}$, $CH_2OCH_2CO_2R_{14}$, $C(O)NR_{14}R_{15}$, CH_2OH, o CH_2CH_2OH; o

b) un anillo de 3 hasta 7 miembros que contiene en su estructura uno o dos heteroátomos adicionales que son opcionalmente substituidos con $CH_2CO_2R_{14}$; en donde R_{14} y R_{15} son cada uno independientemente H o alquilo C_{1-3};

Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, CH_2OCH_2, OCH_2, CH_2O, $-N(R_7)-$, $-N(COR_7)-$, $S(O)_j$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-N(COR_7)CH_2-$, $S(O)_jCH_2$, $-CH_2N(R_7)CH_2-$, $-CH_2N(COR_7)CH_2-$, $-OCH_2O-$, $-OC(R_7)(CO_2R_8)-$ o $-CH_2S(O)_jCH_2-$; en donde R_7 y R_8 son como se definen previamente; y j es 0, 1 ó 2;

D es tetrahidronaftaleno, tetrahidronaftalol, tetralona, naftaleno, antraceno, bencilo o fenilo, cada uno de los cuales es opcionalmente substituido con hasta cinco grupos R seleccionados independientemente;

cada R es independientemente seleccionada del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(=O)H, -

C(O)-alquilo C_{1-3}, CH_2OH, CN, NH_2, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j es 0, 1 ó 2; o

D es un grupo heterocicloalquilo, heterocicloalquilalquilo, heteroarilalquilo, heteroarilo o arilalquilo, cada uno de los cuales es opcionalmente substituido con hasta cuatro grupos R_a seleccionados independientemente;

cada R_a es independientemente seleccionado del grupo que consiste de alquilo C_{1-8}, fenilo, bencilo, cicloalquilo C_{3-8} arilalquilo C_{7-11}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, NH_2, OH, =O, alquenilo C_{2-6}, alquinilo C_{2-4}, $S(O)_jR_9$ y WX; en donde el alquilo C_{1-8}, el alquenilo C_{2-6}, el alquinilo C_{2-4} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y

j es 0, 1 ó 2;

W es un enlace, $-CH_2-$, $-CH_2CH_2-$, $-NR_7-$, $-Q-N(R_7)-$, $-CHR_8-$, $-(CHR_8)_2-$, $-CHR_9-$, $-CR_9R_{10}-$, -CO-, -O-, $-OCH_2-$, $-OCHR_9-$, o $-OCR_9R_{10}-$;

en donde R_7 y R_8 son como se definen previamente; y Q es alquilenilo C_{1-6};

cada R_9 y cada R_{10} es independientemente alquilo C_{1-3} o OH; o

cualquiera de R_9 y R_{10}, junto con el átomo al cual se enlazan, pueden formar un anillo saturado de 3 hasta 7 miembros que opcionalmente contiene un átomo de O, N o S;

X es CO_2R_{11}, COR_{11}, $C(R_{11})_2OH$, CO_2R_5, $C(O)NR_5R_6$, NR_5R_6, $QNR_5CO_2R_6$, OH, CH_2OH, CN, $SO_2NR_5R_6$, $P(O)(OR_5)(OR_6)$,

cicloalquilalquilo, arilo, arilalquilo, heterocicloalquilo o heteroarilo, en donde:

el arilo, el arilalquilo, el heterocicloalquilo y el heteroarilo son independientemente cada uno opcionalmente substituido con hasta tres substituyentes seleccionados del grupo que consiste de alquilo C_{1-3}, alcoxi C_{1-3}, halógeno, H, OH, NO_2 y bencilo, que es opcionalmente substituido con hasta cinco átomos de halógeno; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor;

Q es alquilenilo C_{1-6};

R_{11} es H o alquilo C_{1-6}; y

R_5 y R_6 son como se definen previamente;

R_3 es alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, cicloalquilo C_{3-8}, cicloalquenilo C_{3-8}, fenilo, o ZA; en donde:

el fenilo es opcionalmente substituido con alquilo C_{1-3};

Z es CH_2, CH_2CH_2, o CH_2O;

A es bifenilo, bencilo, naftilo, piridilo, 8-quinolilo, cicloalquilo C_{3-8} o fenilo; en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente; en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, CN, fenilo, pirrol-1-ilo, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$ y $S(O)_nR_{12}$; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; n es 0, 1 ó 2; y R_{12} y R_{13} son cada uno independientemente H o alquilo C_{1-3};

R_{20} es H o alquilo C_{1-3}; y

R_4 es H, halógeno, metilo o metoxi;

con la condición de que cuando el compuesto tiene la estructura (Ia), entonces R_2 es fenilo o heteroarilo, cada uno de los cuales es substituido por YD, en donde YD es como se define previamente; o una sal farmacéuticamente aceptable del mismo, los cuales son útiles en el tratamiento de enfermedades mediadas por LXR.

En particular, los compuestos de esta invención son útiles en el tratamiento e inhibición de ateroesclerosis y lesiones ateroescleróticas, niveles de colesterol LDL reducidos, niveles de colesterol HDL incrementados, transporte de colesterol inverso incrementado, absorción del colesterol inhibida, tratamiento o inhibición de la enfermedad de Alzheimer, diabetes tipo I, diabetes tipo II, esclerosis múltiple, artritis reumatoide, síndrome coronario agudo, restenosis, enfermedad inflamatoria del intestino (IBD), enfermedad de Crohn, endometriosis, celíaca, y tiroiditis.

Los compuestos actuales también son útiles para el tratamiento de enfermedades mediadas por TH-1, particularmente en mamíferos. En consecuencia, en algunas modalidades, la presente invención proporciona métodos de tratamiento en enfermedades mediadas por Th-1 en un mamífero que necesite del mismo, que comprende proporcionar al mamífero una cantidad efectiva de un compuesto como se describe en la presente. Los ejemplos no limitados de enfermedades mediadas por Th1 para los cuales los métodos de la invención son tratables incluyen esclerosis múltiple, artritis reumatoide, enfermedad de tiroides autoinmune,

enfermedad inflamatoria del intestino, enfermedad de Crohn y ateroesclerosis.

Los compuestos actuales son útiles además para la supresión de función o activación de linfocito en un mamífero. De esta manera, en un aspecto adicional, la invención proporciona métodos para suprimir la función o activación de linfocito en un mamífero que necesite del mismo, que comprende proporcionar al mamífero una cantidad efectiva de un compuesto como se describe en la presente. En algunas modalidades, la función de linfocito que se suprime es la producción de linfocina.

Los compuestos actuales encuentran uso además en la supresión de función o activación de macrófago en un mamífero. De esta manera, en un aspecto adicional, la invención proporciona métodos para suprimir la función o activación de macrófago en un mamífero que necesite del mismo, que comprende proporcionar al mamífero una cantidad efectiva de un compuesto como se describe en la presente.

En un aspecto adicional, los compuestos actuales encuentran uso además en la supresión de la producción de citocina en un mamífero. En consecuencia, la presente invención proporciona además métodos para suprimir la producción de citocina en un mamífero que necesite del mismo, que comprende proporcionar al mamífero una cantidad efectiva de un compuesto como se describe en la presente.

Las sales farmacéuticamente aceptables de los compuestos de la fórmula (I) o (Ia) con una porción ácida

puede formarse de bases orgánicas e inorgánicas. Las sales adecuadas de bases son, por ejemplo, sales de metal, tales como sales de metal alcalino o alcalinotérreos, por ejemplo, sales de sodio, potasio, o magnesio; o sales con amoniaco o una amina orgánica, tales como morfolina, tiomorfolina, piperidina, pirrolidina, una mono, di o tri alquilamina inferior, por ejemplo, etil-tert-butilo-, dietilo, diisopropilo, trietilo, tributilo o dimetilpropilamina, o una alquilamina mono, di, o trihidroxi inferior, por ejemplo, mono, di o trietanolamina. Adicionalmente, sales internas pueden formarse. Similarmente, cuando un compuesto de la presente invención contiene una porción básica, las sales pueden formarse de ácidos orgánicos e inorgánicos. Por ejemplo, las sales pueden formarse de ácido acético, propiónico, láctico, cítrico, tartárico, succínico, fumárico, maléico, malónico, mandélico, málico, ftálico, clorhídrico, bromhídrico, fosfórico, nítrico, sulfúrico, metansulfónico, naptalensulfónico, bencensulfónico, toluensulfónico, canforsulfónico, y ácidos similarmente conocidos farmacéuticamente aceptables.

Los compuestos preferidos de la invención incluyen compuestos de la Fórmula (I) o (Ia) descritos anteriormente, en donde:

R_1 es alquilo C_{1-6}, CN, CO_2R_5, NR_5R_6, halógeno; en donde el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 substituyentes independientemente seleccionados del grupo que consiste de halógeno y OH;

R_5 y R_6 son como se definen previamente;

R_2 es como se define arriba;

R_3 es fenilo opcionalmente substituido con alquilo C_{1-3}; o ZA; en donde:

Z es CH_2;

A es piridilo o fenilo, en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente, en donde:

cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, y CN, en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor;

R_{20} es como se define arriba; y

R_4 es H o halógeno; o una sal farmacéuticamente aceptable del mismo.

Los compuestos preferidos adicionales de la invención incluyen compuestos de la Fórmula (I) o (Ia) descritos anteriormente, en donde:

R_1 es alquilo C_{1-6}, CN, o halógeno; en donde el alquilo C_{1-6} es substituido con desde 1 hasta 7 átomos de flúor;

R_2 es arilalquilo, heteroarilo o heteroarilalquilo, en donde el arilalquilo es opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7, y el heteroarilo es opcionalmente substituido con YD; o

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alcoxi C_{1-3}, halógeno, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, NO_2, $OC(O)R_7$ e YD, con la condición de

que cualquier grupo OH no presente en la posición para; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor, y m, R_5, R_6, R_7, y R_8 son como se definen previamente;

Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, OCH_2, CH_2O, -NR7-, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$ o $-OC(R_7)CO_2R_8-$;

D es bencilo o fenilo cada uno de los cuales es opcionalmente substituido con hasta cinco grupos R seleccionados independientemente;

cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9, y WX son como se definen previamente; o

D es un grupo heterocicloalquilo, heterocicloalquilalquilo, heteroarilalquilo, heteroarilo, o arilalquilo, cada uno de los cuales es opcionalmente substituido con hasta cuatro grupos R_a seleccionados independientemente;

cada R_a es independientemente seleccionado del grupo que consiste de alquilo C_{1-8}, fenilo, bencilo, cicloalquilo C_{3-8}, arilalquilo C_{7-11}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, NH_2, OH, =O, alquenilo C_{2-6}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-8} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor, y j, R_9, y WX son como se definen previamente;

R_3 es ZA; en donde:

Z es CH_2; A es fenilo, en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente:

cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, y CN, en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son opcionalmente substituidos con desde 1 hasta 7 átomos de flúor;

R_{20} es como se define previamente; y

R_4 es H; o una sal farmacéuticamente aceptable del mismo.

En algunas modalidades, el sistema de anillo formado por R_5, R_6 y el átomo de nitrógeno al cual se enlazan que incluye uno o dos heteroátomos adicionales, los cuales opcionalmente pueden substituirse como se describe previamente en la presente, es, por ejemplo y sin limitación, morfolina, piridina, piperidina, piperidinona, piperazina, imidazolona, imidazol, y otros tales sistemas como se proporcionan como en los ejemplos sin limitación en las definiciones de heterocicloalquilo y heteroarilo anteriores.

En algunas modalidades, el sistema de anillo formado por R_7, R_8 y el átomo de nitrógeno al cual se enlazan que incluye uno o dos heteroátomos adicionales es, por ejemplo y sin limitación, morfolina, piridina, piperidina, piperidinona, piperazina, y otros tales sistemas como se proporcionan como en los ejemplos sin limitación en las definiciones de heterocicloalquilo y heteroarilo anteriores.

Los ejemplos de R_1 son alquilo C_{1-6}, CN, CO_2R_5, NR_5R_6, o halógeno; en donde el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 substituyentes independientemente seleccionados del grupo que consiste de halógeno y OH; en donde R_5 y R_6 son como se definen previamente. Otros ejemplos de R_1 incluye CN, halógeno, o alquilo C_{1-6} substituido con desde 1 hasta 7 átomos de flúor, por ejemplo, R_1 es CF_3, F o Cl. Otros ejemplos de R_1 son perhaloalquilo C_{1-3} o perhaloalcoxi C_{1-3}. En algunas modalidades, R_1 es perfluoroalquilo C_{1-3}; perfluoroalcoxi C_{1-3}. En una modalidad, R_1 es CF_3.

Los ejemplos de R_2 son alquilo C_{3-8}, cicloalquilo C_{3-8}, arilo, arilalquilo, heteroarilo o heterocicloalquilo, en donde:

el alquilo C_{3-8}, el cicloalquilo C_{3-8} y el arilalquilo son cada uno opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7, en donde R_7 es como se define previamente; y

el heteroarilo es opcionalmente substituido con YD, en donde Y, y D son como se definen previamente; o

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alquenilo C_{2-8}, alquinilo C_{2-8}, alcoxi C_{1-3}, cicloalquilo C_{3-8}, halógeno, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, fenilo, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ e YD, con la condición de que cualquier grupo OH no presente en la posición para; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido

con desde 1 hasta 7 átomos de flúor; y m, R_5, R_6, R_7, y R_8, Y, y D son como se definen previamente.

En algunas modalidades R_2 es arilalquilo, heteroarilo o heteroarilalquilo, en donde el arilalquilo es opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7; y el heteroarilo es opcionalmente substituido con YD, en donde Y, y D son como se definen previamente; o R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alcoxi C_{1-3}, halógeno, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, NO_2, e YD, en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; m, R_5, R_6, R_7, y R_8 son como se definen previamente;

Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$ o $-OC(R_7)(CO_2R_8)-$;

D es bencilo o fenilo, cada uno de los cuales es opcionalmente substituido con hasta cinco grupos R seleccionados independientemente; cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9, y WX son como se definen previamente; o

D es un grupo heterocicloalquilo, heteroarilalquilo, heteroarilo, o arilalquilo, cada uno de los cuales es

opcionalmente substituido con hasta cuatro grupos R_8 seleccionados independientemente;

cada R_3 es independientemente seleccionado del grupo que consiste de alquilo C_{1-8}, fenilo, bencilo, arilalquilo C_{7-11}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, NH_2, OH, =O, alquenilo C_{2-6}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-8}, el alquenilo C_{2-6}, alquinilo C_{2-4} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9, y WX son como se definen previamente.

En otras modalidades, R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados de R, en donde R es alquilo C_{1-3}, alquenilo C_{2-8}, alquinilo C_{2-8}, alcoxi C_{1-3}, cicloalquilo C_{3-8}, halógeno, OH, CH_2OH, CN, NR_7R_8-, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, fenilo, NO_2, perfluoroalquilo C_{1-3}, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ e YD, con la condición de que cualquier grupo OH no presente en la posición para; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 5 átomos de flúor; y m, R_5, R_6, R_7, R_8, Y, y D son como se definen previamente; o R_2 es fenilo substituido con un OH orto o meta; o R_2 es fenilo substituido con un OH meta.

Aún en modalidades adicionales, R_2 es fenilo substituido con YD; por ejemplo, donde Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$ o $-OC(R_7)(CO_2R_8)$. En algunas modalidades, R_2 es fenilo substituido con perfluoroalquilo C_{1-3}.

D puede ser, por ejemplo, bencilo o fenilo, cada uno de los cuales es opcionalmente substituido con hasta cinco

substituyentes independientemente seleccionados de R, en donde R es alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, o WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9 y WX son como se definen previamente.

En algunas modalidades D es fenilo que es opcionalmente substituido con hasta cuatro grupos R seleccionados independientemente; cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, -C(=O)H, acilo C_{1-3}, alcoxi C_{1-3}, halógeno, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y WX es como se define previamente.

En algunas modalidades D es un heterocicloalquilo o heteroarilo, cada uno de los cuales es opcionalmente substituido con hasta cuatro grupos R_a seleccionados independientemente; cada grupo R_a como se define previamente.

Aún en modalidades adicionales, R o R_a es perfluoroalquilo C_{1-3} o perfluoroalcoxi C_{1-3}.

Los ejemplos de R_2 son 2,4-dimetoxifenilo, fenilo, 4-metoxi-2-metilfenilo, 2-metoxifenilo, 4-metoxifenilo, 2-metilfenilo, 2,4-dimetilfenilo, 1,1'-bifenil-4-ilo, 4-metoxi-3,5-dimetilfenilo, 2-naftilo, 2-vinilfenilo, 4-metoxi-3-metilfenilo, 3-metilfenilo, 2,3-dimetilfenilo, 3-(trifluorometil)fenilo, 4-fluorofenilo, 3-clorofenilo, 3-metoxifenilo, benzaldehído-2-ilo, 2-isopropilfenilo, 2-ciclohexilfenilo, 2-bencilfenilo, 2-(1,1,2,2-tetrafluoroetoxi)fenilo, 2-cloro-5-fluorofenilo, 9H-fluoren-

2-ilo, 4-bencilfenilo, benzaldehído-3-ilo, 3-hidroxifenilo, butilo, isobutilo, pentilo, ciclopentilo, 2-hidroxifenilo, 1,3-dioxolan-2-ilo, 4'-metoxi-1,1'-bifenil-4-ilo, 1,1'-bifenil-4-ol, ciclohexanilo, 4-metoxi-2-metilfenilo, 4-metoxi-2-metilfenilo, 4-bromofenilo, 3-bromofenilo, 3-(benciloxi)fenilo, 2-hidroxifenilo, N-ciclohexamino, y 4-fenoxi-fenilo.

Los ejemplos de R_3 son fenilo opcionalmente substituido con alquilo C_{1-3}, o ZA, por ejemplo, donde Z es CH_2; y donde A es piridilo o fenilo, en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente, en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, perfluoroalquilo C_{1-3}, halógeno, OH, NO_2, y CN; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor. En una modalidad, R_{18} es perfluoroalquilo C_{1-3}.

En algunas modalidades, A es fenilo que es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente, en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, CN, fenilo, pirrol-1-ilo, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$, y $S(O)_nR_{12}$; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y n, R_{12} y R_{13} son como se definen previamente. En otras modalidades, R_{18} es perfluoroalquilo C_{1-3}.

En algunas modalidades, R_3 es ZA; en donde Z es CH_2; y A es fenilo, en donde el fenilo es opcionalmente substituido

con hasta cinco grupos R_{18} seleccionados independientemente, en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, y CN; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor. En otras modalidades, R_{18} es perfluoroalquilo C_{1-3}.

Ejemplos de R_3 son 2-alilo, propilo, ciclopentilo, isobutilo, ciclohexilmetilo, 2-etilbutilo, ciclobutilo, bencilo, 3-metoxibencilo, 2-naftilmetilo, 4-metilbencilo, 2-nitrobencilo, 2-(trifluorometil)bencilo, 4-bromobencilo, 3-(difluorometoxi)bencilo, 3-(trifluorometoxi)bencilo, 3,5-difluorobencilo, 3,5-dimetilbencilo, quinolinilmetilo, 2-cloro-4-fluorobencilo, 3-(1H-pirrol-1-il)bencilo, 2-bromobencilo, 2-metilbencilo, 5-fluoro-2-metilbencilo, 6-cloro-2-fluoro-3-metilbencilo, 1,1'-bifenil-3-ilmetilo, 2-clorobencilo, 1-naftilmetilo, 2,5-diclorobencilo, (difluorometoxi)bencilo, 3-fluorobencilo, 4-metilbencilo, 2,4-dimetilbencilo, mesitilmetilo, 2,4-dimetilbencilo, 2-feniletilo, 2-cloro-6-fluorobencilo, 4-cloro-2-fluorobencilo, 4-fluorobencilo, 4-(metilsulfonil)bencilo, y fenilo.

Ejemplos de R_4 son H o halógeno, por ejemplo, F.

En algunas modalidades, los compuestos de la Fórmula (I) o (Ia) se pueden usar en la manufactura de un medicamento para tratar o inhibir la ateroesclerosis o lesiones ateroescleróticas, niveles de colesterol LDL reducidos, niveles de colesterol HDL incrementados, transporte de colesterol inverso incrementado, absorción del colesterol inhibido, tratar o inhibir la enfermedad o demencia de Alzheimer, tratar o inhibir la diabetes tipo I o tipo II,

tratar o inhibir el síndrome coronario agudo o restenosis, tratar la esclerosis múltiple, artritis reumatoide, enfermedad inflamatoria del intestino, enfermedad de Crone, o endometriosis, tratar o inhibir celíaca o tiroiditis, tratar una enfermedad mediada por Th-1, la supresión de función o activación de linfocito, suprimir la función o activación de macrófago, suprimir la producción de citocina, o incrementar la actividad ABCA1 al 20% o mientras se incrementa más la actividad SREBP-Ic al 25% o menos, en un mamífero que necesite del mismo.

En algunas modalidades, los compuestos de la Fórmula (I) o (Ia) se pueden usar en la manufactura de un medicamento para tratar o inhibir una enfermedad mediad por Th-1, por ejemplo, esclerosis múltiple, artritis reumatoide, enfermedad tiroide autoinmune, enfermedad inflamatoria del intestino, enfermedad de Crohn o ateroesclerosis; o función de linfocito, por ejemplo, producción de linfocina.

Como se usa en la presente, el término "alquilo" incluye grupos hidrocarburo alifáticos saturados tanto de cadena recta como ramificada (por ejemplo, C_1-C_8; C_1-C_6) que tiene el número específico de átomos de carbono, por ejemplo, metilo (Me), etilo (Et), propilo (Pr), isopropilo (i-Pr), isobutilo (i-Bu), secbutilo (s-Bu), tertbutilo (t-Bu), isopentilo, isohexilo y los similares. El término "alquilo" además incluye grupos hidrocarburo tanto no substituidos como mono, di y tri substituidos, particularmente preferido con substitución de halógeno. E término, "alquilenilo" se refiere a un grupo alquilo ligado divalente.

El término "cicloalquilo" pretende que tenga su significado normal de un grupo alquilo cíclico, por ejemplo, una porción hidrocarburo saturada mono, bi, tri-cíclico, fusionado, ponteado o espiro, por ejemplo, 3-10 átomos de carbono. El término "cicloalquialquilo" se pretende para denotar un grupo de la fórmula -alquil-cicloalquilo, por ejemplo, un grupo ciclopentilmetilo o ciclohexímetilo, donde el alquilo y cicloalquilo son como se definen en la presente.

Como se usa en la presente el término "alcoxi" tiene el significado normal de un grupo de la fórmula -O-alquilo, por ejemplo, donde el alquilo es como se define en la presente.

Como se usa en la presente, el término "alquenilo" se pretende para denotar grupos alquilo que contienen al menos un enlace doble, por ejemplo, 2-8 átomos de carbono tal como 2-7, incluyen por ejemplo pero no se limitan a vinilo, alilo, 2-metil-alilo, 4-but-3-enilo, 4-hex-5-enilo, 3-metil-but-2-enilo y los similares. El término "cicloalquenilo" se pretende para denotar un alquienilo cíclico tal como ciclohex-2-enilo.

Como se usa en la presente, el término "alquinilo" se pretende para denotar grupos alquilo que tienen al menos un enlace triple carbono-carbono, por ejemplo, 2-8 átomos de carbono tal como 2-7. Ejemplos de grupos alquinilo incluyen etinilo, propinilo, y los similares. El término "alquinilenilo" se refiere a un grupo alquinilo ligado divalente.

Como se usa en la presente, el término "halógeno" tiene el significado normal de un grupo de siete elementos, por ejemplo, F, Cl, Br e I.

Como se usa en la presente el término "arilo" se pretende que signifique un sistema hidrocarburo aromático, por ejemplo, de 6 hasta 20 átomos de carbono en el anillo, por ejemplo, de 1, 2 o 3 anillos, por ejemplo, fenilo, naftilo, naftaleno, antraceno, fenantrenilo, antracenilo, pirenilo y los similares. También los que se incluyen dentro de la definición de arilo son sistemas aromáticos que contienen uno o más anillos aromáticos fusionados, por ejemplo, grupos fluorenilo. Los que se incluyen en la definición de arilo son sistemas aromáticos que contienen uno o más anillos hidrocarburo fusionados saturados o parcialmente saturados, por ejemplo, 1,2,3,4-tetrahidronaftaleno e indano.

Como se usa en la presente, el término "arilalquilo" se pretende que signifique un grupo de la fórmula -alquil-arilo, en donde el arilo y alquilo tienen las definiciones en la presente.

Como se usa en la presente, el término "acilo" tiene el significado acostumbrado como un grupo alcanoilo, por ejemplo un grupo de la fórmula -C(O)-alquilo, por ejemplo, -C(O)-alquilo C_{1-3}.

Como se usa en la presente, el término "heterocicloalquilo" se pretende que signifique un sistema de anillo de 5, 6, 7, 8, 9 ó 10 miembros no aromático, preferiblemente pero no necesariamente, saturado, monocíclico, bicíclico o espirocíclico que contiene hasta tres heteroátomos en el anillo seleccionados de O, N y S. Los ejemplos no limitativos de grupos heterocicloalquilo incluyen pirrolidina, piperidina, morfolino, imidaolidina,

pirazolidina, piperazina, pirazolina, pirrolina, pirano, tiazolina, tiazina, y tales porciones que contienen sistemas de anillo espirocíclico, por ejemplo, grupos 1,2-dioxano. Los grupos heterocicloalquilo también pueden contener uno o más grupos alquilo anexos. También los que se incluyen en la definición de heterocicloalquilo son porciones que contienen heteroátomos exocíclicos, por ejemplo, un anillo cicloalquilo que tiene un carbono en el anillo enlazado a un átomo O u S exocíclico a través de un enlace doble. Los que se incluyen además en la definición de heterocicloalquilo son porciones que tienen uno o más anillos fusionados aromáticos (esto es, que tienen un enlace en común con) al anillo heterocíclico no aromático, por ejemplo, ftalimidilo, diimidilo naftalimidilo piromelitico, ftalanilo, 2,3-dihidrobenzofurano, y derivados benzo de heterociclos saturados tales como grupos indolina e isoindolina.

El término "heteroarilalquilo" se pretende para denotar un grupo de la fórmula -alquil-heteroarilo.

El término "heteroarilo" se pretende para denotar un grupo arilo (por ejemplo, aromático) que tiene al menos un átomo en el anillo no carbono (esto es, "hetero"), por ejemplo, uno o más átomos O, N o S en el anillo. Los ejemplos no limitativos de grupo heteroarilo incluye radicales derivados de furano, imidazol, tetrazol, isotiazol, isoxazol, oxatiazol, oxazol, oxazolina, pirazol, pirrol, tiofeno, oxazina, pirazina, piridazina, piridina, pirimidina, tiadizina, tiazina, benzodioxina, benzodioxol, benzofurano, benzotiofeno, dibenzotiofeno, cromeno, cinolino, indazol, indol, indoleno, isoindoleno, indolizina, isoindol,

isoindolina, isoquinolina, naftiridina, ftalazina, purina, quinazolina, quinolina, y quinolizina.

En lugares diversos en la especificación actual, los substituyentes de los compuestos de la invención se describen en grupos o en rangos. Específicamente se pretende que la invención incluye cada una y todas las subcombinaciones individuales de los miembros de tales grupos y rangos. Por ejemplo, el término "alquilo C_{1-6}" específicamente se pretende para describir individualmente metilo, etilo, propilo, isopropilo, n-butilo, sec-butilo, isobutilo, etc.

Como se usa de acuerdo con esta invención, el término "proporcionar", con respecto a proporcionar un compuesto o sustancia cubierta por esta invención, significa ya sea administrar directamente tal compuesto o sustancia, o administrar un profármaco, derivado, o análogo que se formará a partir de la cantidad efectiva del compuesto o sustancia dentro del cuerpo. Esta invención también se cubre para proporcionar los compuestos esta invención para tratar los estados de la enfermedad descritos en la presente que los compuestos son útiles para tratarse.

Los compuestos de la presente invención pueden contener un átomo asimétrico, y algunos de los compuestos pueden contener uno o más átomos o centro asimétricos, los cuales de esta manera, pueden dar un aumento a isómeros ópticos (enantiómeros) y diastereómeros. La presente invención incluye tales isómeros ópticos (enantiómeros) y diastereómeros (isómeros geométricos); así como los estereoisómeros racémicos y resueltos, enantioméricamente puros R y S; así como otras mezclas de los estereoisómetos R

y S y las sales farmacéuticamente aceptables de los mismos. Los isómeros ópticos se pueden obtener en forma pura por procedimientos estándar conocidos por aquellos de experiencia ordinaria en la técnica, e incluye, pero no se limitan a, formación de sal diastereomérica, resolución cinética, y síntesis asimétrico. También se entiende que esta invención abarca todos los regioisómeros posibles, y mezclas de los mismos, los cuales se pueden obtener en forma pura por procedimientos de separación estándar conocidos por aquellos de experiencia ordinaria en la técnica, e incluye, pero no se limitan a, cromatografía de columna, cromatografía de capa delgada, y cromatografía líquida de alta resolución.

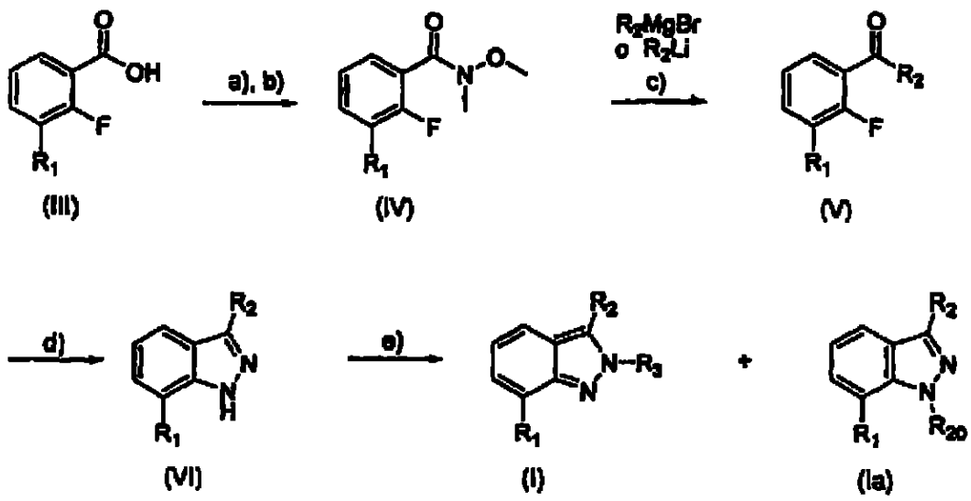
Los compuestos de la Fórmula (I) o (Ia) pueden prepararse convenientemente por los procedimientos se resumió en los esquemas de reacción debajo a partir de materiales de partida comercialmente disponibles, compuestos conocidos en la literatura, o intermediarios preparados fácilmente, al emplear métodos y procedimientos sintéticos estándar conocidos por aquellos de experiencia ordinaria en la técnica. Los métodos y procedimientos sintéticos estándar para la preparación de moléculas orgánicas y transformaciones del grupo funcional y manipulaciones pueden obtener fácilmente de la literatura científica relevante o a partir de libros de texto estándar en el campo. Aunque no se limita a ninguna o varias fuentes, los textos clásicos tales como Smith, M. B. and March, J., March's Advanced Organic Chemistry: Reactions, Mechanisms, and Structure, 5th ed., John Wiley & Sons: New York, 2001; and Greene, T. W. and Wuts, P. G. M. Protective Groups in Organic Synthesis, 3rd

ed., John Wiley & Sons: New York, 1999, son libros de texto de referencia útiles y reconocidos de síntesis orgánica conocida por aquellos de experiencia en la técnica.

Esquemas de Reacción Generales para la Preparación de los Compuestos de la Fórmula (I) o (Ia)

Una ruta sintética conveniente para la preparación de los compuestos de la fórmula (I) o (Ia) se presenta en el esquema de reacción 1. De acuerdo con el esquema de reacción 1, un derivado de ácido benzoico (III) se transformó en una amida Weinreb (IV) a través del cloruro ácido correspondiente. Este compuesto se hace reaccionar con bromuros de aril magnesio substituido o compuesto de aril litio para proporcionar cetonas (V). La condensación de las cetonas (V) con hidrato de hidrazina en piridina proporciona 1H-indazoles substituido (VI), los cuales se alquilan subsecuentemente dando los 2H-indazoles objetivo (I) y derivados de 1H-indazol (Ia). Los derivados tanto (I) como (Ia) se pueden funcionalizar además por los siguientes esquemas de reacción.

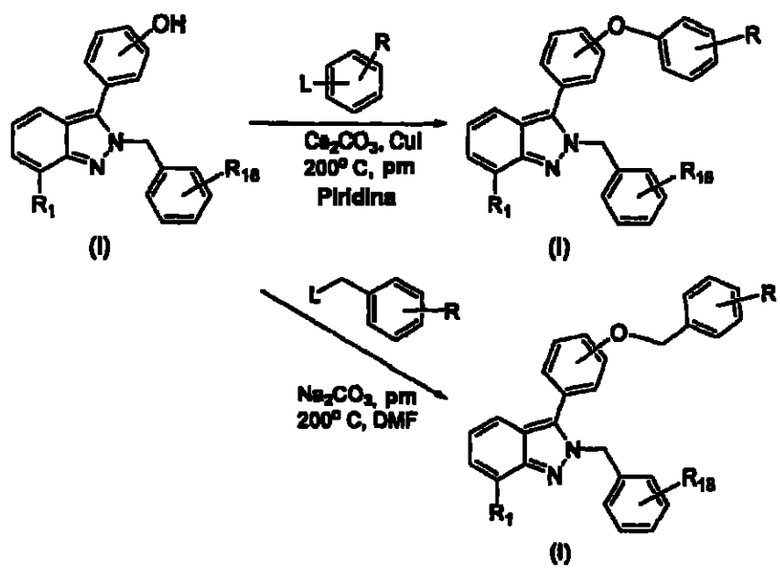
Esquema de reacción 1



Esquema de reacción 1. Condiciones de reacción: a) $SOCl_2$ (solv.), reflujo; b) HN(OMe)Me·HCl, piridina, DCM, ta; c) THF, 0°-ta; d) H_2NNH_2 H_2O, DMAP, piridina, 100°C; e) bencilo o derivado de haluro alquilo, con o sin base tales como NaH, DMF, 120°C.

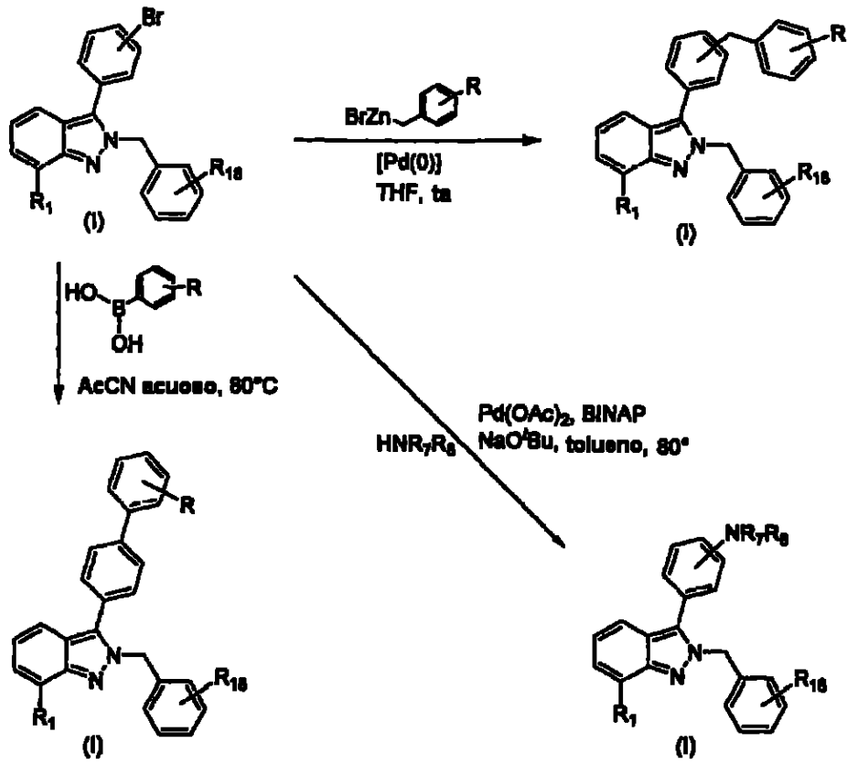
De acuerdo con el esquema de reacción 2, ciertos compuestos de la fórmula (I) preparados como se muestra en el esquema de reacción 1, contienen la porción OH en el anillo fenilo enlazado a la posición 3 del sistema indazol, se transforman en éteres biarilo correspondientes de la fórmula (I) hasta el tratamiento con haluros arilo substituido XPhR en presencia de carbonato de cesio y CuI a 200° C en microondas. Los derivados de 3-(Hidroxifenilo) de la fórmula (I) también pueden bencilarse bajo condiciones similares para proporcionar compuestos bencilados con fenol de la fórmula (I).

Esquema de reacción 2



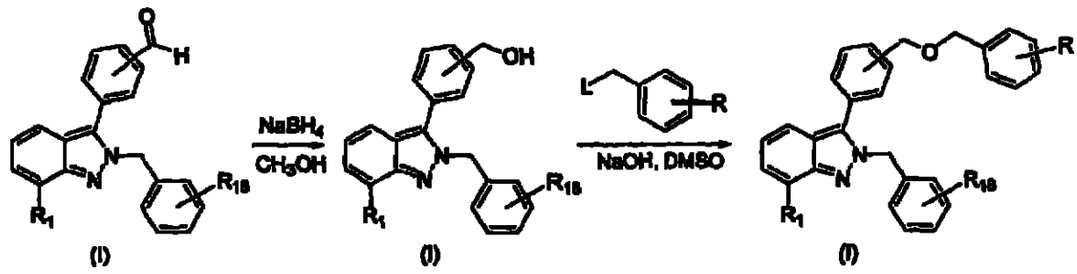
De acuerdo con el esquema de reacción 1, ciertos compuestos de la fórmula (I), preparados como se muestra en el esquema de reacción 1, pueden contener halógenos en el anillo fenilo enlazado a la posición 3 del indazol. De acuerdo con el esquema de reacción 3, el tratamientos de tales compuestos con reactivos de organozinc correspondientes en THF en presencia de un catalizador de paladio proporciona derivados de bencilo de la fórmula (I). El acoplamiento catalizado por paladio de los compuestos halo de la fórmula (I) con ácidos arilborónico substituido a condiciones estándar proporciona derivados de biarilo de la fórmula (I). Estos compuestos halo también se pueden hacer reaccionar con aminas en presencia de base y catalizador de paladio en tolueno para proporcionar derivados de anilina de la fórmula (I).

Esquema de reacción 3



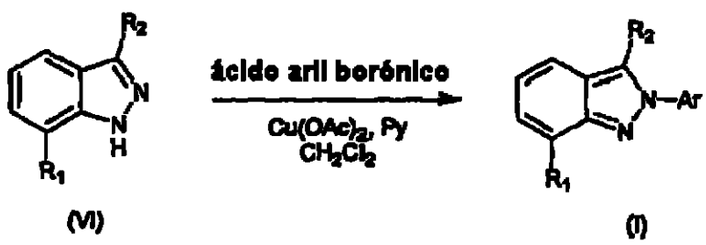
De acuerdo con el esquema de reacción 4 ciertos compuestos de la fórmula (I) preparados como se muestra en el esquema de reacción 1, contienen una porción formilo en el anillo fenilo enlazado a la posición 3 del indazol, puede convertirse a los alcoholes bencílico correspondientes por un procedimiento de reducción $NaBH_4$ estándar y se hace reaccionar subsecuentemente con haluros de bencilo substituido en presencia de NaOH para proporcionar éteres de dibencilo de la fórmula (I).

Esquema de reacción 4



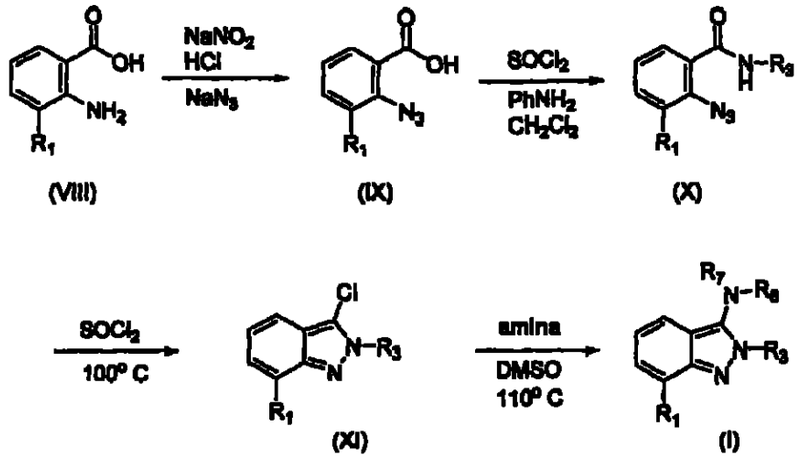
De acuerdo con el esquema de reacción 5, los compuestos de la fórmula (VI) se pueden hacer reaccionar con un ácido aril borónico en presencia de un catalizador de cobre y un solvente adecuado para dar los compuestos de la fórmula (I) en los cuales el grupo R_3 es arilo.

Esquema de reacción 5



Ciertos compuestos 3-amino de la fórmula (I) pueden ser preparados como se muestra en el esquema de reacción 6. De acuerdo con el esquema de reacción 6, los ácidos o-amino-benzoico substituidos (VIII) se convierten a los derivados o-azido correspondientes (IX) por medios del procedimientos de diazotización estándar. El tratamiento de estos compuestos con cloruro de tionilo proporcionan cloruros de acilo correspondientes, los cuales se hacen reacciones directamente con aminas para proporcionar amidas de la fórmula (X). Las amidas (X) experimentan ciclización intramolecular cuando se calientan con cloruro de tionilo a 100°C proporcionando derivados de 3-cloroindazol de la fórmula (XI). Los derivados de 3-Cloroindazoles (XI) se pueden hacer reaccionar con aminas cuando se calientan en DMSO para proporcionar los 3-amino-indazoles deseados de la fórmula (I).

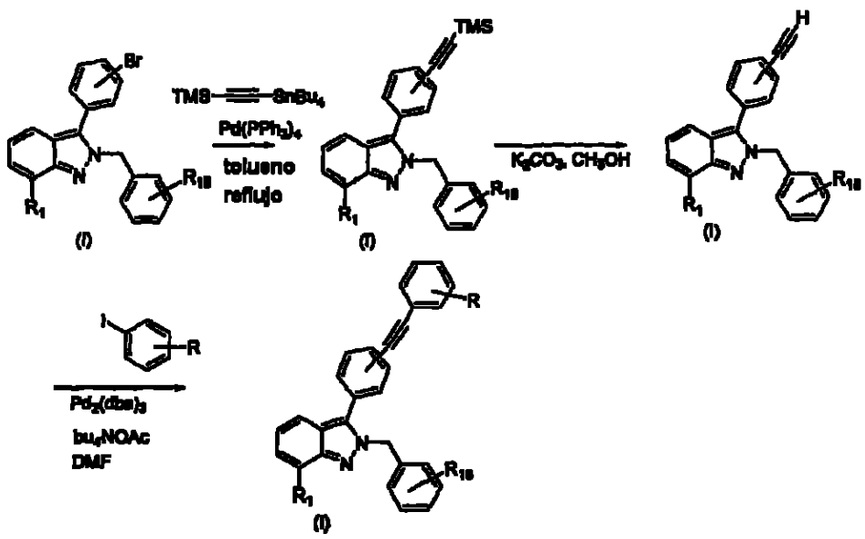
Esquema de reacción 6



De acuerdo con el esquema de reacción 7, ciertos compuestos de la fórmula (I) preparados como se muestra en el esquema de reacción 1, contienen una porción de bromo en el anillo fenilo enlazado a la posición 3 del indazol, puede

convertirse a las alquinas correspondientes por acoplamiento Heck estándar con 1-trimetilsilil-2-tributiltinacetileno en presencia de un catalizador de paladio (0) tales como paladio-(0)-tetraquis-trifenilfosfina. La funcionalización posterior con compuesto de aril yodo por medio de un acoplamiento tipo Sonogashira, como se describe por Urganoker, S. and Verkade, J. G., J Org Chem 2004, 69, 5752-5755, o procedimientos similares como se conoce por aquellos de experiencia ordinaria en la tĕcnica, proporcionan análogos de aril alquinilo de la fórmula (I).

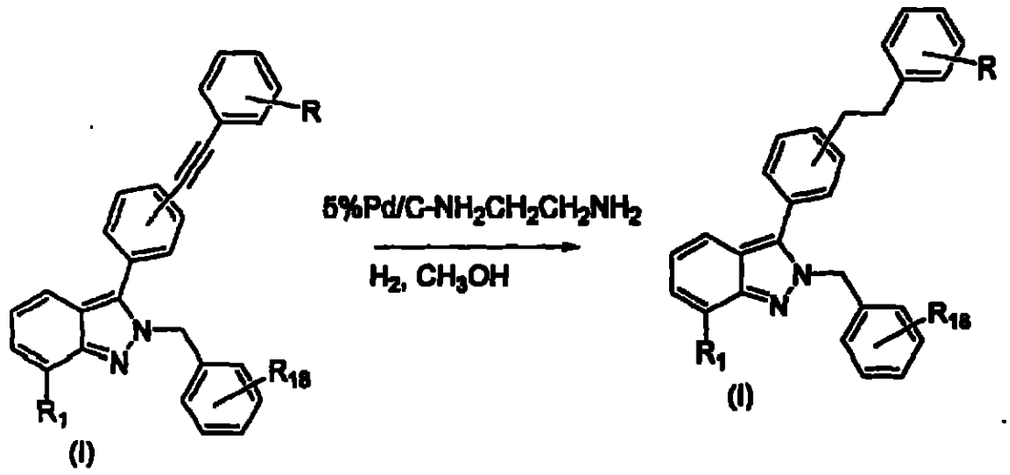
Esquema de reacción 7



De acuerdo con el esquema de reacción 8, ciertos compuestos de la fórmula (I) preparados como se muestra en el esquema de reacción 7, contienen una porción alquinilarilo en el anillo fenilo enlazado a la posición 3 del indazol, puede convertirse a los análogos saturados correspondientes por hidrogenación atmosférica sobre complejo de paladio de etilendiamina como se describe por Sajiki et al., JOC, 1998,

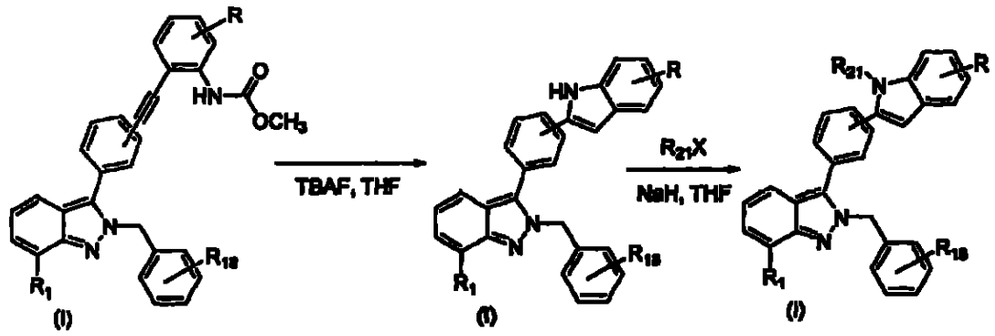
63, 7990, o por otras reducciones conocidas por aquellos de experiencia ordinaria en la técnica.

Esquema de reacción 8



De acuerdo con el esquema de reacción 9, ciertos compuestos de la fórmula (I) preparados como se muestra en el esquema de reacción 7, contienen una porción alquinilarilo en el anillo fenilo enlazado a la posición 3 del indazol, puede convertirse a los análogos 2-indolilo correspondientes cuando el anillo distal contiene una porción carbamoilo tales como $NHCOOCH_3$. El tratamiento del carbamato con fluoruro de tetrabutilamonio u otra base adecuada conocida por aquellos de experiencia ordinaria en la técnica proporciona los compuestos 2-indolilo correspondientes de la fórmula (I), los cuales pueden alquilarse además al indol nitrógeno por reacción con un alquilo o alquilhaluro en presencia de una base adecuada tal como hidruro de sodio.

Esquema de reacción 9



Procedimientos de Prueba Farmacológicos

Ensayo que enlaza al ligando para LXRβ humano.

Se enlaza el ligando al LXRβ humano se puede demostrar para los compuestos de la Fórmula (I) o (Ia) por el siguiente procedimiento.

Materiales y métodos:

Solución amortiguadora de ensayo: 100 mM KCl, 100 mM TRIS (pH 7.4 a +4°C), 8.6% de glicerol, 0.1 mM PMSF*, 2mM MTG*, 0.2% de CHAPS

Diseñador: ^{3}H T0901317

(* no se usa en solución amortiguadora lavada)

Fuente del receptor: El extracto de E. coli de las células que expresan hLXRβ biotinilado (Se hizo el extracto en una solución amortiguadora similar como arriba, pero con 50 mM TRIS)

Día 1

Lavar las placas instantáneas recubiertas por estreptavidina con solución amortiguadora de lavado.

Diluir el extracto del receptor para dar Bmax ~ 4000 cpm y agregar a los pozos.

Envolver las placas en papel aluminio y luego almacenar a +4°C durante la noche.

Día 2

Hacer unas series de dilución en DMSO de los ligandos de prueba.

Hacer una solución 5nM del diseñador radioactivo en solución amortiguadora.

Mezclar el diseñador diluido 250 µl con 5 µl del ligando de prueba de cada concentración de las series de dilución.

Lavar las placas instantáneas recubiertas por el receptor.

Agregar 200 µl por pozo de la mezcla ligando/radioetiquetado a las placas instantáneas recubiertas por el receptor.

Envolver las placas en papel aluminio e incubar a +4°C durante la noche.

Día 3

Aspirar los pozos, y lavar las placas instantáneas. Sellar las placas. Medir la radioactividad restante en las placas.

Los compuestos de la Fórmula (I) o (Ia) descritos en la presente tiene actividad (valores IC50) en el ensayo de enlace al ligando LXRβ en el rango entre 0.001 hasta 20 uM.

Análisis cuantitativo de la regulación del gen ABCA1 en células THP-1.

El efecto de los compuestos de la fórmula (I) o (Ia) en la regulación del gen ABCA1 puede evaluarse usando el siguiente procedimiento.

Materiales y métodos:

Cultivo celular.

La línea celular monocítica THP-1 (ATCC # TIB-202) se obtuvo de American Type Culture Collection (Manassas, VA) y se cultiva en un medio RPMI 1640 (Gibco, Carlsbad, CA) que contiene 10% de FBS, 2 mM L-glutamina, y 55 uM beta-Mercaptoetanol (BME). Las células se colocan en un formato de 96 pozos a una densidad de 7.5 X 10^4 en medio completo que contiene 50-100 ng/ml forbal 12,13-dibutirato (Sigma, St. Louis, MO) durante tres días para introducir la diferencia en los macrófagos adherentes. La diferencia de las células THP-1 se trataron con compuestos de prueba o ligandos disueltos en DMSO (Sigma, D-8779) en el medio de cultivo que carece de éster forbal. Las concentraciones finales de DMSO no exceden el 0.3% del volumen medio. Los efectos de respuesta de dosis se midieron en duplicado, en el rango de 0.001 hasta 30 concentraciones micromolares y las células tratadas se incubaron durante unas 24 horas adicionales previas a la aislamiento del ARN. Las células sin estimular tratadas con vehículo se incluyeron como controles negativos en cada placa. Una referencia de agonista LXR, TO901317, se dosificó a 0.3 uM y sirve como un control positivo.

Aislado y cuantificación del ARN.

El ARN celular total se aisló del cultivo de células tratadas en placas de 96 pozos usando PrepStation 6100 (Applied Biosystems, Foster City, CA), de acuerdo a las recomendaciones del fabricante. El ARN se volvió a suspender en agua libre de ribonucleasa y se almacena a -70°C antes del análisis. Las concentraciones de ARN se cuantificaron con ensayo RiboGreen, #R-11490 (Molecular Probes, Eugene, OR).

Análisis de expresión del gen

La cuantificación del ARNm específico del gen se llevó a cabo en PCR de tiempo real (RT-PCR) con la química de Perkin Elmer Corp. sobre un sistema de detección de secuencia ABI Prism 7700 (Applied Biosystems, Foster City, CA) de acuerdo a las instrucciones del fabricante. Las muestras (50-100 ng) del ARN total se ensayaron en duplicado o triplicado en reacciones 50 ul usando una etapa RT-PCR y el método de curva estándar para estimar las concentraciones de ARNm específico. Las secuencias del cebador específico de gen y los conjuntos de la sonda se diseñaron con Primer Express Software (Applied Biosystems, Foster City, CA). El cebador ABCA1 humano y secuencias de sonda son: delantero, CAACATGAATGCCATTTTCCAA, inverso, ATAATCCCCTGAACCCAAGGA, y sonda, 6FAM-TAAAGCCATGCCCTCTGCAGGAACA-TAMRA. Las reacciones RT y PCR se llevaron a cabo de acuerdo al protocolo de PE Applied Biosystem para Taqman Gold RT-PCR. Los niveles relativos de ABCA1 ARNm se normalizan usando GAPDH ARNm o conjuntos de sonda/cebador 18S ARNr adquiridos comercialmente (Applied Biosystems, Foster City, CA).

Estadísticas:

Medio, desviación estándar e importancia estadística de evaluaciones estándar de evaluaciones duplicadas de muestras de ARN se evaluaron usando ANOVA, análisis de variante de una vía, usando análisis SAS.

Reactivos:

Sonda y cebadores GAPDH - reactivos de control Taqman GAPDH 402869 o 4310884E

ARN Ribosomal 18S - reactivos de control Taqman 18S 4308329 kit de reactivo de núcleo Taqman PCR de 10 paquetes 402930

Los compuestos de la Fórmula (I) o (Ia) descritos en la presente sobreregulan la transcripción del gen ABCA1 en células THP-1 (valor EC50) en un rango entre 0.001 hasta 15 uM con valores de eficacia en el rango de 20 hasta 250% cuando se compara con la eficacia mostrada por 0.3 uM de referencia estándar T0901317.

Con base en los resultados obtenidos en los procedimientos de prueba farmacológica estándar, los compuestos de esta invención son útiles en tratar o inhibir enfermedades mediadas por LXR. En particular, los compuestos de esta invención son útiles en el tratamiento e inhibición de ateroesclerosis y lesiones ateroescleróticas, niveles de colesterol LDL reducidos, niveles de colesterol HDL incrementados, transporte de colesterol inverso incrementado, absorción del colesterol inhibido, tratamiento o inhibición de la enfermedad de Alzheimer, diabetes tipo I, diabetes tipo II, esclerosis múltiple, artritis reumatoide, síndrome

coronario agudo, restenosis, enfermedad inflamatoria del intestino (IBD), Enfermedad de Crohn, endometriosis, celíaca, y tiroiditis.

Se entenderá que la dosis efectiva de los compuestos activos de esta invención pueden variar dependiendo del compuesto particular utilizado, el modo de administración, la condición a tratarse y severidad del mismo, así como los diversos factores físicos referidos al individuo tratado. Se proyecta que los compuestos de esta invención se administrarán en una dosis diaria oral desde alrededor de 0.05 mg hasta alrededor de 30 mg por kilogramo de peso corporal, preferiblemente se administra en dosis dividas de dos hasta seis veces por día, o en una forma de liberación sostenida, y se puede ajustar para proporcionar el resultado terapéutico óptimo.

Los compuestos de esta invención, como una composición farmacéutica, pueden formularse puros o con un portador farmacéutico para administración, la proporciona de los que se determinan por la solubilidad y naturaleza química del compuesto, eligen una ruta de administración y práctica farmacológica estándar. El portador farmacéutico puede ser sólido o líquido. Un portador sólido puede incluir una o más substancias las cuales también pueden actuar como un agente saborizante, agente edulcorante, lubricante, solubilizador, agente de suspensión, rellenadores, mejorador de flujo, ayudante de compresión, aglutinante, o agente desintegrante de tableta; también puede ser un material encapsulante. En polvos, el portador es un sólido finamente dividido que está en una mezcla con el ingrediente activo finamente dividido.

Las formas o composiciones de dosis unitaria sólida tales como tabletas, trocitos, píldoras, cápsulas, polvos, y los similares, pueden contener un aglutinante de portador sólido tales como goma de tragacanto, acacia, almidón de maíz o gelatina; excipientes tales como fosfato de dicalcio; un agente desintegrante tales como almidón de maíz, almidón de papa, ácido algínico; un lubricante tales como estearato de magnesio; y un agente edulcorante tales como sacarosa, lactosa, o sacarina. Cuando una forma unitaria de dosis es una cápsula, puede contener, además materiales del tipo anterior, un portador líquido tal como un aceite graso. Otros diversos materiales pueden presentarse como recubridores o para modificar la forma física de la dosis unitaria. Para cada caso, las tabletas pueden recubrirse con laca, azúcar o ambos.

Los portadores líquidos se usan en preparaciones de formas de dosis líquidas tales como soluciones, suspensiones, dispersiones, emulsiones, jarabes, elixires y composiciones presurizadas. El ingrediente activo puede disolverse o suspenderse en un portador líquido farmacéuticamente aceptable tales como agua, un solvente orgánico, una mezcla de ambos, o aceites o grasas farmacéuticamente aceptables. El portador líquido puede contener otros aditivos farmacéuticamente adecuados tales como solubilizantes, emulsificantes, soluciones amortiguadoras, conservadores, edulcorantes, agentes saborizantes, agentes de suspensión, agentes espesantes, colores, reguladores de la viscosidad, estabilizadores u osmo-reguladores. Ejemplos adecuados de portadores líquidos para administración oral y parenteral

incluyen agua (parcialmente contienen aditivos como arriba, por ejemplo, derivados de celulosa, preferiblemente, solución celulosa de carboximetilo de sodio); alcoholes, incluyendo alcoholes monohídricos tales como etanol y alcoholes polihídricos tales como glicoles y sus derivados; leticinas, y aceites tales como aceite de coco fraccionado y aceite de cacahuate. Para administración parenteral, el portador líquido también puede ser un éster aceitoso tales como oleato de etilo y miristato de isopropilo. Los portadores líquidos estériles son útiles en composiciones de forma líquida estéril para administración parenteral. El portador líquido para composiciones presurizadas puede ser un hidrocarburo halogenado u otro propelente farmacéuticamente aceptable.

Una composición farmacéutica líquida tales como un jarabe o elixir puede contener, además hasta uno o más portadores líquidos y los ingredientes activos, un agente edulcorante tales como sacarosa, conservadores tales como parabenos de metilo y propilo, un pigmento o agente colorante farmacéuticamente aceptable, o un agente saborizante tales como sabor cereza o naranja. Las composiciones farmacéuticas líquidas que son soluciones o suspensiones estériles pueden administrarse intraocularmente o parenteralmente, por ejemplo, por inyección intramuscular, intraperitoneal o subcutánea. Las soluciones estériles también puede administrase intravenosamente. Las formas farmacéuticas adecuadas para el uso de inyección incluyen soluciones o dispersiones acuosas estériles y polvos estériles para la preparación extemporánea de soluciones o dispersiones inyectables estériles. En todos los casos, la forma debe ser

estéril o y debe ser fluido al grado de que exista una inyección. Debe ser estable bajo las condiciones de manufactura y almacenamiento y debe ser conservado contra la acción contaminante de microorganismos tales como bacteria y hongos. El portador puede ser un medio solvente o de dispersión que contiene un portador líquido, por ejemplo, agua, etanol, poliol (por ejemplo, glicerol, propilen glicol y polietilen glicol líquido), mezclas adecuadas del mismo, y aceites vegetales. El portador líquido se puede mezclar adecuadamente con un tensoactivo tales como hidroxipropilcelulosa.

Los compuestos de la presente invención también pueden administrarse rectalmente o vaginalmente en la forma de un supositorio convencional. Para administración por inhalación o insuflación intranasal o intrabronquial, los compuestos de esta invención pueden formularse en una solución acuosa o parcialmente acuosa, los cuales luego pueden utilizarse en la forma de un aerosol. Los compuestos de esta invención pueden administrarse tópicamente, o también transdermalmente a través del uso de un parche transdérmico que contiene el compuesto activo y un portador que es inerte al compuesto activo, el cual no es tóxico a la piel, y permite la administración del agente para absorción sistémica en el torrente sanguíneo por medio de la piel. El portador puede tomar cualquier número de formas tales como cremas y ungüentos, pastas, geles, y dispositivos de oclusión. Las cremas y ungüentos pueden ser emulsiones semisólidas o líquidas viscosas de ya sea tipo aceite en agua o agua en aceite. Las pastas comprenden de polvos absorbentes

dispersantes en petróleo o petróleo hidrofílico que contiene el ingrediente activo también puede ser adecuado. Una variedad de dispositivos oclusivos pueden usarse para liberar el ingrediente activo en el torrente sanguíneo tales como una membrana semipermeable cubre un reservorio que contiene el ingrediente activo con o sin un portador, o una matriz que contiene el ingrediente activo. otros dispositivos oclusivos son conocidos en la literatura.

EJEMPLOS

Lo siguiente describe la preparación de compuestos representativos de esta invención. Los compuestos se describen como homogéneos se determinaron para ser 98% o mayor que un pico sencillo (exclusivo de enantiómeros) por análisis cromatográfico de fase inversa analítica con 254 nM de detección UV. Los puntos de fusión se reportan como incorrectos en grados centígrados. Los datos de infrarrojo se reportan como números de onda a una absorción máxima, V_{max}, en centímetros recíprocos, cm^{-1}, datos de espectro de masa se reportan como la relación carga a masa, m/z; y para datos de espectro de masa de resolución alta, las masas calculadas y experimentalmente encontradas, $[M+H]^+$, para la fórmula M neutral M se reportan. Los datos de resonancia magnética nuclear se reportan como δ en partes por millón (ppm) dirección descendente del estándar, tetrametilsilano, junto con el solvente, núcleo, y parámetros de resistencia de campo. Las constantes de acoplamiento homonuclear giro-giro se reportan como valores J en hertz; y las multiplicidades se

reportan como: s, singlete; d, doblete; t, triplete; q, cuartete; quinteto; o br, amplia.

Preparación de los Compuestos de la Fórmula (I) o (Ia):

Todos los números del compuesto en esta sección se refiere a los esquemas de reacción anteriores.

EJEMPLO 1

2-BENCIL-3-(4-METOXIFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Preparación de 2-fluoro-N-metoxi-N-metil-3-trifluorometil-benzamida (compuesto de la fórmula (IV)).

1.04 g (5 mmol) de ácido 2-fluoro-3-(trifluorometil)benzoico (compuesto de la fórmula (III)) 3 ml de $SOCl_2$ se disolvieron y la mezcla se puso a reflujo durante 2 hrs. El $SOCl_2$ restante se removió in vacuo y el residuo (derivado de cloruro ácido crudo) se disolvió en 5 ml de CH_2Cl_2. El clorohidrato de N,O-Dimetihidroxilamina (585 mg, 6 mmol) en 8 ml de CH_2Cl_2 se agregó seguido por 0.5 ml de piridina. La mezcla de reacción se agitó durante 1.5 hrs., se apaga con solución NH_4Cl acuoso y el producto se extrajo dos veces con CH_2Cl_2, y las fases orgánicas combinadas se lavaron con salmuera y se secan sobre sulfato de sodio. La evaporación de solventes in vacuo da 1.02 g del producto como un aceite el cual fue suficientemente puro para usarse en la siguiente etapa sin purificación adicional.

($CDCl_3$) δ = 7.68 (t, 1 H), 7.62 (t, 1 H), 7.30 (t, 1 H), 3.52 (s, br, 3 H), 3.35 (s, br, 3 H). CLEM: M+H: 252.3.

Preparación de (2-fluoro-3-trifluorometil-fenil)-(4-metoxi-

fenil)-metanona (compuesto de la fórmula (V)).

1 milímetro de una solución THF 1M de bromuro 4-metoxifenilmagnesio (1 mmol) se agregó a una solución de 126 mg (0.5 mmol) de 2-fluoro-N-metoxi-N-metil-3-trifluorometil-benzamida en 2 ml de THF a 0°C. La mezcla de reacción se agitó durante 2 hrs. a esta temperatura y luego se apaga con solución NH_4Cl saturado y las fases se separaron. La fase acuosa se extrajo dos veces con CH_2Cl_2 y las fases orgánicas combinadas se lavaron con salmuera y se secan sobre Na_2SO_4. La cetona resultante (135 mg) se obtuvo después de la purificación cromatográfica instantánea usando 5% EtOAc en heptano como un eluyente.

($CDCl_3$) δ = 7.80 (d, 2 H), 7.77 (t, 1 H), 7.67 (t, 1 H), 7.36 (t, 1 H), 6.95 (d, 2 H), 3.86 (s, 3 H).

GC, M/Z: 298.0.

Preparación de 3-(4-metoxi-fenil)-7-trifluorometil-1H-indazol (compuesto de la fórmula (Vl)).

(2-Fluoro-3-trifluorometil-fenil)-(4-metoxi-fenil)-metanona (59.6 mg, 0.2 mmol) se disolvió en 1 ml de piridina. El hidrato de hidrazina (97 µl, 2 mmol) y 4-(dimetilamino)-piridina (24.4 mg, 0.2 mmol) se agregaron. La mezcla de reacción se agitó durante la noche a 100°C. Después se enfría a temperatura ambiente, EtOAc y 1 M HCl se agregaron. La fase orgánica se lavó tres veces con salmuera, se seca sobre $MgSO_4$ y se concentra bajo vacío. La purificación del producto crudo por cromatografía de columna instantánea en gel de sílice (n-heptano/acetato de etilo, 85:15) proporciona 56 mg del producto analíticamente puro. ($CDCl_3$), δ = 9.65

396

(s, br, 1 H), 8.18 (d, 1 H), 7.89 (d, 2 H), 7.68 (d, 1 H), 7.21 (t, 1 H), 7.07 (d, 2 H), 3.89.(S1 3 H).

CLEM: M+H: 293.2.

Preparación de 2-bencil-3-(4-metoxi-fenil)-7-trifluorometil-2H-indazol (compuesto de la fórmula (I)).

A una solución de 3-(4-metoxi-fenil)-7-trifluorometil-1H-indazol (59 mg, 0.2 mmol) en 0.5 ml DMF se agregaron 72 µl de bromuro de bencilo (0.6 mmol). La mezcla de reacción se calentó durante la noche a 120°C. Después se enfría a temperatura ambiente, acetato de etilo se agregó y la solución se lavó tres veces con salmuera. La capa orgánica se secó sobre $MgSO_4$ y se concentra. La cromatografía en columna (n-heptano/acetato de etilo, 70:30 como eluyente) proporciona 61 mg de producto puro. ($CDCl_3$) δ = 7.61 (s, 1 H), 7.54 (d, 1 H), 7.10-7.20 (m, 5 H), 7.02-6.95 (m, 3 H), 6.93- 6.87 (m, 2 H), 5.56 (s, 2 H), 3.76 (s, 3 H).

CLEM: M+H: 383.2.

EJEMPLO 2

ACIDO 4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETIL]-BENZOICO

Preparación de 4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenol.

2-Bencil-3-(4-metoxi-fenil)-7-trifluorometil-2H-indazol (38 mg, 0.1 mmol) se disolvió en 2 ml de diclorometano seco y se enfría hasta -78°C. $BF_3 \cdot SMe_2$ se agregó (1 ml de solución 1 M en CH_2Cl_2, 1 mmol) y la mezcla de reacción se permitió para alcanzar la temperatura ambiente durante la noche. La mezcla

de reacción se apagó con MeOH seguido por la adición de HCl 2M. El producto se extrajo con CH_2Cl_2 y se purifica por cromatografía en columna en sílice (n-heptano/acetato de etilo, 50:50, como eluyente). Rendimiento: 32 mg. (acetona) δ = 8.84 (s, br, 1 H)1 7.80 (d, 1 H)1 7.67 (d, 1 H), 7.40-7.35 (m, 2 H), 7.31- 7.21 (m, 3 H), 7.17 (t, 1 H), 7.12 (d, 2 H), 7.06-7.01 (m, 2 H), 5.71 (s, 2 H).

CLEM: M+H: 369.2; M-H: 367.0.

Preparación de éster de metilo del ácido 4-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-benzoico.

4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenol (180 mg, 0.5 mmol) y carbonato de potasio (138 mg, 1.0 mmol) se disolvieron en 3 ml de DMF seco y éster de metilo del ácido 4-bromometil-benzoico (230 mg, 1.0 mmol) se agregó. La mezcla de reacción se corrió en microondas (Optimizador Emory, Personal Chemistry) a 200°C durante 30 min. Después se enfría a temperatura ambiente, la mezcla de reacción se diluyó con 1 M HCl (ac.) y el producto se extrajo tres veces con CH_2Cl_2; y después la evaporación del solvente, se purificó con CLAR preparativa usando un gradiente con fase móvil ácida en una columna ACE-C8 para proporcionar 140 mg de producto analíticamente puro.

CLEM: M+H: 517.4.

Rf: 6.68 min (20-100% CH_3CN en 10 min en 0.05% de HCOOH en agua en una columna ACE 5 C8).

Preparación de ácido 4-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-benzoico.

El éster de metilo del ácido 4-[4-(2-Bencil-7-

trifluorometil-2H-indazol-3-il)-fenoximetil]-benzoico (50 mg, 0.1 mmol) se disolvió en 1 ml de metanol, y 24 mg de LiOH (10 eq) se agregaron. La mezcla de reacción se agitó a 70°C durante la noche. Después se enfría a temperatura ambiente, solución HCl 1M y diclorometano se agregaron, y las fases se separaron. El ácido resultante se obtuvo por purificación cromatográfica instantánea estándar (43 mg). (DMSO) δ = 7.98 (d, 2 H), 7.81 (d, 1 H), 7.73 (d, 1 H), 7.60 (d, 2 H), 7.50 (d, 2 H), 7.30-7.18 (m, 6 H), 7.00 (d, 2 H)1 5.72 (s, 2 H)., 5.29 (s, 2 H).

CLEM: M+H: 503.3; M-H: 501.2.

EJEMPLO 3

ACIDO 4-[4-(2-BENCIL-T-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXI]-BENZOICO

Preparación de éster de metilo del ácido 4-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoxi]-benzoico.

El 4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenol (180 mg, 0.5 mmol), carbonato de cesio (490 mg, 1.5 mmol), CuI (20 mg, 0.1 mmol) y éster de metilo del ácido 4-bromo-benzoico (215 mg, 1.0 mmol) se disolvieron en 3 ml de piridina seco. La mezcla de reacción se corrió en microondas (Optimizador Emory, Personal Chemistry) a 200°C durante 30 min. Después se enfría a temperatura ambiente, la mezcla de reacción se diluyó con HCl 1M (ac.) y el producto se extrae tres veces con CH_2Cl_2. Las fases orgánicas combinadas se secaron y evaporaron, y el material crudo se purificó con CLAR preparativa usando un gradiente con una fase móvil ácida en una columna ACE-C8 para proporcionar 151 mg de producto

analíticamente puro. ($CDCl_3$) δ = 8.07 (d, 2 H), 7.82 (d, 1 H), 7.71 (d, 1 H), 7.49 (d, 2 H), 7.24 (m, 6 H), 7.11 (d, 2 H), 7.02 (d, 2 H), 5.77 (s, 2 H).

CLEM: M+H: 489.5, 2M+H: 977.9, M-H: 487.4, 2M-H: 975.5.

Preparación de ácido 4-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoxi]-benzoico.

Este compuesto se preparó de éster de metilo del ácido 4-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoxi]-benzoico en una manera descrita para la preparación del ácido 4-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-benzoico (Ejemplo 2). ($CDCl_3$) δ = 8.07 (d, 2 H), 7.82 (d, 1 H), 7.71 (d, 1 H)1 7.49 (d, 2 H), 7.29-7.19 (m, 6 H), 7.11 (d, 2 H), 7.02 (d, 2 H), 5.77 (s, 2 H).

CLEM: M+H: 489.5, 2M+H: 977.9; M-H: 487.4, 2M-H: 975.5.

EJEMPLO 4

[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-il)-FENIL]-FENIL-AMINA

El 2-Bencil-3-(4-bromo-fenil)-7-trifluorometil-2H-indazol (compuesto de la fórmula (1) se preparó de forma similar al Ejemplo 1 usando 4-bromofenil litio en lugar de un reactivo Grignard. Este compuesto (43 mg, 0.1 mmol) junto con anilina (11 µl, 0.12 mmol), acetato de paladio (II) (0.3 mg, 0.001 mmol) y BINAP (1 mg, 0.0015 mmol) se disolvió en 0.5 ml de tolueno y la mezcla de reacción se agitó a temperatura ambiente durante 10 min. Una solución de 13.5 mg de NaO^tBu (0.14 mmol) en 0.5 ml de tolueno se agregó y la mezcla de reacción se agitó durante la noche a 80°C. La solución NH4Cl

saturado y diclorometano se agregaron, y las fases se separaron. El producto resultante se obtuvo después de la purificación cromatográfica instantánea usando n-heptano/acetato de etilo, 80:20, como eluyente. Rendimiento: 27 mg. ($CDCl_3$) δ = 7.67 (d, 1 H), 7.55 (d, 1 H), 7.24 (t, 2 H), 7.20-6.99 (m, 12 H)1 6.98-6.91 (m, 1 H), 5.61 (s, 2 H).

CLEM: M+H: 444.8; M-H: 442.7.

EJEMPLO 5

ESTER DE METILO DEL ACIDO 3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCIL]-BENZOICO

El 2-Bencil-3-(4-bromo-fenil)-7-trifluorometil-2H-indazol (43 mg, 0.1 mmol) y 4 mg de cloruro de bis[trifenilfosfina]paladio (II) se disolvieron en THF. Después de 5 minutos, 0.4 ml de una solución 0.5M de bromuro de 3-(metoxicarbonil)-bencilzinc en THF (0.2 mmol) se agregaron y la mezcla de reacción se agitó a temperatura ambiente durante la noche. La solución HCl 1M y diclorometano se agregaron, y las fases se separaron. El producto resultante se obtuvo después de la purificación cromatográfica instantánea usando n-heptano/acetato de etilo, 90:10, como eluyente. Rendimiento: 47 mg.

($CDCl_3$) δ = 7.88 (s, 1 H), 7.85 (d, 1 H), 7.61 (d, 1 H), 7.52 (d, 1 H), 7.28-7.37 (m, 2 H), 7.25-7.18 (m, 4 H), 7.16-7.11 (m, 3 H), 7.02-6.95 (m, 3 H), 5.58 (s, 2 H), 4.02 (s, 2 H), 3.83 (s, 3 H).

CLEM: M+H: 501.5.

EJEMPLO 6

ACIDO DE 4'-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BIFENIL-4-CARBOXYLICO

A una solución desgasificada de 2-bencil-3-(4-bromo-fenil)-7-trifluorometil-2H-indazol (22 mg, 0.05 mmol), 8 mg de ácido borónico (0.05 mmol) y 21 mg de Na_2CO_3 (0.2 mmol) en 1 ml de mezcla MeCN/agua (1:1), se agregó cloruro de bis-(tri-fenilfosfina)-paladio (II). La mezcla se agitó durante 18 hrs. a 80°C. La solución NH_4Cl saturado y diclorometano se agregaron, y las fases se separaron. El producto resultante se obtuvo después de la purificación cromatográfica instantánea usando n-heptano/acetato de etilo, 50:50, como eluyente. Rendimiento: 18 mg.

(acetona) δ = 8.22 (d, 2 H), 8.01 (d, 2 H), 7.98-7.92 (m, 3 H), 7.80-7.73 (m, 3 H), 7.36-7.26 (m, 4 H), 7.23-7.18 (m, 2 H), 5.86 (s, 2 H).

CLEM: M+H: 473.3; M-H: 471.5.

EJEMPLO 7

ACIDO 4-[3-(2-BENCIL-7-TRLFLUOROMETIL-2H-INDAZOL-3-IL)-BENCILOXIMETIL]-BENZOICO

Preparación de [3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-metanol.

A una solución de 116 mg (0.305 mmol) de 3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]benzaldehído (compuesto de la fórmula (I)), preparado en una manera igual como en el Ejemplo 1, en 2 ml de metanol, 23 mg (0.71 mmol) de $NaBH_4$ se agregó a 0°C. La mezcla de reacción se agitó a esta temperatura durante 1 hr. La solución NH_4Cl saturado y diclorometano se agregaron, y las fases se separaron. El

producto se obtuvo después de la purificación cromatográfica instantánea usando diclorometano como eluyente. Rendimiento: 111 mg.

($CDCl_3$) δ = 7.61 (m, 2 H), 7.39 (m, 2 H), 7.23 (m, 6 H), 7.02 (m, 3 H), 5.59 (s, 2 H), 4.64 (s, 2 H), 2.05 (s, br, 1 H).

CLEM: M+H: 383.3.

Preparación de éster de metilo del ácido 4-[3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-benciloximetil]-benzoico.

El [3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-metanol (40 mg, 0.105 mmol) se disolvió en 1 ml de DMSO, y 30 mg de KOH se agregaron. La mezcla de reacción se agitó a temperatura ambiente durante 30 min. El éster de metilo del ácido 4-Bromometil-benzoico (96 mg, 0.42 mmol) se agregó y la mezcla de reacción se agita durante la noche a temperatura ambiente. Quince (15) volúmenes de agua se agregaron y el precipitado se colectó. El producto se obtuvo después de la purificación cromatográfica instantánea usando diclorometano como eluyente. Rendimiento: 33 mg.

CLEM: M+H: 531.5

Rf: 6.33 min (20-100% CH_3CN en 10 min en 0.05% de HCOOH en agua en una columna ACE 5 C8).

Preparación del ácido 4-[3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-benciloximetil]-benzoico

Este compuesto se preparó de éster de metilo del ácido 4-[3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-benciloximetil]-benzoico en la misma manera descrita para la preparación del ácido 4-[4-(2-bencil-7-trifluorometil-2H-

indazol-3-il)-fenoximetil]-benzoico (Ejemplo 2).

(MeOD) δ = 8.00 (d, 2 H), 7.76 (d, 1 H), 7.70 (d, 1 H), 7.58-7.53 (m, 2 H), 7.47-7.38 (m, 4 H), 7.25-7.16 (m, 4 H), 7.05-6.99 (m, 2 H), 5.71 (s, 2 H), 4.62 (s, 4 H).

CLEM: M+H: 517.4; M-H: 515.3.

EJEMPLO 8

2-BENCIL-3-FENIL-7-METIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.49-7.42 (m, 3 H), 7.15-7.08 (m, 7 H), 7.02-6.97 (m, 2 H), 6.92-6.87 (m, 1 H), 4.27 (s, 2 H), 2.78 (s, 3 H).

CLEM: M+H: 299.6.

EJEMPLO 9

2-BENCIL-3-(4-FENOXIFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.64 (d, 1 H)1 7.57 (d, 1 H), 7.32 (t, 2 H), 7.18 (m, 5 H), 7.10 (t, 1 H), 7.01 (m, 7 H), 5.60 (s, 2 H).

CLEM: M+H: 445.4.

EJEMPLO 10

ACIDO 3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXI]-BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 3.

($CDCl_3$) δ = 7.85 (d, 2 H), 7.81 (d, 1 H), 7.73-7.67 (m, 2 H), 7.53 (t, 1 H), 7.45 (d, 2 H), 7.36-7.31 (m, 1 H), 7.29-7.14 (m, 6 H), 7.02 (d, 2 H), 5.75 (s, 2 H).

CLEM: M+H: 489.5, 2M+H: 977.6; M-H: 487.4, 2M-H: 975.5.

EJEMPLO 11

ACIDO {4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXI]-FENOXI}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 3.

($CDCl_3$) δ = 7.72 (d, 1 H), 7.65 (d, 1 H), 7.26 (m, 6 H), 7.07 (m, 7 H), 6.96 (d, 2 H), 5.69 (s, 2 H), 4.68 (s, 2 H).

CLEM: M+H: 519.5, M-H: 517.4.

EJEMPLO 12

ACIDO {4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETIL]-FENOXI}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 2.

($CDCl_3$) δ = 7.63 (d, 1 H), 7.57 (d, 1 H), 7.31 (d, 2 H), 7.18 (m, 5 H), 6.99 (m, 5 H), 6.89 (d, 2 H), 5.59 (s, 2 H), 4.98 (s, 2 H), 4.60 (s, 2 H).

CLEM: M+H: 533.3, M-H: 531.2.

EJEMPLO 13

ACIDO {3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-YL)-FENOXIMETIL]-FENOXI}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 2.

($CDCl_3$) δ = 7.63 (d, 1 H), 7.57 (d, 1 H), 7.26 (t, 1 H), 7.21-7.14 (m, 5 H), 7.04-6.95 (m, 7 H), 6.80 (d, 1 H), 5.60 (s, 2 H), 5.03 (s, 2 H), 4.60 (s, 2 H).

CLEM: +H: 533.3; M-H: 531.2.

EJEMPLO 14

nr 905

ACIDO {3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETIL]-FENIL}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 2.

(MeOD) δ = 7.84 (d, 1 H), 7.77 (d, 1 H), 7.51 (d, 2 H), 7.46-7.40 (m, 4 H), 7.35-7.30 (m, 3 H), 7.28-7.19 (m, 3 H), 7.10-7.06 (m, 2 H), 5.78 (s, 2 H), 5.24 (s, 2 H), 3.71 (s, 2 H).

CLEM: M+H: 517.4; M-H: 515.3.

EJEMPLO 15

ACIDO 3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETIL]-BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 2.

(MeOD) δ = 8.04 (s, 1 H), 7.89 (d, 1 H), 7.64 (d, 1 H), 7.58 (t, 2 H), 7.40 (t, 1 H), 7.24 (d, 2 H), 7.15-7.09 (m, 3 H), 7.07-7.03 (m, 3 H), 6.91-6.86 (m, 2 H), 5.78 (s, 2 H), 5.12 (s, 2 H).

CLEM: M+H: 503.3; M-H: 501.2.

EJEMPLO 16

ACIDO {4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCILOXIMETIL]-FENOXI}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 7.

($CDCl_3$) δ = 7.71 (d, 1 H), 7.65 (d, 1 H), 7.47 (d, 2 H), 7.34 (s, 1 H), 7.31-7.25 (m, 2 H), 7.24-7.20 (m, 3 H)1 7.14-7.06 (m, 3 H), 6.90 (d, 2 H), 5.67 (s, 2 H), 4.65 (s, 2 H), 4.54 (s, 2 H), 4.50 (s, 2 H).

CLEM: M+H: 547.4; M-H: 545.3.

EJEMPLO 17

ACIDO {3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCILOXIMETIL]-FENOXI}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 7.

($CDCl_3$) δ = 7.71 (d, 1 H), 7.65 (d, 1 H), 7.50-7.46 (m, 2 H), 7.36 (s, 1 H), 7.31-7.27 (m, 1 H), 7.24-7.20 (m, 3 H), 7.14-7.06 (m, 3 H), 6.96 (t, 2 H), 6.84 (d, 1 H), 5.68 (s, 2 H), 4.64 (s, 2 H), 4.56 (s, 2 H), 4.54 (s, 2 H).

CLEM: M+H: 547.7; M-H: 545.3.

EJEMPLO 18

ACIDO 3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCILOXIMETIL]-BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 7.

(MeOD) δ = 8.01 (s, 1 H), 7.94 (d, 1 H), 7.75 (d, 1 H), 7.68 (d, 1 H), 7.56-7.50 (m, 3 H), 7.45-7.40 (m, 2 H), 7.39-7.35 (m, 1 H), 7.22-7.14 (m, 4 H), 6.99 (d, 2 H), 5.70 (s, 2 H), 4.59 (d, 4 H).

CLEM: M+H: 517.4; M-H: 515.3.

EJEMPLO 19

2-BENCIL-3-(4-METOXI-2-METILFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.64 (d, 1 H), 7.50 (d, 1 H), 7.24-7.16 (m, 3 H), 7.10-7.00 (m, 4 H), 6.88- 6.82 (m, 2 H), 5.55 (d, 1 H), 5.47 (d, 1 H), 3.89 (s, 3 H), 1.79 (s, 3 H).

CLEM: M+H: 397.2.

EJEMPLO 20

2-BENCIL-3-(2-METOXIFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.67-7.60 (m, 2 H), 7.50 (dt, 1 H), 7.23-7.14 (m, 4 H), 7.10-6.98 (m, 5 H), 5.66 (d, 1 H), 5.56 (d, 1 H), 3.63 (s, 3 H).

CLEM: M+H: 383.2.

EJEMPLO 21

2-BENCIL-3-O-TOLIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.65 (d, 1 H), 7.50 (d, 1 H), 7.44 (dt, 1 H)1 7.35-7.27 (m, 2 H), 7.24-7.12 (m, 4 H), 7.07 (t, 1 H), 7.04-6.97 (m, 2 H), 5.56 (d, 1 H), 5.49 (d, 1 H), 1.81 (s, 3 H).

CLEM: M+H: 367.0.

EJEMPLO 22

2-BENCIL-3-(2,4-DIMETILFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.65 (d, 1 H), 7.50 (d, 1 H), 7.24-6.99 (m, 9 H), 5.57 (d, 1 H), 5.47 (d, 1 H), 2.44 (s, 3 H), 1.80 (s, 3 H).

CLEM: M+H: 381.5.

EJEMPLO 23

2-BENCIL-3-BIFENIL-4-IL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.82 (d, 1 H), 7.75 (d, 2 H), 7.72-7.66 (m, 2 H), 7.52 (t, 2 H), 7.49-7.40 (m, 3 H), 7.34-7.25 (m, 3 H), 7.20-7.12 (m, 3 H), 5.76 (s, 2 H).

CLEM: M+H: 429.5.

EJEMPLO 24

2-BENCIL-7-TRIFLUOROMETIL-3-(2-VINILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 7.62 (d, 1 H), 7.53 (d, 1 H), 7.43-7.34 (m, 2 H), 7.20 (dt, 1 H), 7.09-7.01 (m, 3 H), 7.00-6.92 (m, 2 H), 6.88-6.82 (m, 2 H), 6.06 (dd, 1 H), 5.55 (d, 1 H), 5.50 (d, 1 H), 5.30 (d, 1 H), 4.98 (d, 1 H).

CLEM: M+H: 379.4.

EJEMPLO 25

2-BENCIL-7-TRIFLUOROMETIL-3-(3-TRIFLUOROMETILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 7.65 (d, 1 H), 7.61-7.56 (m, 2 H), 7.53 (t, 1 H), 7.48 (s, 1 H), 7.44 (d, 1 H), 7.20-7.12 (m, 3 H), 7.05 (t, 1 H), 7.03-6.97 (m, 2 H), 5.57 (d, 2 H).

CLEM: M+H: 421.4.

EJEMPLO 26

2-BENCIL-3-(4-FLUOROFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 7.60-7.53 (m, 2 H), 7.24-7.19 (m, 2 H), 7.18-7.12 (m, 3 H), 7.11-7.05 (m, 2 H), 7.02 (t, 1 H), 7.00-6.95 (m, 2 H), 5.55 (s, 2 H).

CLEM: M+H: 371.0.

EJEMPLO 27

2-BENCIL-3-(3-CLOROFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.59 (d, 1 H)1 7.55 (d, 1 H), 7.37-7.33 (m, 1 H), 7.30 (t, 1 H), 7.25-7.21 (m, 1 H), 7.19-7.10 (m, 4 H), 7.05-6.97 (m, 3 H), 5.56 (s, 2 H).

CLEM: M+H: 387.5.

EJEMPLO 28

2-BENCIL-3-(3-METOXIFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.76 (d, 1 H), 7.66 (d, 1 H), 7.42 (t, 1 H), 7.31-7.22 (m, 3 H), 7.16-7.10 (m, 3 H), 7.07-7.02 (m, 1 H), 7.01-6.96 (m, 1 H), 6.88-6.85 (m, 1 H), 5.72 (s, 2 H), 3.72 (S1 3 H).

CLEM: M+H: 383.3.

EJEMPLO 29

BENCIL-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENIL]-METILAMINA

Este compuesto se preparó similarmente al del Ejemplo 4.

($CDCl_3$) δ = 7.67 (d, 1 H), 7.53 (d, 1 H), 7.30-7.25 (m, 2 H), 7.23-7.10 (m, 8 H), 7.05- 6.95 (m, 3 H), 6.76-6.70 (m, 2 H), 5.60 (s, 2 H), 4.53 (s, 2 H), 3.03 (s, 3 H).

CLEM: $M+COO^-$: 516.5.

EJEMPLO 30

2-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(acetona) δ = 8.96 (s, br, 1 H), 7.73 (d, 1 H), 7.68 (d, 1

H), 7.46-7.41 (m, 1 H), 7.28 (dd, 1 H), 7.25-7.14 (m, 5 H), 7.11-7.06 (m, 2 H), 7.03 (dt, 1 H), 5.69 (d, 2 H).

CLEM: M+H: 369.2; M-H: 367.0.

EJEMPLO 31

3-(4-METOXI-2-METILFENIL)-2-(4-METIL-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.63 (d, 1 H), 7.49 (d, 1 H)1 7.08-6.99 (m, 4 H), 6.94 (d, 2 H), 6.89-6.86 (m, 1 H), 6.86-6.82 (m, 1 H), 5.51 (d, 1 H), 5.41 (d, 1 H), 3.89 (s, 3 H), 2.28 (s, 3 H), 1.81 (s, 3 H).

CLEM: M+H: 411.5.

EJEMPLO 32

2-(2,4-DIMETILBENCIL)-3-(4-METOXI-2-METILFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.63 (d, 1 H), 7.50 (d, 1 H), 7.09-7.03 (m, 2 H), 6.90-6.85 (m, 2 H), 6.84- 6.77 (m, 2 H), 6.57 (d, 1 H), 5.56 (d, 1 H), 5.43 (d, 1 H), 3.88 (s, 3 H), 2.24 (s, 3 H), 2.12 (s, 3 H), 1.85 (s, 3 H).

CLEM: M+H: 425.3.

EJEMPLO 33

3-(4-METOXI-2-METIL-FENIL)-7-TRIFLUOROMETIL-2-(2,4,6-TRIMETIL-BENCIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.59 (d, 1 H), 7.47 (d, 1 H), 7.06-7.00 (m, 2 H)1

6.89-6.85 (m, 1 H), 6.82- 6.78 (m, 1 H), 6.75 (s, 2 H), 5.62 (d, 1 H), 5.51 (d, 1 H), 3.89 (s, 3 H), 2.24 (s, 3 H), 2.12 (s, 6 H), 1.93 (s, 3 H).

CLEM: M+H: 439.7.

EJEMPLO 34

2-BENCIL-3-(4-BROMOFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.62-7.57 (m, 2 H), 7.57-7.53 (m, 2 H), 7.23-7.17 (m, 3 H), 7.16-7.12 (m, 2 H), 7.06 (t, 1 H)1 7.03-6.98 (m, 2 H), 5.59 (s, 2 H).

CLEM: M+H: 433.4 (^{81}Br); 431.3 (^{79}Br).

EJEMPLO 35

2-BENCIL-3-(3-BROMOFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.60 (d, 1 H), 7.56 (d, 1 H), 7.53-7.49 (m, 1 H), 7.40-7.36 (m, 1 H), 7.25 (t, 1 H), 7.20-7.12 (m, 4 H), 7.06-6.99 (m, 3 H), 5.56 (s, 2 H).

CLEM: M+H: 431.3 (^{79}Br).

EJEMPLO 36

ACIDO 4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCIL]-BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 5.

(acetona) δ = 8.08-8.03 (m, 2 H), 7.85 (d, 1 H), 7.76-7.72 (m, 1 H), 7.56-7.47 (m, 6 H), 7.32-7.21 (m, 4 H), 7.15-7.11 (m, 2 H), 5.79 (s, 2 H), 4.23 (s, 2 H).

CLEM: M+H: 487.4; M-H: 485.3.

EJEMPLO 37

ACIDO 4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCIL]-BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 5. (acetona) δ = 8.03-7.99 (m, 2 H)1 7.83 (d, 1 H)1 7.74 (d, 1 H), 7.57 (t, 1 H), 7.53-7.45 (m, 3 H), 7.40 (d, 2 H), 7.31-7.26 (m, 3 H), 7.23 (t, 1 H), 7.12-7.07 (m, 2 H), 5.77 (s, 2 H), 4.18 (s, 2 H).

CLEM: M+H: 487.4; M-H: 485.3.

EJEMPLO 38

ACIDO 3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCIL]-BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 5. (acetona) δ = 8.06 (s, 1 H), 7.98 (d, 1 H), 7.84 (d, 1 H), 7.73 (d, 1 H), 7.59 (d, 1 H), 7.54-7.46 (m, 5 H), 7.31-7.25 (m, 3 H), 7.22 (t, 1 H), 7.14-7.09 (m, 2 H), 5.78 (s, 2 H), 4.21 (s, 2 H).

CLEM: M+H: 487.4; M-H: 485.6.

EJEMPLO 39

ACIDO 3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCIL]-BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 5. (acetona) δ = 8.02 (s, 1 H), 7.96-7.92 (m, 1 H), 7.84 (d, 1 H), 7.74 (d, 1 H), 7.59-7.43 (m, 6 H), 7.32-7.26 (m, 3 H), 7.23 (t, 1 H), 7.12-7.07 (m, 2 H), 5.77 (s, 2 H), 4.20 (s, 2 H).

CLEM: M+H: 487.4; M-H: 485.6.

EJEMPLO 40

ACIDO {4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENCIL]-FENIL}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 5. (acetona) δ = 7.84 (d, 1 H), 7.74 (d, 1 H), 7.46-7.53 (m, 4 H), 7.25-7.35 (m, 7 H), 7.22 (t, 1 H), 7.10-7.15 (m, 2 H), 5.78 (s, 2 H), 4.11 (s, 2 H)1 3.65 (s, 2 H).

CLEM: M+H: 501.5; M-H: 499.4.

EJEMPLO 41

ACIDO {4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BENZIL]-FENIL}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 5. (acetona) δ = 7.83 (d, 1 H), 7.74 (d, 1 H), 7.57-7.52 (m, 1 H), 7.50-7.47 (m, 1 H), 7.46-7.42 (m, 2 H), 7.32-7.27 (m, 5 H), 7.25-7.21 (m, 3 H), 7.12-7.07 (m, 2 H), 5.76 (s, 2 H), 4.08 (S, 2 H), 3.63 (s, 2 H).

CLEM: M+H: 501.5; M-H: 499.4.

EJEMPLO 42

N-BENCIL-N-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENIL]-AMINA

Este compuesto se preparó similarmente al del Ejemplo 4. ($CDCl_3$) δ = 7.63 (d, 1 H), 7.53 (d, 1 H), 7.33-7.19 (m, 5 H), 7.19-7.11 (m, 3 H), 7.07 (d, 2 H), 7.14-6.94 (m, 3 H), 6.65 (d, 2 H), 5.57 (s, 2 H), 4.30 (s, 2 H).

CLEM: M+H: 458.6; M-H: 456.2.

EJEMPLO 43

BENCIL-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENIL]-AMINA

Este compuesto se preparó similarmente al del Ejemplo 4.

$(CDCl_3)$ δ = 7.52 (d, 2 H), 7.29-7.10 (m, 10 H), 7.01-6.92 (m, 3 H), 6.69 (d, 1 H), 6.63 (d, 1 H), 6.48 (s, 1 H), 5.53 (s, 2 H), 4.15 (s, 2 H).

CLEM: M+H: 458.6; M-H: 456.5.

EJEMPLO 44

2-(2,4-DIMETIL-BENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 7.65 (d, 1 H), 7.60 (d, 1 H), 7.40 (m, 3 H), 7.30 (m, 2 H), 7.05 (t, 1 H), 6.87 (s, 1 H), 6.78 (d, 1 H), 6.41 (d, 1 H), 5.57 (s, 2 H), 2.19 (s, 3 H), 2.13 (s, 3 H).

CLEM: M+H: 381.5.

EJEMPLO 45

3-FENIL-7-TRIFLUOROMETIL-2-(2,4,6-TRIMETIL-BENCIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 7.58 (d, 1 H), 7.49 (d, 1 H), 7.42 (m, 3 H), 7.32 (m, 2 H), 6.97 (t, 1 H), 6.67 (s, 2 H), 5.58 (s, 2 H), 2.14 (s, 3 H), 2.04 (s, 6 H).

CLEM: M+H: 395.2.

EJEMPLO 46

3-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZOATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 2.

$(CDCl_3)$ δ = 8.06 (s, 1 H), 7.99 (d, 1 H), 7.83-7.75 (m, 2 H),

7.58 (d, 1 H), 7.45 (t, 1 H), 7.41 (t, 1 H), 7.28-7.25 (m, 3 H), 7.15-7.12 (m, 4 H), 6.98 (d, 1 H), 6.89 (m, 1 H), 5.66 (s, 2 H), 5.00 (s, 2 H), 3.94 (s, 3 H).

CLEM: M+H: 517.4.

EJEMPLO 47

2-BENCIL-3-[3-(BENCILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 2.

($CDCl_3$) δ = 7.53-7.50 (m, 2 H), 7.28-7.19 (m, 8 H), 7.17-7.12 (m, 4 H), 7.03-6.95 (m, 4 H), 6.86 (d, 1 H), 6.75-6.83 (m, 1 H), 5.50 (s, 2 H), 4.87 (s, 2 H).

CLEM: M+H: 459.5.

EJEMPLO 48

ACIDO [4-({3-[2-BENCIL-7-TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACETICO

Este compuesto se preparó similarmente al del Ejemplo 2.

($CDCl_3$) δ = 3.67 (S, 2 H), 4.95 (s, 2 H), 5.68 (s, 2 H), 6.90 (m, 1 H), 6.97 (m, 1 H), 7.06-7.13 (m, 4 H), 7.23-7.28 (m, 3 H), 7.29-732 (m, 2 H), 7.33-7.37 (m, 2 H), 7.40 (t, 1 H), 7.66(m, 2 H).

CLEM: M+H: 517.4.

EJEMPLO 49

ACIDO 3-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 2.

($CDCl_3$) δ = 5.00 (s, 2 H), 5.68 (s, 2 H), 6.89 (m, 1 H), 7.01 (d, 1 H), 7.08-7.13 (m, 4 H), 7.25-7.30 (m, 3 H), 7.42 (t, 1

H), 7.51 (t, 1 H), 7.62 (t, 2 H), 7.65 (d, 1 H), 8.08 (d, 1 H), 8.12 (s, 1H).

CLEM: M+H: 503.3; M-H: 501.2.

EJEMPLO 50

ACIDO 4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZOICO

Este compuesto se preparó similarmente al del Ejemplo 2.

($CDCl_3$) δ = 5.02 (s, 2 H), 5.67 (s, 2 H), 6.85 (s, 1 H), 6.97 (m, 1 H), 7.05-7.15 (m, 4 H), 7.40-7.50 (m, 3 H), 7.54 (m, 1 H), 7.63 (d, 2 H),8.12 (m, 4 H).

CLEM: M+H: 503.3; M-H: 501.2.

EJEMPLO 51

ACIDO [4-({3-[2-BENCIL-7-TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]PROPIONICO

Este compuesto se preparó similarmente al del Ejemplo 2.

($CDCl_3$) δ = 1.53 (d, 3 H)1 3.74 (q, 1 H)1 4.93 (s, 2 H)1 5.65 (s, 2 H), 6.89 (m, 1 H)1 6.96 (dt, 1 H), 7.04-7.12 (m, 4 H), 7.22-7.26 (m, 3 H), 7.35 (m, 4 H), 7.39 (t, 1 H), 7.65 (m, 2 H).

CLEM: M+H: 531.2; M-H: 529.1.

EJEMPLO 52

ACIDO {3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETIL])-FENOXI}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 2.

(CD_3OD) δ = 4.50 (d, 2 H), 4.92 (d, 2 H), 5.55 (d, 2 H)1 6.78 (dt, 1 H), 6.85-6.92 (m, 6 H), 7.03-7.08 (m, 2 H)1 7.10-7.20 (m, 4 H), 7.32 (t, 1 H), 7.56 (d, 1 H)1 7.58 (s, 1 H).

CLEM: M+H: 533.3; M-H: 531.5.

EJEMPLO 53

ACIDO {4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETILI)-FENOXI}-ACETICO

Este compuesto se preparó similarmente al del Ejemplo 2.

(CD_3OD) δ = 4.55 (s, 2 H), 4.86 (s, 2 H), 5.57 (s, 2 H), 6.82-6.93 (m, 6 H), 7.02-7.10 (m, 2 H), 7.11-7.17 (m, 3 H)1 7.22 (d, 2 H), 7.33 (t, 1 H), 7.58 (m, 2 H).

CLEM: M+H: 533.3; M-H: 531.2.

EJEMPLO 54

2-BENCIL-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD) δ = 5.62 (S, 2 H), 6.90 (m, 2 H), 7.08 (t, 1 H), 7.13 (m, 3 H), 7.31 (m, 2 H), 7.43 (m, 3 H), 7.53 (d, 1 H)1 7.62 (d, 1 H).

CLEM: M+H: 353.2.

EJEMPLO 55

2-(3-METOXIBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD) δ = 3.51 (s, 3 H), 5.50 (s, 2 H), 6.38 (d, 1 H), 6.46 (s, 1 H), 6.62 (m, 1 H), 7.01 (m, 2 H), 7.29 (m, 2 H), 7.36 (m, 3 H), 7.55 (d, 1 H), 7.61 (d, 1 H).

CLEM: M+H: 383.2.

EJEMPLO 56

2-(3-FLUOROBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD), δ = 5.68 (S1 2 H), 6.79 (d, 1 H)1 6.85 (d, 1 H), 6.96 (m, 1 H)1 7.55 (t, 1 H), 7.23 (m, 1 H)1 7.37 (m, 2 H), 7.49-7.55 (m, 3 H)1 7.67 (d, 1 H), 7.73 (d, 1 H).

CLEM: M+H: 371.0.

EJEMPLO 57

2-(2-NITROBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD) δ = 6.12 (s, 2 H)1 6.63 (d, 1 H)1 7.19 (t, 1 H)1 7.35 (m, 2 H), 7.49 (m, 4 H), 7.57 (t, 1 H), 7.70 (d, 1 H)1 7.80 (d, 1 H)1 8.15 (d, 1 H).

CLEM: M+H: 398.2; M-H: 395.8.

EJEMPLO 58

2-(3,5-DIFLUOROBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 5.67 (s, 2 H), 6.61 (d, 2 H), 6.68 (m, 1 H), 7.15 (t, 1 H), 7.37 (m, 2 H), 7.52 (m, 3 H), 7.68 (d, 1 H), 7.75 (d, 1 H).

CLEM: M+H: 389.4; M-H: 387.4.

EJEMPLO 59

8-(3-FENIL-7-TRIFLUOROMETIL-INDAZOL-2-IL-METIL)-QUINOLINA

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 6.46 (s, 2 H), 7.02 (d, 1 H), 7.15 (t, 1 H),

7.32-7.42 (m, 7 H), 7.70 (d, 1 H), 7.75 (d, 1 H), 7.83 (d, 1 H), 8.16 (d, 1 H), 8.85 (m, 1 H).

CLEM: M+H: 404.4.

EJEMPLO 60

2-(4-METILBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD) δ = 2.12.(s, 3 H), 5.53 (s, 2 H), 6.77 (d, 2 H), 6.93 (d, 2H), 7.04 (t, 1 H)1 7.27 (m, 2 H), 7.42 (m, 3 H), 7.55 (d, 1 H), 7.62 (d, 1 H).

CLEM: M+H: 367.2.

EJEMPLO 61

2-(2-TRIFLUOROMETILBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD) δ = 5.83 (s, 2 H), 6.50 (d, 1 H), 7.16 (t, 1 H), 7.27-7.32 (m, 2 H), 7.35-7.43 (m, 5 H), 7.62 (d, 1 H), 7.66 (d, 1 H), 7.74 (d, 1 H).

CLEM: M+H: 421.4.

EJEMPLO 62

2-(4-BROMOBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD) δ = 5.55 (s, 2 H), 6.81 (d, 2 H), 7.06 (t, 1 H), 7.25 (d, 2 H), 7.33 (m, 2 H), 7.42 (m, 3 H), 7.58 (d, 1 H), 7.62 (d, 1 H).

CLEM: M+H: 431.4.

EJEMPLO 63

2-(2-DIFLUOROMETOXIBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 5.77 (s, 2 H)1 6.32-6.62 (t, 1 H), 6.83 (d, 1 H), 7.10 (m, 2 H), 7.13 (t, 1 H), 7.30 (m, 1 H), 7.40 (m, 2 H), 7.50 (m, 3 H), 7.66 (d, 1 H), 7.78 (d, 1 H).

CLEM: M+H: 419.4.

EJEMPLO 64

2-(3-DIFLUOROMETOXIBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 5.68 (s, 2 H), 6.27-6.57 (t, 1 H), 6.87 (s, 1 H), 6.95 (d, 1 H), 7.03 (d, 1 H), 7.15 (t, 1 H), 7.25 (m, 1 H), 7.37 (m, 2 H), 7.50 (m, 3 H), 7.66 (d, 1 H), 7.73 (d, 1 H).

CLEM: M+H: 419.4.

EJEMPLO 65

2-(3-TRIFLUOROMETOXILBENCIL)-7-TRIFLUOROMETIL-3-FENIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 5.65 (s, 2 H), 6.93 (s, 1 H), 7.02-7.12 (m, 3 H), 7.25 (t, 1 H), 7.33 (m, 2 H), 7.47 (m, 3 H), 7.62 (d, 1 H), 7.70 (d, 1 H).

CLEM: M+H, 437.2.

EJEMPLO 66

2-(3,5-DIMETILBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 2.20 (2, 6 H), 5.65 (s, 2 H), 6.73 (s, 2 H), 6.88 (s, 1 H),), 7.13 (t, 1 H), 7.42 (m, 2 H), 7.53 (m, 3 H), 7.68 (d, 1 H), 7.78 (d, 1 H).

CLEM: M+H: 381.4.

EJEMPLO 67

2-NAFTALEN-1-ILMETIL-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

(CD_3OD) δ = 5.80 (s, 2 H), 7.08-7.13 (m, 2 H), 7.29 (s, 1 H), 7.32 (m, 2 H), 7.40 (m, 2 H), 7.44 (m, 3 H), 7.58 (m, 1 H), 7.62 (d, 1 H), 7.67-7.72 (m, 3 H).

CLEM: M+H: 403.4.

EJEMPLO 68

2-(2-CLORO-4-FLUOROBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 5.74 (S, 2 H), 6.77 (m, 1 H), 6.90 (td, 1 H), 7.11 (dd, 1 H), 7.17 (t, 1 H), 7.35 (m, 2 H), 7.48(m, 3 H), 7.68 (d, 1 H), 7.81 (d, 1 H).

CLEM: M+H: 405.4.

EJEMPLO 69

3-FENIL-2-(3-PIRROL-1-IL-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 5.73 (s, 2 H), 6.31 (m, 2 H), 6.95-7.03 (m, 3 H), 7.14 (t, 1 H), 7.28-7.34 (m, 3 H), 7.40 (m, 2 H), 7.53 (m, 3 H), 7.67 (d, 1 H), 7.75 (d, 1 H).

CLEM: M+H: 418.4.

EJEMPLO 70

2-(2-BROMOBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 5.75 (S, 2 H), 6.67 (d, 1 H), 7.08-7.18 (m, 3 H), 7.35 (m, 2 H), 7.48 (m, 3 H), 7.54 (d, 1 H), 7.70 (d, 1 H), 7.75 (d, 1 H).

CLEM: M+H: 431.3.

EJEMPLO 71

2-(2-METILBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 2.20 (s, 3 H), 5.67 (s, 2 H), 6.60 (d, 1 H), 7.07 (m, 1 H), 7.12-7.18 (m, 3 H), 7.37 (m, 2 H), 7.48-7.54 (m, 3 H), 7.69 (d, 1 H), 7.80 (d, 1 H).

CLEM: M+H: 367.1.

EJEMPLO 72

2-(2,5-DICLOROBENCIL)-7-TRIFLUOROMETIL-3-FENIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 5.64 (s, 2 H), 6.76 (d, 1 H), 7.18 (m, 2 H), 7.28 (m, 1 H), 7.37 (m, 2 H), 7.51 (m, 3 H), 7.71 (d, 1 H), 7.81 (d, 1 H).

CLEM: M+H: 423.2.

EJEMPLO 73

2-(2-METIL-5-FLUOROBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 2.15 (s, 3 H), 5.58 (s, 2 H), 6.27 (d, 1 H), 6.95 (t, 1 H), 7.09 (dd, 1 H),), 7.15 (t, 1 H), 7.32 (m, 2 H), 7.52 (m, 3 H), 7.67 (d, 1 H), 7.80 (d, 1 H).

CLEM: M+H: 385.0.

EJEMPLO 74

2-(6-CLORO-2-FLUORO-3-METILBENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 2.17 (s, 3 H), 5.87 (s, 2 H), 7.02 (m, 2 H), 7.13 (t, 1 H), 7.48-7.55 (m, 5 H), 7.62 (d, 1 H), 7.71 (d, 1 H).

CLEM: M+H: 419.2.

EJEMPLO 75

2-BIFENIL-3-ILMETIL-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 5.58 (s, 2 H), 6.98 (d, 1 H), 7.04 (t, 1 H), 7.23 (t, 2 H), 7.30-7.38 (m, 5 H), 7.42-7.47 (m, 6 H), 7.58 (d, 1 H), 7.66 (d, 1 H).

CLEM: M+H: 429.4.

EJEMPLO 76

2-BENCIL-3-BUTIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 0.86 (t, 3 H), 1.30 (m, 2 H), 1.51 (m, 2 H), 2.92 (t, 2 H), 5.69 (s, 2 H), 7.07 (t, 1 H), 7.13 (d, 2 H), 7.29 (m, 3 H), 7.61 (d, 1 H), 7.77 (d, 1 H).

CLEM: M+H: 333.5.

EJEMPLO 77

2-BENCIL-3-ISOBUTIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 0.90 (d, 6 H), 1.93 (m, 1 H), 2.31 (d, 2 H), 5.73 (s, 2 H), 7.10 (t, 1 H), 7.14 (d, 2 H), 7.30 (m, 3 H), 7.62 (d, 1 H), 7.77 (d, 1 H).

CLEM: M+H: 333.2.

EJEMPLO 78

2-BENCIL-3-CICLOPENTIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 1.68 (m, 2 H), 1.90 (m, 6 H), 3.47 (m, 1 H), 5.75 (s, 2 H), 7.06 (t, 1 H), 7.11 (d, 2 H), 7.30 (m, 3 H), 7.61 (d, 1 H)1 7.87 (d, 1 H).

CLEM: M+H: 345.2.

EJEMPLO 79

2-BENCIL-3-CICLOHEXANIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

$(CDCl_3)$ δ = 1.19-1.30 (m, 4 H), 1.78-1.92 (m, 6 H), 2.98 (t, 1 H), 5.75 (s, 2 H), 7.01 (t, 1 H), 7.15 (d, 2 H), 7.32 (m, 3 H), 7.55 (d, 1 H), 7.96 (d, 1 H).

CLEM: M+H: 359.3.

EJEMPLO 80

[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENIL]-METANOL

Este compuesto se preparó similarmente al del Ejemplo 7.

$(CDCl_3)$ δ = 7.61 (m, 2 H), 7.39 (m, 2 H), 7.23 (m, 6 H), 7.02

(m, 3 H), 5.59 (s, 2 H), 4.64 (s, 2 H), 2.05 (s, br, 1 H).

CLEM: M+H: 383.3.

EJEMPLO 81

[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENIL]-FENILAMINA

Este compuesto se preparó similarmente al del Ejemplo 4.

($CDCl_3$) δ = 5.62 (s, 2 H), 6.82 (d, 1 H), 6.90 (t, 1 H), 6.94 (s, 1 H), 6.95-7.05 (m, 5 H), 7.09 (d, 1 H), 7.12-7.20 (m, 5 H), 7.28 (t, 1 H), 7.56 (d, 1 H), 7.66 (d, 1 H).

CLEM: M+H: 444.5; M-H: 442.7.

EJEMPLO 82

3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOL

Este compuesto se preparó similarmente al del Ejemplo 2.

(acetona) δ = 8.73 (s, br, 1 H), 7.86 (d, 1 H), 7.71 (d, 1 H), 7.45-7.40 (m, 1 H), 7.33- 7.24 (m, 3 H)1 7.22 (t, 1 H), 7.17-7.12 (m, 2 H), 7.07-7.01 (m, 3 H), 5.78 (s, 2 H).

CLEM: M+H: 369.2; M-H: 367.0.

EJEMPLO 83

2-(2-CLORO-BENCIL)-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.81 (d, 1 H), 7.69 (d, 1 H), 7.51-7.45 (m, 3 H), 7.38-7.33 (m, 3 H), 7.22 (t, 1 H), 7.16 (q, 2 H), 6.69 (d, 1 H), 5.80 (s, 2 H).

CLEM: M+H: 387.2.}

EJEMPLO 84

2-NAFTALEN-1-ILMETIL-3-FENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 8.02-7.97 (m, 1 H), 7.89-7.83 (m, 1 H), 7.81-7.73 (m, 2 H), 7.68 (d, 1 H), 7.53-7.48 (m, 2 H), 7.42 (m, 3 H), 7.36 (d, 2 H), 7.29 (t, 1 H), 7.95 (t, 1 H), 6.69 (d, 1H), 6.20 (s, 2 H).

CLEM: M+H: 403.4.

EJEMPLO 85

2-BENCIL-3-NAFTALEN-2-IL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 7.98-7.91 (m, 2 H), 7.83-7.75 (m, 3 H), 7.68 (d, 1 H), 7.62-7.55 (m, 2 H), 7.46 (d, 1 H), 7.30-7.23 (m, 3 H), 7.16-7.11 (m, 3 H), 5.73 (s, 2 H).

CLEM: M+H: 403.4.

EJEMPLO 86

2-BENCIL-3-(4-METOXI-3-METILFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 7.65 (d, 1 H), 7.56 (d, 1 H)1 7.19 (m, 3 H), 7.05 (m, 5 H), 6.84 (d, 1 H), 5.59 (s, 2 H), 3.83 (s, 3 H), 2.16 (s, 3 H).

CLEM: M+H: 397.4.

EJEMPLO 87

2-BENCIL-3-METILFENIL-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 7.65 (d, 1 H), 7.57 (d, 1 H), 7.27(m, 6 H), 7.05

(m, 5 H), 5.60 (s, 2 H), 2.31 (s, 3 H).

CLEM: M+H: 367.1.

EJEMPLO 88

2-BENCIL-3-(2,3-DIMETILFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.56 (d, 1 H), 7.42 (d, 1 H), 7.24 (d, 1 H), 7.11 (m, 4 H), 6.98 (t, 1 H), 6.91 (m, 3 H), 5.42 (dd, 2 H), 2.24 (s, 3 H), 1.59 (s, 3 H).

CLEM: M+H: 381.5.

EJEMPLO 89

2-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-il)-BENZALDEHÍDO

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 9.34 (s, 1 H), 8.04 (d, 1 H), 7.73-7.65 (m, 3 H), 7.46 (d, 1 H), 7.31 (dd, 1 H), 7.21-7.09 (m, 4 H), 6.94 (d, 2 H), 5.59 (s, 2 H).

CLEM: M+H: 381.2.

EJEMPLO 90

2-BENCIL-3-(2-ISOPROPIL-FENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.55 (d, 1 H), 7.41 (t, 2 H), 7.36 (d, 1 H), 7.15 (t, 1 H), 7.12-7.07 (m, 3 H), 7.00-6.91 (m, 4 H), 5.40 (dd, 2 H), 2.41-7.31 (m, 1 H), 0.96 (d, 3 H).

CLEM: M+H: 395.0.

EJEMPLO 91

2-BENCIL-3-(2-CICLOHEXIL-FENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.69 (d, 1 H), 7.56-7.49 (m, 2 H), 7.46 (d, 1 H)1 7.30-7.25 (m, 1 H), 7.25- 7.20 (m, 3 H), 7.10 (t, 1 H), 7.08-7.02 (m, 3 H), 5.54 (dd, 2 H), 2.08 (m, 1 H), 1 72 (d, 1 H), 1.67-1.53 (m, 3 H), 1.42-1.27 (m, 2 H), 1.20-1.07 (m, 2 H), 0.99-0.84 (m, 2 H).

CLEM: M+H: 435.5.

EJEMPLO 92

2-BENCIL-3-(2-BENCILFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.52 (d, 1 H), 7.36-7.28 (m, 2 H), 7.20 (d, 1 H), 7.16 (t, 1 H), 7.12-7.06 (m, 3 H), 7.03-7.95 (m, 3 H), 6.94-6.87 (m, 4 H)1 6.53 (d, 2 H), 5.06 (dd, 2 H) 3.37 (dd, 2 H).

CLEM: M+H: 443.9.

EJEMPLO 93

2-BENCIL-3-[2-(1,1,2,2-TETRAFLUOROETOXI)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.65 (d, 1 H), 7.56 (t, 2 H), 7.51 (d, 1 H)1 7.34 (t, 1 H), 7.22-7.16 (m, 4 H), 7.11 (t, 1 H), 7.06-6.99 (m, 2 H), 5.58 (dd, 2 H), 5.32 (tt, 1 H).

CLEM: M+H: 469.7.

EJEMPLO 94

2-BENCIL-3-(2-CLORO-5-FLUORO-FENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

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Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.67 (d, 1 H), 7.54 (d, 1 H), 7.39-7.35 (m, 1 H), 7.30-7.23 (m, 3 H), 7.16- 7.08 (m, 3 H), 6.90 (td, 1 H), 6.35 (dd, 1 H), 5.67 (s, 2 H).

CLEM: M+H: 419.3; M-H: 417.4.

EJEMPLO 95

2-BENCIL-3-(9H-FLOUREN-2-IL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.90 (d, 1 H), 7.86 (d, 1 H), 7.78 (d, 1 H), 7.67 (d, 1 H), 7.60 (d, 1 H), 7.50 (s, 1 H)1 7.44 (t, 1 H), 7.41-7.35 (m, 2 H)1 7.29-7.22 (m, 3 H), 7.16-7.10 (m, 3 H), 5.73 (s, 2 H), 3.95 (s, 2H).

CLEM: M+H: 441.5; M-H: 439.4.

EJEMPLO 96

2-BENCIL-3-(4-BENCILFENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 7.61 (d, 1 H), 7.52 (d, 1 H), 7.25-7.06 (m, 12 H), 6.99-6.92 (m, 3 H), 5.56 (s, 2 H), 3.94 (s, 2 H).

CLEM: M+H: 443.6.

EJEMPLO 97

3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-il)-BENZALDEHÍDO

Este compuesto se preparó similarmente al del Ejemplo 1.

($CDCl_3$) δ = 9.99 (s, 1 H), 7.99 (dt, 1 H), 7.83 (t, 1 H), 7.67 (q, 3 H), 7.60 (dt, 1 H), 7.26-7.23 (m, 3 H), 7.15 (t, 1 H), 7.09-7.04 (m, 2 H), 5.68 (s, 2 H).

CLEM: M+H: 381.5.

EJEMPLO 98

2-BENCIL-3-(3-[1,3-DIOXOLAN-2-IL-FENIL)-7-TRIFLUOROMETIL INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 7.73 (d, 1 H), 7.66 (d, 1 H), 7.60 (d, 1H), 7.51 (t, 2 H), 7.37 (d, 1 H), 7.29- 7.22 (m, 3 H), 7.15-7.10 (m, 3 H), 5.82 (s, 2 H), 5.68 (s, 2 H), 4.08-4.01 (m, 4 H).

CLEM: M+H: 335.6; M-H: 333.1.

EJEMPLO 99

2-BENCIL-3-(4'-METOXI-BIFENIL-4-IL)-7-TRIFLUOROMETIL INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 7.79 (d, 1 H), 7.67 (t, 3 H), 7.60 (d, 2 H), 7.42 (d, 1 H), 7.30-7.23 (m, 3 H), 7.16-7.11 (m, 3 H), 7.03 (d, 2 H), 5.73 (s, 2 H), 3.88 (s, 3 H).

CLEM: M+H: 495.5.

EJEMPLO 100

4'-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-BIFENIL-4-OL

Este compuesto se preparó similarmente al del Ejemplo 1. ($CDCl_3$) δ = 7.79 (d, 1 H), 7.67 (d, 3 H), 7.55 (d, 2 H)1 7.42 (d, 2 H), 7.30-7.23 (m, 3 H), 7.17-7.11 (m, 3 H), 6.95 (d, 2 H), 5.74 (s, 2 H).

CLEM: M+H: 445.4; M-H: 443.6.

EJEMPLO 101

2-ALIL-3-(2,4-DIMETOXIFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

El hidruro de sodio (60% en aceite, 0.025 g, 1.04 mmol) se agregó en una porción a una solución de 3-(2,4-dimetoxifenil)-7-(trifluorometil)-1H-indazol (0.150 g, 0.49

mmol) en DMF. Después de la evolución del gas cesó, bromuro de alilo (0.07 mL, 0.7 mmol) se agregó y la mezcla de reacción se agitó a temperatura ambiente hasta 50°C durante la noche. La mezcla de reacción fría se dividió con EtOAc y HCl 1N. La fase orgánica se lavó con salmuera y se seca (Na_2SO_4). El residuo resultante se purificó por cromatografía instantánea o por cromatografía CLAR a través de columnas en gel de sílice, 150X12 mm (Biotage, Charlottesville, VA), a 10 mL/min con éter metil-t-butilo/hexano (elusión de gradiente, 1:9 hasta 1:1) para dar el compuesto del título (0.062 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 3.75 (s, 3H), 3.86 (s, 3H), 4.87-4.99 (m, 3H), 5.13 (d, 1H), 5.90-5.99 (m, 1H), 6.72 (d, 1H), 6.79 (s, 1H), 7.12 (t, 1 H), 7.31 (d, 1 H), 7.61-7.68 (m, 2H), EM (ESI) m/z 363 ([M+H]+).

EJEMPLO 102

3-(2,4-DIMETOXIFENIL)-2-PROPIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 3-(2,4-dimetoxifenil)-7-(trifluorometil)-1H-indazol y 1-yodopropano.

^{1}H RMN (DMSO-d_6): δ 0.74 (t, 3H), 1.76-1.85 (m, 2H), 3.87 (s, 3H), 4.10-4.26 (m, 2H), 6.74 (d, 1H), 6.80 (s, 1H), 7.32 (d, 1H), 7.59.7.66 (m, 2H), EM (ESI) m/z 365 ($[M+H]^+$.

EJEMPLO 103

7-CLORO-2-ISOPROPIL-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 7-cloro-3-(4-metoxi-2-metilfenil)-1H-indazol (0.150 g, 0.52 mmol), hidruro de sodio (60% en aceite, 0.025 g, 1.04 mmol) y 2-yodopropano

(0.07 mL, 0.7 mmol) para dar el compuesto del título (0.059 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 1.46 (s, 6H), 1.99 (s, 3H), 3.83 (s, 3H), 4.42-4.47 (m, 1H), 6.94-6.98 (m, 2H), 7.04 (s, 1H), 7.20 (dd, 1 H, J=0.61 and 8.25 Hz), 7.26 (d, 1H), 7.35 (dd, 1H, J=0.76 and 7.17 Hz), EM (ESI) m/z 315 ($[M+H]^+$)

EJEMPLO 104

7-CLORO-3-(4-METOXI-2-METILFENIL)-2-PROPIL-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 7-cloro-3-(4-metoxi-2-metilfenil)-1H-indazol (0.150 g, 0.52 mmol), hidruro de sodio (60% en aceite, 0.025 g, 1.04 mmol) y yoduro de 1-propilo (0.07 mL, 0.7 mmol) para dar el compuesto del título (0.056 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 0.71 (t, 3H), 1.79 (m, 2H), 2.00 (s, 3H), 3.83 (s, 3H), 4.04-4.09 (m, 1H), 4.20-4.25 (m, 1H), 6.94-6.98 (m, 2H), 7.00 (s, 1H), 7.22 (d, 1H), 7.27 (d, 1 H), 7.35 (d, 1H), EM (ESI) m/z 315 ($[M+H]^+$).

EJEMPLO 105

2-CICLOPENTIL-3-(2,4-DIMETOXIFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 3-(2,4-metoxifenil)-7-trifluorometil-H-indazol (2.00 g, 6.20 mmol), hidruro de sodio (60% en aceite, 0.297 g, 7.44 mmol) y bromuro de ciclopentilo (1.00 mL, 9.30 mmol) para dar el compuesto del título (0.892 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 1.61 (m, 2H), 1.97 (m, 4H) 2.11 (m, 1H), 2.18 (m, 1H), 3.76 (s, 3H), 3.87 (s, 3H), 4.69 (m, 1H), 6.74 (dd, 1 H, J= 8.4 y 2.3 Hz), 6.79 (d, 1H, J= 2.3 Hz), 7.09 (t,

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1H, J= 7.6 Hz), 7.31 (d, 1H, J= 8.4 Hz), 7.58 (d, 1H, J=8.4 Hz), 7.63 (d, 1 H, J=7.0 Hz, EM (El) m/z 390; análisis calculado para $C_{21}H_{21}F_3N_2O_2$: C: 64.61 H:5.42 N:7.18 Encontrado: C:64.29 H:5.48 N:6.86.

EJEMPLO 106

3-(2,4-DIMETOXIFENIL)-2-ISOBUTIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 3-(2,4-metoxifenil)-7-trifluorometil-H-indazol (2.00 g, 6.20 mmol), hidruro de sodio (60% en aceite, 0.297 g, 7.44 mmol) y 1-yodo-2-metilpropano (1.07 mL, 9.30 mmol) para dar el compuesto del título (0.768 g) como un sólido blanco.

pf 111-112°C; ^{1}H RMN (DMSO-d_6): δ 0.66 (d, 3H, J= 6.7 Hz.), 0.76 (d, 3H, J= 6.7 Hz) 2.19 (m, 1H), 3.75 (s, 3H), 3.87 (s, 3H), 3.97 (m,1H), 4.16 (m, 1H), 6.74 (dd, 1 H, J= 8.4 y 2.3 Hz), 6.79 (d, 1 H, J= 2.1 Hz), 7.11 (t, 1H, J= 7.8 Hz), 7.31 (d, 1 H, J= 8.4 Hz), 7.60 (d, 1 H, J=8.3 Hz), 7.65 (d, 1 H, J=7.0 Hz), EM (ESI) m/z 379 ($[M+H]^+$); Análisis calculado para $C_{20}H_{21}F_3N_2O_2$: C:63.48 H:5.59 N:7.40 Encontrado: C:63.37 H:5.66 N:7.36.

EJEMPLO 107

2-(CICLOHEXILMETIL)-3-(2,4-DIMETOXIFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 3-(2,4-metoxifenil)-7-trifluorometil-H-indazol (1.82 g, 5.64 mmol), hidruro de sodio (60% en aceite, 0.451 g, 11.28 mmol) y (bromometil)ciclohexano (4.00 g, 22.5 mmol) para dar el

434

00434

compuesto del título (0.967 g) como un sólido blanco, pf 156-157°C; ^{1}H RMN (DMSO-d_6): δ 0.73 (m, 1H), 0.82 (m, 1H) 1.03 (m, 2H) 1.23 (m, 1 H) 1.30 (m, 1 H), 1.50 (m,4H) 1.88 (m, 1 H), 3.75 (s, 3H), 3.87 (s, 3H), 3.99 (m,1H), 4.18 (m, 1H), 6.74 (dd, 1H, J= 8.4 y 2.3 Hz), 6.79 (d, 1 H, J= 2.1 Hz), 7.10 (t, 1H, J= 7.8 Hz), 7.31 (d, 1 H, J= 8.4 Hz), 7.59 (d, 1 H, J=8.3 Hz), 7.64 (d, 1H, J=7.0 Hz, EM (ESI) m/z 419 ([M+H]$^+$); análisis calculado para $C_{23}H_{25}F_3N_2O_2$: C:66.02 H:6.02 N:6.69 Encontrado: C:66.03 H:6.05 N.6.64.

EJEMPLO 108

3-(2,4-DIMETOXIFENIL)-2-(2-ETILBUTIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 3-(2,4-metoxifenil)-7-trifluorometil-H-indazol (2.00 g, 6.20 mmol), hidruro de sodio (60% en aceite, 0.496 g, 12.4 mmol) y 1-bromo-2-etilbutano (3.07 g, 18.6 mmol) para dar el compuesto del título (0.540 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 0.60 (t, 3H, J = 7.3 Hz), 0.67 (t, 3h, J = 7.3 Hz), 1.12 (m, 4H), 1.79 (m, 1 H), 3.76 (s, 3H), 3.86 (s, 3H)1 4.12 (dd, 1 H, J = 13.6 and 7.3 Hz), 4.24 (dd, 1H, J= 13.6 and 7.0 Hz), 6.74 (dd, 1 H, J= 8.4 and 2.1 Hz), 6.79 (d, 1 H, J= 2.0 Hz), 7.11 (t, 1 H, J= 7.8 Hz), 7.32 (d, 1 H, J= 8.2 Hz), 7.60 (d, 1H, J=8.4 Hz), 7.65 (d, 1 H, J=7.0 Hz), EM (ESI) m/z 407 ([M+H]$^+$); análisis calculado para $C_{22}H_{25}F_3N_2O_2$: C:65.01 H:6.20 N:6.89 Encontrado: C:64.90 H.6.22 N:6.73.

EJEMPLO 109

2-CICLOBUTIL-3-(2,4-DIMETOXIFENIL)-7-(TRIFLUOROMETIL)-2H-

INDAZOL

Preparado de acuerdo al Ejemplo 101 de 3-(2,4-metoxifenil)-7-trifluorometil-H-indazol (2.38 g, 7.40 mmol), hidruro de sodio (60% en aceite, 0.592 g, 14.8 mmol) y bromociclobutano (3.00 g, 22.2 mmol) para dar el compuesto del título (0.896 g) como un sólido blanco,

pf 51-52°C; ^{1}H RMN (DMSO-d_6): δ 1.80 (m, 2H), 2.25 (m, 1H), 2.39 (m, 1H), 2.74 (m, 2H), 3.75 (s, 3H), 3.87 (s, 3H), 4.85 (m, 1 H), 6.74 (dd, 1 H, J= 8.4 y 2.1 Hz), 6.80 (d, 1H, J= 2.1 Hz), 7.11 (t, 1 H, J= 7.6 Hz), 7.28 (d, 1 H, J= 8.4 Hz), 7.61 (d, 1H, J=8.3 Hz), 7.66 (d, 1H, J=7.0 Hz), EM (ESI) m/z 377 ($[M+H]^+$); análisis calculado para $C_{20}H_{19}F_3N_2O_2$: C:63.82 H:5.09 N:7.44 Encontrado: C:64.11 H:5.14 N:7.15.

EJEMPLO 110

3-(2,4-DIMETOXIFENIL)-2-(1-ETILPROPIL)-7-TRIFLUOROMETIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 101 de 3-(2,4-metoxifenil)-7-trifluorometil-H-indazol (2.50 g, 7.75 mmol), hidruro de sodio (60% en aceite, 0.62 g, 15.5 mmol) y 3-bromopentano (3.51 g, 23 mmol) para dar el compuesto del título (0.674 g) como un sólido blanco.

pf 138-139°C; ^{1}H RMN (DMSO-d_6): δ 0.51 (t, 3H, J= 7.3 Hz), 0.76 (t, 3h, J= 7.3 Hz), 1.90 (m, 2H), 2.07 (m, 2H), 3.73 (s, 3H), 3.87 (s, 3H), 4.00 (m, 1H), 6.74 (dd, 1 H, J= 8.4 y 2.3 Hz), 6.79 (d, 1H, J= 2.1 Hz), 7.10 (t, 1 H, J= 7.8 Hz)1 7.23 (d, 1 H, J= 8.2 Hz), 7.58 (d, 1H, J=8.4 Hz), 7.63 (d, 1H, J=7.2 Hz, MS (ESI) m/z 393 ([M+H]+); analisis calculado para $C_{21}H_{23}F_3N_2O_2$: C:64.28 H:5.91 N:7.14 Encontrado: C:64.04 H.5.77

N:6.92.

EJEMPLO 111

2,3-DIFENIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

3-Fenil-7-trifluorometilindazol (0.2 g, 0.76 mmol), ácido fenil borónico (186 mg, 1.53 mmol), acetato de cobre II (208 mg, 1.14 mmol), y piridina (93 uL, 1.14 mmol) en 6 mL de cloruro de metileno se agitaron a temperatura ambiente durante 72 horas. La mezcla de reacción se filtró a través de Celite®, se vacía en NH_4Cl (solución sat.) y se extrae con EtOAc. Las capas orgánicas combinadas se secaron con Na_2SO_4, se concentran y el residuo resultante se purificó por CLAR (10-100 % CH_3CN-H_2O) para proporcionar el compuesto deseado como un sólido blanco (40 mg). EM (ESI) m/z 339 ($[M+H]^+$); EMAR: calculado para $C_{20}H_{13}F_3N_2$, 338.1031; encontrado (ESI), 339.1062.

EJEMPLO 112

3-FENIL-2-(2-FENILETIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 367 ($[M+H]^+$); Análisis calculado para $C_{22}H_{17}F_3N_2$: pC, 72.12; H, 4.68; N, 7.65. Encontrado: C, 71.96; H, 4.42; N, 7.58.

EJEMPLO 113

2-(4-FLUOROBENCIL)-3-FENIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 371 ($[M+H]^+$); EMAR: calculado para $C_{21}H_{14}F_4N_2$ 370.3492 encontrado (ESI), 370.3487.

EJEMPLO 114

2-(2-CLORO-6-FLUOROBENCIL)-3-FENIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 405/407 ($[MH-H]^+$), EM (ESI) m/z 403/405 ($[M-H]^-$); EMAR: calculado para $C_{21}H_{13}ClF_4N_2$, 404.7942; encontrado (ESI), 404.7938.

EJEMPLO 115

2-(4-CLORO-2-FLUOROBENCIL)-3-FENIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 405/407 ($[M+H]^+$); EMAR: calculado para $C_{21}H_{13}ClF_4N_2$, 404.0703; encontrado (ESI), 463.0855.

EJEMPLO 116

2-FENIL-3-PIPERIDIN-1-IL-7-TRIFLUOROMETIL-2H-INDAZOL

Preparación de ácido 2-azido-3-trifluorometil-benzoico (compuesto de la fórmula (IX)).

Una mezcla de 1.67 g (10 mmol) de ácido 2-amino-3-(trifluorometil)-benzoico (compuesto de la fórmula (VIII)) con 40 ml de HCl 6N se enfrió completamente hasta 5°C y una solución de 760 mg de $NaNO_2$ (11 mmol) en 17 ml de agua se agregaron gota a gota de tal manera que la temperatura de la mezcla de reacción no excedió 7°C. La solución resultante se agitó a esta temperatura durante 30 minutos y luego se agrega lentamente a una solución de 20.5 g de NaOAc y 715 mg (11 mmol) de NaN_3 en 40 ml de agua. La mezcla de reacción se

agitó durante la noche a temperatura ambiente. El producto se extrajo con CH_2Cl_2 tres veces. El solvente se removió in vacuo y el residuo se seca en un disecador sobre P_2O_5 proporcionando el compuesto requerido en rendimiento cuantitativo.

(DMSO-d_6) δ =13.84 (s, br, 1H), 8.06 (dd, 1 H), 7.87 (dd, 1 H), 7.42 (t, 1 H).

CLEM: M-H: 229.8.

Preparación de 2-azido-N-fenil-3-trifluorometil-benzamida (compuesto de la fórmula (X)).

El ácido 2-Azido-3-trifluorometil-benzoico (460 mg, 2 mmol, compuesto IX) se disolvió en 2 ml de $SOCl_2$ y la mezcla de reacción se calentó a 80°C durante 1 hora. El $SOCl_2$ restante se evaporó in vacuo y el residuo se coevaporó con benceno seco. El CH_2Cl_2 seco (2 ml) se agregó seguido por una adición gota a gota de 200 µl de anilina (2 mmol). La mezcla de reacción se agitó a temperatura ambiente durante 1 hora. Se agregó $NaHCO_3$ acuoso saturado y el producto se extrajo con CH_2Cl_2. La amida resultante se purificó por recristalización de metanol. El rendimiento fue 521 mg (86%).

($CDCl_3$) δ = 8.01 (s, br, 1 H), 7.85 (d, 1 H), 7.72 (d, 1 H), 7.57-7.55 (m, 2 H), 7.34- 7.30 (m, 3 H), 7.13 (t, 1 H).

CLEM: M+H: 307.4.

Preparación de 3-cloro-2-fenil-7-trifluorometil-2H-indazol (compuesto de la fórmula (XI)).

La 2-Azido-N-fenil-3-trifluorometil-benzamida (310 mg, 1 mmol, compuesto de la fórmula (X)) se calentó en 2 ml de $SOCl_2$ en un vial sellado a 100°C durante 4 horas. Después de

la evaporación de $SOCl_2$ in vacuo el residuo se purificó por cromatografía en columna en sílice (n-heptano/acetato de etilo, 50:50, como eluyente). Rendimiento: 212 mg (72%).

($CDCl_3$) δ = 7.76 (d, 1 H), 7.66-7.60 (m, 3 H), 7.51-7.42 (m, 3 H), 7.14 (t, 1 H).

CLEM: M+H: 297.2; 299.2.

Preparación de 2-fenil-3-piperidin-1-il-7-trifluorometil-2H-indazol (compuesto de la fórmula (I)).

3-Cloro-2-fenil-7-trifluorometil-2H-indazol (21 mg, 0.07 mmol, compuesto de la fórmula (XI)) se disolvió en 0.5 ml de DMSO y 100 µl de piperidina (1 mmol) se agregaron. La mezcla de reacción se agitó durante la noche a 110°C. Después se enfría a temperatura ambiente, 10 volúmenes de agua se agregaron. El sobrenadante se removió y el residuo se purificó por cromatografía en columna en sílice (n-heptano/acetato de etilo, 50:50, como eluyente) para proporcionar el compuesto del título como un sólido blanco (9 mg, 37%).

($CDCl_3$) δ = 7.92 (d, 1 H), 7.79-7.77 (m, 2 H), 7.48-7.46 (m, 1 H), 7.43-7.40 (m, 2 H), 7.34-7.30 (m, 1 H), 6.88 (t, 1 H), 3.15-3.13 (m, 4 H), 1.55-1.49 (m, 6 H).

CLEM: M+H: 346.0.

EJEMPLO 117

2-CICLOPENTIL-7-FLUORO-3-(4-METOXIFENIL)-2H-INDAZOL

Preparado de acuerdo al Ejemplo 116 de 7-fluoro-3-(4-metoxifenil)-1H-indazol (0.75 g, 3.1 mmol), hidruro de sodio (60% en aceite, 0.124 g, 3.1 mmol) y ciclopentilbromuro (0.36

mL, 3.3 mmol) para dar el compuesto del título (0.055 g) como un sólido blanco.

^{1}H RMN- (DMSO-d_6, 400 MHz) d: 1.70 (m, 2H), 1.89 (m, 2H), 2.14 (m, 4H), 3.82 (s, 3H), 5.27 (m, 1H), 7.08 (d, 2H), 7.15 (m, 1H), 7.23 (m, 1H), 7.815 (d, 1H) 7.855 (d, 2H); EM (ESI$^+$, m/z) : 311 $[M+H]^+$.

EJEMPLO 118

3-(4-METOXIFENIL)-7-METIL-1H-INDAZOL

Una solución de (2-fluoro-3-metilfenil)-(4-metoxi-fenil)-metanona (3.6 g, 14.7 mmol), hidrato de hidrazina (4.3 mL, 140 mmol) y DMAP (1.8 g, 14.7 mmol) en piridina se calentó a 100°C durante 24-48 hrs. La mezcla de reacción fría se dividió con EtOAc y HCl 1N. La fase orgánica se lavó con salmuera y se seca (Na_2SO_4). El residuo resultante se purificó por cromatografía instantánea para dar el producto (1.7 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 2.52 (s, 3H), 3.81 (s, 3H), 7.06 (m, 3H), 7.13 (d, 1H), 7.81 (d, 1 H), 7.89 (d, 2H), 13.132 (s, 1 H); EM (APCI) m/z 239 ($[M+H]^+$).

EJEMPLO 119

3-(4-METOXIFENIL)-TRIFLUOROMETIL-1H-INDAZOL

Preparado como en el Ejemplo 104 de (2-fluoro-3-trifluorometilfenil)-(4-metoxi-fenil)-metanona (3.6 g, 14.7 mmol), hidrato de hidrazina (4.3 mL, 140 mmol) y DMAP (1.8 g, 14.7 mmol) para dar el producto (1.7 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6, 300 MHz): δ 2.52 (s, 3H), 3.82 (s, 3H), 7.07 (d, 2H), 7.18 (t, 1H), 7.48 (d, 1 H), 7.91 (d, 2H), 8.0 (d,

441

1H), 13.132 (s, 1 H); EM (APCI) m/z 239 ([M+H]$^+$).

EJEMPLO 120

7-CLORO-3-(4-METOXIFENIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de (3-cloro-2-fluoro-fenil)-(4-metoxi-fenil)-metanona (0.84 g, 3.2 mmol), hidrato de hidrazina (1.0 mL, 32 mmol) y DMAP (0.39 g, 3.2 mmol) para dar el producto (0.75 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 3.81 (s, 3H), 7.06 (d, 2H), 7.13 (d, 1 H), 7.81 (d, 1H), 7.89 (d, 2H), 13.52 (s, 1 H);
EM (APCI) m/z 259 ([M+H]$^+$).

EJEMPLO 121

7-FLUORO-3-(4-METOXIFENIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de (2,3-difluorofenil)-(4-metoxi-fenil)-metanona (1.1 g, 4.4 mmol), hidrato de hidrazina (1.37 mL, 44 mmol) y DMAP (0.54 g, 4.4 mmol) para dar el producto (0.85 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 3.82 (s, 3H), 7.06 (d, 3H), 7.1-7.25 (m, 2H), 7.83 (d, 1 H), 7.92 (d, 2H), 13.53 (s, 1 H); EM (APCI) m/z 243 ([M+H]$^+$).

EJEMPLO 122

7-FLUORO-3-(4-METOXI-3-METILFENIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de (2,3-difluorofenil)-(4-metoxi-3-metil-fenil)-metanona (0.9 g, 3.45 mmol), hidrato de hidrazina (1.06 mL, 34 mmol) y DMAP (0.42 g, 3.45 mmol) para dar el producto (0.80 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 2.247 (s, 3H), 3.845 (s, 3H), 7.07 (d, 1

H), 7.13 (m, 1 H), 7.22 (m, 1H)1 7.75 (m, 2H), 7.83 (d, 1H), 13.62 (broad s, 1H); EM (ESI) m/z 257 ($[M+H]^+$).

EJEMPLO 123

3-(2,4-DIMETOXIFENIL)-7-(TRIFLUOROMETIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de (2,4-dimetoxifenil)[2-fluoro-3-(trifluorometil)fenil]metanona (1.50 g, 5.17 mmol), hidrato de hidrazina (1.61 mL, 51.7 mmol) y DMAP (0.632 g, 5.17 mmol) para dar el producto (0.619 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 3.78 (s, 3H), 3.83 (s, 3H), 6.66 (dd, 1H, J = 2.38 y 8.33Hz), 6.75 (s, 1H), 7.24 (t, 1 H), 7.43 (d, 1 H), 7.72 (d, 1 H), 7.89 (d, 1H); EM (APCI) m/z 323 ($[M+H]^+$); análisis calculado para $C_{16}H_{13}F_3N_2O_2$: C:59.63 H:4.07 N:8.69 Encontrado: C:59.91 H:4.08 N.7.95.

EJEMPLO 124

3-(4-METOXI-2-METILFENIL)-7-(TRIFLUOROMETIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de [2-fluoro-3-(trifluorometil)fenil](4-metoxi-2-metilfenil)metanona (1.34 g, 4.90 mmol), hidrato de hidrazina (1.61 mL, 51.7 mmol) y DMAP (0.632 g, 5.17 mmol) para dar el producto (0.620 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 2.31 (s, 3H), 3.81 (s, 3H), 6.92 (d, 1H), 6.98 (s, 1H), 7.29 (t, 1H), 7.41 (d, 1H), 7.70 (d, 1 H), 7.90 (d, 1H); EM (APCI) m/z 307 ($[M+H]^+$); análisis calculado para $C_{16}H_{13}F_3N_2O$: C:62.74 H:4.28 N:9.15 Encontrado: C:62.35 H:4.01 N:9.34.

EJEMPLO 125

3-(2,4-DIMETOXIFENIL)-7-FLUORO-1H-INDAZOL

Preparado como en el Ejemplo 104 de (2,4-dimetoxifenil)[2,3-difluorofenil]metanona (1.60 g, 5.8 mmol), hidrato de hidrazina (1.79 mL, 5702 mmol) y DMAP (0.632 g, 57.5 mmol) para dar el producto (1.66 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 3.77 (s, 3H), 3.83 (s, 3H), 6.65 (dd, 1H, J=2.13 and 8.40Hz), 6.72 (s, 1H), 7.02-7.05 (m, 1H), 7.13-7.17 (m, 1H), 7.41 (t, 1H), 13.54 (broad S, 1 H) EM (ESI) m/z 273 ($[M+H]^+$).

EJEMPLO 126

7-FLUORO-3-(4-METOXI-2-METILFENIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de [2-fluoro-3-(trifluorometil)fenil](4-metoxi-2-metilfenil)metanona (1.34 g, 4.90 mmol), hidrato de hidrazina (1.61 mL, 51.7 mmol) y DMAP (0.632 g, 5.17 mmol) para dar el producto (0.620 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 2.30 (s, 3H), 3.80 (s, 3H), 6.89 (dd, 1 H, J=2.44 and 8.39Hz), 6.95 (s, 1H), 7.06-7.11 (m, 1H), 7.19-7.22 (m, 1 H), 7.38-7.40 (m, 2H), 13.64 (broad s, 1 H), EM (ESI) m/z 257 ($[M+H]^+$).

EJEMPLO 127

7-CLORO-3-(4-METOXI-2-METILFENIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de [2-fluoro-3-clorofenil](4-metoxi-2-metilfenil)metanona (1.26 g, 4.52 mmol), hidrato de hidrazina (1.61 mL, 51.7 mmol) y DMAP

(0.632 g, 5.17 mmol) para dar el producto (0.613 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 2.31 (s, 3H), 3.81 (s, 3H), 6.89 (dd, 1H, J=2.57 y 8.53Hz), 6.96 (s, 1 H), 7.13 (t, 1H), 7.39 (d, 1H), 7.47 (d, 1 H), 7.54 (d, 1H), 13.62 (amplio s, 1 H), EM (ESI) m/z 273 ([M+H]$^+$).

EJEMPLO 128

7-CLORO-3-(2,4-DIMETOXIFENIL)-1H-INDAZOL

Preparado como en el Ejemplo 104 de (2,4-dimetoxifenil)[2-fluoro-3-clorofenil]metanona (1.20 g, 4.1 mmol), el hidrato de hidrazina (1.61 mL, 51.7 mmol) y DMAP (0.632 g, 5.17 mmol) para dar el producto (0.618 g) como un sólido amarillo.

^{1}H RMN (DMSO-d_6): δ 3.77 (s, 3H)1 3.83 (s, 3H), 6.65 (dd, 1H, J=2.18 y 8.33Hz), 6.73 (s, 1H), 7.07 (t, 1H), 7.41 (d, 1H), 7.55 (d, 1H)1 13.52 (amplio s, 1H), EM (ESI) m/z 289 ([M+H]+).

EJEMPLO 129

4-[2-(2,4-DIMETIL-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-3- METILFENOL

Este compuesto se preparó similarmente al del Ejemplo 1.

^{1}H RMN ($CDCl_3$): δ 7.66 (d, 1 H), 7.52 (d, 1 H), 7.10 (t, 1 H), 6.96-6.68 (m, 6 H)1 6.53 (d, 1 H), 5.56 (d, 1 H), 5.42 (d, 1 H), 2.20 (s, 3 H), 2.06 (s, 3 H), 1.76 (s, 3 H).

CLEM: M+H: 411.5.

EJEMPLO 130

4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOL

Este compuesto se preparó similarmente al del Ejemplo 2. ^{1}H RMN (acetona-d_6): δ 5.71 (s, 2 H)1 7.01-7.06 (m, 2 H), 7.12 (d, 2 H), 7.17 (t, 1 H), 7.21-7.31 (m, 3 H), 7.35-7.40 (m, 2 H), 7.67 (d, 1 H), 7.80 (d, 1 H), 8.84 (s, br, 1 H). CLEM: M+H: 369.2; M-H: 367.0.

EJEMPLO 131

4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]-3-METOXIFENOL

Preparado de acuerdo al Ejemplo 116 de 3-(2,4-dimetoxifenil)-7-(trifluorometil)-1H-indazol y bromuro de bencilo.

^{1}H RMN ($CDCl_3$): δ 3.558 (s, 3H), 5.55 (q, 2H), 6.52 (m, 2H), 7.09 (m, 2H), 7.18 (m, 4H), 7.263 (d, 1 H), 7.56 (t, 2H) EM (ESI) m/z 399 ($[M+H]^+$).

EJEMPLO 132

4-(2-CICLOPENTIL-7-FLUORO-2H-INDAZOL-3-IL)FENOL

Una solución de 2-ciclopentil-7-fluoro-3-(4-metoxifenil)-2H-indazol (0.055 g, 0.177 mmol) en CH_2Cl_2 que contienen exceso de equivalentes de ciclohexeno (0.3 mL) a -78°C se trató con tribromuro de boro (0. 70 mL, 0.74 mmol, 4 eq.) y lentamente se permite para calentar hasta temperatura ambiente. La mezcla de reacción se apagó por la adición gota a gota de CH_3OH a la mezcla de reacción fría. El solvente se removió in vacuo y el residuo se dividió con EtOAc y HCl 1 N La fase orgánica se lavó con salmuera y se seca (Na_2SO_4). La eliminación del solvente in vacuo proporciona el producto crudo. El producto puro se obtuvo por cristalización o por

cromatografía instantánea a través de agua desactivada por gel de sílice para dar el producto (0.03 g) como un sólido ámbar.

^{1}H RMN (DMSO-d_6): δ 1.63 (m, 2H), 1.94 (m, 2H), 2.118 (m, 4H), 4.96 (m, 1H), 6.98 (m, 4H), 7.25 (d 1H), 7.36 (d, 2H), 9.925 (s, 1 H), MS (ESI) m/z 297 ($[M+H]^+$).

EJEMPLO 133

4-(7-CLORO-2-ISOPROPIL-2H-INDAZOL-3-IL)-3-METILFENOL

Preparado de acuerdo al Ejemplo 128 de 7-cloro-2-isopropil-3-(4-metoxi-2-metilfenil)-2H-indazol (0.05 g, 0.16 mmol), tribromuro de boro (0.19 mL, 2.0 mmol) y 1.0 mL de ciclohexeno para dar el producto (0.016 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6); δ 1.45 (d, 6H), 1.93 (s, 3H), 4.43-4.48 (m, 1 H), 6.77 (dd, 1 H, J=2.29 y 8.24 Hz), 6.83 (s, 1H), 6.96 (t, 1H), 7.12 (d, 1 H), 7.20 (d, 1 H), 7.34 (d, 1 H), 9.78 (amplio s, 1H), EM (ESI) m/z 301 ($[M+H]^+$).

EJEMPLO 134

4-(7-CLORO-2-PROPIL-2H-INDAZOL-3-IL)-3-METILFENOL

Preparado de acuerdo al Ejemplo 128 de 7-cloro-3-(4-metoxi-2-metilfenil)-2-propil-2H-indazol (0.04 g, 0.13 mmol), tribromuro de boro (0.20 mL, 2.10 mmol) y 1.0 mL de ciclohexeno para dar el producto (0.023 g) como un sólido blanco.

^{1}H RMN (DMSO-d_6): δ 0.71 (t, 3H), 1.76-1.81 (m, 2H), 1.93 (s, 3H), 4.03-4.08 (m, 1H), 4.19-4.24 (m, 1H), 6.77 (dd, 1 H, J=2.29 y 8.24 Hz), 6.82 (s, 1H), 6.96 (t, 1H), 7.12 (d, 1H),

7.22 (d, 1H), 7.34 (d, 1H), 9.78 (amplio s, 1H), EM (ESI) m/z 301 ($[MH-H]^+$).

EJEMPLO 135

2-(2-CLORO-4-FLUORO-BENCIL)-3-(4-FLUORO-FENIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Preparación de 2-fluoro-N-metoxi-N-metil-3-trifluorometil-benzamida

Diez gramos (10 g 65 mmol) de ácido 2-fluoro-3-(trifluorometil)benzoico y cloruro de oxalilo en 10 mL de CH_2Cl_2 anhidro se trataron con unas pocas gotas de DMF, y se agita a temperatura ambiente durante 1 hora (la evolución de gas cesó). El clorohidrato de N,O-Dimetihidroxilamina (16.8 g, 174 mmol) se agregó seguido por 16 ml de piridina. La mezcla de reacción se agitó durante la noche, luego se lava sucesivamente con H_2O, HCl 2 N, y salmuera. La solución resultante se secó sobre sulfato de sodio. La evaporación de los solventes in vacuo da 13.3 g del producto como un aceite, el cual fue suficientemente puro para usarse en la siguiente etapa sin purificación adicional.

($CDCl_3$) δ: 7.68 (t, 1 H), 7.62 (t, 1 H), 7.30 (t, 1 H), 3.52 (s, br, 3 H), 3.35 (s, br, 3 H).

CLEM: M+H: 252.3.

Preparación de (2-fluoro-3-trifluorometil-fenil)-(4-fluoro-fenil)-metanona

Solución de Et_2O 2M de bromuro 4-fluorofenilmagnesio (32.5 ml; 65 mmol) se agregó a una solución de 12.8 g (65 mmol) de 2-fluoro-N-metoxi-N-metil-3-trifluorometil-benzamida

en 150 ml de THF a 0°C. La mezcla de reacción se calentó durante la noche a 50°C. La mezcla de reacción se dividió con EtOAc y H_2O. Las fases orgánicas se lavaron con salmuera y se secan sobre Na_2SO_4. La cetona resultante (135 mg) se obtuvo después de la purificación cromatográfica instantánea usando hexano/CH_2Cl_2 (3:1, p/p) como un eluyente.

^{1}HRMN (DMSO-d_6) δ: 7.43 (t , 2H), 7.61 (t, 1 H), 7.9 (m, 3H), 8.06 (t, 1H).

Preparación de 3-(4-Fluoro-fenil)-7-trifluorometil-1H-indazol

(2-Fluoro-3-trifluorometil-fenil)-(4-fluoro-fenil)-metanona (6.58 g, 23 mmol) se disolvió en 20 ml de piridina. El hidrato de hidrazina (7.36 mL, 230 mmol) y 4-(dimetilamino)-piridina (2.8 g, 23 mmol) se agregaron. La mezcla de reacción se agitó durante la noche a 110°C. Después se enfría a temperatura ambiente, EtOAc y HCl 2N se agregaron. La fase orgánica se lavó tres veces con salmuera, se seca sobre $NaSO_4$ y se concentra bajo vacío. La purificación del producto crudo por filtración con tapón (gel de sílice, CH_2Cl_2) proporciona 5.18 g producto como un sólido blanco.

^{1}HRMN (DMSO-d_6) δ: 7.39 (t , 3H), 7.82 (d, 1H), 8.04 (dt, 2H), 8.37 (d, 1H), 13.8 (s 1H).

Preparación de 2-(2-Cloro-4-fluoro-bencil)-3-(4-fluoro-fenil)-7-trifluorometil-2H-indazol.

A una solución de 3-(4-fluoro-fenil)-7-trifluorometil-1H-indazol (6.43 g, 23 mmol) en 20 mL DMF se agregó bromuro de bencilo 2-cloro-4-fluoro (7.0 g, 31 mmol). La mezcla de

reacción se calentó durante la noche a 120°C. Después se enfría a temperatura ambiente, acetato de etilo se agregó y la solución se lavó tres veces con salmuera. La capa orgánica se secó sobre $NaSO_4$ y se concentra. La cromatografía instantánea (hexano/CH_2Cl_2, 1:1 , como eluyente) proporciona 4.1 g de producto puro. Tres gramos (3 g) del producto se recristalizaron de 10 mL de isopropanol para recuperar 1.98 g del producto cristalino, pf 78°C.

^{1}HRMN (DMSO-d_6) δ: 5.77 (s, 2H), 6.92 (dt, 1H), 7.15 (dt , 1H), 7.24 (t, 1H), 7.43 (m, 3H), 7.63 (m, 2H), 7.76 (d, 1H), 7.83 (d, 1H). EM (ES, m/z): $[423/425]^+$.

EJEMPLO 136

2-(2-FLUOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 389; pf 89-90°C.

EJEMPLO 137

2-(2-CLOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 405.

EJEMPLO 138

2-(3,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ESI) m/z 407.

EJEMPLO 139

3-(4-FLUOROFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ESI) m/z 425; pf 125°C.

EJEMPLO 140

2-(4-FLUOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ESI) m/z 389.

EJEMPLO 141

2-(4-CLOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ESI) m/z 405.

EJEMPLO 142

2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ESI) m/z 407.

EJEMPLO 143

2-(2,4-DIMETILBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-

2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ESI) m/z 399.

EJEMPLO 144

2-(2,4-DIMETILBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL-7-CARBOXILATO DE METILO

Una solución de 2-(2,4-dimetilbencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol (0.43g, 1.08 mmol) en 10 mL de cloruro de metileno que contiene 0.1 mL de ciclohexeno se trató con tribromuro de boro (0.48 mL, 4.3 mmol) a -78°C. La mezcla de reacción se permitió para calentar hasta temperatura ambiente. La mezcla de reacción se apagó por la adición lenta de metanol. La mezcla de reacción se dividió con HCl 2 N y la fase orgánica se lavó con salmuera. La fase orgánica se concentró in vacuo y se purifica por cromatografía instantánea (gel de sílice 60; CH_2Cl_2 luego CH_2Cl_2-EtOAc, 7:3) para dar 0.43g del compuesto del título como un sólido blanco.

EM (ESI) m/z 389.

EJEMPLO 145

ACIDO 2-(2,4-DIMETILBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL-7-CARBOXILICO

Una solución de 2-(2,4-dimetilbencil)-3-(4-fluorofenil)-2H-indazol-7-carboxilato de metilo (0.195 g, 0.5 mmol) en 5 mL metanol se trató con 1 mL de NaOH 1N y se agita a 50°C durante 1 hora. La solución se neutralizó con HCl diluído y se divide con acetato de etilo y H_2O. La fase orgánica se

secó (Na_2SO_4) y se concentra in vacuo para dar 0.95 mg del compuesto del título como un sólido amarillo.

EM (ESI) m/z 375.

EJEMPLO 146

[2-(2,4-DIMETILBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL-7-IL]METANOL

Una solución de 2-(2,4-dimetilbencil)-3-(4-fluorofenil)-2H-indazol-7-carboxilato de metilo (0.100 g, 0.25 mmol) y litio aluminio (0.1g, 0.25 mmol) en 5 mL THF se agitó a temperatura ambiente durante 1 hora. El exceso de hidruro se descompuso por la adición de 0.1 mL H_2O, 0.1 mL NaOH 1 N, 0.3 mL H_2O y 100 mg de Na_2SO_4. Los sólidos se filtraron y el filtrado se concentra in vacuo para dar 0.09 g del producto como un sólido amarillo ligero. EM (ESI) m/z 361.

EJEMPLO 147

1-{4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-CARBOXILATO DE ETILO

Una solución de 2-(2,4-dimetilbencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol (0.187 g, 0.47 mmol), isonipicotato de etilo (77 uL, 0.5 mmol) y t-butóxido de potasio (0.056g, 0.5 mmol) en DMA se calentó a 120°C durante 72 horas. La mezcla de reacción se dividió con acetato de etilo y H_2O. La fase orgánica se adsorbió en gel de sílice y se purifica por cromatografía instantánea (CH_2Cl_2) para dar 0.008 g del producto.

EM (ESI) m/z 536.

EJEMPLO 148

2-BENCIL-3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ESI) m/z 387.

EJEMPLO 149

1-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-CARBOXAMIDA

Una solución de 2-bencil-3-(4-clorofenil)-7-(trifluorometil)-2H-indazol (0.1 g, 0.25 mmol), isonipecotamida (0.039 g, 0.3 mmol), tris-(dibencilidinacetona)dipaladio (0) (14 mg), 2-diciclohexilfosfino-2'-(N,N-dimetilamino)bifenilo (47 mg) y t-butóxido de sodio (0.029 g, 0.3 mmol) en 2 mL de dimetoxietano se calentó a 150°C durante 5 minutos en un microondas (Optimizador Emory, Personal Chemistry). La mezcla de reacción se concentró in vacuo. El residuo se purificó por cromatografía instantánea (CH_2Cl_2-CH_3OH, 19:1) para dar el producto (0.034 g) como un sólido completamente blanco.

EM (ESI) m/z 479.

EJEMPLO 150

1-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-CARBOXILATO DE ETILO

Este compuesto se preparó similarmente al del Ejemplo 149.

EM (ESI) m/z 508.

EJEMPLO 151

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1-{4-[2-BENZIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149.

EM (ESI) m/z 466.

EJEMPLO 152

3-(4-CLOROFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ES) m/z 441.1.

EJEMPLO 153

2-(2-CLORO-4-FLUOROBENCIL)-3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ES) m/z 439.1.

EJEMPLO 154

2-(4-CLORO-2-FLUOROBENCIL)-3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ES) m/z 439.1.

EJEMPLO 155

3-(4-CLOROFENIL)-2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1.

EM (ES) m/2 415.2.

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EJEMPLO 156

2-(4-CLORO-2-FLUOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 423.1.

EJEMPLO 157

2-(2-CLORO-6-FLUOROBENCIL)-3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 439.2.

EJEMPLO 158

2-(2-CLORO-6-FLUOROBENCIL)-3-(4-FLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 423.2.

EJEMPLO 159

4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-iNDAZOL-3-IL]-3-METILFENOL

Una solución de 2-(2,4-Dimetilbencil)-3-(4-metoxi-2-metilfenil)-7-trifluorometil-2H-indazol (0.27 g, 0.63 mmol) y yoduro de tetrabutilamonio (0.7 g, 1.9 mmol) en 10 mL de CH_2Cl_2 se enfrió hasta -78°C y se trata con tricloruro de boro 1M en CH_2Cl_2 (1 ,9 mL, 1.9 mmol). La mezcla de reacción se permitió calentar hasta temperatura ambiente. La mezcla de reacción se dividió con HCl 1N y la fase orgánica se

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concentró in vacuo. El residuo se purificó por cromatografía instantánea para dar 0.18 g del compuesto del título como un sólido blanco.

EM (ES) m/z 409.2.

EJEMPLO 160

(1-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149.

EM (ES) m/z 466.

EJEMPLO 161

2-(1-{4-[2-BENCIL-T-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)ETANOL

Este compuesto se preparó similarmente al del Ejemplo 149.

EM (ES) m/z 480.

EJEMPLO 162

2-BENCIL-3-(4-PIPERIDIN-1-ILFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149.

EM (ES) m/z 436.

EJEMPLO 163

2-BENCIL-3-(4-PIRROLIDIN-1-ILFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

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Este compuesto se preparó similarmente al del Ejemplo 149.

EM (ES) m/z 422.

EJEMPLO 164

1-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-OL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 438.

EJEMPLO 165

1-BENCIL-N-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 527.

EJEMPLO 166

ÁCIDO 1-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL)PIPERIDINA-4-CARBOXÍLICO

Una solución de 1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidina-4-carboxilato de etilo (0.06 g, 0.12 mmol) en 5 mL de metanol que contiene 1 mL de NaOH 1 N se agitó durante la noche a temperatura ambiente. La mezcla de reacción se neutralizó con HCl diluido y se dividió con acetato de etilo y H_2O. La fase orgánica se secó (Na_2SO_4) y concentró in vacuo. El residuo se trituró con éter de

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petróleo para dar el compuesto del título como un sólido ámbar (0.049 g). EM (ES) m/z 478.2.

EJEMPLO 167

(1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 520.

EJEMPLO 168

(1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 520.

EJEMPLO 169

((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

El compuesto racémico del Ejemplo 169 se resolvió por CLAR quiral para dar el compuesto del título.

$[\alpha]D^{25}$ = -6.6° (c = 0.010 g/mL, $CHCl_3$); EM (ES) m/z 520.1.

EJEMPLO 170

((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

El compuesto racémico del Ejemplo 169 se resolvió por CLAR quiral para dar el compuesto del título. EM (ES) m/z 520.1.

EJEMPLO 171

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1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDINA-4-CARBOXILATO DE ETILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 562.4.

EJEMPLO 172

1-(4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-OL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 492.

EJEMPLO 173

1-BENCIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM m/z 581.

EJEMPLO 174

N-METIL-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 519.2.

EJEMPLO 175

3-[4-(2-METILPIPERIDIN-1-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

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Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 504.2.

EJEMPLO 176

3-[4-(3-METILPIPERIDIN-1-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 504.2; pf 103°C.

EJEMPLO 177

3-[4-(4-METILPIPERIDIN-1-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 504.2.

EJEMPLO 178

1-(4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-OL

Este compuesto se preparó similarmente al del Ejemplo 149. EM m/z 506.

EJEMPLO 179

(1-{4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 494.2.

EJEMPLO 180

(1-(4-[2-(2,4-DIMETILBENCIL)-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM m/z 494.

EJEMPLO 181

1-{4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDINA-3-CARBOXILATO DE ETILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 536.2.

EJEMPLO 182

2-(1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)ETANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 534.2.

EJEMPLO 183

4-FENIL-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-OL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 582.2.

EJEMPLO 184

[(1-(4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METIL]CARBAMATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 619.3.

EJEMPLO 185

8-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1,4-DIOXA-8-AZAESPIRO[4.5]DECANO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 548.

EJEMPLO 186

(3S)-1-BENCIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL)PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 581.

EJEMPLO 187

(3R)-1-BENCIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 581.0.

EJEMPLO 188

((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACETATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 149. pf 103°C; EM (ES) m/z 562.0.

EJEMPLO 189

1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-ONA

Una solución de 8-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1,4-dioxa-8-azaespiro[4.5]decano (0.195 g, 0.36 mmol) en 2 mL de ácido fórmico se calentó a 70°C durante 2 horas. El solvente se evaporó y el residuo se purificó por cromatografía instantánea (CH_2Cl_2-EtOAc, 19:1) para dar 0.1 g del compuesto del título. EM (ES) m/z 503.9.

EJEMPLO 190

ÁCIDO ((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACÉTICO

Una solución de ((3R)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acetato de metilo (0.045 g, 0.08 mmol) en CH_3OH-THF (1:1, v/v) que contiene 0.5 mL de NaOH 1 N se agitó durante la noche a temperatura ambiente. Luego esto se neutralizó con ácido diluido y se dividió con acetato de etilo y salmuera. La fase orgánica se secó (Na_2SO_4) y concentró in vacuo. El producto crudo se cristalizó a partir de éter/éter de petróleo para dar 0.036 g del compuesto del título como un sólido amarillo pálido. EM (ESI) m/z 548; $[\alpha]_D^{25}$ = -4.5° (c = 0.012 g/mL, $CHCl_3$).

EJEMPLO 191

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n.

1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-N-[4-(TRIFLUOROMETIL)BENCIL]PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 663.0.

EJEMPLO 192

1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDINA-2-CARBOXILATO DE ETILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 562.0.

EJEMPLO 193

1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDINA-3-CARBOXILATO DE ETILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 562.0.

EJEMPLO 194

((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-IL)CARBAMATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 591.0.

EJEMPLO 195

((3R)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-IL)CARBAMATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 591.0.

EJEMPLO 196

(3S)-1-CICLOHEXIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 573.

EJEMPLO 197

(3S)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Una solución de ((3S)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-il)carbamato de tert-butilo (0.05 g, 0.085 mmol) en CH_2Cl_2 1 mL se trató con 0.1 mL de ácido trifluoroacético y se agitó a temperatura ambiente durante la noche. Luego la mezcla de reacción se dividió con CH_2Cl_2 extra y $NaHCO_3$ saturado. La fase orgánica se aisló y secó (Na_2SO_4). El solvente se evaporó y el residuo se trató con exceso de HCl en éter de dietilo. Los sólidos se colectaron y secaron para dar 0.32 g del compuesto del título como la sal HCl. EM (ESI) m/z 491.

EJEMPLO 198

(3R)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 197. EM (ESI) m/z 491.

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EJEMPLO 199

(3S)-1-(3-METILBUT-2-ENIL)-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM m/z 559.

EJEMPLO 200

(3R)-1-(3-METILBUT-2-ENIL)-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM m/z 559.

EJEMPLO 201

(3R)-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1-(3,3,3-TRIFLUOROPROPIL)PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 585.0.

EJEMPLO 202

(3R)-1-(2-METILPROP-2-ENIL)-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 545.0.

EJEMPLO 203

(3R)-1-[(2E)-PENT-2-ENIL]-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 559.

EJEMPLO 204

(3R)-1-HEXIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 575.

EJEMPLO 205

(3R)-1-CICLOPENTIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 559.1.

EJEMPLO 206

(3R)-1-ISOPROPIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESl) m/z 533.

EJEMPLO 207

(3S)-1-HEXIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 575.

EJEMPLO 208

(3S)-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1-(3,3,3-TRIFLUOROPROPIL)PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 587.

EJEMPLO 209

(3S)-1-(2-METILPROP-2-ENIL)-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 545.

EJEMPLO 210

(3S)-1-[(2E)-PENT-2-ENIL]-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 559.

EJEMPLO 211

(3S)-1-CICLOPENTIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 559.

EJEMPLO 212

(3S)-1-ISOBUTIL-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 547.

EJEMPLO 213

((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACETATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 562.0; pf 103°C.

EJEMPLO 214

ÁCIDO ((3S)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 190. EM (ES) m/z 546.0.

EJEMPLO 215

3-(4-BROMOFENIL)-2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM m/z 483.

EJEMPLO 216

((3R)-1-{4-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACETATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 560.0.

EJEMPLO 217

ÁCIDO ((3R)-1-{4-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 190. EM (ES) m/z 543.9.

EJEMPLO 218

3-(4-BROMOFENIL)-2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 467.0.

EJEMPLO 219

3-(4-BROMOFENIL)-2-(2,6-DICLOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 498.9.

EJEMPLO 220

((3R)-1-{4-[2-(2,6-DICLOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACETATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 576.1.

EJEMPLO 221

((3R)-1-{4-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACETATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 544.2.

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EJEMPLO 222

ÁCIDO ((3R)-1-{4-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 190. EM (ES) m/z 528.1.

EJEMPLO 223

ÁCIDO ((3R)-1-{4-[2-(2,6-DICLOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 190. EM (ES) m/z 562.1.

EJEMPLO 224

3-(3-BROMOFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 485.

EJEMPLO 225

2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-3-{3-[(TRIMETILSILIL)ETINIL]FENIL}-2H-INDAZOL

Una solución de 3-(3-bromofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol (2.0 g, 4.1 mmol) y trimetilsilil-acetileno-tributiltin en 30 mL de tolueno se desgasificó. El paladio-tetraquis-trifenilfosfina (0.046 g, 0.4 mmol) se agregó y la mezcla se calentó a reflujo durante 18 horas. La mezcla de reacción se concentró

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in vacuo y purificó por cromatografía instantánea (sílice 60, acetato de hexano-etilo, 9:1) para dar el compuesto del título (1.8 g) como un sólido blanco. EM (ESI) m/z 503.

EJEMPLO 226

3-(3-ETINILFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Una mezcla de 2-(2,4,6-trifluorobencil)-7-(trifluorometil)-3-{3-[(trimetilsilil)-etinil]fenil}-2H-indazol (1.78 g, 3.54 mmol) y carbonato de potasio (0.49 g, 3.54 mmol) en 50 mL de metanol se calentó a 50°C durante 2 horas. La mezcla de reacción se concentró in vacuo y el residuo se dividió entre acetato de etilo y H_2O. La fase orgánica se secó (Na_2SO_4) y concentró in vacuo para dar 1.4 g de un sólido blanco, que se purificó por cromatografía instantánea (gel de sílice 60, acetato de hexano-etilo, 4:1) para dar 1.1 g del compuesto del título. EM (ESI) m/z 431.

EJEMPLO 227

(3R)-1-(2,4-DIMETOXIBENZOIL)-N-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIRROLIDIN-3-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 655.

EJEMPLO 228

3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)2H-INDAZOL-3-IL]FENIL}ETINIL)BENZOATO DE ETILO

Una solución de 3-(3-etinilfenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol (0.103 g, 0.24 mmol), 3-yodobenzoato de etilo (0.042 mL, 0.25 mmol), acetato de tetrabutilamonio (0.108 g, 0.36 mmol) y 8.8 mg de tris-(dibencilidinacetona)-dipaladio en 2 mL de DMF seco se agitó a temperatura ambiente durante 3 horas. La mezcla de reacción se dividió con acetato de etilo y H_2O. La fase orgánica se concentró in vacuo y purificó por cromatografía instantánea (sílice 60, CH_2Cl_2-hexano, 1:1) para dar el compuesto del título (0.065 g). EM (ESI) m/z 579.

EJEMPLO 229

3-(2-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETIL)BENZOATO DE ETILO

Una mezcla de 3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoato de etilo (0.035 g, 0.06 mmol) y complejo de dimetilamina de paladio (3.5 mg) (ref. Sajiki et. al. JOC, 1998, 63, 7990) en 1 mL de metanol se hidrogenó a presión atmosférica durante 24 horas. El catalizador se filtró y lavó con metanol. Los filtrados combinados se evaporaron para dar 35 mg de producto como un aceite, que se solidificó en reposo. EM (ES+, [M+H]+ m/z 550.8.

EJEMPLO 230

ÁCIDO 3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)BENZOICO

Una solución de 3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoato de

etilo (0.19 g, 0.33 mmol) en CH_3OH-THF (1:1, v/v) que contiene 1.0 mL de NaOH 1 N se agitó durante la noche a temperatura ambiente, luego se neutralizó con ácido diluido y se dividió con acetato de etilo y salmuera. La fase orgánica se secó (Na_2SO_4) y concentró in vacuo para dar 0.15 g del compuesto del título como un sólido blanco. EM (ES) m/z 550.8.

EJEMPLO 231

ÁCIDO 3-(2-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETIL)BENZOICO

El compuesto se preparó usando las mismas condiciones resumidas en el Ejemplo 230. EM (ESI) m/z 555.

EJEMPLO 232

N-METIL-3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)BENZAMIDA

Una solución de ácido 3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoico (0.042 g, 0.076 mmol), metil amina-HCl (0.006 g, 0.076 mmol) y carbonil diimidazol (0.013 g, 0.076 mmol) en 2 mL DMF se agitó a temperatura ambiente durante la noche. La mezcla de reacción se dividió con acetato de etilo y H_2O. La fase orgánica se concentró in vacuo y purificó por cromatografía instantánea (sílice 60, CH_2Cl_2-CH_3OH, 25:1) para dar 0.017g del producto. EM (ES) m/z 564.0.

EJEMPLO 233

3-(3-{[3-(MORFOLIN-4-ILCARBONIL)FENIL]ETINIL}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 232. EM (ES) m/z 620.1.

EJEMPLO 234

4-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)BENZOIL]PIPERAZIN-1-CARBOXILATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 232. EM (ES) m/z 719.1.

EJEMPLO 235

N-METIL-3-(2-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETIL)BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 232. EM (ES) m/z 568.1.

EJEMPLO 236

3-(3-{2-[3-(MORFOLIN-4-ILCARBONIL)FENIL]ETIL}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 232. EM (ESI) m/z 624.

EJEMPLO 237

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4-[3-(2-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETIL)BENZOIL]PIPERAZIN-1-CARBOXILATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 232. EM (ES) m/z 723.2.

EJEMPLO 238

3-{3-[(2,5-DICLOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 574.9.

EJEMPLO 239

3-{3-[(2,4-DICLOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 574.9.

EJEMPLO 240

2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-3-(3-{(2-(TRIFLUOROMETIL)FENIL]ETINIL}FENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 575.1.

EJEMPLO 241

3-{3-[2-(2,4-DICLOROFENIL)ETIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ESI) m/z 579.

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EJEMPLO 242

2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-3-(3-{2-[2-(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ESI) m/z 579.

EJEMPLO 243

3-{3-[2-(2,5-DICLOROFENIL)ETIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 579.1.

EJEMPLO 244

3-[3-(2-FENILETIL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 511.2.

EJEMPLO 245

3-(3-{[2-CLORO-5-(TRIFLUOROMETIL)FENIL]ETINIL}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 609.0.

EJEMPLO 246

3-{3-[(2-BROMO-5-FLUOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

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Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 602.9.

EJEMPLO 247

3-(3-{2-[2-CLORO-5-(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 613.0.

EJEMPLO 248

3-{3-[2-(3-FLUOROFENIL)ETIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 529.

EJEMPLO 249

3-{3-[2-(2-BROMO-5-FLUOROFENIL)ETIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 608.

EJEMPLO 250

4-AMINO-3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)BENZONITRILO

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 547.1.

EJEMPLO 251

00479

3-{3-[(3-CLORO-2-FLUOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 559.0.

EJEMPLO 252

[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]METANOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 537.1.

EJEMPLO 253

4-AMINO-3-(2-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETIL)BENZONITRILO

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 551.1.

EJEMPLO 254

3-{3-[(2,3-DICLOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 575.0.

EJEMPLO 255

3-{3-[2-(3-CLORO-2-FLUOROFENIL)ETIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 563.0.

00:30

EJEMPLO 256

[3-(2-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETIL)FENIL]METANOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 541.1.

EJEMPLO 257

3-{3[2-(2,3-DICLOROFENIL)ETIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 229. EM (ES) m/z 576.

EJEMPLO 258

N-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]MORFOLINA-4-CARBOXAMIDA

Preparación de N-(3-yodofenil)morfolina-4-carboxamida.

Una solución de 3-yodofenilisocianato (0.1g, 0.41 mmol) y morfolina (0.04 mL, 0.45 mmol) en dioxano 2 mL se calentaron a 100°C durante la noche. El solvente se evaporó y el producto resultante se usó sin purificación. EM (ES) m/z 331.0.

Preparación de N-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2h-indazol-3-il]fenil}etinil)fenil]morfolin-4-carboxamida

El compuesto del título se obtuvo por reacción de N-(3-yodofenil)morfolin-4-carboxamida con el alquino del Ejemplo 228. EM (ES) m/z 635.2.

00491

EJEMPLO 259

4-({[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]AMINO}CARBONIL)-PIPERAZIN-1-CARBOXILATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 734.3.

EJEMPLO 260

2-METIL-N-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]PIPERIDINA-1-CARBOXAMIDA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 647.2.

EJEMPLO 261

3-METIL-N-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]PIPERIDINA-1-CARBOXAMIDA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 647.2.

EJEMPLO 262

4-METIL-N-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]PIPERIDINA-1-CARBOXAMIDA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 647.2.

EJEMPLO 263

3-(HIDROXIMETIL)-N-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]PIPERIDINA-1-CARBOXAMIDA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 663.3.

EJEMPLO 264

N-ISOPROPIL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]UREA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 607.2.

EJEMPLO 265

N,N-DIPROPIL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]UREA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 649.3.

EJEMPLO 266

N-METIL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]UREA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ESI) m/z 579.

EJEMPLO 267

N,N-DIMETIL-N'-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]UREA

Este compuesto se preparó similarmente al del Ejemplo 258. EM (ES) m/z 593.2.

EJEMPLO 268

3-[3-(PIRIDIN-3-ILETINIL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 508.1.

EJEMPLO 269

3-[3-(PIRIDIN-4-IETINIL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 508.1.

EJEMPLO 270

N-(METILSULFONIL)-N-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]METANSULFONAMIDA

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 678.1.

EJEMPLO 271

[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]CARBAMATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 580.1.

EJEMPLO 272

1-METIL-3-[3-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]IMIDAZOLIDIN)2-ONA

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 605.2.

EJEMPLO 273

6-METIL-2-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)PIRIDIN-3-OL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 538.1.

EJEMPLO 274

3-[3-(PIRIDIN-2-ILETINIL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 508.1.

EJEMPLO 275

5-CIANO-2-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1H-INDOL-1-CARBOXILATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 603.7.

EJEMPLO 276

2-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)PIRIDIN-3-OL

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 524.1.

EJEMPLO 277

6-METIL-5-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-1H-INDOL-1-CARBOXILATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 3. EM (ES) m/z 652.2.

EJEMPLO 278

6-FLUORO-5-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-1H-INDOL-1-CARBOXILATO DE TERT-BUTILO

Este compuesto se preparó similarmente al del Ejemplo 3. EM (ES) m/z 656.2.

EJEMPLO 279

4-FLUORO-2-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)ANILINA

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 538.1.

EJEMPLO 280

4-CLORO-2-FLUORO-6-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)2H-INDAZOL-3-IL]FENIL}ETINIL)ANILINA

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 571.9.

EJEMPLO 281

[4-FLUORO-2-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)FENIL]CARBAMATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 228. EM (ES) m/z 596.1.

EJEMPLO 282

3-[3-(5-FLUORO-1H-INDOL-2-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Una solución de [4-fluoro-2-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]carbamato de metilo (0.07 g, 0.12 mmol) y fluoruro de tetrabutilamonio (0.24 mL, 0.24 mmol, 1M solución en THF) en 2 mL THF se calentó a 60°C durante 21 horas. La mezcla de reacción se concentró in vacuo y el residuo sec purificó por CLAR de fase normal (sílice, hexano-EtOAC, 19:1) para dar 0.045 g de producto como un sólido blanco. EM (ES) m/z 539.7.

EJEMPLO 283

3-[3-(5-FLUORO-1-METIL-1H-INDOL-2-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Una solución de 3-[3-(5-fluoro-1H-indol-2-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol (0.03 g, 0.056 mmol) en 2 mL THF se trató con hidruro de sodio (0.004 g, 0.1 mmol, 60% en aceite). La mezcla de reacción se agitó durante 20 minutos y se trató con yodometano (0.007 mL, 0.1 mmol). La agitación se continuó durante 1 hora. La mezcla de reacción se concentró y purificó por CLAR de fase normal

(sílice, hexano-EtOAC, 19:1) para dar 0.01 g de producto como un sólido blanco. EM (ES) m/z 553.6.

EJEMPLO 284

1-BENCIL-7-CLORO-3-(4-METOXI-2-METILFENIL)-1H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 363.

EJEMPLO 285

7-CLORO-2-(2-FLUOROBENCIL)-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 381.

EJEMPLO 286

7-CLORO-2-(4-FLUOROBENCIL)-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 381.

EJEMPLO 287

2-BENCIL-7-CLORO-3-(2,4-DIMETOXIFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 379.

EJEMPLO 288

7-CLORO-3-(2,4-DIMETOXIFENIL)-2-(2-FLUOROBENCIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 397.

EJEMPLO 289

7-CLORO-3-(2,4-DIMETOXIFENIL)-2-(4-FLUOROBENCIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 397.

EJEMPLO 290

2-BENCIL-7-FLUORO-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 347.

EJEMPLO 291

7-FLUORO-2-(2-FLUOROBENCIL)-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 365.

EJEMPLO 292

7-FLUORO-2-(4-FLUOROBENCIL)-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 365.

EJEMPLO 293

2-(2-CLORO-6-FLUOROBENCIL)-7-FLUORO-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 399.

EJEMPLO 294

2-(2-CLOROBENCIL)-7-FLUORO-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 381.

EJEMPLO 295

2-(2,4-DIFLUOROBENCIL)-7-FLUORO-3-(4-METOXI-2-METILFENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 383.

EJEMPLO 296

2-BENCIL-3-(2,4-DIMETOXIFENIL)-7-FLUORO-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 363.

EJEMPLO 297

3-(2,4-DIMETOXIFENIL)-7-FLUORO-2-(2-FLUOROBENCIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 381.

EJEMPLO 298

3-(2,4-DIMETOXIFENIL)-7-FLUORO-2-(4-FLUOROBENCIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 381.

EJEMPLO 299

2-(2-CLORO-6-FLUOROBENCIL)-3-(2,4-DIMETOXIFENIL)-7-FLUORO-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 415.

EJEMPLO 300

2-(2-CLOROBENCIL)-3-(2,4-DIMETOXIFENIL)-7-FLUORO-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 397.

EJEMPLO 301

2-(2,4-DIFLUOROBENCIL)-3-(2,4-DIMETOXIFENIL)-7-FLUORO-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 399.

EJEMPLO 302

2-(3,4-DIFLUOROBENCIL)-3-(2,4-DIMETOXIFENIL)-7-FLUORO-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 399.

EJEMPLO 303

2-(4-CLOROBENCIL)-3-(2,4-DIMETOXIFENIL)-7-FLUORO-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 397.

EJEMPLO 304

3-(3,4-DIFLUOROFENIL)-2-(3-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 403.

EJEMPLO 305

2-BENCIL-3-(3,4-DIFLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 389.

EJEMPLO 306

3-(3,4-DIFLUOROFENIL)-2-(2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 407.

EJEMPLO 307

3-(3,4-DIFLUOROFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 443.

EJEMPLO 308

2-(2,4-DIFLUOROBENCIL)-3-(3,4-DIFLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 425.

EJEMPLO 309

2-(3,4-DICLOROBENCIL)-3-(3,4-DIFLUOROFENIL)-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 457.

EJEMPLO 310

3-(4-CLOROFENIL)-2-(3,4-DICLOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 455.1.

EJEMPLO 311

3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2-[4-(TRIFLUOROMETIL)BENCIL]-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 455.1.

EJEMPLO 312

3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2-[2-(TRIFLUOROMETIL)BENCIL]-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 455.1.

EJEMPLO 313

3-(4-CLOROFENIL)-2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 415.2.

EJEMPLO 314

3-(4-CLOROFENIL)-2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 423.1.

EJEMPLO 315

3-(4-CLOROFENIL)-2-(2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 405.1.

EJEMPLO 316

2-(4-CLOROBENCIL)-3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 421.1.

EJEMPLO 317

2-(2-CLOROBENCIL)-3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 421.1.

EJEMPLO 318

2-BENCIL-3-(2,4-DIFLUOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 389.2.

EJEMPLO 319

3-(2,4-DIFLUOROFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 443.1.

EJEMPLO 320

3-(4-CLOROFENIL)-2-(3-METOXIBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 417.

EJEMPLO 321

3-(3-CLOROFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 441.1.

EJEMPLO 322

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 439.1.

EJEMPLO 323

2-(2-CLOROBENCIL)-3-(3-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 421.1.

EJEMPLO 324

2-(4-CLOROBENCIL)-3-(3-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ES) m/z 421.1.

EJEMPLO 325

3-(4-CLOROFENIL)-2-(3-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 401.

EJEMPLO 326

3-(4-CLOROFENIL)-2-(3-NITROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 432.

EJEMPLO 327

3-(4-CLOROFENIL)-2-(2-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 401.

EJEMPLO 328

3-(4-CLOROFENIL)-2-(4-METOXIBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 417.

EJEMPLO 329

3-(4-CLOROFENIL)-2-(2,6-DICLOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 455.

EJEMPLO 330

2-(4-TERT-BUTILBENCIL)-3-(4-CLOROFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 443.

EJEMPLO 331

3-(3-CLOROFENIL)-2-(2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 405.

EJEMPLO 332

3-(3-CLOROFENIL)-2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 423.

EJEMPLO 333

3-(3-CLOROFENIL)-2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 415.

EJEMPLO 334

3-(3-CLOROFENIL)-7-(TRIFLUOROMETIL)-2-[4-(TRIFLUOROMETIL)BENCIL]-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 455.

EJEMPLO 335

3(-3-CLOROFENIL)-2-(3,4-DICLOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 455.

EJEMPLO 336

3-(3-CLOROFENIL)-2-(3,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 423.

EJEMPLO 337

3-(3-CLOROFENIL)-2-(3-METOXIBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 417.

EJEMPLO 338

3-(3-CLOROFENIL)-2-(3-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 401.

EJEMPLO 339

3-(3-CLOROFENIL)-2-(3-NITROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 432.

EJEMPLO 340

3-(3-CLOROFENIL)-2-(2-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. EM (ESI) m/z 401.

EJEMPLO 341

(1-{4-[2-(2-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 480.

EJEMPLO 342

2-(2-FLUOROBENCIL)-3-[3-[4-METILPIPERIDIN-1-IL)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 468;

EJEMPLO 343

(1-{3-[2-(3,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 502.

EJEMPLO 344

(1-{3-[2-(3-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 480.

EJEMPLO 345

(1-{4-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM m/z 502.

EJEMPLO 346

(1-{4-[2-(2-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 480.

EJEMPLO 347

(1-{3-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 502.

EJEMPLO 348

(1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 520.

EJEMPLO 349

(1-{3-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 502.

EJEMPLO 350

(1-{3-[2-(3-METILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-3-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 480.

EJEMPLO 351

(1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 520.

EJEMPLO 352

(1-{3-[2-(3,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-IL)METANOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 502.

EJEMPLO 353

8-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1,4-DIOXA-8-AZAESPIRO[4.5]DECANO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 548.

EJEMPLO 354

N-(2-FENILETIL)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 609.

EJEMPLO 355

3-[4-(4-MORFOLIN-4-ILPIPERIDIN-1-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 575.0.

EJEMPLO 356

7-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1,4-DIOXA-7-AZAESPIRO[4.5]DECANO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 548.

EJEMPLO 357

7-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1,4-DIOXA-7-AZAESPIRO[4.5]DECANO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 548.

EJEMPLO 358

8-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1,4-DIOXA-8-AZAESPIRO[4.5]DECANO

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 548.

EJEMPLO 359

1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-ONA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 504.0.

EJEMPLO 360

3-[3-(3-MORFOLIN-4-ILPIPERIDIN-1-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 575.2.

EJEMPLO 361

N-BUTIL-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 561.

EJEMPLO 362

N,N-DIPROPIL-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ES) m/z 589.2.

EJEMPLO 363

N-FENIL-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 581.

EJEMPLO 364

3-{4-[4-(4-METILPIPERAZIN-1-IL)PIPERIDIN-1-IL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 588.

EJEMPLO 365

N-(CICLOHEXILMETIL)-1-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 601.

EJEMPLO 366

N-FENIL-1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 581.

EJEMPLO 367

N-(CICOHEXILMETIL)-1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 601.

EJEMPLO 368

3-{3-[4-(4-METILPIPERAZIN-1-IL)PIPERIDIN-1-IL]FENIL)-2(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 588.

EJEMPLO 369

N-BUTIL-1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 561.

EJEMPLO 370

3-[3-(4-MORFOLIN-4-ILPIPERIDIN-1-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 575.

EJEMPLO 371

N-(2-FENILETIL)-1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 609.

EJEMPLO 372

N-ETIL-N-METIL-1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-AMINA

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 547.

EJEMPLO 373

1-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}PIPERIDIN-4-OL

Este compuesto se preparó similarmente al del Ejemplo 149. EM (ESI) m/z 506.

EJEMPLO 374

3-(1-BENZOTIEN-2-IL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Una solución de 3-bromo-5-trifluoroindazol (1.8 g, 9.3 mmol) y bromuro de 2,4,6-trifluorobencilo (2.1g, 9.3 mmol) en 20 mL DMF se calentó a 110°C durante 48 horas. La mezcla de reacción se dividió con EtOAc y H_2O. La fase orgánica se concentró in vacuo y el residuo se purificó por cromatografía instantánea (hexano- EtOAc: 9:1) para dar el intermediario 2-

(2,4,6-trifluorobencil-3-bromo-5-trifluoroindazol. Luego una solución de 2-(2,4,6-trifluorobencil-3-bromo-5-trifluoroindazol (0.15 g, 0.37 mmol), ácido 2-benzotiofen borónico (0.37 g, 0.21 mmol), dicloro-difenilfosfonoferroceno de paladio (0.02 g. 0.024 mmol) y fosfato de potasio (0.222 g, 1.05 mmol) en etilen glicol dimetiléter (10 mL) se calentó a 84°C durante 12 horas. La mezcla de reacción se dividió con EtOAc y H_2O. La fase orgánica se concentró in vacuo y el residuo se purificó por cromatografía instantánea (hexano-EtOAc, 10:1) para dar el compuesto del título EM (ES) m/z 463.0.

EJEMPLO 375

3-(1H-INDOL-5-IL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 374. EM (ESI) m/z 446.

EJEMPLO 376

3-DIBENZO[B,D]TIEN-4-IL-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 374. EM (ES) m/z 513.0.

EJEMPLO 377

3-(2-NAFTIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 374. EM (ES) m/z 457.1.

EJEMPLO 378

3-[2-(2-FLUORO-4-METOXIFENIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 374. EM (ES) m/z 568.1.

EJEMPLO 379

3-[1-(2,4-DICLOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Una solución de 3-(1H-indol-5-il)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol (0.025 g, 0.056 mmol) e hidruro de sodio (60% en aceite, 0.003 g, 0.07 mmol) en 1 mL DMF se agitó a temperatura ambiente bajo nitrógeno durante 20 minutos. Bromuro de 2,4-diclorobencilo (0.081 g, 0.42 mmol) se agregó a la mezcla de reacción, que se agitó durante la noche y luego se dividió entre EtOAc y H_2O. La fase orgánica se concentró y purificó por CLAR de fase normal (sílice, hexano-EtOAc, 4:1) para proporcionar el compuesto del título. EM (ES) m/z 604.0.

EJEMPLO 380

3-{1-[5-CLORO-2-(TRIFLUOROMETIL)BENCIL]-1H-INDOL-5-IL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 638.0.

EJEMPLO 381

3-[1-(2-CLOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 570.0.

EJEMPLO 382

3-[1-(2-FLUOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 554.1.

EJEMPLO 383

3-[1-(2,4-DIFLUOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 572.

EJEMPLO 384

3-(1-BENCIL-1H-INDOL-5-IL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 536.1.

EJEMPLO 385

3-[1-(4-CLOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 570.0.

EJEMPLO 386

3-[1-(2-CLORO-6-FLUOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 588.0.

EJEMPLO 387

3-[1-(2,6-DICLOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 604.0.

EJEMPLO 388

3-[1-(2,6-DIFLUOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 572.1.

EJEMPLO 389

3-[1-(2-CLORO-4-FLUOROBENCIL)-1H-INDOL-5-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 588.0.

EJEMPLO 390

3-[1-(2-FLUOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 554.0.

EJEMPLO 391

3-[1-(2,6-DIFLUOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 572.1.

EJEMPLO 392

3-[1-(2-CLORO-4-FLUOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 588.0.

EJEMPLO 393

3-[1-(2-CLOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 570.0.

EJEMPLO 394

3-[1-(2-CLORO-6-FLUOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 588.0.

EJEMPLO 395

3-[1-(2,4-DIFLUOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 572.1.

EJEMPLO 396

3-[1-(2,6-DICLOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 601.9.

EJEMPLO 397

3-[1-(4-CLOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 570.0.

EJEMPLO 398

3-{1-[5-CLORO-2-(TRIFLUOROMETIL)BENCIL]-1H-INDOL-6-IL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 638.1.

EJEMPLO 399

3-(1-BENCIL-1H-INDOL-6-IL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 536.1.

EJEMPLO 400

3-[1-(2,4-DICLOROBENCIL)-1H-INDOL-6-IL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 604.0.

EJEMPLO 401

3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 423.1.

EJEMPLO 402

2-{[3-[1-(2,6-DICLOROBENCIL)-1H-INDOL-6-IL]-7-(TRIFLUOROMETIL)-2H-INDAZOL-2-IL]METIL)-3,5-DIFLUOROFENOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 603.1.

EJEMPLO 403

2-{[3-[1-(2-CLORO-6-FLUOROBENCIL)-1H-INDOL-6-IL]-7-(TRIFLUOROMETIL)-2H-INDAZOL-2-IL]METIL}-3,5-DIFLUOROFENOL

Este compuesto se preparó similarmente al del Ejemplo 379. EM (ES) m/z 586.1.

EJEMPLO 404

N,N-DIMETIL-4-{3-[1-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-1H-INDAZOL-3-IL]FENOXI}BENCENSULFONAMIDA

Una solución de 3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-1H-indazol-3-il]fenol (0.09 g, 0.21 mmol, preparado similarmente al del Ejemplo 1), 4-fluoro-N,N-dimetil-bencensulfonamida (0.087 g, 0.42 mmol) y carbonato de potasio (0.06 g, 0.42 mmol) en 1 mL DMF se calentó a 150°C durante 3 horas, y luego se dividió entre EtOAc y H_2O. La fase orgánica se concentró y purificó por cromatografía de fase normal (sílice, hexano-EtOAc, 4:1) para proporcionar el compuesto del título. EM (ES) m/z 605.8.

EJEMPLO 405

3-{3-[4-(MORFOLIN-4-ILSULFONIL)FENOXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 404. EM (ES) m/z 648.

EJEMPLO 406

N-PROPIL-4-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENCENSULFONAMIDA

Este compuesto se preparó similarmente al del Ejemplo 404. EM (ESI) m/z 620.

EJEMPLO 407

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3-{3-[4-(PIRROLIDIN-1-ILSULFONIL)FENOXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 404. EM (ES) m/z 632.2.

EJEMPLO 408

3-{3-[4-(PIPERIDIN-1-ILSULFONIL)FENOXI]FENIL)-1-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-1H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 404. EM (ESI) m/z 646.

EJEMPLO 409

N,N-DIETIL-4-{3-[1-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-1H-INDAZOL-3-IL]FENOXI}BENCENSULFONAMIDA

Este compuesto se preparó similarmente al del Ejemplo 404. EM (ES) m/z 634.3.

EJEMPLO 410

N-ETIL-N-METIL-4-{3-[1-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-1H-INDAZOL-3-IL]FENOXI)BENCENSULFONAMIDA

Este compuesto se preparó similarmente al del Ejemplo 404. EM (ES) m/z 620.3.

EJEMPLO 411

7-FLUORO-2-(4-FLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 339.

00515

EJEMPLO 412

7-FLUORO-2-(2-FLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 339.

EJEMPLO 413

2-(2,4-DIFLUOROBENCIL)-7-FLUORO-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 357.

EJEMPLO 414

2-(3,4-DIFLUOROBENCIL)-7-FLUORO-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 357.

EJEMPLO 415

2-(4-CLOROBENCIL)-7-FLUORO-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 355.

EJEMPLO 416

7-CLORO-2-(4-CLOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 371.

EJEMPLO 417

7-CLORO-2-(4-FLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 355.

EJEMPLO 418

7-CLORO-2-(3,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 373.

EJEMPLO 419

7-CLORO-2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 373.

EJEMPLO 420

7-CLORO-2-(2-FLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ESI) m/z 355.

EJEMPLO 421

1-[2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL-7-IL]PIPERIDINA-4-CARBOXAMIDA

Este compuesto se hace de manera similar como por el Ejemplo 149. EM (ESI) m/z 465.

EJEMPLO 422

2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-7-MORFOLIN-4-IL-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 149. EM (ESI) m/z 424.

EJEMPLO 423

2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-7-PIPERIDIN-1-IL-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 149. EM (ESI) m/z 422.

EJEMPLO 424

2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-N,N-DIMETIL-2H-INDAZOL-7-AMINA

Este compuesto se hace de manera similar como por el Ejemplo 149. EM (ESI) m/z 382.

EJEMPLO 425

2-(2,4-DIFLUOROBENCIL)-N-ETIL-3-(4-FLUOROFENIL)-2H-INDAZOL-7-AMINA

Este compuesto se hace de manera similar como por el Ejemplo 149. EM (ESI) m/z 382.

EJEMPLO 426

2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-7-PIRROLIDIN-1-IL-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 149. pf 112-114°C; EM (ESI) m/z 408.

EJEMPLO 427

2-(2,4-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL-7-CARBONITRILO

Este compuesto se hace de manera similar como por el Ejemplo 149. EM (ESI) m/z 364.

00518

EJEMPLO 428

7-CLORO-2-(2,4-DICLOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 405.1.

EJEMPLO 429

7-CLORO-2-(2-CLORO-4-FLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 389.1.

EJEMPLO 430

7-CLORO-2-(2-CLORO-6-FLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 389.1.

EJEMPLO 431

7-CLORO-2-(2,6-DIFLUOROBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 373.1.

EJEMPLO 432

7-CLORO-3-(4-FLUOROFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 391.1.

EJEMPLO 433

7-CLORO-2-(2,4-DIMETILBENCIL)-3-(4-FLUOROFENIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 365.2.

EJEMPLO 434

2-(2,4-DIFLUOROBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

El ácido picolínico (12.3 g, 100 mmol), N,N-carbonildiimidazol (17.8 g, 110 mmol) y CH_2Cl_2 anhidro (150 mL) se combinaron y se agitaron a temperatura ambiente durante 60 minutos. A la mezcla de reacción se le agregó una solución de clorohidrato de N,O-dimetilhidroxilamina (10.7 gr, 0.11 mole), CH_2Cl_2 anhidro (50 mL) y diisopropiletilamina (20 mL), y continuó la agitación durante la noche. La mezcla de reacción se concentró in vacuo y purificó por cromatografía instantánea (gel de sílice 60, MeOH/CH_2Cl_2, 9:1) para proporcionar metoxi-metil-amida del ácido piridina-2-carboxílico (un líquido amarillo pálido, 15.9 g, 95%); ESI) m/z 167.

Luego una solución de metoxi-metil-amida del ácido piridina-2-carboxílico (1.5 g, 9 mmoles) se permitió para reaccionar con bromuro de 2-fluoro-3-trifluorometilfenilmagnesio (2.72 g, 10 mmoles) en THF (30 mL) a 60°C durante la noche. La mezcla de reacción se enfrió y diluyó con acetato de etilo y cloruro de amonio. La porción orgánica se lavó con salmuera y se secó sobre $MgSO_4$ y se destiló para proporcionar un producto crudo, que se purificó por cromatografía con CH_2Cl_2 para dar (6-fluoro-5-

trifluorometil-ciclohexa-2,4-dienil)-piridin-2-il-metanona como un líquido incoloro (1.6 gr., 65%).

Después, una solución de (6-fluoro-5-trifluorometil-ciclohexa-2,4-dienil)-piridin-2-il-metanona (1.5 g, 5.6 mmol), 4-dimetilaminopiridina (0.5 g) e hidrato de hidrazina (5 mL) en piridina (20 mL) se calentó a 100°C durante la noche. La mezcla de reacción se enfrió y diluyó con salmuera y EtOAc. Los orgánicos se lavaron con agua (3 x 25 mL), luego salmuera (25 mL) y se secaron sobre $MgSO_4$. La mezcla de reacción se concentró in vacuo y purificó por cromatografía instantánea (gel de sílice 60, EtOAc/CH_2Cl_2, 19:1) para proporcionar 3-piridin-2-il-7-(trifluorometil)-2H-indazol como un sólido amarillo pálido (1.1 g, 76 %).

Luego una solución de 3-piridin-2-il-7-(trifluorometil)-2H-indazol (0.183 g, 0.7 mmol) y bromuro de 2,4,6-trifluorobencilo (0.203 g, 0.9 mmol) en DMF (5 mL) se calentó a 120°C. Después de 5 días, la mezcla de reacción se dividió con EtOAc y agua. Los orgánicos se lavaron con agua (3x), luego salmuera y se secaron sobre $MgSO_4$, luego se destiló y el producto crudo resultante se vaporizó por CLAR de fase inversa para proporcionar el compuesto del título (0.033 g, 5%). EM m/z 390.

EJEMPLO 435

2-(2-CLORO-4-FLUOROBENCIL)-3-(PIRIDIN-2-ILMETIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ESI) m/z 420.

EJEMPLO 436

2-(2,4-DIFLUOROBENCIL)-3-(PIRIDIN-2-ILMETIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ESI) m/z 404.

EJEMPLO 437

2-(2-CLORO-6-FLUOROBENCIL)-3-(PIRIDIN-2-ILMETIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ESI) m/z 420.

EJEMPLO 438

2-(2,6-DIFLUOROBENCIL)-3-(PIRIDIN-2-ILMETIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ESI) m/z 404.

EJEMPLO 439

3-(PIRIDIN-2-ILMETIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ESI) m/z 422.

EJEMPLO 440

2-(2,6-DICLOROBENCIL)-3-(PIRIDIN-2-ILMETIL)-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ESI) m/z 436.

EJEMPLO 441

2-(2,6-DIFLUOROBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 390.1.

EJEMPLO 442

2-(2-METILFENIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Una solución de 3-piridin-2-il-7-(trifluorometil)-2H-indazol (0.2633 g, 1 mmol), ácido 2-metilfenilborónico (0.271 g, 2 mmol, acetato de cobre(II) (0.181 g, 1 mmol) y piridina (0.161 mL, 2 mmol) en 20 mL de cloruro de metileno se agitó a temperatura ambiente durante 2 horas. La mezcla de reacción se concentró in vacuo y purificó por cromatografía instantánea (gel de sílice 60, cloruro de metileno-acetato de etilo, 3:1) para dar el compuesto del título (0.08 g). EM (ES) m/z 354.0.

EJEMPLO 443

3-(4-BROMOFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM m/z 485.

EJEMPLO 444

{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}AMINA

Combinados en un vial de microondas grande fueron 3-(3-clorofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol (1.0 g, 2.3 mmol), benzofenona-difenilimina (0.500 g, 2.8 mmol), t-butóxido de sodio (0.260 mg, 2.8 mmoles), tris dibencilidenacetona-dipaladio (0.150 g, 0.16 mmoles), diciclohexil-dimetilamino-bifenilo (0.25 g, 0.63 mmol) y dimetoxietano (8 mL), los cuales se corren en un microondas (Optimizador Emory, Personal Chemistry) a 150°C durante 10 minutos. La mezcla de reacción se diluyó con acetato de etilo y agua; luego los orgánicos se lavaron con agua y salmuera y se secaron sobre sulfato de magnesio. El solvente se removió y el material crudo se purificó por cromatografía instantánea (sílice 60, acetato de etilo 10%/hexano) para proporcionar N-(difenilmetileno)-N-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amina como un sólido amarillo pálido (0.82 gr, 62%).

Luego una solución de N-(difenilmetileno)-N-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amina (0.77 g, 1.31 mmol) en metanol (10 mL) se trató con acetato de sodio (0.25 g, 3 mmol) y clorohidrato de hidroxilamina (0.15 g, 2.2 mmol), y se agitó a temperatura ambiente durante 2 horas. El metanol se evaporó y el residuo

se hizo en mezcla espesa en éter, el sólido inorgánico se filtró completamente, y el éter se destiló para proporcionar producto crudo, que se purificó por cromatografía instantánea (sílice 60, hexano 10%/acetato de etilo) para proporcionar el compuesto del título (0.28 g, 50%). EM (ESI) m/z 422.

EJEMPLO 445

{4-[2-(2,4,6-TRIFLUOROBENCIL)-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}AMINA

Este compuesto se hace de manera similar como por el Ejemplo 444. EM (ES) m/z 422.0.

EJEMPLO 446

N-ISOBUTIL-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM m/z 521; EM m/z 519.

EJEMPLO 447

N-(PIPERIDIN-4-ILMETIL)-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM m/z 560.

EJEMPLO 448

N-(2-METOXIBENCIL)-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL)UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM m/z 585; EM m/z 583.

EJEMPLO 449

N,N-DIPROPIL-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM m/z 549; EM m/z 547.

EJEMPLO 450

N-(TETRAHIDROFURAN-2-ILMETIL)-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ESI) m/z 549; EM (ESI) m/z 547.

EJEMPLO 451

N-(4-BROMOFENIL)-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ESI) m/z 619; EM (ESI) m/z 617.

EJEMPLO 452

N-(PIRIDIN-4-ILMETIL)-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL)UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ESI) m/z 556; EM (ESI) m/z 554.

EJEMPLO 453

2-(2,4-DICLOROBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 421.9.

EJEMPLO 454

2-(2,4-DIMETILBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 382.0.

EJEMPLO 455

3-PIRIDIN-2-IL-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 407.9.

EJEMPLO 456

2-(2-CLOROBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 387.9.

EJEMPLO 457

2-(4-CLOROBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 387.9.

EJEMPLO 458

2-(2-CLORO-6-FLUOROBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 405.9.

EJEMPLO 459

2-(2-CLORO-4-FLUOROBENCIL)-3-PIRIDIN-2-IL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ES) m/z 405.9.

EJEMPLO 460

N-(2-FLUOROFENIL)-N'-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ES) m/z 556.8.

EJEMPLO 461

N-(2-CLOROFENIL)-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ES) m/z 572.8.

EJEMPLO 462

N-FENIL-N'-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ES) m/z 538.8.

EJEMPLO 463

N-(2-CLOROFENIL)-N'-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ES) m/z 572.8.

EJEMPLO 464

N-(2-FLUOROFENIL)-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-YL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ES) m/z 556.8.

EJEMPLO 465

N-FENIL-N'-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}UREA

Este compuesto se hace de manera similar como por el Ejemplo 258. EM (ES) m/z 538.8.

EJEMPLO 466

3-(4-PIPERAZIN-1-ILFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 149. EM (ES) m/z 491.0.

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EJEMPLO 467

3-[4-(4-BENZOILPIPERAZIN-1-IL)FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Se combinaron en un vial 3-(4-piperazin-1-ilfenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol (0.10 g, 0.2 mmol), cloruro de benzoilo (0.030 g, 0.22 mmol), y diisopropiletilamina (0.2 mL) y THF (2 mL), los cuales se agitaron durante la noche a temperatura ambiente. Luego la mezcla de reacción se diluyó con acetato de etilo y agua, y los orgánicos se lavaron con agua y salmuera, luego se secaron sobre sulfato de magnesio. El producto crudo se purificó por cromatografía instantánea (sílice 60, 5% EtOAc/CH_2Cl_2) para proporcionar el compuesto del título (0.06 g, 50%). EM (ESI) m/z 595.

EJEMPLO 468

3-{4-[4-(2-CLOROBENZOIL)PIPERAZIN-1-IL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 467. EM (ESI) m/z 629.

EJEMPLO 469

3-{4-[4-(2-TIENILCARBONIL)PIPERAZIN-1-IL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 467. EM (ES) m/z 601.0.

EJEMPLO 470

3-{4-[4-(2-METOXIBENZOIL)PIPERAZIN-1-IL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 467. EM (ES) m/z 625.1.

EJEMPLO 471

3-{4-[4-(3-METOXIBENZOIL)PIPERAZIN-1-IL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 467. EM (ES) m/z 625.1.

EJEMPLO 472

3-{4-[4-(4-METOXIBENZOIL)PIPERAZIN-1-IL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 467. EM (ES) m/z 625.1.

EJEMPLO 473

3-(4-CLOROPIRIDIN-2-IL)-7-(TRIFLUOROMETIL)-1H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 434. EM (ESI) m/z 298.

EJEMPLO 474

N-(2,5-DIFLUOROBENCIL)-2-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]PIRIDIN-4-AMINA

Este compuesto se hace de manera similar como por el Ejemplo 444. EM (ESI) m/z 531.

EJEMPLO 475

2-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]-N-(2,5-DIMETILBENCIL)PIRIDIN-4-AMINA

Este compuesto se hace de manera similar como por el Ejemplo 444. EM (ES) m/z 521.2.

EJEMPLO 476

N-(3,5-DIFLUOROBENCIL)-2-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]PIRIDIN-4-AMINA

Este compuesto se hace de manera similar como por el Ejemplo 444. EM (ES) m/z 529.1.

EJEMPLO 477

N-(1H-INDOL-5-ILMETIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Una solución de ({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amina (0.1 g, 0.24 mmol), 5-carboxaldehído indol (0.035 g, 0.24 mmol), formiato de sodio (0.189 g, 3 mmol) y cianoborohidruro de sodio (0.188 g, 3 mmol) en metanol (3 mL) se calentó a 60°C durante la noche. La mezcla de reacción se enfrió, y concentró in vacuo. El residuo se dividió con acetato de etilo e hidróxido de amonio. La fase orgánica se concentró in vacuo para proporcionar producto crudo, que se purificó por cromatografía instantánea (gel de sílice 60, cloruro de metileno) para proporcionar el compuesto del título (0.031 g, 24%). EM (ES) m/z 551.2.

EJEMPLO 478

N-[(1-METIL-1H-INDOL-5-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 565.2.

EJEMPLO 479

{3-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}AMINA

Este compuesto se hace de manera similar como por el Ejemplo 444. EM (ES) m/z 404.1.

EJEMPLO 480

{3-[2-(2,4-DIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}[(1-METIL-1H-INDOL-2-IL)METIL]AMINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ESI) m/z 547; EM (ESI) m/z 545.

EJEMPLO 481

N-(2-FLUORO-4-METOXIBENCIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ESI) m/z 560.

EJEMPLO 482

N-(2,5-DIMETILBENCIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 540.2.

EJEMPLO 483

N-[2-FLUORO-5-(TRIFLUOROMETIL)BENCIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ESI) m/z 598.

EJEMPLO 484

N-[2-FLUORO-3-(TRIFLUOROMETIL)BENCIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ESI) m/z 598.

EJEMPLO 485

N-(2,5-DIMETILBENCIL)-4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ESI) m/z 540.

EJEMPLO 486

N-[2-FLUORO-5-(TRIFLUOROMETIL)BENCIL]-4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 596.2.

EJEMPLO 487

N-(PIRIDIN-2-ILMETIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 513.1.

EJEMPLO 488

N-(1H-INDOL-7-ILMETIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 549.2.

EJEMPLO 489

N-(PIRIDIN-3-ILMETIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 513.1.

EJEMPLO 490

N-(1H-INDOL-4-ILMETIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 551.1.

EJEMPLO 491

N-(PIRIDIN-4-ILMETIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 513.1.

EJEMPLO 492

N-[(5-CLORO-2-TIENIL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 552.0.

EJEMPLO 493

N-[(5-BROMO-2-TIENIL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 596.0.

EJEMPLO 494

N-[(4-BROMO-2-TIENIL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 596.0.

EJEMPLO 495

N-[(5-METIL-2-TIENIL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 532.1.

EJEMPLO 496

N-[(3-METIL-2-TIENIL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 532.1.

EJEMPLO 497

N-[(1-ETIL-1H-INDOL-5-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 579.1.

EJEMPLO 498

N-[(1-PROPIL-1H-INDOL-5-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 593.1.

EJEMPLO 499

N-[(1-BUTIL-1H-INDOL-5-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ESI) m/z 607.

EJEMPLO 500

N-[(3-METIL-1-BENZOTIEN-2-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 582.0.

EJEMPLO 501

N-[(2-METIL-1H-IMIDAZOL-5-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 514.0.

EJEMPLO 502

N-(2-NAFTILMETIL)-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 562.0.

EJEMPLO 503

N-[(1-SEC-BUTIL-1H-INDOL-5-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 607.1.

EJEMPLO 504

N-[(1-ISOPROPIL-1H-INDOL-5-IL)METIL]-3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]ANILINA

Este compuesto se hace de manera similar como por el Ejemplo 477. EM (ES) m/z 593.2.

EJEMPLO 505

3-(4-ETINILFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 229 EM (ES) m/z 431.1.

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EJEMPLO 506

3-({4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)BENZOATO DE ETILO

Este compuesto se hace de manera similar como por el Ejemplo 229. EM (ES) m/z 579.1.

EJEMPLO 507

ÁCIDO 3-({4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)BENZOICO

Este compuesto se hace de manera similar como por el Ejemplo 229. EM (ESI) m/z 551.

EJEMPLO 508

4-[3-({4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}ETINIL)BENZOIL]PIPERAZIN-1-CARBOXILATO DE TERT-BUTILO

Este compuesto se hace de manera similar como por el Ejemplo 229. EM (ES) m/z 719.2.

EJEMPLO 509

3-(4-{[2-CLORO-5-(TRIFLUOROMETIL)FENIL]ETINIL}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 229. ^{1}H RMN ($CDCl_3$): δ 5.70 (2H, s), 6.58-6.65 (2H, m), 7.21 (1H, t, J=2.7 Hz), 7.51-7.56 (3H, m), 7.59-7.63 (2H, m), 7.68-7.70 (1H, d, J=8.5 Hz), 7.76-7.78 (2H, m), 7.88 (1 H, d, J=2.1 Hz).

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EJEMPLO 510

3-{4-[(2,4-DIFLUOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 229. ^{1}H RMN ($CDCl_3$): δ 5.70 (2H, s), 6.58-6.63 (2H, m), 6.89-6.95 (2H, m), 7.09-7.13 (1 H, m), 7.48-7.54 (3H, m), 7.58-7.62 (1 H, m), 7.67-7.74 (3H, m).

EJEMPLO 511

3-{4-[(3,5-DIMETILFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 229. ^{1}H RMN ($CDCl_3$): δ 2.34 (6H, s), 5.70 (2H, s), 6.58-6.64 (2H, m), 7.02 (1H, s), 7.09-7.13 (1H, m), 7.22 (2H, s), 7.47 (2H, d, J=7.9 Hz), 7.61 (1H, d, J=7.9 Hz), 7.69 (3H, m).

EJEMPLO 512

3-{4-[(3-CLORO-2-FLUOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 229. ^{1}H RMN ($CDCl_3$): δ 5.70 (2H, s), 6.57-6.64 (2H, m), 7.09-7.14 (2H, m), 7.40-7.49 (2H, m), 7.51 (2H, d, J=8.1 Hz), 7.62 (1H, d, J=7.0 Hz), 7.69 (1H, d, J=8.5 Hz), 7.74 (2H, dd, J=6.6 Hz, J=1.6 Hz).

EJEMPLO 513

3-{4-[(2,3-DICLOROFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 229. ^{1}H RMN ($CDCl_3$): δ 5.70 (2H, s), 6.58-6.64 (2H, m), 7.10-7.14 (1H, m), 7.21-7.25 (2H, m), 7.46-7.54 (3H, m), 7.62 (1 H, d, J=7.1 Hz), 7.69 (1H, d, J=8.4 Hz), 7.76 (2H, dd, J=6.7 Hz, J=1.8 Hz).

EJEMPLO 514

3-{4-[(2,3-DIMETILFENIL)ETINIL]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 229. ^{1}H RMN ($CDCl_3$): δ 2.33 (3H, s), 2.51 (3H, s), 5.70 (2H, s), 6.58-6.65 (2H, m), 7.10-7.16 (3H, m), 7.42 (1H, d, J=7.4 Hz), 7.48-7.52 (2H, m), 7.61-7.63 (1H, m), 7.70-7.74 (3H, m).

EJEMPLO 515

5-{3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

Este compuesto se hace de manera similar como por el Ejemplo 3. EM (ES) m/z 565.1.

EJEMPLO 516

3-(3-BROMOFENIL)-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 482.9.

EJEMPLO 517

3-(3-BROMOFENIL)-2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se hace de manera similar como por el Ejemplo 1. EM (ES) m/z 482.9.

EJEMPLO 518

METOXI-METIL-AMIDA DEL ÁCIDO 2-BENCIL-3-FENIL-2H-INDAZOL-7-CARBOXÍLICO

El 2-Bencil-3-fenil-7-trifluorometil-2H-indazol (353 mg, 1 mmol), preparado similarmente al del Ejemplo 1, se trató con 3 ml de H_2SO_4 acuoso 70% a 90°C durante 4 horas. Después del enfriamiento, 10 volúmenes de agua se agregaron y el producto se extrajo con diclorometano. La cromatografía de columna instantánea (SiO_2, diclorometano como un eluyente) proporcionó ácido 2-bencil-3-fenil-2H-indazol-7-carboxílico en 60% de rendimiento. El ácido 2-bencil-3-fenil-2H-indazol-7-carboxílico (1.64 g, 5 mmol) se disolvió en 20 ml de piridina seguido por adición de clorohidrato de 1-(-3-dimetilaminopropil)-3-etilcarbodiimida (1.34 g, 7 mmol) y clorohidrato de N,O-dimetihidroxilamina (585 mg, 6 mmol). La mezcla de reacción se agitó a temperatura ambiente durante la noche. Piridina se evaporó y el residuo se agitó con HCl acuoso 0.5M y diclorometano. El producto se extrajo con diclorometano, y la cromatografía de columna instantánea (SiO_2, diclorometano como un eluyente) proporcionó metoxi-metil-amida del ácido 2-bencil-3-fenil-2H-indazol-7-carboxílico en 79% de rendimiento. CLEM: M+H: 372.2.

EJEMPLO 519

1-(2-BENCIL-3-FENIL-2H-INDAZOL-7-IL)ETANONA

El ácido 2-bencil-3-fenil-2H-indazol-7-carboxílico (0.5 mmol, 160 mg, ver preparación del Ejemplo 518) se disolvió en 5 ml de THF seco a 0°C. Dos mililitros (2 ml) de MeLi (1.6 M solución en THF) se agregaron gota a gota y la mezcla se agitó durante la noche a temperatura ambiente. La mezcla de reacción se apagó con solución NH_4Cl acuosa y el producto se extrajo con EtOAc, y luego la cromatografía de columna instantánea (SiO_2, diclorometano como un eluyente) proporcionó 1-(2-bencil-3-fenil-2H-indazol-7-il)etanona en 61% de rendimiento. CLEM: M+H: 327.5.

EJEMPLO 520

2-(2-BENCIL-3-FENIL-2H-INDAZOL-7-IL)PROPAN-2-OL

Noventa miligramos (90 mg; 0.24 mmol) de éster de metilo del ácido 2-bencil-3-fenil-2H-indazol-7-carboxílico, preparado a partir del ácido 2-bencil-3-fenil-2H-indazol-7-carboxílico (ver preparación del Ejemplo 518), se disolvieron en THF y 0.5 ml de 3M MeMgBr en THF (1.4 mmol) se agregaron. La mezcla de reacción se agitó a temperatura ambiente durante 2 horas. La mezcla de reacción se apagó con solución NH_4Cl acuosa y producto se extrajo con EtOAc, y luego la cromatografía de columna instantánea (SiO_2, diclorometano como un eluyente) proporcionó 2-(2-bencil-3-fenil-2H-indazol-7-il)propan-2-ol en 86% de rendimiento. CLEM: M+H: 343.4.

EJEMPLO 521

2-BENCIL-7-ISOPROPENIL-3-FENIL-2H-INDAZOL

Cincuenta miligramos (50 mg; 0.15 mmol) de 2-(2-bencil-3-fenil-2H-indazol-7-il)propan-2-ol (Ejemplo 520) se disolvieron en 2 ml de tolueno seguido por la adición de 11 mg de TsOH. La mezcla se calentó a 110°C durante 1 hora. Después del enfriamiento, la solución resultante se filtró a través de un tapón SiO_2 proporcionando, después de la evaporación, 45 mg de 2-bencil-7-isopropenil-3-fenil-2H-indazol puro. Rendimiento: 94%. CLEM: M+H: 325.4.

EJEMPLO 522

2-BENCIL-7-ISOPROPIL-3-FENIL-2H-INDAZOL

Treinta miligramos (30 mg; 0.092 mmol) de 2-bencil-7-isopropenil-3-fenil-2H-indazol (Ejemplo 521) se disolvieron en 2 ml de etanol seco seguido por la adición de 30 mg de PtO_2. La mezcla de reacción se agitó bajo atmósfera H_2 a temperatura ambiente durante 2 horas, luego se filtró y el EtOH se evaporó. La cromatografía de columna instantánea (SiO_2, diclorometano/heptano, 1:1, como un eluyente) proporcionó 2-bencil-7-isopropil-3-fenil-2H-indazol en 80% de rendimiento. CLEM: M+H: 327.5.

EJEMPLO 523

2-BENCIL-3-{3-[(2,5-DIFLUOROFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Treinta y ocho miligramos (38 mg; 0.1 mmol) de [3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-metanol (ver preparación del Ejemplo 7) se disolvieron en 1 ml de diclorometano seguido por la adición de 28 µl de Et_3N (0.2 mmol). La mezcla se enfrió a -30°C y 12 µl de MsCl se

agregaron. Continuó la agitación a 0°C durante 1.5 horas. A la solución resultante, 85 mg de Cs_2CO_3 (0.25 mmol) se le agregaron seguido por la adición de 65 mg (0.5 mmol) de 2,5-difluorofenol. Luego la mezcla de reacción se agitó a temperatura ambiente durante la noche. La mezcla de reacción se apagó con HCl acuoso 1 M y el producto se extrajo con diclorometano. La cromatografía de columna instantánea (SiO_2, diclorometano/heptano, 1:1, como un eluyente) proporcionó 2-bencil-3-{3-[(2,5-difluorofenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol en 41% de rendimiento. CLEM: M+H: 495.8.

EJEMPLO 524

N-BENCIL-3-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZAMIDA

Cincuenta y siete miligramos (57 mg; 0.1 mmol) de éster de metilo del ácido 3-[3-(2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il)-fenoximetil]-benzoico (preparado similarmente al del éster de metilo del ácido 4-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-benzoico en la preparación del Ejemplo 2) se disolvieron en 2 ml de THF seco seguido por la adición de 110 µl de bencilamina (1 mmol). La mezcla de reacción se enfrió a -30°C y 450 µl de solución 2M de bromuro de butilmagnesio en éter se agregaron gota a gota. Luego la mezcla de reacción se agitó durante 30 min a -30°C y se mantuvo durante la noche a 0°C. La mezcla de reacción se apagó con solución NH_4Cl acuosa y el producto se extrajo con EtOAc. La cromatografía de columna instantánea (SiO_2, diclorometano como un eluyente)

proporcionó N-bencil-3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzamida en 66% de rendimiento. CLEM: M+H: 644.6.

EJEMPLO 525

2-BENCIL-3-[4-(BENCILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

El 4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenol (10 mg, 1 eq) se trató con bencilbromuro (10 µL, 3 eq) y carbonato de potasio (19 mg, 5 eq) en acetona (1 mL). La mezcla de reacción se calentó a 60°C hasta la terminación de la reacción, como se juzgó por CCD. Los volátiles se removieron in vacuo y el residuo se trató con una mezcla de agua/diclorometano seguido por extracción con diclorometano. La purificación por cromatografía instantánea (sílice, acetato de etilo/n-heptano, 1:9) dio el compuesto del título en 89% de rendimiento. CLEM: M+H: 459.5.

EJEMPLO 526

ÁCIDO 2-[4-({4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]PROPANÓICO

El compuesto del título se preparó al tratar 4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenol (20 mg, 1 eq) con ácido 2-(4-bromometil-fenil)-propiónico (39 mg, 3 eq) y carbonato de potasio (37 mg, 5 eq) en DMF (2 mL) a 150°C durante la noche. Después del enfriamiento, se agregó agua y el pH se ajustó a 1 (HCl acuoso, 2M) seguido por extracción con diclorometano. La purificación por CLAR preparativa dio el producto deseado en 45% de rendimiento. CLEM: M+H: 531.5.

EJEMPLO 527

ÁCIDO 1-[4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]CICLOPENTANCARBOXÍLICO

Este compuesto se preparó similarmente al del Ejemplo 525 al tratar 3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenol (20 mg, 1 eq) con éster de metilo del ácido 1-(4-bromometil-fenil)-ciclopentancarboxílico (48 mg, 3 eq) y carbonato de potasio (37 mg, 5 eq) en acetona (1.5 mL). El último reactivo se obtuvo al calentar ácido 1-p-tolil-ciclopentancarboxílico en metanol y una cantidad catalítica de ácido sulfúrico. Después de que se realizó α-bromación por reflujo el éster (100 mg, 1 eq) con NBS (82 mg, 1 eq) y peróxido de benzoilo (5 mg, 3%) en tetracloruro de carbono (2 mL) durante una hora. La mezcla de reacción se enfrió, se agregó agua y el producto se extrajo con diclorometano. El residuo se purificó por cromatografía instantánea (acetato de etilo/n-heptano, 1:9, como un eluyente). Luego el compuesto resultante se hidrolizó con LiOH acuoso (1M, 40 eq) / THF 1:1 y purificó por CLAR preparativa, proporcionando el producto deseado en 48% de rendimiento. CLEM: M+H: 571.4.

EJEMPLO 528

ÁCIDO 2-[4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]-2-METILPROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 525 al tratar 3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-

fenol (23 mg, 1 eq) con éster de metilo del ácido 2-(4-bromometil-fenil)-2-metil-propiónico (17 mg, 1 eq) y carbonato de potasio (26 mg, 3 eq) en acetona (2 mL). El último reactivo se obtuvo al calentar ácido p-tolil-acético en metanol y una cantidad catalítica de ácido sulfúrico. Después de que se realizó la metilación al tratar el éster obtenido (50 mg, 1 eq) con hidruro de sodio (26 mg, 2.2 eq) y yoduro de metilo (41 μL, 2.2 eq) en THF (1 mL). Entonces se realizó la α-bromación por reflujo el éster (16 mg, 1 eq) con NBS (15 mg, 1 eq) y peróxido de benzoilo (1 mg, 3%) en tetracloruro de carbono (1.5 mL) durante la noche. La mezcla de reacción se enfrió, se agregó agua y el producto se extrajo con diclorometano. El residuo se purificó por cromatografía instantánea (acetato de etilo/n-heptano, 1:9, como un eluyente). Luego el compuesto resultante se hidrolizó entonces con LiOH acuoso (1M, 40 eq)/THF, 1:1, y purificó por CLAR preparativa, proporcionando el producto deseado en 26% de rendimiento. CLEM: M+H: 545.3.

EJEMPLO 529

2-BENCIL-3-(3-{[4-(1H-TETRAZOL-5-IL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

El 4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzonitrilo (37 mg, 1 eq) se trató con azida de trimetilsililo (21 μL, 2 eq) y óxido de di-n-butilestaño (5 mg, 0.3 eq) en tolueno (2.5 mL) a 100°C hasta que se completó la conversión. Después del enfriamiento, más del

solvente se removió in vacuo y el residuo se tomó en metanol. La purificación por cromatografía instantánea, eluyente 10% metanol en diclorometano, proporcionó el compuesto del título en 87% de rendimiento. CLEM: M+H: 527.6, M-H: 525.8.

EJEMPLO 530

ÉSTER DE MONOETILO DEL ÁCIDO {4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETIL]-BENCIL}-FOSFÓNICO

El éster de dietilo del ácido {4-[3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-bencil}-fosfónico se obtuvo por un procedimiento similar al descrito por el Ejemplo 525. Esto se disolvió (45 mg, 1 eq) en acetonitrilo (2 mL) y se trató con bromotrimetilsilano (48 µL, 5 eq) a temperatura ambiente durante dos horas. La mezcla de reacción se apagó con agua y se concentró. La purificación por CLAR preparativa proporcionó el compuesto del título en 35% de rendimiento. CLEM: M+H: 581.3, M-H: 579.5.

EJEMPLO 531

ÁCIDO {3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}(FENIL)ACÉTICO

El 3-[2-(4-cloro-2-fluoro-bencil)-7-trifluorometil-2H-indazol-3-il]-fenol (25 mg, 1 eq) se trató con éster de metilo del ácido bromo-fenil-acético (41 mg, 3 eq) y carbonato de cesio (95 mg, 5 eq) en diclorometano (2 mL) hasta que la mezcla de reacción se completó como se juzgo por CCD. El agua se agregó seguido por extracción con

diclorometano. Posteriormente, el éster se hidrolizó en LiOH acuoso (1M, 15 eq) / THF 1:1. La purificación por cromatografía instantánea, elueyente: 2-5% metanol en diclorometano, proporcionó el compuesto del título en 55% de rendimiento. CLEM: M+H: 555.2, M-H: 553.4.

EJEMPLO 532

2-(4-CLORO-2-FLUOROBENCIL)-3-[3-(2-FENILETIL)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

A una suspensión de éster de dietilo del ácido bencil-fosfónico (20 µL, 1 eq) e hidruro de sodio (6 mg, 1.5 eq) en DMPU (0.5 mL), 3-[2-(4-cloro-2-fluoro-bencil)-7-trifluorometil-2H-indazol-3-il]-benzaldehído (45 mg, 1 eq) se agregó. La mezcla de reacción se agitó durante 45 minutos a temperatura ambiente, se apagó con agua y extrajo con éter de dietilo. Los volátiles se removieron y el residuo se purificó por cromatografía instantánea (sílice, acetato de etilo / n-heptano, 2:8). El producto obtenido se disolvió en etanol (2 mL) y se hidrogenó a 1 atm usando óxido de paladio al 7% como un catalizador. La filtración a través de Celite® y cromatografía instantánea, eluyente: diclorometano/n-hepatano, 1:1, proporcionó el compuesto del título en 11% de rendimiento general. CLEM: M+H: 509.3.

EJEMPLO 533

2-BENCIL-3-ETIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. CLEM: M+H: 305.6.

EJEMPLO 534

3-(4-BROMOFENIL)-2-METIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 1. CLEM: M+H: 355.1.

EJEMPLO 535

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,3-DIMETILFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 539.6.

EJEMPLO 536

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[2-CLORO-5-(TRIFLUOROMETIL)FENOXI]METIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 613.1.

EJEMPLO 537

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[2-CLORO-3-(TRIFLUOROMETIL)FENOXI]METIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 613.1.

EJEMPLO 538

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(1-NAFTILOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 561.2.

EJEMPLO 539

3-{3-[(2-TERT-BUTIL-5-METILFENOXI)METIL]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 581.3.

EJEMPLO 540

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(4-FLUORO-2-METILFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 543.5.

EJEMPLO 541

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIMETILFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 539.6.

EJEMPLO 542

5-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]BENCIL}OXI)-3,4-DIHIDRONAFTALEN-1(2H)-ONA

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 579.5.

EJEMPLO 543

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[(3,3-DIMETIL-2,3-DIHIDRO-1-BENZOFURAN-7-IL)OXI]METIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 581.3.

EJEMPLO 544

3-{3-[(2-TERT-BUTILFENOXI)METIL]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 567.2.

EJEMPLO 545

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,3-DIMETOXIFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 571.1.

EJEMPLO 546

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DICLOROFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 579.5.

EJEMPLO 547

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIMETOXIFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 571.1.

EJEMPLO 548

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIBROMOFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 669.2.

EJEMPLO 549

3-{3-[(2-ALIL-6-METILFENOXI)METIL]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 565.7.

EJEMPLO 550

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIISOPROPILFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 595.4.

EJEMPLO 551

3-{3-[(2-TERT-BUTIL-6-METILFENOXI)METIL]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 581.3.

EJEMPLO 552

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3-{3-[(2-ALIL-6-METOXIFENOXI)METIL]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 581.3.

EJEMPLO 553

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,5-DICLOROFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 579.5.

EJEMPLO 554

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIFLUOROFENOXI)METIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 523. CLEM: M+H: 547.4.

EJEMPLO 555

3-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-N-PROPILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 524. CLEM: M+H: 596.3.

EJEMPLO 556

3-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI)METIL)-N-CICLOPROPILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 524. CLEM: M+H: 594.5.

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EJEMPLO 557

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[3-(MORFOLIN-4-ILCARBONIL)BENCIL]OXY)FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 524. CLEM: M+H: 624.5.

EJEMPLO 558

3-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-N-ISOPROPILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 524. CLEM: M+H: 596.3.

EJEMPLO 559

ÁCIDO {4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 502.4, 2M+H: 1003.7, M-H: 500.5, 2M-H: 1002.0.

EJEMPLO 560

ÁCIDO {4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 502.4, M-H: 500.6.

EJEMPLO 561

3-{4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.8, M-H: 514.4.

EJEMPLO 562

ÁCIDO 3-{4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.8, M-H: 514.4.

EJEMPLO 563

ÁCIDO 3-{3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.8, M-H: 514.4.

EJEMPLO 564

3-{3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.8, M-H: 514.4.

EJEMPLO 565

ÁCIDO 2-{4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENOXI}-2-METIL-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 546.8, M-H: 544.4.

EJEMPLO 566

ÁCIDO 2-{4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENOXI}-2-METIL-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 546.8, M-H: 544.4.

EJEMPLO 567

ÁCIDO 2-(4-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 568

ÉSTER DE ETILO DEL ÁCIDO {4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 569

ÉSTER DE METILO DEL ÁCIDO 3-{3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 570

ÉSTER DE METILO DEL ÁCIDO 3-{3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 571

ÉSTER DE METILO DEL ÁCIDO 2-{4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENOXI}-2-METIL-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 560.3, M-H: 558.5.

EJEMPLO 572

ÉSTER DE METILO DEL ÁCIDO 2-{4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENOXI}-2-METIL-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 560.3, M-H: 558.5.

EJEMPLO 573

ÉSTER DE METILO DEL ÁCIDO 2-(4-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 574

ÉSTER DE METILO DEL ÁCIDO 2-(4-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 575

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ÁCIDO 2-(4-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 576

ÉSTER DE METILO DEL ÁCIDO 3-{3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-BUTÍRICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 577

ÉSTER DE METILO DEL ÁCIDO 3-{4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-BUTÍRICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 578

ÉSTER DE METILO DEL ÁCIDO (4-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 579

ÉSTER DE METILO DEL ÁCIDO {3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 516.5, M-H: 514.4.

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EJEMPLO 580

ÉSTER DE METILO DEL ÁCIDO {3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 516.5, M-H: 514.4.

EJEMPLO 581

ÁCIDO 3-{3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-BUTÍRICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 582

ÁCIDO 3-{4-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-BUTÍRICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 583

ÁCIDO {3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 502.4, M-H: 500.6.

EJEMPLO 584

ÁCIDO {3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

561

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Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 502.4, M-H: 500.6.

EJEMPLO 585

ÁCIDO (4-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.5, M-H: 514.4.

EJEMPLO 586

3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINA

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 368.3, M-H: 366.6.

EJEMPLO 587

4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINA

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 368.3, M-H: 366.1.

EJEMPLO 588

ÉSTER DE ETILO DEL ÁCIDO (3-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 589

ÉSTER DE ETILO DEL ÁCIDO (3-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

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Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 590

ÉSTER DE ETILO DEL ÁCIDO 1-(4-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)FENILAMINO]-METIL}-FENIL)-CICLOPROPANCARBOXÍLICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 566.1, M-H: 554.3.

EJEMPLO 591

ÉSTER DE METILO DEL ÁCIDO 1-(4-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-CICLOPROPANCARBOXÍLICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 566.1, M-H: 554.3.

EJEMPLO 592

ÁCIDO (3-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.8, M-H: 514.4.

EJEMPLO 593

ÁCIDO (3-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.5, M-H: 514.4.

EJEMPLO 594

ÁCIDO 1-(4-{[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-CICLOPROPANCARBOXÍLICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 542.6, M-H: 540.5.

EJEMPLO 595

ÉSTER DE METILO DEL ÁCIDO 2-{3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 596

ÉSTER DE METILO DEL ÁCIDO (4-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 597

ÁCIDO 2-{3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.5, M-H: 514.4.

EJEMPLO 598

564

ÁCIDO (4-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.5, M-H: 514.4.

EJEMPLO 599

ÉSTER DE METILO DEL ÁCIDO 2-{3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-YL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.5.

EJEMPLO 600

ÁCIDO 2-{3-[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 516.5, M-H: 514.4.

EJEMPLO 601

[3-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENIL]-(2,6-DIMETIL-BENCIL)-AMINA

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 486.5, M-H: 484.7.

EJEMPLO 602

ÁCIDO (3-{4-[2-(2,4,6-TRIFLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-FENILAMINO}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 556.1, M-H: 554.3.

565

EJEMPLO 603

ÁCIDO 2-{3-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-2-HIDROXI-PROPIÓNICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 532.4, M-H: 530.3.

EJEMPLO 604

4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENIL]-(2,6-DIMETIL-BENCIL)-AMINA

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 486.5, M-H: 484.7.

EJEMPLO 605

ÁCIDO {3-[4-(1-METIL-7-TRIFLUOROMETIL-1H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 426.2, M-H: 424.4.

EJEMPLO 606

ÁCIDO {3-[4-(2-METIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-FENIL}-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 426.2, M-H: 424.4.

EJEMPLO 607

ÁCIDO (4-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-3,5-DIMETIL-FENIL)-ACÉTICO

566

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 608

ÁCIDO (4-{[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENILAMINO]-METIL}-3,5-DIMETIL-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 544.4, M-H: 542.6.

EJEMPLO 609

ÁCIDO (3-{4-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-FENILAMINO}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 554.3, M-H: 552.5.

EJEMPLO 610

ÁCIDO (3-{4-[2-(2,4-DIMETIL-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-FENILAMINO}-FENIL)-ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 4.

CLEM: M+H: 530.3, M-H: 528.2.

EJEMPLO 611

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(4-METOXI-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 525.5.

EJEMPLO 612

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(3,5-DIMETOXI-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 555.2.

EJEMPLO 613

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(3,5-DIMETIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 523.9.

EJEMPLO 614

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2-METOXI-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 525.5.

EJEMPLO 615

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(3-METOXI-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 525.5.

EJEMPLO 616

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2,3-DIMETOXI-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 555.2.

568

0059

EJEMPLO 617

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(3,4-DIMETOXI-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 555.2.

EJEMPLO 618

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(4-CLORO-2-FLUORO-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 547.4.

EJEMPLO 619

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2-CLORO-4-FLUORO-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 549.5.

EJEMPLO 620

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2-FLUORO-4-TRIFLUOROMETIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 581.3.

EJEMPLO 621

3-[3-(2,4-BIS-TRIFLUOROMETIL-BENCIL)-FENIL]-2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL

569

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 631.7.

EJEMPLO 622

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2,4-DIFLUORO-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 531.2.

EJEMPLO 623

3-[3-(2,5-BIS-TRIFLUOROMETIL-BENCIL)-FENIL]-2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 631.7.

EJEMPLO 624

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2-FLUORO-3-METIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 527.3.

EJEMPLO 625

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(6-CLORO-2-FLUORO-3-METIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 562.1.

EJEMPLO 626

5.70 np571

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(3-DIFLUOROMETOXI-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 561.2.

EJEMPLO 627

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2-CLORO-5-TRIFLUOROMETIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 597.2.

EJEMPLO 628

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(4-METANOSULFONIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 573.5.

EJEMPLO 629

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2-METIL-5-TRIFLUOROMETIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 577.7.

EJEMPLO 630

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2-CLORO-5-FLUORO-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 547.4.

S 711

00522

EJEMPLO 631

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(5-FLUORO-2-TRIFLUOROMETIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 581.3.

EJEMPLO 632

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(2,5-DICLORO-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 565.4.

EJEMPLO 633

2-(4-CLORO-2-FLUORO-BENCIL)-3-[3-(5-CLORO-2-TRIFLUOROMETIL-BENCIL)-FENIL]-7-TRIFLUOROMETIL-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 597.2.

EJEMPLO 634

(3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-FENIL)-MORFOLIN-4-IL-METANONA

Este compuesto se preparó similarmente al del Ejemplo 5. CLEM: M+H: 608.6.

EJEMPLO 635

N-BENCIL-3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-BENZAMIDA

572
00573

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 628.7.

EJEMPLO 636

(3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-FENIL)-PIRROLIDIN-1-IL-METANONA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 592.7.

EJEMPLO 637

(3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-FENIL)-PIPERIDIN-1-IL-METANONA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 606.5.

EJEMPLO 638

3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-N,N-DIETIL-BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 594.5.

EJEMPLO 639

3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-N-CICLOPROPIL-BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 578.6.

EJEMPLO 640

nn-74
573

3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-N-ISOPROPIL-BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 580.4.

EJEMPLO 641

3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-N-PROPIL-BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 580.4.

EJEMPLO 642

3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-N-ETIL-BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 566.6.

EJEMPLO 643

3-{3-[2-(4-CLORO-2-FLUORO-BENCIL)-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL]-BENCIL}-N-METIL-BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 5.

CLEM: M+H: 552.5.

EJEMPLO 644

2-BENCIL-3-[4-(3-METOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 475.4.

574

EJEMPLO 645

2-BENCIL-3-[4-(2,3-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 481.4.

EJEMPLO 646

2-BENCIL-3-[4-(4-CLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 479.0.

EJEMPLO 647

2-BENCIL-3-[4-(2,3-DIHIDRO-1H-INDEN-5-ILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 485.6.

EJEMPLO 648

2-BENCIL-3-[4-(2-FLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 463.4.

EJEMPLO 649

2-BENCIL-3-[4-(4-CLORO-3-METILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

575
nn536

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 493.4.

EJEMPLO 650

2-BENCIL-3-[4-(2-CLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 479.0.

EJEMPLO 651

3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIMETILANILINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 488.3.

EJEMPLO 652

2-BENCIL-3-[4-(3-NITROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 490.4.

EJEMPLO 653

2-BENCIL-3-{4-[(7-METOXI-2-NAFTIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 525.8.

EJEMPLO 654

576

00577

2-BENCIL-3-[4-(4-FLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 463.4.

EJEMPLO 655

4-(4-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENCIL)FENOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 551.0.

EJEMPLO 656

2-BENCIL-3-[4-(5,6,7,8-TETRAHIDRONAFTALEN-2-ILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 499.0.

EJEMPLO 657

7-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINOLINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 496.7.

EJEMPLO 658

2-BENCIL-3-[4-(2,4-DICLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 513.0.

577

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EJEMPLO 659

2-BENCIL-3-[4-(2-METOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 475.4.

EJEMPLO 660

2-BENCIL-3-[4-(3,5-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 481.0.

EJEMPLO 661

2-BENCIL-3-[4-(2,3-DIMETILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 473.3.

EJEMPLO 662

2-BENCIL-3-[4-(3,5-DIMETILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 473.

EJEMPLO 663

2-BENCIL-3-[4-(4-METILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 459.

EJEMPLO 664

2-BENCIL-3-[4-(4-NITROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 490.

EJEMPLO 665

2-BENCIL-3-{4-[(4'-NITROBIFENIL-4-IL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 566.

EJEMPLO 666

3-{4-[4-(4-ACETILPIPERAZIN-1-IL)FENOXI]FENIL}-2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 571.

EJEMPLO 667

2-BENCIL-3-[4-(2,4-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 481.0.

EJEMPLO 668

579

2-BENCIL-3-[4-(3,4-DIMETILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 473.

EJEMPLO 669

2-BENCIL-3-[4-(2-ISOPROPILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 487.

EJEMPLO 670

5-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 513.

EJEMPLO 671

6-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINOLINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 496.

EJEMPLO 672

2-BENCIL-3-[4-(2,6-DIMETOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 505.

580

EJEMPLO 673

2-BENCIL-3-[4-(2-METILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 459.

EJEMPLO 674

2-BENCIL-3-[4-(3,4-DICLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 513.

EJEMPLO 675

2-BENCIL-3-[4-(3,4-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 481.

EJEMPLO 676

2-BENCIL-3-[4-(2,5-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 481.

EJEMPLO 677

8-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINOLINA

581

00592

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 496.

EJEMPLO 678

2-BENCIL-3-[4-(4-ETILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 473.

EJEMPLO 679

2-BENCIL-3-[4-(2,6-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 481.

EJEMPLO 680

2-BENCIL-3-{4-[4-(BENCILOXI)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 551.

EJEMPLO 681

3-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENZOATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 503.7.

EJEMPLO 682

2-BENCIL-3-[3-(3-METOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 475.7.

EJEMPLO 683

2-BENCIL-3-[3-(3,4-DICLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 513.7.

EJEMPLO 684

3-(4-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)PROPANOATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 531.7.

EJEMPLO 685

1-(2-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)ETANONA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 487.7.

EJEMPLO 686

1-(3-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)ETANONA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 487.7.

583

EJEMPLO 687

2-BENCIL-3-[3-(3-METILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 459.7.

EJEMPLO 688

2-BENCIL-3-[3-(2-CLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 479.6.

EJEMPLO 689

3-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIMETILANILINA

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 488.7.

EJEMPLO 690

2-BENCIL-3-[3-(3-NITROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 490.7.

EJEMPLO 691

2-BENCIL-3-[3-(2,3-DIMETILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 473.8.

EJEMPLO 692

2-BENCIL-3-{3-[2-(TRIFLUOROMETOXI)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 529.7.

EJEMPLO 693

2-BENCIL-3-[3-(2-TERT-BUTILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 501.8.

EJEMPLO 694

2-BENCIL-3-[3-(2-ISOPROPILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 487.8.

EJEMPLO 695

5-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 513.7.

EJEMPLO 696

7-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINOLINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 496.7.

EJEMPLO 697

8-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINOLINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 496.7.

EJEMPLO 698

2-BENCIL-3-(3-[3,5-BIS(TRIFLUOROMETIL)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 581.6.

EJEMPLO 699

2-BENCIL-3-{3-[(7-METIL-1-NAFTIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 509.7.

EJEMPLO 700

4-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}ACRIDINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 546.8.

EJEMPLO 701

2-BENCIL-3-{3-[2-(BENCILOXI)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 551.7.

EJEMPLO 702

(2-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)(FENIL)METANONA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 549.7.

EJEMPLO 703

2-BENCIL-3-[3-(BIFENIL-2-ILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 521.8.

EJEMPLO 704

2-(2-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)-1,3-BENZOTIAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 578.6.

EJEMPLO 705

2-BENCIL-3-{3-[2-(1H-PIRROL-1-IL)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

587
A05908

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 510.7.

EJEMPLO 706

2-BENCIL-3-[3-(3,4-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 482.2.

EJEMPLO 707

2-BENCIL-3-[3-(2,3-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 482.2.

EJEMPLO 708

2-BENCIL-3-[3-(2,5-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 482.2.

EJEMPLO 709

2-BENCIL-3-{3-[(7-METOXI-2-NAFTIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 526.3.

EJEMPLO 710

n 00589 588

1-(2-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-4-METOXIFENIL)ETANONA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 518.2.

EJEMPLO 711

6-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINOLINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 497.2.

EJEMPLO 712

2-BENCIL-3-[3-(3,5-DIMETILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 474.2.

EJEMPLO 713

2-BENCIL-3-[3-(2-METOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 476.2.

EJEMPLO 714

2-BENCIL-3-[3-(1-NAFTILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 496.2.

587
00590

EJEMPLO 715

2-BENCIL-3-(3-{2-[(1E)-PROP-1-EN-1-IL]FENOXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 486.2.

EJEMPLO 716

2-BENCIL-3-[3-(2-CICLOPENTILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 514.3.

EJEMPLO 717

2-BENCIL-3-[3-(3,5-DIFLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 482.2.

EJEMPLO 718

2-BENCIL-3-[3-(3,5-DICLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 515.1.

EJEMPLO 719

2-BENCIL-3-[3-(3,5-DIMETOXIFENOXI)FENIL]-(TRIFLUOROMETIL)-2H-INDAZOL

590

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 506.2.

EJEMPLO 720

2-(4-CLORO-2-FLUOROBENCIL)-3-[3-(3-CLOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 533.2.

EJEMPLO 721

2-(4-CLORO-2-FLUOROBENCIL)-3-[3-(2-FLUOROFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 517.3.

EJEMPLO 722

6-{3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-2-NAFTONITRILO

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 574.2.

EJEMPLO 723

2-(4-CLORO-2-FLUOROBENCIL)-3-[3-(5,6,7,8-TETRAHIDRONAFTALEN-1-ILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 553.2.

EJEMPLO 724

591

2-(4-CLORO-2-FLUOROBENCIL)-3-[3-(2-ETOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 543.2.

EJEMPLO 725

1-(2-{3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)PROPAN-1-ONA

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 555.2.

EJEMPLO 726

3-{3-[2-(1H-BENZIMIDAZOL-2-IL)FENOXI]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 615.2.

EJEMPLO 727

2-(4-CLORO-2-FLUOROBENCIL)-3-[3-(2-PIRROLIDIN-1-ILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 568.2.

EJEMPLO 728

2-BENCIL-3-{4-[(3-METOXIBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 489.5.

EJEMPLO 729

2-BENCIL-3-{4-[(2-CLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 493.4.

EJEMPLO 730

2-BENCIL-7-(TRIFLUOROMETIL)-3-(4-{[2-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.6.

EJEMPLO 731

2-BENCIL-3-{4-[(3-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 473.6.

EJEMPLO 732

2-BENCIL-3-{4-[(2-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 473.3.

EJEMPLO 733

2-BENCIL-3-{4-[(3-CLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 493.4.

EJEMPLO 734

2-BENCIL-7-(TRIFLUOROMETIL)-3-(4-{[3-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.6.

EJEMPLO 735

2-BENCIL-3-(4-{[3,5-BIS(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 595.4.

EJEMPLO 736

2-BENCIL-3-{4-[(3,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 487.4.

EJEMPLO 737

2-BENCIL-3-{4-[(2,6-DICLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.6.

EJEMPLO 738

2-BENCIL-3-{4-[(3,5-DICLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

594
00505

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.3.

EJEMPLO 739

2-BENCIL-3-{3-[(3-METOXIBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 489.5.

EJEMPLO 740

2-BENCIL-3-{3-[(2-CLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 493.4.

EJEMPLO 741

2-BENCIL-7-(TRIFLUOROMETIL)-3-(3-{[2-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.6.

EJEMPLO 742

2-BENCIL-3-{3-[(3-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 473.3.

EJEMPLO 743

595

A0506

2-BENCIL-3-{3-[(2-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 473.3.

EJEMPLO 744

2-BENCIL-7-(TRIFLUOROMETIL)-3-(3-{[3-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.6.

EJEMPLO 745

2-BENCIL-3-(3-{[3,5-BIS(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 595.4.

EJEMPLO 746

2-BENCIL-3-{3-[(3,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 487.4.

EJEMPLO 747

2-BENCIL-3-{3-[(2,6-DICLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.3.

596

00507

EJEMPLO 748

2-BENCIL-3-{3-[(3,5-DICLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 527.3.

EJEMPLO 749

ACIDO 1-[4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]CICLOPROPANCARBOXÍLICO

Este compuesto se preparó similarmente al del Ejemplo 527. CLEM: M+H: 543.5, M-H: 541.4.

EJEMPLO 750

2-BENCIL-3-{3-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 487.4.

EJEMPLO 751

2-BENCIL-3-{3-[(2-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 477.2.

EJEMPLO 752

2-BENCIL-3-{3-[(2-FLUORO-6-NITROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 522.8.

597

EJEMPLO 753

2-BENCIL-3-{3-[(2-CLORO-6-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 511.7.

EJEMPLO 754

2-BENCIL-3-{3-[(2,6-DIFLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 495.8.

EJEMPLO 755

2-BENCIL-3-{4-[(2-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 477.2.

EJEMPLO 756

2-BENCIL-3-{4-[(2-CLORO-6-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 511.7.

EJEMPLO 757

2-BENCIL-3-{4-[(2,6-DIFLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

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598

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 495.8.

EJEMPLO 758

ACIDO [3-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 527. CLEM: M+H: 517.4, M-H: 515.6.

EJEMPLO 759

ACETATO DE 3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 411.2.

EJEMPLO 760

2-BENCIL-3-{4-[(2-FLUORO-6-NITROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 522.8.

EJEMPLO 761

ACETATO DE 4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 517.4.

EJEMPLO 762

[4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENCIL]FOSFONATO DE DIETILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 609.5.

EJEMPLO 763

[4-({4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENCIL]FOSFONATO DE DIETILO

Éste compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 609.5.

EJEMPLO 764

4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZONITRILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 484.4.

EJEMPLO 765

3-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZONITRILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 484.4.

EJEMPLO 766

4-({4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZONITRILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 484.4.

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EJEMPLO 767

2-BENCIL-3-(3-{[3-(1H-TETRAZOL-5-IL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 529. CLEM: M+H: 527.6, M-H: 525.8.

EJEMPLO 768

2-BENCIL-3-(4-{[4-(1H-TETRAZOL-5-IL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 529. CLEM: M+H: 527.6, M-H: 525.8.

EJEMPLO 769

ACIDO [4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENCIL]FOSFÓNICO

Este compuesto se preparó similarmente al del Ejemplo 530. CLEM: M+H: 553.4, M-H: 551.9.

EJEMPLO 770

ÉSTER DE MONOETILO DEL ÁCIDO {4-[4-(2-BENCIL-7-TRIFLUOROMETIL-2H-INDAZOL-3-IL)-FENOXIMETIL]-BENCIL}-FOSFÓNICO

Este compuesto se preparó similarmente al del Ejemplo 530. CLEM: M+H: 581.3, M-H: 579.5.

EJEMPLO 771

ACIDO [4-({4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENCIL]FOSFÓNICO

Este compuesto se preparó similarmente al del Ejemplo 530. CLEM: M+H: 553.4, M-H: 551.6.

EJEMPLO 772

2-(2,4-DIMETILBENCIL)-3-{3-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 515.6.

EJEMPLO 773

3-{3-[(2,6-DIMETILBENCIL)OXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 541.4.

EJEMPLO 774

3-{3-[(2-FLUORO-6-NITROBENCIL)OXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 576.5.

EJEMPLO 775

2-(2,4-DIFLUOROBENCIL)-3-{3-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 523.7.

EJEMPLO 776

602

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 539.6.

EJEMPLO 777

2-(2-CLORO-4-FLUOROBENCIL)-3-{3-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 539.6.

EJEMPLO 778

2-BENCIL-3-{3-[(3,5-DIMETOXIBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 519.5.

EJEMPLO 779

2-BENCIL-3-{4-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 487.4.

EJEMPLO 780

2-(2,4-DICLOROBENCIL)-3-{3-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 555.2.

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EJEMPLO 781

2-BENCIL-3-{3-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 487.4.

EJEMPLO 782

2-BENCIL-3-(3-{[2-FLUORO-6-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 545.3.

EJEMPLO 783

ACIDO 2-[4-({3-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]-2-METILPROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 528. CLEM: M+H: 573.8, M-H: 571.4.

EJEMPLO 784

ACIDO 2-METIL-2-[4-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]PROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 528. CLEM: M+H: 599.6, M-H: 597.5.

EJEMPLO 785

ACIDO 2-[4-({3-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]PROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 528. CLEM: M+H: 559.4, M-H: 557.6.

EJEMPLO 786

ACIDO 2-[4-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]PROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 528. CLEM: M+H: 585.2, M-H: 583.4.

EJEMPLO 787

2-(2,4-DIMETILBENCIL)-3-{3-[(2-FLUORO-6-NITROBENCIL)OXI]FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 550.7, M-H: 548.6.

EJEMPLO 788

3-({3-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZONITRILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 512.6.

EJEMPLO 789

3-[3-(BENCILOXI)FENIL]-2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 487.4.

EJEMPLO 790

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-FLUORO-6-NITROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 574.4, M-H: 572.3.

EJEMPLO 791

3-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)BENZONITRILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 536.3.

EJEMPLO 792

ACIDO 2-{3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-2-(4-METILFENIL)PROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 528. CLEM: M+H: 583.4, M-H: 581.3.

EJEMPLO 793

ACIDO 2-[4-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]PROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 528. CLEM: M+H: 583.1, M-H: 581.3.

EJEMPLO 794

ACIDO 2-[4-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]-2-METILPROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 528. CLEM: M+H: 597.5, M-H: 595.4.

EJEMPLO 795

2-(2,4-DIFLUOROBENCIL)-3-{4-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 523.7.

EJEMPLO 796

2-(2,4-DICLOROBENCIL)-3-{4-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 555.2.

EJEMPLO 797

2-(4-CLORO-2-FLUOROBENCIL)-3-{4-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 539.6.

EJEMPLO 798

2-(2-CLORO-4-FLUOROBENCIL)-3-{4-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 539.6.

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EJEMPLO 799

2-(2,4-DIMETILBENCIL)-3-{4-[(2,6-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 515.6.

EJEMPLO 800

2-BENCIL-3-(4-{[2-FLUORO-6-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 545.3.

EJEMPLO 801

ACIDO [4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-3,5-DIMETILFENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 2. CLEM: M+H: 545.3.

EJEMPLO 802

ACIDO [4-({4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI)METIL)-3,5-DIMETILFENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 801. CLEM: M+H: 545.3.

EJEMPLO 803

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 539.6.

EJEMPLO 804

2-(2,4-DIMETILBENCIL)-3-{3-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 515.6.

EJEMPLO 805

ACIDO 4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-3,5-DIBROMOBENZOICO

Este compuesto se preparó similarmente al del Ejemplo 801. CLEM: M+H: 661.0, M-H: 659.0.

EJEMPLO 806

ACIDO 4-({4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-3,5-DIBROMOBENZOICO

Este compuesto se preparó similarmente al del Ejemplo 801. CLEM: M+H: 661.0, M-H: 659.1.

EJEMPLO 807

ACIDO 4-({3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-3,5-DIMETILBENZOICO

Este compuesto se preparó similarmente al del Ejemplo 801. CLEM: M+H: 531.2, M-H: 529.3.

EJEMPLO 808

609

2-BENCIL-3-{4-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 487.4.

EJEMPLO 809

2-(2-CLORO-4-FLUOROBENCIL)-3-{4-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 539.6.

EJEMPLO 810

2-(2,4-DICLOROBENCIL)-3-{4-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 555.2.

EJEMPLO 811

3-{3-[(2,5-DIMETILBENCIL)OXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 541.4.

EJEMPLO 812

ACIDO {4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}(3-METILFENIL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 527. CLEM: M+H: 517.4.

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EJEMPLO 813

ACIDO {3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}(3-METILFENIL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 527. CLEM: M+H: 517.4, M-H: 515.3.

EJEMPLO 814

2-BENCIL-3-[4-(2-NAFTILMETOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 509.6.

EJEMPLO 815

2-BENCIL-3-[4-(1-NAFTILMETOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 509.3.

EJEMPLO 816

2-BENCIL-3-{4-[(3-METIL-2-NAFTIL)METOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 523.7.

EJEMPLO 817

PART 2
617

2-BENCIL-3-[3-(2-NAFTILMETOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 509.3.

EJEMPLO 818

2-BENCIL-3-[3-(1-NAFTILMETOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 509.6.

EJEMPLO 819

2-BENCIL-3-{3-[(3-METIL-2-NAFTIL)METOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 523.7.

EJEMPLO 820

2-BENCIL-3-{4-[(2-METIL-1-NAFTIL)METOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 523.7.

EJEMPLO 821

3-[4-(9-ANTRILMETOXI)FENIL]-2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 559.4.

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EJEMPLO 822

2-BENCIL-3-{3-[(2-METIL-1-NAFTIL)METOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 523.7.

EJEMPLO 823

3-[3-(9-ANTRILMETOXI)FENIL]-2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 559.4.

EJEMPLO 824

2-(4-CLORO-2-FLUOROBENCIL)-3-{4-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 539.6.

EJEMPLO 825

2-(2,4-DIMETILBENCIL)-3-{4-[(2,5-DIMETILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 515.6.

EJEMPLO 826

3-{4-[(2,5-DIMETILBENCIL)OXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

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613

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 541.4.

EJEMPLO 827

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-METIL-3-NITROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 570.5.

EJEMPLO 828

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(5-METIL-2-NITROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 570.5.

EJEMPLO 829

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-CLORO-5-NITROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 590.3.

EJEMPLO 830

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-FLUORO-3-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 543.5.

EJEMPLO 831

614

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[5-FLUORO-2-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 597.2.

EJEMPLO 832

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[2-CLORO-3-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 613.1.

EJEMPLO 833

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-METOXI-5-NITROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 586.1.

EJEMPLO 834

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[2-METIL-5-(TRIFLUOROMETIL)BENCIL]OXI)FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 593.6.

EJEMPLO 835

3-{3-[(2-BROMO-5-METOXIBENCIL)OXI]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

n-616 615

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 621.5.

EJEMPLO 836

3-(3-{[2,5-BIS(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 647.6.

EJEMPLO 837

1-[3-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-4-METOXIFENIL]ETANONA

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 584.3.

EJEMPLO 838

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,5-DIFLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 547.7.

EJEMPLO 839

3-{3-[(2-BROMO-5-FLUOROBENCIL)OXI]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 607.1.

EJEMPLO 840

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616

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(3-CLORO-2-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 563.3.

EJEMPLO 841

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[2-FLUORO-5-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 597.2.

EJEMPLO 842

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,3,6-TRIFLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 565.4.

EJEMPLO 843

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,5-DICLOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 579.3.

EJEMPLO 844

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2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[2-CLORO-5-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 613.1.

EJEMPLO 845

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[5-CLORO-2-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 612.8.

EJEMPLO 846

ÁCIDO [4-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 569.6.

EJEMPLO 847

[4-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACETATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 583.4.

EJEMPLO 848

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(6-CLORO-2-FLUORO-3-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 577.7.

EJEMPLO 849

3-{3-[(2-CLORO-3,6-DIFLUOROBENCIL)OXI]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 581.3.

EJEMPLO 850

3-{3-[(3-CLORO-2,6-DIFLUOROBENCIL)OXI]FENIL}-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 581.3.

EJEMPLO 851

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(5-CLORO-2-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 563.6.

EJEMPLO 852

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,5-DIMETOXIBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 571.4.

EJEMPLO 853

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(5-FLUORO-2-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 543.5.

EJEMPLO 854

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIFLUORO-3-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 561.2.

EJEMPLO 855

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,6-DIFLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 547.7.

EJEMPLO 856

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-CLORO-6-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 563.3.

EJEMPLO 857

00691
620

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{[2-METOXI-5-(TRIFLUOROMETOXI)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 625.4.

EJEMPLO 858

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2,3-DIMETOXIBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 571.4.

EJEMPLO 859

3-[3-(BENCILOXI)FENIL]-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 511.7.

EJEMPLO 860

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(4-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 525.8.

EJEMPLO 861

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-CLORO-5-FLUOROBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 563.6.

EJEMPLO 862

2-(2,4-DIMETILBENCIL)-3-(3-{[5-FLUORO-2-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 573.8.

EJEMPLO 863

ÁCIDO [4-({3-[2-METIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 441.5, M-H: 439.7.

EJEMPLO 864

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[(2-CLORO-6-FLUORO-3-METILBENCIL)OXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 577.7.

EJEMPLO 865

ÁCIDO [4-({3-[7-(TRIFLUOROMETIL)-1H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 427.4, M-H: 425.9.

EJEMPLO 866

ÁCIDO 2-{3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-2-FENILPROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 569.6, M-H: 567.5.

EJEMPLO 867

ÁCIDO {3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}(3-METILFENIL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 569.6, M-H: 567.5.

EJEMPLO 868

ÁCIDO [4-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-2,5-DIMETILFENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 597.5.

EJEMPLO 869

ÁCIDO [4-({3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 571.4, M-H: 569.3.

EJEMPLO 870

ÁCIDO 1-[4-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]CICLOPROPANCARBOXÍLICO

Este compuesto se preparó similarmente al del Ejemplo 527. CLEM: M+H: 595.4, M-H: 593.6.

EJEMPLO 871

3-(3-{[5-FLUORO-2-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 599.3.

EJEMPLO 872

ÁCIDO [3-({3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)-2,4-DIMETILFENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 597.5.

EJEMPLO 873

ÁCIDO [4-({3-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 531. CLEM: M+H: 545.6, M-H: 543.5.

EJEMPLO 874

ÁCIDO 2-[3-({4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}AMINO)FENIL]-2-METILPROPANÓICO

Este compuesto se preparó similarmente al del Ejemplo 4. CLEM: M+H: 558.5.

EJEMPLO 875

3-(3-{[5-CLORO-2-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 615.5.

624

EJEMPLO 876

3-(3-{[2-CLORO-5-(TRIFLUOROMETIL)BENCIL]OXI}FENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 525. CLEM: M+H: 615.5.

EJEMPLO 877

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[2-(2,5-DIMETILFENIL)ETIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 537.5.

EJEMPLO 878

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[2-(2,5-DICLOROFENIL)ETIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 577.7.

EJEMPLO 879

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[2-(2,5-DIFLUOROFENIL)ETIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 545.3.

EJEMPLO 880

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[2-(5-CLORO-2-FLUOROFENIL)ETIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

625

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 561.2.

EJEMPLO 881

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[2-(2-CLORO-5-FLUOROFENIL)ETIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 561.2.

EJEMPLO 882

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{2-[2-FLUORO-5-(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 595.4.

EJEMPLO 883

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{2-[3-(TRIFLUOROMETOXI)FENIL]ETIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 593.6.

EJEMPLO 884

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[2-(3-METOXIFENIL)ETIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 539.6.

626

EJEMPLO 885

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{2-[3-(DIFLUOROMETOXI)FENIL]ETIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 575.6.

EJEMPLO 886

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{2-[2-METIL-5-(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 591.5.

EJEMPLO 887

3-(3-{2-[2,5-BIS(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 645.5.

EJEMPLO 888

2-(4-CLORO-2-FLUOROBENCIL)-3-{3-[2-(2,3-DIMETOXIFENIL)ETIL]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 569.6.

EJEMPLO 889

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{2-[2-CLORO-5-(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 611.3.

EJEMPLO 890

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{2-[5-CLORO-2-(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 611.3.

EJEMPLO 891

2-(4-CLORO-2-FLUOROBENCIL)-3-(3-{2-[5-FLUORO-2-(TRIFLUOROMETIL)FENIL]ETIL}FENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 532. CLEM: M+H: 595.4.

EJEMPLO 892

ÁCIDO (3-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)ACÉTICO

Cincuenta miligramos (50 mg 0.094 mmol) de 2-bencil-3-[4-(3-[1,3]dioxolan-2-il-metil-fenoxi)-fenil]-7-trifluoro-metil-2H-indazol (este compuesto se preparó similarmente al del Ejemplo 3) en acetona 600 µL, se trató con 5 eq. de

reactivo Jones (3.6M CrO_3 en H_2SO_4 acuoso) a 0°C, mientras se agita durante 2 horas a temperatura ambiente. La mezcla de reacción se apagó con hielo/$NaHSO_3$ y se extrajo tres veces con CH_2Cl_2. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se disolvió en 0.5 mL metanol. Veinte miligramos (20 mg) de LiOH se agregaron y la mezcla de reacción se agitó a 70°C durante 2 horas. La mezcla de reacción se concentró, se diluyó con NaCl (sat. acuoso), se acidificó con HCl (acuoso) 2 M y extrajo tres veces con CH_2Cl_2. El material crudo se purificó por CLAR usando un gradiente con fase móvil ácida en una columna ACE-C8 para proporcionar producto analíticamente puro. CLEM: M+H: 503.3, M-H: 501.5.

EJEMPLO 893

2-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENZALDEHÍDO

La preparación de este compuesto fue similar al descrito por el Ejemplo 3. El 2-Bencil-3-(3-bromo-fenil)-7-trifluorometil-2H-indazol (60 mg, 0.139 mmol), carbonato de cesio (272 mg, 0.835 mmol), CuI (80 mg, 0.417 mmol) y 2-hidroxi-benzaldehído (51 mg, 0.417 mmol) se disolvieron en 6 ml de piridina seca. La reacción y el trabajo se llevaron a cabo como se describe por el Ejemplo 3. CLEM: M+H: 473.3, $M+COO^-$: 517.4.

EJEMPLO 894

ÁCIDO 2-(3-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)-2-METILPROPANÓICO

Preparación de éster de metilo del ácido 2-(3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)-2-metilpropanóico.

3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenol (96 mg, 0.26 mmol), éster de metilo del ácido 2-metil-2-[3-(4,4,5,5-tetrametil-[1,3,2]dioxaborolan-2-il)-fenil]-propiónico (80 mg, 0.26 mmol), $Cu(OAc)_2$ (47 mg, 0.26 mmol) y piridina (42 µL, 0.52 mmol) se disolvieron en 3 ml CH_2Cl_2 anhidro y se agitaron a temperatura ambiente con tamices moleculares molidos (4Å) durante la noche. La mezcla de reacción se diluyó con HCl 1 M (acuoso) y el producto se extrajo tres veces con CH_2Cl_2. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se purificó por CLAR preparativa usando un gradiente con una fase móvil ácida en una columna ACE-C8 para proporcionar 8.4 mg del producto analíticamente puro. CLEM: M+H: 546.5.

Preparación de ácido 2-(3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)-2-metilpropanóico.

Este compuesto se obtuvo a partir del éster de metilo del ácido 2-(3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)-2-metilpropanóico en una forma similar como se describe para la preparación del Ejemplo 2. CLEM: M+H: 531.5, M-H: 529.1.

EJEMPLO 895

3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENZALDEHÍDO

630

Preparación de 2-Bencil-3-[4-(3-[1,3]dioxolan-2-ilmetil-fenoxi)-fenil]-7-trifluorometil-2H-indazol.

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 531.5.

Preparación de 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzaldehído.

El 2-bencil-3-[4-(3-[1,3]dioxolan-2-ilmetil-fenoxi)-fenil]-7-trifluorometil-2H-indazol (14 mg, 0.0264 mmol) se trató con 6.6 mg PPTS en acetona 1.5 ml bajo reflujo durante 2 hrs. La mezcla de reacción se extrajo con $NaHCO_3$ y CH_2Cl_2. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se purificó en un cromatotron usando un gradiente cambiando desde 1:99 (EtOAc/heptano) hasta 3:7 (EtOAc/heptano) para proporcionar 5 mg de producto analíticamente puro. CLEM: M+H: 487.0, $M-H^-$: 485.6.

EJEMPLO 896

(3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)METANOL

Este compuesto se preparó del 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoato de metilo (Ejemplo 912; 30 mg, 0.060 mmol), el cual se disolvió en dietiléter 1.5 ml y se trató con $LiAlH_4$. La mezcla de reacción se agitó a temperatura ambiente durante la noche. La mezcla de reacción se apagó con agua y se agitó durante 15 minutos. La mezcla espesa se filtró y el producto se extracjo con Et_2O/NH_4Cl (acuoso, saturado). Después de la evaporación de los solventes, el material crudo se purificó por CLAR usando un gradiente con una fase móvil ácida en una columna

ACE-C8 para proporcionar 19.6 mg de producto analíticamente puro. CLEM: M+H: 475.4, $M+COO^-$: 519.5.

EJEMPLO 897

3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N-METOXI-N-METILBENZAMIDA

Preparación de ácido 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoico.

Este compuesto se preparó similarmente al del Ejemplo 3.

Preparación de 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N-metoxi-N-metilbenzamida.

Una mezcla de reacción de ácido 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoico (115.8 mg, 0.237 mmol), clorohidrato de (3-dimetilamino-propil)-etil-carbodiimida (86 mg, 0.356 mmol), clorohidrato de O,N-dimetil-hidroxilamina (69 mg, 0.711 mmol) y 0.3 mL de trietilamina disuelta en CH_2Cl_2 2 mL se agitó a temperatura ambiente durante la noche. La mezcla de reacción se apagó con HCl 1 M (acuoso) y el material crudo se extrajo con CH_2Cl_2. El material crudo se purificó con cromatografía instantánea usando EtOAc/heptano, 3:7, como eluyente para proporcionar 17 mg de producto analíticamente puro. CLEM: M+H: 532.2.

EJEMPLO 898

3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIMETILBENZAMIDA

Este compuesto se preparó a partir del Ejemplo 912. El 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoato de metilo (49 mg, 0.0971 mmol) se disolvió

en una solución 2M de dimetilamina en metanol (1.5 mL), 0.5 mg de cianuro de sodio se agregó y la mezcla de reacción se agitó a 60°C durante la noche. El solvente se evaporó, el residuo se disolvió en CH_2Cl_2 y se lavó con salmuera. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se purificó por CLAR usando un gradiente con una fase móvil ácida en una columna ACE-C8 para proporcionar 9 mg de producto analíticamente puro. CLEM: M+H: 516.0.

EJEMPLO 899

3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENZAMIDA

El ácido 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoico (39 mg, 0.08 mmol) en dioxano 0.8 mL se agregó a $NbCl_5$ (64 mg, 0.238 mmol), seguido por NH_3 0.95 mL (0.5M en dioxano). La temperatura se elevó lentamente a 50°C y se agitó durante 2 días. Los solventes se evaporaron y el residuo se disolvió en CH_2Cl_2, seguido por filtración del precipitado. El residuo se disolvió en CH_2Cl_2 y se lavó con salmuera. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se purificó por CLAR usando un gradiente con una fase móvil ácida en una columna ACE-C8 para proporcionar producto analíticamente puro. CLEM: M+H: 488.3, M-H: 486.5.

EJEMPLO 900

5-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-1,2,3,4-TETRAHIDRONAFTALEN-1-OL

633

La 5-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona (14 mg, 0.025 mmol) disuelta en THF 1.5 mL se agregó a una mezcla espesa de $LiAlH_4$ en THF y se agitó a temperatura ambiente durante 2 horas. La mezcla de reacción se apagó con H_2O y se agitó durante 15 min. La filtración de la mezcla se siguió por extracción con CH_2Cl_2. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se purificó por CLAR usando un gradiente con una fase móvil ácida en una columna ACE-C8 para proporcionar 1.2 mg de producto analíticamente puro. CLEM: M+H: 567.5, $M+COO^-$: 611.3.

EJEMPLO 901

3-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIMETILBENZAMIDA

El 4-[2-(4-Cloro-2-fluoro-bencil)-7-trifluorometil-2H-indazol-3-il]-fenol (50 mg, 0.12 mmol), ácido 3-(N,N-dimetil-benzamida)-fenilborónico (0.24 mmol), $Cu(OAc)_2$ (22 mg, 0.12 mmol) y piridina (2 eq) se disolvieron en 2 ml de CH_2Cl_2 anhidro. Tamices moleculares (4Å) se agregaron y la mezcla de reacción se agitó durante 3 días. La mezcla de reacción se extrajo con NH_4CL(acuoso) y CH_2Cl_2. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se purificó por CLAR usando un gradiente con una fase móvil neutral en una columna ACE-C8 para proporcionar producto analíticamente puro 17 mg. CLEM: M+H: 568.8, $M+COO^-$: 571.2.

EJEMPLO 902

n2035
634

2-(3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)PROPAN-2-OL

El 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoato de metilo (10 mg, 0.02 mmol) se trató con MeMgBr (3M en Et_2O, 0.13 mL) en 2 ml de THF. La mezcla de reacción se agitó durante 2 horas a temperatura ambiente antes de la extracción con CH_2Cl_2/NH_4Cl. La purificación por cromatografía instantánea usando CH_2Cl_2/heptano, 1:1, como eluyente proporcionó 2 mg de producto analítico puro. CLEM: M+H: 503.3; $M+COO^-$: 547.4.

EJEMPLO 903

5-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-1,2,3,4-TETRAHIDRONAFTALEN-1-OL

La 5-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona (330 mg, 0.58 mmol) se disolvió en 10 mL de EtOH, se agregó a una mezcla espesa de $NaBH_4$ en EtOH y se agitó a temperatura ambiente durante la noche. La mezcla de reacción se apagó con H_2O y se agitó durante 15 min. La filtración de la mezcla se siguió por extracción con CH_2Cl_2. Las fases orgánicas combinadas se secaron, evaporaron y el material crudo se purificó por CLAR usando un gradiente con una fase móvil ácida en una columna ACE-C8 para proporcionar una mezcla racémica. La separación de los dos enantiómeros proporcionó los productos analíticamente puros de este Ejemplo 903 y Ejemplo 936. CLEM: M+H: 567.5, $M+Ac^-$: 625.4.

EJEMPLO 904

635

2-BENCIL-3-(3-FENOXIFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 445.4, M+Ac$^-$: 503.3.

EJEMPLO 905

2-BENCIL-3-[3-(4-METOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 475.4, M+Ac$^-$: 533.3.

EJEMPLO 906

2-BENCIL-3-{3-[3-(1,3-DIOXOLAN-2-ILMETIL)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 531.1.

EJEMPLO 907

2-(4-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)-2-METILPROPANOATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 545.3, M+COO$^-$: 589.7.

EJEMPLO 908

3-{3-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIMETILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 894. CLEM: M+H: 545.6, M+COO$^-$: 589.4.

nn627 636

EJEMPLO 909

(3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)ACÉTICO

Este compuesto se preparó similarmente al del Ejemplo 892. CLEM: M+H: 503.3, M+-H: 501.2.

EJEMPLO 910

2-BENCIL-3-{4-[3-(1,3-DIOXOLAN-2-ILMETIL)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 531.2, M+COO$^-$: 575.6.

EJEMPLO 911

2-BENCIL-3-[4-(3,5-DIMETILFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 473.3.

EJEMPLO 912

3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENZOATO DE METILO

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 503.3, M+COO$^-$: 547.7.

EJEMPLO 913

3-{4-[2-BENCIL-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N-METILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 898. CLEM: M+H: 502.0, M+Ac$^-$: 560.0.

637

EJEMPLO 914

2-(2,4-DIMETILBENCIL)-3-[4-(2-METOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H: 503.3.

EJEMPLO 915

3-[4-(2-METOXIFENOXI)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H: 529.1, M+COO$^-$: 573.2.

EJEMPLO 916

2-(4-CLORO-2-FLUOROBENCIL)-3-[4-(2-METOXIFENOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H: 527.3, M+COO$^-$: 571.1.

EJEMPLO 917

3-{4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-)INDAZOL-3-IL]FENOXI}-N,N-DIMETILANILINA

Este compuesto se preparó similarmente al del Ejemplo 3. CLEM: M+H: 516.8, M+COO$^-$: 559.7.

EJEMPLO 918

N,N-DIMETIL-3-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}ANILINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 542.6.

EJEMPLO 919

3-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIMETILANILINA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 540.5, M+COO$^-$: 584.6.

EJEMPLO 920

3-{4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N-METOXI-N-METILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 560.3.

EJEMPLO 921

N-METOXI-N-METIL-3-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI)BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 586.1.

EJEMPLO 922

3-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N-METOXI-N-METILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 3.

CLEM: M+H: 584.3.

EJEMPLO 923

5-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H 565.2.

EJEMPLO 924

2-BENCIL-3-{4-[2-(TRIFLUOROMETOXI)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H: 529.3.

EJEMPLO 925

2-BENCIL-3-[4-(1-NAFTILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H: 495.4.

EJEMPLO 926

3-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENZALDEHÍDO

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H 525.8.

EJEMPLO 927

2-(4-CLORO-2-FLUOROBENCIL)-3-[4-(5,6,7,8-TETRAHIDRONAFTALEN-1-ILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H 551.6.

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EJEMPLO 928

3-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIETILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 596.3.

EJEMPLO 929

2-(4-CLORO-2-FLUOROBENCIL)-3-{4-[3-(PIRROLIDIN-1-ILCARBONIL)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 594.3.

EJEMPLO 930

2-(4-CLORO-2-FLUOROBENCIL)-3-{4-[3-(PIPERIDIN-1-ILCARBONIL)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 608.3.

EJEMPLO 931

2-(4-CLORO-2-FLUOROBENCIL)-3-{4-[3-(MORFOLIN-4-ILCARBONIL)FENOXI]FENIL}-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 610.26.

EJEMPLO 932

1-(3-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)ETANONA

641

Este compuesto se preparó similarmente al del Ejemplo 893. CLEM: M+H: 539.6, M+COO⁻: 583.1.

EJEMPLO 933

N,N-DIMETIL-3-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}BENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 570.5, M+COO⁻: 614.6.

EJEMPLO 934

3-{4-[2-(2,4-DIMETILBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-N,N-DIMETILBENZAMIDA

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 544.4, M+Ac⁻: 602.6.

EJEMPLO 935

2-(3-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)PROPAN-2-OL

Este compuesto se preparó similarmente al del Ejemplo 902. CLEM: M+H: 555.2, M+COO⁻: 559.6.

EJEMPLO 936

5-{4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-1,2,3,4-TETRAHIDRONAFTALEN-1-OL

Este compuesto se preparó similarmente al del Ejemplo 903, y es el otro enantiómero del Ejemplo 903. CLEM: M+H: 567.5, M+COO⁻: 625.4.

EJEMPLO 937

3-{4-[3-(PIPERIDIN-1-ILCARBONIL)FENOXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 610.4, M+COO$^-$; 654.5.

EJEMPLO 938

2-(3-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}FENIL)PROPAN-2-OL

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 557.3, M+Ac$^-$: 615.5.

EJEMPLO 939

3-{4-[3-(MORFOLIN-4-ILCARBONIL)FENOXI]FENIL}-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

Este compuesto se preparó similarmente al del Ejemplo 901. CLEM: M+H: 612.5.

Por procedimientos similares a aquellos en los ejemplos precedentes, los siguientes ejemplos (Ejemplos 940 hasta 967) se prepararon.

EJEMPLO 940

5-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

EM (ES) m/z 564.6;

Pureza CLAR 99.6% a 210-370 nm, 12.0 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min, espera 4 min.

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EJEMPLO 941

2-(2-CLORO-4-FLUOROBENCIL)-3-(4-METOXIFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 434.7.

EJEMPLO 942

2-(4-CLORO-2-FLUOROBENCIL)-3-(4-METOXIFENIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 434.8.

EJEMPLO 943

3-(4-METOXIFENIL)-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 437.0.

EJEMPLO 944

5-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINOLINA

EM (ES) m/z 547.6. Pureza CLAR 100% a 210-370 nm, 11.8 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min., 4 min de espera.

EJEMPLO 945

5-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}ISOQUINOLINA

EM (ES) m/z 547.7.

644

Pureza CLAR 97.4% a 210-370 nm, 11.8 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min., 4 min de espera.

EJEMPLO 946

4-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}QUINAZOLINA

EM (ES) m/z 548.6.

Pureza CLAR 98.5% a 210-370 nm, 11.1 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min., 4 min de espera.

EJEMPLO 947

6-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

EM (ES) m/z 566.8.

Pureza CLAR 98.4% a 210-370 nm, 11.7 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min., 4 min de espera.

EJEMPLO 948

2-(2-CLORO-4-FLUOROBENCIL)-3-[3-(5,6,7,8-TETRAHIDRONAFTALEN-2-ILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 550.7.

Pureza CLAR 95.1% a 210-370 nm, 12.9 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min., 4 min de espera.

EJEMPLO 949

2-(2-CLORO-4-FLUOROBENCIL)-3-[3-(5,6,7,8-TETRAHIDRONAFTALEN-1-ILOXI)FENIL]-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 550.7.

Pureza CLAR 100% a 210-370 nm, 12.8 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min., 4 min de espera.

EJEMPLO 950

2-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1H-INDOL-5-CARBONITRILO

EM (ES) m/z 546.7.

EJEMPLO 951

3-[4-(5-FLUORO-1H-INDOL-2-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 539.7.

EJEMPLO 952

5-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

EM (ES) m/z 566.8.

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MAC47

Pureza CLAR 100% a 210-370 nm, 11.7 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min, 4 min de espera.

EJEMPLO 953

6-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

EM (ES) m/z 564.7.

Pureza CLAR 99.3% a 210-370 nm, 12.0 min.; columna Xterra RP18, 3.5u, 150 x 4.6 mm, 1.2 mL/min, 85/15-5/95 (Ammon. Form. Buff. Ph=3.5/ACN+MeOH) durante 10 min, 4 min de espera.

EJEMPLO 954

3-[3-(5-BROMO-6-METIL-1H-INDOL-2-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 613.6.

EJEMPLO 955

3-[4-(5-BROMO-6-METIL-1H-INDOL-2-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ESI, [M-H]-) m/z 711.7.

EJEMPLO 956

1-METIL-2-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL)FENIL}-1H-INDOL-5-CARBONITRILO

EMAR: calculado para C31H18F6N4 + H+, 561.15084; encontrado (ESI, [M+H]+), 561.1509.

EJEMPLO 957

3-[4-(5-FLUORO-1-METIL-1H-INDOL-2-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EMAR: calculado para C30H18F7N3 + H+, 554.14617; encontrado (ESI, [M+H]+), 554.1463.

EJEMPLO 958

6-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

EM (ES) m/z 566.8.

EJEMPLO 959

2-{4-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENIL}-1H-INDOL-5-CARBONITRILO

EM (ES) m/z 546.7.

EJEMPLO 960

3-[4-(5-FLUORO-1H-INDOL-2-IL)FENIL]-2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL

EM (ES) m/z 539.7.

EJEMPLO 961

5-{3-[2-(2,4,6-TRIFLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

EM (ES) m/z 566.8.

EJEMPLO 962

6-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1(2H)-ONA

EM (ES) m/z 564.7.

EJEMPLO 963

(5-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-1-HIDROXI-1,2,3,4-TETRAHIDRONAFTALEN-1-IL)ACETATO DE METILO

EM (ESI) m/z 639.

EJEMPLO 964

[4-({3-[2-(2,4,6-TRIFLUOROBENCIL)-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACETATO DE ETILO

EM (ES) m/z 598.8.

EJEMPLO 965

ÁCIDO (5-{3-[2-(2-CLORO-4-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-3,4-DIHIDRONAFTALEN-1-IL)ACÉTICO

EM (ES) m/z 606.8.

EJEMPLO 966

[4-({4-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}METIL)FENIL]ACETATO DE ETILO

EM (ES) m/z 596.8.

EJEMPLO 967

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5-{3-[2-(4-CLORO-2-FLUOROBENCIL)-7-(TRIFLUOROMETIL)-2H-INDAZOL-3-IL]FENOXI}-1,2,3,4-TETRAHIDRONAFTALEN-1-OL

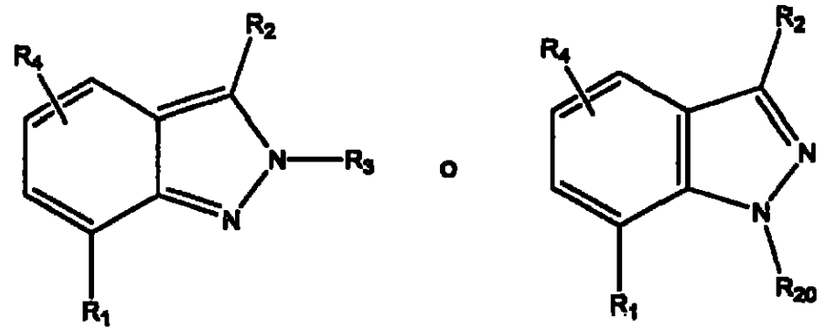
EM (ES) m/z 566.8.

Como aquellos expertos en la técnica apreciaran, los numerosos cambios y modificaciones se puede hacer para las modalidades preferidas de la invención sin salirse del espíritu de la invención. Se entenderá que todas las variaciones caen dentro del alcance de la invención. Se intenta que cada una de las patentes, solicitudes y publicaciones impresas incluyen libros mencionados en este documento de patente incorporados por lo tanto como referencia en su totalidad.

Esta solicitud reivindica el beneficio de prioridad de las Solicitudes Provisionales de E.U.A Nos de serie 60/598,573, fechado el 3 de agosto 2004, y 60/669,737, fechado el 8 de abril 2005, cada una de las cuales se incorpora en la presente como referencia en su totalidad.

Reivindicaciones:

1. Un compuesto que tiene la fórmula (I) o (Ia):



(I) (Ia)

caracterizado porque:

R_1 es alquilo C_{1-6}, CN, CO_2R_5, $C(O)R_5$, alquenilo C_{2-6}, cicloalquenilo C_{3-8}, alquinilo C_{2-6}, NR_5R_6, $C(O)NR_5R_6$, fenilo, tiofeno, alcoxi C_{1-3}, halógeno, o $S(O)_kR_5$;

en donde:

el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 substituyentes independientemente seleccionados del grupo que consiste de halógeno y OH;

k es 0, 1 ó 2;

cada R_5 y cada R_6 es independientemente H, alquilo C_{1-6}, cicloalquilo C_{3-8}, $S(O)_2$-alquilo o arilalquilo; o

cada R_5 y cada R_6, junto con el átomo de nitrógeno al cual se enlazan, forman independientemente:

c) un anillo saturado de 3 hasta 7 miembros que es opcionalmente substituido con alquilo C_{1-3}, CH_2OH, o $C(=O)NH_2$; o

d) un anillo de 3 hasta 7 miembros que contiene en su estructura uno o dos heteroátomos adicionales que son opcionalmente substituidos con hasta tres substituyentes independientemente seleccionados del grupo que consiste de =O, alquilo C_{1-3}, COalquilo C_{1-6}, y CO_2alquilo C_{1-6};

con la condición de que cuando R_1 es $S(O)_kR_5$, entonces el R_5 del $S(O)_kR_5$ no es $S(O)_2$-alquilo;

R_2 es alquilo C_{3-8}, cicloalquilo C_{3-8}, alquenilo C_{2-8}, cicloalquenilo C_{3-8}, alquinilo C_{2-8}, NR_7R_8, arilo, arilalquilo, heteroarilo, heteroarilalquilo o heterocicloalquilo, en donde el alquilo C_{3-8}, el cicloalquilo C_{3-8} y el arilalquilo son cada uno opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7, y en donde el heteroarilo es

opcionalmente substituido con YD; o

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alquenilo C_{2-8}, alquinilo C_{2-8}, alcoxi C_{1-3}, cicloalquilo C_{3-8}, halógeno, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, fenilo, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ e YD, con la condición de que cualquier grupo OH no se presente en la posición para; en donde:

el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor;

m es 0 hasta 2; y

R_5 y R_6 son como se definen previamente;

cada R_7 y cada R_8 es independientemente H o alquilo C_{1-3}; o

cada R_7 y cada R_8, junto con el átomo N al cual se enlazan, forman independientemente:

a) un anillo saturado de 3 hasta 7 miembros el cual es opcionalmente substituido con alquilo C_{1-3}, CO_2R_{14}, $CH_2CO_2R_{14}$, $OCH_2CO_2R_{14}$, $CH_2OCH_2CO_2R_{14}$, $C(O)NR_{14}R_{15}$, CH_2OH, o CH_2CH_2OH; o

b) un anillo de 3 hasta 7 miembros que contiene en su estructura uno o dos heteroátomos adicionales que son opcionalmente substituidos con $CH_2CO_2R_{14}$; en donde R_{14} y R_{15} son cada uno independientemente H o alquilo C_{1-3};

Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, CH_2OCH_2, OCH_2, CH_2O, $-N(R_7)-$, $-N(COR_7)-$, $S(O)_j$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-N(COR_7)CH_2-$, $S(O)_jCH_2$, $-CH_2N(R_7)CH_2-$, $-CH_2N(COR_7)CH_2-$, $-OCH_2O-$, $-OC(R_7)(CO_2R_8)-$ o $-CH_2S(O)_jCH_2-$; en donde R_7 y R_8 son como se definen previamente; y j es 0, 1 ó 2;

D es tetrahidronaftaleno, tetrahidronaftalol, tetralona, naftaleno, antraceno, bencilo o fenilo, cada uno de los cuales es opcionalmente substituido con hasta cinco grupos R seleccionados independientemente;

cada R es independientemente seleccionada del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NH_2, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j es 0, 1 ó 2; o

D es un grupo heterocicloalquilo, heterocicloalquilalquilo, heteroarilalquilo, heteroarilo o arilalquilo, cada uno de los cuales es opcionalmente substituido con hasta cuatro grupos R_a seleccionados independientemente;

cada R_a es independientemente seleccionado del grupo que consiste de alquilo C_{1-8}, fenilo, bencilo, cicloalquilo C_{3-8} arilalquilo C_{7-11}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, NH_2, OH, =O, alquenilo C_{2-6}, alquinilo C_{2-4}, $S(O)_jR_9$ y WX; en donde el alquilo C_{1-8}, el alquenilo C_{2-6}, el alquinilo C_{2-4} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y

j es 0, 1 ó 2;

W es un enlace, $-CH_2-$, $-CH_2CH_2-$, $-NR_7-$, $-Q-N(R_7)-$, $-CHR_8-$, $-(CHR_8)_2-$, $-CHR_9-$, $-CR_9R_{10}-$, -CO-, -O-, $-OCH_2-$, $-OCHR_9-$, o $-OCR_9R_{10}-$;

en donde R_7 y R_8 son como se definen previamente; y Q es alquilenilo C_{1-6};

cada R_9 y cada R_{10} es independientemente alquilo C_{1-3} o OH; o

cualquiera de R_9 y R_{10}, junto con el átomo al cual se enlazan, pueden formar un anillo saturado de 3 hasta 7 miembros que opcionalmente contiene un átomo de O, N o S;

X es CO_2R_{11}, COR_{11}, $C(R_{11})_2OH$, CO_2R_5, $C(O)NR_5R_6$, NR_5R_6, $QNR_5CO_2R_6$, OH, CH_2OH, CN, $SO_2NR_5R_6$, $P(O)(OR_5)(OR_6)$, cicloalquilalquilo, arilo, arilalquilo, heterocicloalquilo o heteroarilo, en donde:

el arilo, el arilalquilo, el heterocicloalquilo y el heteroarilo son independientemente cada uno opcionalmente substituido con hasta tres substituyentes seleccionados del grupo que consiste de alquilo C_{1-3}, alcoxi C_{1-3}, halógeno, H, OH, NO_2 y bencilo, que es opcionalmente substituido con hasta cinco átomos de halógeno; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor;

Q es alquilenilo C_{1-6};

R_{11} es H o alquilo C_{1-6}; y

R_5 y R_6 son como se definen previamente;

R_3 es alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, cicloalquilo C_{3-8}, cicloalquenilo C_{3-8}, fenilo, o ZA; en donde:

el fenilo es opcionalmente substituido con alquilo C_{1-3};

Z es CH_2, CH_2CH_2, o CH_2O;

A es bifenilo, bencilo, naftilo, piridilo, 8-quinolilo, cicloalquilo C_{3-8} o fenilo; en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente; en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, CN, fenilo, pirrol-1-ilo, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$ y $S(O)_nR_{12}$; en

donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; n es 0, 1 ó 2; y R_{12} y R_{13} son cada uno independientemente H o alquilo C_{1-3};

R_{20} es H o alquilo C_{1-3}; y

R_4 es H, halógeno, metilo o metoxi;

con la condición de que cuando el compuesto tiene la estructura (Ia), entonces R_2 es fenilo o heteroarilo, cada uno de los cuales es substituido por YD, en donde YD es como se define previamente; o una sal farmacéuticamente aceptable del mismo.

2. El compuesto de conformidad con la reivindicación 1, caracterizado porque R_1 es alquilo C_{1-6}, CN, CO_2R_5, NR_5R_6, halógeno; en donde el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 substituyentes independientemente seleccionados del grupo que consiste de halógeno y OH; y R_5 y R_6 son como se definen previamente.

3. El compuesto de conformidad con la reivindicación 1, caracterizado porque R_1 es CN, halógeno; o alquilo C_{1-6} substituido con desde 1 hasta 7 átomos de flúor.

4. El compuesto de conformidad con la reivindicación 1, caracterizado porque R_1 es perhaloalquilo C_{1-3}.

5. El compuesto de conformidad con la reivindicación 1, caracterizado porque R_1 es CF_3, F o Cl.

6. El compuesto de conformidad con la reivindicación 1, caracterizado porque R1 es CF_3.

7. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 6, caracterizado porque:

R_2 es alquilo C_{3-8}, cicloalquilo C_{3-8}, arilo, arilalquilo, heteroarilo o heterocicloalquilo; en donde el alquilo C_{3-8}, el cicloalquilo C_{3-8} y el arilalquilo son cada uno opcionalmente substituidos con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7; y

el heteroarilo es opcionalmente substituido con YD; en donde Y, y D son como se define previamente; o

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alquenilo C_{2-8}, alquinilo C_{2-8}, alcoxi C_{1-3}, cicloalquilo C_{3-8}, halógeno, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, fenilo, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ y YD, proporciono cualquier grupo OH si no se presento en la posición para; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y m, R_5, R_6, R_7, R_8, Y y D son como se definen previamente.

8. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 6, caracterizado porque

R_2 es arilalquilo, heteroarilo o heteroarilalquilo, en donde: el arilalquilo es opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7, y

el heteroarilo es opcionalmente substituido con YD; o

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alcoxi C_{1-3}, halógeno, CH_2OH, CN, NR_7R_8,

$N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, NO_2, $OC(O)R_7$ e YD, en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; m, R_5, R_6, R_7, y R_8 son como se definen previamente;

Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, OCH_2, CH_2O, -NR7-, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$ o $-OC(R_7)CO_2R_8-$; en donde R_7 y R_8 son como se definen previamente;

D es bencilo o fenilo cada uno de los cuales es opcionalmente substituido con hasta cinco grupos R seleccionados independientemente;

cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9, y WX son como se definen previamente; o

D es un grupo heterocicloalquilo, heteroarilalquilo, heteroarilo, o arilalquilo, cada uno de los cuales es opcionalmente substituido con hasta cuatro grupos R_a seleccionados independientemente;

cada R_a es independientemente seleccionado del grupo que consiste de alquilo C_{1-8}, fenilo, bencilo, arilalquilo C_{7-11}, alcoxi C_{1-3}, halógeno, -C(=O)H, $CO(CH_3)_2$, $C(CH_3)_2OH$, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, NH_2, OH, =O, alquenilo C_{2-6}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-8}, el alquenilo C_{2-6}, alquinilo C_{2-4} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor, y j, R_9, y WX son como se definen

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previamente.

9. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 7, caracterizado porque R_2 es fenilo substituido con un orto o meta OH.

10. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 7, caracterizado porque R_2 es fenilo substituido con un OH meta.

11. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 7, caracterizado porque:

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alquenilo C_{2-8}, alquinilo C_{2-8}, alcoxi C_{1-3}, cicloalquilo C_{3-8}, halógeno, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_5R_6$, $S(O)_mR_7$, fenilo, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ e YD, con la condición de que cualquier grupo OH no se presente en la posición para; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y m, R_5, R_6, R_7, y R_8, Y, y D son como se definen previamente.

12. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 8, caracterizado porque R_2 es fenilo substituido con YD.

13. El compuesto de conformidad con la reivindicación 12, caracterizado porque Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$ o $-OC(R_7)(CO_2R_8)-$.

14. El compuesto de conformidad con la reivindicación 12 o reivindicación 13, caracterizado porque D es bencilo o fenilo, cada uno de los cuales es opcionalmente substituido

con hasta cinco grupos R seleccionados independientemente; cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, C(=O)H, acilo C_{1-3}, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9, y WX son como se definen previamente.

15. El compuesto de conformidad con la reivindicación 12, o reivindicación 13, caracterizado porque D es fenilo que es opcionalmente substituido con hasta cuatro grupos R seleccionados independientemente; cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, -C(=O)H, alquilo C_{1-3}-C(O)-, alcoxi C_{1-3}, halógeno, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y en donde WX es como se define previamente.

16. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 8 ó 11, caracterizado porque R_2 es fenilo substituido con perfluoroalquilo C_{1-3}.

17. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 8, 14 ó 15, caracterizado porque R o R_a es perfluoroalquilo C_{1-3} o perfluoroalcoxi C_{1-3}.

18. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 6, caracterizado porque R_2 se selecciona del grupo que consiste de 2,4-dimetoxifenilo, fenilo, 4-metoxi-2-metilfenilo, 2-metoxifenilo, 4-metoxifenilo, 2-metilfenilo, 2,4-dimetilfenilo, 1,1'-bifenil-

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4-ilo, 4-metoxi-3,5-dimetilfenilo, 2-naftilo, 2-vinilfenilo, 4-metoxi-3-metilfenilo, 3-metilfenilo, 2,3-dimetilfenilo, 3-(trifluorometil)fenilo, 4-fluorofenilo, 3-clorofenilo, 3-metoxifenilo, benzaldehído-2-ilo, 2-isopropilfenilo, 2-ciclohexilfenilo, 2-bencilfenilo, 2-(1,1,2,2-tetrafluoroetoxi)fenilo, 2-cloro-5-fluorofenilo, 9H-fluoren-2-ilo, 4-bencilfenilo, benzaldehído-3-ilo, 3-hidroxifenilo, butilo, isobutilo, pentilo, ciclopentilo, 2-hidroxifenilo, 1,3-dioxolan-2-ilo, 4'-metoxi-1,1'-bifenil-4-ilo, 1,1'-bifenil-4-ol, ciclohexanilo, 4-metoxi-2-metilfenilo, 4-metoxi-2-metilfenilo, 4-bromofenilo, 3-bromofenilo, 3-(benciloxi)fenilo, 2-hidroxifenilo, N-ciclohexamino, y 4-fenoxi-fenilo.

19. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 18, caracterizado porque:

R_3 es fenilo opcionalmente substituido con alquilo C_{1-3}, o ZA; en donde:

Z es CH_2; y

A es piridilo o fenilo, en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente, en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, y CN; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor.

20. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 18, caracterizado porque R_3 es fenilo opcionalmente substituido con alquilo C_{1-3} y ZA.

21. El compuesto de conformidad con la reivindicación 20, caracterizado porque A es fenilo que es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente; en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, CN, fenilo, pirrol-1-ilo, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$, y $S(O)_nR_{12}$; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y n, R_{12} y R_{13} son como se definen previamente.

22. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 18, caracterizado porque R_3 es ZA; en donde Z es CH_2; y

A es fenilo, en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente, en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, y CN; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituidos con desde 1 hasta 7 átomos de flúor.

23. El compuesto de conformidad con cualesquiera de las reivindicaciones 19 hasta 22, caracterizado porque R_{18} es perfluoroalquilo C_{1-3}.

24. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 18, caracterizado porque R_3 se selecciona del grupo que consiste de 2-alilo, propilo, ciclopentilo, isobutilo, ciclohexilmetilo, 2-etilbutilo, ciclobutilo, bencilo, 3-metoxibencilo, 2-naftilmetilo, 4-metilbencilo, 2-nitrobencilo, 2-(trifluorometil)bencilo, 4-bromobencilo, 3-(difluorometoxi)bencilo, 3-

(trifluorometoxi)bencilo, 3,5-difluorobencilo, 3,5-dimetilbencilo, quinolinilmetilo, 2-cloro-4-fluorobencilo, 3-(1H-pirrol-1-il)bencilo, 2-bromobencilo, 2-metilbencilo, 5-fluoro-2-metilbencilo, 6-cloro-2-fluoro-3-metilbencilo, 1,1'-bifenil-3-ilmetilo, 2-clorobencilo, 1-naftilmetilo, 2,5-diclorobencilo, (difluorometoxi)bencilo, 3-fluorobencilo, 4-metilbencilo, 2,4-dimetilbencilo, mesitilmetilo, 2,4-dimetilbencilo, 2-feniletilo, 2-cloro-6-fluorobencilo, 4-cloro-2-fluorobencilo, 4-fluorobencilo, 4-(metilsulfonil)bencilo, y fenilo.

25. El compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 24, caracterizado porque R_4 es H o F.

26. El compuesto de conformidad con la reivindicación 1, caracterizado porque:

R_1 es alquilo C_{1-6}, CN, CO_2R_5, NR_5R_6, halógeno; en donde el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 substituyentes independientemente seleccionados del grupo que consiste de halógeno y OH;

R_5 y R_6 son como se definen previamente;

R_2 es como se define previamente;

R_3 es fenilo opcionalmente substituido con alquilo C_{1-3}, o ZA; en donde:

Z es CH_2; y

A es piridilo o fenilo, en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} independientemente seleccionados; en donde cada R_{18} es independientemente seleccionado del grupo que consiste de

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alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, y CN; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y

R_4 es H o halógeno.

27. El compuesto de conformidad con la reivindicación 1, caracterizado porque:

R_1 es alquilo C_{1-6}, CN, o halógeno; en donde el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 átomos de flúor;

R_2 es arilalquilo, heteroarilo o heteroarilalquilo; en donde:

el arilalquilo es opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7; y

el heteroarilo es opcionalmente substituido con YD;

en donde R_7, Y e D son como se definen previamente; o

R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alcoxi C_{1-3}, halógeno, CH_2OH, CN, NR_7R_8, $N(R_7)CONR_5R_6$, $S(O)_mR_7$, NO_2 y YD; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituidos con desde 1 hasta 7 átomos de flúor; m, R_5, R_6, R_7 y R_8 son como se definen previamente;

Y es un enlace, CH_2, CH_2CH_2, alquinenilo C_{2-4}, -O-, OCH_2, CH_2O, $-N(R_7)-$, $-N(R_7)CH_2-$, $-N(R_7)CONR_8-$, $-OCH_2O-$, ó $-OC(R_7)(CO_2R_8)-$;

D es bencilo o fenilo, cada uno de los cuales es opcionalmente substituido con hasta cinco grupos R independientemente seleccionados;

cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, C(=O)H, -alquilo C_{1-3}-C(O)-, CH_2OH, CN, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9 y WX son como se definen previamente; o

D es un grupo heterocicloalquilo, heteroarilalquilo, heteroarilo o arilalquilo, cada uno de los cuales es opcionalmente substituido con hasta cuatro grupos R_a independientemente seleccionados;

cada R_a es independientemente seleccionado del grupo que consiste de, alquilo C_{1-6}, fenilo, bencilo, arilalquilo C_{7-11}, alcoxi C_{1-3}, halógeno, C(O)H, alquilo C_{1-3}-C(O)-, CH_2OH, CN, NO_2, NH_2, OH, =O, alquenilo C_{2-6}, alquinilo C_{2-4}, $S(O)_jR_9$, y WX; en donde el alquilo C_{1-6}, el alquenilo C_{2-6}, alquinilo C_{2-4}, y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j, R_9 y WX son como se definen previamente;

R_3 es ZA; en donde:

Z es CH_2; y

A es fenilo, en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} independientemente seleccionados; en donde cada uno de los R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, y CN, en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y

R_4 es H.

28. El compuesto de conformidad con la reivindicación 26 ó 27, caracterizado por que R_{18} es perfluoroalquilo.

29. El compuesto de conformidad con la reivindicación 28 ó 27, caracterizado porque R_2 es fenilo substituido con perfluoroalquilo C_{1-3}.

30. El compuesto de conformidad con cualesquiera de las reivindicaciones 27 hasta 29, caracterizado porque R o R_a es perfluoroalquilo C_{1-3} o perfluoroalcoxi C_{1-3}.

31. El compuesto de conformidad con la reivindicación 1, caracterizado porque es seleccionado del grupo que consiste de:

a) 2-Bencil-3-(4-metoxifenil)-7-trifluorometil-2H-indazol;

b) ácido 4-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-benzoico;

c) ácido 4-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoxi]-benzoico;

d) [4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-fenil-amina;

e) éster de metilo del ácido 3-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bencil]-benzoico;

f) ácido 4'-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bifenil-4-carboxílico;

g) ácido 4-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-benciloximetil]-benzoico;

h) 2-Bencil-3-fenil-7-metil-2H-indazol;

i) 2-Bencil-3-(4-fenoxifenil)-7-trifluorometil-2H-indazol;

j) ácido 3-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoxi]-benzoico;

k) ácido {4-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-

il)-fenoxi]-fenoxi}-acético;

l) ácido {4-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-fenoxi}-acético;

m) ácido {3-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-fenoxi}-acético;

n) ácido {3-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-fenil}-acético;

o) ácido 3-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil]-benzoico;

p) ácido {4-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-benciloximetil]-fenoxi}-acético;

q) ácido {3-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-benciloximetil]-fenoxi}-acético;

r) ácido 3-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-benciloximetil]-benzoico;

s) 2-Bencil-3-(4-metoxi-2-metilfenil)-7-trifluorometil-2H-indazol;

t) 2-Bencil-3-(2-metoxifenil)-7-trifluorometil-2H-indazol;

u) 2-Bencil-3-o-tolil-7-trifluorometil-2H-indazol;

v) 2-Bencil-3-(2,4-dimetilfenil)-7-trifluorometil-2H-indazol;

w) 2-Bencil-3-bifenil-4-il-7-trifluorometil-2H-indazol;

x) 2-Bencil-7-trifluorometil-3-(2-vinilfenil)-2H-indazol;

y) 2-Bencil-7-trifluorometil-3-(3-trifluorometilfenil)-2H-indazol;

z) 2-Bencil-3-(4-fluorofenil)-7-trifluorometil-2H-indazol;

aa) 2-Bencil-3-(3-clorofenil)-7-trifluorometil-2H-indazol;

ab) 2-Bencil-3-(3-metoxifenil)-7-trifluorometil-2H-indazol;

ac) Bencil-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-metilamina;

ad) 2-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenol;

ae) 3-(4-Metoxi-2-metilfenil)-2-(4-metil-bencil)-7-trifluorometil-2H-indazol;

af) 2-(2,4-Dimetilbencil)-3-(4-metoxi-2-metilfenil)-7-trifluorometil-2H-indazol;

ag) 3-(4-Metoxi-2-metil-fenil)-7-trifluorometil-2-(2,4,6-trimetil-bencil)-2H-indazol;

ah) 2-Bencil-3-(4-bromofenil)-7-trifluorometil-2H-indazol;

ai) 2-Bencil-3-(3-bromofenil)-7-trifluorometil-2H-indazol;

aj) ácido 4-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bencil]-benzoico;

ak) ácido 4-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bencil]-benzoico;

al) ácido 3-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bencil]-benzoico;

am) ácido 3-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bencil]-benzoico;

an) ácido {4-[4-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bencil]-fenil}-acético;

ao) ácido {4-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bencil]-fenil}-acético;

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ap) N-Bencil-N-[4-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-amina;

aq) Bencil-[3-(2-bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-amina;

ar) 2-(2,4-Dimetil-bencil)-3-fenil-7-trifluorometil-2H-indazol;

as) 3-Fenil-7-trifluorometil-2-(2,4,6-trimetil-bencil)-2H-indazol;

at) 3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzoato de metilo;

au) 2-Bencil-3-[3-(benciloxi)fenil]-7-(trifluorometil)-2H-indazol;

av) ácido [4-({3-[2-Bencil-7-trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acético;

aw) ácido 3-({3-[2-Bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzoico;

ax) ácido 4-({3-[2-Bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzoico;

ay) ácido [4-({3-[2-Bencil-7-trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]propiónico;

az) ácido {3-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil])-fenoxi)-acético;

ba) ácido {4-[3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenoximetil])-fenoxi}-acético;

bb) 2-Bencil-3-fenil-7-trifluorometil-2H-indazol;

bc) 2-(3-Metoxibencil)-3-fenil-7-trifluorometil-2H-indazol;

bd) 2-(3-Fluorobencil)-3-fenil-7-trifluorometil-2H-indazol;

be) 2-(2-Nitrobencil)-3-fenil-7-trifluorometil-2H-indazol;

bf) 2-(3,5-Difluorobencil)-3-fenil-7-trifluorometil-2H-indazol;

bg) 8-(3-Fenil-7-trifluorometil-indazol-2-il-metil)-quinolina;

bh) 2-(4-Metilbencil)-3-fenil-7-trifluorometil-2H-indazol;

bi) 2-(2-Trifluorometilbencil)-3-fenil-7-trifluorometil-2H-indazol;

bj) 2-(4-Bromobencil)-3-fenil-7-trifluorometil-2H-indazol;

bk) 2-(2-Difluorometoxibencil)-3-fenil-7-trifluorometil-2H-indazol;

bl) 2-(3-Difluorometoxibencil)-3-fenil-7-trifluorometil-2H-indazol;

bm) 2-(3-Trifluorometoxilbencil)-7-trifluorometil-3-fenil-2H-indazol;

bn) 2-(3,5-Dimetilbencil)-3-fenil-7-trifluorometil-2H-indazol;

bo) 2-Naftalen-1-ilmetil-3-fenil-7-trifluorometil-2H-indazol;

bp) 2-(2-Cloro-4-fluorobencil)-3-fenil-7-trifluorometil-2H-indazol;

bq) 3-Fenil-2-(3-pirrol-1-il-benzil)-7-trifluorometil-2H-indazol;

br) 2-(2-Bromobencil)-3-fenil-7-trifluorometil-2H-indazol;

bs) 2-(2-Metilbencil)-3-fenil-7-trifluorometil-2H-

indazol;

bt) 2-(2,5-Diclorobencil)-7-trifluorometil-3-fenil-2H-indazol;

bu) 2-(2-Metil-5-fluorobencil)-3-fenil-7-trifluorometil-2H-indazol;

bv) 2-(6-Cloro-2-fluoro-3-metilbencil)-3-fenil-7-trifluorometil-2H-indazol;

bw) 2-Bifenil-3-ilmetil-3-fenil-7-trifluorometil-2H-indazol;

bx) 2-Bencil-3-butil-7-trifluorometil-2H-indazol;

by) 2-Bencil-3-isobutil-7-trifluorometil-2H-indazol;

bz) 2-Bencil-3-ciclopentil-7-trifluorometil-2H-indazol;

ca) 2-Bencil-3-ciclohexanil-7-trifluorometil-2H-indazol;

cb) [3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-metanol;

cc) [3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenil]-fenilamina;

cd) 3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-fenol;

ce) 2-(2-Cloro-bencil)-3-fenil-7-trifluorometil-2H-indazol;

cf) 2-Naftalen-1-ilmetil-3-fenil-7-trifluorometil-2H-indazol;

cg) 2-Bencil-3-naftalen-2-il-7-trifluorometil-2H-indazol;

ch) 2-Bencil-3-(4-metoxi-3-metilfenil)-7-trifluorometil-2H-indazol;

ci) 2-Bencil-3-metilfenil-7-trifluorometil-2H-indazol;

cj) 2-Bencil-3-(2,3-dimetilfenil)-7-trifluorometil-2H-indazol;

ck) 2-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-benzaldehido;

cl) 2-Bencil-3-(2-isopropil-fenil)-7-trifluorometil-2H-indazol;

cm) 2-Bencil-3-(2-ciclohexil-fenil)-7-trifluorometil-2H-indazol;

cn) 2-Bencil-3-(2-bencilfenil)-7-trifluorometil-2H-indazol;

co) 2-Bencil-3-[2-(1,1,2,2-tetrafluoroetoxi)-fenil]-7-trifluorometil-2H-indazol;

cp) 2-Bencil-3-(2-cloro-5-fluoro-fenil)-7-trifluorometil-2H-indazol;

cq) 2-Bencil-3-(9H-flouren-2-il)-7-trifluorometil-2H-indazol;

cr) 2-Bencil-3-(4-bencilfenil)-7-trifluorometil-2H-indazol;

cs) 3-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-benzaldehido;

ct) 2-Bencil-3-(3-[1,3-dioxolan-2-il-fenil)-7-trifluorometil indazol;

cu) 2-Bencil-3-(4'-metoxi-bifenil-4-il)-7-trifluorometil indazol;

cv) 4'-(2-Bencil-7-trifluorometil-2H-indazol-3-il)-bifenil-4-ol;

cw) 2-alil-3-(2,4-dimetoxifenil)-7-(trifluorometil)-2H-indazol;

cx) 3-(2,4-dimetoxifenil)-2-propil-7-(trifluorometil)-2H-indazol;

cy) 7-Cloro-2-isopropil-3-(4-metoxi-2-metilfenil)-2H-

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indazol;

cz) 7-Cloro-3-(4-metoxi-2-metilfenil)-2-propil-2H-indazol;

da) 2-Ciclopentil-3-(2,4-dimetoxifenil)-7-(trifluorometil)-2H-indazol;

db) 3-(2,4-Dimetoxifenil)-2-isobutil-7-(trifluorometil)-2H-indazol;

dc) 2-(Ciclohexilmetil)-3-(2,4-dimetoxifenil)-7-(trifluorometil)-2H-indazol;

dd) 3-(2,4-Dimetoxifenil)-2-(2-etilbutil)-7-(trifluorometil)-2H-indazol;

de) 2-Ciclobutil-3-(2,4-dimetoxifenil)-7-(trifluorometil)-2H-indazol;

df) 3-(2,4-Dimetoxifenil)-2-(1-etilpropil)-7-(trifluorometil)-2H-indazol;

dg) 2,3-Difenil-7-(trifluorometil)-2H-indazol;

dh) 3-Fenil-2-(2-feniletil)-7-(trifluorometil)-2H-indazol;

di) 2-(4-Fluorobencil)-3-fenil-7-(trifluorometil)-2H-indazol;

dj) 2-(2-Cloro-6-fluorobencil)-3-fenil-7-(trifluorometil)-2H-indazol;

dk) 2-(4-Cloro-2-fluorobencil)-3-fenil-7-(trifluorometil)-2H-indazol;

dl) 2-Fenil-3-piperidin-1-il-7-trifluorometil-2H-indazol;

dm) 2-ciclopentil-7-fluoro-3-(4-metoxifenil)-2H-indazol;

dn) 2-(2-cloro-4-fluoro-bencil)-3-(4-fluoro-fenil)-7-trifluorometil-2H-indazol;

do) 2-(2-fluorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

dp) 2-(2-clorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

dq) 2-(3,4-difluorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

dr) 3-(4-fluorofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ds) 2-(2,4-difluorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

dt) 2-(4-fluorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

du) 2-(4-clorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

dv) 7-fluoro-2-(4-fluorobencil)-3-(4-fluorofenil)-2H-indazol;

dw) 7-fluoro-2-(2-fluorobencil)-3-(4-fluorofenil)-2H-indazol;

dx) 2-(4-clorobencil)-7-fluoro-3-(4-fluorofenil)-2H-indazol;

dy) 2-(2,4-difluorobencil)-7-fluoro-3-(4-fluorofenil)-2H-indazol;

dz) 2-(3,4-difluorobencil)-7-fluoro-3-(4-fluorofenil)-2H-indazol;

ea) 2-bencil-3-(2,4-dimetoxifenil)-7-fluoro-2H-indazol;

eb) 3-(2,4-dimetoxifenil)-7-fluoro-2-(2-fluorobencil)-2H-indazol;

ec) 3-(2,4-dimetoxifenil)-7-fluoro-2-(4-fluorobencil)-2H-indazol;

ed) 2-(2-cloro-6-fluorobencil)-3-(2,4-dimetoxifenil)-7-fluoro-2H-indazol;

ee) 2-(2,4-dimetilbencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

ef) 2-(2,4-dimetilbencil)-3-(4-fluorofenil)-2H-indazol-7-carboxilato de metilo;

eg) 2-bencil-7-fluoro-3-(4-metoxi-2-metilfenil)-2H-indazol;

eh) 7-fluoro-2-(2-fluorobencil)-3-(4-metoxi-2-metilfenil)-2H-indazol;

ei) 7-cloro-2-(2-fluorobencil)-3-(4-metoxi-2-metilfenil)-2H-indazol;

ej) [2-(2,4-dimetilbencil)-3-(4-fluorofenil)-2H-indazol-7-il]metanol;

ek) 7-fluoro-2-(4-fluorobencil)-3-(4-metoxi-2-metilfenil)-2H-indazol;

el) 2-(2-cloro-6-fluorobencil)-7-fluoro-3-(4-metoxi-2-metilfenil)-2H-indazol;

em) ácido 2-(2,4-dimetilbencil)-3-(4-fluorofenil)-2H-indazol-7-carboxílico;

en) 2-(4-clorobencil)-3-(2,4-dimetoxifenil)-7-fluoro-2H-indazol;

eo) 2-(3,4-difluorobencil)-3-(2,4-dimetoxifenil)-7-fluoro-2H-indazol;

ep) 2-bencil-7-cloro-3-(2,4-dimetoxifenil)-2H-indazol;

eq) 7-cloro-3-(2,4-dimetoxifenil)-2-(4-fluorobencil)-2H-indazol;

er) 7-cloro-3-(2,4-dimetoxifenil)-2-(2-fluorobencil)-2H-indazol;

es) 7-cloro-2-(4-fluorobencil)-3-(4-metoxi-2-metilfenil)-2H-indazol;

et) 2-(2,4-difluorobencil)-7-fluoro-3-(4-metoxi-2-metilfenil)-2H-indazol;

eu) 2-(2-clorobencil)-3-(2,4-dimetoxifenil)-7-fluoro-2H-indazol;

ev) 1-{4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-carboxilato de etilo;

ew) 2-(2,4-difluorobencil)-3-(2,4-dimetoxifenil)-7-fluoro-2H-indazol;

ex) 2-(2-clorobencil)-7-fluoro-3-(4-metoxi-2-metilfenil)-2H-indazol;

ey) 7-cloro-2-(4-fluorobencil)-3-(4-fluorofenil)-2H-indazol;

ez) 7-cloro-2-(2-fluorobencil)-3-(4-fluorofenil)-2H-indazol;

fa) 7-cloro-2-(4-clorobencil)-3-(4-fluorofenil)-2H-indazol;

fb) 7-cloro-2-(2,4-difluorobencil)-3-(4-fluorofenil)-2H-indazol;

fc) 7-cloro-2-(3,4-difluorobencil)-3-(4-fluorofenil)-2H-indazol;

fd) 2-bencil-3-(4-clorofenil)-7-(trifluorometil)-2H-indazol;

fe) 1-[2-(2,4-difluorobencil)-3-(4-fluorofenil)-2H-indazol-7-il]piperidin-4-carboxamida;

ff) 1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-carboxamida;

fg) 2-(2,4-difluorobencil)-3-(4-fluorofenil)-7-morfolin-

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4-il-2H-indazol;

fh) 2-(2,4-difluorobencil)-3-(4-fluorofenil)-7-piperidin-1-il-2H-indazol;

fi) 2-(2,4-difluorobencil)-3-(4-fluorofenil)-N,N-dimetil-2H-indazol-7-amina;

fj) 2-(2,4-difluorobencil)-N-etil-3-(4-fluorofenil)-2H-indazol-7-amina;

fk) 2-(2,4-difluorobencil)-3-(4-fluorofenil)-7-pirrolidin-1-il-2H-indazol;

fl) 1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-carboxilato de etilo;

fm) (1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

fn) 2-(2,4-difluorobencil)-3-(4-fluorofenil)-2H-indazol-7-carbonitrilo;

fo) 3-(4-clorofenil)-2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol;

fp) 2-(4-cloro-2-fluorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

fq) 2-(4-cloro-2-fluorobencil)-3-(4-clorofenil)-7-(trifluorometil)-2H-indazol;

fr) 7-cloro-2-(2-cloro-6-fluorobencil)-3-(4-fluorofenil)-2H-indazol;

fs) 7-cloro-2-(2-cloro-4-fluorobencil)-3-(4-fluorofenil)-2H-indazol;

ft) 7-cloro-2-(2,4-diclorobencil)-3-(4-fluorofenil)-2H-indazol;

fu) 7-cloro-2-(2,6-difluorobencil)-3-(4-fluorofenil)-2H-indazol;

fv) 7-cloro-3-(4-fluorofenil)-2-(2,4,6-trifluorobencil)-2H-indazol;

fw) 7-cloro-2-(2,4-dimetilbencil)-3-(4-fluorofenil)-2H-indazol;

fx) 3-(4-clorofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

fy) 2-(2-cloro-4-fluorobencil)-3-(4-clorofenil)-7-(trifluorometil)-2H-indazol;

fz) 2-(2-cloro-6-fluorobencil)-3-(4-clorofenil)-7-(trifluorometil)-2H-indazol;

ga) 2-(2-cloro-6-fluorobencil)-3-(4-fluorofenil)-7-(trifluorometil)-2H-indazol;

gb) (1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

gc) 2-(1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)etanol;

gd) 2-bencil-3-(4-piperidin-1-ilfenil)-7-(trifluorometil)-2H-indazol;

ge) 2-bencil-3-(4-pirrolidin-1-ilfenil)-7-(trifluorometil)-2H-indazol;

gf) 1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-ol;

gg) 3-(3,4-difluorofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

gh) 2-bencil-3-(3,4-difluorofenil)-7-(trifluorometil)-2H-indazol;

gi) 3-(3,4-difluorofenil)-2-(2-fluorobencil)-7-(trifluorometil)-2H-indazol;

gj) 2-(2,4-difluorobencil)-3-(3,4-difluorofenil)-7-

(trifluorometil)-2H-indazol;

gk) 2-(3,4-diclorobencil)-3-(3,4-difluorofenil)-7-(trifluorometil)-2H-indazol;

gl) 3-(3,4-difluorofenil)-2-(3-metilbencil)-7-(trifluorometil)-2H-indazol;

gm) 1-bencil-N-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

gn) 3-(2,4-difluorofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

go) 2-bencil-3-(2,4-difluorofenil)-7-(trifluorometil)-2H-indazol;

gp) 2-(2-clorobencil)-3-(4-clorofenil)-7-(trifluorometil)-2H-indazol;

gq) 2-(4-clorobencil)-3-(4-clorofenil)-7-(trifluorometil)-2H-indazol;

gr) 3-(4-clorofenil)-2-(2-fluorobencil)-7-(trifluorometil)-2H-indazol;

gs) 3-(4-clorofenil)-2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol;

gt) 3-(4-clorofenil)-7-(trifluorometil)-2-[2-(trifluorometil)bencil]-2H-indazol;

gu) 3-(4-clorofenil)-7-(trifluorometil)-2-[4-(trifluorometil)bencil]-2H-indazol;

gv) 3-(4-clorofenil)-2-(3,4-diclorobencil)-7-(trifluorometil)-2H-indazol;

gw) ácido 1-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-carboxílico;

gx) 2-(2,4-difluorobencil)-3-(piridin-2-ilmetil)-7-(trifluorometil)-2H-indazol;

gy) 2-(2-cloro-4-fluorobencil)-3-(piridin-2-ilmetil)-7-(trifluorometil)-2H-indazol;

gz) 2-(2-cloro-6-fluorobencil)-3-(piridin-2-ilmetil)-7-(trifluorometil)-2H-indazol;

ha) 2-(2,6-difluorobencil)-3-(piridin-2-ilmetil)-7-(trifluorometil)-2H-indazol;

hb) 3-(piridin-2-ilmetil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

hc) 2-(2,6-diclorobencil)-3-(piridin-2-ilmetil)-7-(trifluorometil)-2H-indazol;

hd) 3-(4-clorofenil)-2-(3-metoxibencil)-7-(trifluorometil)-2H-indazol;

he) 3-(4-clorofenil)-2-(3-metilbencil)-7-(trifluorometil)-2H-indazol;

hf) 3-(4-clorofenil)-2-(3-nitrobencil)-7-(trifluorometil)-2H-indazol;

hg) 3-(4-clorofenil)-2-(2-metilbencil)-7-(trifluorometil)-2H-indazol;

hh) 3-(4-clorofenil)-2-(2,6-diclorobencil)-7-(trifluorometil)-2H-indazol;

hi) (1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

hj) (1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

hk) 1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-carboxilato de etilo;

hl) 3-(3-clorofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

hm) 2-(4-cloro-2-fluorobencil)-3-(3-clorofenil)-7-

(trifluorometil)-2H-indazol;

hn) 2-(2-clorobencil)-3-(3-clorofenil)-7-(trifluorometil)-2H-indazol;

ho) 2-(4-clorobencil)-3-(3-clorofenil)-7-(trifluorometil)-2H-indazol;

hp) 2-(2,4-diclorobencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

hq) 2-(2,6-difluorobencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

hr) 2-(2,4-difluorobencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

hs) 2-(2,4-dimetilbencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

ht) 3-piridin-2-il-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

hu) 1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-ol;

hv) 1-bencil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

hw) 3-(3-clorofenil)-2-(2-fluorobencil)-7-(trifluorometil)-2H-indazol;

hx) 3-(3-clorofenil)-2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol;

hy) 3-(3-clorofenil)-2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol;

hz) 3-(3-clorofenil)-7-(trifluorometil)-2-[4-(trifluorometil)bencil]-2H-indazol;

ia) 3-(3-clorofenil)-2-(3,4-diclorobencil)-7-(trifluorometil)-2H-indazol;

ib) 3-(3-clorofenil)-2-(3,4-difluorobencil)-7-(trifluorometil)-2H-indazol;

ic) 3-(3-clorofenil)-2-(3-metoxibencil)-7-(trifluorometil)-2H-indazol;

id) 3-(3-clorofenil)-2-(3-metilbencil)-7-(trifluorometil)-2H-indazol;

ie) 3-(3-clorofenil)-2-(3-nitrobencil)-7-(trifluorometil)-2H-indazol;

if) 3-(3-clorofenil)-2-(2-metilbencil)-7-(trifluorometil)-2H-indazol;

ig) N-metil-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

ih) 3-[4-(2-metilpiperidin-1-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ii) 3-[4-(3-metilpiperidin-1-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ij) 3-[4-(4-metilpiperidin-1-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ik) 1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-ol;

il) (1-{4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

im) (1-{4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

in) 3-(4-bromofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

io) 1-{4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-carboxilato de etilo;

ip) 2-(1-{4-[2-(2,4,6-trifluorobencil)-7-

(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)etanol;

iq) 4-fenil-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-ol;

ir) [(1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metil]carbamato de tert-butilo;

is) ((3R)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

it) ((3S)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

iu) {4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amina;

iv) 8-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1,4-dioxa-8-azaespiro[4.5]decano;

iw) (1-{3-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

ix) (1-{3-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

iy) (1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

iz) (1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

ja) (1-{3-[2-(3-metilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

jb) (1-{3-[2-(3-metilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

jc) (1-{3-[2-(3,4-difluorobencil)-7-(trifluorometil)-2H-

indazol-3-il]fenil}piperidin-3-il)metanol;

jd) (1-{3-[2-(3,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

je) (1-{4-[2-(2-metilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

jf) (1-{4-[2-(2-metilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-il)metanol;

jg) (1-{4-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)metanol;

jh) N-(piridin-4-ilmetil)-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

ji) N-(piperidin-4-ilmetil)-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

jj) N-(2-metoxibencil)-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

jk) N-(4-bromofenil)-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

jl) N-(tetrahidrofuran-2-ilmetil)-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

jm) N-isobutil-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

jn) N,N-dipropil-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

jo) {3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amina;

jp) 2-(2-fluorobencil)-3-[3-(4-metilpiperidin-1-

il)fenil]-7-(trifluorometil)-2H-indazol;

jq) (3S)-1-bencil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

jr) (3R)-1-bencil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

js) 1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-ona;

jt) ((3R)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acetato de metilo;

ju) 2-(4-clorobencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

jv) 2-(2-clorobencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

jw) 2-(2-cloro-4-fluorobencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

jx) 2-(2-cloro-6-fluorobencil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

Jy) ácido ((3R)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acético;

jz) 1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-N-[4-(trifluorometil)bencil]piperidin-4-amina;

ka) N-(2-fluorofenil)-N'-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

kb) 1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-2-carboxilato de etilo;

kc) N-fenil-N'-{3-[2-(2,4,6-trifluorobencil)-7-

(trifluorometil)-2H-indazol-3-il]fenil}urea;

kd) N-(2-fluorofenil)-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

ke) N-(2-clorofenil)-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

kf) 1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-carboxilato de etilo;

kg) N-(2-clorofenil)-N'-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

kh) N-fenil-N'-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}urea;

ki) ((3S)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-il)carbamato de tert-butilo;

kj) ((3R)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-il)carbamato de tert-butilo;

kk) N-(2-feniletil)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

kl) (3S)-1-ciclohexil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

km) (3S)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

kn) (3R)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

ko) N-butil-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

kp) 3-(4-piperazin-1-ilfenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

kq) (3R)-1-(3-metilbut-2-enil)-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

kr) (3S)-1-(3-metilbut-2-enil)-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

ks) 2-(2-metilfenil)-3-piridin-2-il-7-(trifluorometil)-2H-indazol;

kt) (3R)-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1-(3,3,3-trifluoropropil)pirrolidin-3-amina;

ku) (3R)-1-(2-metilprop-2-enil)-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

kv) (3R)-1-[(2E)-pent-2-enil]-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

kw) (3R)-1-ciclopentil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

kx) 3-[4-(4-morfolin-4-ilpiperidin-1-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ky) (3R)-1-hexil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

kz) (3R)-1-isopropil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

la) (3S)-1-ciclopentil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

lb) (3S)-1-[(2E)-pent-2-enil]-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-

il]fenil}pirrolidin-3-amina;

lc) (3S)-1-(2-metilprop-2-enil)-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-iI]fenil}pirrolidin-3-amina;

ld) (3S)-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1-(3,3,3-trifluoropropil)pirrolidin-3-amina;

le) (3S)-1-hexil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

lf) (3S)-1-isobutil-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

lg) 8-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1,4-dioxa-8-azaespiro[4.5]decano;

lh) 7-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1,4-dioxa-7-azaespiro[4.5]decano;

li) 7-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1,4-dioxa-7-azaespiro[4.5]decano;

lj) ((3S)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acetato de metilo;

lk) ácido ((3S)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acético;

ll) 1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-ona;

lm) 3-(4-bromofenil)-2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol;

ln) 3-[4-(4-benzoilpiperazin-1-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

lo) 3-{4-[4-(2-clorobenzoil)piperazin-1-il]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

lp) 3-{4-[4-(2-metoxibenzoil)piperazin-1-il]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

lq) 3-{4-[4-(3-metoxibenzoil)piperazin-1-il]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

lr) 3-{4-[4-(4-metilpiperazin-1-il)piperidin-1-il]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ls) ((3R)-1-{4-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acetato de metilo;

lt) 3-{4-[4-(2-tienilcarbonil)piperazin-1-il]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

lu) ácido ((3R)-1-{4-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acético;

lv) 3-{4-[4-(4-metoxibenzoil)piperazin-1-il]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

lw) 3-[3-(3-morfolin-4-ilpiperidin-1-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

lx) N,N-dipropil-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

ly) N-(ciclohexilmetil)-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

lz) N-fenil-1-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

ma) 3-[3-(4-morfolin-4-ilpiperidin-1-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

mb) N-etil-N-metil-1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

mc) N-(2-feniletil)-1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

md) N-butil-1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

me) 3-{3-[4-(4-metilpiperazin-1-il)piperidin-1-il]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

mf) N-(ciclohexilmetil)-1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

mg) N-fenil-1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-amina;

mh) 1-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-4-ol;

mi) N-(2,5-difluorobencil)-2-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]piridin-4-amina;

mj) 2-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]-N-(2,5-dimetilbencil)piridin-4-amina;

mk) N-(3,5-difluorobencil)-2-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]piridin-4-amina;

ml) N-(1H-indol-5-ilmetil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

mm) {3-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amina;

mn) N-[(1-metil-1H-indol-5-il)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

mo) 3-(4-bromofenil)-2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol;

mp) 3-(4-bromofenil)-2-(2,6-diclorobencil)-7-(trifluorometil)-2H-indazol;

mq) ((3R)-1-{4-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acetato de metilo;

mr) ((3R)-1-{4-[2-(2,6-diclorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acetato de metilo;

ms) ácido ((3R)-1-{4-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acético;

mt) ácido ((3R)-1-{4-[2-(2,6-diclorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}piperidin-3-il)acético;

mu) 3-(3-bromofenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

mv) {3-[2-(2,4-difluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}[(1-metil-1H-indol-2-il)metil]amina;

mw) 3-(3-etinilfenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

mx) 3-[2-(2-fluoro-4-metoxifenil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

my) N-(2-fluoro-4-metoxibencil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

mz) N-[2-fluoro-5-(trifluorometil)bencil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

na) N-(2,5-dimetilbencil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nb) N-[2-fluoro-3-(trifluorometil)bencil]-3-[2-(2,4,6-

trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nc) N-(2,5-dimetilbencil)-4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nd) N-[2-fluoro-5-(trifluorometil)bencil]-4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

ne) (3R)-1-(2,4-dimetoxibenzoil)-N-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}pirrolidin-3-amina;

nf) N-(1H-indol-4-ilmetil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

ng) N-[(3-metil-1-benzotien-2-il)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nh) N-(piridin-4-ilmetil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

ni) N-(piridin-2-ilmetil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nj) N-(piridin-3-ilmetil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nk) N-(1H-indol-7-ilmetil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nl) N-[(3-metil-2-tienil)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nm) N-[(5-metil-2-tienil)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nn) N-[(4-bromo-2-tienil)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

no) N-[(5-bromo-2-tienil)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

np) N-[(5-cloro-2-tienil)metil]-3-[2-(2,4,6-

trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

nq) 3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoato de etilo;

nr) 3-(1-benzotien-2-il)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ns) 3-(2-naftil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

nt) 3-(1H-indol-5-il)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

nu) 3-dibenzo[b,d]tien-4-il-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

nv) 3-(2-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etil)benzoato de etilo;

nw) ácido 3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoico;

nx) 3-[1-(4-clorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ny) 3-(1-bencil-1H-indol-5-il)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

nz) ácido 3-(2-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etil)benzoico;

oa) 3-{1-[5-cloro-2-(trifluorometil)bencil]-1H-indol-5-il}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ob) 3-[1-(2,4-difluorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

oc) 3-[1-(2-fluorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

od) 3-[1-(2-clorobencil)-1H-indol-5-il]-2-(2,4,6-

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trifluorobencil)-7-(trifluorometil)-2H-indazol;

oe) 3-[1-(2,4-diclorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

of) N-metil-3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzamida;

og) 3-(3-{[3-(morfolin-4-ilcarbonil)fenil]etinil}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

oh) 4-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoil]piperazin-1-carboxilato de tert-butilo;

oi) N-metil-3-(2-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etil)benzamida;

oj) 3-(3-{2-[3-(morfolin-4-ilcarbonil)fenil]etil}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ok) 4-[3-(2-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etil)benzoil]piperazin-1-carboxilato de tert-butilo;

ol) N-[(1-etil-1H-indol-5-il)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

om) N-[(1-propil-1H-indol-5-il)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

on) N-[(1-butil-1H-indol-5-il)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

oo) N-[(1-isopropil-1H-indol-5-il)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

op) N-[(1-sec-butil-1H-indol-5-il)metil]-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

oq) N-[(2-metil-1H-imidazol-5-il)metil]-3-[2-(2,4,6-

trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

or) N-(2-naftilmetil)-3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]anilina;

os) 3-{3-[(2,5-diclorofenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ot) 3-{3-[(2,4-diclorofenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ou) 3-{3-[2-(2,4-diclorofenil)etil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ov) 2-(2,4,6-trifluorobencil)-7-(trifluorometil)-3-(3-{[2-(trifluorometil)fenil]etinil}fenil)-2H-indazol;

ow) 2-(2,4,6-trifluorobencil)-7-(trifluorometil)-3-(3-{2-[2-(trifluorometil)fenil]etil}fenil)-2H-indazol;

ox) 3-[1-(2-cloro-6-fluorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

oy) 3-[1-(2,6-difluorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

oz) 3-{3-[2-(2,5-diclorofenil)etil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pa) 3-[3-(2-feniletil)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pb) 3-[1-(2,6-diclorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pc) 3-[1-(2-cloro-4-fluorobencil)-1H-indol-5-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pd) 3-(3-{[2-cloro-5-(trifluorometil)fenil]etinil}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pe) 3-{3-[(2-bromo-5-fluorofenil)etinil]fenil}-2-(2,4,6-

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trifluorobencil)-7-(trifluorometil)-2H-indazol;

pf) 3-(3-{2-[2-cloro-5-(trifluorometil)fenil]etil}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pg) 3-{3-[2-(2-bromo-5-fluorofenil)etil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ph) 3-{3-[2-(3-fluorofenil)etil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pi) 4-amino-3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzonitrilo;

pj) 3-{3-[(3-cloro-2-fluorofenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pk) [3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]metanol;

pl) 4-amino-3-(2-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etil)benzonitrilo;

pm) 3-{3-[(2,3-diclorofenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pn) 3-{1-[5-cloro-2-(trifluorometil)bencil]-1H-indol-6-il}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

po) 3-(1-bencil-1H-indol-6-il)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pp) 3-[1-(2,6-diclorobencil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pq) 3-[1-(2-cloro-4-fluorobencil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pr) 3-[1-(2-clorobencil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ps) 3-[1-(2-cloro-6-fluorobencil)-1H-indol-6-il]-2-

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(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pt) 3-[1-(2,6-difluorobencil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pu) 3-[1-(2-fluorobencil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pv) 3-[1-(2,4-difluorobencil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pw) 3-[1-(4-clorobencil)-1H-indol-6-il]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

px) 3-{3-[2-(2,3-diclorofenil)etil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

py) 3-{3-[2-(3-cloro-2-fluorofenil)etil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

pz) [3-(2-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etil)fenil]metanol;

qa) 3-(4-etinilfenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

qb) 3-({4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoato de etilo;

qc) acido 3-({4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoico;

qd) N-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]morfolina-4-carboxamida;

qe) 4-({[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]amino}carbonil)piperazin-1-carboxilato de tert-butilo;

qf) 2-metil-N-[3-({3-[2-(2,4,6-trifluorobencil)-7-

(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]piperidin-1-carboxamida;

qg) 3-metil-N-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]piperidin-1-carboxamida;

qh) 4-metil-N-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]piperidin-1-carboxamida;

qi) 3-(hidroximetil)-N-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]piperidin-1-carboxamida;

qj) N-isopropil-N'-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]urea;

qk) N,N-dipropil-N'-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]urea;

ql) N-metil-N'-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]urea;

qm) N,N-dimetil-N'-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]urea;

qn) 3-(4-{[2-cloro-5-(trifluorometil)fenil]etinil}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

qo) 3-{4-[(2,4-difluorofenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

qp) 3-{4-[(3,5-dimetilfenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

qq) 3-{4-[(3-cloro-2-fluorofenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

qr) 3-{4-[(2,3-diclorofenil)etinil]fenil}-2-(2,4,6-

trifluorobencil)-7-(trifluorometil)-2H-indazol;

qs) 3-{4-[(2,3-dimetilfenil)etinil]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

qt) 4-[3-({4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)benzoil]piperazin-1-carboxilato de tert-butilo;

qu) 3-(3-bromofenil)-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

qv) 1-metil-3-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]imidazolidin-2-ona;

qw) [3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]carbamato de metilo;

qx) N-(metilsulfonil)-N-[3-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]metansulfonamida;

qy) 3-[3-(piridin-3-iletinil)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

qz) 3-[3-(piridin-4-iletinil)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ra) 6-metil-2-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)piridin-3-ol;

rb) 3-[3-(piridin-2-iletinil)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

rc) 2-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)piridin-3-ol;

rd) 2-{[3-[1-(2,6-diclorobencil)-1H-indol-6-il]-7-

(trifluorometil)-2H-indazol-2-il]metil}-3,5-difluorofenol;

re) 2-{[3-[1-(2-cloro-6-fluorobencil)-1H-indol-6-il]-7-(trifluorometil)-2H-indazol-2-il]metil}-3,5-difluorofenol;

rf) 6-metil-5-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-1H-indol-1-carboxilato de tert-butilo;

rg) 6-fluoro-5-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-1H-indol-1-carboxilato de tert-butilo;

rh) 5-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

ri) 3-(3-bromofenil)-2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol;

rj) 3-{3-[4-(morfolin-4-ilsulfonil)fenoxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

rk) 3-{3-[4-(pirrolidin-1-ilsulfonil)fenoxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

rl) N-propil-4-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}bencensulfonamida;

rm) N-etil-N-metil-4-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}bencensulfonamida;

rn) N,N-dietil-4-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}bencensulfonamida;

ro) 3-{3-[4-(piperidin-1-ilsulfoil)fenoxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

rp) N,N-dimetil-4-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}bencensulfonamida;

rq) 4-fluoro-2-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)anilina;

rr) 4-cloro-2-fluoro-6-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)anilina;

rs) [4-fluoro-2-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}etinil)fenil]carbamato de metilo;

rt) 3-[3-(5-fluoro-1H-indol-2-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ru) 3-[3-(5-fluoro-1-metil-1H-indol-2-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

rv) 2-bencil-3-(4-metoxifenil)-7-(trifluorometil)-2H-indazol;

rw) 2-bencil-3-etil-7-(trifluorometil)-2H-indazol;

rx) ácido 4-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]bencil}oxi)metil]benzoico;

ry) N-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}-N-fenilamina;

rz) ácido [4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

sa) ácido [4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

sb) 2-bencil-3-[4-(benciloxi)fenil]-7-(trifluorometil)-2H-indazol;

sc) ácido 3-[4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanóico;

sd) ácido 3-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanóico;

se) ácido 3-[3-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanóico;

sf) ácido 3-[3-({3-[2-bencil-7-(trifluorometil)-2H-

indazol-3-il]fenil}amino)fenil]propanóico;

sg) ácido 2-[4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenoxi]-2-metilpropanóico;

sh) ácido 2-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenoxi]-2-metilpropanóico;

si) ácido 2-{4-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}propanóico;

sj) [4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acetato de etilo;

sk) 3-[3-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanoato de metilo;

sl) 3-[3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanoato de metilo;

sm) 2-[4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenoxi]-2-metilpropanoato de metilo;

sn) 2-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenoxi]-2-metilpropanoato de metilo;

so) 2-{4-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}propanoato de metilo;

sp) ácido 2-[4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]propanóico;

sq) 2-{4-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}propanoato de metilo;

sr) ácido 2-{4-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}propanóico;

ss) 3-[3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]butanoato de metilo;

st) 3-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]butanoato de metilo;

su) {4-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acetato de metilo;

sv) [3-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acetato de metilo;

sw) [3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acetato de metilo;

sx) ácido 3-[3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]butanóico;

sy) ácido 3-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol)-il]fenil}amino)fenil]butanóico;

sz) ácido [3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

ta) ácido [3-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

tb) ácido {4-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acético;

tc) ácido 1-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]ciclopentancarboxílico;

td) ácido 2-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]-2-metilpropanóico;

te) {3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino;

tf) {4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino;

tg) 2-[3-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanoato de metilo;

th) {4-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acetato de metilo;

ti) ácido 2-[3-({4-[2-bencil-7-(trifluorometil)-2H-

indazol-3-il]fenil}amino)fenil]propanóico;

tj) ácido {4-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acético;

tk) 2-[3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanoato de metilo;

tl) ácido 2-[3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]propanóico;

tm) 2-bencil-3-(3-{[4-(1H-tetrazol-5-il)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

tn) [4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)bencil]fosfonato ácido de etilo;

to) {3-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acetato de etilo;

tp) {3-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acetato de etilo;

tq) 1-{4-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}ciclopropancarboxilato de metilo;

tr) 1-{4-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}ciclopropancarboxilato de metilo;

ts) 4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzonitrilo;

tt) ácido {3-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acético;

tu) ácido {3-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}acético;

tv) ácido 1-{4-[({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]fenil}ciclopropancarboxílico;

tw) N-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}-N-(2,6-dimetilbencil)amina;

tx) ácido [3-({4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

ty) ácido 2-[3-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]-2-hidroxipropanóico;

tz) N-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}-N-(2,6-dimetilbencil)amina;

ua) ácido [3-({4-[1-metil-7-(trifluorometil)-1H-indazol-3-il]fenil}amino)fenil]acético;

ub) ácido [3-({4-[2-metil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

uc) ácido {4-[({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)metil]-3,5-dimetilfenil}acético;

ud) ácido {4-[({3-[2-bencil)-7-(trifluorometil)-2H-indazol-3-il)fenil}amino)metil]-3,5-dimetilfenitil}acético;

ue) ácido [3-({4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

uf) ácido [3-({4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]acético;

ug) ácido {3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}(fenil)acético;

uh) 2-bencil-3-{3-[(2,5-difluorofenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

ui) 2-(4-cloro-2-fluorobencil)-3-[3-(4-metoxibencil)fenil]-7-(trifluorometil)-2H-indazol;

uj) 2-(4-cloro-2-fluorobencil)-3-[3-(3,5-dimetoxibencil)fenil]-7-(trifluorometil)-2H-indazol;

uk) 2-(4-cloro-2-fluorobencil)-3-[3-(3,5-dimetilbencil)fenil]-7-(trifluorometil)-2H-indazol;

ul) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,3-

dimetilfenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

um) 2-(4-cloro-2-fluorobencil)-3-(3-{[2-cloro-5-(trifluorometil)fenoxi]metil}fenil)-7-(trifluorometil)-2H-indazol;

un) 2-(4-cloro-2-fluorobencil)-3-(3-{[2-cloro-3-(trifluorometil)fenoxi]metil}fenil)-7-(trifluorometil)-2H-indazol;

uo) 2-(4-cloro-2-fluorobencil)-3-{3-[(1-naftiloxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

up) 3-{3-[(2-tert-butil-5-metilfenoxi)metil]fenil}-2-(4-cloro-2-fluorobencil)-;

uq) 7-(trifluorometil)-2H-indazol;

ur) 2-(4-cloro-2-fluorobencil)-3-{3-[(4-fluoro-2-metilfenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

us) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-dimetilfenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

ut) 5-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]bencil}oxi)-3,4-dihidronaftalen-1(2H)-ona;

uu) 2-(4-cloro-2-fluorobencil)-3-[3-(2-metoxibencil)fenil]-7-(trifluorometil)-2H-indazol;

uv) 2-(4-cloro-2-fluorobencil)-3-[3-(3-metoxibencil)fenil]-7-(trifluorometil)-2H-indazol;

uw) 2-(4-cloro-2-fluorobencil)-3-[3-(2,3-dimetoxibencil)fenil]-7-(trifluorometil)-2H-indazol;

ux) 2-(4-cloro-2-fluorobencil)-3-(3-{[(3,3-dimetil-2,3-dihidro-1-benzofuran-7-il)oxi]metil}fenil)-7-(trifluorometil)-2H-indazol;

uy) 3-{3-[(2-tert-butilfenoxi)metil]fenil}-2-(4-cloro-2-

fluorobencil)-7-(trifluorometil)-2H-indazol;

uz) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,3-dimetoxifenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

va) 2-(4-cloro-2-fluorobencil)-3-[3-(3,4-dimetoxibencil)fenil]-7-(trifluorometil)-2H-indazol;

vb) 2-(4-cloro-2-fluorobencil)-3-[3-(4-cloro-2-fluorobencil)fenil]-7-(trifluorometil)-2H-indazol;

vc) 2-(4-cloro-2-fluorobencil)-3-[3-(2-cloro-4-fluorobencil)fenil]-7-(trifluorometil)-2H-indazol;

vd) 2-(4-cloro-2-fluorobencil)-3-{3-[2-fluoro-4-(trifluorometil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

ve) 3-{3-[2,4-bis(trifluorometil)bencil]fenil}-2-(4-cloro-2-fluorobencil)-7-(triftuorometil)-2H-indazol;

vf) 2-(4-cloro-2-fluorobencil)-3-[3-(2,4-difluorobencil)fenil]-7-(trifluorometil)-2H-indazol;

vg) 3-{3-[2,5-bis(trifluorometil)bencil]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

vh) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-diclorofenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

vi) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-dimetoxifenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

vj) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-dibromofenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

vk) 2-(4-cloro-2-fluorobencil)-3-[3-(2-fluoro-3-metilbencil)fenil]-7-(trifluorometil)-2H-indazol;

vl) 2-(4-cloro-2-fluorobencil)-3-[3-(6-cloro-2-fluoro-3-metilbencil)fenil]-7-(trifluorometil)-2H-indazol;

vm) 3-{3-[(2-alil-6-metilfenoxi)metil]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

vn) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-diisopropilfenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

vo) 3-{3-[(2-tert-butil-6-metilfenoxi)metil]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

vp) 3-{3-[(2-alil-6-metoxifenoxi)metil]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

vq) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,5-diclorofenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

vr) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-difluorofenoxi)metil]fenil}-7-(trifluorometil)-2H-indazol;

vs) 2-(4-cloro-2-fluorobencil)-3-{3-[3-(difluorometoxi)bencil]fenil}-7-(trifluorometil)-2H-indazol;

vt) 2-(4-cloro-2-fluorobencil)-3-[3-(2-feniletil)fenil]-7-(trifluorometil)-2H-indazol;

vu) 3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-N-propilbenzamida;

vv) N-bencil-3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzamida;

vw) 3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-N-ciclopropilbenzamida;

vx) 2-(4-cloro-2-fluorobencil)-3-(3-{[3-(morfolin-4-ilcarbonil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

vy) 3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-N-isopropilbenzamida;

vz) 2-bencil-3-[4-(benciloxi)fenil]-7-(trifluorometil)-2H-indazol;

wa) 2-bencil-3-{4-[(3-metoxibencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wb) 2-bencil-3-{4-[(2-clorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wc) 2-bencil-7-(trifluorometil)-3-(4-{[2-(trifluorometil)bencil]oxi}fenil)-2H-indazol;

wd) 2-bencil-3-{4-[(3-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

we) 2-bencil-3-{4-[(2-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wf) 2-bencil-3-{4-[(3-clorobencil)ox]fenil}-7-(trifluorometil)-2H-indazol;

wg) 2-bencil-7-(trifluorometil)-3-(4-{[3-(trifluorometil)bencil]oxi}fenil)-2H-indazol;

wh) 2-bencil-3-{4-[(3,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wi) 2-bencil-3-{4-[(2,6-diclorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wj) 2-bencil-3-{4-[(3,5-diclorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wk) 2-bencil-3-{3-[(3-metoxibencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wl) 2-bencil-3-{3-[(2-clorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wm) 2-bencil-7-(trifluorometil)-3-(3-{[2-(trifluorometil)bencil]oxi}fenil)-2H-indazol;

wn) 2-bencil-3-{3-[(3-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wo) 2-bencil-3-{3-[(2-metilbencil)oxi]fenil}-7-

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(trifluorometil)-2H-indazol;

wp) 2-bencil-7-(trifluorometil)-3-(3-{[3-(trifluorometil)bencil]oxi}fenil)-2H-indazol;

wq) 2-bencil-3-(3-{[3,5-bis(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

wr) 2-bencil-3-{3-[(3,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

ws) 2-bencil-3-{3-[(2,6-diclorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wt) 2-bencil-3-{3-[(3,5-diclorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wu) ácido 1-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]ciclopentancarboxílico;

wv) ácido 1-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]ciclopropancarboxílico;

ww) 2-bencil-3-{3-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wx) ácido 2-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]-2-metilpropanóico;

wy) 2-bencil-3-{3-[(2-fluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

wz) 2-bencil-3-{3-[(2-fluoro-6-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

xa) 2-bencil-3-{3-[(2-cloro-6-fluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

xb) 2-bencil-3-{3-[(2,6-difluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

xc) 2-bencil-3-{4-[(2-fluorobencil)oxi]fenil}-7-

(trifluorometil)-2H-indazol;

xd) 2-bencil-3-{4-[(2-cloro-6-fluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

xe) 2-bencil-3-{4-[(2,6-difluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

xf) 2-bencil-3-(3-{[3-(1H-tetrazol-5-il)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

xg) 2-bencil-3-(4-{[4-(1H-tetrazol-5-il)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

xh) ácido [4-({3-[2-bencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)bencil]fosfónico;

xi) ácido [3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acético;

xj) acetato de 3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenilo;

xk) 2-bencil-3-{4-[(2-fluoro-6-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

xl) acetato de 4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenilo;

xm) [4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)bencil]fosfonato de dietilo;

xn) [4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)bencil]fosfonato de dietilo;

xo) 3-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzonitrilo;

xp) 4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzonitrilo;

xq) [4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)bencil]fosfonato ácido de etilo;

xr) ácido [4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)bencil]fosfónico;

xs) 2-(2,4-dimetilbencil)-3-{3-[(2,6-dimetibencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

xt) 3-{3-[(2,6-dimetilbencil)oxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

xu) 3-{3-[(2-fluoro-6-nitrobencil)oxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

xv) 2-bencil-3-[4-(3-metoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

xw) 2-bencil-3-[4-(2,3-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

xx) 2-bencil-3-[4-(4-clorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

xy) 2-bencil-3-[4-(2,3-dihidro-1H-inden-5-iloxi)fenil]-7-(trifluorometil)-2H-indazol;

xz) 2-bencil-3-[4-(2-fluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

ya) 2-bencil-3-[4-(4-cloro-3-metilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yb) 2-bencil-3-[4-(2-clorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yc) N-(3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)-N,N-dimetilamina;

yd) 2-bencil-3-[4-(3-nitrofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

ye) 2-bencil-3-{4-[(7-metoxi-2-naftil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yf) 2-bencil-3-[4-(4-fluorofenoxi)fenil]-7-

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(trifluorometil)-2H-indazol;

yg) 4-(4-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}bencil)fenol;

yh) 2-bencil-3-[4-(5,6,7,8-tetrahidronaftalen-2-iloxi)fenil]-7-(trifluorometil)-2H-indazol;

yi) 7-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}quinolina;

yj) 2-bencil-3-[4-(2,4-diclorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yk) 2-bencil-3-[4-(2-metoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yl) 2-bencil-3-[4-(3,5-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

ym) 2-bencil-3-[4-(2,3-dimetilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yn) 2-bencil-3-[4-(3,5-dimetilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yo) 2-(2,4-difluorobencil)-3-{3-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yp) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yq) 2-(2-cloro-4-fluorobencil)-3-{3-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yr) 2-bencil-3-{3-[(3,5-dimetoxibencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

ys) 2-bencil-3-{4-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yt) 2-(2,4-diclorobencil)-3-{3-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yu) 2-bencil-3-[4-(4-metilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yv) 2-bencil-3-[4-(4-nitrofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

yw) 2-bencil-3-{4-[(4'-nitro-1,1'-bifenil-4-il)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yx) 3-{4-[4-(4-acetilpiperazin-1-il)fenoxi]fenil}-2-bencil-7-(trifluorometil)-2H-indazol;

yy) 2-bencil-3-{3-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

yz) 2-bencil-3-(3-{[2-fluoro-6-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

za) ácido 2-[4-({3-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]-2-metilpropanóico;

zb) ácido 2-metil-2-[4-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]propanóico;

zc) ácido 2-[4-({3-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]propanóico;

zd) ácido 2-[4-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]propanóico;

ze) 2-(2,4-dimetilbencil)-3-{3-[(2-fluoro-6-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

zf) 3-({3-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzonitrilo;

zg) 3-[3-(benciloxi)fenil]-2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol;

zh) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-fluoro-6-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

zi) 3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)benzonitrilo;

zj) ácido 2-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-2-(4-metilfenil)propanóico;

zk) 2-bencil-3-[4-(2,4-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zl) 2-bencil-3-[4-(3,4-dimetilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zm) 2-bencil-3-[4-(2-isopropilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zn) 5-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

zo) 6-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}quinolina;

zp) 2-bencil-3-[4-(2,6-dimetoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zq) 2-bencil-3-[4-(2-metilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zr) ácido 2-[4-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]propanóico;

zs) 2-bencil-3-[4-(3,4-diclorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zt) 2-bencil-3-[4-(3,4-difluorofenoxi)fenil]-7-

(trifluorometil)-2H-indazol;

zu) 2-bencil-3-[4-(2,5-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zv) 8-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}quinolina;

zw) 2-bencil-3-[4-(4-etilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zx) 2-bencil-3-[4-(2,6-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

zy) 2-bencil-3-{4-[4-(benciloxi)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

zz) 2-bencil-3-[3-(3,4-diclorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aaa) 3-(4-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)propanoato de metilo;

aab) 1-(2-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)etanona;

aac) 1-(3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)etanona;

aad) 2-bencil-3-[3-(3-metilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aae) 2-bencil-3-[3-(2-clorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aaf) (3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)dimetilamina;

aag) 2-bencil-3-[3-(3-nitrofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aah) 2-bencil-3-[3-(2,3-dimetilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aai) 2-bencil-3-{3-[2-(trifluorometoxi)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

aaj) 2-bencil-3-[3-(3-metoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aak) 3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoato de metilo;

aal) 5-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

aam) 7-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}quinolina;

aan) 2-bencil-3-[3-(2-isopropilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aao) 8-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}quinolina;

aap) 2-bencil-3-{3-[3,5-bis(trifluorometil)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

aaq) 2-bencil-3-{3-[(7-metil-1-naftil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aar) 4-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}acridina;

aas) 2-bencil-3-{3-[2-(benciloxi)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

aat) (2-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)(fenil)metanona;

aau) 2-bencil-3-[3-(bifenil-2-iloxi)fenil]-7-(trifluorometil)-2H-indazol;

aav) 2-(2-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)-1,3-benzotiazol;

aaw) 2-bencil-3-{3-[2-(1H-pirrol-1-il)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

aax) 2-bencil-3-[3-(3,4-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aay) 2-bencil-3-[3-(2,3-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aaz) 2-bencil-3-[3-(2,5-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aba) 2-bencil-3-{3-[(7-metoxi-2-naftil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

abb) 1-(2-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-4-metoxifenil)etanona;

abc) 6-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}quinolina;

abd) 2-bencil-3-[3-(3,5-dimetilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

abe) 2-bencil-3-[3-(2-metoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

abf) 2-bencil-3-[3-(1-naftiloxi)fenil]-7-(trifluorometil)-2H-indazol;

abg) 2-bencil-3-(3-{2-[(1E)-prop-1-en-1-il]fenoxi}fenil)-7-(trifluorometil)-2H-indazol;

abh) 2-bencil-3-[3-(3,5-difluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

abi) 2-bencil-3-[3-(3,5-diclorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

abj) 2-bencil-3-[3-(3,5-dimetoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

abk) 2-(4-cloro-2-fluorobencil)-3-{3-[2-cloro-5-

(trifluorometil)bencil]fenil}-7-(trifluoronnetil)-2H-indazol;

abl) 2-(4-cloro-2-fluorobencil)-3-{3-[4-(metilsulfonil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

abm) 2-(4-cloro-2-fluorobencil)-3-{3-[2-metil-5-(trifluorometil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

abn) 2-(4-cloro-2-fluorobencil)-3-[3-(2-cloro-5-fluorobencil)fenil]-7-(trifluorometil)-2H-indazol;

abo) 2-(4-cloro-2-fluorobencil)-3-{3-[5-fluoro-2-(trifluorometil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

abp) 2-(4-cloro-2-fluorobencil)-3-[3-(2,5-diclorobencil)fenil]-7-(trifluorometil)-2H-indazol;

abq) 2-(4-cloro-2-fluorobencil)-3-{3-[5-cloro-2-(trifluorometil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

abr) 2-(4-cloro-2-fluorobencil)-3-{3-[3-(morfolin-4-ilcarbonil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

abs) N-bencil-3-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]bencil}benzamida;

abt) 2-(4-cloro-2-fluorobencil)-3-{3-[3-(pirrolidin-1-ilcarbonil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

abu) 2-(4-cloro-2-fluorobencil)-3-{3-[3-(piperidin-1-ilcarbonil)bencil]fenil}-7-(trifluorometil)-2H-indazol;

abv) 2-(4-cloro-2-fluorobencil)-3-[3-(3-clorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

abw) 2-(4-cloro-2-fluorobencil)-3-[3-(2-fluorofenoxi)fenil]-7-(trifluorometil)-2H-indazol;

abx) 6-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-2-naftonitrilo;

aby) 2-(4-cloro-2-fluorobencil)-3-[3-(5,6,7,8-tetrahidronaftalen-1-iloxi)fenil]-7-(trifluorometil)-2H-

indazol;

abz) 2-(4-cloro-2-fluorobencil)-3-[3-(2-etoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

aca) 1-(2-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)propan-1-ona;

acb) 3-{3-[2-(1H-benzimidazol-2-il)fenoxi]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

ace) 2-(4-cloro-2-fluorobencil)-3-[3-(2-pirrolidin-1-ilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

acd) 3-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]bencil}-N,N-dietilbenzamida;

ace) 3-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]bencil}-N-ciclopropilbenzamida;

acf) 3-{3-[2-(4-cloro-2-fluorobencil)-7-{trifluorometil)-2H-indazol-3-il]bencil}-N-isopropilbenzamida;

acg) 3-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]bencil}-N-propilbenzamida;

ach) 3-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]bencil}-N-etilbenzamida;

aci) 3-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]bencil}-N-metilbenzamida;

acj) 2-bencil-3-[4-(benciloxi)fenil]-7-(trifluorometil)-2H-indazol;

ack) ácido 1-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]ciclopentancarboxílico;

acl) ácido 2-[4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]-2-metilpropanóico;

acm) ácido 2-[4-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]-2-metilpropanóico;

acn) 2-(2,4-difluorobencil)-3-{4-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aco) 2-(2,4-diclorobencil)-3-{4-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorornetil)-2H-indazol;

acp) 2-(4-cloro-2-fluorobencil)-3-{4-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

acq) 2-(2-cloro-4-fluorobencil)-3-{4-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

acr) 2-(2,4-dimetilbencil)-3-{4-[(2,6-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

acs) 2-bencil-3-(4-{[2-fluoro-6-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

act) ácido [4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-3,5-dimetilfenil]acético;

acu) ácido [4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-3,5-dimetilfenil]acético;

acv) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

acw) 2-(2,4-dimetilbencil)-3-{3-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

acx) ácido 4-({3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-3,5-dibromobenzoico;

acy) ácido 4-({4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-3,5-dibromobenzoico;

acz) ácido 4-({3-[2-bencil-7-(trifluorometil)-2H-

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indazol-3-il]fenoxi}metil)-3,5-dimetilbenzoico;

ada) 2-bencil-3-{4-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

adb) 2-(2-cloro-4-fluorobencil)-3-{4-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

adc) 2-(2,4-diclorobencil)-3-{4-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

add) 3-{3-[(2,5-dimetilbencil)oxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ade) ácido {4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}(3-metilfenil)acético;

adf) ácido {3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}(3-metilfenil)acético;

adg) 2-bencil-3-[4-(2-naftilmetoxi)fenil]-7-(trifluorometil)-2H-indazol;

adh) 2-bencil-3-[4-(1-naftilmetoxi)fenil]-7-(trifluorometil)-2H-indazol;

adi) 2-bencil-3-{4-[(3-metil-2-naftil)metoxi]fenil}-7-(trifluorometil)-2H-indazol;

adj) 2-bencil-3-[3-(2-naftilmetoxi)fenil]-7-(trifluorometil)-2H-indazol;

adk) 2-bencil-3-[3-(1-naftilmetoxi)fenil]-7-(trifluorometil)-2H-indazol;

adl) 2-bencil-3-{3-[(3-metil-2-naftil)metoxi]fenil}-7-(trifluorometil)-2H-indazol;

adm) 2-bencil-3-{4-[(2-metil-1-naftil)metoxi]fenil}-7-(trifluorometil)-2H-indazol;

adn) 3-[4-(9-antrilmetoxi)fenil]-2-bencil-7-(trifluorometil)-2H-indazol;

ado) 2-bencil-3-{3-[(2-metil-1-naftil)metoxi]fenil}-7-(trifluorometil)-2H-indazol;

adp) 3-[3-(9-antrilmetoxi)fenil]-2-bencil-7-(trifluorometil)-2H-indazol;

adq) 2-(4-cloro-2-fluorobencil)-3-{4-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

adr) 2-(2,4-dimetilbencil)-3-{4-[(2,5-dimetilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

ads) 3-{4-[(2,5-dimetilbencil)oxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

adt) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-metil-3-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

adu) 2-(4-cloro-2-fluorobencil)-3-{3-[(5-metil-2-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

adv) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-cloro-5-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

adw) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-fluoro-3-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

adx) 2-(4-cloro-2-fluorobencil)-3-(3-{[5-fluoro-2-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

ady) 2-(4-cloro-2-fluorobencil)-3-(3-{[2-cloro-3-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

adz) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-metoxi-5-nitrobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aea) 2-(4-cloro-2-fluorobencil)-3-(3-{[2-metil-5-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

aeb) 3-{3-[(2-bromo-5-metoxibencil)oxi]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

aec) 3-(3-{[2,5-bis(trifluorometil)bencil]oxi}fenil)-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

aed) 1-[3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-4-metoxifenil]etanona;

aee) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,5-difluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aef) 3-{3-[(2-bromo-5-fluorobencil)oxi]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

aeg) 2-(4-cloro-2-fluorobencil)-3-{3-[(3-cloro-2-fluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aeh) 2-(4-cloro-2-fluorobencil)-3-(3-{[2-fluoro-5-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

aei) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,3,6-trifluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aej) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,5-diclorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aek) 2-(4-cloro-2-fluorobencil)-3-(3-{[2-cloro-5-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

ael) 2-(4-cloro-2-fluorobencil)-3-(3-{[5-cloro-2-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

aem) ácido [4-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acético;

aen) [4-({3-[2-(4-cloro-2-fluorobencil)-7-

(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acetato de metilo;

aeo) 2-(4-cloro-2-fluorobencil)-3-{3-[(6-cloro-2-fluoro-3-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aep) 3-{3-[(2-cloro-3,6-difluorobencil)oxi]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

aeq) 3-{3-[(3-cloro-2,6-difluorobencil)oxi]fenil}-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

aer 2-(4-cloro-2-fluorobencil)-3-{3-[(5-cloro-2-fluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aes) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,5-dimetoxibencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aet) 2-(4-cloro-2-fluorobencil)-3-{3-[(5-fluoro-2-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aeu) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-difluoro-3-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aev) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,6-difluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aew) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-cloro-6-fluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aex) 2-(4-cloro-2-fluorobencil)-3-(3-{[2-metoxi-5-(trifluorometoxi)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

aey) 2-(4-cloro-2-fluorobencil)-3-{3-[(2,3-dimetoxibencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aez) 3-[3-(benciloxi)fenil]-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

afa) 2-(4-cloro-2-fluorobencil)-3-{3-[(4-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

afb) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-cloro-5-fluorobencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

afc) 2-(2,4-dimetilbencil)-3-(3-{[5-fluoro-2-(trifluorometil)bencil]oxi}fenil)-7-(trifluorometil)-2H-indazol;

afd) ácido [4-({3-[2-metil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acético;

afe) 2-(4-cloro-2-fluorobencil)-3-{3-[(2-cloro-6-fluoro-3-metilbencil)oxi]fenil}-7-(trifluorometil)-2H-indazol;

aff) ácido [4-({3-[7-(trifluorometil)-1H-indazol-3-il]fenoxi}metil)fenil]acético;

afg) ácido {3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}(fenil)acético;

afh) ácido 2-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazo)-3-il]fenoxi}-2-fenilpropanóico;

afi) ácido {3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}(3-metilfenil)acético;

afj) ácido [4-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-2,5-dimetilfenil]acético;

afk) ácido [4-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acético;

afl) ácido 1-[4-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]ciclopropancarboxílico;

afm) 3-(3-{[5-fluoro-2-(trifluorometil)bencil]oxi}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

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afn) ácido [3-({3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)-2,4-dimetilfenil]acético;

afo) ácido [4-({3-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acético;

afp) 3,3'-[metilenbis(oxi-3,1-fenilen)]bis[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

afq) ácido 2-[3-({4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}amino)fenil]-2-metilpropanóico;

afr) 3-(3-{[5-cloro-2-(trifluorometil)bencil]oxi}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

afs) 3-(3-{[2-cloro-5-(trifluorometil)bencil]oxi}fenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aft) 2-(4-cloro-2-fluorobencil)-3-[3-(2-feniletil)fenil]-7-(trifluorometil)-2H-indazol;

afu) 2-(4-cloro-2-fluorobencil)-3-{3-[2-(2,5-dimetilfenil)etil]fenil}-7-(trifluorometil)-2H-indazol;

afv) 2-(4-cloro-2-fluorobencil)-3-{3-[2-(2,5-diclorofenil)etil]fenil}-7-(trifluorometil)-2H-indazol;

afw) 2-(4-cloro-2-fluorobencil)-3-{3-[2-(2,5-difluorofenil)etil]fenil}-7-(trifluorometil)-2H-indazol;

afx) 2-(4-cloro-2-fluorobencil)-3-{3-[2-(5-cloro-2-fluorofenil)etil]fenil}-7-(trifluorometil)-2H-indazol;

afy) 2-(4-cloro-2-fluorobencil)-3-{3-[2-(2-cloro-5-fluorofenil)etil]fenil}-7-(trifluorometil)-2H-indazol;

afz) 2-(4-cloro-2-fluorobencil)-3-(3-{2-[2-fluoro-5-(trifluorometil)fenil]etil}fenil)-7-(trifluorometil)-2H-indazol;

aga) 2-(4-cloro-2-fluorobencil)-3-(3-{2-[3(trifluorometoxi)fenil]etil}fenil)-7-(trifluorometil)-2H-indazol;

agb) 2-(4-cloro-2-fluorobencil)-3-{3-[2-(3-metoxifenil)etil]fenil}-7-(trifluorometil)-2H-indazol;

agc) 2-(4-cloro-2-fluorobencil)-3-(3-{2-[3-(difluorometoxi)fenil]etil}fenil)-7-(trifluorometil)-2H-indazol;

agd) 2-(4-cloro-2-fluorobencil)-3-(3-{2-[2-metil-5-(trifluorometil)fenil]etil}fenil)-7-(trifluorometil)-2H-indazol;

age) 3-(3-{2-[2,5-bis(trifluorometil)fenil]etil}fenil)-2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol;

agf) 2-(4-cloro-2-fluorobencil)-3-{3-[2-(2,3-dimetoxifenil)etil]fenil}-7-(trifluorometil)-2H-indazol;

agg) 2-(4-cloro-2-fluorobencil)-3-(3-{2-[2-cloro-5-(trifluorometil)fenil]etil}fenil)-7-(trifluorometil)-2H-indazol;

agh) 2-(4-cloro-2-fluorobencil)-3-(3-{2-[5-cloro-2-(trifluorometil)fenil]etil}fenil)-7-(trifluorometil)-2H-indazol;

agi) 2-(4-cloro-2-fluorobencil)-3-(3-{2-[5-fluoro-2-(trifluorometil)fenil]etil}fenil)-7-(trifluorometil)-2H-indazol;

agj) 2-bencil-3-(3-fenoxifenil)-7-(trifluorometil)-2H-indazol;

agk) 2-bencil-3-[3-(4-metoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

agl) 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-

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il]fenoxi}benzaldehido;

agm) 2-bencil-3-{3-[3-(1,3-dioxolan-2-ilmetil)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

agn) ácido (3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)acético;

ago) ácido (3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)acético;

agp) 2-bencil-3-{4-[3-(1,3-dioxolan-2-ilmetil)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

agq) 2-bencil-3-[4-(3,5-dimetilfenoxi)fenil]-7-(trifluorometil)-2H-indazol;

agr) 2-(4-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il)]fenoxi}fenil)-2-metilpropanoato de metilo;

ags) 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzoato de metilo;

agt) (3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)metanol;

agu) 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N-metoxi-N-metilbenzamida;

agv) 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N,N-dimetilbenzamida;

agw) 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N-metilbenzamida;

agx) 3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il)fenoxi}benzamida;

agy) 2-(2,4-dimetilbencil)-3-[4-(2-metoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

agz) 3-[4-(2-metoxifenoxi)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aha) 2-(4-cloro-2-fluorobencil)-3-[4-(2-metoxifenoxi)fenil]-7-(trifluorometil)-2H-indazol;

ahb) (3-{4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-;

ahc) il]fenoxi}fenil)dimetilamina;

ahd) N,N-dimetil-3-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}anilina;

ahe) (3-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)dimetilamina;

ahf) 3-{4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N-metoxi-N-metilbenzamida;

ahg) N-metoxi-N-metil-3-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzamida;

ahh) 3-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N-metoxi-N-metilbenzamida;

ahi) 5-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

ahj) 2-bencil-3-{4-[2-(trifluorometoxi)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

ahk) 2-bencil-3-[4-(1-naftiloxi)fenil]-7-(trifluorometil)-2H-indazol;

ahl) 3-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzaldehido;

ahm) 2-(4-cloro-2-fluorobencil)-3-[4-(5,6,7,8-tetrahidronaftalen-1-iloxi)fenil]-7-(trifluorometil)-2H-indazol;

ahn) 5-{4-[2-(4-cloro-2-fluorobencil)-7-

(trifluorometil)-2H-indazol-3-il]fenoxi}-1,2,3,4-tetrahidronaftalen-1-ol;

aho) 2-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzaldehido;

ahp) 3-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N,N-dimetilbenzamida;

ahq) 3-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N,N-dietilbenzamida;

ahr) 2-(4-cloro-2-fluorobencil)-3-{4-[3-(pirrolidin-1-ilcarbonil)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

ahs) 2-(4-cloro-2-fluorobencil)-3-{4-[3-(piperidin-1-ilcarbonil)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

aht) 2-(4-cloro-2-fluorobencil)-3-{4-[3-(morfolin-4-ilcarbonil)fenoxi]fenil}-7-(trifluorometil)-2H-indazol;

ahu) 2-(3-{4-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)propan-2-ol;

ahv) 1-(3-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)etanona;

ahw) N,N-dimetil-3-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}benzamida;

ahx) 3-{4-[2-(2,4-dimetilbencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N,N-dimetilbenzamida;

ahy) 2-(3-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)propan-2-ol;

ahz) 3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-N,N-dimetilbenzamida;

aia) (1S)-5-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-1,2,3,4-

tetrahidronaftalen-1-ol;

aib) (1R)-5-{4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-1,2,3,4-tetrahidronaftalen-1-ol;

aic) ácido 2-(3-{3-[2-bencil-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)-2-metilpropanóico;

aid) 3-{4-[3-(piperidin-1-ilcarbonil)fenoxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aie) 2-(3-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}fenil)propan-2-ol;

aif) 3-{4-[3-(morfolin-4-ilcarbonil)fenoxi]fenil}-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aig) 5-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

aih) 2-(2-cloro-4-fluorobencil)-3-(4-metoxifenil)-7-(trifluorometil)-2H-indazol;

aii) 2-(4-cloro-2-fluorobencil)-3-(4-metoxifenil)-7-(trifluorometil)-2H-indazol;

aij) 3-(4-metoxifenil)-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aik) 5-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazoi-3-il]fenoxi}quinolina;

ail) 5-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}isoquinolina;

aim) 4-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}quinazolina;

ain) 6-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

aio) 2-(2-cloro-4-fluorobencil)-3-[3-(5,6,7,8-tetrahidronaftalen-2-iloxi)fenil]-7-(trifluorometil)-2H-indazol;

aip) 2-(2-cloro-4-fluorobencil)-3-[3-(5,6,7,8-tetrahidronaftalen-1-iloxi)fenil]-7-(trifluorometil)-2H-indazol;

aiq) 2-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1H-indol-5-carbonitrilo;

air) 3-[4-(5-fluoro-1H-indol-2-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

ais) 5-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

ait) 6-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

aiu) 3-[3-(5-bromo-6-metil-1H-indol-2-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aiv) 3-[4-(5-bromo-6-metil-1H-indol-2-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aiw) 1-metil-2-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1H-indol-5-carbonitrilo;

aix) 3-[4-(5-fluoro-1-metil-1H-indol-2-il)fenil]-2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol;

aiy) 6-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

aiz) 2-{4-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenil}-1H-indol-5-carbonitrilo;

aja) 3-[4-(5-fluoro-1H-indol-2-il)fenil]-2-(2,4,6-

trifluorobencil)-7-(trifluorometil)-2H-indazol;

ajb) 5-{3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

ajc) 6-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1(2H)-ona;

ajd) (5-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-1-hidroxi-1,2,3,4-tetrahidronaftalen-1-il)acetato de metilo;

aje) [4-({3-[2-(2,4,6-trifluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acetato de etilo;

ajf) ácido (5-{3-[2-(2-cloro-4-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}-3,4-dihidronaftalen-1-il)acético;

ajg) [4-({4-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazol-3-il]fenoxi}metil)fenil]acetato de etilo;

ajh) 5-{3-[2-(4-cloro-2-fluorobencil)-7-(trifluorometil)-2H-indazoi-3-il]fenoxi}-1,2,3,4-tetrahidronaftalen-1-ol;

o una sal farmacéuticamente aceptable de los mismos.

32. Un método para tratar o inhibir lesiones de ateroesclerosis o ateroescleróticas en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

33. Un método para reducir niveles de colesterol LDL en

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un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de 00un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

34. Un método para incrementar niveles de colesterol HDL en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero que necesita del mismo una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

35. Un método para incrementar el transporte de colesterol inverso en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

36. Un método para inhibir la absorción del colesterol en un mamífero que necesita del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

37. Un método para tratar o inhibir enfermedad de Alzheimer o demencia en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

38. Un método para tratar o inhibir diabetes de tipo I o tipo II en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

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39. Un método para tratar o inhibir síndrome coronario agudo o restenosis en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

40. Un método para tratar esclerosis múltiple, artritis reumatoide, enfermedad de intestino inflamatorio, enfermedad de Crohn, o endometriosis en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

41. Un método para tratar o inhibir celíaca o tiroiditis en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

42. Un método para tratar una enfermedad mediada por Th-1 en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

43. El método de conformidad con la reivindicación 42, caracterizado porque la enfermedad mediada por Th1 es esclerosis múltiple, artritis reumatoide, enfermedad tiroide autoinmune, enfermedad de intestino inflamatorio, enfermedad de Crohn o ateroesclerosis.

44. Un método para suprimir la función o activación de linfocito en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una

cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

45. El método de conformidad con la reivindicación 44, caracterizado porque la función de linfocito es producción de linfocina.

46. Un método para suprimir la función o activación de macrófago en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

47. Un método para suprimir la producción de citocina en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

48. Un método para incrementar la actividad ABCA1 por 20% o más mientras se incrementa la actividad SREBP-1c por 25% o menos, en un mamífero que necesite del mismo, caracterizado porque comprende proporcionar al mamífero una cantidad efectiva de un compuesto de la Fórmula (I) o (Ia) de conformidad con cualesquiera de las reivindicaciones 1 hasta 31.

49. Una composición farmacéutica caracterizada porque comprende un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31, o una sal farmacéuticamente aceptable del mismo y un portador farmacéutico.

50. El uso de un compuesto de conformidad con cualesquiera de las reivindicaciones 1 hasta 31 en la fabricación de un medicamento para tratar o inhibir

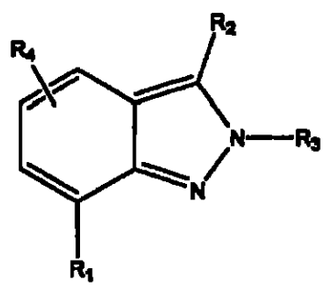
ateroesclerosis o lesiones ateroescleróticas, disminuir niveles de colesterol LDL incrementar, niveles de colesterol HDL, incrementar el transporte de colesterol inverso, inhibir la absorción del colesterol, tratar o inhibir enfermedad de Alzheimer o demencia, tratar o inhibir diabetes de tipo I o tipo II, tratar o inhibir síndrome coronario agudo o restenosis, tratar esclerosis múltiple, artritis reumatoide, enfermedad de intestino inflamatorio, enfermedad de Crohn, o endometriosis, tratar o inhibir celíaca o tiroiditis, tratar a enfermedades mediadas por Th-1, la supresión de función o activación de linfocito, suprimir la función o activación de macrófago, producción de supresión de citocina, o incrementarla de actividad ABCA1 incrementada por 20% o más mientras se incrementa la actividad SREBP-Ic por 25% o menos, en un mamífero que necesite del mismo.

51. El uso de conformidad con la reivindicación 50, en donde la enfermedad mediada por Th-1 es esclerosis múltiple, artritis reumatoide, enfermedad tiroide autoinmune, enfermedad inflamatoria del intestino, enfermedad de Crohn o ateroesclerosis.

52. El uso de conformidad con la reivindicación 50, en donde la función de linfocito es producción de linfocina.

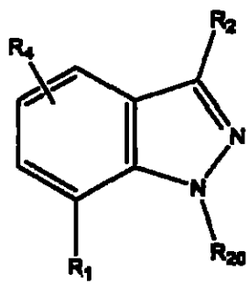
Resumen de la Invención

Esta invención proporciona compuestos de la Fórmula (I) o (Ia):



(I)

o



(Ia)

que son útiles en el tratamiento o inhibición de enfermedades mediadas por LXR.

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MPS/PB

To: Axsia/Livgitch
Date: 12/14/05
Copy sent to Client

:ENT COOPERATION TREATY

From the INTERNATIONAL SEARCHING AUTHORITY

PCT

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL SEARCH REPORT AND THE WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY, OR THE DECLARATION

To:
COZEN O'CONNOR
Attn. Straher, Michael P.
1900 Market Street
Philadelphia, Pennsylvania 19103
UNITED STATES OF AMERICA

RECEIVED
COZEN IP DEPT.
DEC 14 2005

DUE DATE: 2-9-06 ART. 19
MAX DATE: 3-9-06 ART. 34
DOCKETED BY: 1-9-06 } Supp. IDS
3-9-06 } - MAT

(PCT Rule 44.1)

9-12/2005

Applicant's or agent's file reference	FOR FURTHER ACTION See paragraphs 1 and 4 below
AN101590PCT WYTH0089-SOO	
International application No. PCT/US2005/026970 (175234)	International filing date (day/month/year) 01/08/2005
Applicant WYETH	

1. [x] The applicant is hereby notified that the international search report and the written opinion of the International Searching Authority have been established and are transmitted herewith.

   Filing of amendments and statement under Article 19:
   The applicant is entitled, if he so wishes, to amend the claims of the International Application (see Rule 46):

   When? The time limit for filing such amendments is normally two months from the date of transmittal of the International Search Report.

   Where? Directly to the International Bureau of WIPO, 34 chemin des Colombettes 1211 Geneva 20, Switzerland, Facsimile No.: (41-22) 338.82.70

   For more detailed instructions, see the notes on the accompanying sheet.

2. [ ] The applicant is hereby notified that no International search report will be established and that the declaration under Article 17(2)(a) to that effect and the written opinion of the International Searching Authority are transmitted herewith.

3. [ ] With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:

   [ ] the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.

   [ ] no decision has been made yet on the protest; the applicant will be notified as soon as a decision is made.

4. Reminders

   Shortly after the expiration of 18 months from the priority date, the international application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the International application, or of the priority claim, must reach the International Bureau as provided in Rules 90*bis*.1 and 90*bis*.3, respectively, before the completion of the technical preparations for international publication.

   The applicant may submit comments on an informal basis on the written opinion of the International Searching Authority to the International Bureau. The International Bureau will send a copy of such comments to all designated Offices unless an international preliminary examination report has been or is to be established. These comments would also be made available to the public but not before the expiration of 30 months from the priority date.

   Within 19 months from the priority date, but only in respect of some designated Offices, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later); otherwise, the applicant must, within 20 months from the priority date, perform the prescribed acts for entry into the national phase before those designated Offices.

   In respect of other designated Offices, the time limit of 30 months (or later) will apply even if no demand is filed within 19 months.

   See the Annex to Form PCT/IB/301 and, for details about the applicable time limits, Office by Office, see the *PCT Applicant's Guide*, Volume II, National Chapters and the WIPO Internet site.

Name and mailing address of the International Searching Authority	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Federico Bonomelli

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MPS/PB

TENT COOPERATION TREATY

From the INTERNATIONAL SEARCHING AUTHORITY

PCT

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL SEARCH REPORT AND THE WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY, OR THE DECLARATION

(PCT Rule 44.1)

To:
COZEN O'CONNOR
Attn. Straher, Michael P.
1900 Market Street
Philadelphia, Pennsylvania 19103
UNITED STATES OF AMERICA

RECEIVED
COZEN IP DEPT.
DEC 14 2005

DUE DATE 1-13-06 supp'ds Amend.
MAX DATE 2-17-06
DOCKETED BY 3-[illegible] Art. 34
3-[illegible] 2006 may
(day/month/year) 09/12/2005
1 d.s.

Applicant's or agent's file reference AM101590PCT WYNN0089-SOC	FOR FURTHER ACTION See paragraphs 1 and 4 below
International application No. PCT/US2005/026970 (175234)	International filing date (day/month/year) 01/08/2005
Applicant WYETH	

1. [x] The applicant is hereby notified that the international search report and the written opinion of the International Searching Authority have been established and are transmitted herewith.

   Filing of amendments and statement under Article 19:
   The applicant is entitled, if he so wishes, to amend the claims of the International Application (see Rule 46):

   When? The time limit for filing such amendments is normally two months from the date of transmittal of the International Search Report.

   Where? Directly to the International Bureau of WIPO, 34 chemin des Colombettes 1211 Geneva 20, Switzerland, Fascimile No.: (41-22) 338.82.70

   For more detailed instructions, see the notes on the accompanying sheet.

2. [ ] The applicant is hereby notified that no International search report will be established and that the declaration under Article 17(2)(a) to that effect and the written opinion of the International Searching Authority are transmitted herewith.

3. [ ] With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:

   [ ] the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.

   [ ] no decision has been made yet on the protest; the applicant will be notified as soon as a decision is made.

4. **Reminders**

   Shortly after the expiration of 18 months from the priority date, the international application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the international application, or of the priority claim, must reach the International Bureau as provided in Rules 90*bis*.1 and 90*bis*.3, respectively, before the completion of the technical preparations for international publication.

   The applicant may submit comments on an informal basis on the written opinion of the International Searching Authority to the International Bureau. The International Bureau will send a copy of such comments to all designated Offices unless an international preliminary examination report has been or is to be established. These comments would also be made available to the public but not before the expiration of 30 months from the priority date.

   Within 19 months from the priority date, but only in respect of some designated Offices, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later); otherwise, the applicant must, within 20 months from the priority date, perform the prescribed acts for entry into the national phase before those designated Offices.

   In respect of other designated Offices, the time limit of 30 months (or later) will apply even if no demand is filed within 19 months.

   See the Annex to Form PCT/IB/301 and, for details about the applicable time limits, Office by Office, see the *PCT Applicant's Guide*, Volume II, National Chapters and the WIPO Internet site.

Name and mailing address of the International Searching Authority	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016	Federico Bonomelli

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NOTES TO FORM PCT/ISA/220

These Notes are intended to give the basic instructions concerning the filing of amendments under article 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions respectively.

INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international preliminary examination procedure, there is usually no need to file amendments of the claims under Article 19 except where, e.g. the applicant wants the latter to be published for the purposes of provisional protection or has another reason for amending the claims before international publication. Furthermore, it should be emphasized that provisional protection is available in some States only.

What parts of the international application may be amended?

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

When? Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later. It should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 46.1).

Where not to file the amendments?

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been/is filed, see below.

How? Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the language in which the international application is to be published.

What documents must/may accompany the amendments?

Letter (Section 205(b)):

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims. It should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

NOTES TO FORM PCT/ISA/220 (continued)

The letter must indicate the differences between the claims as filed and the claims as amended. It must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped), whether

(i) the claim is unchanged;

(ii) the claim is cancelled;

(iii) the claim is new;

(iv) the claim replaces one or more claims as filed;

(v) the claim is the result of the division of a claim as filed.

The following examples illustrate the manner in which amendments must be explained in the accompanying letter:

1. [Where originally there were 48 claims and after amendment of some claims there are 51]:
"Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers; claims 30, 33 and 36 unchanged; new claims 49 to 51 added."

2. [Where originally there were 15 claims and after amendment of all claims there are 11]:
"Claims 1 to 15 replaced by amended claims 1 to 11."

3. [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]:
"Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or
"Claims 7 to 13 cancelled; new claims 15, 16 and 17 added; all other claims unchanged."

4. [Where various kinds of amendments are made]:
"Claims 1-10 unchanged; claims 11 to 13, 16 and 18 cancelled; claims 14, 15 and 16 replaced by amended claim 14; claim 17 subdivided into amended claims 15, 16 and 17; new claims 20 and 21 added."

"Statement under article 19(1)" (Rule 46.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

It must be in the language in which the international application is to be published.

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1)."

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments under Article 19, a demand for international preliminary examination has already been submitted, the applicant must preferably, at the same time of filing the amendments with the International Bureau, also file a copy of such amendments with the International Preliminary Examining Authority (see Rule 62.2(a), first sentence).

Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, where upon entry into the national phase, a translation of the claims as amended under Article 19 may have to be furnished to the designated/elected Offices, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.

.TENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference AM101590PCT	FOR FURTHER ACTION	see Form PCT/ISA/220 as well as, where applicable, item 5 below.
International application No. PCT/US2005/026970	International filing date *(day/month/year)* 01/08/2005	(Earliest) Priority Date *(day/month/year)* 03/08/2004
Applicant WYETH		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 6 sheets.

[X] It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report
   a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
      [ ] The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).
   b. [ ] With regard to any nucleotide and/or amino acid sequence disclosed in the international application, see Box No. I.

2. [ ] Certain claims were found unsearchable (See Box II).

3. [ ] Unity of invention is lacking (see Box III).

4. With regard to the title,
   [X] the text is approved as submitted by the applicant.
   [ ] the text has been established by this Authority to read as follows:

5. With regard to the abstract,
   [X] the text is approved as submitted by the applicant.
   [ ] the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regard to the drawings,
   a. the figure of the drawings to be published with the abstract is Figure No. _____
      [ ] as suggested by the applicant.
      [ ] as selected by this Authority, because the applicant failed to suggest a figure.
      [ ] as selected by this Authority, because this figure better characterizes the invention.
   b. [ ] none of the figures is to be published with the abstract.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2005/026970

A. CLASSIFICATION OF SUBJECT MATTER
C07D409/04 C07D403/04 C07D405/04 C07D401/06 C07D401/04
C07D403/10 C07D401/10 A61K31/416 A61P3/10 A61P3/06
A61P9/10 A61P19/02 A61P25/28 A61P29/00 C07D231/56

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)
C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2004/031159 A1 (WYETH, JOHN, AND BROTHER LTD., USA) 15 April 2004 (2004-04-15) intermediates 16-27; compounds examples 34-49,52-56,59,61,65claims 1,13-15,19-21	1-52
X	WO 2004/050634 A1 (USA) 17 June 2004 (2004-06-17) compounds 36 and 37a, p.69; compound 33, p.66	1-30

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents:
'A' document defining the general state of the art which is not considered to be of particular relevance
'E' earlier document but published on or after the international filing date
'L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
'O' document referring to an oral disclosure, use, exhibition or other means
'P' document published prior to the international filing date but later than the priority date claimed
'T' later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
'X' document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
'Y' document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
'&' document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
5 December 2005	09/12/2005

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Schuemacher, A

INTERNATIONAL SEARCH REPORT

Int 'onal Application No
PCT/US2005/026970

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	ALTY, ADAM CHARLES ET AL: "Thermal conversion of fluorinated azo-compounds into indazoles: the case of 2,5,6-trifluoro-4-(2,4,6-trimethylphenylaz o)pyrimidine" JOURNAL OF FLUORINE CHEMISTRY , 41(3), 439-42 CODEN: JFLCAR; ISSN: 0022-1139, 1988, XP000567069 compound 12	1-30
X	SHUTSKE G M ET AL: "Heterocyclic oxyacetic acid diuretics: indazole, benzisothiazole, and benzisothiazole 1,1-dioxide analogs of ''7-chloro-3-(2-fluorophenyl) 1,2-benzisoxazol-6-yl!oxy!acetic acid" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 26, 1983, pages 1307-1311, XP002268676 ISSN: 0022-2623 compounds 6A,6B, 7A,7B, 10,11B	1-30
X	PALMER, MICHAEL H. ET AL: "Reactivity of indazoles and benzotriazole towards N-methylation. Analysis of the proton nuclear magnetic resonance spectra of indazoles and benzotriazoles" JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 2: PHYSICAL ORGANIC CHEMISTRY (1972-1999) , (15), 1695-700 CODEN: JCPKBH; ISSN: 0300-9580, 1975, XP009057569 2,3,7-trimethyl-2H-indazole RN: 58706-38-0; table 5	1-30
X	MCKINNON, D. M. ET AL: "2,1-Benzisoxazole derivatives" CANADIAN JOURNAL OF CHEMISTRY , 49(12), 2018-22 CODEN: CJCHAG; ISSN: 0008-4042, 1971, XP009057571 compounds 3e and 3f	1-30
A	BENNETT ET AL: "Liver X receptor agonist as a treatment for atherosclerosis" EXPERT OPINION ON THERAPEUTIC PATENTS, ASHLEY PUBLICATIONS, GB, vol. 14, no. 7, July 2004 (2004-07), pages 967-982, XP002342352 ISSN: 1354-3776 the whole document	1-52

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2005/026970

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	STEFFAN R J ET AL: "Synthesis and activity of substituted 4-(indazol-3-yl)phenols as pathway-selective estrogen receptor ligands useful in the treatment of rheumatoid arthritis" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 47, no. 26, 16 December 2004 (2004-12-16), pages 6435-6438, XP002349617 ISSN: 0022-2623 the whole document	1-30
P,X	WO 2005/016892 A1 (F. HOFFMANN-LA ROCHE A.-G., SWITZ.) 24 February 2005 (2005-02-24) compound 8 p.70 compounds 42 and 43 p.79; compound 14a p.81; compound 60, p.88; compound 62, p.89	1-30

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2005/026970

Box 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. [X] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 32-48 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

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.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box 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:

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 an additional fee, this Authority did not invite payment of any additional fee.

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.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/US2005/026970

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2004031159 A1	15-04-2004	AU 2003276940 A1	23-04-2004
		BR 0314475 A	09-08-2005
		CA 2499736 A1	15-04-2004
		CN 1692102 A	02-11-2005
		EP 1542976 A1	22-06-2005
WO 2004050634 A1	17-06-2004	AU 2003286180 A1	23-06-2004
		CA 2507074 A1	17-06-2004
		EP 1569911 A1	07-09-2005
WO 2005016892 A1	24-02-2005	NONE	

\TENT COOPERATION TRE Y

From the
INTERNATIONAL SEARCHING AUTHORITY

To:

see form PCT/ISA/220

PCT

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY
(PCT Rule 43*bis*.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference
see form PCT/ISA/220

FOR FURTHER ACTION
See paragraph 2 below

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/US2005/026970	01.08.2005	03.08.2004

International Patent Classification (IPC) or both national classification and IPC
C07D409/04, C07D403/04, C07D405/04, C07D401/08, C07D401/04, C07D403/10, C07D401/10, A61K31/416.

Applicant
WYETH

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☒ Box No. II Priority
- ☒ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☒ Box No. VIII Certain observations on the international application

2 **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1*bis*(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3 For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:

European Patent Office
D-80298 Munich
Tel. +49 89 2399 - 0 Tx: 523656 epmu d
Fax: +49 89 2399 - 4465

Authorized Officer

Schuemacher, A

Telephone No. +49 89 2399-7818

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/US2005/026970

Box No. I Basis of the opinion

1 With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).

2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:

a. type of material:

☐ a sequence listing

☐ table(s) related to the sequence listing

b. format of material:

☐ in written format

☐ in computer readable form

c. time of filing/furnishing:

☐ contained in the international application as filed.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority for the purposes of search.

3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.

4. Additional comments:

Box No. II Priority

1. ☒ The validity of the priority claim has not been considered because the International Searching Authority does not have in its possession a copy of the earlier application whose priority has been claimed or, where required, a translation of that earlier application. This opinion has nevertheless been established on the assumption that the relevant date (Rules 43*bis*.1 and 64.1) is the claimed priority date.

2. ☐ This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43*bis*.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.

3. Additional observations, if necessary:

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WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/US2005/026970

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 32-48

because:

☒ the said international application, or the said claims Nos. 32-48 relate to the following subject matter which does not require an international preliminary examination *(specify)*:

see separate sheet

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the whole application or for said claims Nos.

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form	☐	has not been furnished
	☐	does not comply with the standard
the computer readable form	☐	has not been furnished
	☐	does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☐ See separate sheet for further details

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WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/US2005/026970

Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	
	No:	Claims	1-52
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-52
Industrial applicability (IA)	Yes:	Claims	1-31,49-52
	No:	Claims	

2. Citations and explanations

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (SEPARATE SHEET)

International application No.
PCT/US2005/026970

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claims 32-48 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Reference is made to the following documents:

D1: WO 2004/031159 A1 (WYETH, JOHN, AND BROTHER LTD.) 15 April 2004

D2: WO 2004/050634 A1 (F.Hoffmann-La Roche AG) 17 June 2004

D3: ALTY, ADAM CHARLES ET AL: "Thermal conversion of fluorinated azo-compounds into indazoles: the case of 2,5,6-trifluoro-4-(2,4,6-trimethylphenylazo)pyrimidine" JOURNAL OF FLUORINE CHEMISTRY , 41(3), 439-42, 1988, XP000567069

D4: SHUTSKE G M ET AL, JOURNAL OF MEDICINAL CHEMISTRY, vol. 26, 1983, pages 1307-1311, XP002268676

D5: PALMER, MICHAEL H. ET AL, JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 2: PHYSICAL ORGANIC CHEMISTRY (1972-1999) , (15), 1695-700, 1975, XP002355727

D6: MCKINNON, D. M. ET AL: "2,1-Benzisoxazole derivatives" CANADIAN JOURNAL OF CHEMISTRY , 49(12), 2018-22, 1971, XP009057571

D7: BENNETT ET AL: "Liver X receptor agonist as a treatment for atherosclerosis" EXPERT OPINION ON THERAPEUTIC PATENTS, vol. 14, no. 7, July 2004 (2004-07), pages 967-982, XP002342352

1.

With regard to the prior art disclosed in the documents cited above the subject-matter of the present application, i.e the indazoles compounds of formula (I) and (Ia), does not fulfil the requirements of novelty, cf. Article 33(2) PCT because D1-D6 already disclose specific

examples of compounds falling under the scope of claims 1-30:
-in D1: Intermediates 16-27 and compounds examples 34-49,52-56,59,61,65;
-in D2: compounds 36 and 37a, p.69 and compound 33, p.66;
-in D4: compounds 6a,6b, 7a,7b, 10,11b;
-in D3. compound 12;
-in D5: 2,3,7-trimethyl-2H-indazole, RN: 58706-38-0;
-in D6: compounds 3e and 3f.
Furthermore the compounds of D1 are also useful to treat the same diseases as those disclosed in present claim 50-52 (atherosclerosis, inflammatory bowel diseases, diabetes, rheumatoid arthritis, etc..).
The compound-claims 1-30, the pharmaceutical composition containing these compounds (claim 49) and their use according to claims 50-52 do not meet the requirements of Article 33(2) PCT.

2.
The present application is directed to indazoles of formulae (I) and (Ia), able to inhibit the liver X receptor (LXR) and therefore useful to treat rheumatoid arthritis, atherosclerosis, diabetes, lowering LDL cholesterol levels, increasing HDL cholesterol levels or inflammatory bowel diseases.
Document D1 discloses compounds with the same structure as those presently claimed and moreover, useful for the treatment of the same diseases. It appears to be irrelevant that D1 does not discloses specifically the LXR affinity since it refers to the same use in terms of disorders to be treated. In fact it should be borne in mind that the selective occupation of a receptor cannot be considered in itself as a therapeutic application and it still needs to find a practical application in the form of a defined, real treatment of a pathological condition in order to make a technical contribution to the art and to be considered as an invention eligible for patent protection.
Although it might not have been reported at the priority date of the present application that the indazoles of formula (I) or (Ia) are able to inhibit the LXR, the newly discovered effect is necessarily correlated with and inseparably tied to the known therapeutical uses.
Thus, D1 should be considered as the closest as prior art and due to the fact that D1 already discloses compounds with the same structure as those presently claimed, it is not at present apparent which part of the application could serve as a basis for a new, allowable claim. No difference of the subject-matter of the claims vis-à-vis the state of the art could be identified.

Furthermore, there is no appropriate information that clarify where an inventive step lies in the application and which unexpected effect is associated with it.
The dependent claims do not contain any features which, in combination with the features of any claim to which they refer, meet the requirements of the PCT in respect of novelty and/or inventive step (Article 33(2) and (3) PCT).
The present application is therefore not considered to meet the criteria of Art.33(3) PCT.

3.
For the assessment of the present claims 32-48 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

Re Item VI
Certain published documents

Application No Patent No	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO2005/16892	24.02.2005	05.08.2004	14.08.2003
XP002349617	11.12.2004		

These documents disclose specific examples of compounds falling under the scope of claims 1-30.

Re Item VIII
Certain observations on the international application

Claims 50-52 attempt to define the to-be-claimed subject-matter in terms of disease states or conditions defined in functional terms namely, "treating a Th-1 mediated disease, suppressing lymphocyte function or activation, suppressing macrophage function or activation, suppressing cytokine production or increasing ABCA1 activity by 20% or more while increasing SREBP-1c activity by 25% or less".

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However, as already stated above under point 2, the selective occupation of a receptor cannot be considered in itself as a therapeutic application.
The subject-matter of these claims lacks therefore clarity (Article 6 PCT).

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REPORTE DE BUSQUEDA INTERNACIONAL

No. de Solicitud Internacional
PCT/ US2005/026970

A. CLASIFICACION DEL ASUNTO RELACIONADO
C07D409/04 C07D403/04 C07D405/04 C07D401/06 C07D401/04
C07D403/10 C07D401/10 A61K31/416 A61P3/10 A61P3/06
A61P9/10 A61P19/02 A61P25/28 A61P29/00 C07D231/56
De acuerdo con la Clasificación Internacional de Patentes (IPC) o tanto la clasificación nacional como la IPC.

B. CAMPOS BUSCADOS

Documentación mínima buscada (sistema de clasificación seguido por los símbolos de clasificación)

C07D

Documentación buscada diferente de la documentación mínima, al grado que estos documentos se incluyen en los campos buscados.

Base de datos, electrónica, consultada durante la búsqueda internacional (nombre de la base de datos, donde se puede practicar, términos de búsqueda usados).
EPO-Internal, Datos CHE ABS, Datos BEILSTEIN

C. DOCUMENTOS QUE SE CONSIDERAN PERTINENTES

Categoría*	Citación del documento, con indicación, donde es apropiado, de los pasajes pertinentes	Pertinente para la reivindicación No
X	WO 2004/031159 A1 (WYETH, JOHN, AND BROTHER LTD., USA) 15 Abril 2004 (2004-04-15) Intermediarios 16-27; ejemplos de los compuestos 34-48, 52-56, 59, 61, 65 reivindicaciones 1, 13-15, 19-21 ----	1-52
X	WO 2004/050634 A1 (ISA) 17 Junio 2004 (2004-06-17) compuestos 36 y 37 a, p. 69; compuesto 33, p. 68 ----	1-30
	-/--	

[X] Documentos adicionales se listan en la continuación del cuadro C.

[X] Los miembros de la familia de patentes se listan en el anexo

* Categoría especial de los documentos citados:

"A" Documento que define el estado general de la técnica, que no se considera que es de pertinencia particular

"E" Documento anterior, pero publicado en o después de la fecha de presentación internacional

"L" Documento que puede crear dudas de la reivindicación(es) de prioridad o que se cita para establecer la fecha de publicación de otra situación u otra razón especial (como se especifique)

"O" Documento que se refiere a una descripción oral, uso, exhibición u otro medio

"P" Documento publicado antes de la fecha de presentación internacional, pero después de la fecha de prioridad reivindicada

"T" documento posterior publicado después de la fecha de presentación internacional o la fecha de prioridad, y que no provoca conflicto con la solicitud, pero se cita para entender el principio o teoría que trata la invención

"X" documento de pertinencia particular; la invención reivindicada no se puede considerar nueva o no se puede considerar que comprende un paso inventivo cuando el documento se toma solo

"Y" documento de pertinencia particular; la invención reivindicada no se puede considerar que comprende un paso inventivo cuando el documento se combina con uno o más de estos documentos, estas combinaciones son obvias para una persona experta en la técnica

"&" documento miembro de la familia de patentes

Fecha de la actual terminación de la búsqueda internacional	Fecha de envío del reporte de búsqueda internacional
5 Diciembre 2005	09/12/2005
Nombre y dirección de envío ISA Oficina Europea de Patentes, P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk Tel (+31-70) 340-2040, Tx. 31 651 epo nl. Fax. (+3170) 340-3016	Funcionario autorizado Schuemacher, A

Forma PCT/ISA/210 (hoja 2) (Enero 2004)

REPORTE DE BUSQUEDA INTERNACIONAL

No. de Solicitud Internacional
PCT/US2005/026870

C. (Continuación) DOCUMENTOS QUE SE CONSIDERAN PERTINENTES

Categoría *	Citación del documento, con indicación, do nde es apropiado, de los pasajes pertinentes	Pertinente para la reivindicación No.
X	ALTY, ADAM CHARLES ET AL: "termal Conversion of fluorinated azo-compounds into indazoles: the case of 2,5,6-triguoro-4-(2,4,6-trimethylphenylazo) pyrimidine" JOURNAL OF FLUORINE CHEMISTRY, 41(3), 439-42 CODEN: JFLCAR; ISSN: 0022-1139 1988, XP000567069 compuesto 12	1-30

X	SHUTSKE G M ET AL: "Heterocicllc oxyacetic acid diuretics: indazole, benziothiazole, and benzisothiazole 1,1-dioxide analogs of "7-chloro-3-(2-fluorophenyl) 1,2-Benzisoxazol-6-yl loxyl acetic acid" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, Vol. 26, 1983, páginas 1307-1311, XP002268676 ISSN: 0022-2623 compuestos 6A, 6B, 7A, 7B, 10 11B	1-30

X	PLAMER, MICHAEL H. ET AL: "Reactivity of Indazoles and benzotriazole towards N-methylation. Análisis of the proton Nuecleer magnetic resonante spectra of Indiazoles and benzotriazoles" JOURNAL OF THE CEMICAL SOCIETY, PERKIN TRANSCTIONS 2: PHISICAL ORGANIC CHEMISTRY (1972-1999), (15), 1695-700 CODEN: JCPKBH; ISSN: 0300-9580, 1975, XP009057569 2,3,7-trimethyl-2H-indazole RN: 58708-38-0; tabla 5	1-30

X	MCKINNON, D. M. ET AL: "2,1-Benzisoxazole Derivatives" CANADIAN JOURNAL OF CHEMISTRY, 49(12), 2018-22 CODEN: CJCHAG; ISSN: 0008-4042, 1971 XP009057571 compuestos 3e y 3f	1-30

A	BENNETT ET AL: "Liver X receptor agonist As a treatment for atherosclerosis" EXPERT OINION ON THERAPUTIC PATENTS.. ASHLEY PUBLICATIONS, GB, vol. 14, no. 7 Julio 2004 (2004-07) páginas 967-982, XP002342352 ISSN: 1354-3776 el documento completo	1-52

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REPORTE DE BUSQUEDA INTERNACIONAL

No. de Solicitud Internacional
PCT/US2005/026970

C. (Continuación) DOCUMENTOS QUE SE CONSIDERAN PERTINENTES

Categoría *	Citación del documento, con indicación, donde es apropiado, de los pasajes pertinentes	Pertinente para la reivindicación No.
P,X	STEFFAN R J ET AL: "synteals and activity of substituted 4-(indazol-3-yl)phenols as pathway-selective estrogen receptor ligands useful in the treatment of rheumatoid arthritis" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHIGTON, US, vol. 47, no. 26, 16 Diciembre 2004 (2004-12-16) , páginas 6435-6438 XP002349617 ISSN: 0022-2623 el documento completo ----	1-30
P,X	WO 2005/016892 A1 (F. HOFMANN-LAROCHE A. –G., SWITZ.) 24 Febrero 2005 (2005-02-2004) compuesto 8 p. 70 compuestos 42 y 43 p.79; compuesto 14 a p-81; compuesto 60, p.88; compuesto 62, p.89 ----	1-30

INFORME DE BÚSQUEDA INTERNACIONAL

Solicitud de búsqueda nº
PCT/US2005/026970

Recuadro II Observaciones cuando se estime que algunas reivindicaciones no pueden ser objeto de búsqueda (Continuación del punto 2 de la primera hoja)

De conformidad con el artículo 17(2)(a), algunas reivindicaciones no han podido ser objeto de búsqueda por los siguientes motivos.

1. [x] Las reivindicaciones nº:
se refieren a un objeto con respecto al cual esta Administración no está obligada a proceder a la búsqueda, a saber:
no obstante que las reivindicaciones 32-48 se dirigen a un método de tratamiento del cuerpo humano/animal, se ha llevado a cabo la búsqueda y se ha basado en los supuestos efectos del compuesto/composición

2. [ ] Las reivindicaciones nº:
se refieren a elementos de la solicitud internacional que no cumplen con los requisitos establecidos, de tal modo que no pueda efectuarse una búsqueda provechosa, concretamente.:

3. [ ] Las reivindicaciones nº:
son reivindicaciones dependientes y no están redactadas de conformidad con los párrafos segundo y tercero de la regla 6.4(a).

Recuadro III Observaciones cuando falta unidad de invención (Continuación del punto 3 de la primera hoja

La administración encargada de la Búsqueda Internacional ha detectado varias invenciones en la presente solicitud nacional, a saber:

1. [ ] Dado que todas las tasas adicionales requeridas han sido satisfechas por el solicitante dentro del plazo, el presente informe búsqueda de tipo internacional comprende todas las reivindicaciones que pueden ser objeto de búsqueda.

2. [ ] Dado que todas las reivindicaciones que pueden ser objeto de búsqueda pueden serlo sin un esfuerzo particular que justifique una tasa adicional, esta Administración no ha invitado al pago de ninguna tasa de esta naturaleza

3. [ ] Dado que tan sólo una parte de las tasas adicionales requeridas ha sido satisfecha dentro del plazo por el solicitante, el presente informe de búsqueda de tipo internacional comprende solamente aquellas reivindicaciones respecto de las cuales han sido satisfechas las tasas, concretamente las reivindicaciones nº:

4. [ ] Ninguna de las tasa adicionales requeridas ha sido satisfecha por el solicitante dentro de plazo. En consecuencia , el presente informe de búsqueda de tipo internacional se limita a la invención mencionada en primer término en las reivindicaciones, cubierta por las reivindicaciones nº:

Indicación en cuanto a la protesta

[ ] Las tasas adicionales han sido acompañadas de una protesta por parte del solicitante

[ ] El pago de las tasas adicionales no ha sido acompañado de ninguna protesta

REPORTE DE BUSQUEDA INTERNACIONAL
Información sobre los miembros de familia de patentes

Solicitud Internacional No.:
PCT/US2005/026970

Documento de la patente citado en el reporte de búsqueda	Fecha de publicación	Miembros de la familia de patentes	Fecha de publicación
WO 2004031159 A1	15-04-2004	AU 2003276940 A1	23-04-2004
		BR 0314475 A	09-08-2005
		CA 2499736 A1	15-04-2004
		CN 1692102 A	02-11-2005
		EP 1542976 A1	22-06-2005
WO 2004050634 A1	17-06-2004	AU 2003286180 A1	23-06-2004
		CA 2507074 A1	17-06-2004
		EP 1569911 A1	07-09-2005
WO 2005016892 A1	24-02-2005	NINGUNO	

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TRATADO DE COOPERACION EN MATERIA DE PATENTES
PCT
REPORTE DE BUSQUEDA INTERNACIONAL
(Artículo 18 y Reglas 43 y 44 del PCT)

Referencia al expediente del solicitante o del mandatario **AM101590PCT**	**PARA ACCION ADICIONAL**	ver Notificación de la Transmisión del Reporte de Búsqueda Internacional (Forma PCT/ISA/220) así como, en donde aplique el inciso 5 posterior
No. de solicitud internacional **PCT/ US2005/026970**	Fecha de presentación internacional (día/mes/año) 01/08/2005	(Fecha de Prioridad) (La más cercana) (día/mes/año) 03/08/2004
Solicitante WYETH		

Este reporte de búsqueda internacional ha sido preparado por esta Autoridad de la Búsqueda Internacional y se transmite al solicitante de conformidad con el Artículo 18. Se transmite una copia a la Oficina Internacional.

Este reporte de búsqueda internacional consiste de un total de 6 hojas

[X] También está acompañado de una copia de cada documento de la técnica anterior citado en este reporte.

1. **Bases del reporte.**
   a. Con respecto al idioma, la búsqueda internacional se ha llevado a cabo en base a la solicitud internacional en el idioma en el que se presentó, salvo que se indique lo contrario bajo este punto.
      [ ] La búsqueda internacional se ha llevado a cabo en base a la traducción de la solicitud internacional presentada a esta autoridad (Regla 23.1(b)).
   b. [ ] Con respecto a cualquier secuencia de aminoácido y/o nucleótido descrito en la solicitud internacional, ver, el Cuadro No. I.

2. [ ] **Ciertas reivindicaciones no son localizables** (ver el Cuadro II).

3. [ ] **La unidad de la invención es deficiente** (ver el Cuadro III).

4. Con respecto al título,
   [X] El texto se aprueba tal como lo presentó el solicitante,
   [ ] Esta Autoridad ha establecido que el texto sea como sigue:

5. Con respecto al resumen,
   [X] El texto se aprueba tal como lo presentó el solicitante.
   [ ] Esta Autoridad ha establecido el texto, de acuerdo con la Regla 38.2(b), tal como aparece en el Cuadro IV. El solicitante puede, dentro de un mes a partir de la fecha de envío por correo de este reporte de búsqueda internacional, proporcionar comentarios a esta autoridad.

6. Con respecto a los dibujos
   a. La figura de los dibujos, que se va a publicar con el resumen es la Figura No .
      [ ] Como lo sugirió el solicitante.
      [ ] Porque al solicitante le faltó sugerir una figura.
      [ ] Porque esta figura caracteriza mejor la invención.
   b. [ ] Ninguna de las figuras se pueden publicar con el resumen.

TRATADO DE C OPERACION EN MATERIA DE PATENTES

De la AUTORIDAD DE BUSQUEDA INTERNACI NAL

Para:

Ver forma PCT/ISA/220

PCT

OPINION ESCRITA DE LA AUTORIDAD DE BUSQUEDA INTERNACIONAL (Regla 43 bis.1)

Fecha de envío *(día/mes/año)* Ver forma PCT/ISA/220

Referencia de archivo del solicitante o mandatario
Ver forma PCT/ISA/220

PARA ACCION ADICIONAL
Ver párrafo 2 abajo

No. de solicitud internacional:	Fecha de presentación internacional	Fecha de Prioridad
PCT/US2005/026970	*(día/mes/año)* 01.08.2005	*(día/mes/año)* 03.08.2004

Clasificación de Patente Internacional (IPC) o tanto Clasificación nacional como IPC
C07D409/04, C07D403/04, C07D405/04, C07D401/06, C07D401/04, C07D403/10 C07D401/10, A61K31/419

Solicitante
WYETH

1. Esta opinión contiene indicaciones con relación a los siguientes puntos

- [x] Recuadro No. I Base de la opinión
- [x] Recuadro No. II Prioridad
- [x] Recuadro No. III Ningún establecimiento del reporte con respecto a la novedad, etapa inventiva, o aplicabilidad industrial
- [ ] Recuadro No. IV Carencia de unidad de la invención
- [x] Recuadro No. V Establecimiento razonado conforme a la Regla 43 bis.(i) con respecto a la novedad, etapa inventiva o aplicación industrial; citas y explicaciones que soportan tal establecimiento.
- [ ] Recuadro No. VI Ciertos documentos citados
- [ ] Recuadro No. VII Ciertos defectos en la solicitud internacional
- [x] Recuadro No. VIII Ciertas observaciones en la solicitud internacional.

2. **ACCION ADICIONAL**

Si se hace una solicitud de examen preliminar internacional, esta opinión usualmente se considerará que es la opinión escrita de la Autoridad de Examen Preliminar Internacional ("IPEA"). Sin embargo, esto no aplica donde el solicitante elige una Autoridad diferente a este para ser la IPEA y la IPEA elegida tiene notificación de la Oficina Internacional bajo la Regla 66.1bis(b) que las opiniones escritas de esta Autoridad de Búsqueda Internacional no serán consideradas.

Si esta opinión es, como se proporciona arriba, considerada para ser una opinión escrita de la IPEA, se le invita al solicitante a exponer a la IPEA una respuesta escrita junto, donde sea apropiado, con enmiendas, antes de que expire los tres meses a partir de la fecha de envío de la Forma PCT/ISA/220 o antes de que expiren los 22 meses a partir de la fecha de prioridad, lo que sea más tarde.

Para opciones adicionales, ver Forma PCT/ISA/220

3. Para detalles adicionales, ver notas para la Forma PCT/ISA/220.

Nombre y dirección de envío de la IPEA/

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Oficial autorizado

Schuemacher, A

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OPINION ESCRITA DE LA AUTORIDAD DE BUSQUEDA INTERNACIONAL

Solicitud Internacional No. PCT/US2005/026970

I. Bases del Reporte

1. Con respecto al idioma, esta opinión se ha establecido con base en la solicitud internacional en el idioma en la cual se presentó, salvo que se indique bajo este punto.

☐ Esta opinión se basa en la traducción del idioma original en el siguiente idioma, que es el idioma de la traducción publicada para propósitos de búsqueda internacional (bajo las Reglas 12.3 y 23.1(b))

2. Con respecto a cualquier secuencia de nucleótidos y/o aminoácidos descrita en la solicitud internacional y necesaria para reivindicar la invención, esta opinión se ha establecido con la base de:

a. tipo de material:
[ ] un listado de secuencias
[ ] tablas que se refieren al listado de secuencias

b. formato del material:
[ ] en formato escrito
[ ] en forma legible en computadora

c. tiempo de presentación/publicación
[ ] contenido en la solicitud internacional como se presentó
[ ] presentado junto con la solicitud internacional en forma legible en computadora
[ ] publicado posteriormente antes esta Autoridad para los propósitos de búsqueda

3. [ ] Además, en caso que más de una versión o copia del listado de secuencias y/o tabla con relación a esto se hallan presentado o publicado, se publicarán declaraciones requeridas de que la información en las copias posteriores o adicionales es idéntica a la que está en la solicitud como se presentó o no va más allá de la solicitud como se presentó, como sea apropiado.

4. Comentarios adicionales

Recuadro No. II. Prioridad

1. [X] La validez de la reivindicación de prioridad no se ha considerado debido a que la Autoridad de Búsqueda Internacional no tiene en su poder una copia de la solicitud previa cuya prioridad se ha reivindicación o, donde se requiera, la traducción de tal solicitud previa. Esta opinión, sin embargo, se ha establecido en el supuesto que la fecha relevante (Reglas 43bis.1 y 64.1) es la fecha de prioridad reivindicada.

2. [ ] Esta opinión se establece como si no se ha reivindicado prioridad debido al hecho de que la reivindicación de prioridad no se ha encontrado inválida (Regla 43bis.1 y 64.1). Así, para los propósitos de esta opinión, la fecha de presentación internacional indicada arriba se considera que es la fecha relevante.

3. Observaciones adicionales, si es necesario:

Recuadro No. III. No establecimiento de opinión con respecto a novedad, etapa inventiva y aplicación industrial

Las preguntas de que la invención parece ser novedosa, involucrar una etapa invención (para no ser obvia), o ser aplicable industrialmente no se ha examinado con respecto de:

[ ] la solicitud internacional completa,

[X] las reivindicaciones Nos. 32-48

porque:

[X] tal solicitud internacional, o las reivindicaciones Nos. 32-48 se refieren a la siguiente materia objeto que no requiere un examen preliminar internacional (*especificar*):

Ver hoja separada

[ ] la descripción, reivindicaciones o dibujos (elementos particulares indicados abajo) o las reivindicaciones Nos. no son claros de manera que no puede formar una opinión importante (*especifique*):

[ ] las reivindicaciones, o las reivindicaciones Nos.____ son así inadecuadamente soportadas por la descripción de manera que no puede formar una opinión importante.

[ ] no se ha establecido reporte internacional de búsqueda para la solicitud completa o para las reivindicaciones Nos.

[ ] el listado de secuencias de aminoácidos y/o nucleótidos no cumple con el estándar proporcionado en el Anexo C de las Instrucciones Administrativas en que:

la forma escrita [ ] no se ha publicado
[ ] no cumple con el estándar

la forma legible en computadora [ ] no se ha publicado
[ ] no cumple con el estándar

[ ] las tablas con relación al listado de secuencia de nucleótido y/o aminoácido, si sólo está en forma legible en computadora, no cumple con los requerimientos técnicos proporcionados en el Anexo C-bis en las Instrucciones Administrativas.

[ ] ver hoja separada para detalles adicionales.

Forma PCT/IPEA/237 (Enero 2004)

V. Declaración razonada bajo la regla 43 bis.1(a)(i) con respecto a la novedad, etapa inventiva o la aplicabilidad industrial; citas y explicaciones que soportan tal declaración

1. DECLARACION.

Novedad (N)	SI	Reivindicaciones	
	NO	Reivindicaciones	1-52
Etapa Inventiva (ISI)	SI	Reivindicaciones	
	NO	Reivindicaciones	1-52
Aplicación Industrial (AI)	SI	Reivindicaciones	1-31, 49-52
	NO	Reivindicaciones	

2. CITAS Y EXPLICACIONES

Ver hoja separada

Recuadro No. VIII. Ciertas observaciones sobre la solicitud internacional

Se hacen las siguientes observaciones sobre la claridad de las reivindicaciones, descripción y dibujos o sobre la pregunta sobre si las reivindicaciones están ampliamente soportadas en la descripción:

Ver Hoja separada

PINION ESCRITA DE LA AUTORIDAD DE BUSQUEDA INTERNACIONAL (HOJA SEPARADA)

Solicitud Internacional No. PCT/US2005/026970

Ref. Punto III

No establecimiento de opinión con respecto a novedad, etapa inventiva y aplicabilidad industrial

Las reivindicaciones 32-48 se refieren a materia objeto considerada por esta autoridad para cubrirse por las condiciones de la regla 67.1(iv) PCT. En consecuencia, no podrá formularse opinión con respecto a la aplicabilidad industrial de la materia objeto de estas reivindicaciones (Artículo 34(4)(a)(I) PCT).

Ref. Punto V

Declaración razonada con respecto a la novedad, etapa inventiva o aplicabilidad industrial; citas y explicaciones que soportan tal declaración

Se hace referencia los siguientes documentos:

D1: WO 2004/031159 A1 (WYETH, JOHN, AND BROTHER LTD). 15 Abril 2004

D2: WO 2004/050634 A1 (F.Hoffmann-La Roche AG) 17 Junio 2004

D3: ALTY, ADAM CHRLES ET AL: "Thermal conversion of fluorinated azo-compounds Into indazoles: the case of 2,5,6-trifluoro-4-(2,4,6-trimethylphenylzo)pyrimidine" JOURNAL OF FLUORINE CHEMISTRY, 41(3), 439-42, 1988, XP00567069

D4: SHUTSKE G M ET AL, JORUNAL OF MEDICINAL CHEMISTRY, vol. 26, 1983, páginas 1307-1311, XP002268676

D5: PALMER, MICHAEL H. ET AL, JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 2: PHYSICAL ORGANIC CHEMISTRY (1972-1999), (15), 1695-700, 1975 XP002355727

D6: MICKINNON, D. M. ET AL: "2-1-Benzisoxazole derivatives" CANADIAN JOURNAL OF CHEMISTRY 49(12), 2018-22, 1971, XP009057571

D7: BENNETT ET AL: "Liver X receptor agonist as a treatment for atherosclerosis" EXPERT OPINION ON THERAPEUTIC PATENTS, vol. 14, no. 7 Julio 2004 (2004-07) páginas 967-982, XP002342352

1.

Con respecto al arte previo descrito en los documentos citados arriba, la materia objeto de la presente solicitud, esto es, los compuestos indazoles de la fórmula (I) y (Ia), no cubren los requerimientos de novedad, ref. Artículo 33(2) PCT debido a que D1-D6 ya describen ejemplos específicos de compuestos que caen dentro bajo el alcance de las reivindicaciones 1-30:

-en D1: Intermediarios 16-27 y ejemplos de compuestos 34-49, 52-56, 59, 61, 65;

-en D2: compuestos 36 y 37a, p.69 y compuesto 33, p.66;

-en D4: compuestos 6a, 6b, 7a, 7b, 10, 11b;

-en D3: compuesto 12;

-en D5: 2,3,7-trimetil-2H-indazol, RN: 58706-38-0;

-en D6: compuestos 3e y 3f.

Adicionalmente los compuestos de D1 también son útiles para tratar las mismas enfermedades como aquellas descritas en las presentes reivindicaciones 50-52 (ateroesclerosis, enfermedades inflamatorias del intestino, diabetes, artritis reumatoide, etc).

Las reivindicaciones de compuesto 1-30, la composición farmacéutica que contiene estos compuestos (reivindicación 49) y su uso de conformidad con las reivindicaciones 50-52 no reúnen los requerimientos del Artículo 33(2) PCT.

2.

La presente solicitud se dirige a indazoles de las fórmulas (I) y (Ia), capaces de inhibir el receptor X del hígado (LXR) y por lo tanto útiles para tratar la artritis reumatoide, ateroesclerosis, diabetes, niveles de colesterol LDL reducidos, niveles de colesterol HDL incrementados o enfermedades inflamatorias del intestino.

El documento D1 describe compuestos con la misma estructura como aquellos actualmente reivindicados y por otro lado, útiles para el tratamiento de las mismas enfermedades. Parece ser irrelevante que D1 no describe específicamente la afinidad LXR ya que se refiere al mismo uso en términos de trastornos a tratarse. De hecho, deberá tenerse en mente que la ocupación selectiva de un receptor no se considera en sí

misma como una aplicación terapéutica y todavía necesita encontrar una aplicación práctica en la forma de un tratamiento real, definido de una condición patológica con objeto de hacer una contribución técnica al arte y considerarse como una invención elegible para protección de patente.

No obstante que puede no haberse reportado en la fecha de prioridad de la presente solicitud que los indazoles de la fórmula (I) o (Ia) son capaces de inhibir el LXR, el efecto actualmente descubierto se correlaciona necesariamente con una unión inseparable a los usos terapéuticos conocidos.

De esta manera, D1 deberá considerarse como el arte previo más cercano y debido al hecho de que D1 ya describe compuestos con la misma estructura como aquellos actualmente reivindicados, al momento no es aparente que parte de la solicitud deberá servir como la base para una reivindicación permisible, nueva. No podrá identificarse la diferencia de la materia objeto de las reivindicaciones vis-à-vis el estado del arte.

Adicionalmente, no hay información apropiada que clarifique donde se encuentra una etapa inventiva en la solicitud y que efecto inesperado se asocia con esta.

Las reivindicaciones dependientes no contienen ninguna característica que, en combinación con las características de cualesquiera de las reivindicaciones a las cuales se refiere, reúnan los requerimientos del PCT con respecto de novedad y/o etapa inventiva (Artículo 33(2) y (3) PCT).

La presente solicitud, por lo tanto, no se considera que reúne los criterios del Art. 33(3) PCT.

3.

Para la evaluación de las presentes reivindicaciones 32-48 en la pregunta de que si es industrialmente aplicable, no existen criterios unificados en los Estados Contratantes del PCT. La patentabilidad también puede depender de la formulación de las reivindicalcones. La EPO, por ejemplo, no reconoce como aplicable industrialmente la materia objeto de reividnicaciones al uso de un compuesto en un tratamiento médico, pero puede permitir, sin embargo, reivindicaciones a un compuesto conocido para su primer uso en un tratamiento médico y el uso de tal compuesto para la manufactura de un medicamento para un tratamiento médico nuevo.

Ref. Punto VI

Ciertos documentos publicados

Solicitud No. Patente No.	Fecha de publicación (día/mes/año)	Fecha de presentación (día/mes/año)	Fecha de prioridad (reivindicación válida) (día/mes/año)
WO2005/16892	24.02.2005	05.08.2004	14.08.2003
XP002349617	11.12.2004		

Estos documentos describen ejemplos específicos de compuestos que caen bajo el alcance de las reivindicaciones 1-30.

Ref. Punto VII

Ciertas observaciones en la solicitud internacional

Las reivindicaciones 50-52 pretenden definir la materia objeto a ser reivindicada en términos de estados o condiciones de enfermedad definidos en términos funcionales, especialmente, "tratar una enfermedad mediada por Th-1, suprimir la función o activación del linfocito, suprimir la función o activación del macrófago, suprimir la producción de citoquina o incrementar la actividad de ABCA1 por 20% o más mientras que incrementa la actividad de SREBP-1c por 25% o menos".

Sin embargo, como ya se estableció arriba bajo el punto 2, la ocupación selectiva de un receptor no puede considerarse en sí misma como una aplicación terapéutica.

La materia objeto de estas reivindicaciones, por lo tanto, carece de claridad (Artículo 6 PCT).

WYTH0089-5000

PCT/US2005/026970

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PATENT COOPERATION TREATY

NOTIFICATION CONCERNING SUBMISSION OR TRANSMITTAL OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

From the INTERNATIONAL BUREAU

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Cozen O'Connor
1900 Market Street
Philadelphia, PA 19103
ETATS-UNIS D'AMERIQUE

To: MM / Wyeth Docketing
Date: 10/18/05
Copy sent to Client

Date of mailing *(day/month/year)* 06 October 2005 (06.10.2005)	
Applicant's or agent's file reference AM101590 PCT	**IMPORTANT NOTIFICATION**
International application No. PCT/US2005/026970	International filing date *(day/month/year)* 01 August 2005 (01.08.2005)
International publication date *(day/month/year)*	Priority date *(day/month/year)* 03 August 2004 (03.08.2004)
Applicant WYETH et al	

1 By means of this Form, which replaces any previously issued notification concerning submission or transmittal of priority documents, the applicant is hereby notified of the date of receipt by the International Bureau of the priority document(s) relating to all earlier application(s) whose priority is claimed. Unless otherwise indicated by the letters "NR", in the right-hand column or by an asterisk appearing next to a date of receipt, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).

2 *(If applicable)* The letters "NR" appearing in the right-hand column denote a priority document which, on the date of mailing of this Form, had not yet been received by the International Bureau under Rule 17.1(a) or (b). Where, under Rule 17.1(a), the priority document must be submitted by the applicant to the receiving Office or the International Bureau, but the applicant fails to submit the priority document within the applicable time limit under that Rule, the attention of the applicant is directed to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

3. *(If applicable)* An asterisk (*) appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b) (the priority document was received after the time limit prescribed in Rule 17.1(a) or the request to prepare and transmit the priority document was submitted to the receiving Office after the applicable time limit under Rule 17.1(b)). Even though the priority document was not furnished in compliance with Rule 17.1(a) or (b), the International Bureau will nevertheless transmit a copy of the document to the designated Offices, for their consideration. In case such a copy is not accepted by the designated Office as the priority document, Rule 17.1(c) provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

Priority date	Priority application No.	Country or regional Office or PCT receiving Office	Date of receipt of priority document
03 August 2004 (03.08.2004)	60/598,573	US	16 September 2005 (16.09.2005)
08 April 2005 (08.04.2005)	60/669,737	US	16 September 2005 (16.09.2005)

The International Bureau of WIPO
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Industria y Comercio
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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
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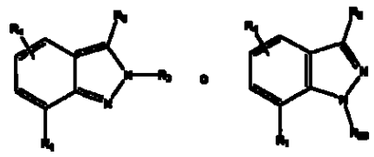
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(21) N° de solicitud:	(51) Int Cl: C07D 231/00
22) Fecha de solicitud:	(71)Solicitante(s) WYETH
(30) Prioridad (31) *No.* 32) *Fecha* (33) *País* 60/598,573 03/08/04 US 60/669,737 08/04/05 US	(72) Inventor(es) STEFFAN, Robert J. MATELAN, Edward M Otros
	(74) Apoderado: ALVARO CORREA ORDOÑEZ
(85) Fecha límite inicio fase nacional: *03/03/07* (86) Datos relativos a la presentación de la solicitud PCT Fecha de presentación de la solicitud: 01/06/05 No. de solicitud PCT/US2005/020970	(87) Publicación internacional Fecha: 16/02/06 N° publicación: WO 2006/017384

(54) Título: **INDAZOLES UTILES EN EL TRATAMIENTO DE ENFERMEDADES CARDIOVASCULARES**

Reivindicación(es):

1. Un compuesto que tiene la fórmula (I) o (Ia):



(I) (Ia)

caracterizado porque:
R_1 es alquilo C_{1-6}, CN, CO_2R_8, $C(O)R_8$, alquenilo C_{2-6}, cicloalquenilo C_{3-6}, alquinilo C_{2-6}, NR_8R_8, $C(O)NR_8R_8$, fenilo, tiofeno, alcoxi C_{1-3}, halógeno, o $S(O)_kR_8$;
en donde:
el alquilo C_{1-6} es opcionalmente substituido con desde 1 hasta 7 substituyentes independientemente seleccionados del grupo que consiste de halógeno y OH;
k es 0, 1 ó 2;
cada R_8 y cada R_8 es independientemente H, alquilo C_{1-6}, cicloalquilo C_{3-6}, $S(O)_2$-alquilo o arilalquilo; o
cada R_8 y cada R_8, junto con el átomo de nitrógeno al cual se enlazan, forman independientemente:
c) un anillo saturado de 3 hasta 7 miembros que es opcionalmente substituido con alquilo C_{1-3}, CH_2OH, o $C(=O)NH_2$; o
d) un anillo de 3 hasta 7 miembros que contiene en su estructura uno o dos heteroátomos adicionales que son opcionalmente substituidos con hasta tres substituyentes independientemente seleccionados del grupo que consiste de =O, alquilo C_{1-3}, COalquilo C_{1-6}, y CO_2alquilo C_{1-6};
con la condición de que cuando R_1 es $S(O)_kR_5$, entonces el R_5 del $S(O)_kR_5$ no es $S(O)_2$-alquilo;
R_2 es alquilo C_{3-6}, cicloalquilo C_{3-6}, alquenilo C_{3-6}, cicloalquenilo C_{3-6}, alquinilo C_{3-6}, NR_7R_8, arilo, arilalquilo, heteroarilo, heteroarilalquilo o heterocicloalquilo, en donde el alquilo C_{3-6}, el cicloalquilo C_{3-6} y el arilalquilo son cada uno opcionalmente substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de halógeno, CN y OR_7, y en donde el heteroarilo es opcionalmente substituido con YD; o
R_2 es fenilo substituido con hasta cuatro substituyentes independientemente seleccionados del grupo que consiste de alquilo C_{1-3}, alquenilo C_{2-6}, alquinilo C_{2-6}, alcoxi C_{1-3}, cicloalquilo C_{3-6}, halógeno, OH, CH_2OH, CN, NR_7R_8, $N(R_7)C(O)NR_8R_8$, $S(O)_mR_7$, fenilo, NO_2, $C(O)R_7$, $OC(O)R_7$, $C(O)NR_7R_8$, $C(O)NR_7D$ e YD, con la condición de que cualquier grupo OH no se presente en la posición para; en donde:
el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor;
m es 0 hasta 2; y
R_5 y R_8 son como se definen previamente;
cada R_7 y cada R_8 es independientemente H o alquilo C_{1-3}; o
cada R_7 y cada R_8, junto con el átomo N al cual se enlazan, forman independientemente:
a) un anillo saturado de 3 hasta 7 miembros el cual es opcionalmente substituido con alquilo C_{1-3}, CO_2R_{14}, $CH_2CO_2R_{14}$, $OCH_2CO_2R_{14}$, $CH_2OCH_2CO_2R_{14}$, $C(O)NR_{14}R_{15}$, CH_2OH, o CH_2CH_2OH; o
b) un anillo de 3 hasta 7 miembros que contiene en su estructura uno o dos heteroátomos adicionales que son opcionalmente substituidos con $CH_2CO_2R_{14}$; en donde R_{14} y R_{15} son cada uno independientemente H o alquilo C_{1-3};
Y es un enlace, CH_2, CH_2CH_2, alquinilenilo C_{2-4}, -O-, CH_2OCH_2, OCH_2, CH_2O, $-N(R_7)$-, $-N(COR_7)$-, $S(O)_j$, $-N(R_7)CH_2$-, $-N(R_7)CONR_8$-, $-N(COR_7)CH_2$-, $S(O)_jCH_2$, $-CH_2N(R_7)CH_2$-, $-CH_2N(COR_7)CH_2$-, $-OCH_2O$-, $-OC(R_7)(CO_2R_8)$- o $-CH_2S(O)_jCH_2$-; en donde R_7 y R_8 son como se definen previamente; y j es 0, 1 ó 2;
D es tetrahidronaftaleno, tetrahidronaftaldi, tetralona, naftaleno, antraceno, bencilo o fenilo, cada uno de los cuales es opcionalmente substituido con hasta cinco grupos R seleccionados independientemente;
cada R es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NH_2, NO_2, alquenilo C_{2-4}, alquinilo C_{2-4}, $S(O)_jR_8$, y WX; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y j es 0, 1 ó 2; o
D es un grupo heterocicloalquilo, heterocicloalquilalquilo, heteroarilalquilo, heteroarilo o arilalquilo, cada uno de los cuales es opcionalmente substituido con hasta cuatro grupos R_8 seleccionados independientemente;
cada R_8 es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, fenilo, bencilo, cicloalquilo C_{3-6} arilalquilo C_{7-11}, alcoxi C_{1-3}, halógeno, -C(=O)H, -C(O)-alquilo C_{1-3}, CH_2OH, CN, NO_2, NH_2, OH, =O, alquenilo C_{2-6}, alquinilo C_{2-6}, $S(O)_jR_9$ y WX; en donde el alquilo C_{1-6}, el alquenilo C_{2-6}, el alquinilo C_{2-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; y
j es 0, 1 ó 2;

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W es un enlace, $-CH_2-$, $-CH_2CH_2-$, $-NR_7-$, $-Q-N(R_7)-$, $-CHR_9-$, $-(CHR_9)_2-$, $-CHR_9-$, $-CR_9R_{10}-$, $-CO-$, $-O-$, $-OCH_2-$, $-OCHR_9-$, o $-OCR_9R_{10}-$;
en donde R_7 y R_9 son como se definen previamente; y Q es alquileno C_{1-6};
cada R_9 y cada R_{10} es independientemente alquilo C_{1-3} o OH; o
cualquiera de R_9 y R_{10}, junto con el átomo al cual se enlazan, pueden formar un anillo saturado de 3 hasta 7 miembros que opcionalmente contiene un átomo de O, N o S;
X es CO_2R_{11}, COR_{11}, $C(R_{11})_2OH$, CO_2R_8, $C(O)NR_8R_8$, NR_8R_8, $QNR_8CO_2R_8$, OH, CH_2OH, CN, $SO_2NR_8R_8$, $P(O)(OR_8)(OR_8)$, cicloalquilalquilo, arilo, arilalquilo, heterocicloalquilo o heteroarilo, en donde:
el arilo, el arilalquilo, el heterocicloalquilo y el heteroarilo son independientemente cada uno opcionalmente substituido con hasta tres substituyentes seleccionados del grupo que consiste de alquilo C_{1-3}, alcoxi C_{1-3}, halógeno, H, OH, NO_2 y bencilo, que es opcionalmente substituido con hasta cinco átomos de halógeno; en donde el alquilo C_{1-3} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor;
Q es alquileno C_{1-6};
R_{11} es H o alquilo C_{1-6}; y
R_8 y R_8 son como se definen previamente;
R_3 es alquilo C_{1-8}, alquenilo C_{2-8}, alquinilo C_{2-8}, cicloalquilo C_{3-8}, cicloalquenilo C_{3-8}, fenilo, o ZA; en donde:
el fenilo es opcionalmente substituido con alquilo C_{1-3};
Z es CH_2, CH_2CH_2, o CH_2O;
A es bifenilo, bencilo, naftilo, piridilo, 8-quinolilo, cicloalquilo C_{3-8} o fenilo; en donde el fenilo es opcionalmente substituido con hasta cinco grupos R_{18} seleccionados independientemente; en donde cada R_{18} es independientemente seleccionado del grupo que consiste de alquilo C_{1-6}, alcoxi C_{1-3}, halógeno, OH, NO_2, CN, fenilo, pirrol-1-ilo, $C(O)R_{12}$, CO_2R_{12}, $NR_{12}R_{13}$, $C(O)NR_{12}R_{13}$ y $S(O)_nR_{12}$; en donde el alquilo C_{1-6} y el alcoxi C_{1-3} son cada uno opcionalmente substituido con desde 1 hasta 7 átomos de flúor; n es 0, 1 ó 2; y R_{12} y R_{13} son cada uno independientemente H o alquilo C_{1-3};
R_{20} es H o alquilo C_{1-3}; y
R_4 es H, halógeno, metilo o metoxi;
con la condición de que cuando el compuesto tiene la estructura (Ia), entonces R_3 es fenilo o heteroarilo, cada uno de los cuales es substituido por YD, en donde YD es como se define previamente; o una sal farmacéuticamente aceptable del mismo.

Retiro folios 774 y 775
"Tarjetas"

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
Rad: 07-009295- -00000-0000
Fec: 2007-01-31 15:36:45 Dep: 2020 NUECREACIONES
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Fre: 378 FASENACIONALI
Act 411 PRESENTACION Fol:4 Fol:775

Industria y Comercio
SUPERINTENDENCIA

REQUISITOS MINIMOS PARA ADMISION A TRAMITE
PCT

PATENTE DE INVENCION [✓] MODELO DE UTILIDAD [ ]

- Indicación de que se solicita la concesión de una patente [✓]
- Datos de identificación del solicitante o de la persona que presenta la solicitud [✓]
- Descripción de la invención [✓]
- Dibujos de ser estos pertinentes [✓]
- Comprobante de pago de las tasas establecidas [✓]

COMPLETA [ ] INCOMPLETA [ ]

DISEÑO INDUSTRIAL [ ]

- Indicación de que se solicita el registro de Diseño Industrial [ ]
- Datos de identificación del solicitante o de la persona que presenta la solicitud [ ]
- Representación gráfica y fotográfica del Diseño Industrial o muestra del material que incorpora el diseño [ ]
- Comprobante de pago de las tasas establecidas [ ]

COMPLETA [ ] INCOMPLETA [ ]

ESQUEMA DE TRAZADO [ ]

- Indicación de que se solicita el registro de un Esquema de Trazado [ ]
- Datos de identificación del solicitante o de la persona que presenta la solicitud [ ]
- Representación gráfica del esquema trazado [ ]
- Comprobante de pago de las tasas establecidas [ ]

COMPLETA [ ] INCOMPLETA [ ]

Firma Responsable

Fecha 31 ENE 2007

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REPUBLICA DE COLOMBIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCI

Bogotá,
2020

724

Doctor(a)
CORREA ORDOÑEZ ALVARO

REFERENCIA	Radicación No.	7 9295
	Solicitud internacional	PCT/US2005/026970
	Fecha inicio fase nacional	03/03/2007
	Trámite	11
	Evento	378
	Actuación	430
	Oficio No.	SCC 4642

Como resultado del examen de forma, realizado con fundamento en el artículo 38 de la Decisión 486 de la Comisión de la Comunidad Andina, artículo 27 del Tratado de Cooperación en Materia de Patentes (PCT), regla 51 bis del Reglamento y Circular Única de la Superintendencia de Industria y Comercio, se le comunica que:

1. La solicitud no contiene la copia del documento en que consta la cesión del derecho a la patente del inventor al solicitante o a su causante. (Art. 26-k) Decisión 486.

2. La solicitud no contiene el poder debidamente otorgado, con el lleno de los requisitos exigidos por los artículos 63 y subsiguientes del Código de Procedimiento Civil. Adicionalmente, deberá presentar el documento que acredita la existencia y representación legal de la sociedad solicitante, cuando ésta fuere persona jurídica.

En cumplimiento de lo dispuesto por el artículo 39 de la Decisión 486, se le requiere para que dentro del término de dos meses siguientes a la fecha de notificación del presente oficio, complete los requisitos anteriormente enunciados. En caso de no dar cumplimiento al presente requerimiento, la solicitud se considerará abandonada y perderá su prelación.

Notifíquese el presente oficio conforme a lo dispuesto en el numeral 5.2, literal e), del capítulo quinto del título primero de la Circular Unica No.10 de 2001.

ALIX CARMENZA CESPEDES DE VERGEL
Jefe de la división de Nuevas Creaciones

El anterior requerimiento fue notificado por fijación en lista de fecha 20 ABR. 2007.
adpall